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(54) **MOLECULES HAVING PESTICIDAL UTILITY, AND INTERMEDIATES, COMPOSITIONS, AND PROCESSES, RELATED THERETO**

MOLEKÜLE MIT PESTIZIDFUNKTION SOWIE ZWISCHENPRODUKTE, ZUSAMMENSETZUNGEN UND VERFAHREN IM ZUSAMMENHANG DAMIT

MOLÉCULES PRÉSENTANT UNE UTILITÉ EN TANT QUE PESTICIDES, ET INTERMÉDIAIRES, COMPOSITIONS ET PROCÉDÉS ASSOCIÉS

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(73) Proprietor: **Corteva Agriscience LLC**

Indianapolis, IN 46268 (US)

(72) Inventors:

- **BARTON, Thomas**
Indianapolis
IN 46268 (US)
- **GAO, Xin**
Indianapolis
IN 46268 (US)
- **HUNTER, Jim**
Indianapolis
IN 46268 (US)

- **LEPLAE, Paul, R.**
Indianapolis
IN 46268 (US)
- **LO, William, C.**
Indianapolis
IN 46268 (US)
- **BORUWA, Joshodeep**
201301 Noida (IN)
- **TANGIRALA, Raghuram**
560102 Bengaluru (IN)
- **WATSON, Gerald, B.**
Indianapolis
IN 46268 (US)
- **HERBERT, John**
Indianapolis
IN 46268 (US)

(74) Representative: **f & e patent**

Braunsberger Feld 29
51429 Bergisch Gladbach (DE)

(56) References cited:

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US-A1- 2014 171 312	US-A1- 2015 111 734

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Description

CROSS REFERENCE TO RELATED APPLICATIONS

5 **[0001]** This application claims the benefit of, and priority from, U.S. Provisional Patent Application Serial Nos. 62/286702 and 62/286708 both filed January 25, 2016.

FIELD OF THIS DISCLOSURE

10 **[0002]** This disclosure relates to the field of molecules having pesticidal utility against pests in Phyla Arthropoda, Mollusca, and Nematoda, processes to produce such molecules, intermediates used in such processes, pesticidal compositions containing such molecules, and processes of using such pesticidal compositions against such pests. These pesticidal compositions may be used, for example, as acaricides, insecticides, miticides, molluscicides, and nematocides.

BACKGROUND OF THIS DISCLOSURE

15 **[0003]** "Many of the most dangerous human diseases are transmitted by insect vectors" (Rivero et al.). "Historically, malaria, dengue, yellow fever, plague, filariasis, louse-borne typhus, trypanosomiasis, leishmaniasis, and other vector borne diseases were responsible for more human disease and death in the 17th through the early 20th centuries than all other causes combined" (Gubler). Vector-borne diseases are responsible for about 17% of the global parasitic and infectious diseases. Malaria alone causes over 800,000 deaths a year, 85% of which occur in children under five years of age. Each year there are about 50 to about 100 million cases of dengue fever. A further 250,000 to 500,000 cases of dengue hemorrhagic fever occur each year (Matthews). Vector control plays a critical role in the prevention and control of infectious diseases. However, insecticide resistance, including resistance to multiple insecticides, has arisen in all insect species that are major vectors of human diseases (Rivero et al.). Recently, more than 550 arthropod pest species have developed resistance to at least one pesticide (Whalon et al.).

20 **[0004]** Each year insects, plant pathogens, and weeds, destroy more than 40% of all food production. This loss occurs despite the application of pesticides and the use of a wide array of non-chemical controls, such as, crop rotations, and biological controls. If just some of this food could be saved, it could be used to feed the more than three billion people in the world who are malnourished (Pimental).

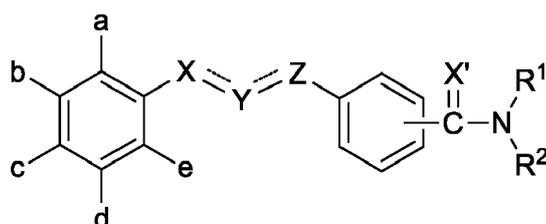
25 **[0005]** Plant parasitic nematodes are among the most widespread pests, and are frequently one of the most insidious and costly. It has been estimated that losses attributable to nematodes are from about 9% in developed countries to about 15% in undeveloped countries. However, in the United States of America a survey of 35 States on various crops indicated nematode-derived losses of up to 25% (Nicol et al.).

30 **[0006]** It is noted that gastropods (slugs and snails) are pests of less economic importance than other arthropods or nematodes, but in certain places they may reduce yields substantially, severely affecting the quality of harvested products, as well as, transmitting human, animal, and plant diseases. While only a few dozen species of gastropods are serious regional pests, a handful of species are important pests on a worldwide scale. In particular, gastropods affect a wide variety of agricultural and horticultural crops, such as, arable, pastoral, and fiber crops; vegetables; bush and tree fruits; herbs; and ornamentals (Speiser).

35 **[0007]** Termites cause damage to all types of private and public structures, as well as, to agricultural and forestry resources. In 2005, it was estimated that termites cause over US\$50 billion in damage worldwide each year (Korb).

40 **[0008]** Consequently, for many reasons, including those mentioned above, there is an on-going need for the costly (estimated to be about US\$256 million per pesticide in 2010), time-consuming (on average about 10 years per pesticide), and difficult, development of new pesticides (CropLife America).

45 **[0009]** DeMassey et al. discloses the following structure. For more detail, refer to US 2002/0068838.



[0010] Other molecules are described in US 2014/0171312 A1.

CERTAIN REFERENCES CITED IN THIS DISCLOSURE

- [0011] CropLife America, The Cost of New Agrochemical Product Discovery, Development & Registration, and Research & Development predictions for the Future, 2010.
- 5 [0012] Gubler, D., Resurgent Vector-Borne Diseases as a Global Health Problem, Emerging Infectious Diseases, Vol. 4, No. 3, p. 442-450, 1998.
- [0013] Korb, J., Termites, Current Biology, Vol. 17, No. 23, 2007.
- [0014] Matthews, G., Integrated Vector Management: Controlling Vectors of Malaria and Other Insect Vector Borne Diseases, Ch. 1, p. 1- 2011.
- 10 [0015] Nicol, J., Turner S.; Coyne, L.; den Nijs, L., Hocksland, L., Tahna-Maafi, Z., Current Nematode Threats to World Agriculture, Genomic and Molecular Genetics of Plant - Nematode Interactions, p.21-43, 2011).
- [0016] Pimental, D., Pest Control in World Agriculture, Agricultural Sciences - Vol. II, 2009.
- [0017] Rivero, A., Vezilier, J., Weill, M., Read, A., Gandon, S., Insect Control of Vector-Borne Diseases: When is Insect Resistance a Problem? Public Library of Science Pathogens, Vol. 6, No. 8, p. 1-9, 2010.
- 15 [0018] Speiser, B., Molluscicides, Encyclopedia of Pest Management, Ch. 219, p. 506-508, 2002.
- [0019] Whalon, M., Mota-Sanchez, D., Hollingworth, R., Analysis of Global Pesticide Resistance in Arthropods, Global Pesticide Resistance in Arthropods, Ch. 1, p. 5-33, 2008.

DEFINITIONS USED IN THIS DISCLOSURE

- 20 [0020] The examples given in these definitions are generally non-exhaustive and must not be construed as limiting the disclosure. It is understood that a substituent should comply with chemical bonding rules and steric compatibility constraints in relation to the particular molecule to which it is attached. These definitions are only to be used for the purposes of this disclosure.
- 25 [0021] **"Active ingredient"** means a material having activity useful in controlling pests, and/or that is useful in helping other materials have better activity in controlling pests, examples of such materials include, but are not limited to, acaricides, algicides, avicides, bactericides, fungicides, herbicides, insecticides, molluscicides, nematocides, rodenticides, virucides, antifeedants, bird repellents, chemosterilants, herbicide safeners, insect attractants, insect repellents, mammal repellents, mating disrupters, plant activators, plant growth regulators, and synergists. Specific examples of
- 30 such materials include, but are not limited to, the materials listed in **active ingredient group alpha**.
- [0022] **"Active ingredient group alpha" (hereafter "AIGA")** means collectively the following materials:
- (1) (3-ethoxypropyl)mercury bromide, 1,2-dibromoethane, 1,2-dichloroethane, 1,2-dichloropropane, 1,3-dichloropropane, 1-MCP, 1-methylcyclopropene, 1-naphthol, 2-(octylthio)ethanol, 2,3,3-TPA, 2,3,5-tri-iodobenzoic acid, 2,3,6-TBA, 2,4,5-T, 2,4,5-TB, 2,4,5-TP, 2,4-D, 2,4-DB, 2,4-DEB, 2,4-DEP, 2,4-DES, 2,4-DP, 2,4-MCPA, 2,4-MCPB, 2iP, 2-methoxyethylmercury chloride, 2-phenylphenol, 3,4-DA, 3,4-DB, 3,4-DP, 3,6-dichloropicolinic acid, 4-aminopyridine, 4-CPA, 4-CPB, 4-CPP, 4-hydroxyphenethyl alcohol, 8-hydroxyquinoline sulfate, 8-phenylmercurioxyquinoline, abamectin, abamectin-aminomethyl, abscisic acid, ACC, acephate, acequinocyl, acetamiprid, acethion, acetochlor, acetofenate, acetophos, acetoprole, acibenzolar, acifluorfen, aclonifen, ACN, acrep, acrinathrin, acrolein, acrylonitrile, acypetacs, afidopyropen, afoxolaner, alachlor, alanap, alanycarb, albendazole, aldicarb, aldicarb sulfone, aldimorph, aldoxycarb, aldrin, allethrin, allacin, allidochlor, allosamidin, alloxydim, allyl alcohol, allylcarb, alorac, *alpha*-cypermethrin, *alpha*-endosulfan, alphamethrin, altretamine, aluminium phosphide, aluminum phosphide, ametoctradin, ametridione, ametryn, ametryne, amibuzin, amicarbazone, amicarbazol, amidithion, amidoflumet, amidosulfuron, aminocarb, aminocyclopyrachlor, aminopyralid, aminotriazole, amiprofos-methyl, amiprofos, amiprofos-methyl, amisulbrom, amiton, amitraz, amitrole, ammonium sulfamate, amobam, amorphous silica gel, amorphous silicon dioxide, ampropylfos, AMS, anabasine, ancymidol, anilazine, anilofos, anisuron, anthraquinone, antu, apholate, aramite, arprocarb, arsenous oxide, asomate, aspirin, asulam, athidathion, atraton, atrazine, aureofungin, avermectin B1, AVG, aviglycine, azaconazole, azadirachtin, azafenidin, azamethiphos, azidithion, azimsulfuron, azinphosethyl, azinphos-ethyl, azinphosmethyl, azinphos-methyl, aziprotryn, aziprotryne, azithiram, azobenzene, azocyclotin, azothoate, azoxystrobin, bachmedesh, barban, barbanate, barium hexafluorosilicate, barium polysulfide, barium silicofluoride, barthrin, basic copper carbonate, basic copper chloride, basic copper sulfate, BCPC, beflubutamid, benalaxyl, benalaxyl-M, benazolin, benicarbazone, benclotiaz, bendaqingbingzhi, bendiocarb, bendioxide, benefin, benfluralin, benfuracarb, benfuresate, benmihuangcaoan, benodanil, benomyl, benoxacor, benoxafos, benquinox, bensulfuron, bensulide, bensultap, bentaluron, bentazon, bentazone, benthiaivalicarb, benthiazole, benthicarb, bentranil, benzadox, benzalkonium chloride, benzamacril, benzamizole, benzamorf, benzene hexachloride, benzfendizone, benzimine, benzipram, benzobicyclon, benzoepin, benzofenap, benzofluor, benzohydroxamic acid, benzomate, benzophosphate, benzothiadiazole, benzovindiflupyr, benzoximate, benzoylprop, benzthiazuron, benzuocaotong, benzyl benzoate, benzyladenine, berberine, *beta*-cyfluthrin, *beta*-cypermethrin, bethoxazin, BHC, bialaphos, bicyclopypyrone, bifenazate, bifenox, bifenthrin, bifujunzhi, bilanafos, binapacryl, bingqingxiao, bioallethrin, bioethanomethrin, biopermethrin, bioresmethrin, biphenyl, bisazir, bismethiazol, bis-
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merthiazol-copper, bisphenylmercury methylenedi(x-naphthalene-y-sulphonate), bispyribac, bistrifluron, bisultap, biter-
tanol, bithionol, bixafen, blasticidin-S, borax, Bordeaux mixture, boric acid, boscalid, BPPS, brassinolide, brassinolide-
ethyl, brevicomin, brodifacoum, brofenprox, brofenvalerate, broflanilide, brofluthrin, bromacil, bromadiolone, brom-
chlophos, bromethalin, bromethrin, bromfeninfos, bromoacetamide, bromobonil, bromobutide, bromociclen, bromocy-
5 clen, bromo-DDT, bromofenoxim, bromofos, bromomethane, bromophos, bromophos-ethyl, bromopropylate, bromoth-
alonil, bromoxynil, brompyrazon, bromuconazole, bronopol, BRP, BTH, bucarpolate, bufencarb, buminafos, bupirimate,
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10 butopyronoxyl, butoxycarboxim, butralin, butrizol, butroxydim, buturon, butylamine, butylate, butylchlorophos, butylene-
fipronil, cacodylic acid, cadusafos, cafenstrole, calciferol, calcium arsenate, calcium chlorate, calcium cyanamide, calcium
cyanide, calcium polysulfide, calvinphos, cambendichlor, camphechlor, camphor, captafol, captan, carbam, carbamorph,
carbanolate, carbaril, carbaryl, carbasulam, carbathion, carbendazim, carbendazol, carbetamide, carbofenotion, carbo-
furan, carbon disulfide, carbon tetrachloride, carbonyl sulfide, carbophenothion, carbophos, carbosulfan, carboxazole,
15 carboxide, carboxin, carfentrazone, carpropamid, cartap, carvacrol, carvone, CAVP, CDAA, CDEA, CDEC, cellocidin,
CEPC, ceralure, cerenox, cevadilla, Cheshunt mixture, chinalphos, chinalphos-méthyl, chinomethionat, chinomethion-
ate, chiralaxyl, chitosan, chlobenthiazone, chlomethoxyfen, chloralose, chloramben, chloramine phosphorus, chloram-
phenicol, chloraniformethan, chloranil, chloranocryl, chlorantraniliprole, chlorazifop, chlorazine, chlorbenseide, chlorben-
zuron, chlorbicyclen, chlorbromuron, chlorbufam, chlordane, chlordecone, chlordimeform, chlorempenthrin, chloreta-
zate, chlorfenthephon, chlorothoxyfos, chloreturon, chlorfenac, chlorfenapyr, chlorfenazole, chlorfenethol, chlorfenidim,
20 chlorfenprop, chlorfenson, chlorfensulphide, chlorfenvinphos, chlorfenvinphos-methyl, chlorfluazuron, chlorflurazole,
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25 rphoxim, chlorprazophos, chlorprocarb, chlorpropham, chlorpyrifos, chlorpyrifos-methyl, chlorquinox, chlorsulfuron, chlo-
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ciobutide, cisanilide, cismethrin, clacyfos, clefoxydim, clenpirin, clenpyrin, clethodim, climbazole, cliodinate, clodinafop,
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copper oxochloride, copper silicate, copper sulfate, copper sulfate basic, copper zinc chromate, coumachlor, coumafène,
35 coumafos, coumafuryl, coumaphos, coumatetralyl, coumethoxystrobin, coumithoate, coumoxystrobin, CPMC, CPMF,
CPPC, credazine, cresol, cresylic acid, crimidine, crotamiton, crotoxyfos, crotoxyphos, crufomate, cryolite, cuelure,
cufraneb, cumyleron, cumyluron, cuprobam, cuprous oxide, curcumenol, CVMP, cyanamide, cyanatryl, cyanazine,
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40 muron, cycloxydim, cycluron, cyenopyrafen, cyflufenamid, cyflumetofen, cyfluthrin, cyhalofop, cyhalothrin, cyhexatin,
cymiazole, cymoxanil, cyometrinil, cypendazole, cypermethrin, cyperquat, cyphenothrin, cyprazine, cyprazole, cypro-
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nozide, dayoutong, dazomet, DBCP, d-camphor, DCB, DCIP, DCPA, DCPTA, DCU, DDD, DDPP, DDT, DDVP, debacarb,
45 decafentin, decamethrin, decarbofuran, deet, dehydroacetic acid, deiquat, delachlor, delnav, deltamethrin, demephion,
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thyl, demeton-S-methyl sulphone, demeton-S-methylsulphon, DEP, depalléthrine, derris, desmedipham, desmetryn,
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50 anid, dichlone, dichloralurea, dichlorbenzuron, dichlorfenidim, dichlorflurecol, dichlorflurenol, dichlormate, dichlormid,
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55 dilor, dimatif, dimefluthrin, dimefox, dimefuron, dimehypo, dimepiperate, dimetachlone, dimetan, dimethacarb, dimeth-
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nols, dinobuton, dinocap, dinocap-4, dinocap-6, dinoceton, dinofenate, dinopenton, dinoprop, dinosam, dinoseb, dino-

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 5 ogen, *d*-limonene, DMDS, DMPA, DNOC, dodemorph, dodicin, dodine, dofenapyn, doguadine, dominicalure, doramectin,
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 dothal, endothall, endothion, endrin, enestroburin, enilconazole, enoxastrobin, ephirsulfonate, EPN, epocholeone,
 10 epofenonane, epoxiconazole, eprinomectin, epronaz, EPTC, erbon, ergocalciferol, erlujixiancaosan, esdépalléthrine, es-
 fenvalerate, ESP, esprocarb, etacelasil, etaconazole, etaphos, etem, ethaboxam, ethachlor, ethalfuralin, ethametsul-
 furon, ethaprochlor, ethephon, ethidimuron, ethiofencarb, ethiolate, ethion, ethiozin, ethiprole, ethirimol, ethoatemethyl,
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 15 oxide, ethylicin, ethylmercury 2,3-dihydroxypropyl mercaptide, ethylmercury acetate, ethylmercury bromide, ethylmer-
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 20 ycarb, fenciclonil, fenpirithrin, fenpropathrin, fenpropidin, fenpropimorph, fenpyrazamine, fenpyroximate, fenquinotrine,
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 25 fluazolate, fluazuron, flubendiamide, flubenzimine, flubrocycytrinate, flucarbazone, flucetosulfuron, fluchloralin, fluco-
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 caoling, fuphenthiourea, furalane, furalaxyl, furamethrin, furametypr, furan tebufenozide, furathiocarb, furcarbanil, fur-
 conazole, furconazole-cis, furethrin, furfural, furilazole, furmecycloz, furophanate, furyloxyfen, *gamma*-BHC, *gamma*-cy-
 halothrin, *gamma*-HCH, genit, gibberellic acid, gibberellin A3, gibberellins, gliftor, glitor, glucochloralose, glufosinate,
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 fop-R, HCA, HCB, HCH, hemel, hempa, HEOD, heptachlor, heptafluthrin, heptenophos, heptopargil, herbimycin, her-
 bimycin A, heterophos, hexachlor, hexachloran, hexachloroacetone, hexachlorobenzene, hexachlorobutadiene, hex-
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 45 non, hydrargaphen, hydrated lime, hydrogen cyanamide, hydrogen cyanide, hydroprene, hydroxyisoxazole, hymexazol,
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 lenacil, lepimectin, leptophos, lianbenjingzhi, lime sulfur, lindane, lineatin, linuron, lirimfos, litlure, looplure, lufenuron,
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 methocrotophos, metholcarb, methometon, methomyl, methoprene, methoprotryn, methoprotryne, methoquin-butyl,
 methothrin, methoxychlor, methoxyfenozide, methoxyphenone, methyl apholate, methyl bromide, methyl eugenol, methyl
 iodide, methyl isothiocyanate, methyl parathion, methylacetophos, methylchloroform, methylthiocarbamic acid, meth-
 15 yldymron, methylene chloride, methyl-isofenphos, methylmercaptophos, methylmercaptophos oxide, methylmercapto-
 phos thiol, methylmercury benzoate, methylmercury dicyandiamide, methylmercury pentachlorophenoxide, methylneo-
 decanamide, methylnitrophos, methyltriazothion, metiozolin, metiram, metiram-zinc, metobenzuron, metobromuron,
 metofluthrin, metolachlor, metolcarb, metometuron, metominostrobin, metosulam, metoxadiazon, metoxuron, metraf-
 20 enone, metriam, metribuzin, metrifonate, metriphosphate, metsulfosax, metsulfuron, mevinphos, mexacarbate, miechuwei,
 mieshuan, miewenjuzhi, milbemectin, milbemycin oxime, milneb, mima2nan, mipafox, MIPC, mirex, MNAF, moguchun,
 molineate, molosultap, momfluorothrin, monalide, monisuron, monoamitraz, monochloroacetic acid, monocrotophos,
 monolinuron, monomehypo, monosulfiram, monosulfuron, monosultap, monuron, monuron-TCA, morfamquat, morox-
 25 ydine, morphothion, morzid, moxidectin, MPMC, MSMA, MTMC, muscalure, myclobutanil, myclozolin, myricyl alcohol,
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 naphthalic anhydride, naphthalophos, naphthoxyacetic acids, naphthylacetic acids, naphthylindane-1,3-diones, naph-
 25 thyloxyacetic acids, naproanilide, napropamide, napropamide-M, naptalam, natamycin, NBPOS, neburea, neburon,
 nendrin, neonicotine, nichlorfos, niclofen, niclosamide, nicobifen, nicosulfuron, nicotine, nicotine sulfate, nifluridide, nik-
 komycins, NIP, nipyraclufen, nipyralofen, nitenpyram, nithiazine, nitralin, nitrapyrin, nitrilacarb, nitrofen, nitrofluorfen,
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 30 noviflumuron, NPA, nuarimol, nuranone, OCH, octachlorodipropyl ether, octhiline, o-dichlorobenzene, ofurace, ometh-
 oate, o-phenylphenol, orbencarb, orflalure, orthobencarb, *ortho*-dichlorobenzene, orthosulfamuron, oryctalure, oryas-
 trobin, oryzalin, osthol, osthole, ostramone, ovatron, ovex, oxabetrinil, oxadiargyl, oxadiazon, oxadixyl, oxamate, oxamyl,
 oxapyrazon, oxapyrazone, oxasulfuron, oxathiapiprolin, oxaziclomefone, oxine-copper, oxine-Cu, oxolinic acid, oxpoco-
 35 nazole, oxycarboxin, oxydemeton-methyl, oxydeprofos, oxydisulfoton, oxynadenine, oxyfluorfen, oxymatrine, oxytet-
 racycline, oxythioquinox, PAC, paclobutrazol, paichongding, palléthrine, PAP, *para*-dichlorobenzene, parafluron,
 paraquat, parathion, parathion-methyl, parinol, Paris green, PCNB, PCP, PCP-Na, *p*-dichlorobenzene, PDJ, pebulate,
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 pival, pivaldione, plifenate, PMA, PMP, polybutenes, polycarbamate, polychlorcamphene, polyethoxyquinoline, polyoxin
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 55 precocene III, pretilachlor, primidophos, primisulfuron, probenazole, prochloraz, proclonol, procyzazine, procymidone,
 prodiamine, profenofos, profluazol, profluralin, profluthrin, profoxydim, profuriteaminium, proglinazine, prohexadione,
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 pymetrozine, pyracarbolid, pyraclufos, pyraclonil, pyraclostrobin, pyraflufen, pyrafluprole, pyramat, pyrametostrobin,
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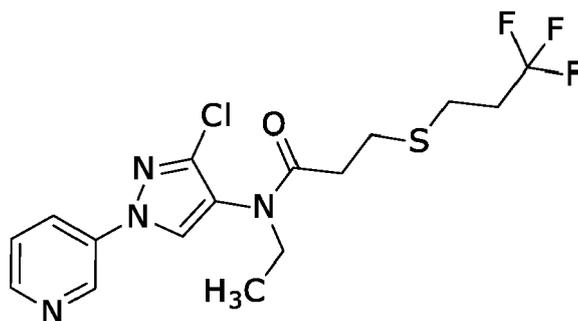
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 5 pyriproxyfen, pyrisoxazole, pyriithiobac, pyrolan, pyroquilon, pyroxasulfone, pyroxulam, pyroxychlor, pyroxyfur, qinca-
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 10 saflufenacil, saijunmao, saisentong, salicylanilide, salifluofen, sanguinarine, santonin, S-bioallethrin, schradan, scilliro-
 side, sebuthylazine, sebumeton, sedaxane, selamectin, semiamitraz, sesamex, sesamol, sesone, sethoxydim, sevin,
 shuangjiaancao, shuangjianancao, S-hydroprene, siduron, sifumijvzhi, siglure, silafluofen, silatrane, silica aerogel,
 silica gel, silthiofam, silthiopham, silthiophan, silvex, simazine, simeconazole, simeton, simetryn, simetryne, sintofen,
 S-kinoprene, slaked lime, SMA, S-methoprene, S-metolachlor, sodium arsenite, sodium azide, sodium chlorate, sodium
 15 cyanide, sodium fluoride, sodium fluoroacetate, sodium hexafluorosilicate, sodium naphthenate, sodium o-phenylphe-
 noxide, sodium orthophenylphenoxide, sodium pentachlorophenate, sodium pentachlorophenoxide, sodium polysulfide,
 sodium silicofluoride, sodium tetrathiocarbonate, sodium thiocyanate, solan, sophamide, spinetoram, spinosad, spirod-
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 20 sulfoxaflor, sulfoxide, sulfoxime, sulfur, sulfuric acid, sulfuryl fluoride, sulglycapin, sulphosate, sulprofos, sultropen, swep,
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 pyrad, tebufloquin, tebupirimfos, tebutam, tebuthiuron, tecloftalam, tecnazene, tecoram, tedion, teflubenzuron, tefluthrin,
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 fluthrin, tetramine, tetranactin, tetranilprole, tetrapion, tetrasul, thallium sulfate, thallosulfate, thenylchlor, *theta*-cy-
 permethrin, thiabendazole, thiacloprid, thiadiazine, thiadifluor, thiamethoxam, thiameturon, thiapronil, thiazafuron, thi-
 azfluron, thiazone, thiazopyr, thicofos, thicyofen, thidiazimin, thidiazuron, thiencazabone, thifensulfuron, thifluzamide,
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 ocyclam, thiodan, thiodiazole-copper, thiodicarb, thiofanocarb, thiofanox, thiofluoximate, thiohempa, thiomersal, thio-
 meton, thionazin, thiophanate, thiophanate-ethyl, thiophanate-methyl, thiophos, thioquinox, thiosemicarbazide, thiosultap,
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 tioclorim, tioxaafen, tioxymid, tирate, TMTD, tolclofos-methyl, tolfenpyrad, tolprocarb, tolypyralate, tolyfluanid, tolylflua-
 35 nid, tolylmercury acetate, tomarin, topramezone, toxaphene, TPN, tralkoxydim, tralocythrin, tralomethrin, tralopyril, trans-
 fluthrin, transpermethrin, tretamine, triacontanol, triadimefon, triadimenol, triafamone, triallate, tri-allate, triamiphos, tria-
 penthenol, triarathene, triarimol, triasulfuron, triazamate, triazbutil, triaziflam, triazophos, triazothion, triazoxide, tribasic
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 trichlormetaphos-3, trichloronat, trichloronate, trichlorotrinitrobenzenes, trichlorophon, triclopyr, triclopyricarb, tricresol,
 40 tricyclazole, tricyclohexyltin hydroxide, tridemorph, tridiphane, trietazine, trifenmorph, trifenofos, trifloxystrobin, tri-
 floxysulfuron, trifludimoxazin, triflumezopyrim, triflumizole, triflumuron, trifluralin, triflusulfuron, trifop, trifopsime, triforine,
 trihydroxytriazine, trimedlure, trimethacarb, trimeturon, trinexapac, triphenyltin, triprene, tripropindan, triptolide, tritac,
 trithialan, triticonazole, tritosulfuron, trunc-call, tuoyelin, uniconazole, uniconazole-P, urbacide, uredepa, valerate, vali-
 damycin, validamycin A, valifenalate, valone, vamidothion, vangard, vanilprole, vernolate, vinclozolin, vitamin D3, war-
 farin, xiaochongliulin, xinjunan, xiwojunan, xiwojunzhi, XMC, xylachlor, xyleneols, xylylcarb, xymiazole, yishijing, zarilamid,
 45 zeatin, zengxiaoan, zengxiaolin, zeta-cypermethrin, zinc naphthenate, zinc phosphide, zinc thiazole, zinc thiozole, zinc
 trichlorophenolate, zinc trichlorophenoxide, zineb, ziram, zolapofos, zoocoumarin, zoxamide, zuoanjunzhi, zuocaoan,
 zuojunzhi, zuomihuanglong, α -chlorohydrin, α -ecdysone, α -multistriatin, α -naphthaleneacetic acids, and β -ecdysone;
(2) the following molecule

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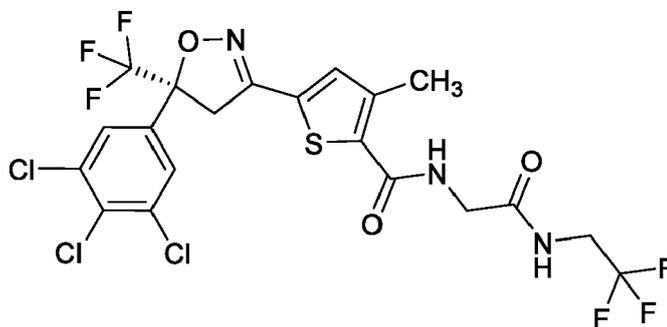
N-(3-chloro-1-(pyridin-3-yl)-1*H*-pyrazol-4-yl)-*N*-ethyl-3-((3,3,3-trifluoropropyl)thio)propanamide
In this document, this molecule, for ease of use, is named as "AI-1;"

15

(3) a molecule known as Lotilaner which has the following structure

20

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; and

30

(4) the following molecules in Table A

Table A. Structure of M - active ingredients

Name	Structure
M1	<p data-bbox="997 1406 1133 1478">R = CH, N R₁ = H, Me</p>
M2	<p data-bbox="997 1541 1093 1601">X = F, Cl R = H, F</p>

55

(continued)

Name	Structure
M3	
M4	
M5	
M6	

[0023] As used in this disclosure, each of the above is an active ingredient, and two or more are active ingredients. For more information consult the **"COMPENDIUM OF PESTICIDE COMMON NAMES"** located at Alanwood.net and various editions, including the on-line edition, of **"THE PESTICIDE MANUAL"** located at bcpcdata.com.

[0024] The term **"alkenyl"** means an acyclic, unsaturated (at least one carbon-carbon double bond), branched or unbranched, substituent consisting of carbon and hydrogen, for example, vinyl, allyl, butenyl, pentenyl, and hexenyl.

[0025] The term **"alkenyloxy"** means an alkenyl further consisting of a carbon-oxygen single bond, for example, allyloxy, butenyloxy, pentenyloxy, hexenyloxy.

[0026] The term **"alkoxy"** means an alkyl further consisting of a carbon-oxygen single bond, for example, methoxy, ethoxy, propoxy, isopropoxy, butoxy, isobutoxy, and tert-butoxy.

[0027] The term **"alkyl"** means an acyclic, saturated, branched or unbranched, substituent consisting of carbon and hydrogen, for example, methyl, ethyl, propyl, isopropyl, butyl, and tert-butyl.

[0028] The term **"alkynyl"** means an acyclic, unsaturated (at least one carbon-carbon triple bond), branched or un-

branched, substituent consisting of carbon and hydrogen, for example, ethynyl, propargyl, butynyl, and pentynyl.

[0029] The term "**alkynyloxy**" means an alkynyl further consisting of a carbon-oxygen single bond, for example, pentynyloxy, hexynyloxy, heptynyloxy, and octynyloxy.

[0030] The term "**aryl**" means a cyclic, aromatic substituent consisting of hydrogen and carbon, for example, phenyl, naphthyl, and biphenyl.

[0031] The term "**biopesticide**" means a microbial biological pest control agent which, in general, is applied in a similar manner to chemical pesticides. Commonly they are bacterial, but there are also examples of fungal control agents, including *Trichoderma* spp. and *Ampelomyces quisqualis*. One well-known biopesticide example is *Bacillus thuringiensis*, a bacterial disease of Lepidoptera, Coleoptera, and Diptera. Biopesticides include products based on:

- (1) entomopathogenic fungi (e.g. *Metarhizium anisopliae*);
- (2) entomopathogenic nematodes (e.g. *Steinernema feltiae*); and
- (3) entomopathogenic viruses (e.g. *Cydia pomonella* granulovirus).

[0032] Other examples of entomopathogenic organisms include, but are not limited to, baculoviruses, protozoa, and Microsporidia. For the avoidance of doubt biopesticides are considered to be active ingredients.

[0033] The term "**cycloalkenyl**" means a monocyclic or polycyclic, unsaturated (at least one carbon-carbon double bond) substituent consisting of carbon and hydrogen, for example, cyclobutenyl, cyclopentenyl, cyclohexenyl, norbornenyl, bicyclo[2.2.2]octenyl, tetrahydronaphthyl, hexahydronaphthyl, and octahydronaphthyl.

[0034] The term "**cycloalkenyloxy**" means a cycloalkenyl further consisting of a carbon-oxygen single bond, for example, cyclobutenyloxy, cyclopentenlyoxy, norbornenyloxy, and bicyclo[2.2.2]octenyloxy.

[0035] The term "**cycloalkyl**" means a monocyclic or polycyclic, saturated substituent consisting of carbon and hydrogen, for example, cyclopropyl, cyclobutyl, cyclopentyl, norbornyl, bicyclo[2.2.2]octyl, and decahydronaphthyl.

[0036] The term "**cycloalkoxy**" means a cycloalkyl further consisting of a carbon-oxygen single bond, for example, cyclopropyloxy, cyclobutyloxy, cyclopentyloxy, norbornyloxy, and bicyclo[2.2.2]octyloxy.

[0037] The term "**halo**" means fluoro, chloro, bromo, and iodo.

[0038] The term "**haloalkoxy**" means an alkoxy further consisting of, from one to the maximum possible number of identical or different, halos, for example, fluoromethoxy, trifluoromethoxy, 2,2-difluoropropoxy, chloromethoxy, trichloromethoxy, 1,1,2,2-tetrafluoroethoxy, and pentafluoroethoxy.

[0039] The term "**haloalkyl**" means an alkyl further consisting of, from one to the maximum possible number of, identical or different, halos, for example, fluoromethyl, trifluoromethyl, 2,2-difluoropropyl, chloromethyl, trichloromethyl, and 1,1,2,2-tetrafluoroethyl.

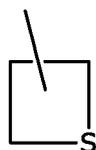
[0040] The term "**heterocyclyl**" means a cyclic substituent that may be aromatic, fully saturated, or partially or fully unsaturated, where the cyclic structure contains at least one carbon and at least one heteroatom, where said heteroatom is nitrogen, sulfur, or oxygen. Examples are:

(1) **aromatic heterocyclyl substituents** include, but are not limited to, benzofuranyl, benzoisothiazolyl, benzoisoxazolyl, benzoxazolyl, benzothienyl, benzothiazolyl cinnolinyl, furanyl, indazolyl, indolyl, imidazolyl, isoindolyl, isoquinolinyl, isothiazolyl, isoxazolyl, oxadiazolyl, oxazolyl, phthalazinyl, pyrazinyl, pyrazolyl, pyridazinyl, pyridyl, pyrimidinyl, pyrrolyl, quinazolinyl, quinolinyl, quinoxalinyl, tetrazolyl, thiazolyl, thiazolyl, thienyl, triazinyl, and triazolyl;

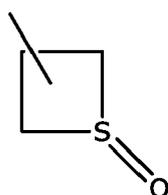
(2) **fully saturated heterocyclyl substituents** include, but are not limited to, piperazinyl, piperidinyl, morpholinyl, pyrrolidinyl, tetrahydrofuranyl, and tetrahydropyranyl;

(3) **partially or fully unsaturated heterocyclyl substituents** include, but are not limited to, 1,2,3,4-tetrahydroquinolinyl, 4,5-dihydrooxazolyl, 4,5-dihydro-1*H*-pyrazolyl, 4,5-dihydro-isoxazolyl, and 2,3-dihydro-[1,3,4]-oxadiazolyl; and

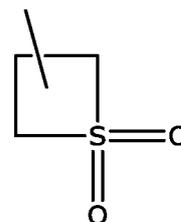
(4) Additional examples of heterocyclyls include the following:



thietanyl



thietanyl-oxide



and thietanyl-dioxide.

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[0041] The term "**locus**" means a habitat, breeding ground, plant, seed, soil, material, or environment, in which a pest is growing, may grow, or may traverse, for example, a locus may be: where crops, trees, fruits, cereals, fodder species, vines, turf, and/or ornamental plants are growing; where domesticated animals are residing; the interior or exterior surfaces of buildings (such as places where grains are stored); the materials of construction used in buildings (such as impregnated wood); and the soil around buildings.

[0042] The phrase "**MoA Material**" means a material having a mode of action ("**MoA**") as indicated in IRAC MoA Classification v. 7.3, located at irac-online.org, which describes:

- (1) Acetylcholinesterase (AChE) inhibitors;
- (2) GABA-gated chloride channel antagonists;
- (3) Sodium channel modulators;
- (4) Nicotinic acetylcholine receptor (nAChR) agonists;
- (5) Nicotinic acetylcholine receptor (nAChR) allosteric activators;
- (6) Chloride channel activators;
- (7) Juvenile hormone mimics;
- (8) Miscellaneous nonspecific (multi-site) inhibitors;
- (9) Modulators of Chordotonal Organs;
- (10) Mite growth inhibitors;
- (11) Microbial disruptors of insect midgut membranes;
- (12) Inhibitors of mitochondrial ATP synthase;
- (13) Uncouplers of oxidative phosphorylation via disruption of the proton gradient;
- (14) Nicotinic acetylcholine receptor (nAChR) channel blockers;
- (15) Inhibitors of chitin biosynthesis, type 0;
- (16) Inhibitors of chitin biosynthesis, type 1;
- (17) Moulting disruptor, Dipteran;
- (18) Ecdysone receptor agonists;
- (19) Octopamine receptor agonists;
- (20) Mitochondrial complex III electron transport inhibitors;
- (21) Mitochondrial complex I electron transport inhibitors;
- (22) Voltage-dependent sodium channel blockers;
- (23) Inhibitors of acetyl CoA carboxylase;
- (24) Mitochondrial complex IV electron transport inhibitors;
- (25) Mitochondrial complex II electron transport inhibitors; and
- (26) Ryanodine receptor modulators.

[0043] The phrase "**MoA material group alpha**" (hereafter "**MoAMGA**") means collectively the following materials, abamectin, acephate, acequinocyl, acetamiprid, acrinathrin, alanycarb, aldicarb, allethrin, *alpha*-cypermethrin, aluminum phosphide, amitraz, azamethiphos, azinphos-ethyl, azinphos-methyl, azocyclotin, bendiocarb, benfuracarb, bensultap, *beta*-cyfluthrin, *beta*-cypermethrin, bifenthrin, bioallethrin, bioallethrin S-cyclopentenyl isomer, bioresmethrin, bistrifluron, borax, buprofezin, butocarboxim, butoxycarboxim, cadusafos, calcium phosphide, carbaryl, carbofuran, carbosulfan, cartap hydrochloride, chlorantraniliprole, chlordane, chlorethoxyfos, chlorfenapyr, chlorfenvinphos, chlorfluzuron, chlormephos, chloropicrin, chlorpyrifos, chlorpyrifos-methyl, chromafenozide, clofentezine, clothianidin, coumaphos, cyanide, cyanophos, cyantraniliprole, cycloprothrin, cyenopyrafen, cyflumetofen, cyfluthrin, cyhalothrin, cyhexatin, cypermethrin, cyphenothrin, cyromazine, *d-cis-trans*-allethrin, DDT, deltamethrin, demeton-S-methyl, diafenthiuron, diazinon, dichlorvos/ DDVP, dicrotophos, diflovidazin, diflubenzuron, dimethoate, dimethylvinphos, dinotefuran, disulfoton, DNOC, *d-trans*-allethrin, emamectin benzoate, empenthrin, endosulfan, EPN, esfenvalerate, ethiofencarb, ethion, ethoprophos, etofenprox, etoxazole, famphur, fenamiphos, fenazaquin, fenbutatin oxide, fenitrothion, fenobucarb, fenoxycarb, fenpropathrin, fenpyroximate, fenthion, fenvalerate, flonicamid, fluacrypyrim, flubendiamide, flucycloxuron, flucythrinate, flufenoxuron, flumethrin, flupyradifurone, formetanate, fosthiazate, furathiocarb, *gamma*-cyhalothrin, halfenprox, halofenozide, heptenophos, hexaflumuron, hexythiazox, hydramethylnon, hydroprone, imicyafos, imidacloprid, imiprothrin, indoxacarb, isofenphos, isoprocarb, isoxathion, kadethrin, kinoprene, *lambda*-cyhalothrin, lepimectin, lufenuron, malathion, mecarbam, metaflumizone, methamidophos, methidathion, methiocarb, methomyl, methoprene, (methoxyaminothio-phosphoryl) salicylate, methoxychlor, methoxyfenozide, methyl bromide, metolcarb, mevinphos, milbemectin, monocrotophos, naled, nicotine, nitenpyram, novaluron, noviflumuron, oxamyl, oxydemeton-methyl, parathion, parathion-methyl, permethrin, phenothrin, phenthoate, phorate, phosalone, phosmet, phosphamidon, phosphine, phoxim, pirimicarb, pirimiphos-methyl, prallethrin, profenofos, propargite, propetamphos, propoxur, prothiofos, pymetrozine, pyraclofos, pyrethrin, pyridaben, pyridaphenthion, pyrimidifen, pyriproxyfen, quinalphos, resmethrin, rotenone, silafluofen, spinetoram, spinosad, spiroidiclofen, spiromesifen, spirotetramat, sulfluramid, sulfotep, sulfoxaflor, sulfuryl

Ceroplastes rubens, *Cimex hemipterus*, *Cimex lectularius*, *Dagbertus fasciatus*, *Dichelops furcatus*, *Diuraphis noxia*, *Diaphorina citri*, *Dysaphis plantaginea*, *Dysdercus suturellus*, *Edessa mediatubunda*, *Eriosoma lanigerum*, *Eurygaster maura*, *Euschistus heros*, *Euschistus servus*, *Helopeltis antonii*, *Helopeltis theivora*, *Icerya purchasi*, *Idioscopus nitidulus*, *Laodelphax striatellus*, *Leptocorisa oratorius*, *Leptocorisa varicornis*, *Lygus hesperus*, *Maconellicoccus hirsutus*, *Macrosiphum euphorbiae*, *Macrosiphum granarium*, *Macrosiphum rosae*, *Macrosteles quadrilineatus*, *Mahanarva frimbiolata*, *Metopolophium dirhodum*, *Mictis longicornis*, *Myzus persicae*, *Nephotettix cinctipes*, *Neurocolpus longirostris*, *Nezara viridula*, *Nilaparvata lugens*, *Parlatoria pergandii*, *Parlatoria ziziphi*, *Peregrinus maidis*, *Phylloxera vitifoliae*, *Physokermes piceae*, *Phytocoris californicus*, *Phytocoris relativus*, *Piezodorus guildinii*, *Poecilocapsus lineatus*, *Psallus vaccinicola*, *Pseudacysta perseae*, *Pseudococcus brevipes*, *Quadraspidiotus perniciosus*, *Rhopalosiphum maidis*, *Rhopalosiphum padi*, *Saissetia oleae*, *Scaptocoris castanea*, *Schizaphis graminum*, *Sitobion avenae*, *Sogatella furcifera*, *Trialeurodes vaporariorum*, *Trialeurodes abutiloneus*, *Unaspis yanonensis*, and *Zulia entrerriana*.

(9) Order Hymenoptera. A non-exhaustive list of particular genera includes, but is not limited to, *Acromyrmex* spp., *Atta* spp., *Camponotus* spp., *Diprion* spp., *Formica* spp., *Monomorium* spp., *Neodiprion* spp., *Pogonomyrmex* spp., *Polistes* spp., *Solenopsis* spp., *Vespula* spp., and *Xylocopa* spp. A non-exhaustive list of particular species includes, but is not limited to, *Athalia rosae*, *Atta texana*, *Iridomyrmex humilis*, *Monomorium minimum*, *Monomorium pharaonis*, *Solenopsis invicta*, *Solenopsis geminata*, *Solenopsis molesta*, *Solenopsis richtery*, *Solenopsis xyloni*, and *Tapinoma sessile*.

(10) Order Isoptera. A non-exhaustive list of particular genera includes, but is not limited to, *Coptotermes* spp., *Cornitermes* spp., *Cryptotermes* spp., *Heterotermes* spp., *Kaloterms* spp., *Incisitermes* spp., *Macrotermes* spp., *Marginitermes* spp., *Microcerotermes* spp., *Procornitermes* spp., *Reticulitermes* spp., *Schedorhinotermes* spp., and *Zootermopsis* spp. A non-exhaustive list of particular species includes, but is not limited to, *Coptotermes curvignathus*, *Coptotermes frenchi*, *Coptotermes formosanus*, *Heterotermes aureus*, *Microtermes obesi*, *Reticulitermes banyulensis*, *Reticulitermes grassei*, *Reticulitermes flavipes*, *Reticulitermes hageni*, *Reticulitermes hesperus*, *Reticulitermes santonensis*, *Reticulitermes speratus*, *Reticulitermes tibialis*, and *Reticulitermes virginicus*.

(11) Order Lepidoptera. A non-exhaustive list of particular genera includes, but is not limited to, *Adoxophyes* spp., *Agrotis* spp., *Argyrotaenia* spp., *Cacoecia* spp., *Caloptilia* spp., *Chilo* spp., *Chrysodeixis* spp., *Colias* spp., *Crambus* spp., *Diaphania* spp., *Diatraea* spp., *Earias* spp., *Ephestia* spp., *Epimecis* spp., *Feltia* spp., *Gortyna* spp., *Helicoverpa* spp., *Heliothis* spp., *Indarbela* spp., *Lithocolletis* spp., *Loxagrotis* spp., *Malacosoma* spp., *Peridroma* spp., *Phyllonorycter* spp., *Pseudaletia* spp., *Sesamia* spp., *Spodoptera* spp., *Synanthedon* spp., and *Yponomeuta* spp. A non-exhaustive list of particular species includes, but is not limited to, *Achaea janata*, *Adoxophyes orana*, *Agrotis ipsilon*, *Alabama argillacea*, *Amorbia cuneana*, *Amyelois transitella*, *Anacamptodes defectaria*, *Anarsia lineatella*, *Anomis sabulifera*, *Anticarsia gemmatalis*, *Archips argyrospila*, *Archips rosana*, *Argyrotaenia citrana*, *Autographa gamma*, *Bonagota cranaodes*, *Borbo cinnara*, *Bucculatrix thurberiella*, *Capua reticulana*, *Carposina niponensis*, *Chlumetia transversa*, *Choristoneura rosaceana*, *Cnaphalocrocis medinalis*, *Conopomorpha cramerella*, *Cossus cossus*, *Cydia caryana*, *Cydia funebrana*, *Cydia molesta*, *Cydia nigricana*, *Cydia pomonella*, *Darna diducta*, *Diatraea saccharalis*, *Diatraea grandiosella*, *Earias insulana*, *Earias vittella*, *Ecdytolopha aurantianum*, *Elasmopalpus lignosellus*, *Ephestia cautella*, *Ephestia elutella*, *Ephestia kuehniella*, *Epinotia aporema*, *Epiphyas postvittana*, *Erionota thrax*, *Eupoecilia ambiguella*, *Euxoa auxiliaris*, *Grapholita molesta*, *Hedylepta indicata*, *Helicoverpa armigera*, *Helicoverpa zea*, *Heliothis virescens*, *Hellula undalis*, *Keiferia lycopersicella*, *Leucinodes orbonalis*, *Leucoptera coffeella*, *Leucoptera malifoliella*, *Lobesia botrana*, *Loxagrotis albicosta*, *Lymantria dispar*, *Lyonetia clerkella*, *Mahasena corbetti*, *Mamestra brassicae*, *Maruca testulalis*, *Metisa plana*, *Mythimna unipuncta*, *Neoleucinodes elegantalis*, *Nymphula depunctalis*, *Operophtera brumata*, *Ostrinia nubilalis*, *Oxydia vesulia*, *Pandemis cerasana*, *Pandemis heparana*, *Papilio demodocus*, *Pectinophora gossypiella*, *Peridroma saucia*, *Perileucoptera coffeella*, *Phthorimaea operculella*, *Phyllocnistis citrella*, *Pieris rapae*, *Plathyphenia scabra*, *Plodia interpunctella*, *Plutella xylostella*, *Polychrosis viteana*, *Prays endocarpa*, *Prays oleae*, *Pseudaletia unipuncta*, *Pseudoplusia includens*, *Rachiplusia nu*, *Scirpophaga incertulas*, *Sesamia inferens*, *Sesamia nonagrioides*, *Setora nitens*, *Sitotroga cerealella*, *Sparganothis pillariana*, *Spodoptera exigua*, *Spodoptera frugiperda*, *Spodoptera eridania*, *Thecla basilides*, *Tineola bisselliella*, *Trichoplusia ni*, *Tuta absoluta*, *Zeuzera coffeae*, and *Zeuzera pyrina*;

(12) Order Mallophaga. A non-exhaustive list of particular genera includes, but is not limited to, *Anaticola* spp., *Bovicola* spp., *Chelopistes* spp., *Goniodes* spp., *Menacanthus* spp., and *Trichodectes* spp. A non-exhaustive list of particular species includes, but is not limited to, *Bovicola bovis*, *Bovicola caprae*, *Bovicola ovis*, *Chelopistes meleagridis*, *Goniodes dissimilis*, *Goniodes gigas*, *Menacanthus stramineus*, *Menopon gallinae*, and *Trichodectes canis*.

(13) Order Orthoptera. A non-exhaustive list of particular genera includes, but is not limited to, *Melanoplus* spp., and *Pterophylla* spp. A non-exhaustive list of particular species includes, but is not limited to, *Anabrus simplex*, *Gryllotalpa africana*, *Gryllotalpa australis*, *Gryllotalpa brachyptera*, *Gryllotalpa hexadactyla*, *Locusta migratoria*, *Microcentrum retinerve*, *Schistocerca gregaria*, and *Scudderia furcata*.

(14) Order Siphonaptera. A non-exhaustive list of particular species includes, but is not limited to, *Ceratophyllus*

gallinae, *Ceratophyllus niger*, *Ctenocephalides canis*, *Ctenocephalides felis*, and *Pulex irritans*.

(15) **Order Siphonostomatoidea.** A non-exhaustive list of particular species includes, but is not limited to, *Lepeophtheirus salmonis*, *Lepeophtheirus pectoralis*, *Caligus elongatus*, and *Caligus clemensi*.

(16) **Order Thysanoptera.** A non-exhaustive list of particular genera includes, but is not limited to, *Caliothrips* spp., *Frankliniella* spp., *Scirtothrips* spp., and *Thrips* spp. A non-exhaustive list of particular species includes, but is not limited to, *Frankliniella fusca*, *Frankliniella occidentalis*, *Frankliniella schultzei*, *Frankliniella williamsi*, *Heliethrips haemorrhoidalis*, *Rhipiphorothrips cruentatus*, *Scirtothrips citri*, *Scirtothrips dorsalis*, *Taeniothrips rhopalantennalis*, *Thrips hawaiiensis*, *Thrips nigropilosus*, *Thrips orientalis*, and *Thrips tabaci*.

(17) **Order Thysanura.** A non-exhaustive list of particular genera includes, but is not limited to, *Lepisma* spp. and *Thermobia* spp..

(18) **Order Acarina.** A non-exhaustive list of particular genera includes, but is not limited to, *Acarus* spp., *Aculops* spp., *Boophilus* spp., *Demodex* spp., *Dermacentor* spp., *Epitrimerus* spp., *Eriophyes* spp., *Ixodes* spp., *Oligonychus* spp., *Panonychus* spp., *Rhizoglyphus* spp., and *Tetranychus* spp. A non-exhaustive list of particular species includes, but is not limited to, *Acarapis woodi*, *Acarus siro*, *Aceria mangiferae*, *Aculops lycopersici*, *Aculus pelekassi*, *Aculus schlechtendali*, *Amblyomma americanum*, *Brevipalpus obovatus*, *Brevipalpus phoenicis*, *Dermacentor variabilis*, *Dermatophagoides pteronyssinus*, *Eotetranychus carpini*, *Notoedres cati*, *Oligonychus coffeae*, *Oligonychus ilicis*, *Panonychus citri*, *Panonychus ulmi*, *Phyllocoptura oleivora*, *Polyphagotarsonemus latus*, *Rhipicephalus sanguineus*, *Sarcoptes scabiei*, *Tegolophus perseae*, *Tetranychus urticae*, and *Varroa destructor*.

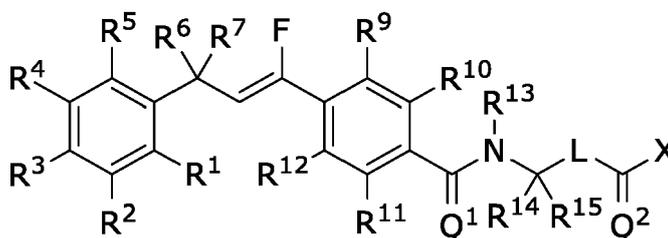
(19) **Order Symphyla.** A non-exhaustive list of particular species includes, but is not limited to, *Scutigera immaculata*.

(20) **Phylum Nematoda.** A non-exhaustive list of particular genera includes, but is not limited to, *Aphelenchoides* spp., *Belonolaimus* spp., *Criconebella* spp., *Ditylenchus* spp., *Heterodera* spp., *Hirschmanniella* spp., *Hoplolaimus* spp., *Meloidogyne* spp., *Pratylenchus* spp., and *Radopholus* spp. A non-exhaustive list of particular sp. includes, but is not limited to, *Dirofilaria immitis*, *Heterodera zaeae*, *Meloidogyne incognita*, *Meloidogyne javanica*, *Onchocerca volvulus*, *Radopholus similis*, and *Rotylenchulus reniformis*.

[0045] The phrase "pesticidally effective amount" means the amount of a pesticide needed to achieve an observable effect on a pest, for example, the effects of necrosis, death, retardation, prevention, removal, destruction, or otherwise diminishing the occurrence and/or activity of a pest in a locus, this effect may come about when, pest populations are repulsed from a locus, pests are incapacitated in, or around, a locus, and/or pests are exterminated in, or around, a locus. Of course, a combination of these effects can occur. Generally, pest populations, activity, or both are desirably reduced more than fifty percent, preferably more than 90 percent, and most preferably more than 99 percent. In general a pesticidally effective amount, for agricultural purposes, is from about 0.0001 grams per hectare to about 5000 grams per hectare, preferably from about 0.0001 grams per hectare to about 500 grams per hectare, and it is even more preferably from about 0.0001 grams per hectare to about 50 grams per hectare.

DETAILED DESCRIPTION OF THE DISCLOSURE

[0046] This document discloses molecules of **Formula One**



Formula One

wherein:

(A) **R¹**, **R⁵**, **R⁶**, **R¹¹**, and **R¹²** are each independently selected from the group consisting of H, F, Cl, Br, I, CN, (C₁-C₆)alkyl, (C₁-C₆)haloalkyl, (C₁-C₆)alkoxy, and (C₁-C₄)haloalkoxy;

(B) **R²**, **R³**, and **R⁴** are each independently selected from the group consisting of H, F, Cl, Br, I, CN, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, (C₁-C₆)haloalkyl, (C₁-C₆)alkoxy, and (C₁-C₆)haloalkoxy;

(C) **R⁷** is (C₁-C₆)haloalkyl;

(D) R^9 is selected from the group consisting of (F), H, F, Cl, Br, I, CN, (C₁-C₄)alkyl, (C₁-C₄)haloalkyl, (C₁-C₄)alkoxy, and (C₁-C₄)haloalkoxy;

(E) R^{10} is selected from the group consisting of (F), F, Cl, Br, I, CN, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, (C₁-C₆)haloalkyl, (C₁-C₆)alkoxy, and (C₁-C₆)haloalkoxy;

(F) R^9 and R^{10} together can optionally form a 3- to 5-membered saturated or unsaturated, hydrocarbyl link, wherein said hydrocarbyl link may optionally be substituted with one or more substituents independently selected from the group consisting of F, Cl, Br, I, CN, OH, and oxo;

(G) Q^1 and Q^2 are each independently O or S;

(H) R^{13} is selected from the group consisting of H, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₁-C₆)haloalkyl, (C₁-C₆)alkoxy, and (C₁-C₆)haloalkoxy;

(I) R^{14} is selected from the group consisting of (K), (O), H, (C₁-C₄)alkyl, (C₂-C₆)alkenyl, (C₁-C₆)haloalkyl, (C₁-C₆)alkoxy, and (C₁-C₆)haloalkoxy;

(J) R^{15} is selected from the group consisting of (K), H, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₁-C₆)haloalkyl, (C₁-C₆)alkoxy, and (C₁-C₆)haloalkoxy;

(K) R^{14} and R^{15} together can optionally form a 2- to 5-membered saturated, hydrocarbyl link, wherein said hydrocarbyl link may optionally be substituted with one or more substituents independently selected from the group consisting of F, Cl, Br, I, and CN;

(L) L is selected from the group consisting of

(1) a bond, and

(2) a (C₁-C₆)alkyl wherein said alkyl is optionally substituted with one or more substituents independently selected from the group consisting of F, Cl, CN, OH, and oxo;

(M) X is selected from the group consisting of

(1) R^{17} , and

(2) a $NR^{16}R^{17}$,

(3) OR^{17} , and

(4) SR^{17} ;

(N) R^{16} is selected from the group consisting of (O), (Q), H, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₁-C₆)haloalkyl, (C₁-C₆)alkoxy, (C₂-C₆)alkenyloxy, (C₁-C₆)haloalkoxy, amino, and $NHC(O)O(C_1-C_6)alkyl$;

(O) R^{14} and R^{16} together can optionally form a 2- to 4-membered saturated link that is either (1) a hydrocarbyl link or (2) a heterohydrocarbyl link that contains one or more heteroatoms selected from the group consisting of nitrogen, sulfur, and oxygen,

wherein said link may optionally be substituted with one or more substituents independently selected from the group consisting of F, Cl, Br, I, CN, OH, and oxo;

(P) R^{17} is selected from the group consisting of (Q), H, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, (C₁-C₆)haloalkyl, (C₂-C₆)haloalkenyl, (C₃-C₆)halocycloalkyl, (C₁-C₆)alkoxy, (C₃-C₆)cycloalkyl, (C₂-C₆)alkenyloxy, (C₁-C₆)haloalkoxy, and (C₁-C₆)alkyl(C₃-C₆)cycloalkyl;

(Q) R^{16} and R^{17} together can optionally form a 2- to 6-membered saturated link that is either (1) a hydrocarbyl link or (2) a heterohydrocarbyl link that contains one or more heteroatoms selected from the group consisting of nitrogen, sulfur, and oxygen,

wherein said link may optionally be substituted with one or more substituents independently selected from the group consisting of F, Cl, Br, I, CN, OH, and oxo; and

agriculturally acceptable acid addition salts, salt derivatives, solvates, ester derivatives, crystal polymorphs, isotopes, resolved stereoisomers, and tautomers, of the molecules of Formula One.

[0047] In another embodiment R^1 , R^3 , R^4 , R^5 , R^6 , R^9 , R^{11} , R^{12} , R^{13} , R^{14} , R^{15} , R^{16} , and R^{17} are H. This embodiment may be used in combination with the other embodiments of R^2 , R^7 , R^{10} , Q^1 , L, Q^2 , and X.

[0048] In another embodiment R^2 is F, Cl, Br, or CH₃. This embodiment may be used in combination with the other embodiments of R^1 , R^3 , R^4 , R^5 , R^6 , R^7 , R^9 , R^{10} , R^{11} , R^{12} , Q^1 , R^{13} , R^{14} , R^{15} , L, Q^2 , X, R^{16} , and R^{17} .

[0049] In another embodiment R^3 is F, Cl, Br, or CH=CH₂. This embodiment may be used in combination with the other embodiments of R^1 , R^2 , R^4 , R^5 , R^6 , R^7 , R^9 , R^{10} , R^{11} , R^{12} , Q^1 , R^{13} , R^{14} , R^{15} , L, Q^2 , X, R^{16} , and R^{17} .

[0050] In another embodiment R^4 is Cl, Br, or CH₃. This embodiment may be used in combination with the other embodiments of R^1 , R^2 , R^3 , R^5 , R^6 , R^7 , R^9 , R^{10} , R^{11} , R^{12} , Q^1 , R^{13} , R^{14} , R^{15} , L, Q^2 , X, R^{16} , and R^{17} .

[0051] In another embodiment R^2 , R^3 , and R^4 are Cl. This embodiment may be used in combination with the other

embodiments of **R**¹, **R**⁵, **R**⁶, **R**⁷, **R**⁹, **R**¹⁰, **R**¹¹, **R**¹², **Q**¹, **R**¹³, **R**¹⁴, **R**¹⁵, **L**, **Q**², **X**, **R**¹⁶, and **R**¹⁷.

[0052] In another embodiment **R**⁷ is (C₁-C₆)haloalkyl. This embodiment may be used in combination with the other embodiments of **R**¹, **R**², **R**³, **R**⁴, **R**⁵, **R**⁶, **R**⁹, **R**¹⁰, **R**¹¹, **R**¹², **Q**¹, **R**¹³, **R**¹⁴, **R**¹⁵, **L**, **Q**², **X**, **R**¹⁶, and **R**¹⁷.

[0053] In another embodiment **R**⁷ is CF₃, CF₂CH₃, or CF₂CH₂CH₃. This embodiment may be used in combination with the other embodiments of **R**¹, **R**², **R**³, **R**⁴, **R**⁵, **R**⁶, **R**⁹, **R**¹⁰, **R**¹¹, **R**¹², **Q**¹, **R**¹³, **R**¹⁴, **R**¹⁵, **L**, **Q**², **X**, **R**¹⁶, and **R**¹⁷.

[0054] In another embodiment **R**¹⁰ is Cl, Br, I, CH₃, or CF₃. This embodiment may be used in combination with the other embodiments of **R**¹, **R**², **R**³, **R**⁴, **R**⁵, **R**⁶, **R**⁹, **R**¹¹, **R**¹², **Q**¹, **R**¹⁵, **R**¹⁴, **R**¹⁵, **L**, **Q**², **X**, **R**¹⁶, and **R**¹⁷.

[0055] In another embodiment **Q**¹ and **Q**² are O. This embodiment may be used in combination with the other embodiments of **R**¹, **R**², **R**³, **R**⁴, **R**⁵, **R**⁶, **R**⁷, **R**⁹, **R**¹⁰, **R**¹¹, **R**¹², **R**¹³, **R**¹⁴, **R**¹⁵, **L**, **X**, **R**¹⁶, and **R**¹⁷.

[0056] In another embodiment **R**¹³, **R**¹⁴, and **R**¹⁵ are CH₃ or CH₂CH₃. This embodiment may be used in combination with the other embodiments of **R**¹, **R**², **R**³, **R**⁴, **R**⁵, **R**⁶, **R**⁷, **R**⁹, **R**¹⁰, **R**¹¹, **R**¹², **Q**¹, **L**, **Q**², **X**, **R**¹⁶, and **R**¹⁷.

[0057] In another embodiment **R**¹⁴ and **R**¹⁵ together form a 2-membered saturated, hydrocarbyl link. This embodiment may be used in combination with the other embodiments of **R**¹, **R**², **R**³, **R**⁴, **R**⁵, **R**⁶, **R**⁷, **R**⁹, **R**¹⁰, **R**¹¹, **R**¹², **Q**¹, **R**¹³, **L**, **Q**², **X**, **R**¹⁶, and **R**¹⁷.

[0058] In another embodiment **L** is a bond. This embodiment may be used in combination with the other embodiments of **R**¹, **R**², **R**³, **R**⁴, **R**⁵, **R**⁶, **R**⁷, **R**⁹, **R**¹⁰, **R**¹¹, **R**¹², **Q**¹, **R**¹³, **R**¹⁴, **R**¹⁵, **Q**², **X**, **R**¹⁶, and **R**¹⁷.

[0059] In another embodiment **X** is **R**¹⁷. This embodiment may be used in combination with the other embodiments of **R**¹, **R**², **R**³, **R**⁴, **R**⁵, **R**⁶, **R**⁷, **R**⁹, **R**¹⁰, **R**¹¹, **R**¹², **Q**¹, **R**¹³, **R**¹⁴, **R**¹⁵, **L**, and **Q**².

[0060] In another embodiment **X** is NR¹⁶R¹⁷. This embodiment may be used in combination with the other embodiments of **R**¹, **R**², **R**³, **R**⁴, **R**⁵, **R**⁶, **R**⁷, **R**⁹, **R**¹⁰, **R**¹¹, **R**¹², **Q**¹, **R**¹⁵, **R**¹⁴, **R**¹⁵, **L**, and **Q**².

[0061] In another embodiment **R**¹⁶ is CH₃, CH₂CH₃, OCH₃, OCH₂CH=CH₂, NH₂, or NHC(O)OC(CH₃)₃. This embodiment may be used in combination with the other embodiments of **R**¹, **R**², **R**³, **R**⁴, **R**⁵, **R**⁶, **R**⁷, **R**⁹, **R**¹⁰, **R**¹¹, **R**¹², **Q**¹, **R**¹⁵, **R**¹⁴, **R**¹⁵, **L**, **Q**², **X**, and **R**¹⁷.

[0062] In another embodiment **R**¹⁴ and **R**¹⁶ together form a 2- to 4-membered saturated link that is either (1) a hydrocarbyl link or (2) a heterohydrocarbyl link that contains one or more oxygen atoms. This embodiment may be used in combination with the other embodiments of **R**¹, **R**², **R**³, **R**⁴, **R**⁵, **R**⁶, **R**⁷, **R**⁹, **R**¹⁰, **R**¹¹, **R**¹², **Q**¹, **R**¹³, **R**¹⁵, **L**, **Q**², **X**, and **R**¹⁷.

[0063] In another embodiment **R**¹⁷ is CH₂CH₃, CH₂CH₂CH₂CH₃, CH₂CH₂CH(CH₃)₂, CH₂CH=CH₂, CH₂C≡CH, CH₂CHF₂, CH₂CF₃, CH₂CH₂CF₃, CH₂CF₂CH₃, CH(CH₃)CF₃, CH₂CH₂CH₂CF₃, CH=CHCH₂CF₃, 3,3-difluorocyclobutyl, CH₂CH₂cyclopropyl, and CH₂cyclobutyl. This embodiment may be used in combination with the other embodiments of **R**¹, **R**², **R**³, **R**⁴, **R**⁵, **R**⁶, **R**⁷, **R**⁹, **R**¹⁰, **R**¹¹, **R**¹², **Q**¹, **R**¹³, **R**¹⁴, **R**¹⁵, **L**, **Q**², **X**, and **R**¹⁶.

[0064] In another embodiment:

(A) **R**¹, **R**⁵, **R**⁶, **R**¹¹, and **R**¹² are H;

(B) **R**², **R**³, and **R**⁴ are each independently selected from the group consisting of H, F, Cl, Br, (C₁-C₆)alkyl, and (C₂-C₆)alkenyl;

(C) **R**⁷ is (C₁-C₆)haloalkyl;

(D) **R**⁹ is H;

(E) **R**¹⁰ is selected from the group consisting of Cl, Br, I, (C₁-C₆)alkyl, and (C₁-C₆)haloalkyl;

(G) **Q**¹ and **Q**² are O;

(H) **R**¹³ is selected from the group consisting of H and (C₁-C₆)alkyl;

(I) **R**¹⁴ is selected from the group consisting of (K), (O), H, and (C₁-C₄)alkyl;

(J) **R**¹⁵ is selected from the group consisting of (K), H, and (C₁-C₆)alkyl;

(K) **R**¹⁴ and **R**¹⁵ together can optionally form a 2- to 5-membered saturated, hydrocarbyl link;

(L) **L** is a bond;

(M) **X** is selected from the group consisting of

(1) **R**¹⁷, and

(2) a NR¹⁶R¹⁷;

(N) **R**¹⁶ is selected from the group consisting of (O), H, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₂-C₆)alkenyloxy, amino, and NHC(O)O(C₁-C₆)alkyl;

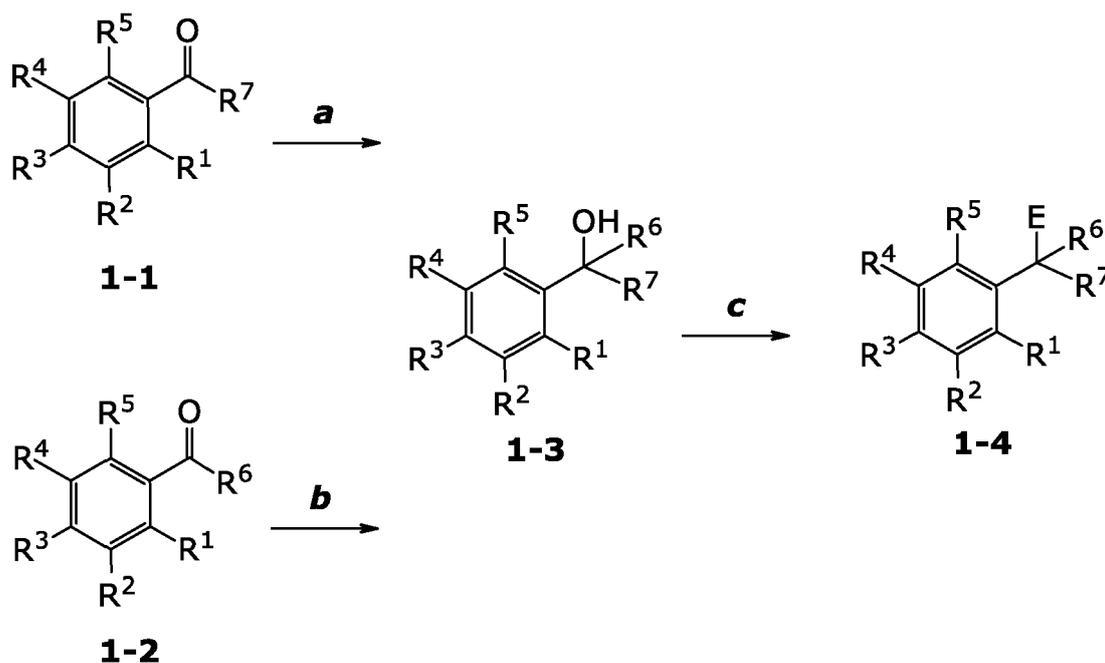
(O) **R**¹⁴ and **R**¹⁶ together can optionally form a 2- to 4-membered saturated, link that is either (1) a hydrocarbyl link or (2) a heterohydrocarbyl link that contains one or more oxygen atoms;

(P) **R**¹⁷ is selected from the group consisting of H, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, (C₁-C₆)haloalkyl, (C₂-C₆)haloalkenyl, (C₃-C₆)halocycloalkyl, and (C₁-C₆)alkyl(C₃-C₆)cycloalkyl.

PREPARATION OF BENZYL HALIDES

[0065] Benzyl alcohol **1-3**, wherein R^1 , R^2 , R^3 , R^4 , R^5 , R^6 , and R^7 are as previously disclosed, may be prepared in several ways. Ketones **1-1** may be prepared by treating bromobenzenes with a lithium base such as *n*-butyllithium in a polar, aprotic solvent preferably diethyl ether at temperatures from about $-78\text{ }^\circ\text{C}$ to about $0\text{ }^\circ\text{C}$ followed by treatment with esters $R^7C(O)O(C_1-C_4)\text{alkyl}$, wherein R^7 is as previously disclosed, such as ethyl 2,2-difluoropropanoate (not shown). Treatment of ketones **1-1**, wherein R^1 , R^2 , R^3 , R^4 , R^5 , and R^7 are as previously disclosed, with a reducing agent such as sodium borohydride, in the presence of a base, such as aqueous sodium hydroxide, in a polar, protic solvent preferably methanol at about $-10\text{ }^\circ\text{C}$ to about $10\text{ }^\circ\text{C}$ may provide benzyl alcohols **1-3** (Scheme 1, step *a*). Alternatively, aldehydes **1-2**, wherein R^6 is H and R^1 , R^2 , R^3 , R^4 , and R^5 are as previously disclosed, may be allowed to react with trifluorotrimethylsilane in the presence of a catalytic amount of tetrabutylammonium fluoride in a polar, aprotic solvent preferably tetrahydrofuran (Scheme 1, step *b*) to provide benzyl alcohols **1-3**, wherein R^7 is CF_3 . Subsequently, benzyl alcohols **1-3** may be converted into benzyl halides **1-4**, wherein E is Br, Cl, or I, and R^1 , R^2 , R^3 , R^4 , R^5 , R^6 , and R^7 are as previously disclosed, by treatment with a halogenating reagent, such as *N*-bromosuccinimide, and triethylphosphite in a solvent that does not react with the reagents preferably dichloromethane at about $40\text{ }^\circ\text{C}$ to provide benzyl halides **1-4**, E is Br (Scheme 1, step *c*). Alternatively, benzyl alcohols **1-3** may be converted into benzyl halides **1-4**, where E is Br by treatment with a sulfonyl chloride such as methanesulfonyl chloride in the presence of a base such as triethylamine and subsequent treatment of the resultant sulfonate with a transition metal bromide such as iron(III) bromide. Additionally, treatment with chlorinating reagents such as thionyl chloride in the presence of a base such as pyridine in a hydrocarbon solvent such as toluene at about $110\text{ }^\circ\text{C}$ may provide benzyl halides **1-4**, where E is Cl (Scheme 1, step *c*).

Scheme 1

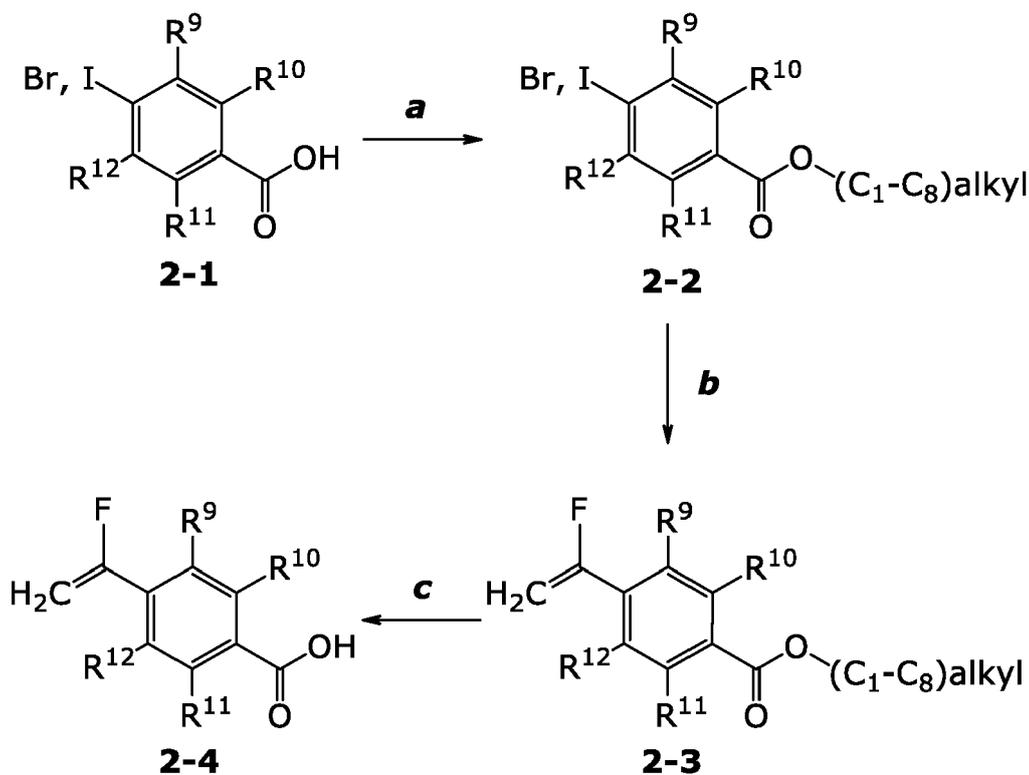


PREPARATION OF FLUORINATED VINYL BENZOIC ESTERS AND ACIDS

[0066] Halobenzoic acids **2-1**, wherein R^9 , R^{10} , R^{11} , and R^{12} are as previously disclosed may be converted to halobenzoic acid esters **2-2**, wherein R^9 , R^{10} , R^{11} , and R^{12} are as previously disclosed. Halobenzoic acids **2-1**, may be treated with an acid, such as sulfuric acid, in the presence of a (C_1-C_8) alcohol such as ethanol, to provide halobenzoic acid ethyl esters **2-2** (Scheme 2, step *a*). Fluorinated vinylbenzoic acid esters **2-3** may be accessed via reaction of **2-2** with a fluorinated vinyl silane in the presence of a palladium catalyst such as tetrakis(triphenylphosphine)palladium(0), a copper additive such as copper(I) iodide, and a fluoride source, such as cesium fluoride in a polar, aprotic solvent preferably 1,3-dimethyl-2-imidazolidinone at temperatures ranging from about ambient temperature to about $45\text{ }^\circ\text{C}$, to provide fluorinated vinyl benzoic acid esters **2-3** (Scheme 2, step *b*). Fluorinated vinyl benzoic acid esters **2-3** may be treated with a metal hydroxide source such as lithium hydroxide in a mixed solvent system comprising a polar, aprotic solvent preferably tetrahydrofuran and polar, protic solvents preferably methanol and water at about ambient temperature to

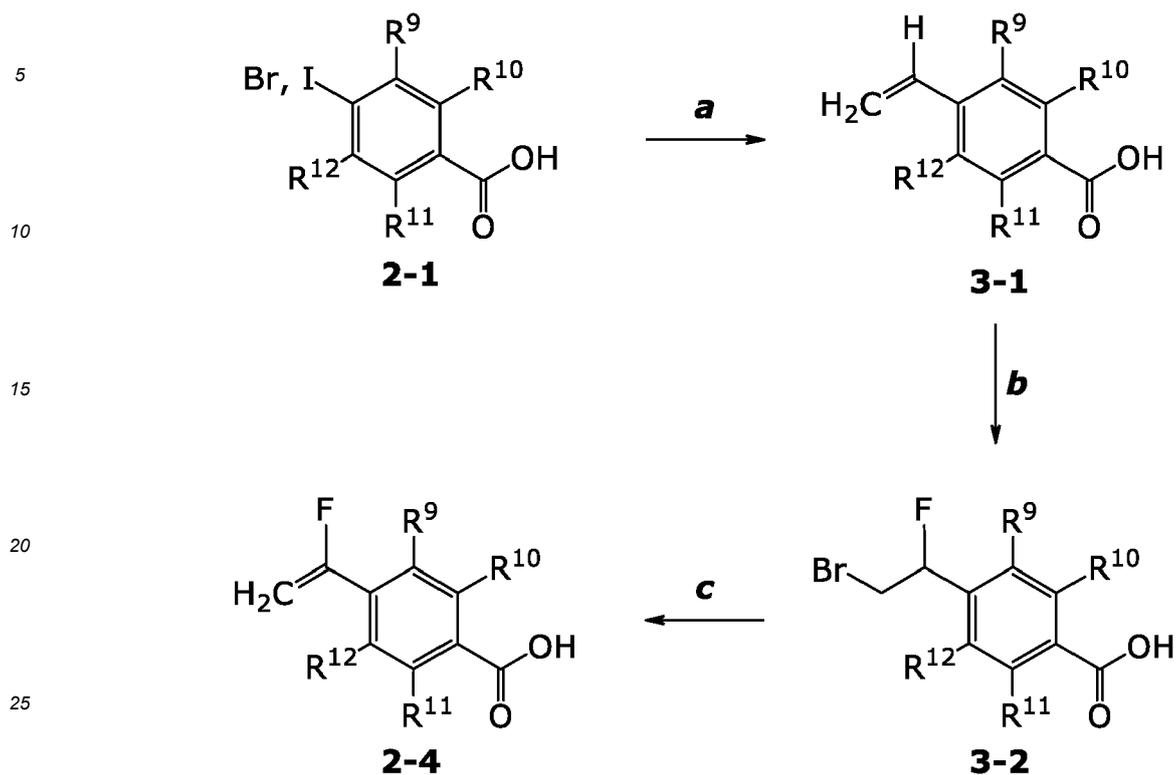
provide fluorinated vinyl benzoic acids **2-4** (Scheme 2, step c).

Scheme 2



[0067] Alternatively, halobenzoic acids **2-1** may be directly treated with a vinyl borane source such as vinyltrifluoroborate or 3-hydroxy-2,3-dimethylbutan-2-yl hydrogen vinylboronate in the presence of a palladium catalyst such as 1,1'-bis(diphenylphosphino)ferrocene palladium(II) dichloride, and a base such as potassium carbonate, in a polar, aprotic solvent preferably dimethylsulfoxide at temperatures ranging from about 80 °C to about 140 °C, to provide vinyl benzoic acids **3-1**, wherein R^9 , R^{10} , R^{11} , and R^{12} are as previously disclosed (Scheme 3, step a). Vinyl benzoic acids **3-1** may be treated with bromine source such as *N*-bromosuccinimide, and a fluorine source such as triethylamine trihydrofluoride, in a polar, aprotic solvent preferably dichloromethane at about 0 °C, to provide bromofluoroalkyl benzoic acids **3-2**, wherein R^9 , R^{10} , R^{11} , and R^{12} are as previously disclosed (Scheme 3, step b). Bromofluoroalkyl benzoic acids **3-2** may be treated with a base such as potassium tert-butoxide, in a polar, protic solvent preferably methanol, at temperatures ranging from about 0 °C to about ambient temperature, to provide fluorinated vinyl benzoic acids **2-4** (Scheme 3, step c).

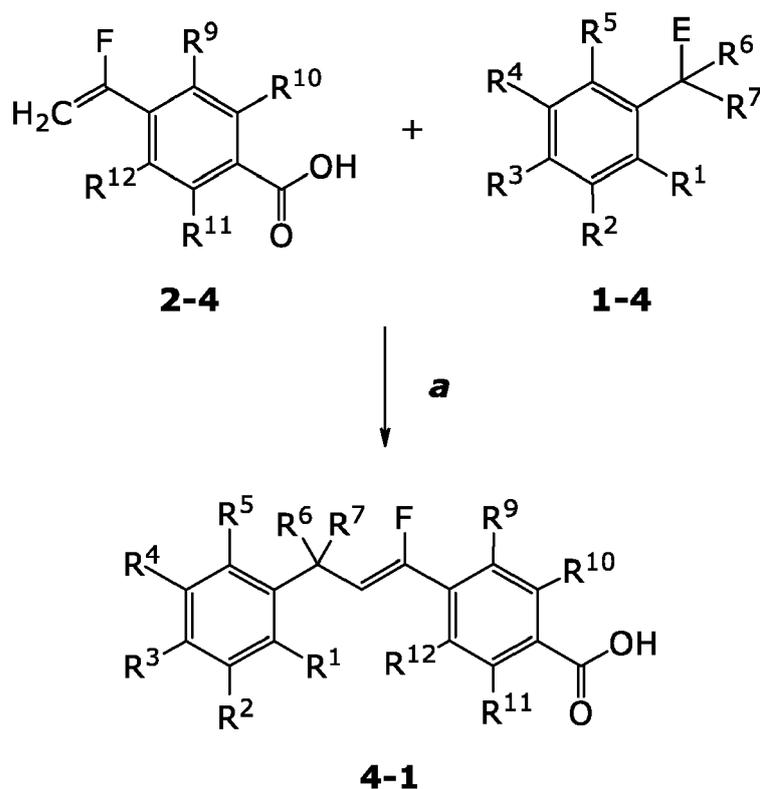
Scheme 3



PREPARATION OF FLUORINATED PHENYL ALLYLBENZOIC ACIDS

[0068] Benzyl halides 1-4 and fluorinated vinylbenzoic acids 2-4 may be treated with a copper(I) source such as copper(I) chloride or copper(I) bromide and a pyridine ligand such as 2,2-bipyridyl in a polar, aprotic solvent preferably *N*-methyl-2-pyrrolidone, at a temperature between about 100 °C to about 180 °C to provide fluorinated phenyl allylbenzoic acids 4-1, wherein R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁹, R¹⁰, R¹¹, and R¹² are as previously disclosed (Scheme 4, step a).

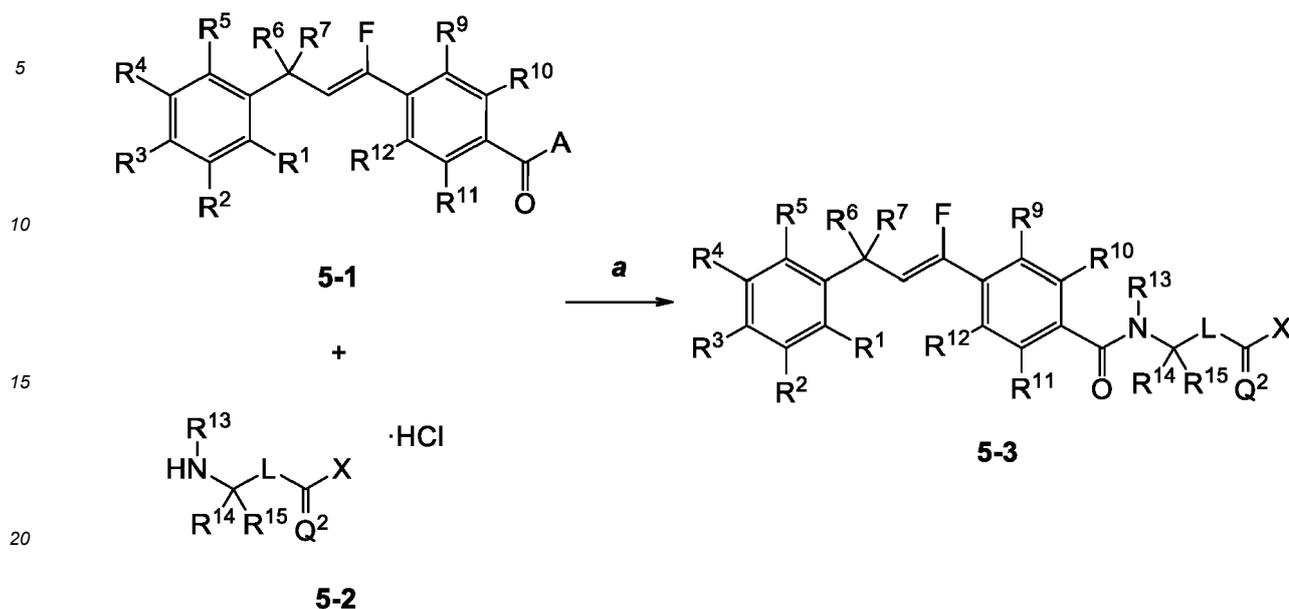
Scheme 4



PREPARATION OF FLUORINATED PHENYL ALLYLBENZOIC AMIDES

[0069] Fluorinated phenyl allylbenzoic amides **5-3**, wherein **Q**¹ is O and **R**¹, **R**², **R**³, **R**⁴, **R**⁵, **R**⁶, **R**⁷, **R**⁹, **R**¹⁰, **R**¹¹, **R**¹², **R**¹³, **R**¹⁴, **R**¹⁵, **L**, **Q**², and **X** are as previously disclosed may be prepared by treatment with amines or amine salts **5-2**, wherein **R**¹³, **R**¹⁴, **R**¹⁵, **L**, **Q**², and **X** are as previously disclosed, and activated carboxylic acids **5-1**, wherein **A** is an activating group, and **R**¹, **R**², **R**³, **R**⁴, **R**⁵, **R**⁶, **R**⁷, **R**⁹, **R**¹⁰, **R**¹¹, and **R**¹² are as previously disclosed, with a base such as triethylamine, diisopropylethylamine, or 4-methylmorpholine in a polar, aprotic solvent such as dichloromethane, tetrahydrofuran, 1,2-dichloroethane, *N,N*-dimethylformamide, or any combination thereof, at temperatures between about 0 °C and about 120 °C (Scheme 5, step **a**).

Scheme 5

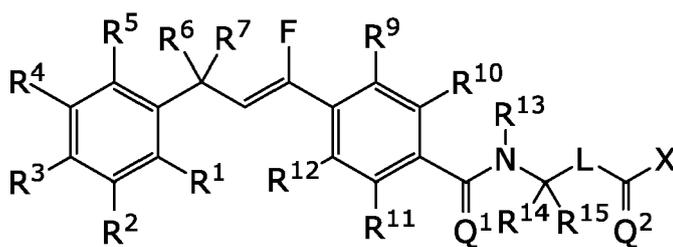


Activated carboxylic acids **5-1** may be an acid halide such as an acid chloride, an acid bromide, or an acid fluoride; a carboxylic ester such as a para-nitrophenyl ester, a pentafluorophenyl ester, an ethyl (hydroxyimino)cynoacetate ester, a methyl ester, an ethyl ester, a benzyl ester, an *N*-hydroxysuccinimidyl ester, a hydroxybenzotriazol-1-yl ester, or a hydroxypyridyltriazol-1-yl ester; an *O*-acylisourea; an acid anhydride; or a thioester. Acid chlorides may be prepared from the corresponding carboxylic acids by treatment with a dehydrating, chlorinating reagent such as oxalyl chloride or thionyl chloride. Activated carboxylic acids **5-1** may be prepared from carboxylic acids *in situ* with a uronium salt such as 1-[bis(dimethylamino)methylene]-1*H*-1,2,3-triazolo[4,5-*b*]pyridinium 3-oxid hexafluorophosphate (HATU), *O*-(benzotriazol-1-yl)-*N,N,N',N'*-tetramethyluronium hexafluorophosphate (HBTU), or (1-cyano-2-ethoxy-2-oxoethylideneaminoxy)dimethylamino-morpholino-carbenium hexafluorophosphate (COMU). Activated carboxylic acids **5-1** may also be prepared from carboxylic acids *in situ* with a phosphonium salt such as benzotriazol-1-yl-oxytripyrrolidinophosphonium hexafluorophosphate (PyBop). Activated carboxylic acids **5-1** may also be prepared from carboxylic acids *in situ* with a coupling reagent such as 1-(3-dimethylamino propyl)-3-ethylcarbodiimide, or dicyclohexylcarbodiimide in the presence of a triazole such as hydroxybenzotriazole-monohydrate (HOBt) or 1-hydroxy-7-azabenzotriazole (HOAt). *O*-Acylisoureas may be prepared with a dehydrating carbodiimide such as 1-(3-dimethylamino propyl)-3-ethylcarbodiimide or dicyclohexylcarbodiimide. Activated carboxylic acids **5-1** may also be prepared from carboxylic acids *in situ* with a coupling reagent such as 2-chloro-1,3-dimethylimidazolidinium hexafluorophosphate (CIP) in the presence of a triazole such as 1-hydroxy-7-azabenzotriazole (HOAt).

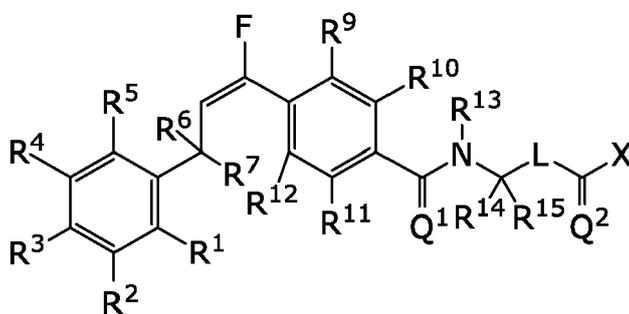
[0070] Amines or amine salts **5-2** may be generated *in situ* from the corresponding *N*-*tert*-butoxycarbonyl amines by treatment with an acid such as hydrogen chloride. Optionally, the amine salts **5-2** may be neutralized in the presence of a base such as sodium bicarbonate or triethylamine prior to reaction with activated carboxylic acids **5-1** or *in situ* during reaction with activated carboxylic acids **5-1** to provide fluorinated phenyl allylbenzoic amides **5-3**.

[0071] Fluorinated phenyl allylbenzoic amides **6-1**, wherein R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁹, R¹⁰, R¹¹, R¹², R¹³, R¹⁴, R¹⁵, Q¹, L, Q², and X are as previously disclosed may be exposed to ultraviolet irradiation in deuterated or non-deuterated polar, aprotic solvents such as acetone to provide (*E*)-fluorinated phenyl allylbenzoic amides **6-2**, wherein R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁹, R¹⁰, R¹¹, R¹², R¹³, R¹⁴, R¹⁵, Q¹, L, Q², and X are as previously disclosed (Scheme 6, step a).

Scheme 6



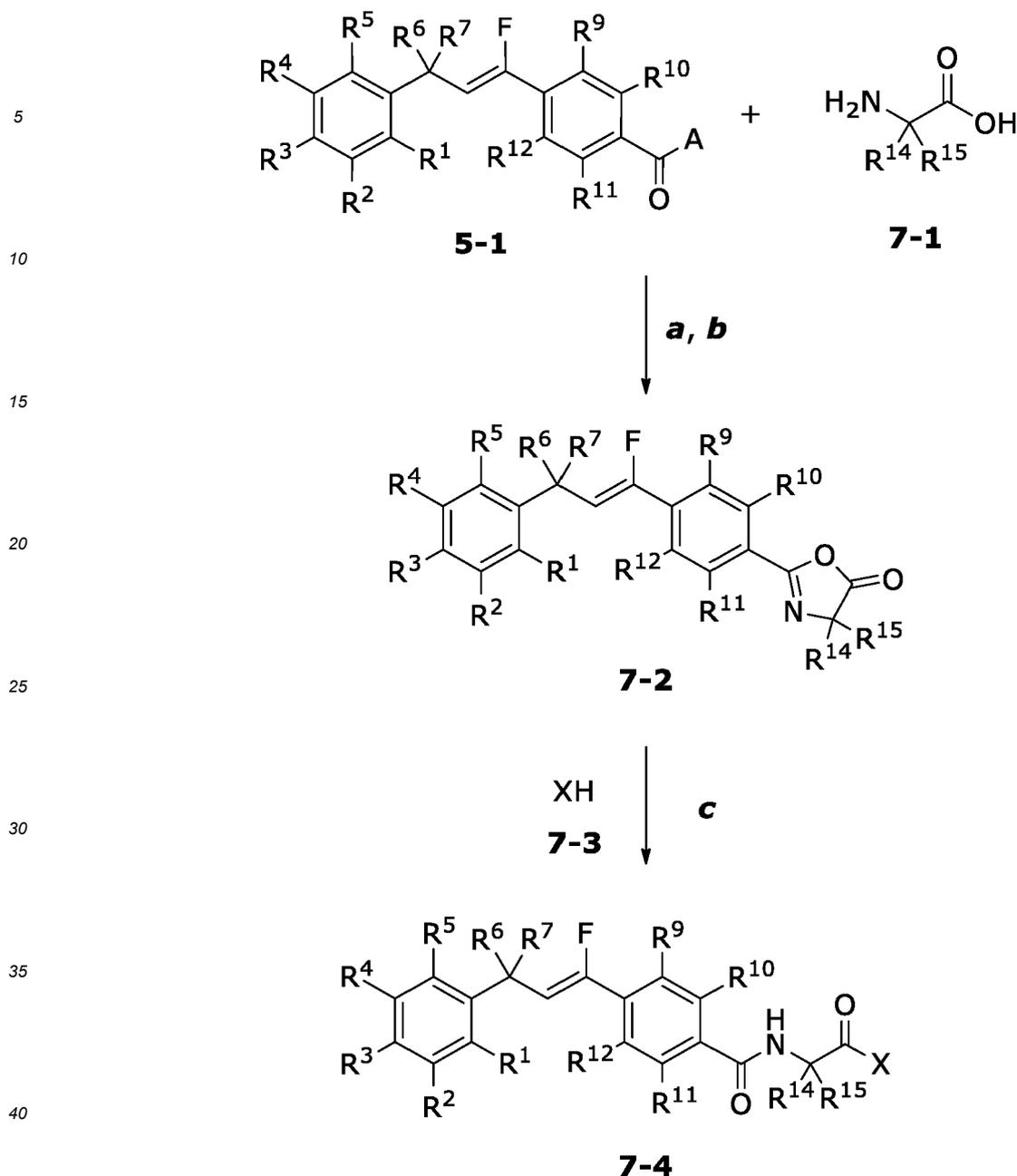
6-1



6-2

[0072] Activated carboxylic acids **5-1** may be treated with amino acids **7-1**, wherein **R¹³** is H, **L** is a bond, and **R¹⁴** and **R¹⁵** are as previously disclosed, and a base such as triethylamine, diisopropylethylamine, or 4-methylmorpholine (Scheme 7, step **7a**), followed by treatment with a dehydration reagent such as trifluoroacetic anhydride, in a polar, aprotic solvent such as dichloromethane, tetrahydrofuran, 1,2-dichloroethane, *N,N*-dimethylformamide, or any combination thereof, at temperatures between about 0 °C and about 120 °C, to provide azlactone **7-2**, wherein **L** is a bond, and **R¹**, **R²**, **R³**, **R⁴**, **R⁵**, **R⁶**, **R⁷**, **R⁹**, **R¹⁰**, **R¹¹**, **R¹²**, **R¹⁴**, and **R¹⁵** are as previously disclosed (Scheme 7, step **b**).

Scheme 7

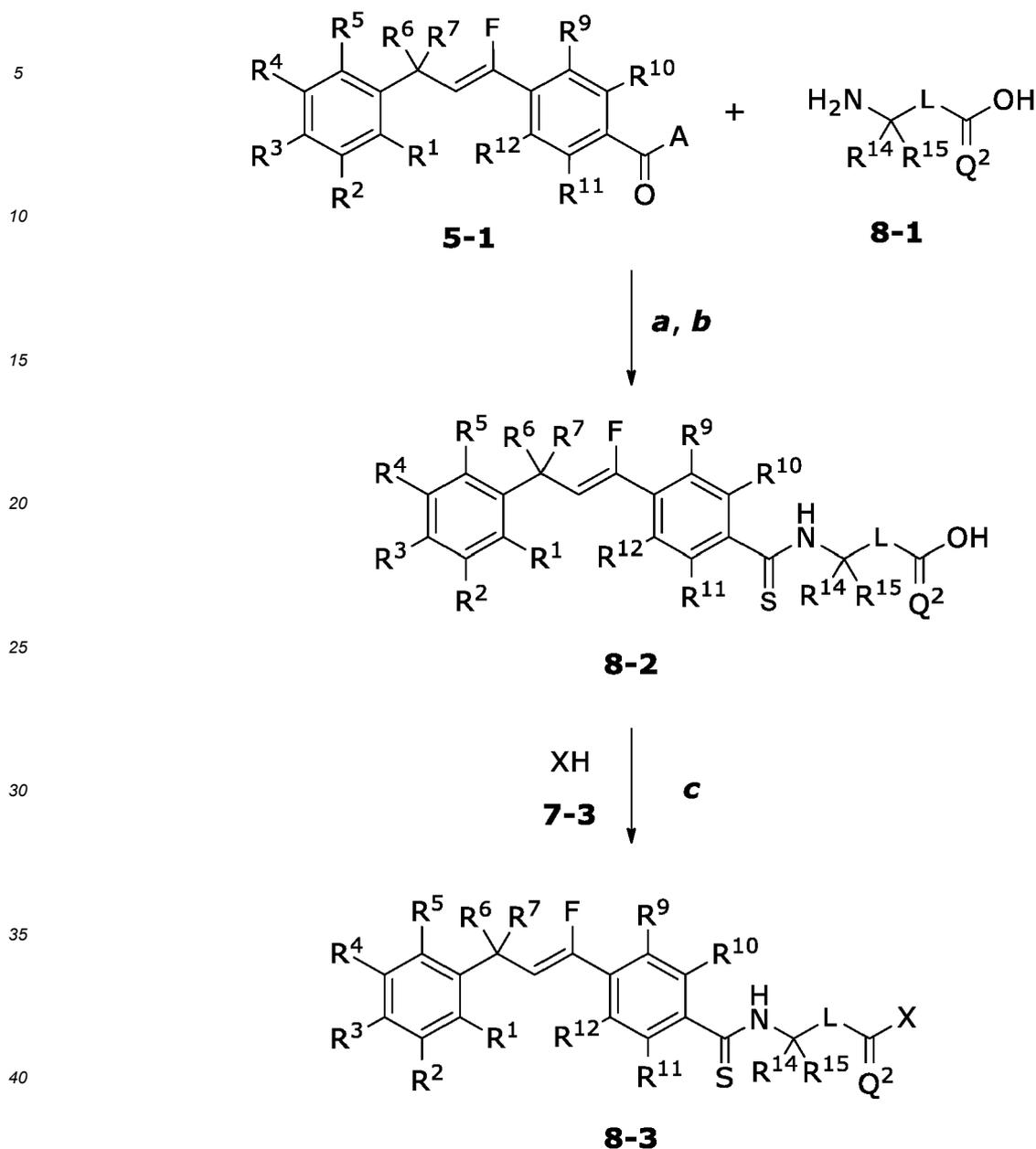


45 Azlactone **7-2** may be treated with a nucleophile **7-3**, wherein **X** is as previously disclosed, and a base such as triethylamine, diisopropylethylamine, or 4-methylmorpholine, in a polar, aprotic solvent such as dichloromethane, at temperatures between about 0 °C and about 120 °C, to give fluorinated phenyl allylbenzoic amides **7-4**, wherein **R¹³** is H, **L** is a bond, **Q¹** and **Q²** are O, and **R¹**, **R²**, **R³**, **R⁴**, **R⁵**, **R⁶**, **R⁷**, **R⁹**, **R¹⁰**, **R¹¹**, **R¹²**, **R¹³**, **R¹⁴**, **R¹⁵**, and **X** are as previously disclosed (Scheme 7, step **c**).

50 **[0073]** Alternatively, activated carboxylic acids **5-1** may be treated with amino acids **8-1**, wherein **R¹³** is H, and **L**, **R¹⁴**, and **R¹⁵** are as previously disclosed, and a base such as triethylamine, diisopropylethylamine, or 4-methylmorpholine (Scheme 8, step **a**), followed with a thionating agent such as Lawesson's reagent to provide thioamide **8-2**, wherein **Q¹** is S, **R¹³** is H, and **R¹**, **R²**, **R³**, **R⁴**, **R⁵**, **R⁶**, **R⁷**, **R⁹**, **R¹⁰**, **R¹¹**, **R¹²**, **R¹⁴**, **R¹⁵**, **L**, and **Q²** are as previously disclosed (Scheme 8, step **b**).

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Scheme 8



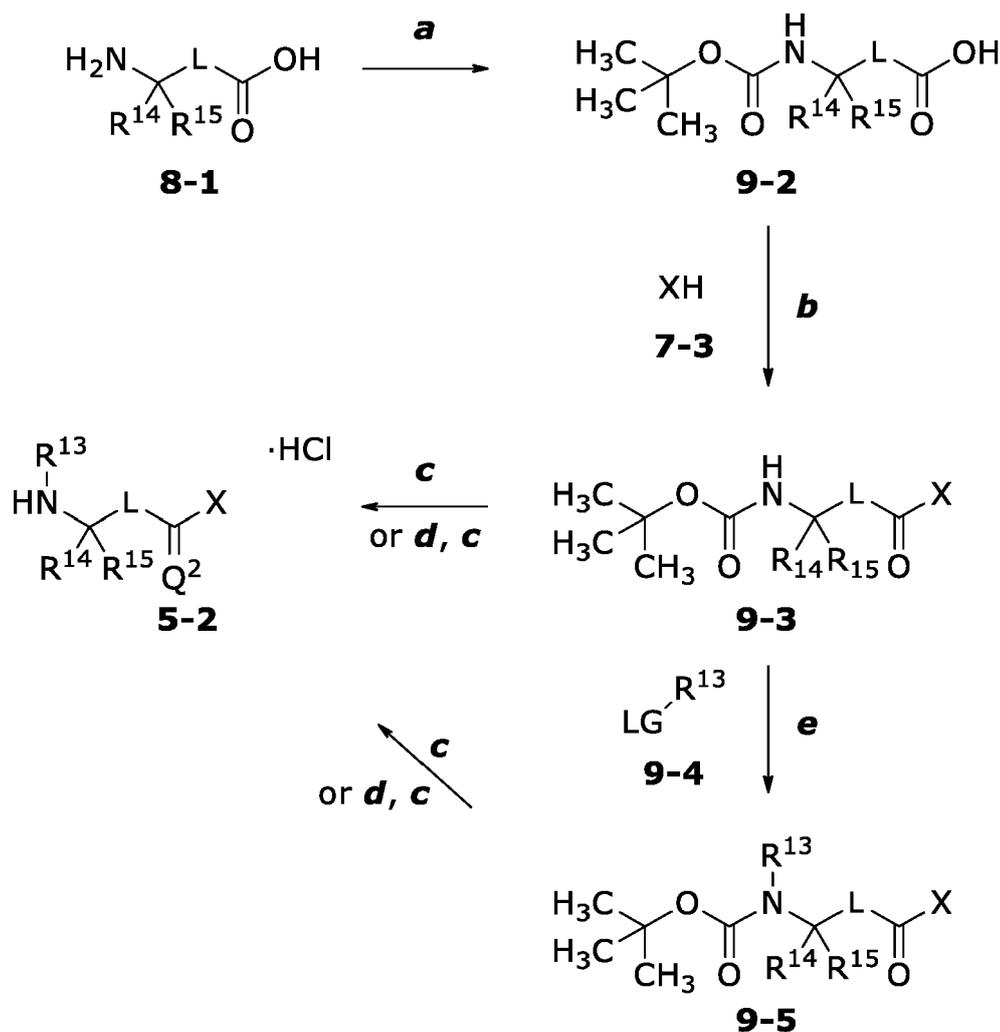
45 Thioamide **8-2** may be treated with a nucleophile **7-3**, wherein **X** is as previously disclosed, and a base such as triethylamine, diisopropylethylamine, or 4-methylmorpholine, in a polar, aprotic solvent such as dichloromethane, at temperatures between about 0 °C and about 120 °C, to give fluorinated phenyl allylbenzoic amides **8-3**, wherein **Q¹** is S, **R¹³** is H, and **R¹**, **R²**, **R³**, **R⁴**, **R⁵**, **R⁶**, **R⁷**, **R⁹**, **R¹⁰**, **R¹¹**, **R¹²**, **R¹³**, **R¹⁴**, **R¹⁵**, **L**, **Q²**, and **X** are as previously disclosed (Scheme 8, step c).

50 **PREPARATION OF AMINES AND AMINE SALTS 5-2**

55 **[0074]** Amino acids **8-1** may be treated with di-*tert*-butyl dicarbonate and a base, such as triethylamine, in a polar, aprotic solvent preferably dichloromethane, at temperatures between about 0 °C and about 120 °C, to give carbamate acids **9-2**, wherein **R¹³** is H and **L**, **R¹⁴**, and **R¹⁵** are as previously disclosed (Scheme 9, step a). Activated carbamate acids **9-2** may be treated with a nucleophile **7-3** and a base such as triethylamine, diisopropylethylamine, or 4-methylmorpholine, in a polar, aprotic solvent preferably dichloromethane, at temperatures between about 0 °C and about 120 °C, to give carbamates **9-3** (Scheme 9, step b). Activated carbamate acids **9-2** may be a carbamate ester prepared *in situ* with a coupling reagent such as 1-(3-dimethylamino propyl)-3-ethylcarbodiimide, or dicyclohexylcarbodiimide in the

presence of a triazole such as hydroxybenzotriazole-mono-hydrate (HOBt) or 1-hydroxy-7-azabenzotriazole (HOAt). O-Acylisoureas may be prepared with a dehydrating carbodiimide such as 1-(3-dimethylamino propyl)-3-ethylcarbodiimide or dicyclohexylcarbodiimide. Carbamate esters may also be prepared from carboxylic acids *in situ* with a coupling reagent such as 2-chloro-1,3-dimethylimidazolidinium hexafluorophosphate (CIP) in the presence of a triazole such as 1-hydroxy-7-azabenzotriazole (HOAt). Carbamates **9-3** may be treated with an alkylating agent **9-4**, wherein **LG** is a leaving group selected from mesylate, tosylate, triflate, halide, and carboxylate, and **R¹³** is as previously disclosed, and a base such as sodium hydride or cesium carbonate, in a polar, aprotic solvent such as diethyl ether, tetrahydrofuran, or dioxane, at temperatures between about 0 °C and about 120 °C, to give carbamates **9-5**, wherein **R¹³** is not H, and **R¹³**, **R¹⁴** and **R¹⁵** are as previously disclosed (Scheme 9, step e).

Scheme 9



Carbamates **9-3** and **9-5** may be treated with an acid such as hydrochloric acid, in a polar, aprotic solvent preferably dichloromethane, at temperatures between about 0 °C and about 120 °C, to give amine salts **5-2**, wherein **Q²** is O (Scheme 9, step c). Amine salts **5-2** may be neutralized in the presence of a base such as sodium bicarbonate or triethylamine. Alternatively, carbamates **9-3** and **9-5** may be treated with a thionating agent such as Lawesson's reagent (Scheme 9, step d), prior to the acid promoted deprotection, to give amine salts **5-2**, wherein **Q²** is S (Scheme 9, step c).

EXAMPLES

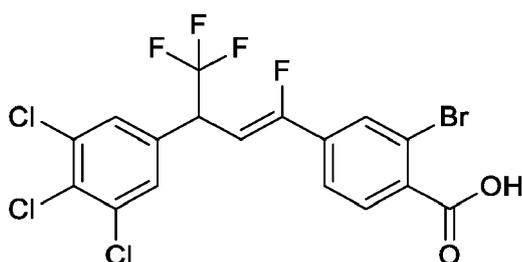
[0075] These examples are for illustration purposes and are not to be construed as limiting this disclosure to only the embodiments disclosed in these examples.

[0076] Starting materials, reagents, and solvents that were obtained from commercial sources were used without further purification. Anhydrous solvents were purchased as Sure/Seal™ from Aldrich and were used as received. Melting

points were obtained on a Thomas Hoover Unimelt capillary melting point apparatus or an OptiMelt Automated Melting Point System from Stanford Research Systems and are uncorrected. Examples using "room temperature" were conducted in climate controlled laboratories with temperatures ranging from about 20 °C to about 24 °C. Molecules are given their known names, named according to naming programs within ISIS Draw, ChemDraw, or ACD Name Pro. If such programs are unable to name a molecule, such molecule is named using conventional naming rules. ¹H NMR spectral data are in ppm (δ) and were recorded at 300, 400, 500, or 600 MHz; ¹³C NMR spectral data are in ppm (δ) and were recorded at 75, 100, or 150 MHz, and ¹⁹F NMR spectral data are in ppm (δ) and were recorded at 376 MHz, unless otherwise stated.

Example 1: Preparation of (Z)-2-bromo-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzoic acid (C1)

[0077]

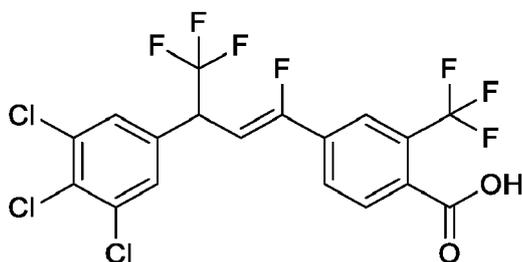


[0078] To a 25 mL round-bottomed flask were added 2,2'-bipyridine (0.255 g, 1.63 mmol), 2-bromo-4-(1-fluorovinyl)benzoic acid (C24) (1.00 g, 4.08 mmol), and 5-(1-bromo-2,2,2-trifluoroethyl)-1,2,3-trichlorobenzene (2.79 g, 8.16 mmol) in *N*-methylpyrrolidone (2.0 mL) to give a yellow solution. Copper(I) bromide (0.117 g, 0.816 mmol) was added and the reaction mixture was purged with nitrogen for 5 minutes. The reaction was then heated to 150 °C for 3 hours. The reaction mixture was poured into ice water (100 mL). The water was filtered and the resultant black gum was dissolved in ethyl acetate (800 mL), washed with brine (2 x 200 mL), and water (2 x 200 mL), dried over magnesium sulfate, filtered, and concentrated to provide the title compound as a brown oil (1.40 g, 64%): ¹H NMR (400 MHz, CDCl₃) δ 8.03 (d, *J* = 8.2 Hz, 1H), 7.89 (d, *J* = 1.8 Hz, 1H), 7.59 (dd, *J* = 8.3, 1.8 Hz, 1H), 7.43 (s, 2H), 5.83 (dd, *J* = 32.4, 9.6 Hz, 1H), 4.60 (p, *J* = 8.8 Hz, 1H); ¹⁹F NMR (376 MHz, CDCl₃) δ -69.32 (d, *J* = 2.3 Hz), -108.70 - -119.01 (m); ESIMS *m/z* 505 ([M-H]⁻).

[0079] The following compounds were prepared in like manner to the procedure outlined in **Example 1**:

(Z)-4-(1,4,4,4-Tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C2)

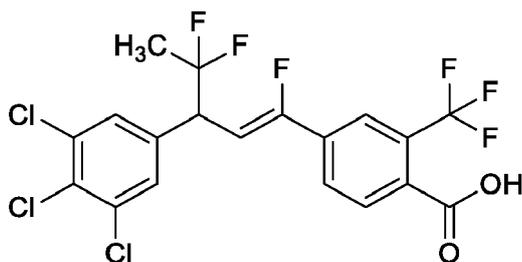
[0080]



[0081] Isolated as a yellow oil (7.6 g, 68%): ¹H NMR (400 MHz, CDCl₃) δ 8.04 (d, *J* = 8.2 Hz, 1H), 7.99 - 7.94 (m, 1H), 7.84 (dd, *J* = 8.2, 1.8 Hz, 1H), 7.44 (s, 2H), 5.90 (dd, *J* = 32.4, 9.6 Hz, 1H), 4.62 (p, *J* = 8.9 Hz, 1H); ¹⁹F NMR (376 MHz, CDCl₃) δ -59.60, -69.28 (d, *J* = 2.3 Hz), -112.11; ESIMS *m/z* 493 ([M-H]⁻).

(Z)-4-(1,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)pent-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C3)

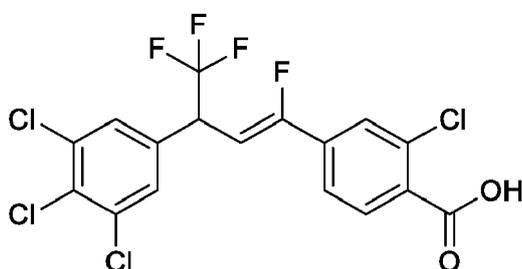
[0082]



[0083] Isolated as a yellow foam (0.628 g, 60%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.00 (d, $J = 8.2$ Hz, 1H), 7.95 (d, $J = 8.8$ Hz, 1H), 7.81 (d, $J = 8.3$ Hz, 1H), 7.42 (s, 2H), 5.96 (dd, $J = 33.6, 9.8$ Hz, 1H), 4.29 (td, $J = 14.3, 9.8$ Hz, 1H), 1.65 (t, $J = 18.4$ Hz, 3H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -59.61, -92.97 - -97.35 (m), -114.82; ESIMS m/z 491 ($[\text{M}-\text{H}]^-$).

15 **(Z)-2-Chloro-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzoic acid (C4)**

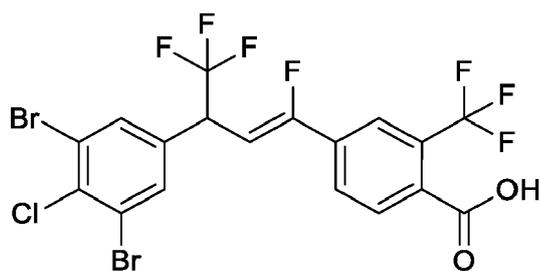
[0084]



30 **[0085]** Isolated as a white solid (4.27 g, 88%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.07 (d, $J = 8.2$ Hz, 1H), 7.68 (d, $J = 1.7$ Hz, 1H), 7.54 (dd, $J = 8.3, 1.8$ Hz, 1H), 7.43 (s, 2H), 5.85 (dd, $J = 32.4, 9.6$ Hz, 1H), 4.60 (p, $J = 8.8$ Hz, 1H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -69.33 (d, $J = 2.2$ Hz), -112.18 (d, $J = 2.4$ Hz); ESIMS m/z 461 ($[\text{M}-\text{H}]^-$).

35 **(Z)-4-(3-(3,5-Dibromo-4-chlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C5)**

[0086]

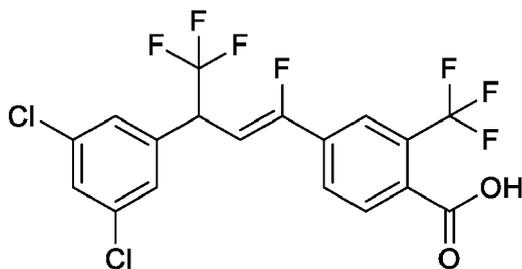


[0087] Isolated as a brown gum (2.00 g, 37%): ESIMS m/z 583 ($[\text{M}-\text{H}]^-$).

50 **(Z)-4-(3-(3,5-Dichlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C6)**

[0088]

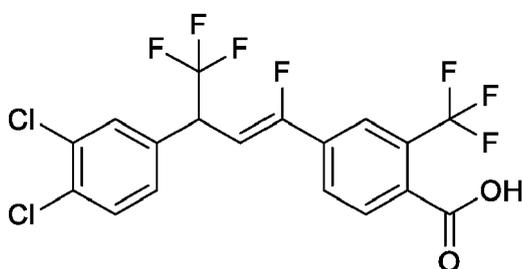
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[0089] Isolated as a brown gum (0.50 g, 43%): $^1\text{H NMR}$ (400 MHz, $\text{DMSO-}d_6$) δ 13.9 (br s, 1H), 8.16 (s, 1H), 8.09 (d, $J = 8.0$ Hz, 1H), 7.92 (d, $J = 8.0$ Hz, 1H), 7.82 (s, 2H), 7.64 (t, $J = 6.0$ Hz, 1H), 6.90 (dd, $J = 36.0, 10.4$ Hz, 1H), 5.26 - 5.17 (m, 1H); IR (thin film) 3416, 2926, 1716, 1119 cm^{-1} ; ESIMS m/z 449 ($[\text{M}+\text{H}]^+$).

15 **(Z)-4-(3-(3,4-Dichlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C7)**

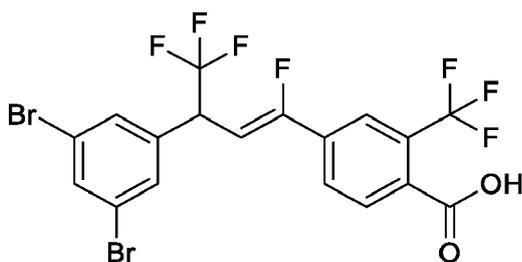
[0090]



30 **[0091]** Isolated as a brown gum (2.50 g, 56%): $^1\text{H NMR}$ (300 MHz, $\text{DMSO-}d_6$) δ 13.9 (br s, 1H), 8.16 (s, 1H), 8.09 (d, $J = 10.8$ Hz, 1H), 8.08 (s, 1H), 7.92 (d, $J = 8.1$ Hz, 1H), 7.75 - 7.65 (m, 2H), 6.90 (dd, $J = 36.0, 10.4$ Hz, 1H), 5.22 - 5.16 (m, 1H); IR (thin film) 3440, 2927, 1716, 1175 cm^{-1} ; ESIMS m/z 459 ($[\text{M}-\text{H}]^-$).

35 **(Z)-4-(3-(3,5-Dibromophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C8)**

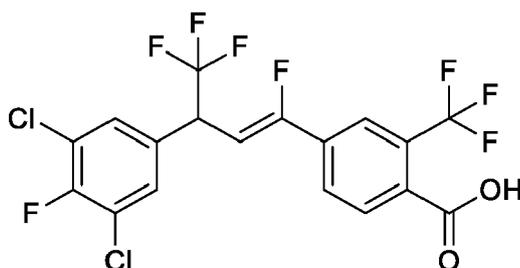
[0092]



50 **[0093]** Isolated as a brown gum (2.20 g, 39%): $^1\text{H NMR}$ (300 MHz, CDCl_3) δ 8.05 - 7.95 (m, 2H), 7.84 (d, $J = 7.2$ Hz, 1H), 7.69 - 7.68 (m, 1H), 7.49 (s, 2H), 5.95 (dd, $J = 32.7, 9.6$ Hz, 1H), 4.64 - 4.58 (p, 1H); IR (thin film) 3439, 2925, 1714, 1118, 746 cm^{-1} ; ESIMS m/z 549 ($[\text{M}-\text{H}]^-$).

55 **(Z)-4-(3-(3,5-Dichloro-4-fluorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C9)**

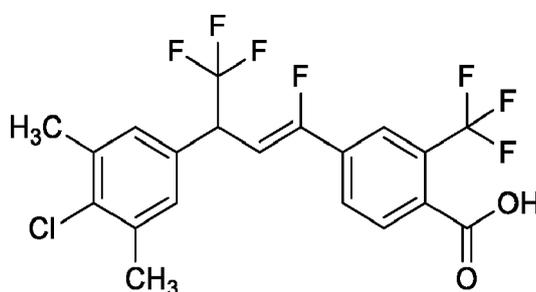
[0094]



[0095] Isolated as a brown gum (1.20 g, 54%): $^1\text{H NMR}$ (300 MHz, CDCl_3) δ 7.88 (s, 2H), 7.76 - 7.75 (m, 1H), 7.37 (d, $J = 6.0$ Hz, 2H), 5.90 (dd, $J = 32.1, 9.0$ Hz, 1H), 4.62 - 4.56 (p, 1H); IR (thin film) 3445, 2926, 1698, 1260, 750 cm^{-1} ; ESIMS m/z 477 ($[\text{M}-\text{H}]^-$).

15 **(Z)-4-(3-(4-Chloro-3,5-dimethylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C10)**

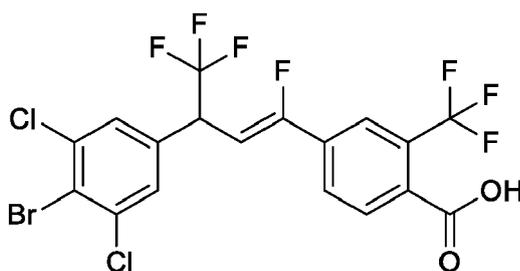
[0096]



30 **[0097]** Isolated as a yellow gum (2.20 g, 53%): $^1\text{H NMR}$ (300 MHz, CDCl_3) δ 8.01 (d, $J = 8.1$ Hz, 1H), 7.94 (s, 1H), 7.83 (d, $J = 8.1$ Hz, 1H), 7.11 (s, 2H), 6.00 (dd, $J = 33.0, 9.9$ Hz, 1H), 4.58 - 4.55 (m, 1H), 2.40 (s, 6H); IR (thin film) 3445, 1713, 852 cm^{-1} ; ESIMS m/z 453 ($[\text{M}-\text{H}]^-$).

35 **(Z)-4-(3-(4-Bromo-3,5-dichlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C11)**

[0098]



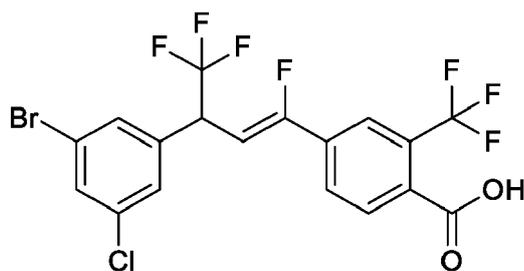
50 **[0099]** Isolated as a brown solid (1.50 g, 65%): mp 78-81 $^\circ\text{C}$; $^1\text{H NMR}$ (300 MHz, CDCl_3) δ 8.09 - 7.99 (m, 2H), 7.83 - 7.81 (m, 1H), 7.42 (s, 2H), 5.95 (dd, $J = 32.4$ Hz, 9.6 Hz, 1H), 4.63 - 4.57 (m, 1H); IR (thin film) 3445, 1713, 852 cm^{-1} ; ESIMS m/z 538 ($[\text{M}+\text{H}]^+$).

(Z)-4-(3-(3-Bromo-5-chlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C12)

[0100]

55

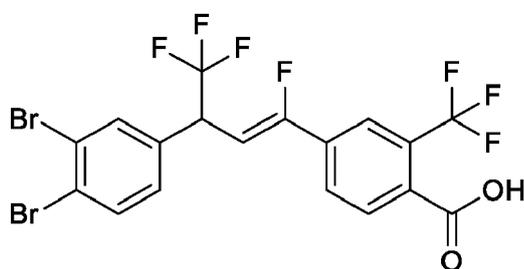
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[0101] Isolated as a brown gum (2.0 g, 62%): $^1\text{H NMR}$ (300 MHz, $\text{DMSO-}d_6$) δ 13.80 (br s, 1H), 8.15 (s, 1H), 8.09 (d, $J = 8.1$ Hz, 1H), 7.93 - 7.78 (m, 4H), 6.91 (dd, $J = 35.7, 10.2$ Hz, 1H), 5.27 - 5.14 (m, 1H); IR (thin film) 3081, 2927, 1714, 776 cm^{-1} ; ESIMS m/z 503 ($[\text{M-H}]^-$).

15 (Z)-4-(3-(3,4-Dibromophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C13)

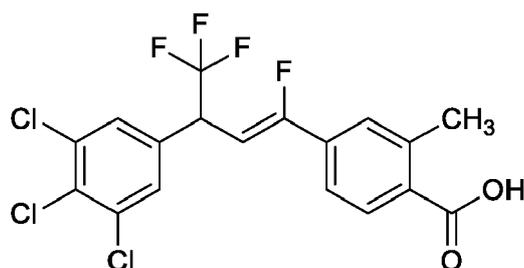
[0102]



30 [0103] Isolated as a yellow gum (2.1 g, 78%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.02 (d, $J = 8.4$ Hz, 1H), 7.94 (s, 1H), 7.83 (d, $J = 8.4$ Hz, 1H), 7.66 (d, $J = 8.4$ Hz, 2H), 7.26 - 7.21 (m, 1H), 5.96 (dd, $J = 32.4, 9.2$ Hz, 1H), 4.67 - 4.58 (p, 1H); IR (thin film) 3426, 2925, 1714, 1115 cm^{-1} ; ESIMS m/z 547 ($[\text{M-H}]^-$).

(Z)-2-Methyl-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzoic acid (C14)

35 [0104]

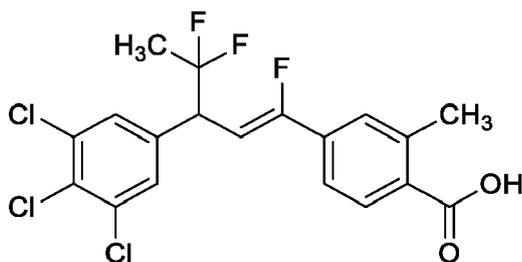


50 [0105] Isolated as an orange oil (0.94 g, 61%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.09 (d, $J = 8.8$ Hz, 1H), 7.49 - 7.45 (m, 2H), 7.44 (s, 2H), 5.80 (dd, $J = 32.7, 9.6$ Hz, 1H), 4.60 (p, $J = 8.9$ Hz, 1H), 2.69 (s, 3H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -69.40 (d, $J = 2.3$ Hz), -108.40 - -115.65 (m); ESIMS m/z 441 ($[\text{M-H}]^-$).

(Z)-2-Methyl-4-(1,4,4-trifluoro-3-(3,4,5-trichlorophenyl)pent-1-en-1-yl)benzoic acid (C15)

[0106]

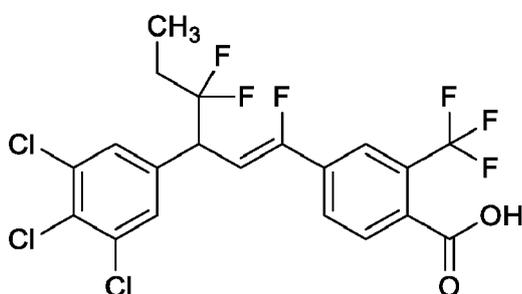
55



[0107] Isolated as an orange foam (0.204 g, 51%): ^1H NMR (400 MHz, CDCl_3) δ 8.07 (d, $J = 8.8$ Hz, 1H), 7.49 - 7.40 (m, 4H), 5.86 (dd, $J = 33.9, 9.9$ Hz, 1H), 4.27 (td, $J = 14.3, 9.7$ Hz, 1H), 2.68 (s, 3H), 1.65 (t, $J = 18.4$ Hz, 3H); ^{19}F NMR (376 MHz, CDCl_3) δ -95.11, -95.18, -114.57; ESIMS m/z 437 ($[\text{M}-\text{H}]^-$).

15 (Z)-4-(1,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)hex-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C16)

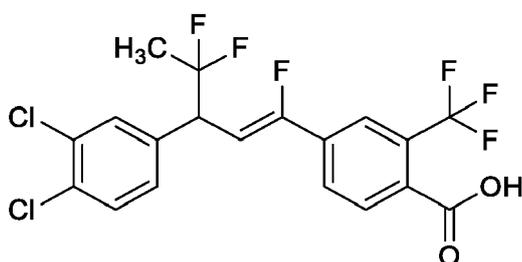
[0108]



30 [0109] Isolated as an orange foam (0.136 g, 63%): ^1H NMR (400 MHz, CDCl_3) δ 7.99 (dd, $J = 8.4, 4.0$ Hz, 1H), 7.93 (s, 1H), 7.80 (d, $J = 7.9$ Hz, 1H), 7.42 (d, $J = 2.6$ Hz, 2H), 6.08 - 5.87 (m, 1H), 4.32 (td, $J = 14.6, 9.8$ Hz, 1H), 1.87 (ddt, $J = 21.6, 15.4, 8.0$ Hz, 2H), 1.07 (t, $J = 7.4$ Hz, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 170.72, 156.96 (d, $J_{\text{CF}} = 253.0$ Hz), 136.85, 135.06, 134.53, 133.75, 131.90, 131.19, 130.18, 129.17, 128.60, 128.05, 127.29, 124.11, 123.36 - 122.67 (m), 121.39, 104.66 (d, $J_{\text{CF}} = 18.0$ Hz), 46.46, 29.70 - 27.14 (m), 6.40 - 5.44 (m); ESIMS m/z 503 ($[\text{M}-\text{H}]^-$).

35 (Z)-4-(3-(3,4-Dichlorophenyl)-1,4,4-trifluoropent-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C17)

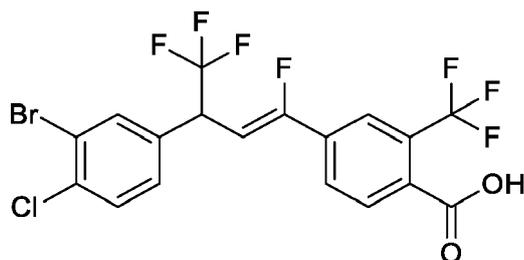
[0110]



50 [0111] Isolated as an orange glass (0.495 g, 51%): ^1H NMR (400 MHz, CDCl_3) δ 8.01 (d, $J = 8.2$ Hz, 1H), 7.94 (d, $J = 1.6$ Hz, 1H), 7.80 (dd, $J = 8.2, 1.8$ Hz, 1H), 7.49 (d, $J = 2.1$ Hz, 1H), 7.45 (d, $J = 8.3$ Hz, 1H), 7.26 - 7.22 (m, 1H), 6.00 (dd, $J = 33.9, 9.8$ Hz, 1H), 4.32 (ddd, $J = 15.8, 13.0, 9.8$ Hz, 1H), 1.62 (t, $J = 18.4$ Hz, 3H); ^{19}F NMR (376 MHz, CDCl_3) δ -59.58, -89.79 - -99.81 (m) -115.63; IR (thin film) 3008, 1711 cm^{-1} ; ESIMS m/z 455 ($[\text{M}-\text{H}]^-$).

55 (Z)-4-(3-(3,4-Dichlorophenyl)-1,4,4-trifluoropent-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C18)

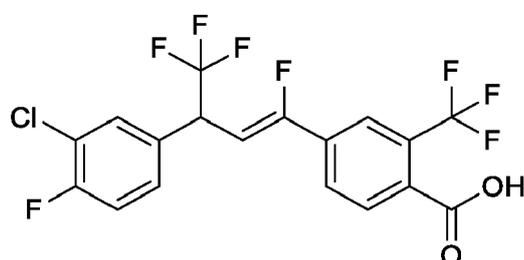
[0112]



10 [0113] Isolated as a brown gum (2.5 g, 46%): $^1\text{H NMR}$ (300 MHz, $\text{DMSO-}d_6$) δ 13.79 (br s, 1H), 8.15 - 8.06 (m, 3H), 7.91 (d, $J = 8.1$ Hz, 1H), 7.71 (s, 2H), 6.90 (dd, $J = 36.0, 10.2$ Hz, 1H), 5.21 - 5.15 (m, 1H); IR (thin film) 3431, 2924, 1623, 597 cm^{-1} ; ESIMS m/z 503 ($[\text{M-H}]^-$).

15 **(Z)-4-(3-(3-Chloro-4-fluorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C19)**

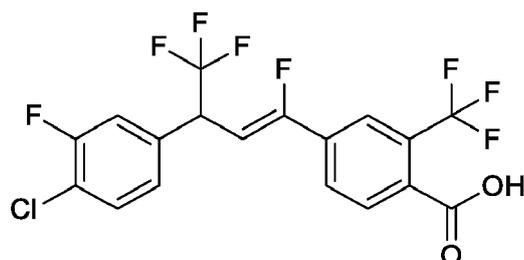
[0114]



30 [0115] Isolated as a yellow gum (1.50 g, 57%): $^1\text{H NMR}$ (300 MHz, CDCl_3) δ 8.01 (d, $J = 8.1$ Hz, 2H) 7.94 (s, 2H), 7.76 - 7.75 (m, 1H), 7.37 (d, $J = 6.0$ Hz, 2H), 5.90 (dd, $J = 32.1, 9.0$ Hz, 1H); IR (thin film) 3445, 2926, 1698, 1260, 750 cm^{-1} ; ESIMS m/z 443 ($[\text{M-H}]^-$).

35 **(Z)-4-(3-(4-Chloro-3-fluorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C20)**

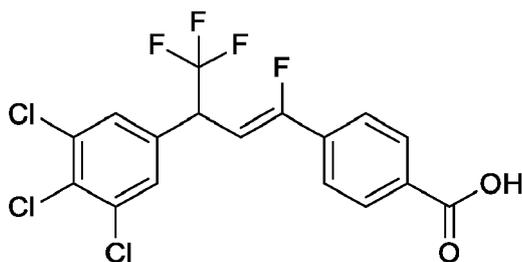
[0116]



50 [0117] Isolated as a brown gum (0.50 g, 48%): $^1\text{H NMR}$ (300 MHz, CDCl_3) δ 8.03 (d, $J = 8.1$ Hz, 1H), 7.94 (s, 1H), 7.83 (d, $J = 7.8$ Hz, 1H), 7.46 - 7.44 (m, 1H), 7.23 - 7.13 (m, 2H), 5.98 (dd, $J = 34.2, 9.9$ Hz, 1H), 4.69 - 4.63 (m, 1H); IR (thin film) 3092, 1751, 750 cm^{-1} ; ESIMS m/z 443 ($[\text{M-H}]^-$).

55 **(Z)-4-(1,4,4,4-Tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzoic acid (CC1)**

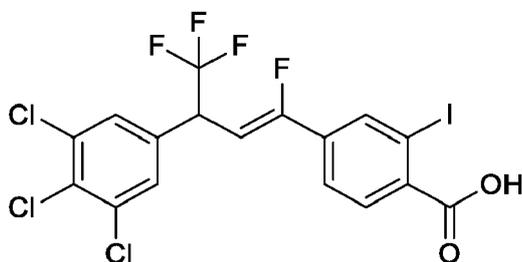
[0118]



[0119] Isolated as a yellow gum (1.1 g, 56%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.15 (d, $J = 8.2$ Hz, 2H), 7.67 (d, $J = 8.3$ Hz, 2H), 7.44 (s, 2H), 5.84 (dd, $J = 32.6, 9.6$ Hz, 1H), 4.61 (p, $J = 8.9$ Hz, 1H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -69.38 (d, $J = 2.2$ Hz), -109.75 - -116.47 (m); ESIMS m/z 427 ($[\text{M}-\text{H}]^-$).

15 **Example 2: Preparation of (Z)-2-iodo-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzoic acid (C21)**

[0120]

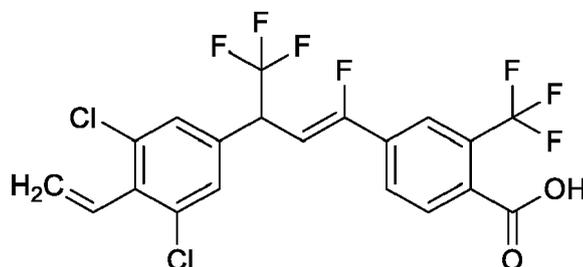


30 [0121] To a 25 mL vial were added (Z)-2-bromo-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzoic acid (C1) (0.500 g, 0.987 mmol), copper(I) iodide (0.0094 g, 0.049 mmol), and 1,4-dioxane (4.9 mL) to form a yellow suspension. Sodium iodide (0.296 g, 1.97 mmol) and trans-*N,N'*-dimethylcyclohexane-1,2-diamine (0.014 g, 0.099 mmol) were added, and the reaction mixture was stirred at 110 °C for 3.5 hours. The reaction mixture was concentrated and purified by flash column chromatography to provide the title compound as a brown oil (0.247 g, 43%): $^1\text{H NMR}$ (300 MHz, CDCl_3) δ 8.21 (d, $J = 1.7$ Hz, 1H), 8.02 (d, $J = 8.2$ Hz, 1H), 7.62 (dd, $J = 8.3, 1.7$ Hz, 1H), 7.43 (s, 2H), 5.82 (dd, $J = 32.5, 9.6$ Hz, 1H), 4.59 (p, $J = 8.9$ Hz, 1H); $^{19}\text{F NMR}$ (471 MHz, CDCl_3) δ -69.32, -112.14 (d, $J = 20.8$ Hz); ESIMS m/z 553 ($[\text{M}-\text{H}]^-$).

35

40 **Example 3: Preparation of (Z)-2-iodo-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzoic acid (C22)**

[0122]

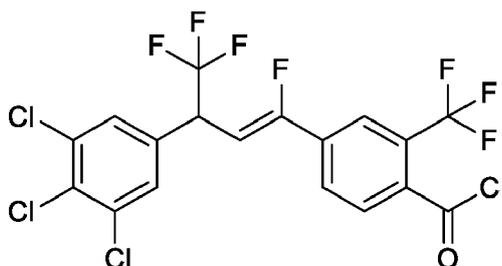


55 [0123] Tetrakis(triphenylphosphine)palladium(0) (0.30 g, 0.26 mmol) was added to a solution of (Z)-4-(3-(4-bromo-3,5-dichlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C11) (1.4 g, 2.6 mmol) in toluene (10 mL) at room temperature. The reaction mixture was degassed by purging with nitrogen (3 x 10 minutes). Tributyl vinyl stannane (0.82 g, 2.6 mmol) was added to the reaction mixture. The reaction mixture was again degassed by purging with nitrogen (3 x 10 minutes) and stirred at 120 °C for 3 hours. The reaction mixture was quenched with water

and then extracted with ethyl acetate. The organic layer was dried over sodium sulfate, filtered, and concentrated. Purification by flash column chromatography using 30% ethyl acetate/hexanes provided the title compound as a pale yellow gum (0.80 g, 63%): $^1\text{H NMR}$ (300 MHz, CDCl_3) δ 7.85 (s, 1H), 7.82 (d, $J = 8.4$ Hz, 1H), 7.74 (d, $J = 8.4$ Hz, 1H), 7.42 (s, 1H), 7.37 (s, 1H), 6.72 - 6.65 (dd, $J = 17.6$ Hz, 11.6 Hz, 1H), 5.86 - 5.73 (m, 3H), 4.61 - 4.56 (m, 1H); IR (thin film) 3445, 2925, 1646, 1275, 749 cm^{-1} ; ESIMS m/z 488 ($[\text{M}+\text{H}]^+$).

Example 4: Preparation of (Z)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzoyl chloride (C23)

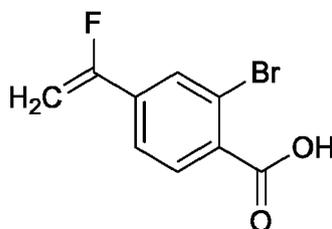
[0124]



[0125] To a 25 mL vial was added (Z)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (**C2**) (0.200 g, 0.404 mmol), oxalyl chloride (0.095 mL, 1.09 mmol), and *N,N*-dimethylformamide (catalytic amount) in dichloromethane (1.3 mL) to give a yellow solution. The reaction was stirred for 15 hours at room temperature. The solvent was removed under vacuum providing the title compound as a yellow gum (0.220 g, 95%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.99 (d, $J = 8.2$ Hz, 1H), 7.92 (d, $J = 1.7$ Hz, 1H), 7.81 (dd, $J = 8.2, 1.8$ Hz, 1H), 7.44 (s, 2H), 5.88 (dd, $J = 32.5, 9.6$ Hz, 1H), 4.73 - 4.50 (m, 1H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -59.58, -69.32, -109.75, -113.19 (m); IR (thin film) 3445, 2925, 1646, 1275, 749 cm^{-1} ; ESIMS m/z 476 ($[\text{M}-\text{Cl}]^+$).

Example 5: Preparation of 2-bromo-4-(1-fluorovinyl)benzoic acid (C24)

[0126]



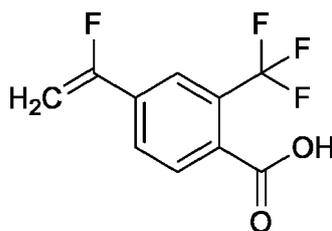
[0127] To a 250 mL round-bottomed flask were added methyl 2-bromo-4-(1-fluorovinyl)benzoate (**C29**) (1.8 g, 7.0 mmol), lithium hydroxide hydrate (0.88 g, 21 mmol), methanol (7.0 mL), tetrahydrofuran (21 mL), and water (7.0 mL), and the reaction mixture was stirred overnight at room temperature. The mixture was concentrated, quenched with a pH 4 buffer, and extracted with ethyl acetate to provide the title compound as a white solid (1.0 g, 56%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.01 (d, $J = 8.2$ Hz, 1H), 7.89 (d, $J = 1.8$ Hz, 1H), 7.57 (dd, $J = 8.3, 1.8$ Hz, 1H), 5.21 (dd, $J = 48.6, 4.0$ Hz, 1H), 5.06 (dd, $J = 17.3, 3.9$ Hz, 1H); $^{19}\text{F NMR}$ (471 MHz, CDCl_3) δ -108.71 (d, $J = 1.4$ Hz); ESIMS m/z 244 ($[\text{M}-\text{H}]^-$).

[0128] The following compounds were prepared in like manner to the procedure outlined in **Example 5**:

4-(1-Fluorovinyl)-2-(trifluoromethyl)benzoic acid (C25)

[0129]

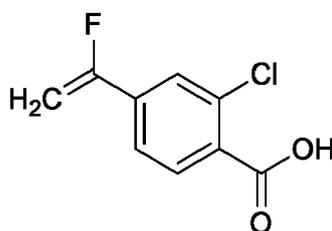
EP 3 407 716 B9



10 **[0130]** Isolated as a white solid (1.9 g, 93%): $^1\text{H NMR}$ (400 MHz, methanol- d_4) δ 7.95 (d, $J = 1.5$ Hz, 1H), 7.95 - 7.91 (m, 1H), 7.90 - 7.86 (m, 1H), 5.46 (dd, $J = 50.0, 4.1$ Hz, 1H), 5.09 (dd, $J = 18.0, 4.1$ Hz, 1H); $^{19}\text{F NMR}$ (376 MHz, methanol- d_4) δ -61.04 (d, $J = 1.1$ Hz), -110.93; ESIMS m/z 233 ($[\text{M}-\text{H}]^-$).

15 **2-Chloro-4-(1-fluorovinyl)benzoic acid (C26)**

[0131]

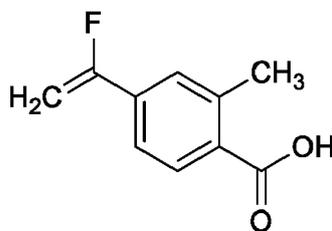


25

30 **[0132]** Isolated as a white solid (3.5 g, 75%): $^1\text{H NMR}$ (400 MHz, acetone- d_6) δ 7.97 (dd, $J = 8.2, 0.9$ Hz, 1H), 7.76 (d, $J = 1.7$ Hz, 1H), 7.70 (dd, $J = 8.2, 1.7$ Hz, 1H), 5.68 - 5.45 (m, 1H), 5.11 (dd, $J = 18.2, 4.1$ Hz, 1H); $^{19}\text{F NMR}$ (376 MHz, acetone- d_6) δ -108.71; ESIMS m/z 200 ($[\text{M}-\text{H}]^-$).

35 **4-(1-fluorovinyl)-2-methylbenzoic acid (C27)**

[0133]

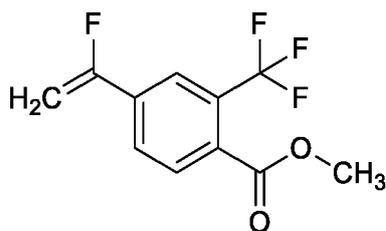


45 **[0134]** Isolated as a white solid (0.550 g, 89%): $^1\text{H NMR}$ (400 MHz, methanol- d_4) δ 7.92 (d, $J = 8.1$ Hz, 1H), 7.59 - 7.52 (m, 1H), 7.52 - 7.44 (m, 1H), 5.29 (dd, $J = 50.1, 3.7$ Hz, 1H), 4.93 (dd, $J = 18.1, 3.7$ Hz, 1H), 2.60 (s, 3H); $^{19}\text{F NMR}$ (376 MHz, methanol- d_4) δ -110.32 (d, $J = 2.1$ Hz); ESIMS m/z 181 ($[\text{M}+\text{H}]^+$).

Example 6: Preparation of methyl 4-(1-fluorovinyl)-2-(trifluoromethyl)benzoate (C28)

50 **[0135]**

55



5

10 **[0136]** To a 100 mL round-bottomed flask was added methyl 4-bromo-2-(trifluoromethyl)benzoate (2.25 g, 8.00 mmol), (1-fluorovinyl)(methyl)diphenylsilane (3.58 g, 14.8 mmol), and 1,3-dimethylimidazolidin-2-one (40 mL). Tetrakis(triphenylphosphine)palladium(0) (0.459 g, 0.400 mmol), copper(I) iodide (0.0760 mg, 0.400 mmol), and cesium fluoride (3.62 g, 23.9 mmol) were added and the reaction was stirred at room temperature for 24 hours under a nitrogen atmosphere.

15 Water was added to the mixture and the mixture was diluted with 3:1 hexanes/diethyl ether. The layer was separated, and the organic layer was dried over sodium sulfate, concentrated, and the residue was purified by flash column chromatography provided the title compound as a colorless oil (2.00 g, 96%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.96 - 7.87 (m, 1H), 7.83 (dq, $J = 8.1, 0.7$ Hz, 1H), 7.77 (dd, $J = 8.2, 1.7$ Hz, 1H), 5.23 (dd, $J = 48.6, 4.0$ Hz, 1H), 5.07 (dd, $J = 17.4, 4.0$ Hz, 1H), 3.95 (s, 3H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -59.92, -108.73 (d, $J = 1.4$ Hz); EIMS m/z 248 ($[\text{M}]^+$).

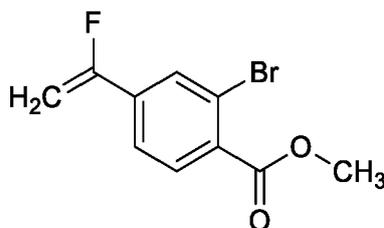
20

[0137] The following compounds were prepared in like manner to the procedure outlined in **Example 6**:

Methyl 2-bromo-4-(1-fluorovinyl)benzoate (C29)

[0138]

25

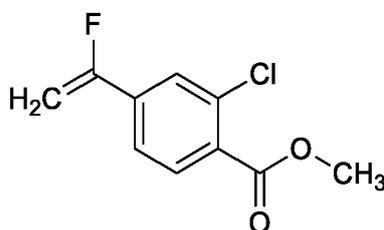


30

35 **[0139]** Isolated as a colorless oil (1.8 g, 93%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.84 (d, $J = 1.7$ Hz, 1H), 7.82 (dd, $J = 8.2, 0.9$ Hz, 1H), 7.50 (d, $J = 1.5$ Hz, 1H), 5.16 (dd, $J = 48.7, 3.9$ Hz, 1H), 5.01 (dd, $J = 17.3, 3.9$ Hz, 1H), 3.94 (d, $J = 2.2$ Hz, 3H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -108.61 (d, $J = 1.5$ Hz); ESIMS m/z 258 ($[\text{M}-\text{H}]^-$).

Methyl 2-chloro-4-(1-fluorovinyl)benzoate (C30)

40 **[0140]**

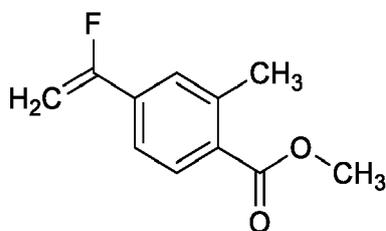


45

50 **[0141]** Isolated as a colorless oil (2.1 g, 99%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.86 (dd, $J = 8.2, 0.9$ Hz, 1H), 7.64 (d, $J = 1.7$ Hz, 1H), 7.48 (dd, $J = 8.3, 1.8$ Hz, 1H), 5.17 (dd, $J = 48.7, 3.8$ Hz, 1H), 5.02 (dd, $J = 17.3, 3.9$ Hz, 1H), 3.94 (s, 3H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -108.63 (d, $J = 1.4$ Hz); ESIMS m/z 214 ($[\text{M}-\text{H}]^-$).

55 **Methyl 2-chloro-4-(1-fluorovinyl)benzoate (C31)**

[0142]

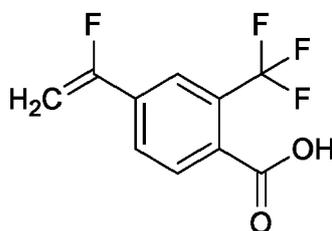


5

10 **[0143]** Isolated as a colorless oil (0.5 g, 85%): ^1H NMR (400 MHz, methanol- d_4) δ 7.90 (d, J = 8.2 Hz, 1H), 7.51 (s, 1H), 7.49 (dd, J = 8.0, 1.6 Hz, 1H), 5.30 (dd, J = 50.1, 3.7 Hz, 1H), 4.95 (dd, J = 18.0, 3.7 Hz, 1H), 3.88 (d, J = 5.9 Hz, 3H), 2.59 (s, 3H); ^{19}F NMR (376 MHz, methanol- d_4) δ -110.41 (d, J = 1.3 Hz); ESIMS m/z 195 ($[\text{M}+\text{H}]^+$).

15 **Example 7: Preparation of 4-(1-fluorovinyl)-2-(trifluoromethyl)benzoic acid (C25)**

[0144]



20

25

30 **Step 1: 4-(2-bromo-1-fluoroethyl)-2-(trifluoromethyl)benzoic acid (C32)** 2-(Trifluoromethyl)-4-vinylbenzoic acid (5.3 g, 24 mmol) was dissolved in dichloromethane (123 mL) at 0 °C, triethylamine trihydrofluoride was added (8.0 mL, 49 mmol) followed by *N*-bromosuccinimide (8.7 g, 49 mmol). The reaction mixture was stirred for 16 hours while warming to room temperature. Water was then added to the mixture, washed with dichloromethane, dried over sodium sulfate, filtered, and concentrated providing the title compound as a yellow oil which was used without further purification (5.0 g, 65%).

35 **Step 2: 4-(1-fluorovinyl)-2-(trifluoromethyl)benzoic acid (C25)** 4-(2-Bromo-1-fluoroethyl)-2-(trifluoromethyl)benzoic acid (4.3 g, 14 mmol) was dissolved in methanol (68 mL) at 0 °C and potassium tert-butoxide (4.6 g, 41 mmol) was added as a solid while stirring. The reaction mixture was allowed to slowly warm to 23 °C and then stirred for 4 hours. Hydrochloric acid (1 N) was slowly added, and the mixture was extracted with ethyl acetate. Purification by flash column chromatography using 0 - 40% acetone/hexanes provided the title compound as an off-white solid (1.7 g, 53%): ^1H NMR (400 MHz, CDCl_3) δ 8.02 (d, J = 8.2 Hz, 1H), 8.00 - 7.93 (m, 1H), 7.82 (dd, J = 8.2, 1.8 Hz, 1H), 5.27 (dd, J = 48.5, 4.1 Hz, 1H), 5.11 (dd, J = 17.3, 4.1 Hz, 1H).

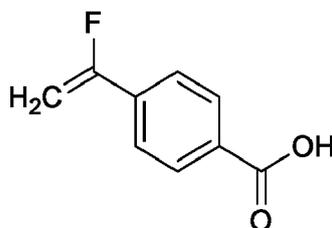
40

[0145] The following compounds were prepared in like manner to the procedure outlined in **Example 7**:

4-(1-Fluorovinyl)benzoic acid (C33)

45

[0146]



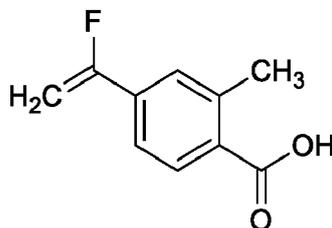
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55

[0147] Isolated as a white solid (6.5 g, 86%): ^1H NMR (400 MHz, CDCl_3) δ 8.13 (d, J = 8.2 Hz, 2H), 7.69 - 7.62 (m, 2H), 5.21 (dd, J = 49.0, 3.7 Hz, 1H), 5.02 (dd, J = 17.5, 3.7 Hz, 1H); ^{19}F NMR (376 MHz, CDCl_3) δ -108.35; ESIMS m/z 165 ($[\text{M}-\text{H}]^-$).

4-(1-Fluorovinyl)-2-methylbenzoic acid (C27)

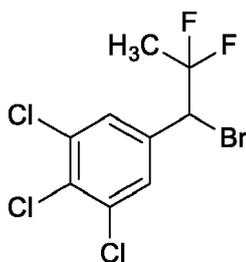
[0148]



[0149] Isolated as a colorless oil (0.165 g, 89%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.12 - 8.03 (m, 1H), 7.46 (dd, J = 5.8, 2.1 Hz, 2H), 5.17 (dd, J = 49.1, 3.7 Hz, 1H), 4.98 (dd, J = 17.5, 3.7 Hz, 1H), 2.68 (s, 3H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -108.50.

Example 8: Preparation of 5-(1-bromo-2,2-difluoropropyl)-1,2,3-trichlorobenzene (C34)

[0150]

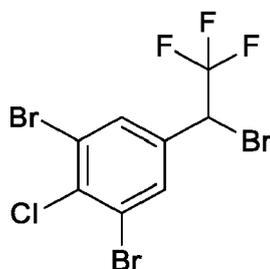


N-Bromosuccinimide (12.0 g, 67.5 mmol) was added to a solution of 2,2-difluoro-1-(3,4,5-trichlorophenyl)propan-1-ol (**C43**) (6.00 g, 21.8 mmol) in dichloromethane (72.6 mL). To this stirred solution was added triphenyl phosphite (17.1 mL, 65.3 mmol) slowly, dropwise, and the reaction mixture became dark brown. The reaction mixture was then heated at reflux for 3 hours. The solvent was concentrated, and the residue was triturated with diethyl ether. The solid was filtered, the filtrate was concentrated and the resultant oil was purified by flash column chromatography using hexanes as eluent to provide the title compound as a clear and colorless oil (2.20 g, 25%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.52 (s, 2H), 4.85 (dd, J = 12.3, 10.4 Hz, 1H), 1.77 (t, J = 18.2 Hz, 3H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -92.14 - -95.01 (m); EIMS m/z 338 ($[\text{M}]^+$).

[0151] The following compounds were prepared in like manner to the procedure outlined in **Example 8**:

1,3-Dibromo-5-(1-bromo-2,2-trifluoroethyl)-2-chlorobenzene (C35)

[0152]

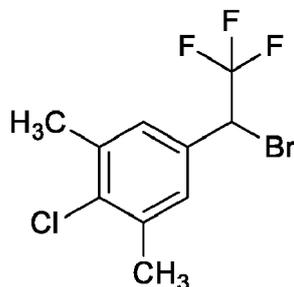


[0153] Isolated as a clear oil (28 g, 56%): $^1\text{H NMR}$ (400 MHz, $\text{DMSO}-d_6$) δ 8.01 - 7.97 (m, 2H), 6.26 - 6.20 (m, 1H); IR (thin film) 1168, 736, 557 cm^{-1} ; ESIMS m/z 428 ($[\text{M}+\text{H}]^+$).

5-(1-Bromo-2,2,2-trifluoroethyl)-2-chloro-1,3-dimethylbenzene (C36)**[0154]**

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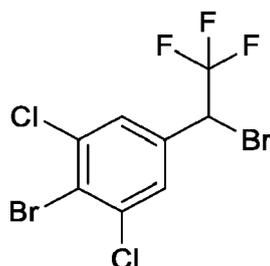
[0155] Isolated as a clear oil (6.32 g, 89%): $^1\text{H NMR}$ (300 MHz, $\text{DMSO-}d_6$) δ 7.39 (s, 2H), 6.17-6.09 (m, 1H), 2.35 (s, 6H); IR (thin film) 1114, 754 cm^{-1} ; ESIMS m/z 302 ($[\text{M}+\text{H}]^+$).

2-Bromo-5-(1-bromo-2,2,2-trifluoroethyl)-1,3-dichlorobenzene (C37)

20

[0156]

25



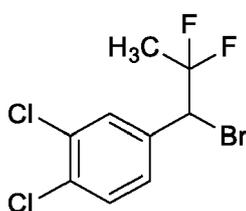
30

[0157] Isolated as a clear oil (19 g, 46%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.54 - 7.51 (m, 2H), 5.03 - 4.98 (m, 1H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -70.38.

35

4-(1-Bromo-2,2-difluoropropyl)-1,2-dichlorobenzene (C38)**[0158]**

40



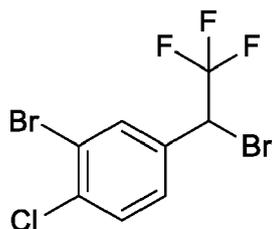
45

[0159] Isolated as a colorless liquid (1.40 g, 65%): $^1\text{H NMR}$ (300 MHz, $\text{DMSO-}d_6$) δ 7.76 - 7.70 (m, 2H), 7.54 (dd, J = 8.4, 1.8 Hz, 1H), 5.81 - 5.73 (m, 1H), 1.67 (d, J = 18.9 Hz, 3H); IR (thin film) 1118, 800, 499 cm^{-1} ; EIMS m/z 304 ($[\text{M}]^+$).

50

2-Bromo-4-(1-bromo-2,2,2-trifluoroethyl)-1-chlorobenzene (C39)**[0160]**

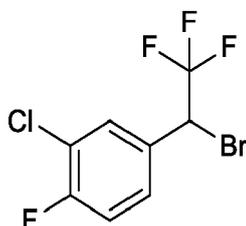
55



10 **[0161]** Isolated as a colorless liquid (10.5 g, 54%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.76 (d, $J = 1.2$ Hz, 1H), 7.49 - 7.47 (m, 1H), 7.41 - 7.39 (m, 1H), 5.07 - 5.02 (m, 1H); IR (thin film) 3437, 2924, 1631, 1114 cm^{-1} ; EIMS m/z 350 ($[\text{M}]^+$).

4-(1-Bromo-2,2,2-trifluoroethyl)-2-chloro-1-fluorobenzene (C40)

15 **[0162]**

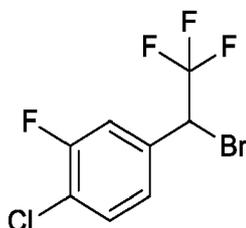


25 **[0163]** Isolated as a colorless oil (8.0 g, 73%): $^1\text{H NMR}$ (300 MHz, CDCl_3) δ 7.59 - 7.57 (m, 1H), 7.42 - 7.33 (m, 1H), 7.20 - 7.14 (m, 1H), 5.10 - 5.03 (m, 1H); IR (thin film) 3429, 2926, 1502, 750 cm^{-1} ; ESIMS m/z 292 ($[\text{M}+\text{H}]^+$).

4-(1-Bromo-2,2,2-trifluoroethyl)-1-chloro-2-fluorobenzene (C41)

30

[0164]

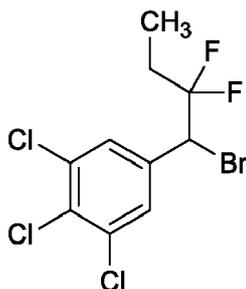


40

[0165] Isolated as a yellow oil (1.1 g, 45%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.44 (dd, $J = 8.3, 7.5$ Hz, 2H), 7.34 (dd, $J = 9.5, 1.9$ Hz, 1H), 7.26 - 7.22 (m, 1H), 5.08 (q, $J = 7.1$ Hz, 1H); EIMS m/z 291 ($[\text{M}]^+$).

45 **Example 9: Preparation of 5-(1-bromo-2,2-difluorobutyl)-1,2,3-trichlorobenzene (C42)**

[0166]

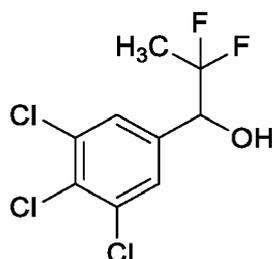


EP 3 407 716 B9

[0167] Triethylamine (2.46 mL, 17.6 mmol) and methanesulfonyl chloride (1.10 mL, 14.1 mmol) were added to a solution of 2,2-difluoro-1-(3,4,5-trichlorophenyl)butan-1-ol (**C44**) (3.40 g, 11.7 mmol) in dichloromethane (58.7 mL). The reaction mixture was stirred for 1 hour, and then pentane was added. Filtration followed by concentration of the filtrate under vacuum provided a white solid. The solid was dissolved in dichloromethane (58.7 mL) to which iron(III) bromide (6.94 g, 23.5 mmol) was added. The reaction mixture was stirred overnight. The mixture was poured into water and then extracted with dichloromethane. The organics were washed with brine, dried over sodium sulfate, filtered, and concentrated. Purification by flash column chromatography using hexanes as eluent provided the title compound as a white solid (3.52 g, 72%): ^1H NMR (400 MHz, CDCl_3) δ 7.51 (s, 2H), 4.85 (t, $J = 12.1$ Hz, 1H), 2.14 - 1.91 (m, 2H), 1.06 (t, $J = 7.5$ Hz, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 135.55, 134.39, 132.52, 129.48, 120.25 (t, $J = 249.0$ Hz), 49.76 (t, $J = 30.3$ Hz), 28.03 (t, $J = 25.2$ Hz), 6.06 (t, $J = 5.1$ Hz); ESIMS m/z 351 ($[\text{M}-\text{H}]^-$).

Example 10: Preparation of 2,2-difluoro-1-(3,4,5-trichlorophenyl)propan-1-ol (**C43**)

[0168]

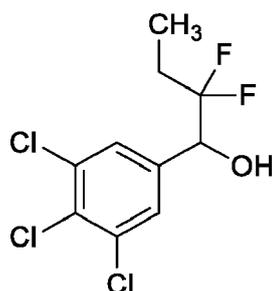


[0169] 2,2-Difluoro-1-(3,4,5-trichlorophenyl)propan-1-one (**C52**) (1.75 g, 6.40 mmol) was dissolved in methanol (64.0 mL) at room temperature and sodium borohydride (0.290 g, 7.68 mmol) was added. The reaction stirred at room temperature for 1 hour, until gas evolution ceased. The reaction mixture was poured into water and extracted with diethyl ether. The organic layer was washed with brine, dried over sodium sulfate, filtered, and concentrated. Purification by flash column chromatography using 0 - 30% acetone/hexanes as eluent provided the title compound as a clear, colorless oil (1.60 g, 91%): ^1H NMR (400 MHz, CDCl_3) δ 7.50 (d, $J = 0.9$ Hz, 2H), 4.81 (td, $J = 8.7, 3.8$ Hz, 1H), 1.65 - 1.41 (m, 3H); ^{19}F NMR (376 MHz, CDCl_3) δ -98.54 - -101.73 (m); IR (thin film) 3405, 1555, 1389 cm^{-1} .

[0170] The following compounds were prepared in like manner to the procedure outlined in **Example 10**:

2,2-Difluoro-1-(3,4,5-trichlorophenyl)butan-1-ol (**C44**)

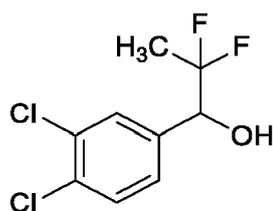
[0171]



[0172] Isolated as a clear and colorless oil (3.4 g, 48%): ^1H NMR (400 MHz, CDCl_3) δ 7.48 (d, $J = 0.9$ Hz, 2H), 4.87 - 4.70 (m, 1H), 2.54 (dt, $J = 4.0, 1.0$ Hz, 1H), 2.06 - 1.82 (m, 1H), 1.82 - 1.63 (m, 1H), 1.02 (t, $J = 7.5$ Hz, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 136.85, 134.20, 131.60, 127.54, 123.19 (t, $J = 248.0$ Hz), 73.71 (t, $J = 30.0$ Hz), 25.05 (t, $J = 24.6$ Hz), 5.35 (t, $J = 5.2$ Hz); EIMS m/z 287 ($[\text{M}]^+$).

1-(3,4-Dichlorophenyl)-2,2-difluoropropan-1-ol (**C45**)

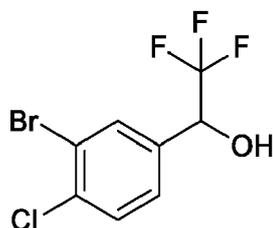
[0173]



10 **[0174]** Isolated as a clear and colorless oil (2.78 g, 89%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.57 (dd, $J = 2.0, 0.9$ Hz, 1H), 7.46 (d, $J = 8.3$ Hz, 1H), 7.33 - 7.27 (m, 1H), 4.83 (td, $J = 8.9, 3.7$ Hz, 1H), 2.55 (dt, $J = 3.8, 1.1$ Hz, 1H), 1.50 (t, $J = 18.9$ Hz, 3H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -99.52 (d, $J = 249.6$ Hz), -101.09 (d, $J = 249.4$ Hz); IR (thin film) 3417 cm^{-1} .

Example 11: Preparation of 1-(3-bromo-4-chlorophenyl)-2,2,2-trifluoroethanol (C46)

15 **[0175]**



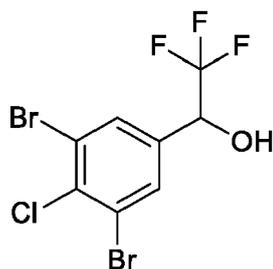
25 **[0176]** Trimethyl(trifluoromethyl)silane (10.1 mL, 68.4 mmol) and tetrabutylammonium fluoride (1.44 g, 4.56 mmol) were added to a stirred solution of 3-bromo-4-chloro-benzaldehyde (10.0 g, 45.6 mmol) in tetrahydrofuran (150 mL) at room temperature and the reaction mixture was stirred for 2 hours. The reaction mixture was diluted with dichloromethane and washed with hydrochloric acid (2 N). The separated organic layer was washed with brine, dried over sodium sulfate, filtered, and concentrated to afford the title compound as a brown liquid that was used without further purification (13.2 g, 94%):

30 $^1\text{H NMR}$ (300 MHz, CDCl_3) δ 7.76 (s, 1H), 7.50 - 7.48 (m, 1H), 7.38 - 7.35 (m, 1H), 5.03 - 4.97 (m, 1H), 2.95 (br s, 1H); IR (thin film) 3406, 2881, 1469, 814 cm^{-1} ; EIMS m/z 288 ($[\text{M}]^+$).

35 **[0177]** The following compounds were prepared in like manner to the procedure outlined in **Example 11**:

1-(3,5-Dibromo-4-chlorophenyl)-2,2,2-trifluoroethanol (C47)

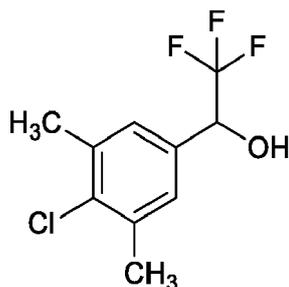
40 **[0178]**



50 **[0179]** Isolated as a pale yellow liquid (7.4 g, 85%): $^1\text{H NMR}$ (400 MHz, $\text{DMSO}-d_6$) δ 7.90 (s, 2H), 7.24 (d, $J = 5.2$ Hz, 1H), 5.33 (d, $J = 6.4$ Hz, 1H); IR (thin film) 3370, 1175, 735, 541 cm^{-1} ; EIMS m/z 366 ($[\text{M}]^+$).

1-(4-Chloro-3,5-dimethylphenyl)-2,2,2-trifluoroethanol (C48)

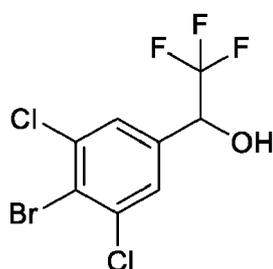
55 **[0180]**



[0181] Isolated as a clear liquid (5.0 g, 70%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.18 (s, 2H), 4.95 - 4.92 (m, 1H), 2.40 (s, 6H); IR (thin film) 3378, 1124, 833 cm^{-1} ; EIMS m/z 238 ($[\text{M}]^+$).

15 **1-(4-Bromo-3,5-dichlorophenyl)-2,2,2-trifluoroethanol (C49)**

[0182]

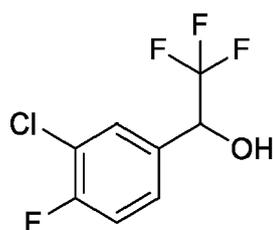


[0183] Isolated as a clear oil (33 g, 86%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.51 (s, 2H), 5.01 - 4.96 (m, 1H), 4.14 - 4.09 (m, 1H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -78.32.

30

1-(3-Chloro-4-fluorophenyl)-2,2,2-trifluoroethanol (C50)

[0184]



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[0185] Isolated as a clear and brown gum (7.0 g, 97%): $^1\text{H NMR}$ (300 MHz, CDCl_3) δ 7.58 - 7.55 (m, 1H), 7.38 - 7.33 (m, 1H), 7.20 - 7.15 (m, 1H), 5.03 - 4.97 (m, 1H); EIMS m/z 228 ($[\text{M}]^+$).

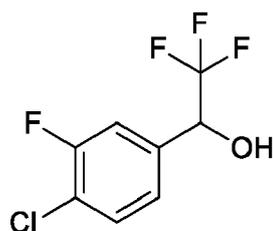
45

1-(4-Chloro-3-fluorophenyl)-2,2,2-trifluoroethanol (C51)

[0186]

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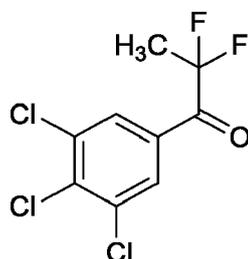
55



10 **[0187]** Isolated as a clear and colorless oil (1.97 g, 75%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.52 - 7.37 (m, 1H), 7.32 (d, $J = 9.6$ Hz, 1H), 7.21 (d, $J = 8.3$ Hz, 1H), 5.03 (dd, $J = 6.3, 3.6$ Hz, 1H), 2.62 (d, $J = 4.0$ Hz, 1H); $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 158.06 ($J_{\text{CF}} = 250.4$), 134.40 (d, $J_{\text{CF}} = 6.6$ Hz), 130.79, 123.83 (d, $J_{\text{CF}} = 3.5$ Hz), 122.4 (q, $J_{\text{CF}} = 188.9$ Hz), 115.8 (d, $J = 25.3$ Hz), 71.65 (q, $J_{\text{CF}} = 31.6$ Hz); EIMS m/z 228 ($[\text{M}]^+$).

15 **Example 12: Preparation of 2,2-difluoro-1-(3,4,5-trichlorophenyl) propan-1-one (C52)**

[0188]

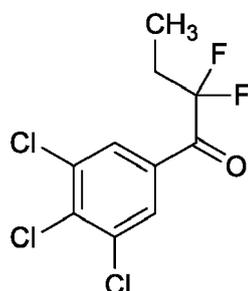


30 **[0189]** To 5-bromo-1,2,3-trichlorobenzene (2.28 g, 8.76 mmol) dissolved in diethyl ether (39.8 mL) at -78 °C under nitrogen was added *n*-butyllithium (3.50 mL, 8.76 mmol). The solution was stirred for 30 minutes. To this was added ethyl 2,2-difluoropropanoate (1.10 g, 7.96 mmol, as a 20% w/w solution in toluene) dropwise over 10 minutes, and the reaction mixture was stirred for an additional hour. Saturated aqueous ammonium chloride solution was added to the mixture and stirring was continued as the reaction flask warmed to room temperature. The reaction mixture was then extracted with diethyl ether, washed with water and brine, dried over sodium sulfate, filtered, and concentrated. Purification by flash column chromatography provided the title compound as a pale yellow oil (1.76 g, 73%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.11 (d, $J = 0.9$ Hz, 2H), 1.89 (t, $J = 19.6$ Hz, 3H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -92.66; ESIMS m/z 271 ($[\text{M}-\text{H}]^-$).

35 **[0190]** The following compounds were prepared in like manner to the procedure outlined in **Example 12**:

40 **2,2-Difluoro-1-(3,4,5-trichlorophenyl)butan-1-one (C53)**

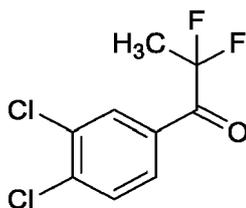
[0191]



55 **[0192]** Isolated as an oil (2.3 g, 68%) and used without further purification or characterization.

1-(3,4-Dichlorophenyl)-2,2-difluoropropan-1-one (C54)

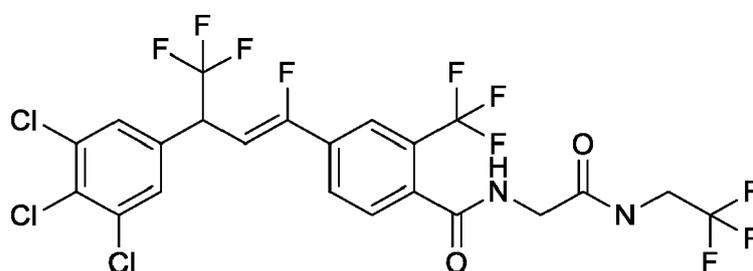
[0193]



[0194] Isolated as a colorless oil (3.89 g, 71%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.21 - 8.18 (m, 1H), 7.99 - 7.93 (m, 1H), 7.59 (dd, $J = 8.4, 4.2$ Hz, 1H), 1.89 (t, $J = 19.6$ Hz, 3H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -92.08--93.21 (m); EIMS m/z 238/240 ($[\text{M}]^+$).

Example 13: Preparation of (Z)-N-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F1)

[0195]

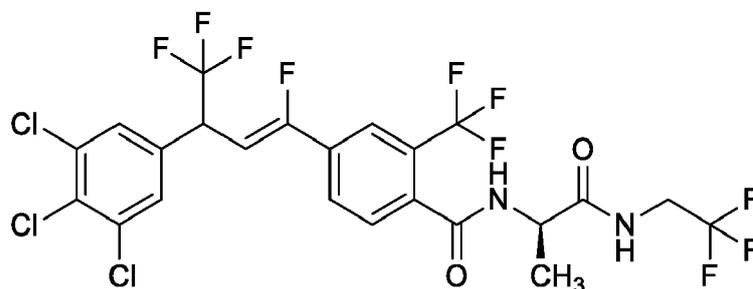


[0196] To a 25 mL vial was added (Z)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (**C2**) (0.105 g, 0.210 mmol) and dichloromethane (4 mL) to give a yellow solution. 2-Amino-N-(2,2,2-trifluoroethyl)acetamide hydrochloride (0.0610 g, 0.320 mmol) and benzotriazol-1-yl-oxytripyrrolidinophosphonium hexafluorophosphate (0.165 g, 0.320 mmol) were then added. Triethylamine (0.120 mL, 0.850 mmol) was added and the reaction mixture was stirred at room temperature overnight. The reaction mixture was then concentrated and purified by flash column chromatography providing the title compound as a yellow gum (0.105 g, 74%).

[0197] The following compounds were prepared according to the procedures disclosed in **Example 13**:

N-((R)-1-Oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-4-((Z)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F2)

[0198]

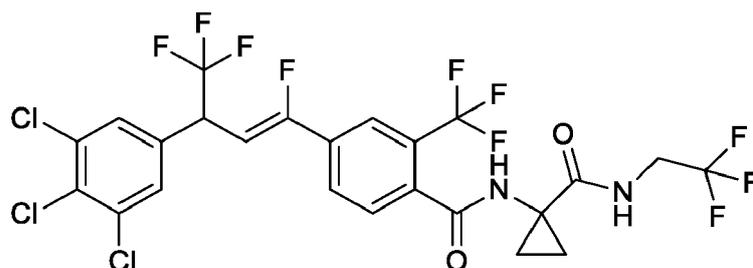


[0199] Isolated as a yellow gum (0.120 g, 83%).

(Z)-4-(1,4,4,4-Tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-N-(1-((2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F3)

[0200]

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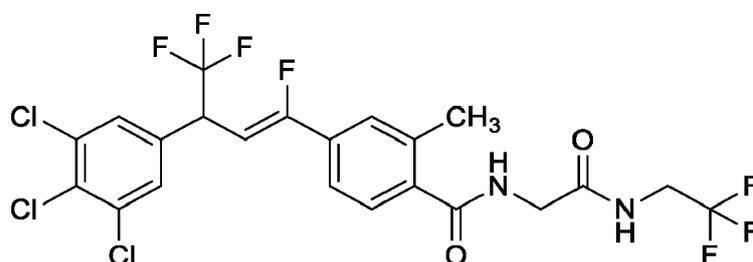
[0201] Isolated as a colorless oil (0.022 g, 48%).

(*Z*)-2-Methyl-*N*-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (F6)

15

[0202]

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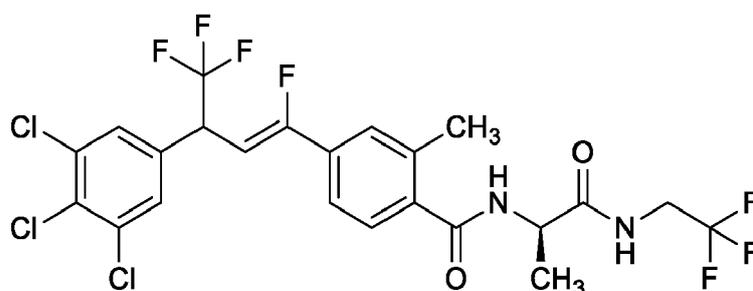
[0203] Isolated as an off-white gum (0.090 g, 86%).

2-Methyl-*N*-((*R*)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-4-((*Z*)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (F7)

35

[0204]

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[0205] Isolated as an off-white gum (0.088 g, 78%).

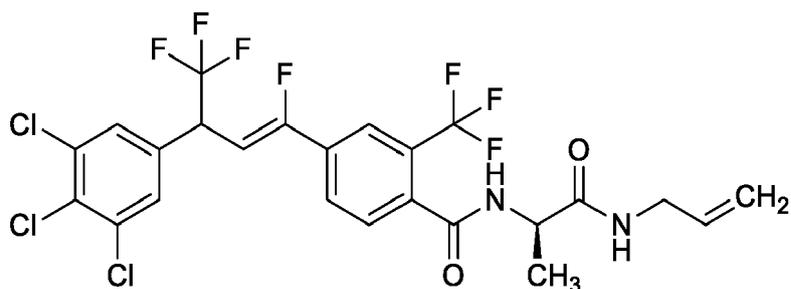
N-((*R*)-1-(Allylamino)-1-oxopropan-2-yl)-4-((*Z*)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F11)

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[0206]

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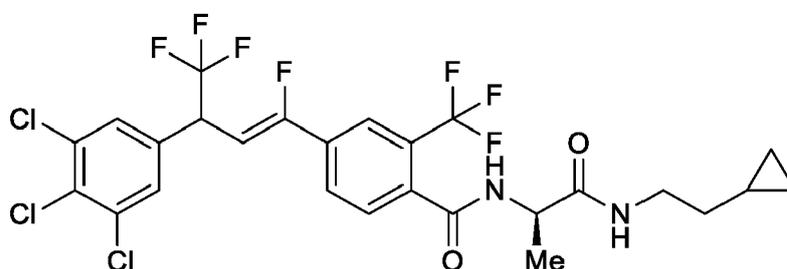
[0207] Isolated as a yellow gum (0.069 g, 76%).

15

N-((*R*)-1-((2-Cyclopropylethyl)amino)-1-oxopropan-2-yl)-4-((*Z*)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F12)

[0208]

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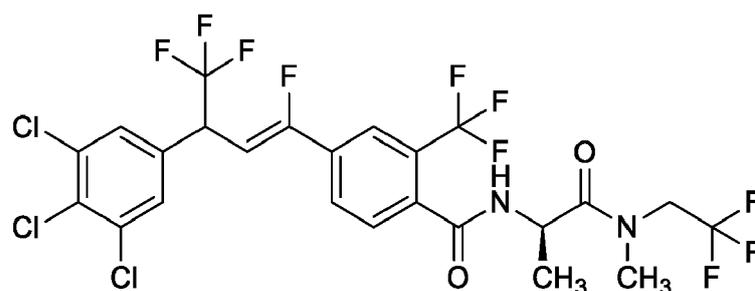
[0209] Isolated as a yellow gum (0.099 g, 77%).

30

N-((*R*)-1-(Methyl(2,2,2-trifluoroethyl)amino)-1-oxopropan-2-yl)-4-((*Z*)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F13)

[0210]

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[0211] Isolated as a yellow gum (0.032 g, 46%).

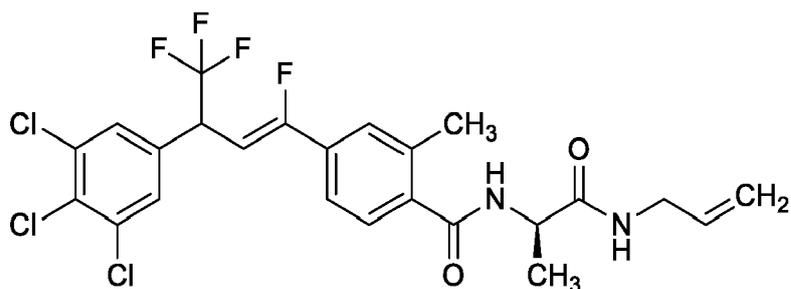
50

N-((*R*)-1-(Allylamino)-1-oxopropan-2-yl)-2-methyl-4-((*Z*)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (F14)

[0212]

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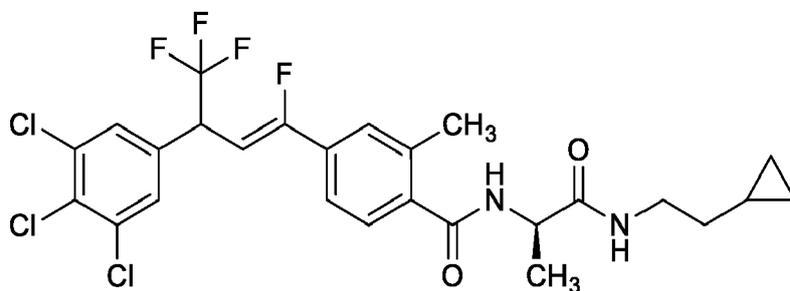
[0213] Isolated as a yellow gum (0.031 g, 52%).

15

N-((*R*)-1-((2-Cyclopropylethyl)amino)-1-oxopropan-2-yl)-2-methyl-4-((*Z*)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (F15)

[0214]

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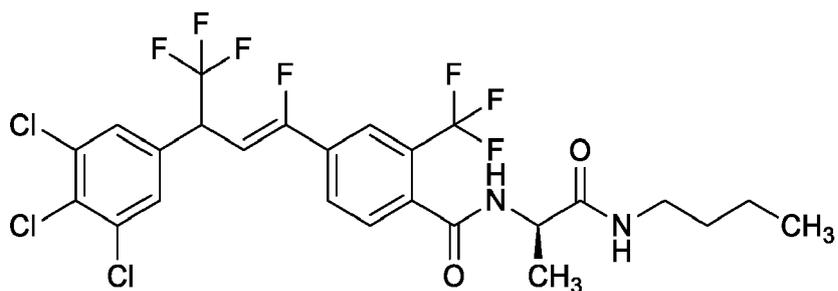
[0215] Isolated as a yellow gum (0.026 g, 42%).

N-((*R*)-1-(Butylamino)-1-oxopropan-2-yl)-4-((*Z*)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F16)

35

[0216]

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45

[0217] Isolated as a colorless oil (0.032 g, 39%).

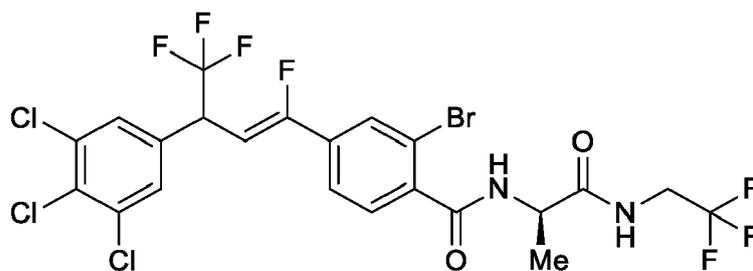
50

2-Bromo-*N*-((*R*)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-4-((*Z*)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (F18)

[0218]

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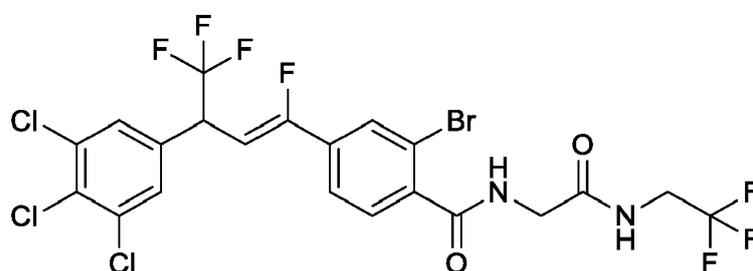
[0219] Isolated as a colorless oil (0.065 g, 77%).

(Z)-2-Bromo-N-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (F19)

15

[0220]

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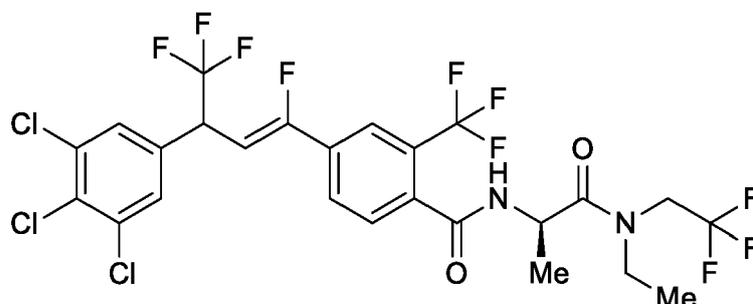
[0221] Isolated as a colorless oil (0.051 g, 62%).

N-((R)-1-(Ethyl(2,2,2-trifluoroethyl)amino)-1-oxopropan-2-yl)-4-((Z)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F20)

35

[0222]

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45

[0223] Isolated as a colorless oil (0.025 g, 55%).

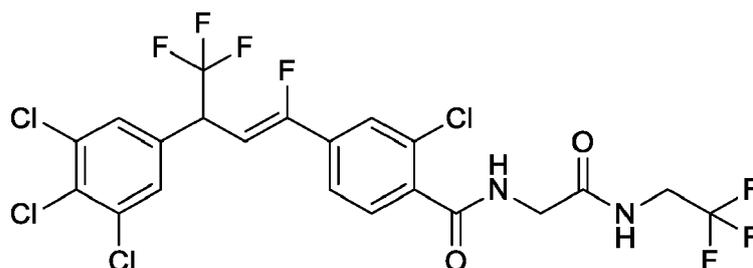
(Z)-2-Chloro-N-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (F22)

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[0224]

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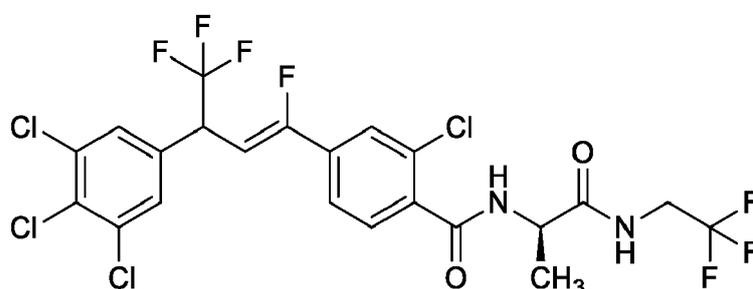
[0225] Isolated as a colorless oil (0.116 g, 85%).

2-Chloro-*N*-((*R*)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-4-((*Z*)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (F23)

15

[0226]

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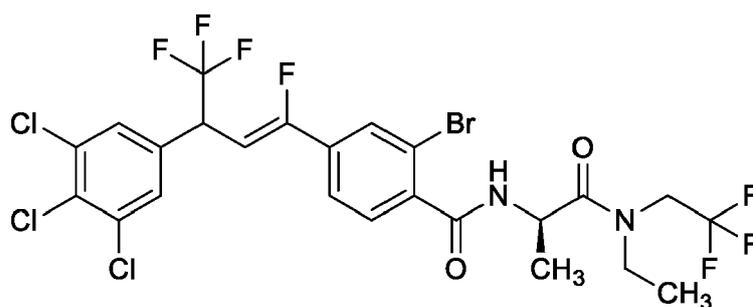
[0227] Isolated as a colorless oil (0.090 g, 64%).

30

2-Bromo-*N*-((*R*)-1-(ethyl(2,2,2-trifluoroethyl)amino)-1-oxopropan-2-yl)-4-((*Z*)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (F25)

[0228]

35



45

[0229] Isolated as a yellow oil (0.096 g, 84%).

2-Methyl-*N*-((*R*)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-4-((*Z*)-1,4,4-trifluoro-3-(3,4,5-trichlorophenyl)pent-1-en-1-yl)benzamide (F26)

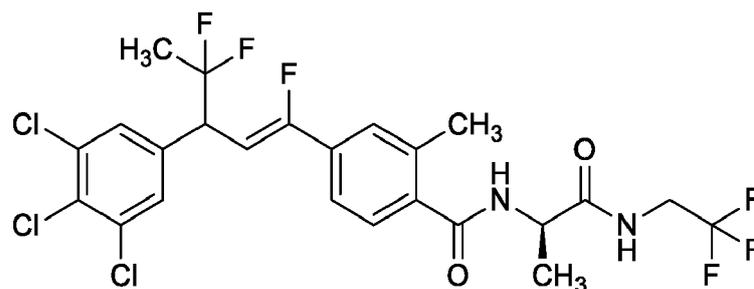
50

[0230]

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[0231] Isolated as a yellow foam (0.035 g, 66%).

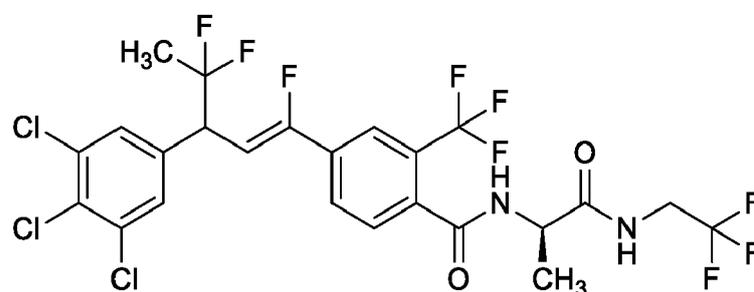
15

N-((R)-1-Oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-4-((Z)-1,4,4-trifluoro-3-(3,4,5-trichlorophenyl)pent-1-en-1-yl)-2-(trifluoromethyl)benzamide (F29)

[0232]

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[0233] Isolated as a white foam (0.058 g, 80%).

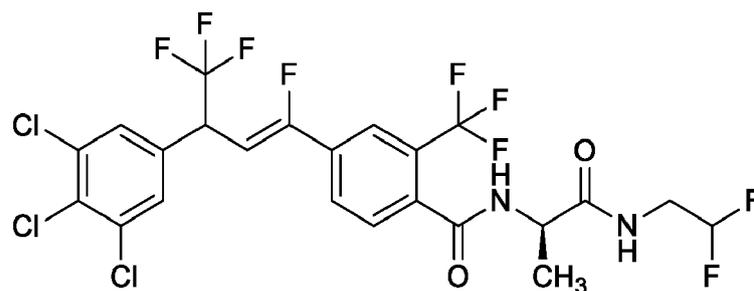
N-((R)-1-((2,2-Difluoroethyl)amino)-1-oxopropan-2-yl)-4-((Z)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F30)

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[0234]

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[0235] Isolated as a yellow oil (0.132 g, 89%).

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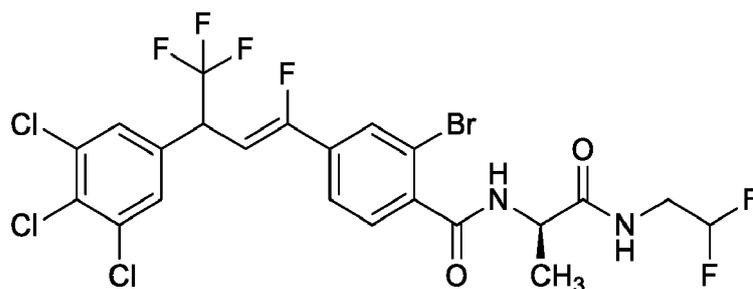
2-Bromo-N-((R)-1-((2,2-difluoroethyl)amino)-1-oxopropan-2-yl)-4-((Z)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (F31)

[0236]

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[0237] Isolated as a yellow oil (0.079 g, 74%).

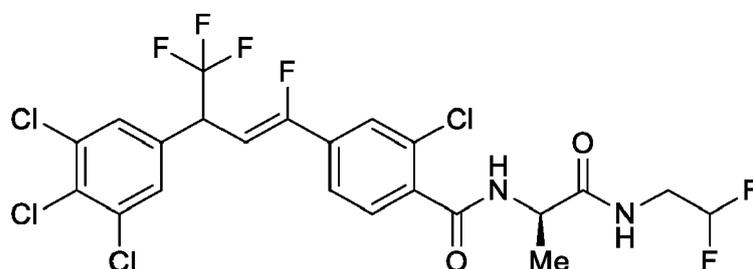
15

2-Chloro-N-((R)-1-((2,2-difluoroethyl)amino)-1-oxopropan-2-yl)-4-((Z)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (F32)

[0238]

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[0239] Isolated as a white solid (0.103 g, 76%).

30

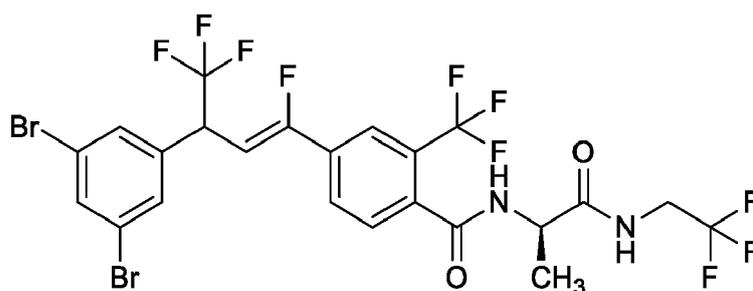
4-((Z)-3-(3,5-Dibromophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F33)

[0240]

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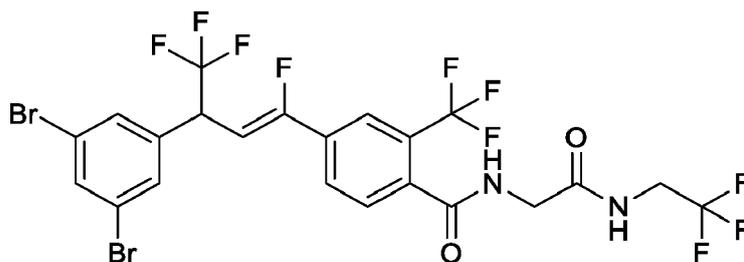
[0241] Isolated as a pale yellow solid (0.140 g, 57%).

50

(Z)-4-(3-(3,5-Dibromophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-2-(trifluoromethyl)benzamide (F35)

[0242]

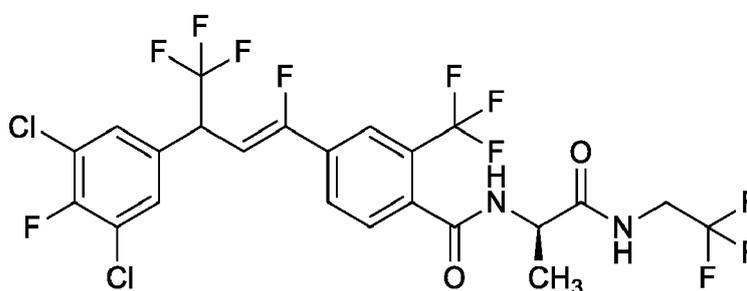
55



[0243] Isolated as a pale yellow solid (0.145 g, 62%).

4-((Z)-3-(3,5-Dichloro-4-fluorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F36)

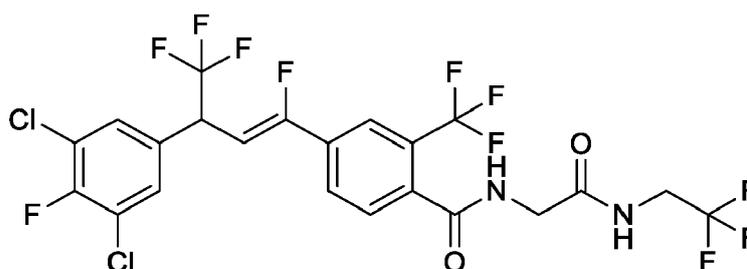
15 [0244]



30 [0245] Isolated as a pale yellow solid (0.170 g, 61%).

(Z)-4-(3-(3,5-Dichloro-4-fluorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-2-(trifluoromethyl)benzamide (F38)

35 [0246]

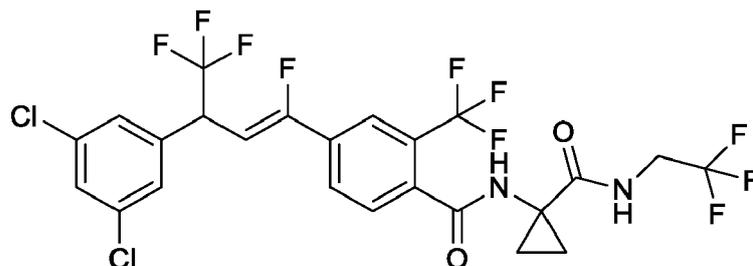


50 [0247] Isolated as a pale yellow solid (0.105 g, 52%).

(Z)-4-(3-(3,5-Dichlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(1-((2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F39)

55 [0248]

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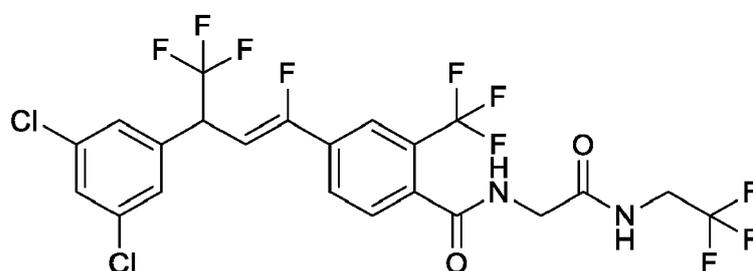
[0249] Isolated as a brown gum (0.110 g, 38%).

(Z)-4-(3-(3,5-Dichlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-2-(trifluoromethyl)benzamide (F40)

15

[0250]

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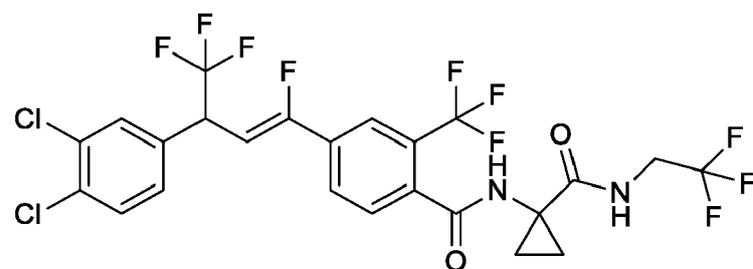
[0251] Isolated as a brown gum (0.120 g, 40%).

(Z)-4-(3-(3,4-Dichlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(1-((2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F41)

30

[0252]

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[0253] Isolated as a pale yellow solid (0.110 g, 32%).

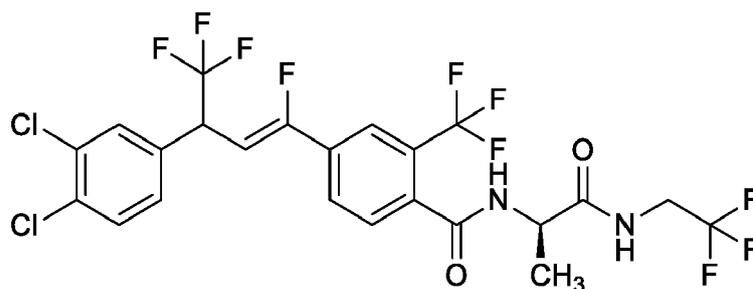
4-((Z)-3-(3,4-Dichlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F42)

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[0254]

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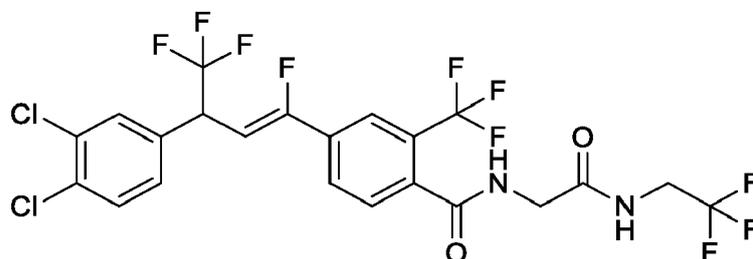
[0255] Isolated as a brown solid (0.180 g, 65%).

15

(Z)-4-(3-(3,4-Dichlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-2-(trifluoromethyl)benzamide (F43)

[0256]

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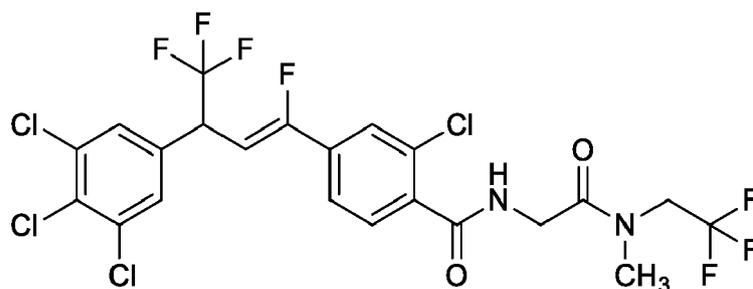
[0257] Isolated as a brown solid (0.130 g, 40%).

30

(Z)-2-Chloro-N-(2-(methyl(2,2,2-trifluoroethyl)amino)-2-oxoethyl)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (F47)

[0258]

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45

[0259] Isolated as a colorless gum (0.099 g, 83%).

50

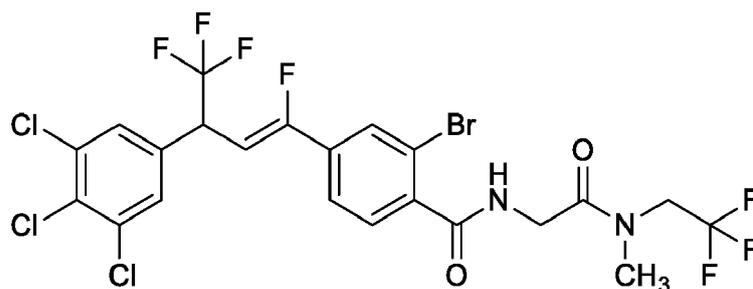
(Z)-2-Bromo-N-(2-(methyl(2,2,2-trifluoroethyl)amino)-2-oxoethyl)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (F48)

[0260]

55

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[0261] Isolated as a colorless gum (0.094 g, 81%).

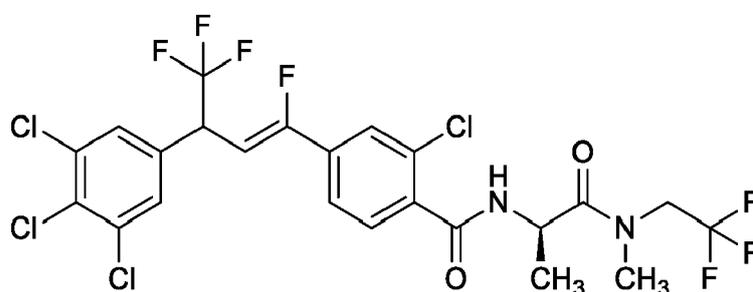
15

2-Chloro-*N*-((*R*)-1-(methyl(2,2,2-trifluoroethyl)amino)-1-oxopropan-2-yl)-4-((*Z*)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (F49)

[0262]

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[0263] Isolated as a colorless gum (0.107 g, 88%).

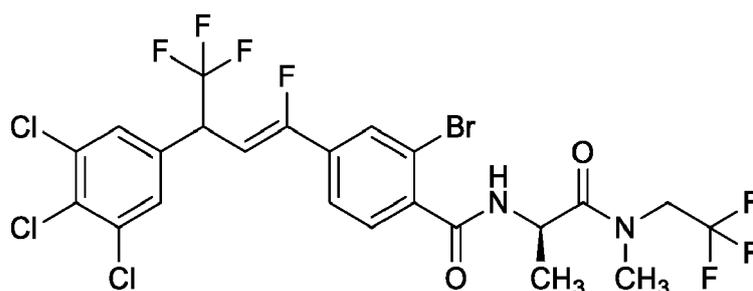
2-Bromo-*N*-((*R*)-1-(methyl(2,2,2-trifluoroethyl)amino)-1-oxopropan-2-yl)-4-((*Z*)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (F50)

35

[0264]

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[0265] Isolated as a colorless gum (0.082 g, 69%).

50

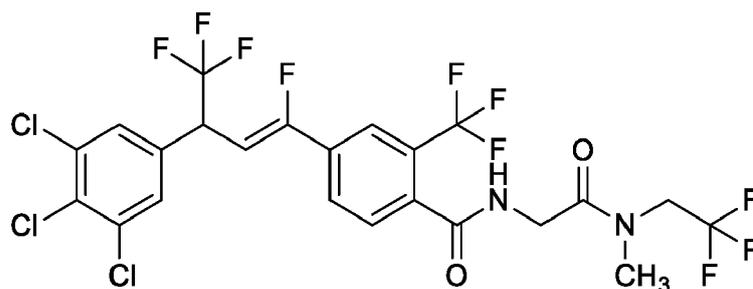
(*Z*)-*N*-(2-(Methyl(2,2,2-trifluoroethyl)amino)-2-oxoethyl)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F51)

[0266]

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[0267] Isolated as a yellow gum (0.107 g, 92%).

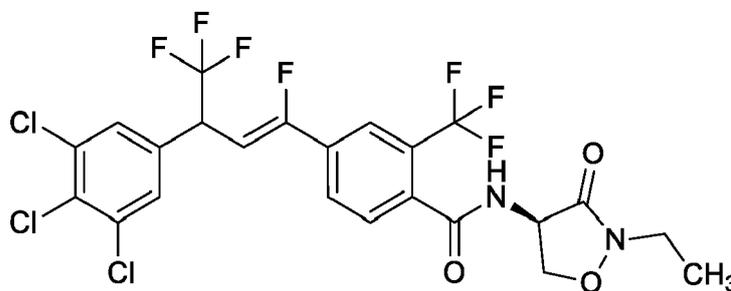
15

N-((R)-2-Ethyl-3-oxisoxazolidin-4-yl)-4-((Z)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F53)

[0268]

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[0269] Isolated as a brown gum (0.100 g, 41%).

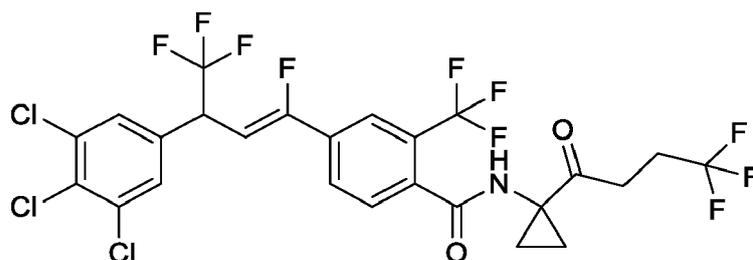
(Z)-4-(1,4,4,4-Tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-N-(1-(4,4,4-trifluorobutanoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F56)

35

[0270]

40

45



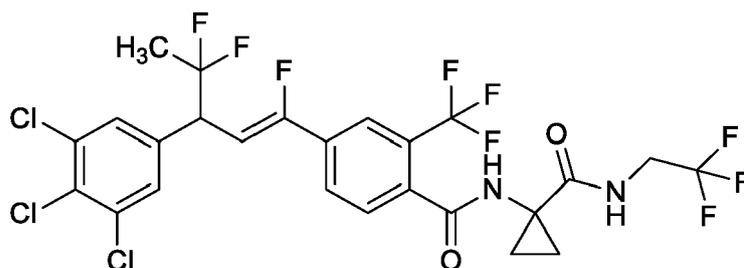
[0271] Isolated as a yellow oil (0.092 g, 66%).

50

(Z)-4-(1,4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)pent-1-en-1-yl)-N-(1-((2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F58)

[0272]

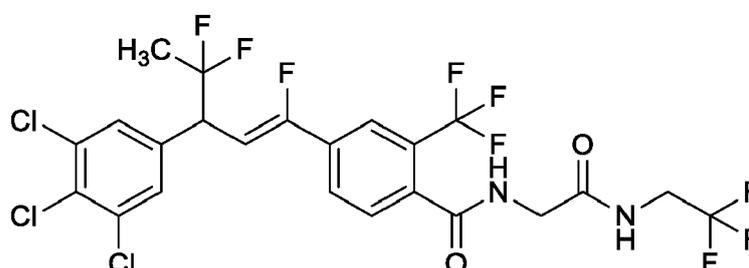
55



[0273] Isolated as a white gum (0.070 g, 85%).

(Z)-N-(2-Oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-4-(1,4,4-trifluoro-3-(3,4,5-trichlorophenyl)pent-1-en-1-yl)-2-(trifluoromethyl)benzamide (F62)

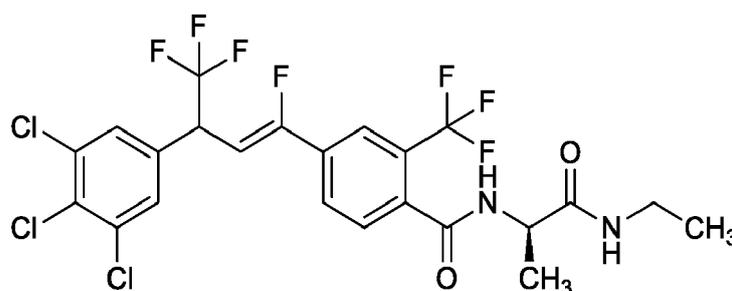
15 [0274]



[0275] Isolated as a white foam (0.049 g, 64%).

30 N-((R)-1-(Ethylamino)-1-oxopropan-2-yl)-4-((Z)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F63)

[0276]



45 [0277] Isolated as a yellow oil (0.089 g, 88%).

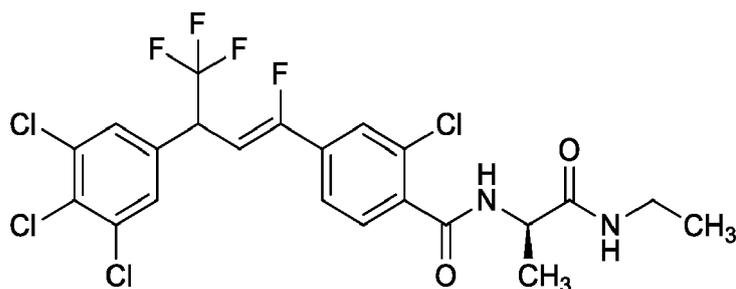
2-Chloro-N-((R)-1-(ethylamino)-1-oxopropan-2-yl)-4-((Z)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (F64)

50 [0278]

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[0279] Isolated as a white gum (0.035 g, 45%).

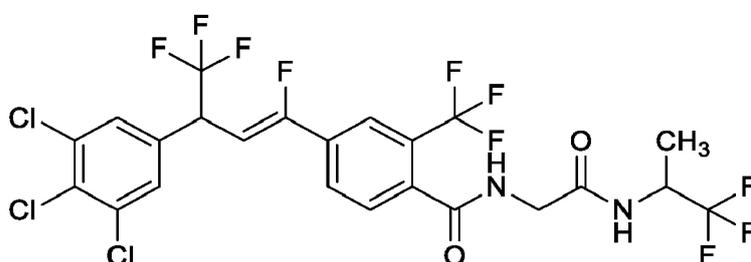
15

(*Z*)-*N*-(2-Oxo-2-((1,1,1-trifluoropropan-2-yl)amino)ethyl)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F65)

[0280]

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30

[0281] Isolated as a yellow gum (0.108 g, 98%).

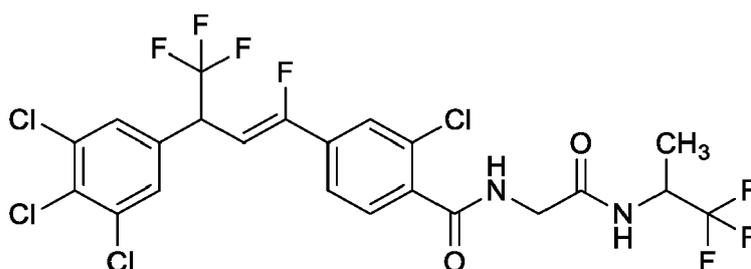
(*Z*)-2-Chloro-*N*-(2-oxo-2-((1,1,1-trifluoropropan-2-yl)amino)ethyl)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (F66)

[0282]

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45



[0283] Isolated as a colorless gum (0.048 g, 98%).

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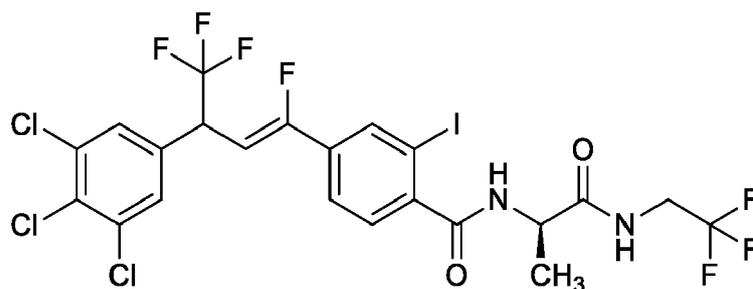
2-Iodo-*N*-((*R*)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-4-((*Z*)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (F67)

[0284]

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[0285] Isolated as a brown oil (0.162 g, 64%).

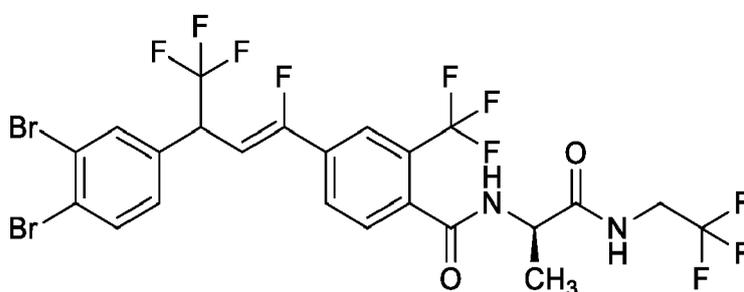
15

4-((Z)-3-(3,4-Dibromophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F79)

[0286]

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30

[0287] Isolated as a pale yellow solid (0.140 g, 55%).

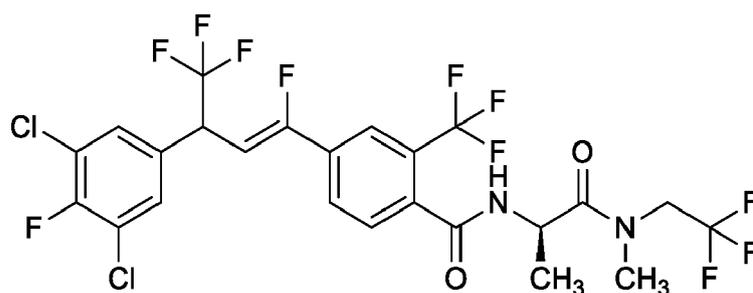
4-((Z)-3-(3,5-Dichloro-4-fluorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F81)

35

[0288]

40

45



[0289] Isolated as a yellow gum (0.152 g, 60%).

50

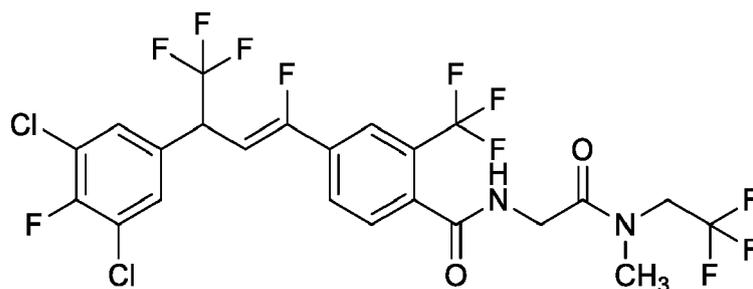
(Z)-4-((Z)-3-(3,5-Dichloro-4-fluorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F82)

[0290]

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[0291] Isolated as a yellow gum (0.182 g, 64%).

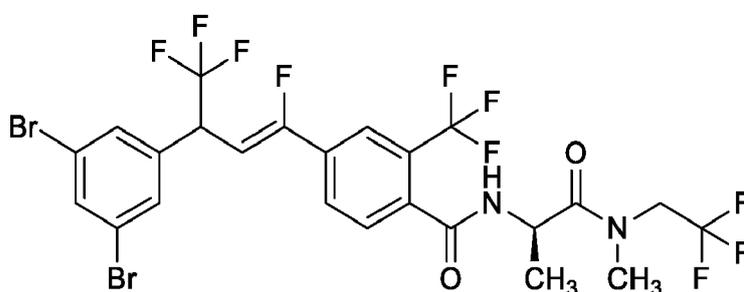
15

4-((Z)-3-(3,5-Dibromophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-(methyl(2,2,2-trifluoroethyl)amino)-1-oxopropan-2-yl)-2-(trifluoromethyl)benzamide (F83)

[0292]

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30

[0293] Isolated as a yellow gum (0.155 g, 56%).

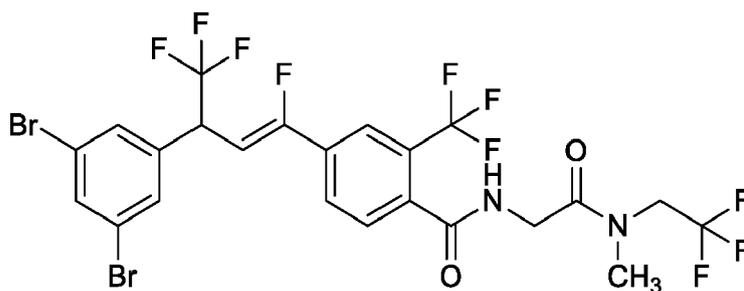
(Z)-4-(3-(3,5-Dibromophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(2-(methyl(2,2,2-trifluoroethyl)amino)-2-oxoethyl)-2-(trifluoromethyl)benzamide (F84)

35

[0294]

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45



[0295] Isolated as a yellow gum (0.180 g, 67%).

50

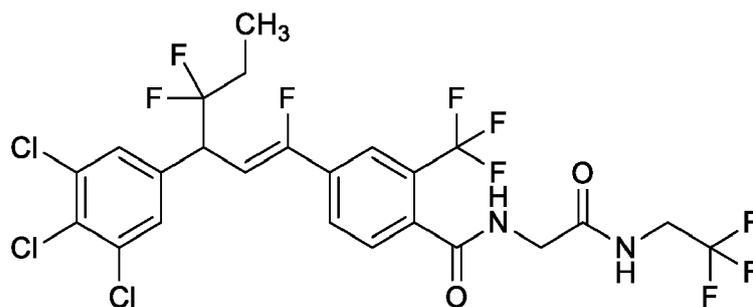
(Z)-N-(2-Oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-4-(1,4,4-trifluoro-3-(3,4,5-trichlorophenyl)hex-1-en-1-yl)-2-(trifluoromethyl)benzamide (F87)

[0296]

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10



[0297] Isolated as a white gum (0.052 g, 68%).

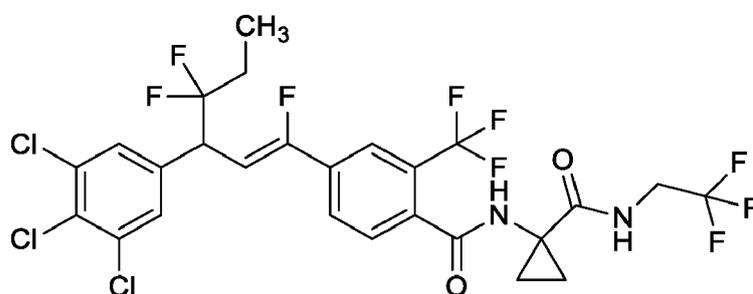
15

(Z)-4-(1,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)hex-1-en-1-yl)-N-(1-((2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F88)

[0298]

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30

[0299] Isolated as a white foam (0.048 g, 60%).

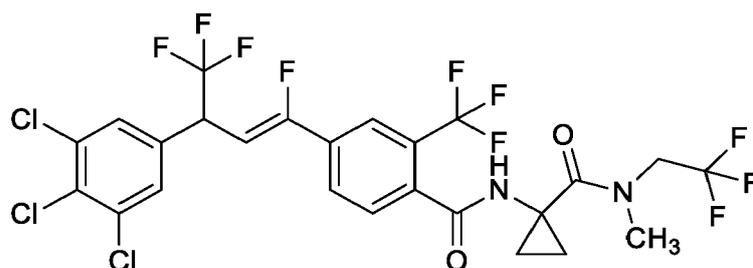
(Z)-N-(1-(Methyl(2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F96)

35

[0300]

40

45



[0301] Isolated as a yellow gum (0.073 g, 64%).

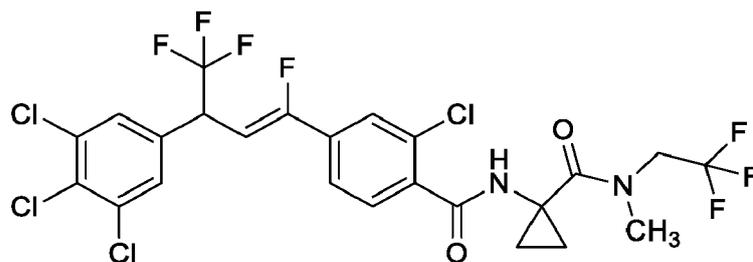
50

(Z)-2-Chloro-N-(1-(methyl(2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (F97)

[0302]

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5



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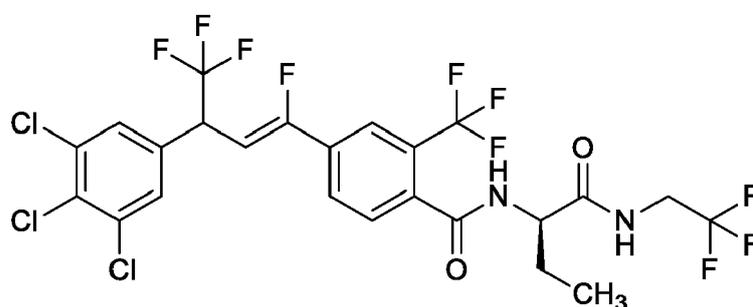
[0303] Isolated as a yellow oil (0.021 g, 18%).

N-((*R*)-1-Oxo-1-((2,2,2-trifluoroethyl)amino)butan-2-yl)-4-((*Z*)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F98)

15

[0304]

20



25

[0305] Isolated as a yellow gum (0.145 g, 98%).

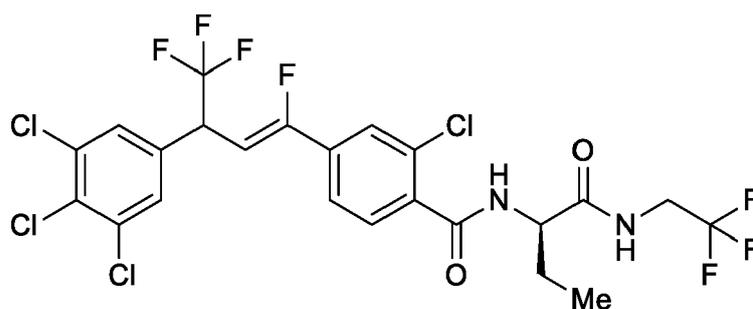
30

2-Chloro-*N*-((*R*)-1-oxo-1-((2,2,2-trifluoroethyl)amino)butan-2-yl)-4-((*Z*)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (F100)

35

[0306]

40



45

[0307] Isolated as a colorless gum (0.035 g, 40%).

N-((*R*)-3-Oxo-2-(2,2,2-trifluoroethyl)isoxazolidin-4-yl)-4-((*Z*)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F106)

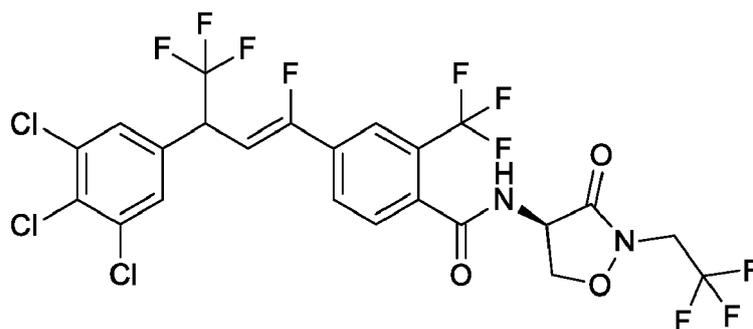
50

[0308]

55

5

10



[0309] Isolated as a yellow gum (0.100 g, 31%).

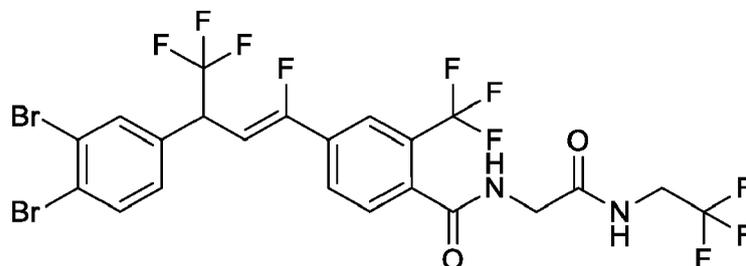
15

(Z)-4-(3-(3,4-Dibromophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-2-(trifluoromethyl)benzamide (F107)

[0310]

20

25



30

[0311] Isolated as a pale yellow solid (0.126 g, 48%).

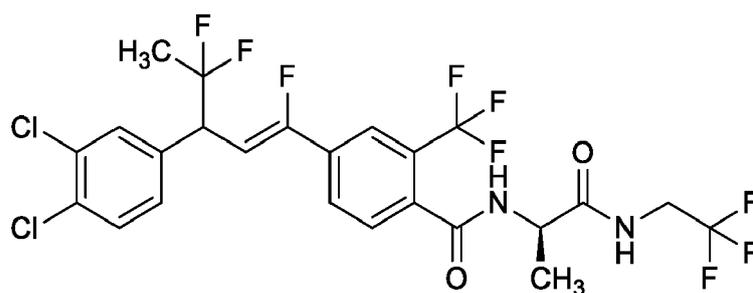
4-((Z)-3-(3,4-Dichlorophenyl)-1,4,4-trifluoropent-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F112)

35

[0312]

40

45



[0313] Isolated as a white foam (0.052 g, 58%).

50

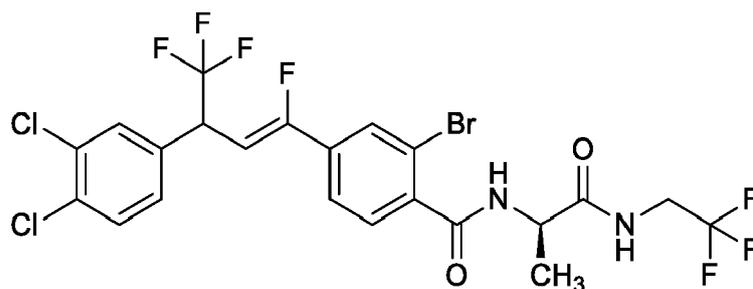
2-Bromo-4-((Z)-3-(3,4-dichlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)benzamide (F123)

[0314]

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5

10



[0315] Isolated as a yellow gum (0.073 g, 63%).

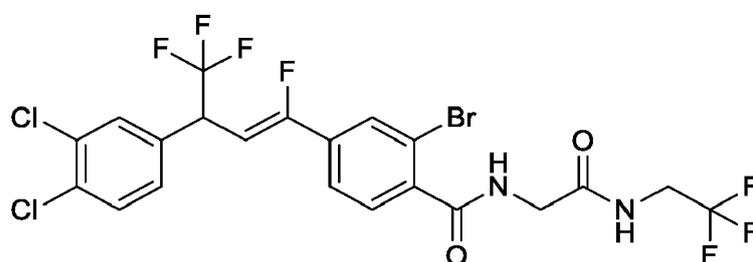
15

(Z)-2-Bromo-4-(3-(3,4-dichlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)benzamide (F124)

[0316]

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25



[0317] Isolated as a yellow gum (0.065 g, 60%).

30

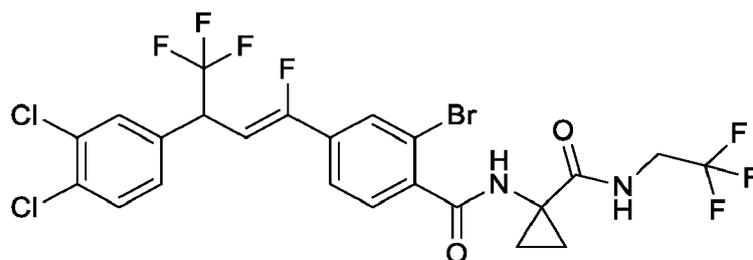
(Z)-2-Bromo-4-(3-(3,4-dichlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(1-((2,2,2-trifluoroethyl)carbamoyl)cyclopropyl) benzamide (F125)

[0318]

35

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45



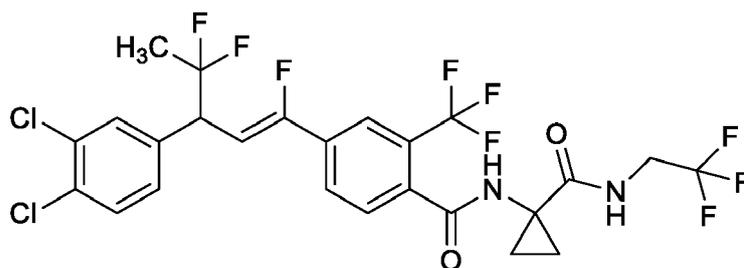
[0319] Isolated as a yellow gum (0.094 g, 77%).

50

(Z)-4-(3-(3,4-Dichlorophenyl)-1,4,4-trifluoropent-1-en-1-yl)-N-(1-((2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F128)

[0320]

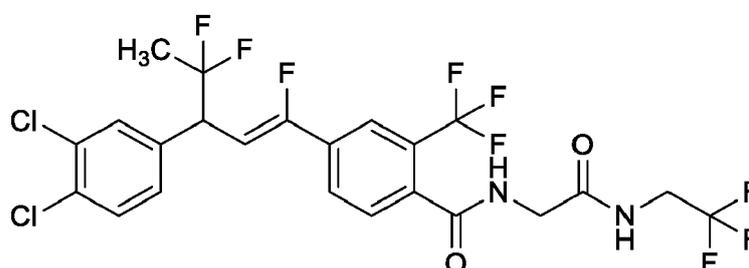
55



[0321] Isolated as a white gum (0.045 g, 55%).

(Z)-4-(3-(3,4-Dichlorophenyl)-1,4,4-trifluoropent-1-en-1-yl)-N-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-2-(trifluoromethyl)benzamide (F129)

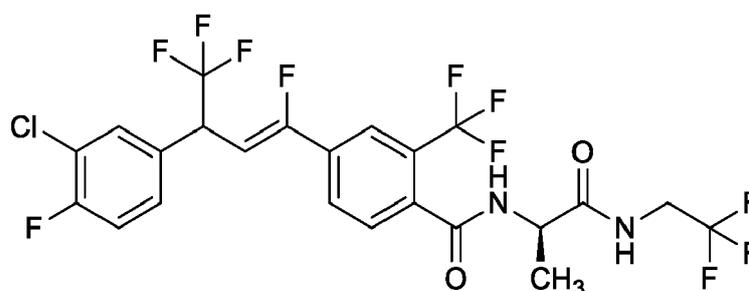
15 [0322]



[0323] Isolated as a white gum (0.070 g, 90%).

30 4-((Z)-3-(3-Chloro-4-fluorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F132)

[0324]

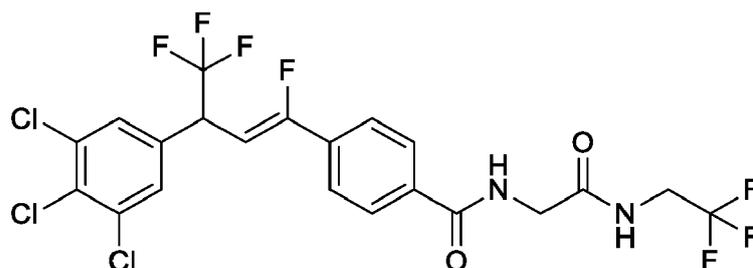


45 [0325] Isolated as a yellow gum (0.121 g, 43%).

(Z)-N-(2-Oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (FC1)

50 [0326]

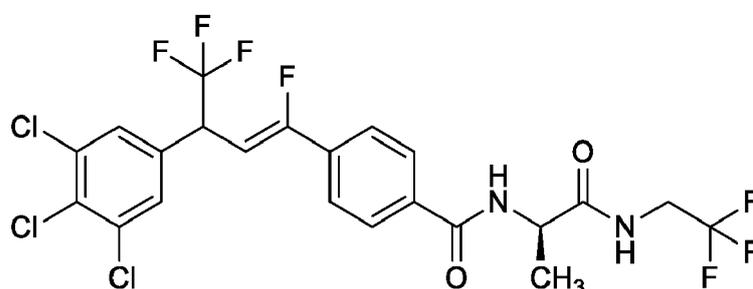
55



[0327] Isolated as a white glass (0.043 g, 54%).

N-((*R*)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-4-((*Z*)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (FC2)

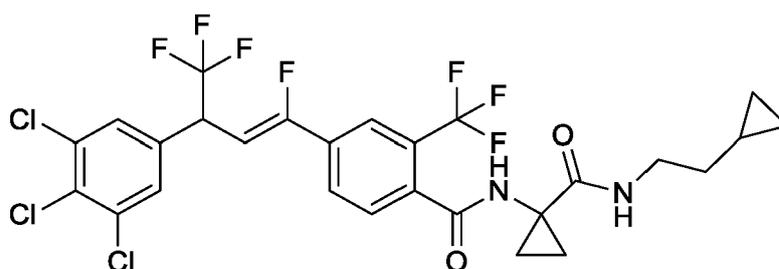
[0328]



[0329] Isolated as a white foam (0.067 g, 82%).

Example 14: Preparation of (Z)-*N*-(1-((2-cyclopropylethyl)carbamoyl)cyclopropyl)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F4)

[0330]

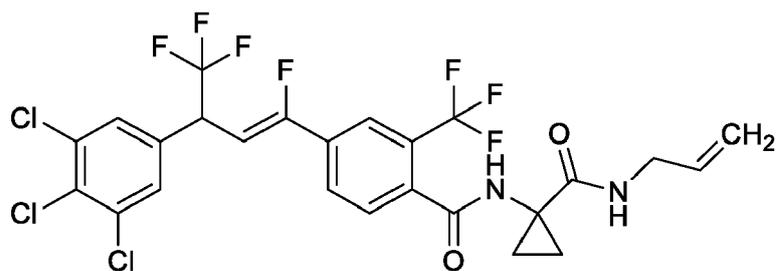


[0331] To a 25 mL round-bottomed flask was added (Z)-5-(4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)phenyl)-6-oxa-4-azaspiro[2.4]hept-4-en-7-one (C56) (0.040 g, 0.071 mmol) and 2-cyclopropylethanamine (0.010 g, 0.11 mmol) in dichloromethane (1 mL) to give a colorless solution. The reaction mixture was stirred at room temperature overnight. The reaction mixture was concentrated. Purification by flash column chromatography provided the title compound as a colorless oil (0.046 g, 95%).

[0332] The following compounds were prepared according to the procedures disclosed in **Example 14**:

(Z)-*N*-(1-(Allylcarbamoyl)cyclopropyl)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F5)

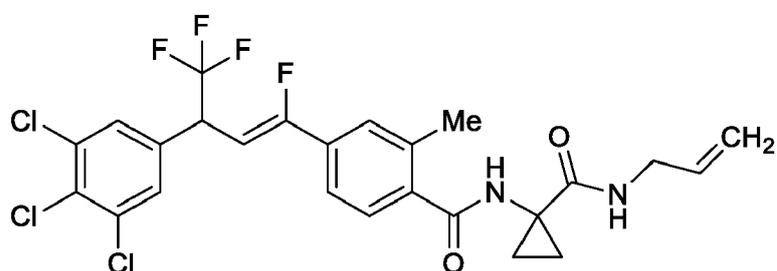
[0333]



[0334] Isolated as a colorless oil (0.045 g, 97%).

(Z)-N-(1-(Allylcarbamoyl)cyclopropyl)-2-methyl-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (F9)

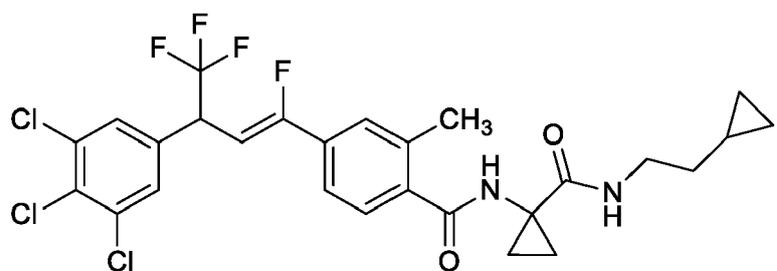
15 [0335]



[0336] Isolated as a colorless oil (0.033 g, 36%).

30 (Z)-N-(1-((2-Cyclopropylethyl)carbamoyl)cyclopropyl)-2-methyl-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (F10)

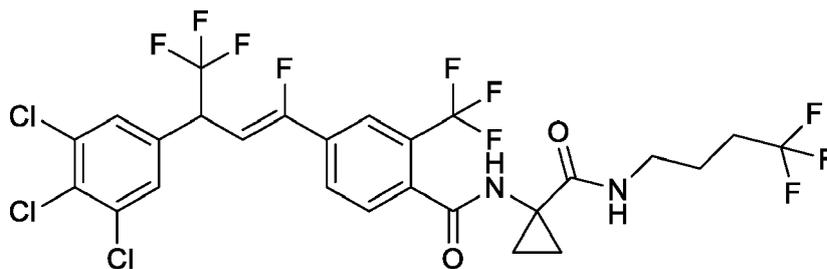
[0337]



45 [0338] Isolated as a colorless oil (0.028 g, 92%).

(Z)-4-(1,4,4,4-Tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-N-(1-((4,4,4-trifluorobutyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F89)

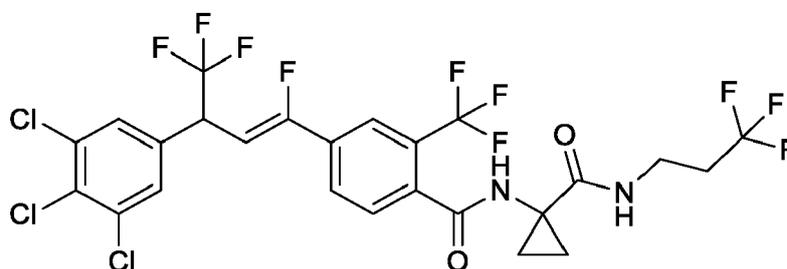
50 [0339]



[0340] Isolated as a colorless oil (0.055 g, 99%).

(Z)-4-(1,4,4,4-Tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)-N-(1-((3,3,3-trifluoropropyl)carbamoyl)cyclopropyl)benzamide (F90)

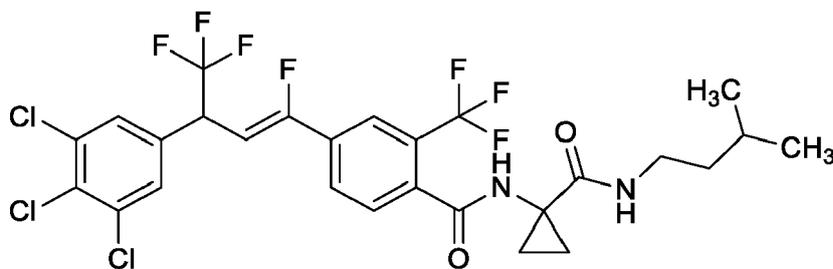
[0341]



[0342] Isolated as a colorless oil (0.052 g, 99%).

(Z)-N-(1-(isopentylcarbamoyl)cyclopropyl)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F91)

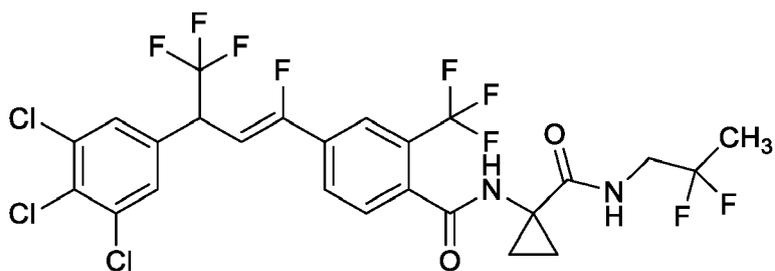
[0343]



[0344] Isolated as a colorless gum (0.039 g, 80%).

(Z)-N-(1-((2,2-difluoropropyl)carbamoyl)cyclopropyl)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F92)

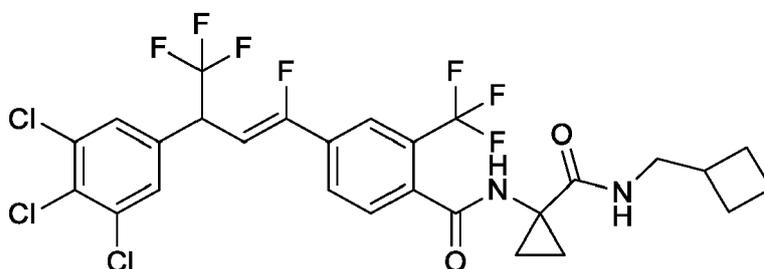
[0345]



[0346] Isolated as a colorless gum (0.051 g, 99%).

(Z)-N-(1-((Cyclobutylmethyl)carbamoyl)cyclopropyl)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F93)

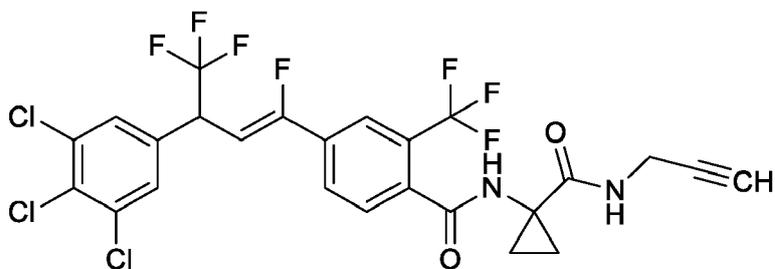
15 [0347]



[0348] Isolated as a colorless gum (0.051 g, 99%).

30 (Z)-N-(1-(Prop-2-yn-1-ylcarbamoyl)cyclopropyl)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F94)

[0349]

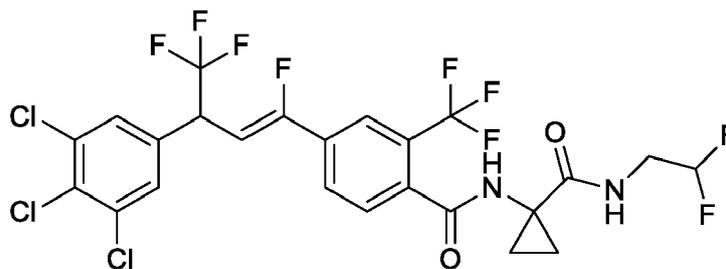


45 [0350] Isolated as a colorless gum (0.039 g, 84%).

(Z)-N-(1-((2,2-Difluoroethyl)carbamoyl)cyclopropyl)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F95)

50 [0351]

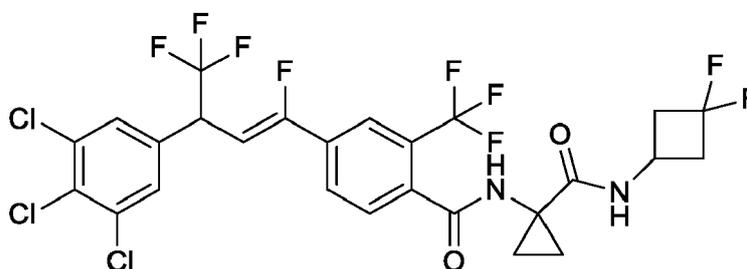
55



[0352] Isolated as a colorless oil (0.035 g, 73%).

(Z)-N-(1-((3,3-Difluorocyclobutyl)carbamoyl)cyclopropyl)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F101)

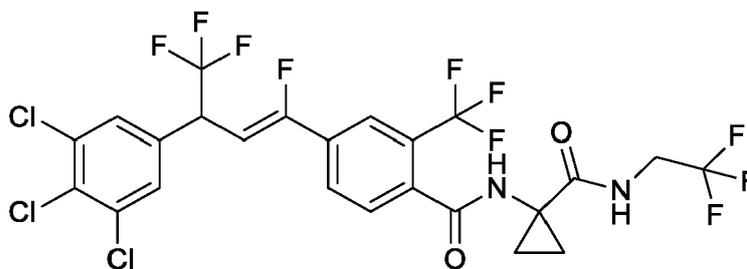
[0353]



[0354] Isolated as a white gum (0.015 g, 30%).

Example 15: Preparation of (Z)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-N-(1-((2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F3)

[0355]



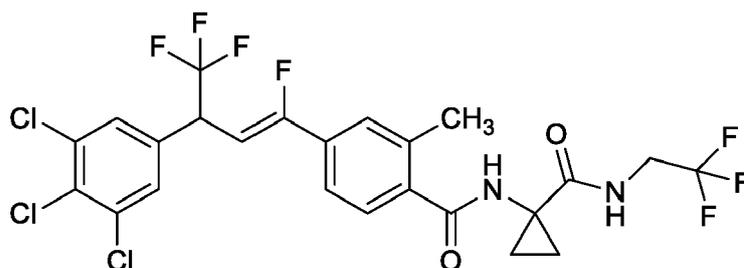
[0356] To a 20 mL vial was added 1-amino-N-(2,2,2-trifluoroethyl)cyclopropanecarboxamide hydrochloride (0.255 g, 1.17 mmol), (Z)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzoyl chloride (C24) (0.150 g, 0.290 mmol), and 1,2-dichloroethane (3 mL) to give a brown suspension. 4-Methylmorpholine (0.239 g, 2.33 mmol) was added and the reaction mixture was agitated twice by vortex and stirred at room temperature in a closed vessel overnight. The mixture was diluted with ethyl acetate and washed with citric acid (5%). The organic phase was concentrated. Purification by flash column chromatography using 0-30% ethyl acetate/hexanes as eluent provided the title compound as a colorless oil (0.101 g, 50%).

[0357] The following compounds were prepared according to the procedures disclosed in Example 15:

(Z)-2-Methyl-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-N-(1-((2,2,2-trifluoroethyl)carbamoyl)cyclopropyl) benzamide (F8)

[0358]

5



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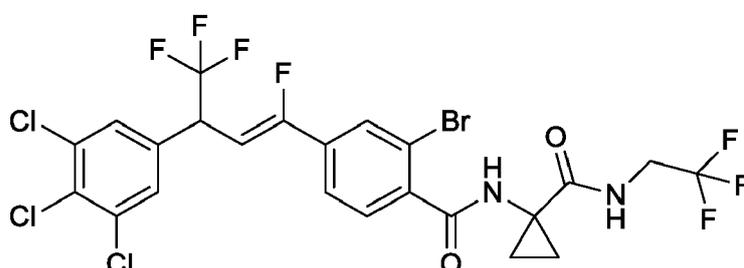
[0359] Isolated as an off-white gum (0.215 g, 68%).

(Z)-2-Bromo-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-N-(1-((2,2,2-trifluoroethyl)carbamoyl)cyclopropyl) benzamide (F21)

15

[0360]

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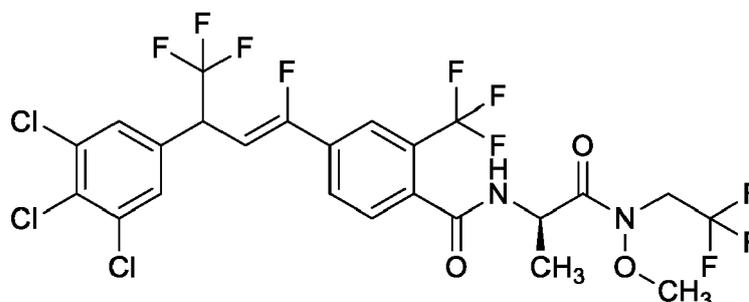
[0361] Isolated as a colorless oil (0.047 g, 39%).

N-((R)-1-(Methoxy(2,2,2-trifluoroethyl)amino)-1-oxopropan-2-yl)-4-((Z)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F24)

35

[0362]

40



45

[0363] Isolated as a brown foam (0.118 g, 86%).

N-((R)-1-((Allyloxy)(2,2,2-trifluoroethyl)amino)-1-oxopropan-2-yl)-4-((Z)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F27)

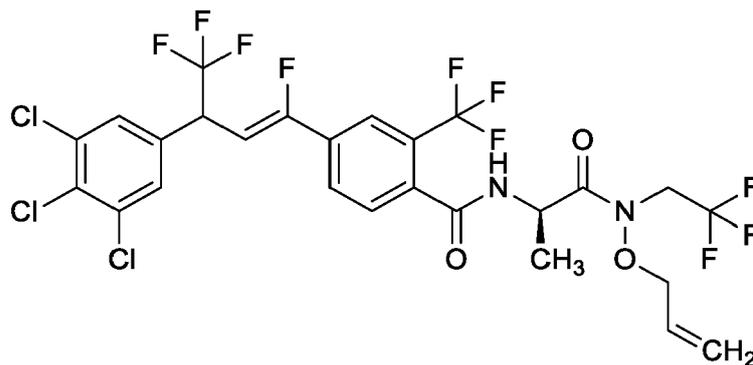
50

[0364]

55

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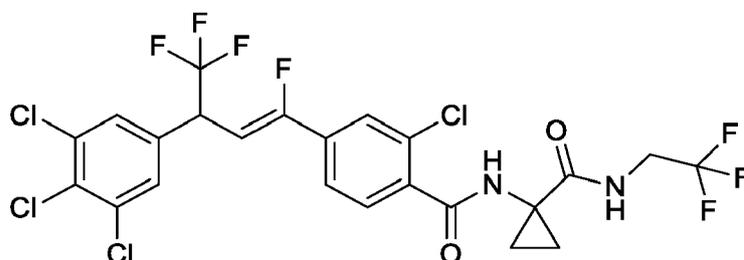
[0365] Isolated as a brown foam (0.118 g, 83%).

15

(Z)-2-Chloro-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-N-(1-((2,2,2-trifluoroethyl)carbamoyl)cyclopropyl) benzamide (F28)

[0366]

20



25

30

[0367] Isolated as a yellow oil (0.116 g, 82%).

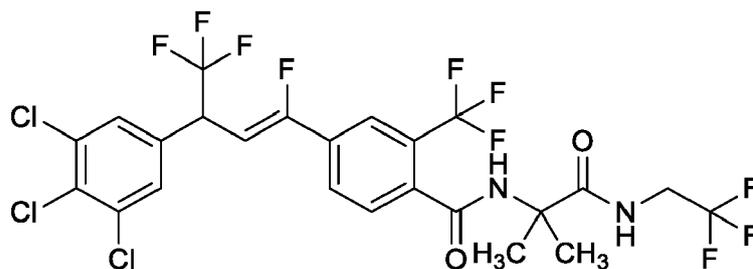
(Z)-N-(2-Methyl-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F54)

35

[0368]

40

45



[0369] Isolated as a yellow oil (0.050 g, 37%).

50

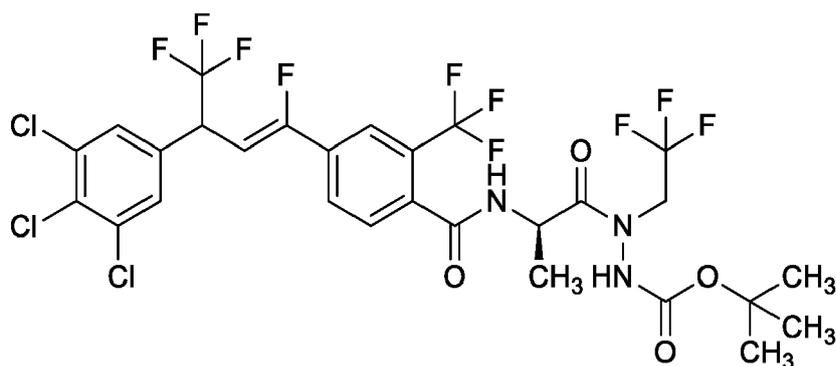
tert-Butyl 2-((2R)-2-(4-((Z)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamido)propanoyl)-2-(2,2,2-trifluoroethyl)hydrazinecarboxylate (F55)

[0370]

55

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[0371] Isolated as a brown foam (0.180 g, 97%).

15

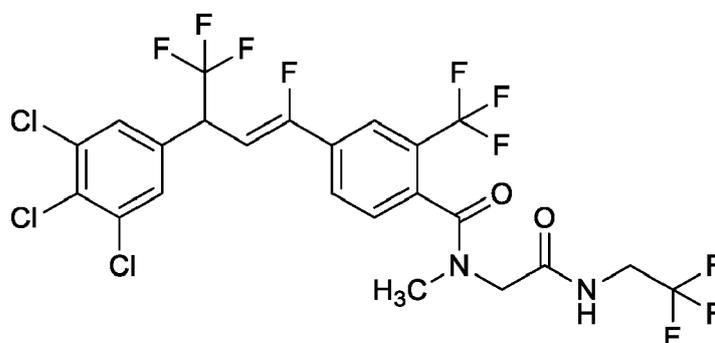
(Z)-N-Methyl-N-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F68)

[0372]

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[0373] Isolated as a brown/glass foam (0.180 g, 64%).

35

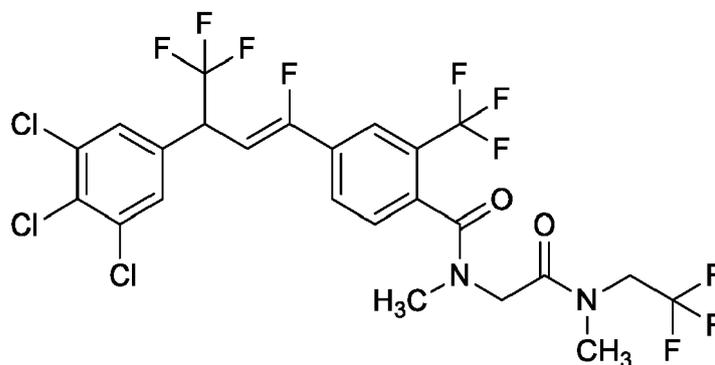
(Z)-N-Methyl-N-(2-(methyl(2,2,2-trifluoroethyl)amino)-2-oxoethyl)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F99)

[0374]

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[0375] Isolated as a brown/glass foam (0.163 g, 57%).

55

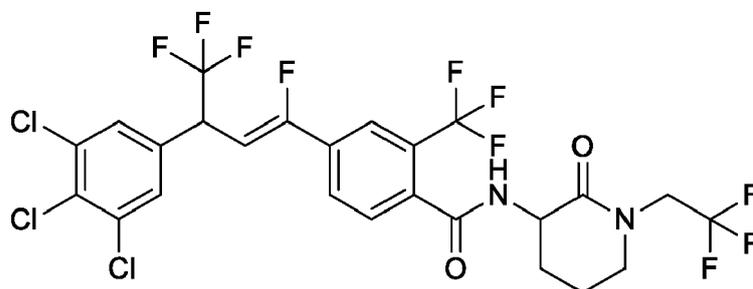
(Z)-N-(2-Oxo-1-(2,2,2-trifluoroethyl)piperidin-3-yl)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F104)

[0376]

5

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15



[0377] Isolated as a beige foam (0.066 g, 57%).

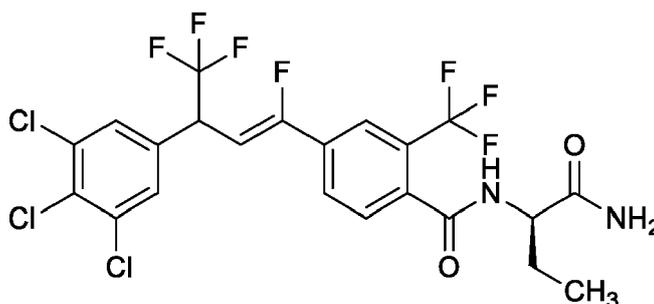
N-((R)-1-Amino-1-oxobutan-2-yl)-4-((Z)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F126)

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[0378]

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[0379] Isolated as an orange solid (0.820 g, 60%).

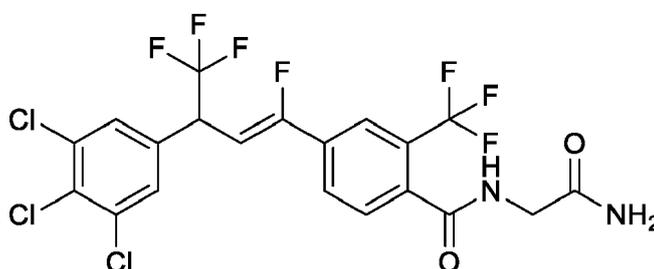
(Z)-N-(2-Amino-2-oxoethyl)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F127)

40

[0380]

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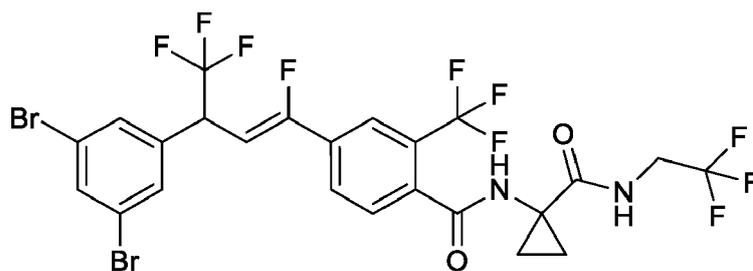


[0381] Isolated as an orange solid (0.850 g, 65%).

Example 16: Preparation of (Z)-4-(3-(3,5-dibromophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(1-((2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F34)

55

[0382]

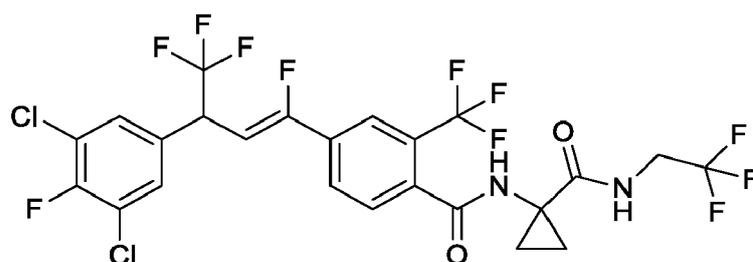


[0383] Diisopropylethylamine (0.17 mL, 0.98 mmol), 2-chloro-1,3-dimethylimidazolidinium hexafluorophosphate (0.091 g, 0.32 mmol), 1-hydroxy-7-azabenzotriazole (0.044 g, 0.32 mmol), and 1-amino-*N*-(2,2,2-trifluoroethyl)cyclopropanecarboxamide (0.086 g, 0.39 mmol) were added to a solution of (Z)-4-(3-(3,5-dibromophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (0.18 g, 0.33 mmol) in dichloromethane at room temperature, and the mixture was stirred for 6 hours. The reaction mixture was diluted with dichloromethane and washed with water. The separated organic layer was dried over sodium sulfate, filtered, and concentrated. Purification by flash column chromatography using 25% ethyl acetate/petroleum ether as eluent provided the title compound as a pale yellow solid (0.13 g, 48%).

[0384] The following compounds were prepared according to the procedures disclosed in **Example 16**:

(Z)-4-(3-(3,5-Dichloro-4-fluorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-*N*-(1-((2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F37)

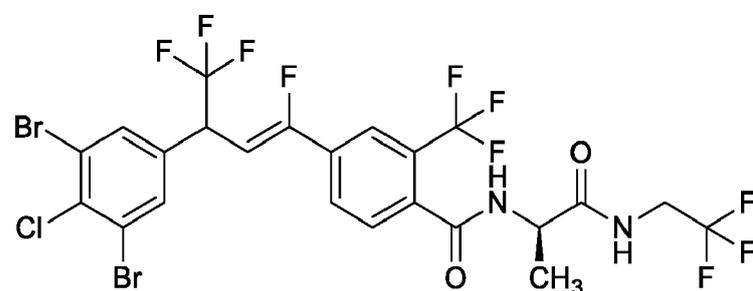
[0385]



[0386] Isolated as a pale yellow solid (0.155 g, 55%).

4-((Z)-3-(3,5-Dibromo-4-chlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-*N*-((*R*)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F44)

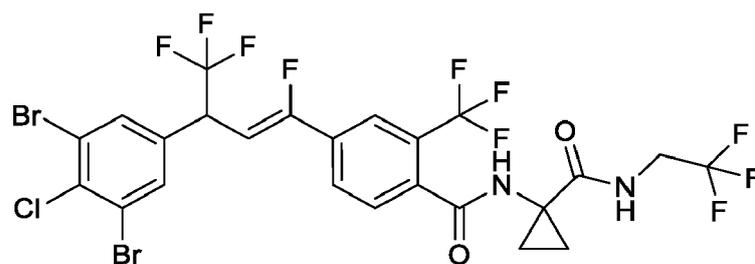
[0387]



[0388] Isolated as a pale yellow solid (0.125 g, 27%).

(Z)-4-(3-(3,5-Dibromo-4-chlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-*N*-(1-((2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F45)

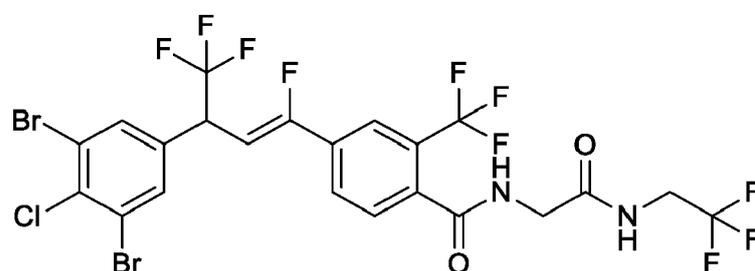
[0389]



[0390] Isolated as a yellow solid (0.107 g, 25%).

(Z)-4-(3-(3,5-Dibromo-4-chlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-2-(trifluoromethyl)benzamide (F46)

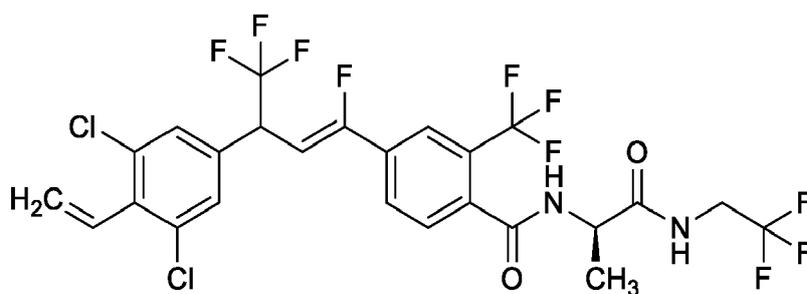
15 [0391]



[0392] Isolated as a yellow solid (0.105 g, 29%).

30 4-((Z)-3-(3,5-Dichloro-4-vinylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F52)

[0393]



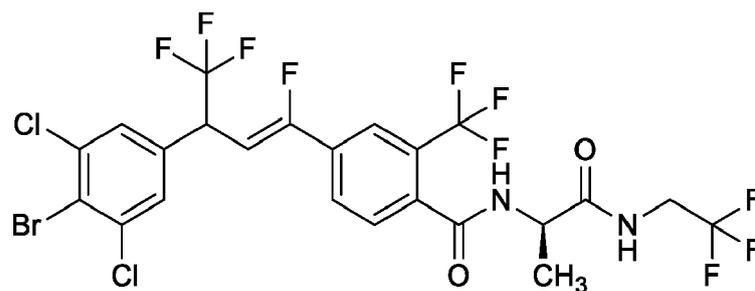
[0394] Isolated as a pale yellow gum (0.080 g, 34%).

50 4-((Z)-3-(4-Bromo-3,5-dichlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F59)

[0395]

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[0396] Isolated as a yellow gum (0.100 g, 41%).

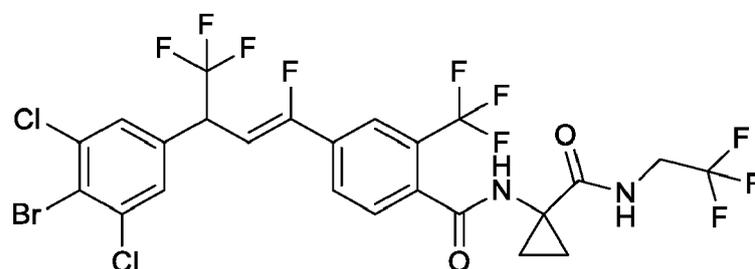
15

(Z)-4-(3-(4-Bromo-3,5-dichlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(1-((2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F60)

[0397]

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[0398] Isolated as a yellow gum (0.110 g, 39%).

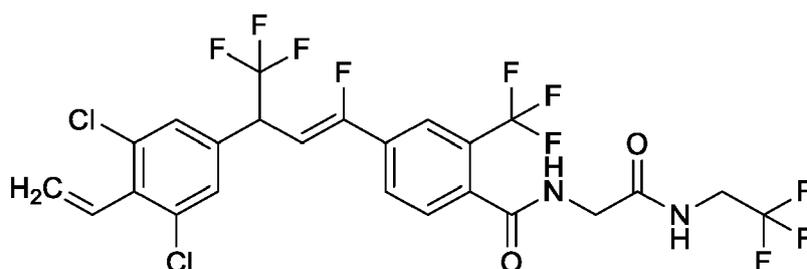
(Z)-4-(3-(3,5-Dichloro-4-vinylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-2-(trifluoromethyl)benzamide (F61)

[0399]

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[0400] Isolated as an off-white solid (0.089 g, 38%).

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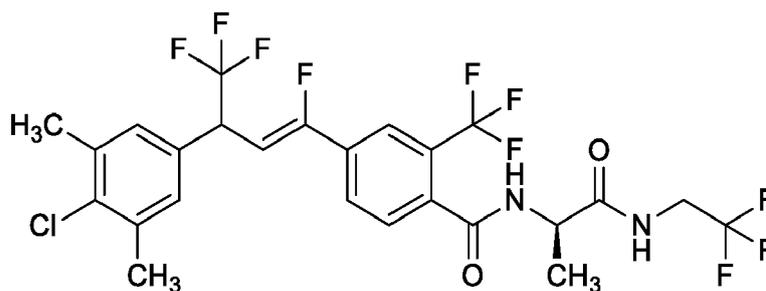
4-((Z)-3-(4-Chloro-3,5-dimethylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F69)

[0401]

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[0402] Isolated as a pale yellow solid (0.120 g, 44%).

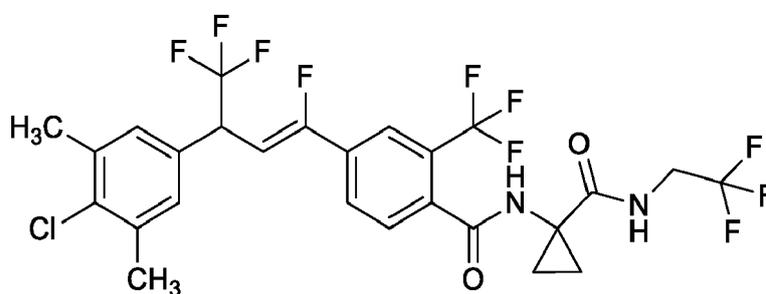
15

(Z)-4-(3-(4-Chloro-3,5-dimethylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(1-((2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F70)

[0403]

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[0404] Isolated as a pale yellow solid (0.110 g, 36%).

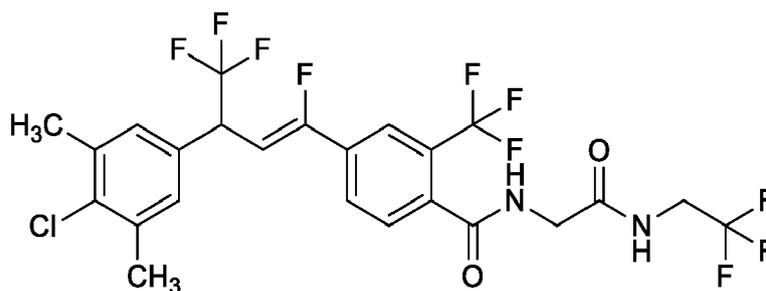
(Z)-4-(3-(4-Chloro-3,5-dimethylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-2-(trifluoromethyl)benzamide (F71)

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[0405]

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[0406] Isolated as a white solid (0.150 g, 51%).

50

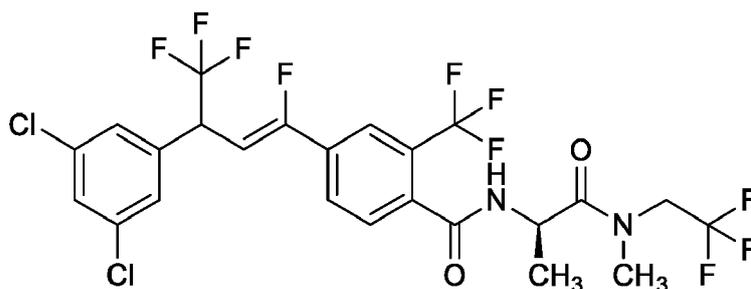
4-((Z)-3-(3,5-Dichlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-(methyl(2,2,2-trifluoroethyl)amino)-1-oxopropan-2-yl)-2-(trifluoromethyl)benzamide (F72)

[0407]

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[0408] Isolated as a yellow gum (0.110 g, 40%).

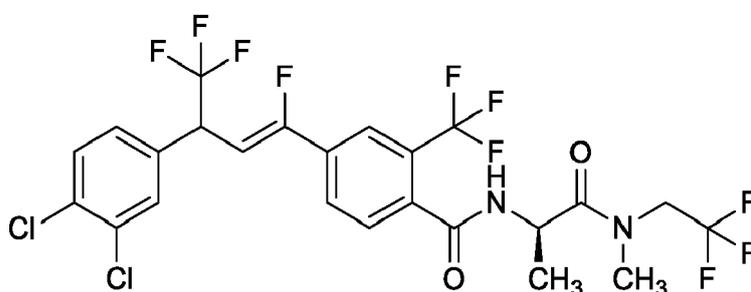
15

4-((Z)-3-(3,4-Dichlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-(methyl(2,2,2-trifluoroethyl)amino)-1-oxopropan-2-yl)-2-(trifluoromethyl)benzamide (F73)

[0409]

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[0410] Isolated as a yellow gum (0.130 g, 47%).

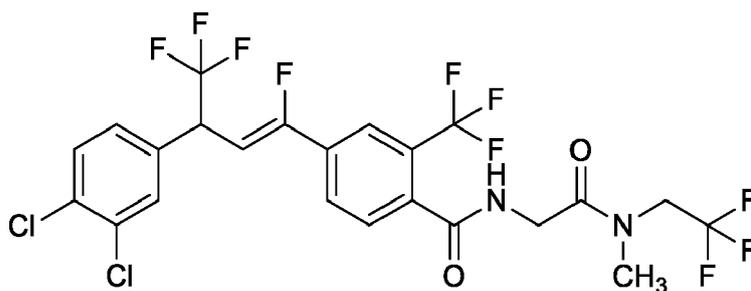
(Z)-4-(3-(3,4-Dichlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(2-(methyl(2,2,2-trifluoroethyl)amino)-2-oxoethyl)-2-(trifluoromethyl)benzamide (F74)

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[0411]

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[0412] Isolated as a yellow gum (0.170 g, 63%).

50

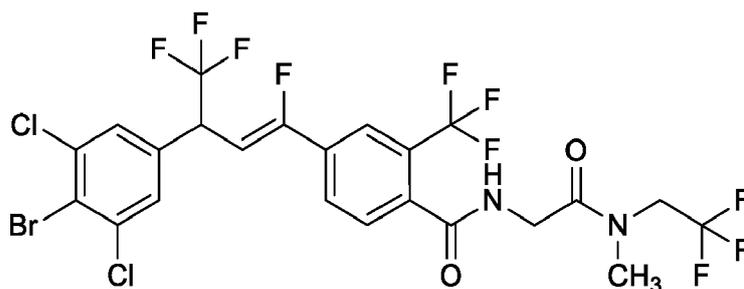
(Z)-4-(3-(4-Bromo-3,5-dichlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(2-(methyl(2,2,2-trifluoroethyl)amino)-2-oxoethyl)-2-(trifluoromethyl)benzamide (F75)

[0413]

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[0414] Isolated as a yellow gum (0.130 g, 53%).

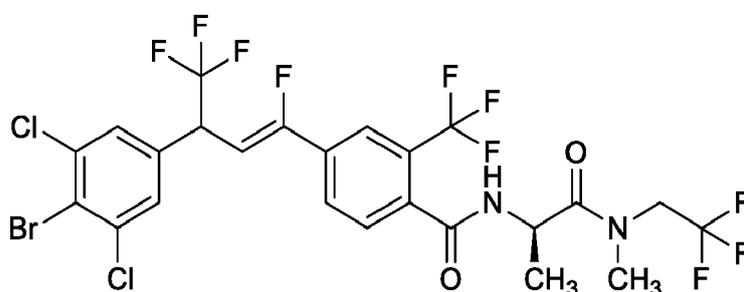
15

4-((Z)-3-(4-Bromo-3,5-dichlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-(methyl(2,2,2-trifluoroethyl)amino)-1-oxopropan-2-yl)-2-(trifluoromethyl)benzamide (F76)

[0415]

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[0416] Isolated as a brown gum (0.115 g, 44%).

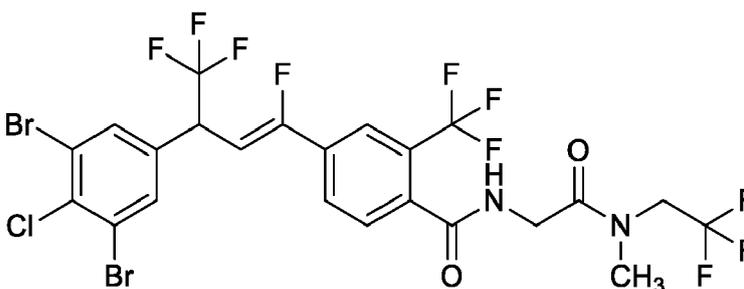
(Z)-4-(3-(3,5-Dibromo-4-chlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(2-(methyl(2,2,2-trifluoroethyl)amino)-2-oxoethyl)-2-(trifluoromethyl)benzamide (F77)

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[0417]

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[0418] Isolated as a yellow gum (0.112 g, 33%).

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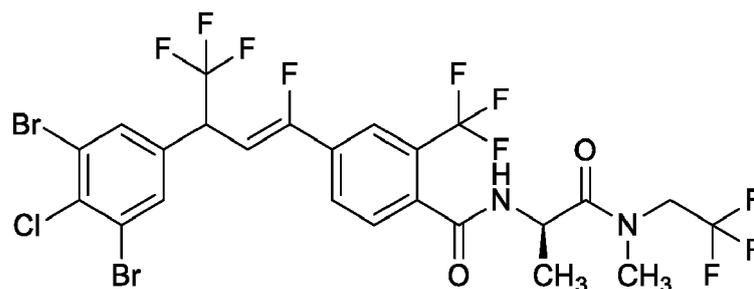
4-((Z)-3-(3,5-Dibromo-4-chlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-(methyl(2,2,2-trifluoroethyl)amino)-1-oxopropan-2-yl)-2-(trifluoromethyl)benzamide (F78)

[0419]

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[0420] Isolated as a yellow gum (0.135 g, 37%).

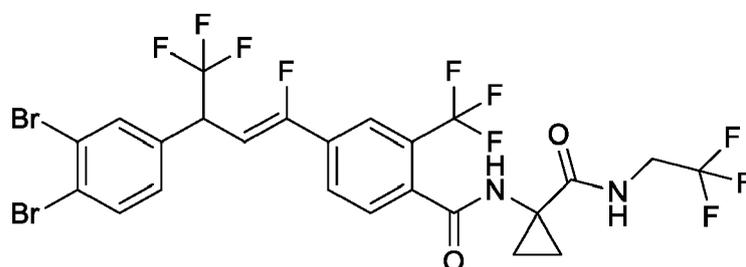
15

(Z)-4-(3-(3,4-Dibromophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(1-((2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F80)

[0421]

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[0422] Isolated as a pale yellow solid (0.127 g, 47%).

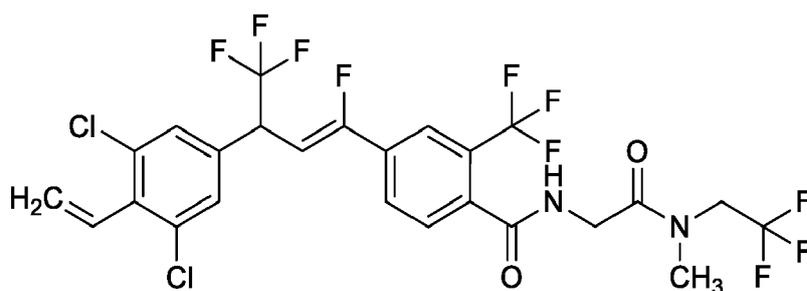
(Z)-4-(3-(3,5-Dichloro-4-vinylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(2-(methyl(2,2,2-trifluoroethyl)amino)-2-oxoethyl)-2-(trifluoromethyl)benzamide (F85)

[0423]

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[0424] Isolated as an off-white solid (0.110 g, 46%).

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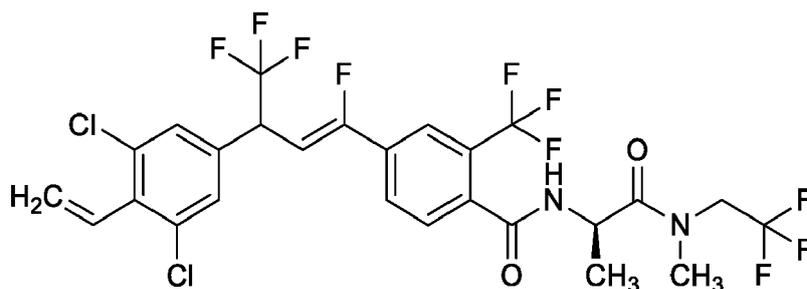
4-((Z)-3-(3,5-Dichloro-4-vinylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-(methyl(2,2,2-trifluoroethyl)amino)-1-oxopropan-2-yl)-2-(trifluoromethyl)benzamide (F86)

[0425]

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[0426] Isolated as an off-white solid (0.140 g, 57%).

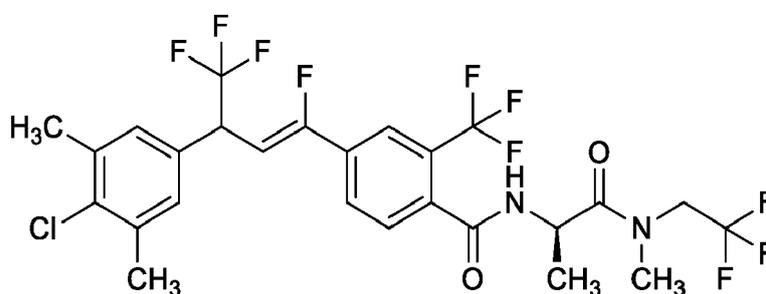
15

4-((Z)-3-(4-Chloro-3,5-dimethylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-(methyl(2,2,2-trifluoroethyl)amino)-1-oxopropan-2-yl)-2-(trifluoromethyl)benzamide (F102)

[0427]

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[0428] Isolated as a white solid (0.130 g, 39%).

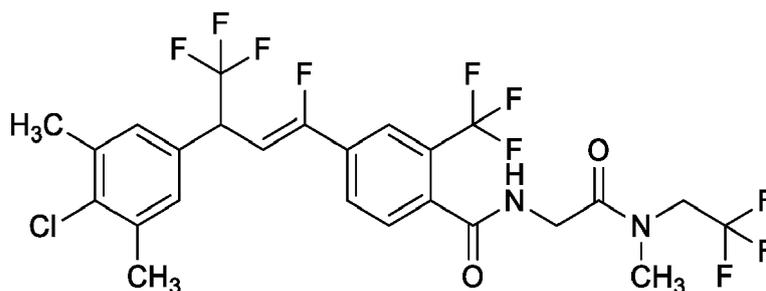
(Z)-4-(3-(4-Chloro-3,5-dimethylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(2-(methyl(2,2,2-trifluoroethyl)amino)-2-oxoethyl)-2-(trifluoromethyl)benzamide (F103)

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[0429]

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[0430] Isolated as a white solid (0.170 g, 52%).

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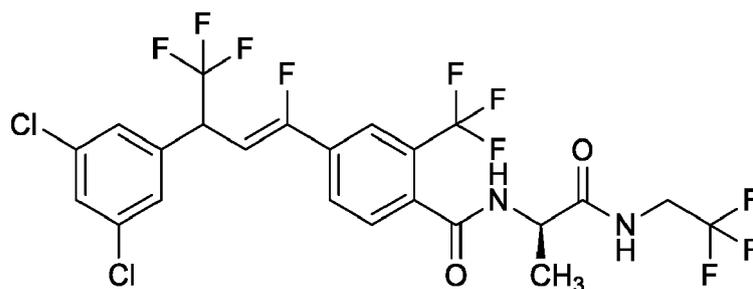
4-((Z)-3-(3,5-Dichlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F105)

[0431]

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[0432] Isolated as a yellow gum (0.120 g, 43%).

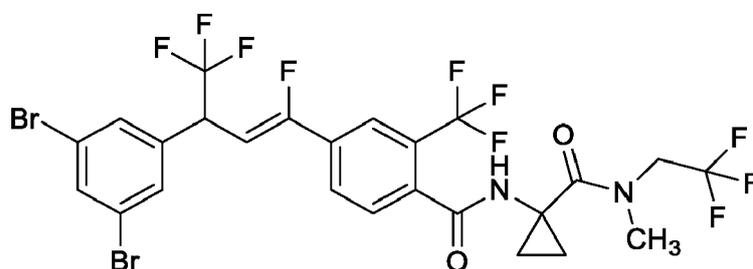
15

(*Z*)-4-(3-(3,5-Dibromophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-*N*-(1-(methyl(2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F108)

[0433]

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30

[0434] Isolated as a pale yellow gum (0.103 g, 39%).

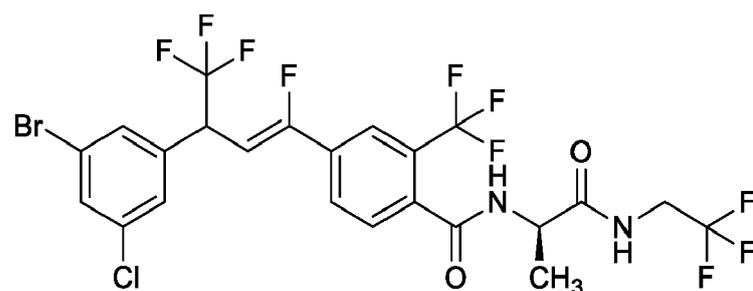
4-((*Z*)-3-(3-Bromo-5-chlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-*N*-((*R*)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F109)

[0435]

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[0436] Isolated as a yellow gum (0.115 g, 55%).

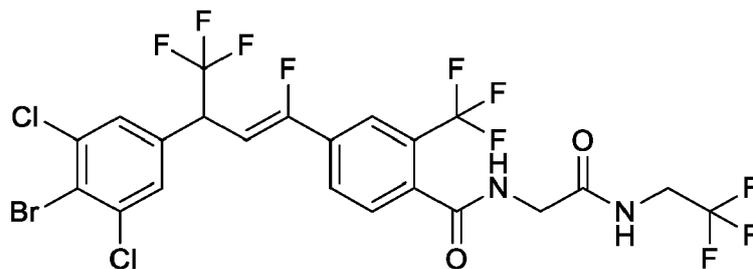
50

(*Z*)-4-(3-(4-Bromo-3,5-dichlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-*N*-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-2-(trifluoromethyl)benzamide (F110)

[0437]

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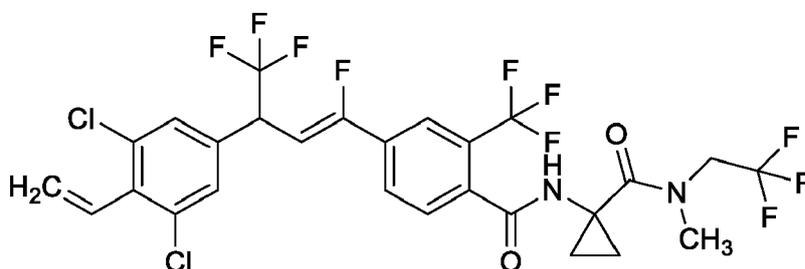
[0438] Isolated as a brown solid (0.115 g, 53%).

(Z)-4-(3-(3,5-Dichloro-4-vinylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(1-(methyl(2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F111)

15

[0439]

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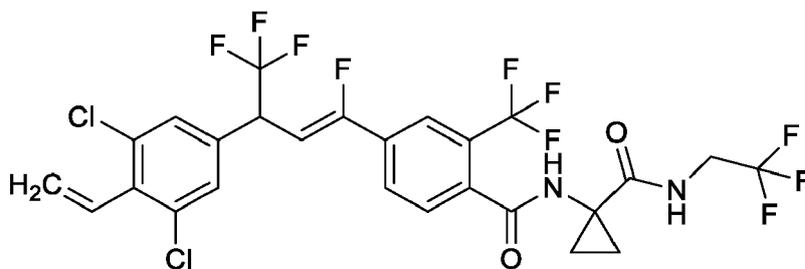
25

[0440] Isolated as a yellow gummy solid (0.075 g, 30%).

(Z)-4-(3-(3,5-Dichloro-4-vinylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(1-((2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F113)

[0441]

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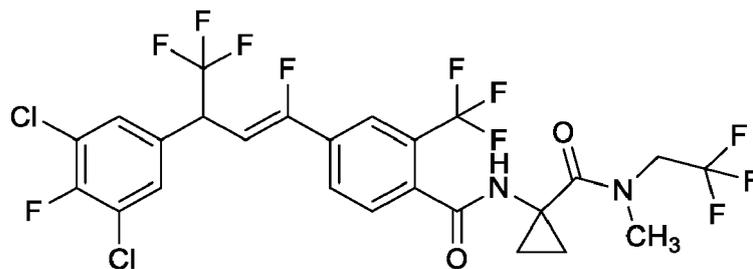
[0442] Isolated as a pale yellow gum (0.085 g, 35%).

(Z)-4-(3-(3,5-Dichloro-4-fluorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(1-(methyl(2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F114)

[0443]

55

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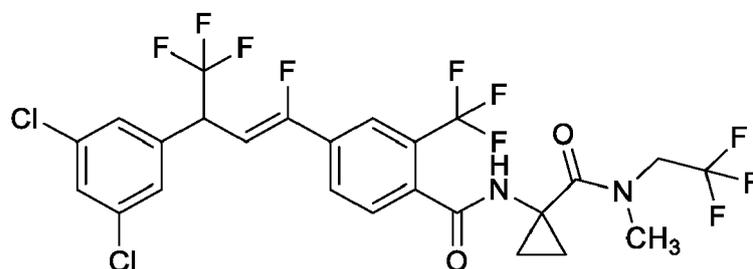
[0444] Isolated as a pale yellow gum (0.100 g, 32%).

(Z)-4-(3-(3,5-Dichlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(1-(methyl(2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F115)

15

[0445]

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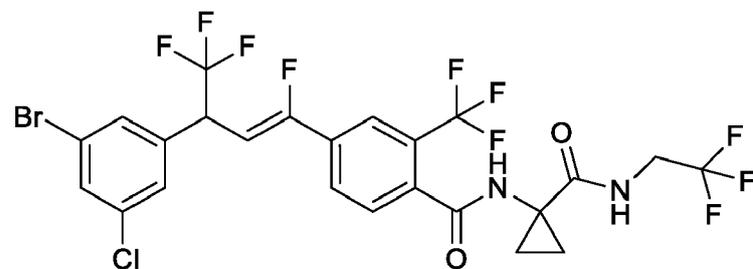
[0446] Isolated as an orange gum (0.085 g, 26%).

(Z)-4-(3-(3-Bromo-5-chlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(1-(2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F116)

30

[0447]

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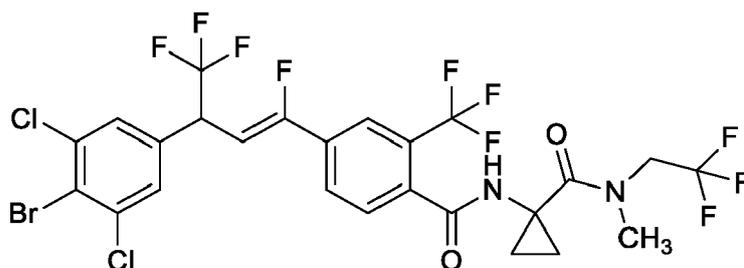
[0448] Isolated as a brown gum (0.120 g, 56%).

(Z)-4-(3-(4-Bromo-3,5-dichlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(1-(methyl(2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F117)

50

[0449]

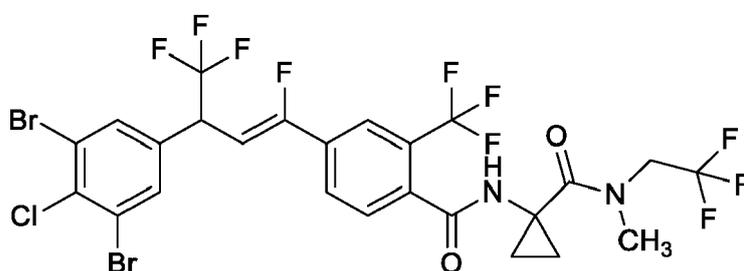
55



[0450] Isolated as a yellow gum (0.085 g, 36%).

(Z)-4-(3-(3,5-Dibromo-4-chlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(1-(methyl(2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F118)

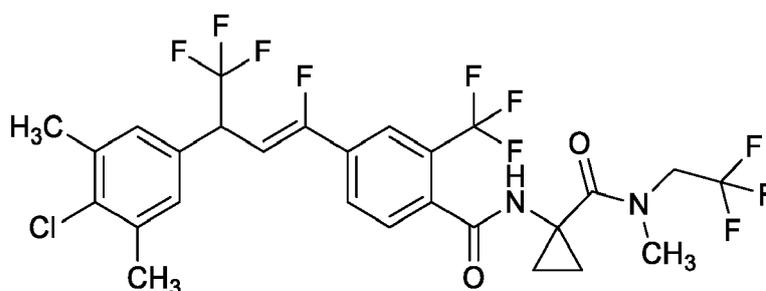
15 [0451]



[0452] Isolated as a yellow gum (0.092 g, 30%).

30 (Z)-4-(3-(4-Chloro-3,5-dimethylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(1-(methyl(2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F119)

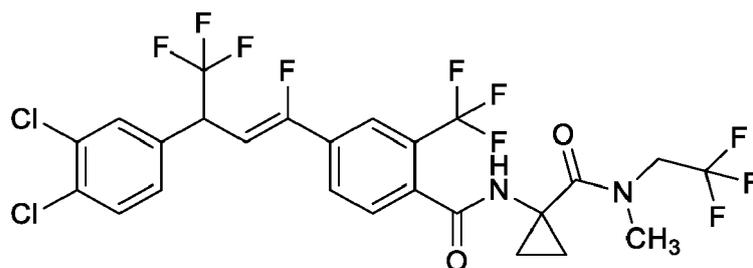
[0453]



45 [0454] Isolated as a yellow solid (0.085 g, 26%).

(Z)-4-(3-(3,4-Dichlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(1-(methyl(2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F120)

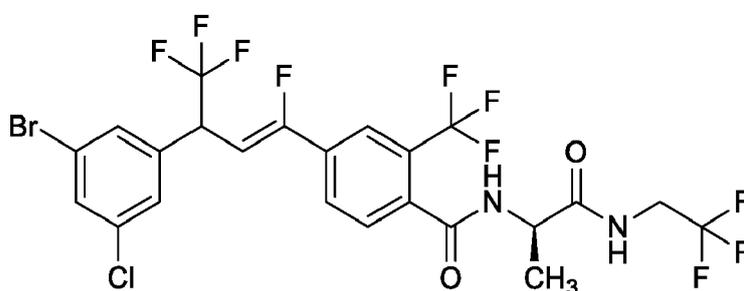
50 [0455]



[0456] Isolated as a yellow solid (0.110 g, 34%).

4-((Z)-3-(3-Bromo-5-chlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F121)

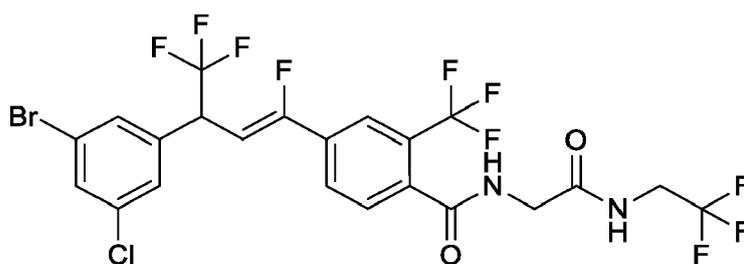
15 [0457]



30 [0458] Isolated as a yellow gum (0.115 g, 55%).

(Z)-4-(3-(3-Bromo-5-chlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-2-(trifluoromethyl)benzamide (F122)

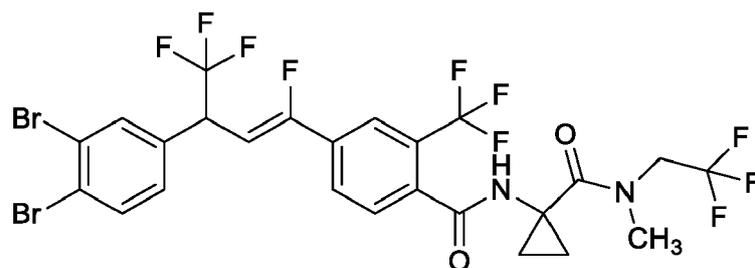
35 [0459]



50 [0460] Isolated as a yellow gum (0.110 g, 52%).

(Z)-4-(3-(3,4-Dibromophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(1-(methyl(2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F130)

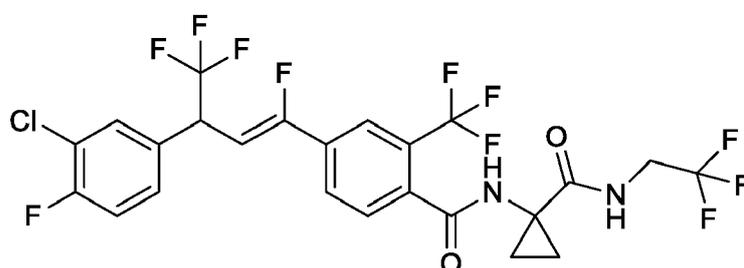
55 [0461]



[0462] Isolated as a yellow gum (0.157 g, 60%).

(Z)-4-(3-(3-Chloro-4-fluorophenyl)-1,1,1-trifluorobut-1-en-1-yl)-N-(1-(2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F131)

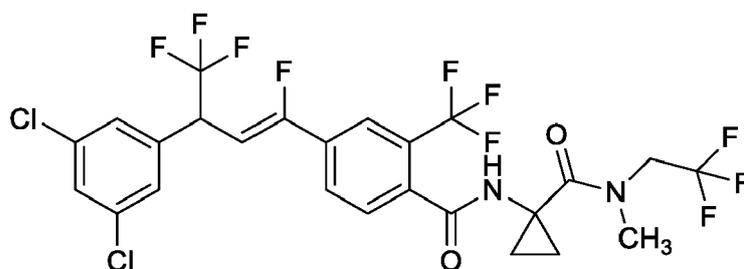
15 [0463]



[0464] Isolated as a yellow gum (0.120 g, 41%).

30 (Z)-4-(3-(3,5-Dichlorophenyl)-1,1,1-trifluorobut-1-en-1-yl)-N-(1-(methyl(2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F133)

[0465]



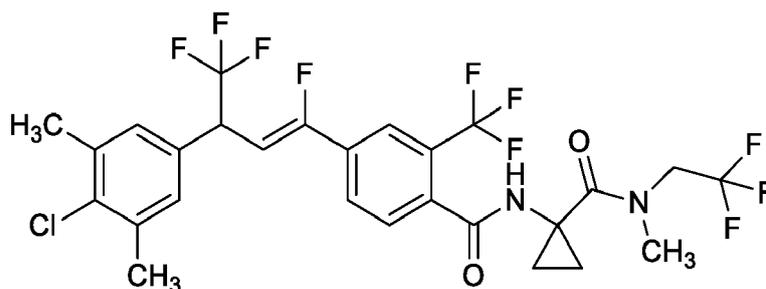
45 [0466] Isolated as an orange gum (0.080 g, 26%).

(Z)-4-(3-(4-Chloro-3,5-dimethylphenyl)-1,1,1-trifluorobut-1-en-1-yl)-N-(1-(methyl(2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F134)

50 [0467]

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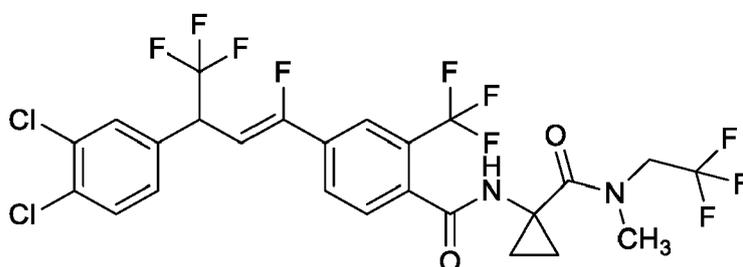
[0468] Isolated as a yellow solid (0.085 g, 27%).

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(Z)-4-(3-(3,4-Dichlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(1-(methyl(2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F135)

[0469]

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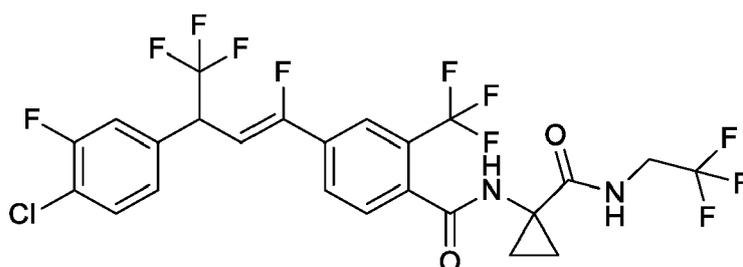
[0470] Isolated as a yellow solid (0.110 g, 38%).

30

(Z)-4-(3-(4-Chloro-3-fluorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(1-(2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F136)

[0471]

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[0472] Isolated as a yellow solid (0.160 g, 53%).

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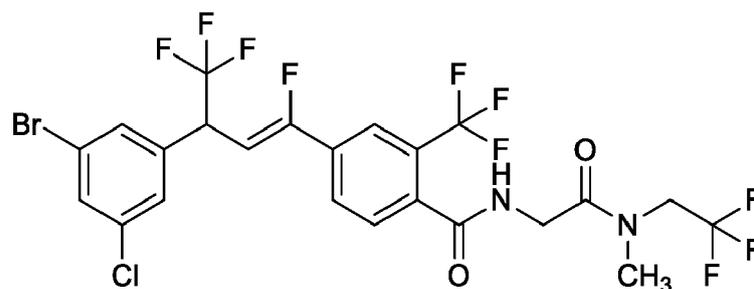
(Z)-4-(3-(3-Bromo-5-chlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(2-(methyl(2,2,2-trifluoroethyl)amino)-2-oxoethyl)-2-(trifluoromethyl)benzamide (F137)

[0473]

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[0474] Isolated as a brown gum (0.120 g, 52%).

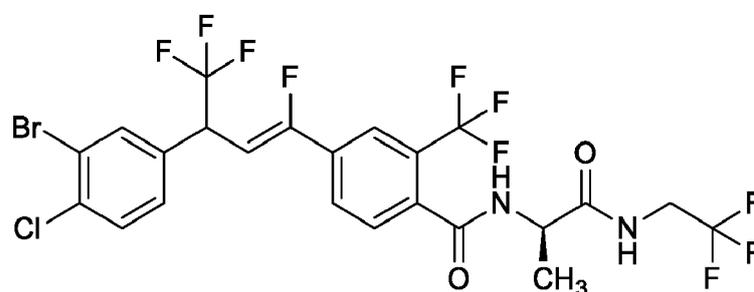
15

4-((Z)-3-(3-Bromo-4-chlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F138)

[0475]

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[0476] Isolated as an off-white solid (0.112 g, 51%).

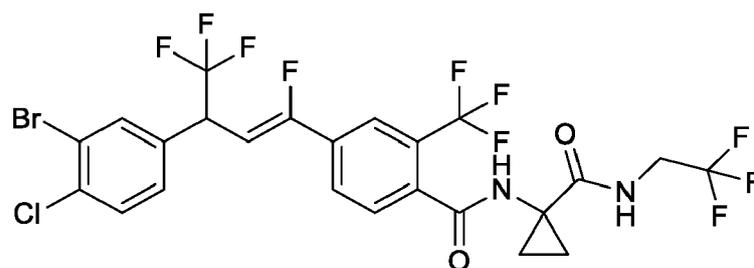
(Z)-4-(3-(3-Bromo-4-chlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F139)

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[0477]

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[0478] Isolated as an off-white solid (0.110 g, 51%).

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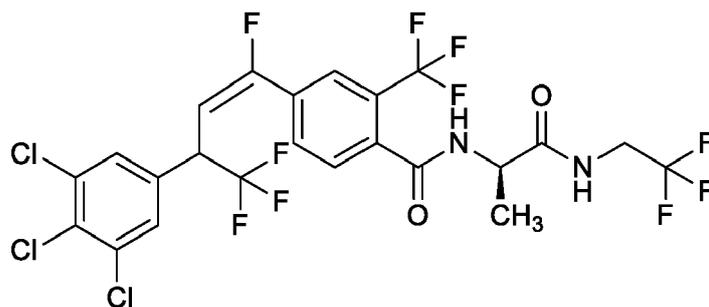
Example 17: Preparation of N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-4-((E)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F17)

[0479]

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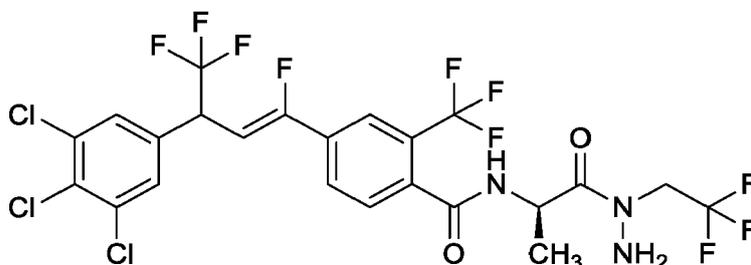
[0480] In an NMR tube, *N*-((*R*)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-4-((*Z*)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (**F2**) (0.05 g, 0.077 mmol) was dissolved into acetone-*d*₆. The reaction vessel was set up in a UV chamber and irradiated for 7 days. The reaction mixture was concentrated. Purification by flash column chromatography provided the title compound as a colorless oil (0.015 g, 30%).

Example 18: Preparation of *N*-((*R*)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-4-((*E*)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F57**)**

20 **[0481]**

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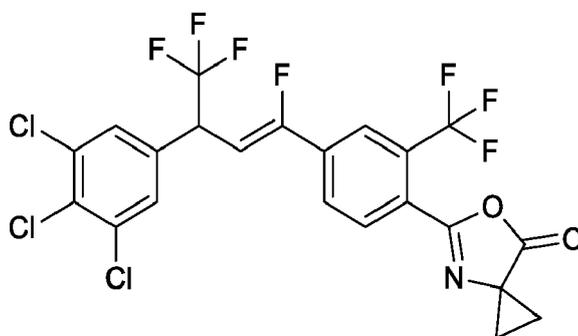
[0482] *tert*-Butyl 2-((2*R*)-2-(4-((*Z*)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamido)propanoyl)-2-(2,2,2-trifluoroethyl)hydrazinecarboxylate (**F55**) (0.150 g, 0.197 mmol) was dissolved in dichloromethane (5 mL). Hydrogen chloride (2 M in diethyl ether, 1-2 mL) was added and the reaction mixture was stirred at room temperature for 3 days. The reaction mixture was concentrated and the residue was taken up in diethyl ether and washed with aqueous sodium bicarbonate, dried over magnesium sulfate, filtered, and concentrated. Purification by flash column chromatography using 2% acetone/dichloromethane as eluent provided the title compound as a white foam (0.0620 g, 48%).

Example 19: Preparation of (Z)-5-(4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)phenyl)-6-oxa-4-azaspiro[2.4]hept-4-en-7-one (C55**)**

45 **[0483]**

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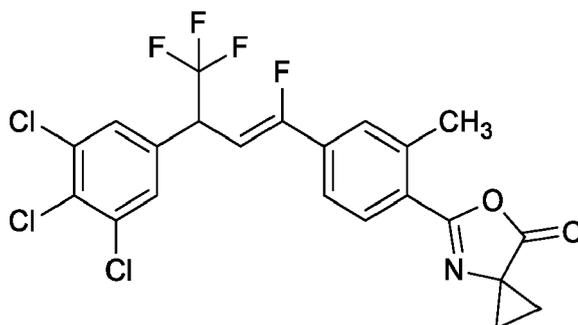
[0484] To a 25 mL round-bottomed flask was added (Z)-1-(4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamido)cyclopropanecarboxylic acid (**C57**) (0.250 g, 0.432 mmol) in dichloromethane (4 mL)

to give a yellow solution. 2,2,2-Trifluoroacetic anhydride (0.120 mL, 0.864 mmol) was then added and the reaction mixture was stirred at room temperature overnight. Following concentration, the mixture was purified by flash column chromatography to provide the title compound as a yellow oil (0.0780 g, 30%): ^1H NMR (400 MHz, CDCl_3) δ 8.04 - 7.96 (m, 2H), 7.85 (dd, $J = 8.1, 1.9$ Hz, 1H), 7.44 (s, 2H), 5.90 (dd, $J = 32.5, 9.6$ Hz, 1H), 4.62 (p, $J = 8.8$ Hz, 1H), 2.00 - 1.94 (m, 2H), 1.89 - 1.85 (m, 2H); ^{19}F NMR (376 MHz, CDCl_3) δ -59.53, -69.26 (d, $J = 2.4$ Hz), -112.22; ESIMS m/z 560 ($[\text{M}-\text{H}]^-$).

[0485] The following compounds were prepared according to the procedures disclosed in **Example 19**:

(Z)-5-(2-Methyl-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)phenyl)-6-oxa-4-azaspiro[2.4]hept-4-en-7-one (C56)

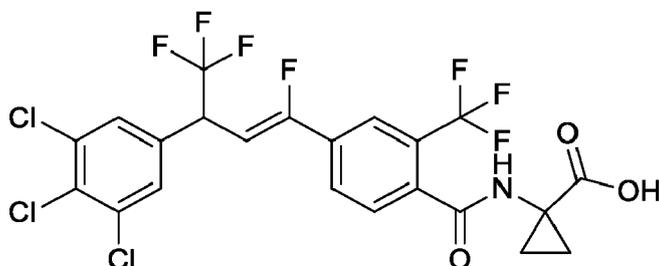
[0486]



[0487] Isolated as a yellow foam (0.100 g, 53%): ^1H NMR (400 MHz, CDCl_3) δ 7.98 - 7.88 (m, 1H), 7.51 - 7.45 (m, 2H), 7.44 (s, 2H), 5.79 (dd, $J = 32.8, 9.6$ Hz, 1H), 4.60 (p, $J = 8.9$ Hz, 1H), 2.67 (s, 3H), 1.96 - 1.87 (m, 2H), 1.87 - 1.78 (m, 2H); ^{19}F NMR (376 MHz, CDCl_3) δ -69.39 (d, $J = 2.4$ Hz), -112.11; ESIMS m/z 506 ($[\text{M}-\text{H}]^-$).

Example 20: Preparation of (Z)-1-(4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamido)cyclopropanecarboxylic acid (C57)

[0488]

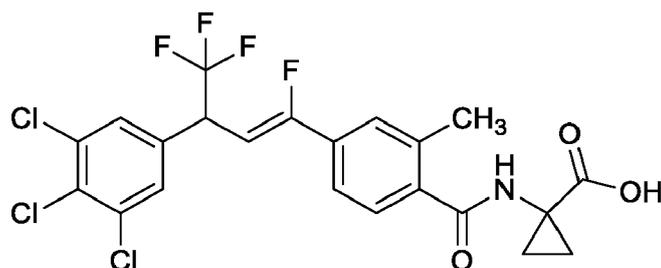


[0489] To a 250 mL round-bottomed flask was added (Z)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzoyl chloride (**C23**) (0.037 g, 0.072 mmol) and tetrahydrofuran (53 mL). *N,N,N*-Trimethyl-1-dodecanaminium bromide (0.0022 mg, 0.0072 mmol), sodium carbonate (0.012 g, 0.011 mmol), and 1-aminocyclopropanecarboxylic acid hydrochloride (0.020 g, 0.144 mmol) were all added and the reaction mixture was stirred overnight at reflux. The reaction mixture was cooled to room temperature and the solid residue filtered. The filtrate was concentrated to provide the title compound as a colorless oil which was used directly in the next step (0.038 g, 83%): ^1H NMR (300 MHz, $\text{Methanol-}d_4$) δ 8.01 (d, $J = 9.5$ Hz, 2H), 7.85 (d, $J = 8.0$ Hz, 1H), 7.78 (s, 2H), 6.45 (dd, $J = 34.1, 9.8$ Hz, 1H), 4.97 (s, 1H), 1.49 (q, $J = 4.5$ Hz, 2H), 1.08 (q, $J = 4.4$ Hz, 2H); ^{19}F NMR (376 MHz, CDCl_3) δ -60.81, -69.45, -111.92; ESIMS m/z 576 ($[\text{M}-\text{H}]^-$).

[0490] The following compounds were prepared according to the procedures disclosed in **Example 20**:

(Z)-1-(2-Methyl-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamido)cyclopropanecarboxylic acid (C58)

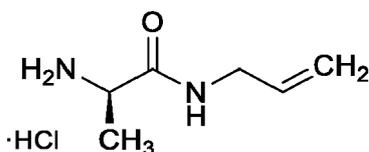
[0491]



[0492] Isolated (0.140 g, 67%): ESIMS m/z 524 ($[M-H]^-$).

Example 21: Preparation of (*R*)-*N*-allyl-2-aminopropanamide hydrochloride (C59)

[0493]

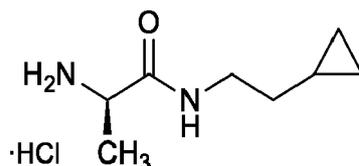


[0494] (*R*)-*tert*-Butyl (1-(allylamino)-1-oxopropan-2-yl)carbamate (C65) (3.30 g, 14.5 mmol) was taken up in dichloromethane (15 mL) and treated with hydrogen chloride (4 M in dioxane, 15.0 mL, 60.0 mmol). The reaction mixture was stirred at room temperature for 4 hours. The reaction mixture was concentrated and the residue was suspended in dichloromethane. Concentration *in vacuo* provided the title compound as a white solid (2.42 g, quant): mp 143-153 °C; ^1H NMR (400 MHz, $\text{DMSO}-d_6$) δ 8.71 (t, $J = 5.9$ Hz, 1H), 8.37 - 8.18 (m, 3H), 5.80 (ddd, $J = 15.7, 10.7, 5.1$ Hz, 1H), 5.13 (dd, $J = 32.1, 13.8$ Hz, 2H), 3.85 (t, $J = 6.2$ Hz, 1H), 3.75 (d, $J = 4.8$ Hz, 2H), 1.38 (d, $J = 6.9$ Hz, 3H); ^{13}C NMR (101 MHz, $\text{DMSO}-d_6$) δ 169.21, 134.48, 115.30, 48.08, 40.74, 17.24; IR (thin film) 3213, 3073, 3026, 2855, 1649, 1609 cm^{-1} .

[0495] The following compounds were prepared according to the procedures disclosed in **Example 21**:

(*R*)-2-Amino-*N*-(2-cyclopropylethyl)propanamide hydrochloride (C60)

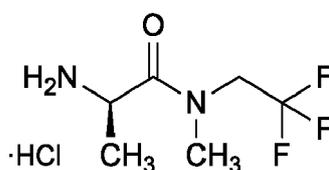
[0496]



[0497] Isolated as a gum (3.39 g, 100%): ^1H NMR (400 MHz, $\text{DMSO}-d_6$) δ 8.56 (t, $J = 5.6$ Hz, 1H), 8.25 (s, 3H), 3.79 (m, 1H), 3.24 - 3.08 (m, 2H), 1.34 (d, $J = 7.0$ Hz, 3H), 1.33 - 1.28 (m, 2H), 0.68 (q, $J = 6.8, 6.3$ Hz, 1H), 0.38 (d, $J = 8.0$ Hz, 2H), 0.02 (d, $J = 5.5$ Hz, 2H); ^{13}C NMR (101 MHz, $\text{DMSO}-d_6$) δ 169.06, 48.11, 38.94, 33.72, 17.19, 8.45, 4.07; IR (thin film) 2916, 1661, 1560, 1490, 1255, 1117 cm^{-1} .

(*R*)-2-Amino-*N*-(2-cyclopropylethyl)propanamide hydrochloride (C61)

[0498]



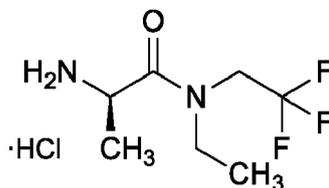
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[0499] Isolated as an off-white solid (0.624 g, quant): ^1H NMR (400 MHz, Methanol- d_4) δ rotamers 4.40 (q, $J = 7.0$ Hz, 1H), 4.29 - 4.12 (m, 1H), 3.97 (dq, $J = 15.2, 9.1$ Hz, 1H), major, 3.12 (s, 3H), minor 2.99 (s, 3H), major 1.40 (d, $J = 7.0$ Hz, 3H), minor 1.38 (d, $J = 7.2$ Hz, 3H).

5 **(R)-2-Amino-N-ethyl-N-(2,2,2-trifluoroethyl)propanamide hydrochloride (C62)**

[0500]

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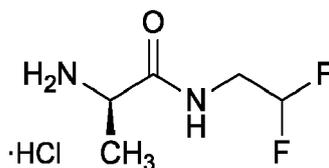
[0501] Isolated as a gum (0.194 g, 92%): mp 148-151 °C; ^1H NMR (400 MHz, DMSO- d_6) δ rotamers 8.59 (s, 2H), 4.46 - 4.37 (m, 1H), 4.32 - 4.27 (m, 1H), 4.16 - 3.93 (m, 1H), 3.62 - 3.53 (m, 1H), 3.45 - 3.33 (m, 2H), major 1.38 (d, $J = 6.8$ Hz, 3H), minor 1.32 (d, $J = 6.7$ Hz, 3H), major 1.19 (t, $J = 7.0$ Hz, 3H), minor 1.06 (t, $J = 7.0$ Hz, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) δ rotamers major 171.03, minor 170.59, 124.76 (q, $J_{CF} = 281.1$ Hz), 54.91, minor 47.23 (q, $J_{CF} = 32.3$ Hz), 45.82, 45.48, major 44.60 (q, $J_{CF} = 32.3$ Hz), 42.62, 16.66, 13.70, 11.83; ^{19}F NMR (376 MHz, DMSO- d_6) δ -68.42, -69.10.

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25 **(R)-2-Amino-N-(2,2-difluoroethyl)propanamide hydrochloride (C63)**

[0502]

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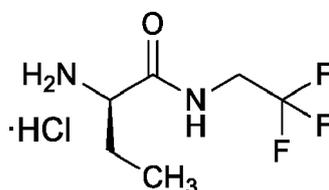
35

[0503] Isolated as a gum (0.194 g, 92%): ^1H NMR (400 MHz, DMSO- d_6) δ 8.98 (t, $J = 6.0$ Hz, 1H), 8.36 (s, 3H), 6.06 (tt, $J = 55.6, 3.6$ Hz, 1H), 3.88 (q, $J = 6.9$ Hz, 1H), 3.71 - 3.39 (m, 2H), 1.38 (d, $J = 7.0$ Hz, 3H); ^{19}F NMR (376 MHz, DMSO- d_6) δ -122.15.

40 **(R)-2-Amino-N-(2,2,2-trifluoroethyl)butanamide hydrochloride (C64)**

[0504]

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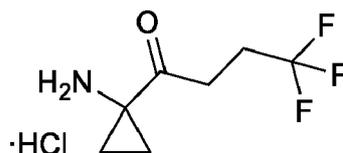
[0505] Isolated as a white solid (2.17 g, quant): mp 162-173 °C; ^1H NMR (400 MHz, DMSO- d_6) δ 9.33 (t, $J = 6.3$ Hz, 1H), 8.40 (s, 3H), 4.19 - 4.01 (m, 1H), 3.93 (dt, $J = 10.7, 5.5$ Hz, 1H), 3.87 - 3.76 (m, 1H), 1.79 (ddt, $J = 21.3, 14.1, 7.1$ Hz, 2H), 0.88 (t, $J = 7.5$ Hz, 3H); ^{19}F NMR (471 MHz, DMSO- d_6) δ -70.64 (t, $J = 9.8$ Hz); IR (thin film) 3348, 2887, 1674, 1601, 1157 cm^{-1} .

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1-(1-Aminocyclopropyl)-4,4,4-trifluoro-butan-1-one hydrochloride (C65)

[0506]

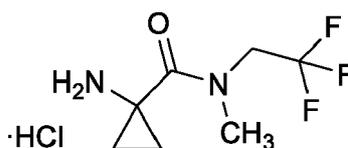
EP 3 407 716 B9



[0507] Isolated as a tan solid (0.296 g, 93%): mp 119-140 °C; ¹H NMR (400 MHz, Methanol-*d*₄) δ 2.71 - 2.37 (m, 4H), 1.84 (d, *J* = 6.8 Hz, 2H), 1.55 (d, *J* = 7.3 Hz, 2H); ¹³C NMR (101 MHz, Methanol-*d*₄) δ 203.26, 129.13 (q, *J*_{CF} = 275.5 Hz), 43.93, 29.45 (q, *J*_{CF} = 29.8 Hz), 29.02, 15.24; ¹⁹F NMR (376 MHz, Acetone-*d*₆) δ 108.19.

10
1-Amino-*N*-methyl-*N*-(2,2,2-trifluoroethyl)cyclopropanecarboxamide hydrochloride (C66)

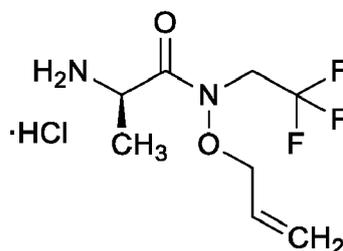
[0508]



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[0509] Isolated as an off white solid (2.83 g, 90%): mp 145-155 °C; ¹H NMR (500 MHz, DMSO-*d*₆) δ 9.29 (s, 3H), 4.22 (q, *J* = 9.5 Hz, 2H), 3.25 (s, 3H), 1.50 - 1.40 (m, 2H), 1.23 - 1.14 (m, 2H); ¹³C NMR (126 MHz, DMSO-*d*₆) δ 166.62, 124.20 (q, *J*_{CF} = 281.1 Hz), 47.73 (q, *J*_{CF} = 32.8 Hz), 36.27, 33.89, 10.36; ¹⁹F NMR (471 MHz, DMSO-*d*₆) δ -68.16 (t, *J* = 9.5 Hz).

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(*R*)-*N*-(Allyloxy)-2-amino-*N*-(2,2,2-trifluoroethyl)propanamide hydrochloride (C67)

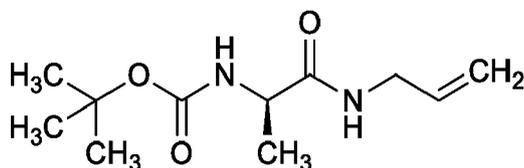
[0510]



35
[0511] Isolated as a glassy oil (0.120 g, 87%).

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Example 22: Preparation of (*R*)-*tert*-butyl (1-(allylamino)-1-oxopropan-2-yl)carbamate (C68)

[0512]



50
[0513] (*R*)-2-((*tert*-Butoxycarbonyl)amino)propanoic acid (5.26 g, 27.8 mmol) in dichloromethane (40 mL) in a round-bottomed flask was placed in a room temperature water bath. 1-Ethyl-3-(3-dimethylaminopropyl)carbodiimide (5.91 g, 30.3 mmol) was added followed by allyl amine (4.00 mL, 53.5 mmol) in ~0.5 mL portions. 4-Dimethylaminopyridine (3.70 g, 30.3 mmol) was added, and the reaction mixture was stirred for 18 hours at room temperature while under a drying tube charged with calcium sulfate. The reaction mixture was washed with hydrochloric acid (10%, 3x). The organic layer was diluted with a dichloromethane (100 mL) and ethyl acetate (250 mL), washed with saturated sodium bicarbonate

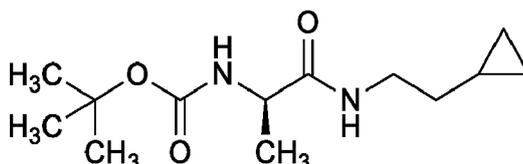
and saturated sodium chloride, dried over magnesium sulfate, filtered, and concentrated providing the title compound

as a white solid (3.51 g, 55%): $[\alpha]_D^{23} +30.6^{\circ}$ (c 0.00620, dichloromethane); mp 87-94 °C; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 6.40 (s, 1H), 5.91 - 5.75 (m, 1H), 5.25 - 5.09 (m, 2H), 5.07 (s, 1H), 4.19 (d, $J = 10.6$ Hz, 1H), 3.88 (t, $J = 5.8$ Hz, 2H), 1.44 (s, 9H), 1.37 (d, $J = 7.1$ Hz, 3H); EIMS m/z 228 ($[\text{M}]^+$).

[0514] The following compounds were prepared according to the procedures disclosed in **Example 22**:

(R)-tert-Butyl (1-((2-cyclopropylethyl)amino)-1-oxopropan-2-yl)carbamate (C69)

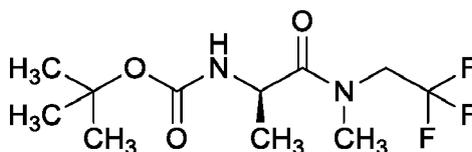
[0515]



[0516] Isolated (4.7 g, 71%): mp 67-69 °C; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 6.35 (s, 1H), 5.05 (s, 1H), 4.14 (m, 1H), 3.34 (m, 2H), 1.44 (s, 9H), 1.40 (m, 2H), 1.35 (d, $J = 7.1$ Hz, 3H), 0.74 - 0.59 (m, 1H), 0.53 - 0.37 (m, 2H), 0.14 - 0.03 (m, 2H); EIMS m/z 256 ($[\text{M}]^+$).

(R)-tert-Butyl (1-(methyl(2,2,2-trifluoroethyl)amino)-1-oxopropan-2-yl)carbamate (C70)

[0517]

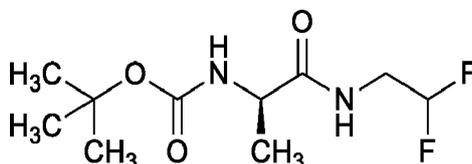


[0518] Isolated as a white solid using 2,2,2-trifluoro-*N*-methylethanamine hydrochloride (0.388 g, 22%):

$[\alpha]_D^{23} -1.1^{\circ}$ (c 0.00540, dichloromethane); mp 52-54 °C; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ rotamers 5.38 (d, $J = 8.4$ Hz, 1H), 4.76 - 4.59 (m, 1H), 4.30 (dd, $J = 15.0, 9.1$ Hz, 1H), 3.89 - 3.65 (m, 1H), 3.20 (s, 3H), 1.43 (m, 9H), 1.33 (d, $J = 6.8$ Hz, 3H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ rotamers -69.85, -70.62.

(R)-tert-Butyl (1-((2,2-difluoroethyl)amino)-1-oxopropan-2-yl)carbamate (C71)

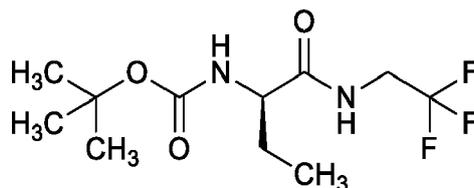
[0519]



[0520] Isolated as a white solid (3.30 g, 60%): mp 69-72 °C; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 6.78 (s, 1H), 5.83 (tt, $J = 56.0, 4.1$ Hz, 1H), 5.00 (d, $J = 7.5$ Hz, 1H), 4.36 - 4.11 (m, 1H), 3.74 - 3.40 (m, 2H), 1.45 (s, 9H), 1.37 (d, $J = 7.1$ Hz, 3H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -123.02 (d, $J = 3.5$ Hz); IR (thin film) 3334, 2924, 2853, 1670, 1598 cm^{-1} ; EIMS m/z 179 ($[\text{M-Otert-butyl}]^+$).

(R)-tert-Butyl (1-oxo-1-((2,2,2-trifluoroethyl)amino)butan-2-yl)carbamate (C72)

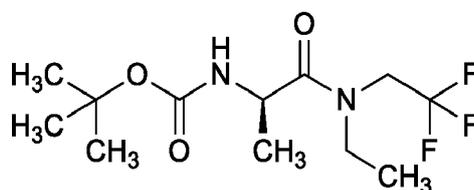
[0521]



[0522] Isolated as a white solid (2.77 g, 83%): mp 110-114 °C; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.50 - 7.29 (m, 1H), 5.32 (d, $J = 8.4$ Hz, 1H), 4.16 (d, $J = 7.6$ Hz, 1H), 3.96 (m, 1H), 3.88 - 3.69 (m, 1H), 1.89 - 1.73 (m, 1H), 1.66 (m, 1H), 1.44 (s, 9H), 0.95 (t, $J = 7.4$ Hz, 3H); $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 173.43, 156.09, 124.05 (q, $J_{\text{CF}} = 278.6$ Hz), 80.10, 55.54, 40.39 (q, $J_{\text{CF}} = 34.7$ Hz), 28.15, 25.90, 9.74; $^{19}\text{F NMR}$ (471 MHz, CDCl_3) δ rotamers -72.52, -73.29; EIMS m/z 229 ($[\text{M}]^+$).

Example 23: Preparation of (R)-tert-butyl 1-(ethyl(2,2,2-trifluoroethyl)amino)-1-oxopropan-2-ylcarbamate (C73)

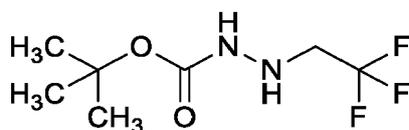
[0523]



[0524] To a 20 mL vial containing a solution of (R)-2-((tert-butoxycarbonyl)amino)propanoic acid (0.489 g, 2.58 mmol) in dichloromethane (5 mL) cooled to 0 °C was added 2,2,2-trifluoro-N-ethylethanamine hydrochloride (0.384 g, 2.35 mmol), 1H-benzo[d][1,2,3]triazol-1-ol hydrate (0.360 g, 2.35 mmol), diisopropylethylamine (1.00 mL, 5.16 mmol), and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (0.495 g, 2.58 mmol). The reaction was stirred for 2 days at room temperature. The reaction mixture was diluted with diethyl ether, washed with hydrochloric acid (0.1 N), sodium bicarbonate (15%), and saturated sodium chloride, dried over sodium sulfate, filtered, and concentrated. Purification by flash column chromatography using 0-100% ethyl acetate/hexanes as eluent provided the title compound as a white solid (0.092 g, 12%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 5.34 (d, $J = 8.7$ Hz, 1H), 4.73 - 4.60 (m, 1H), 4.39 (dq, $J = 15.0, 9.2$ Hz, 1H), 3.80 - 3.43 (m, 3H), 1.43 (d, $J = 5.2$ Hz, 9H), 1.34 (d, $J = 6.8$ Hz, 3H), 1.29 (q, $J = 6.9$ Hz, 3H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -69.65, -70.65; ESIMS m/z 242 ($[\text{M-tert-butyl}]$).

Example 24: Preparation of tert-butyl 2-(2,2,2-trifluoroethyl)hydrazinecarboxylate (C74)

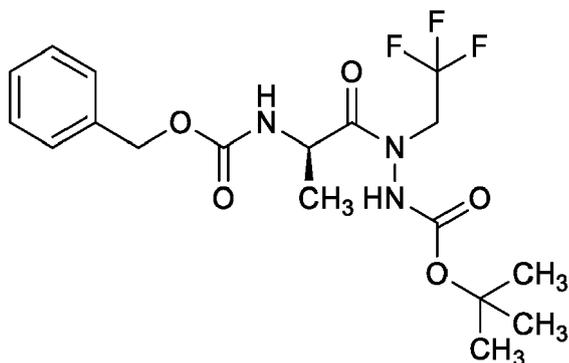
[0525]



[0526] A 100 mL round-bottomed flask was charged with (2,2,2-trifluoroethyl)hydrazine (2.0 g, 12 mmol) and methanol (15 mL) and stirred at room temperature. Di-tert butyl dicarbonate (2.7 g, 12 mmol) was added in several portions. The reaction mixture was stirred at room temperature for 18 hours. The reaction mixture was concentrated providing the title compound as a white solid (2.3 g, 87%): $^1\text{H NMR}$ (300 MHz, CDCl_3) δ 6.24 (s, 1H), 4.23 (s, 1H), 3.41 (qd, $J = 9.3, 4.6$ Hz, 2H), 1.47 (s, 9H).

Example 25: Preparation of (R)-tert-butyl 2-(2-(((benzyloxy)carbonyl)amino)propanoyl)-2-(2,2,2-trifluoroethyl)hydrazinecarboxylate (C75)

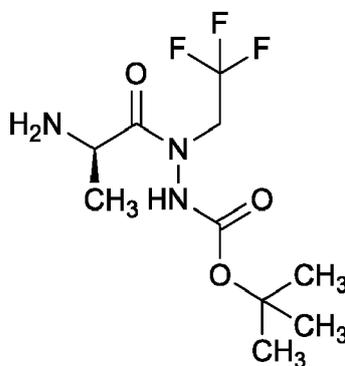
[0527]



[0528] To a solution of (*R*)-2-(((benzyloxy)carbonyl)amino)propanoic acid (0.500 g, 2.24 mmol) in dry dichloromethane (10 mL) was added 1-chloro-*N,N*,2-trimethyl-1-propenylamine (0.359 g, 2.69 mmol) in dichloromethane via pipette at 0 °C. The reaction mixture was stirred for 10 minutes. A pre-mixed solution of pyridine (0.213 g, 2.69 mmol) and tert-butyl 2-(2,2,2-trifluoroethyl)hydrazinecarboxylate (**C71**) (0.480 g, 2.24 mmol) was added via pipette. The reaction mixture was stirred at 0 °C to room temperature overnight. The reaction mixture was diluted with dichloromethane and added to dilute aqueous hydrochloric acid. The layers were separated and the aqueous layer was extracted with dichloromethane (2x) and discarded. The combined organic layers were washed with saturated aqueous sodium bicarbonate (2x), dried over magnesium sulfate, filtered, and concentrated. Purification by flash column chromatography using 15-20% ethyl acetate/hexanes as eluent provided the title compound as a pale thick oil (0.820 g, 87%): ¹H NMR (300 MHz, CDCl₃) δ 7.34 (s, 5H), 6.77 (s, 1H), 5.42 (s, 1H), 5.09 (s, 2H), 4.83 (m, 2H), 3.55 (d, *J* = 33.0 Hz, 1H), 1.50 (s, 9H), 1.32 (d, *J* = 6.8 Hz, 3H); ¹⁹F NMR (376 MHz, CDCl₃) δ rotamers -69.70, -70.12.

Example 26: Preparation of (*R*)-tert-butyl 2-(2-aminopropanoyl)-2-(2,2,2-trifluoroethyl)hydrazinecarboxylate (C76**)**

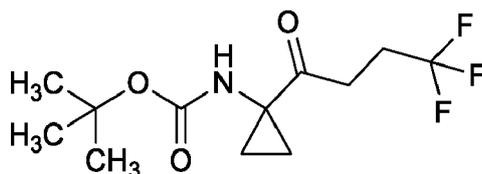
[0529]



[0530] (*R*)-tert-Butyl 2-(2-(((benzyloxy)carbonyl)amino)propanoyl)-2-(2,2,2-trifluoroethyl)hydrazinecarboxylate (**C72**) (0.820 g, 1.96 mmol) was dissolved in ethanol (10 mL) and palladium on carbon (5%, catalytic amount) was added. The reaction was shaken under a hydrogen atmosphere at room temperature for 18 hours. The reaction mixture was filtered through a pad of Celite® and the pad was washed several times with ethanol. The combined ethanol was concentrated. The residue was taken up in dichloromethane, washed repeatedly with saturated sodium chloride, dried over magnesium sulfate, filtered, and concentrated to provide the title compound as a glassy oil (0.400 g, 72%): ESIMS *m/z* 286 ([*M*+*H*]⁺).

Example 27: Preparation of tert-butyl *N*-[1-(4,4,4-trifluorobutanoyl)cyclopropyl]carbamate (C77**)**

[0531]



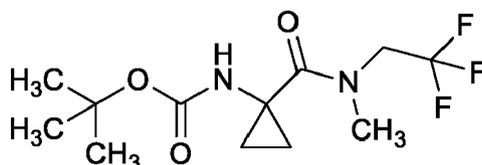
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[0532] To a solution of 3,3,3-trifluoropropyl magnesium bromide (0.5 M, 30.0 mL, 15.0 mmol) in tetrahydrofuran in an oven-dried round-bottomed flask under a nitrogen atmosphere was added tert-butyl (1-(methoxy(methyl)carbamoyl)cyclopropyl)carbamate (1.01 g, 4.12 mmol) in tetrahydrofuran (5.00 mL). The mixture was stirred at room temperature for 20 hours and then quenched with aqueous sodium bisulfate (5%). The mixture was extracted ethyl acetate and the organic phase washed with saturated sodium chloride, dried over magnesium sulfate, filtered, and concentrated. Purification by flash column chromatography using ethyl acetate/hexanes as eluent provided the title compound as a white solid (0.826 g, 71%): mp 71-93 °C; ¹H NMR (400 MHz, CDCl₃) δ 5.20 (s, 1H), 2.95 (t, *J* = 7.8 Hz, 2H), 2.50 - 2.20 (m, 2H), 1.63 - 1.57 (m, 2H), 1.47 (s, 9H), 1.22 - 1.14 (m, 2H); ¹⁹F NMR (376 MHz, CDCl₃) δ -66.64; EIMS *m/z* 225 ([*(M+H)*-(*tert*-butyl)]⁺).

Example 28: Preparation of tert-butyl (1-(methyl(2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)carbamate (C78)

20 **[0533]**

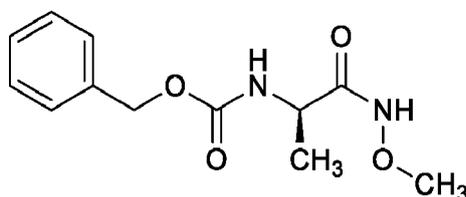
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[0534] To a mixture of 1-((*tert*-butoxycarbonyl)amino)cyclopropanecarboxylic acid (3.00 g, 14.9 mmol) and 2,2,2-trifluoro-*N*-methylethanamine hydrochloride (2.23 g, 14.9 mmol) in dichloromethane (40 mL) was added diisopropylethylamine (6.51 mL, 37.3 mmol) followed by ((1*H*-benzo[d][1, 2, 3]triazol-1-yl)oxy)tri(pyrrolidin-1-yl)phosphonium hexafluorophosphate(V) (7.76 g, 14.9 mmol). The reaction mixture was stirred at room temperature for 2 hours. The reaction mixture was diluted with ethyl acetate and washed with aqueous hydrochloric acid (0.5 M) followed by a saturated aqueous sodium bicarbonate wash. The organic layer was dried over sodium sulfate, filtered, and concentrated. Purification by flash column chromatography provided the title compound as an off-white solid (3.60 g, 73%): 109-112 °C; ¹H NMR (400 MHz, DMSO-*d*₆) δ 7.73 (s, 1H), 4.31 - 4.03 (m, 2H), 3.22 - 3.01 (m, 3H), 1.35 (d, *J* = 13.0 Hz, 9H), 1.15 (t, *J* = 4.0 Hz, 2H), 0.87 (q, *J* = 4.7 Hz, 2H); ¹³C NMR (126 MHz, CDCl₃) δ 171.72, 154.93, 124.79 (q, *J*_{CF} = 280 Hz), 80.32, 49.73 (q, *J*_{CF} = 34.00 Hz), 37.00, 35.47, 28.15, 15.43; ¹⁹F NMR (471 MHz, CDCl₃) δ rotamers -69.41, -69.73.

Example 29: Preparation of (*R*)-benzyl (1-(methoxyamino)-1-oxopropan-2-yl)carbamate (C79)

40 **[0535]**

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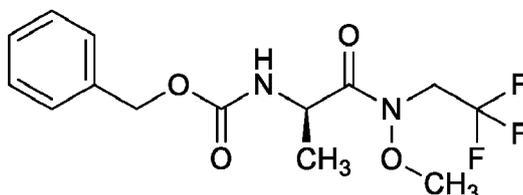
[0536] (*R*)-2-(((Benzyloxy)carbonyl)amino)propanoic acid (0.500 g, 2.24 mmol) in tetrahydrofuran/water (2:1, 15 mL) was treated with 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide (0.472 g, 2.46 mmol), *O*-methylhydroxylamine hydrochloride (0.224 g, 2.69 mmol), and triethylamine (0.272 g, 2.69 mmol). The reaction mixture was stirred for 18 hours, then concentrated. The residue was partitioned between dichloromethane and dilute aqueous hydrochloric acid. The aqueous phase was extracted with dichloromethane. The combined organic layers were washed with aqueous sodium bicarbonate, dried over magnesium sulfate, filtered, and concentrated providing the title compound as a white solid (0.250 g, 44%): mp 109-110 °C; ¹H NMR (400 MHz, CDCl₃) δ 9.01 (s, 1H), 7.35 (m, 5H), 5.10 (m, 3H), 4.12 (s, 1H),

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3.75 (s, 3H), 1.39 (d, $J = 7.0$ Hz, 3H); ESIMS m/z 253 ($[M+H]^+$).

Example 30: Preparation of (R)-benzyl (1-(methoxy(2,2,2-trifluoroethyl)amino)-1-oxopropan-2-yl)carbamate (C80)

[0537]

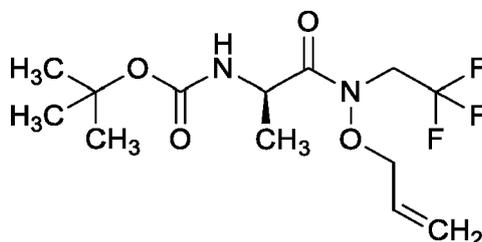


[0538] A mixture of (R)-benzyl (1-(methoxyamino)-1-oxopropan-2-yl)carbamate (C79) (0.300 g, 1.19 mmol), potassium carbonate (0.329 g, 2.38 mmol), and anhydrous tetrahydrofuran (15 mL) was treated with 2,2,2-trifluoroethyl trifluoromethanesulfonate (0.552 g, 2.38 mmol). The resulting mixture was stirred at room temperature for 18 hours, then partitioned between water and diethyl ether. The aqueous phase was extracted with diethyl ether (2x). The combined organic layers were washed with saturated sodium chloride, dried over magnesium sulfate, filtered, and concentrated. Purification by flash column chromatography using 1% acetone/dichloromethane as eluent provided the title compound as a clear oil (0.150 g, 38%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.36 (d, $J = 3.7$ Hz, 5H), 5.43 (d, $J = 8.5$ Hz, 1H), 5.11 (q, $J = 12.2$ Hz, 2H), 4.78 (t, $J = 7.5$ Hz, 1H), 4.44 (dd, $J = 16.0, 8.4$ Hz, 1H), 4.10 - 3.92 (m, 1H), 3.86 (s, 3H), 1.38 (d, $J = 7.0$ Hz, 3H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -69.31; ESIMS m/z 335 ($[M+H]^+$).

[0539] The following compound was prepared according to the procedure disclosed in Example 30:

(R)-tert-Butyl (1-((allyloxy)(2,2,2-trifluoroethyl)amino)-1-oxopropan-2-yl)carbamate (C81)

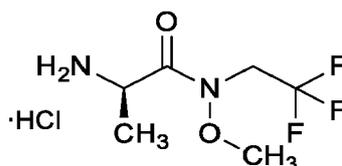
[0540]



[0541] Isolated as a white solid (0.200 g, 30%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 6.08 - 5.89 (m, 1H), 5.49 - 5.31 (m, 2H), 5.14 (d, $J = 8.5$ Hz, 1H), 4.71 (t, $J = 7.7$ Hz, 1H), 4.52 (m, 3H), 4.03 (m, 1H), 1.44 (s, 9H), 1.35 (d, $J = 7.0$ Hz, 3H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -69.09.

Example 31: Preparation of (R)-2-amino-N-methoxy-N-(2,2,2-trifluoroethyl)propanamide hydrochloride (C82)

[0542]



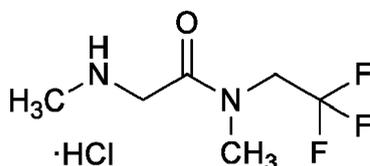
[0543] A solution of (R)-benzyl (1-(methoxy(2,2,2-trifluoroethyl)amino)-1-oxopropan-2-yl)carbamate (C80) (0.300 g, 0.897 mmol) in ethanol (10 mL) and hydrochloric acid (1 N, 1 mL) in ethanol was treated with palladium on carbon (5%, catalytic amount). The reaction was shaken under hydrogen at room temperature for 18 hours. The reaction mixture was filtered through a pad of Celite® and the pad was washed several times with ethanol. The combined ethanol washes

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were concentrated to provide the title compound as a beige foam (0.210 g, 99%): ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 8.43 (s, 3H), 4.71 (dq, $J = 16.1, 9.3$ Hz, 1H), 4.55 (dq, $J = 17.2, 8.8$ Hz, 1H), 4.26 (q, $J = 7.2$ Hz, 1H), 3.82 (s, 3H), 1.38 (d, $J = 6.9$ Hz, 3H); ^{19}F NMR (376 MHz, $\text{DMSO-}d_6$) δ -68.12.

5 **Example 32: Preparation of *N*-methyl-2-(methylamino)-*N*-(2,2,2-trifluoroethyl)acetamide hydrochloride (C83)**

[0544]



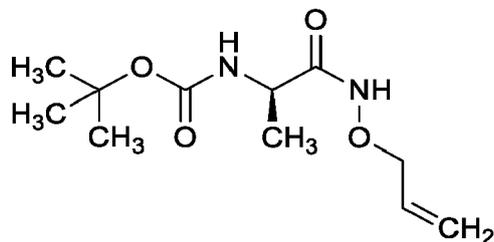
[0545] To a mixture of (1-cyano-2-ethoxy-2-oxoethylideneaminoxy)dimethylamino-morpholino-carbenium hexafluorophosphate (0.754 g, 1.76 mmol) and 2-((*tert*-butoxycarbonyl)(methyl)amino)acetic acid (0.333 g, 1.76 mmol) dissolved in *N,N*-dimethylformamide (2 mL) was added diisopropylethylamine (1.40 mL, 8.02 mmol). The solution was stirred for 15 minutes at room temperature then treated with 2,2,2-trifluoro-*N*-methylethanamine hydrochloride (0.342 g, 2.29 mmol). After stirring overnight at room temperature, the mixture was partitioned between water and ethyl acetate. The organic phase was dried over magnesium sulfate, concentrated, and purified by flash column chromatography to afford a foam which was dissolved in dichloromethane and treated with hydrogen chloride (4 M in dioxane, 0.750 mL, 3.00 mmol). After standing overnight under a nitrogen atmosphere the solution was then concentrated to provide the title compound as a colorless foam (0.164 g, 38%): ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 8.86 (s, 2H), 4.29 (dq, $J = 28.7, 9.3$ Hz, 2H), 4.16 (s, 2H), 3.07 (s, 3H), 2.56 (s, 3H); ^{13}C NMR (126 MHz, $\text{DMSO-}d_6$) δ 167.45, 125.23 (q, $J_{\text{CF}} = 281.2$ Hz), 48.73, 47.59 (q, $J_{\text{CF}} = 32.7$ Hz), 35.72, 33.09; ^{19}F NMR (376 MHz, $\text{Methanol-}d_4$) δ rotamers -71.67, -71.68, -71.70.

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Example 33: Preparation of (*R*)-*tert*-butyl 1-(allyloxy)amino-1-oxopropan-2-yl)carbamate (C84)

[0546]



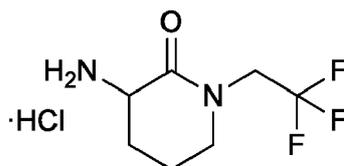
[0547] To (*R*)-2-((*tert*-butoxycarbonyl)amino)propanoic acid (2.00 g, 10.6 mmol) in tetrahydrofuran (35 mL) was added 2-chloro-4,6-dimethoxy-1,3,5-triazine (2.21 g, 12.6 mmol) and 4-methylmorpholine (3.21 g, 31.7 mmol). The reaction mixture was stirred at room temperature for 1 hour then *O*-allylhydroxylamine hydrochloride (1.16 g, 10.6 mmol) was added. After stirring 18 hours water was added and the mixture extracted with diethyl ether (3x). The combined ether layer was washed with saturated aqueous carbonate (2x), aqueous hydrochloric acid (1 N), saturated sodium chloride, dried over magnesium sulfate, filtered, and concentrated to provide the title compound as a white solid (1.83 g, 71%): ^1H NMR (400 MHz, CDCl_3) δ 9.15 (s, 1H), 5.97 (ddt, $J = 16.9, 10.3, 6.4$ Hz, 1H), 5.33 (m, 2H), 5.00 (d, $J = 13.5$ Hz, 1H), 4.39 (m, 2H), 4.05 (d, $J = 12.8$ Hz, 1H), 1.44 (s, 9H), 1.36 (d, $J = 7.0$ Hz, 3H).

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50 **Example 34: Preparation of 3-amino-1-(2,2,2-trifluoroethyl)-piperidin-2-one hydrochloride (C85)**

[0548]

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To a solution of *tert*-butyl (2-oxopiperidin-3-yl)carbamate (0.250 g, 1.17 mmol) in 10 mL of anhydrous tetrahydrofuran, cooled in an ice-water bath, was added sodium hydride (60% oil immersion, 0.0470 g, 1.17 mmol). After stirring 1 hour at ambient temperature 2,2,2-trifluoroethyl trifluoromethanesulfonate (0.284 g, 1.23 mmol) was added and the resulting mixture was stirred at room temperature for 20 hours. The mixture was partitioned between water and diethyl ether. The aqueous phase was extracted with diethyl ether (3x). The combined organic layer was dried with magnesium sulfate, concentrated, and purified by flash column chromatography using 2% acetone/dichloromethane as eluent to provide a clear oil. The oil was taken up in dichloromethane (5 mL) and hydrogen chloride (2 N in diethyl ether, 1 mL). After stirring for 18 hours, the mixture was concentrated to provide the title compound as a white foam (0.0600 g, 26%): ¹H NMR (300 MHz, DMSO-*d*₆) δ 8.29 (s, 3H), 4.47 - 4.14 (m, 2H), 4.00 (dd, *J* = 11.6, 6.2 Hz, 1H), 3.64 - 3.41 (m, 2H), 2.17 (m, 1H), 1.92 (m, 2H), 1.85 - 1.58 (m, 1H).

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[0549] The following molecules in Table 1 may be prepared according to the procedures disclosed: P1, P2, P3, P4, P5, P6, P7, P8, P9, P10, P11, P12, P13, P14, P15, P16, P17, P18, P19, P20, P21, P22, P23, P24, P25, P26, P27, P28, P29, P30, P31, P32, P33, P34, P35, P37, P39, P40, P41, P42, P43, P44, P45, P46, P47, P48, P49, P50, P51, P52, P53, P54, P55, P56, P57, P58, P59, P60, P61, P62, P63, P64, P65, P66, P67, P68, P69, P70, P71, P72, P73, P74, P75, P76, P77, P78, P79, P80, P81, P82, P83, P84, P85, P86, P87, P88, P89, P90, P91, P92, P93, P94, P95, P96, P97, P98, P99, P100, P101, P102, P103, and P104.

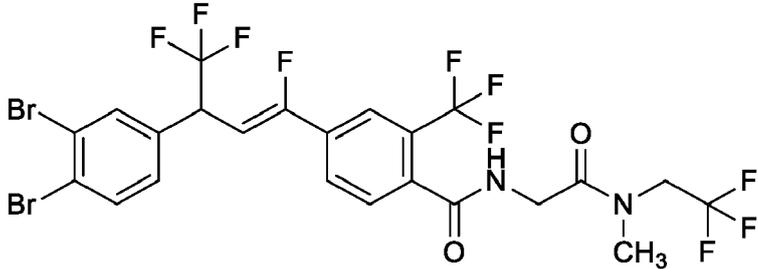
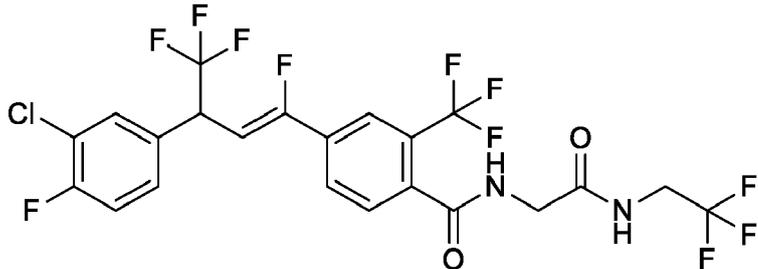
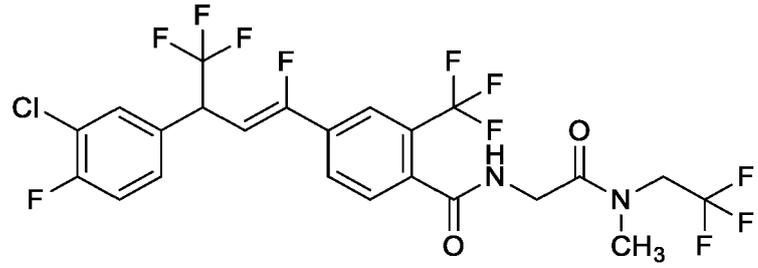
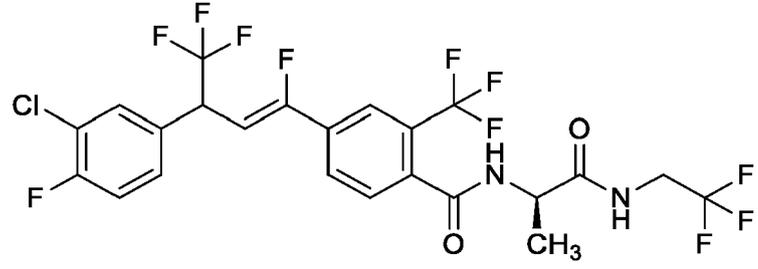
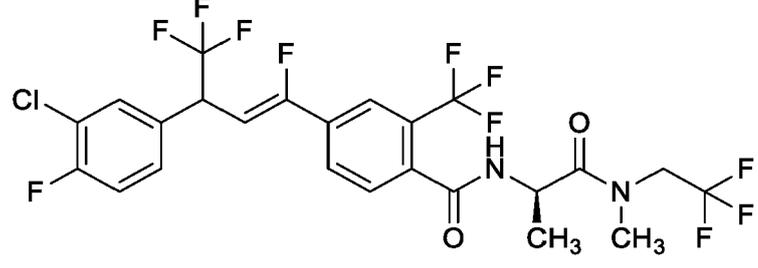
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Table 1. Structure and Preparation Method for Prophetic Molecules

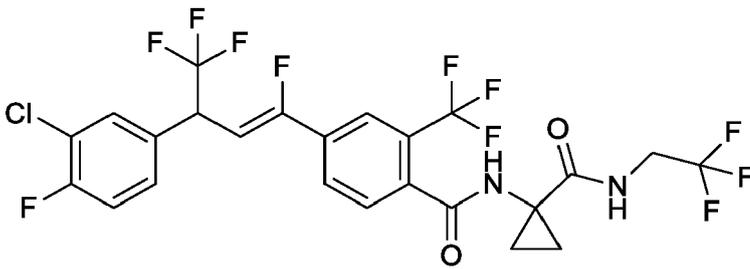
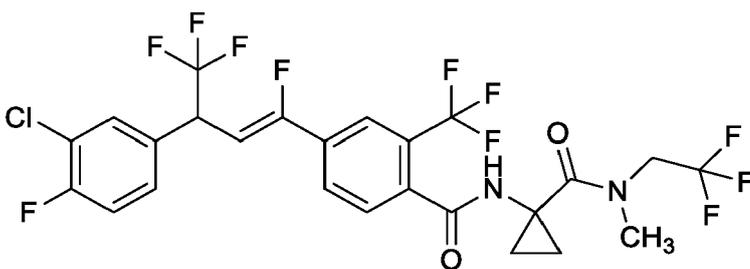
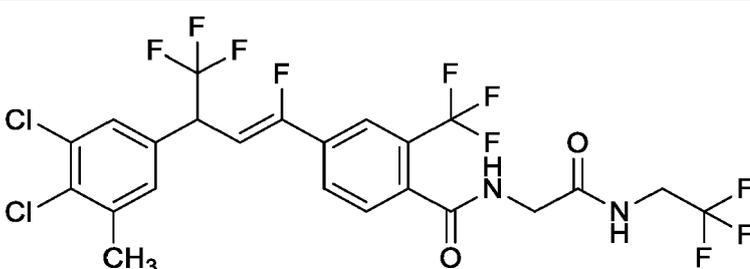
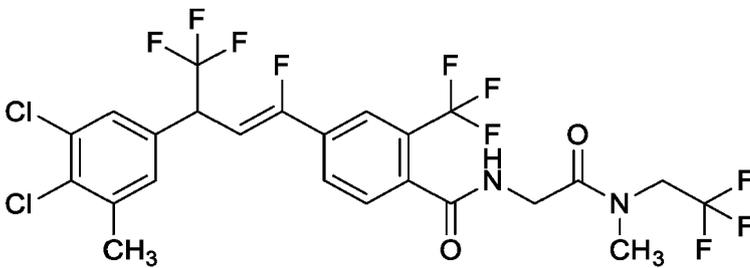
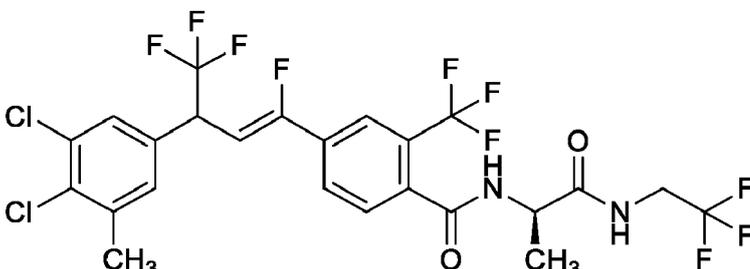
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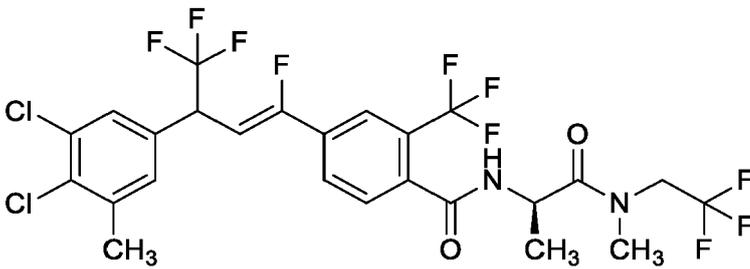
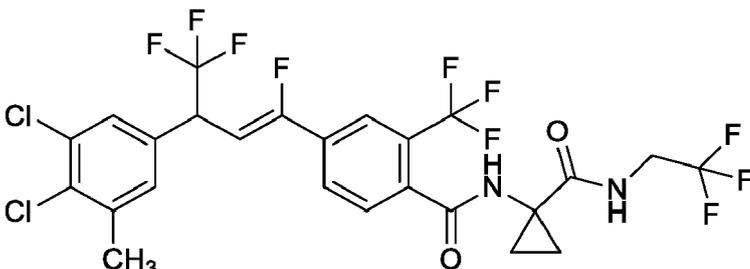
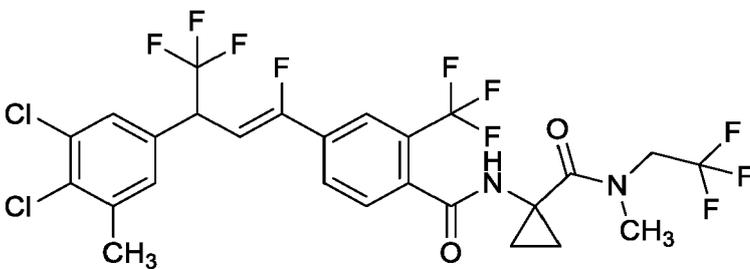
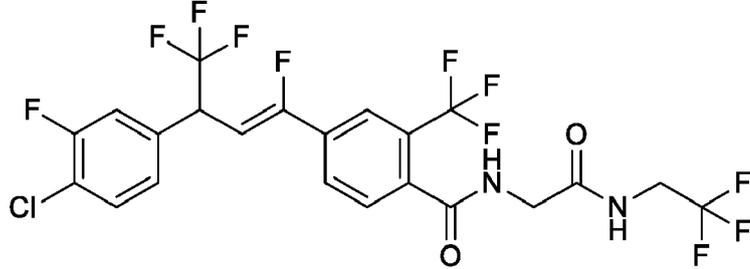
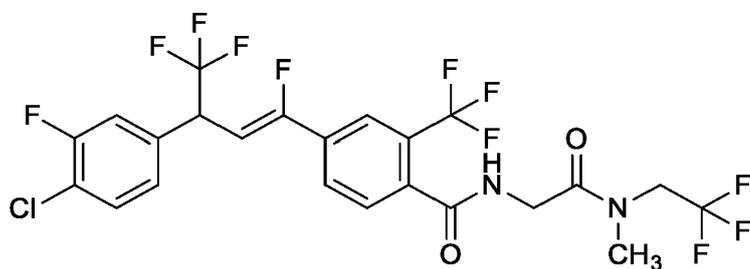
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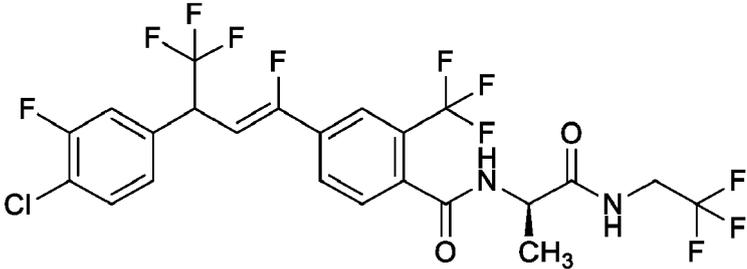
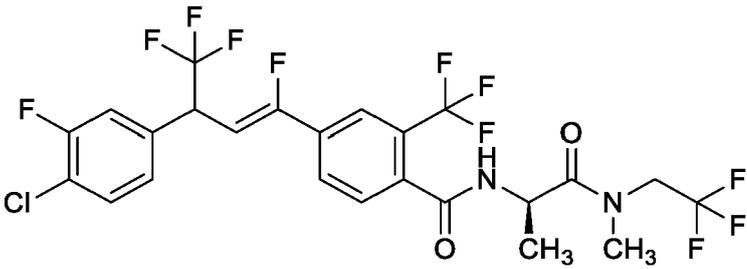
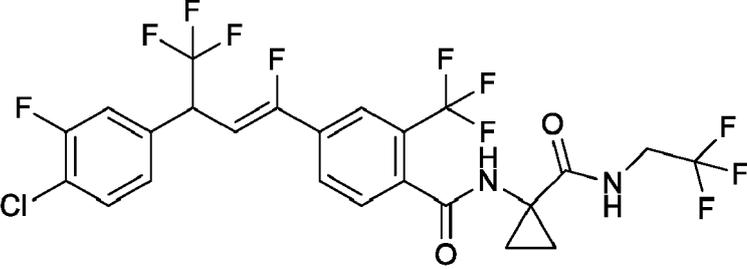
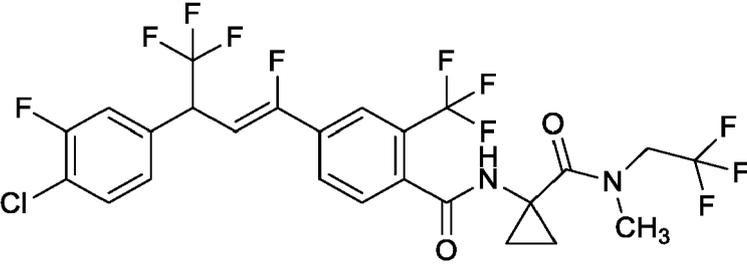
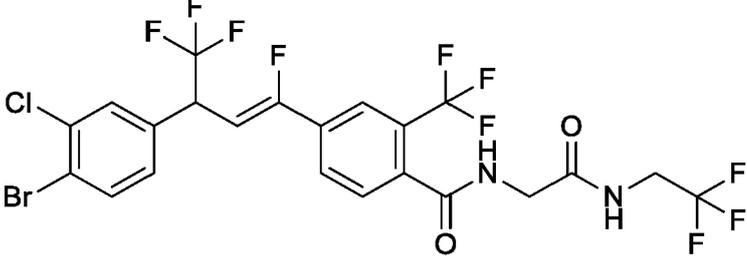
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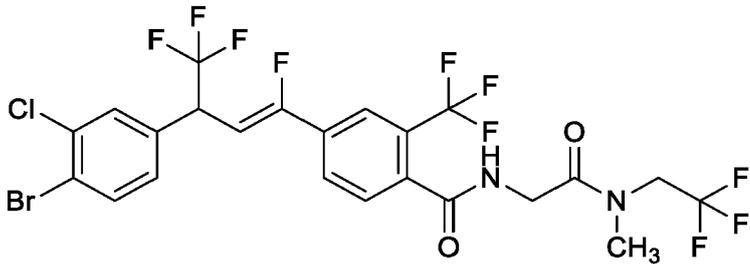
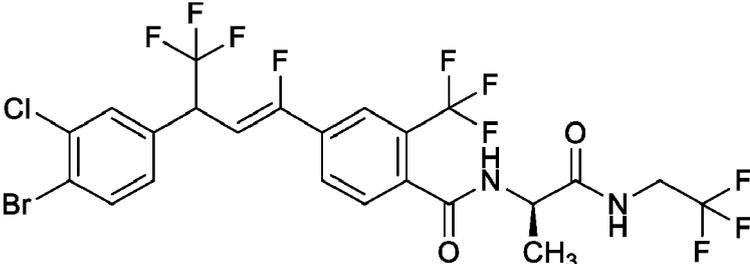
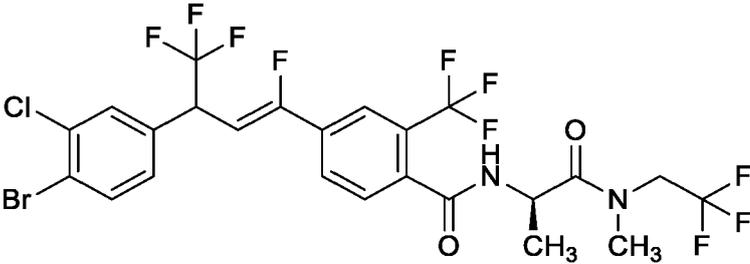
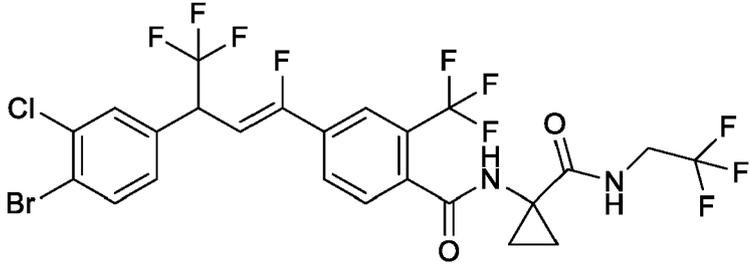
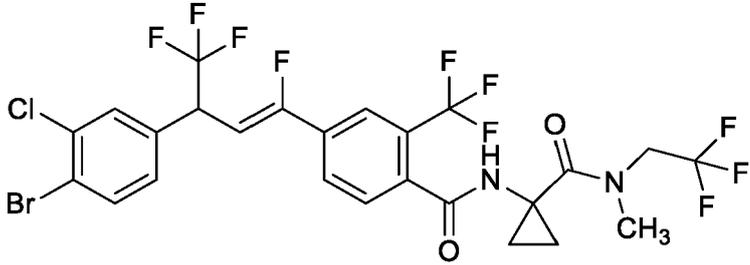
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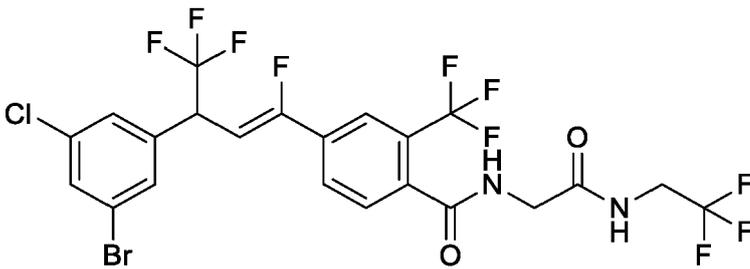
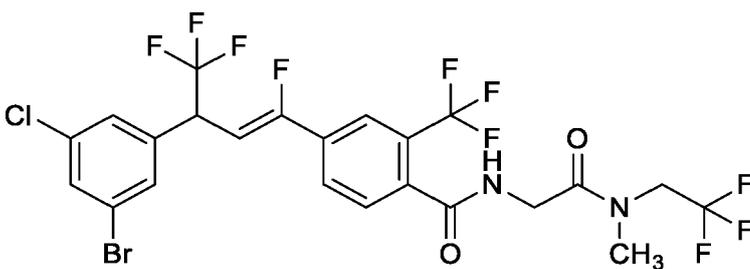
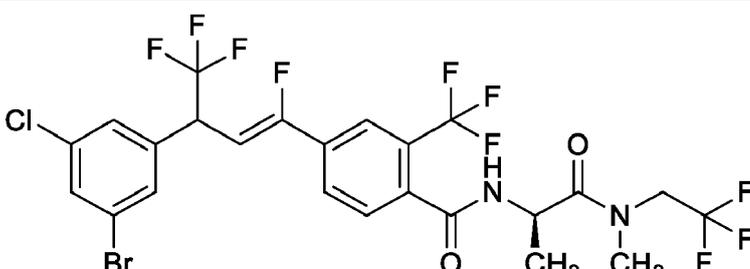
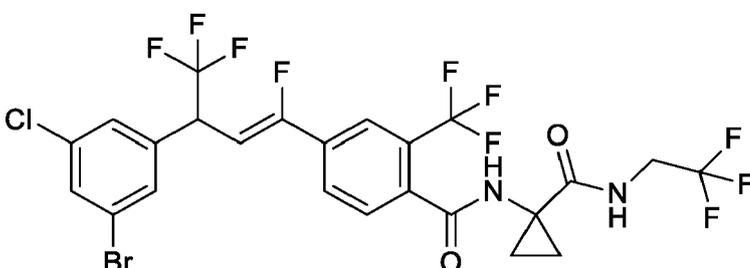
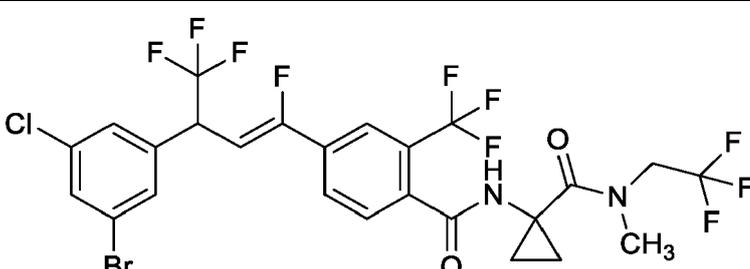
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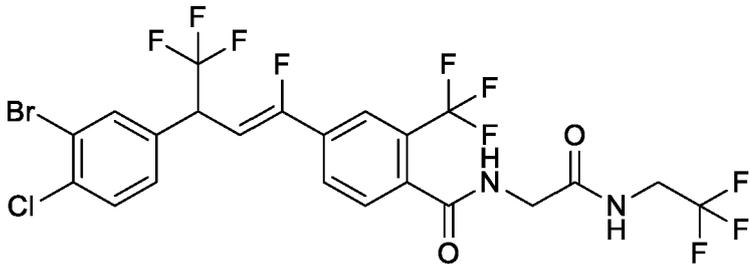
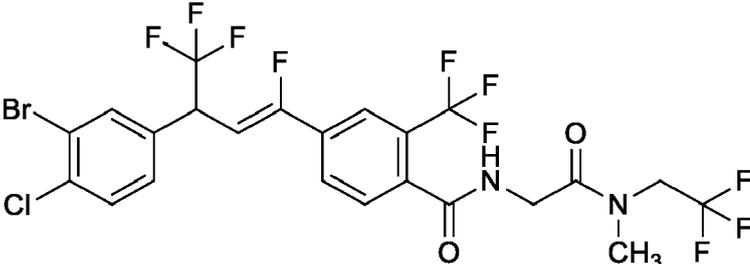
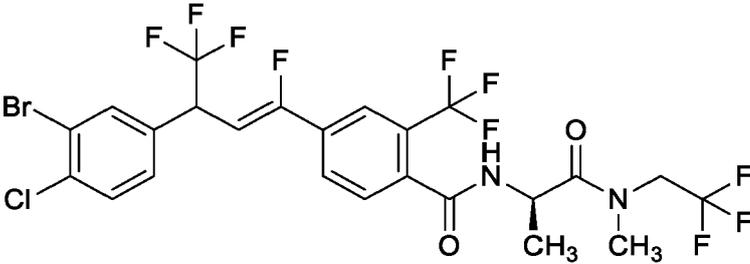
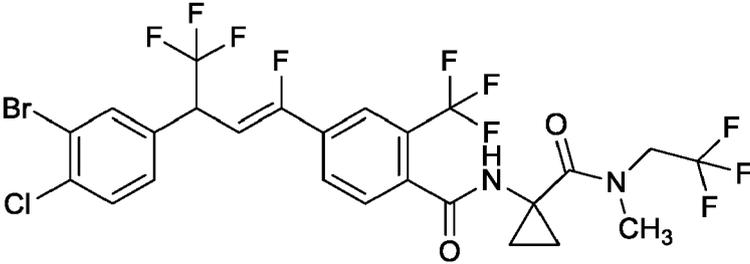
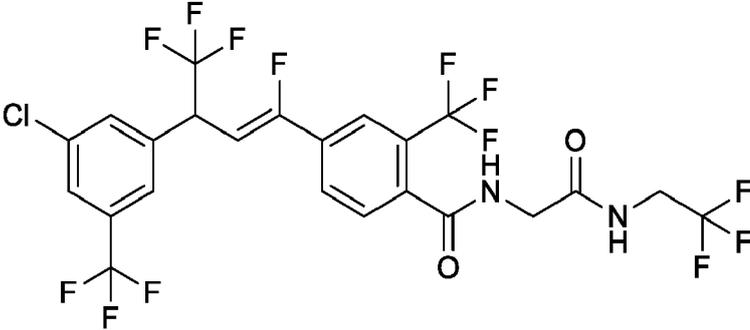
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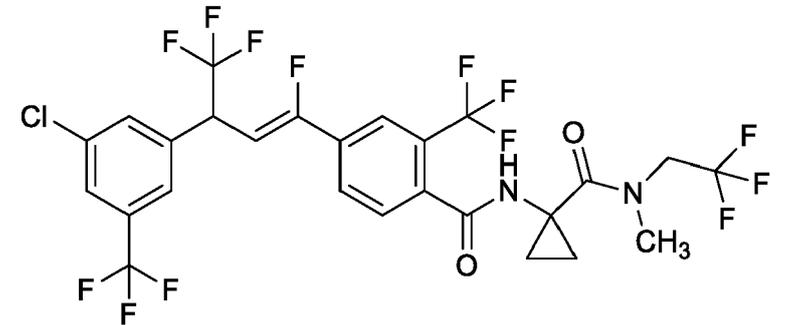
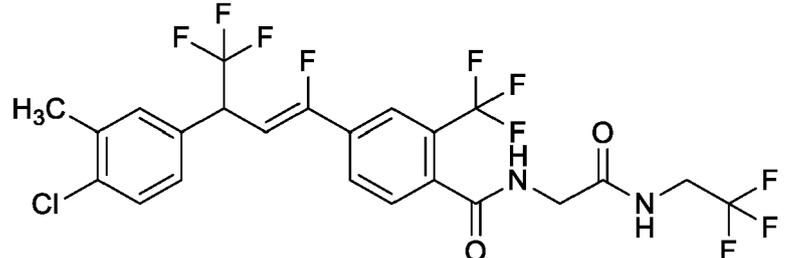
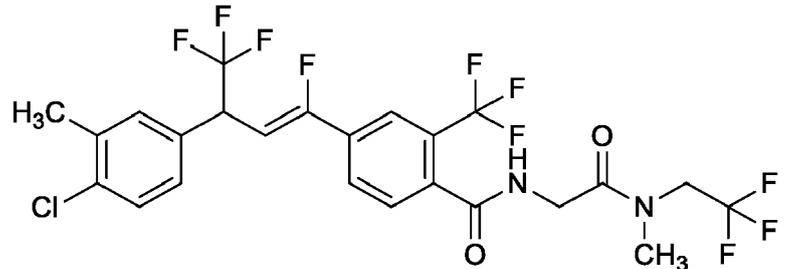
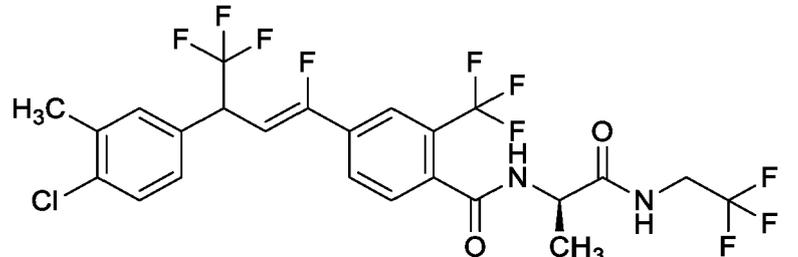
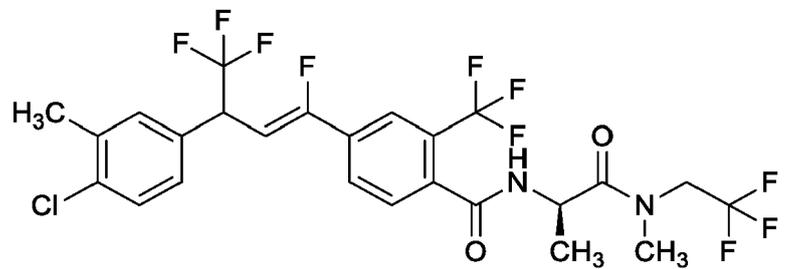
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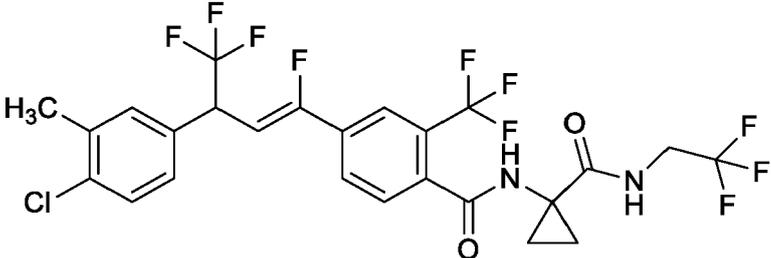
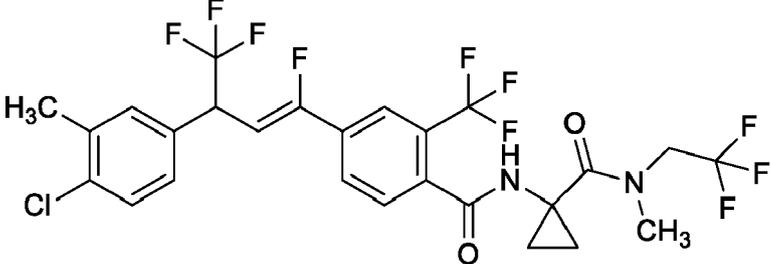
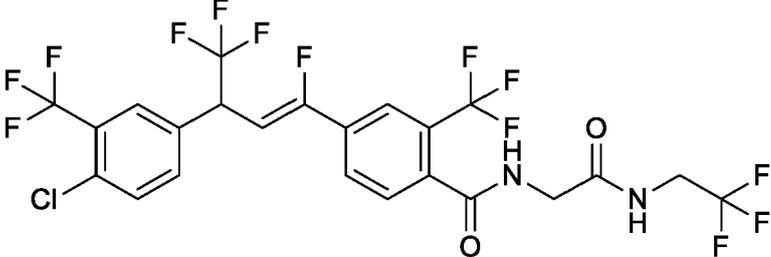
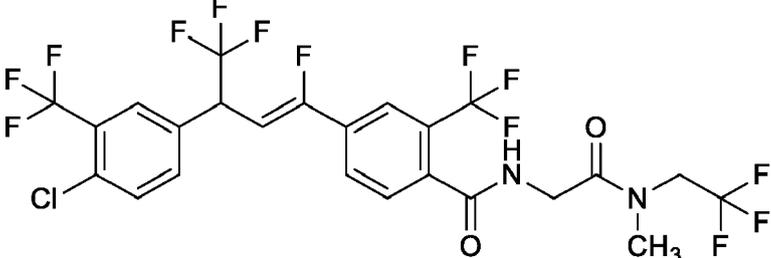
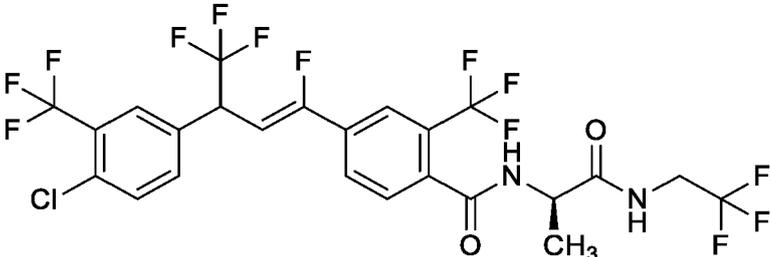
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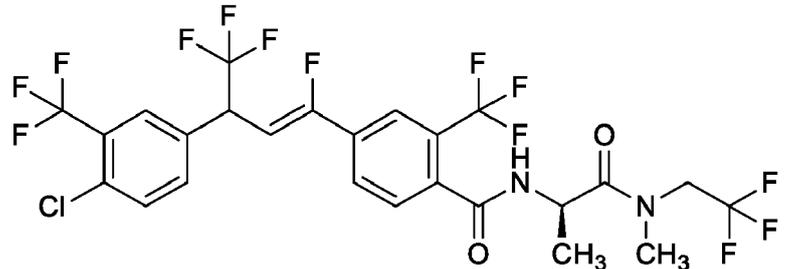
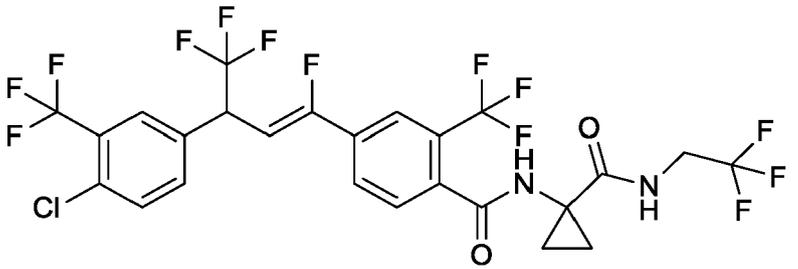
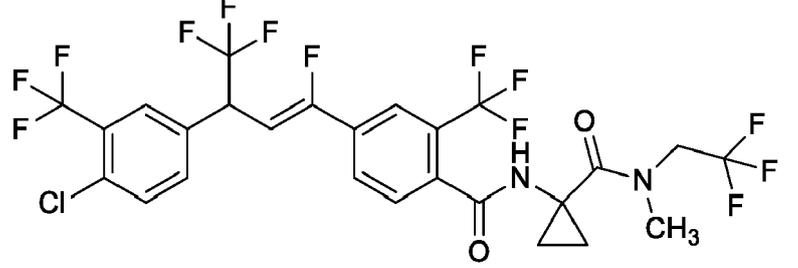
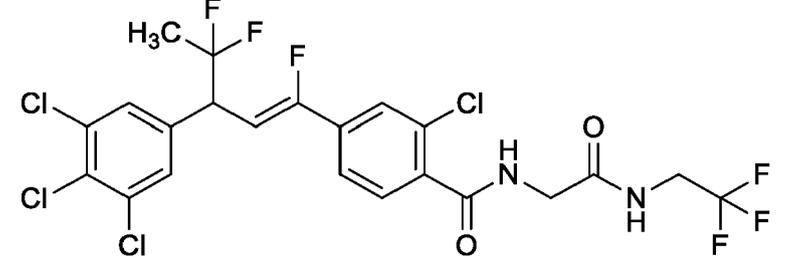
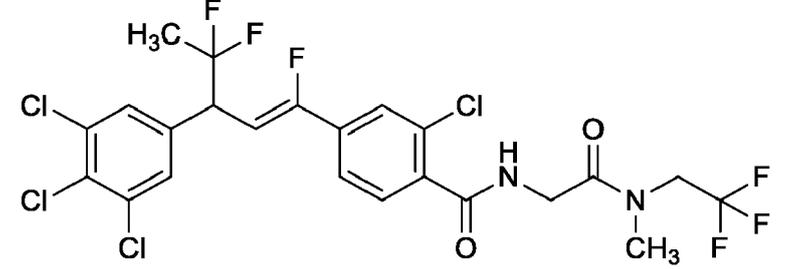
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No.	Structure
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P54	

(continued)

No.	Structure
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P56	 <chem>Clc1ccc(cc1C(F)(F)F)/C=C/c2ccc(cc2C(F)(F)F)C(=O)N[C@@H](C1CC1)C(=O)NCC(F)(F)F</chem>
P57	 <chem>Clc1ccc(cc1C(F)(F)F)/C=C/c2ccc(cc2C(F)(F)F)C(=O)N[C@@H](C)C(=O)N[C@@H](C1CC1)C(F)(F)F</chem>
P58	 <chem>Clc1cc(Cl)c(Cl)cc1C(F)(F)C)/C=C/c2ccc(cc2Cl)C(=O)NCC(=O)NCC(F)(F)F</chem>
P59	 <chem>Clc1cc(Cl)c(Cl)cc1C(F)(F)C)/C=C/c2ccc(cc2Cl)C(=O)N[C@@H](C)C(=O)NCC(F)(F)F</chem>

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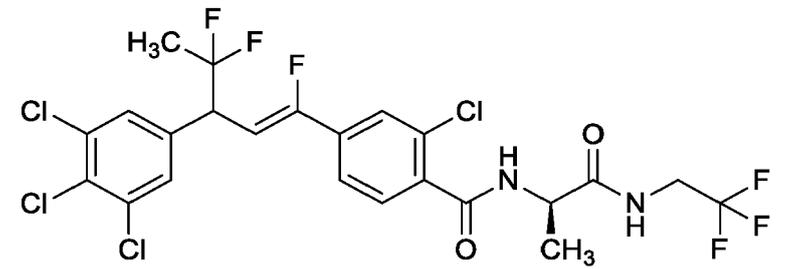
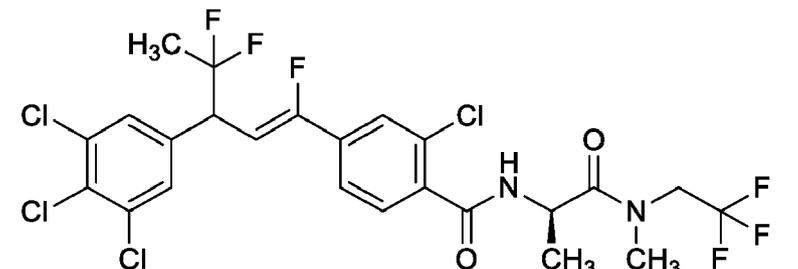
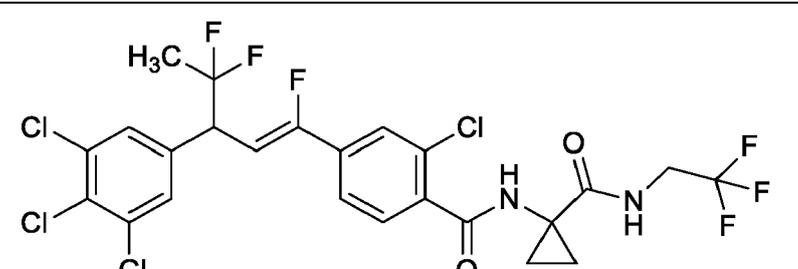
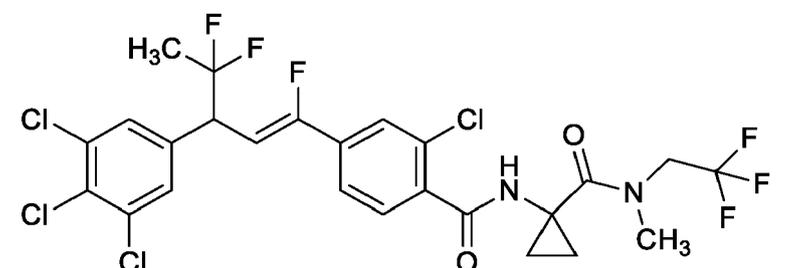
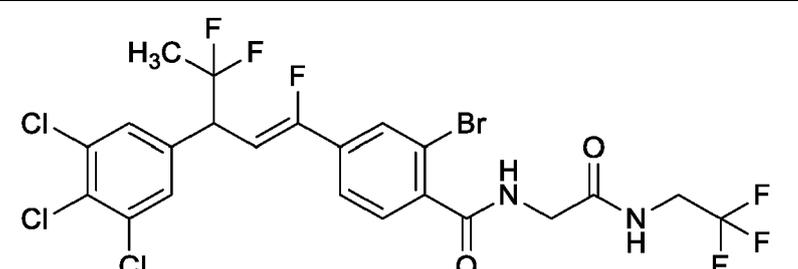
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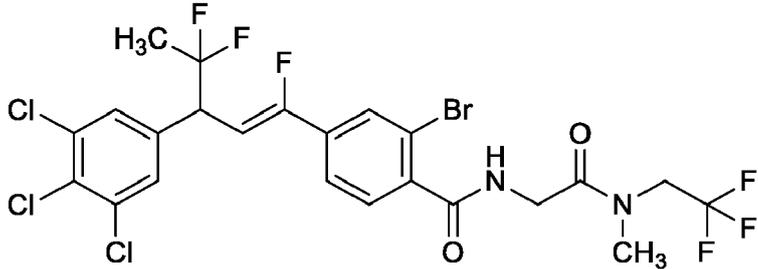
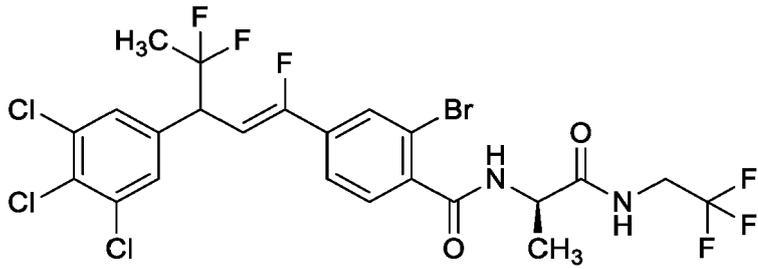
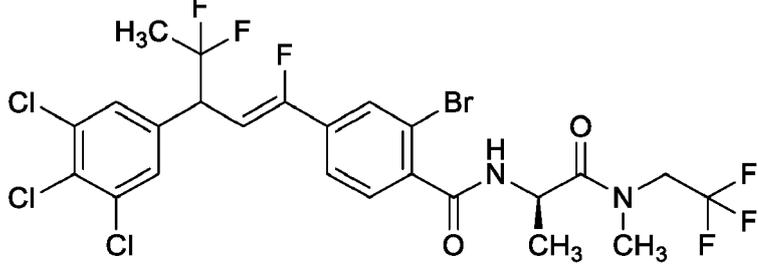
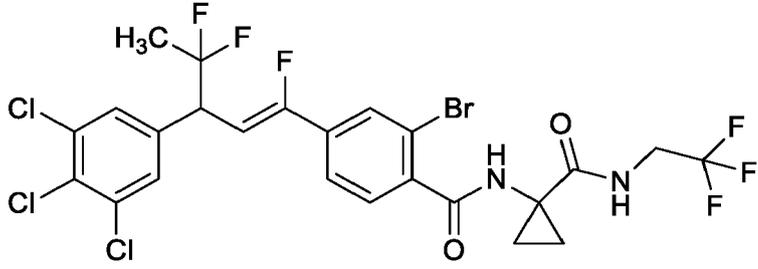
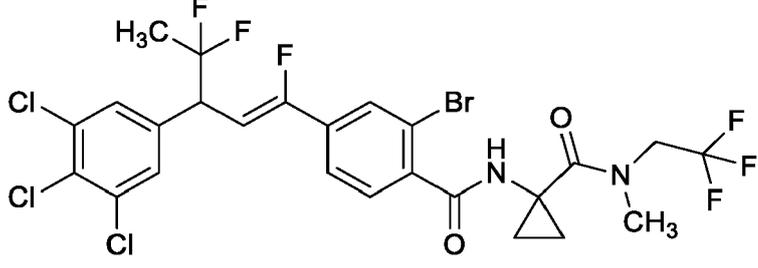
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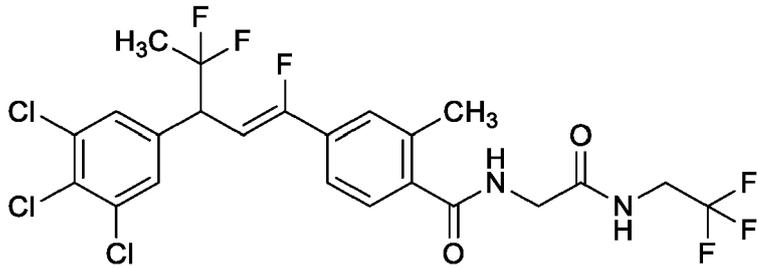
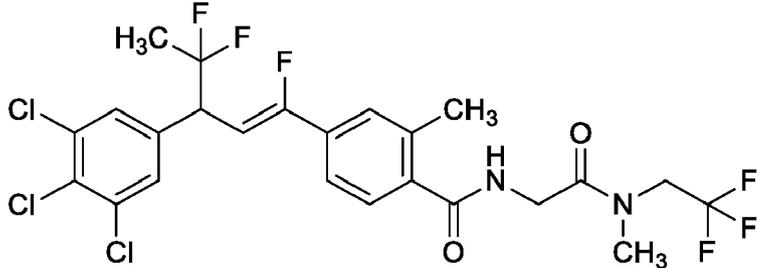
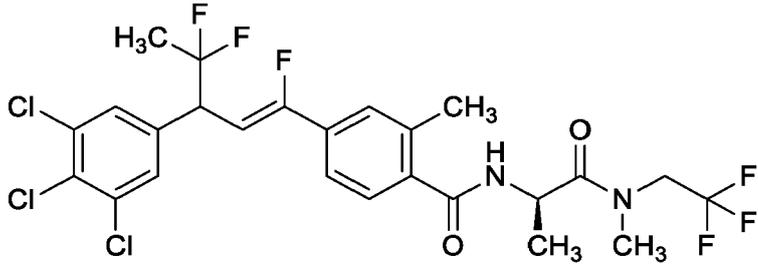
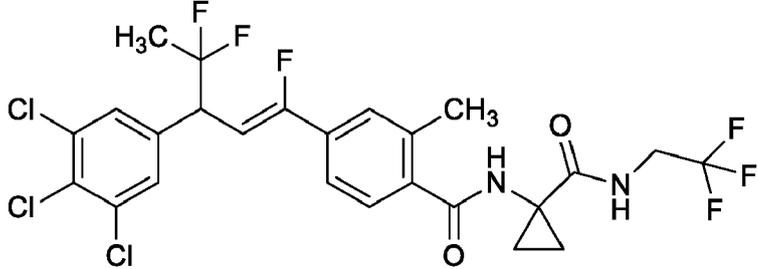
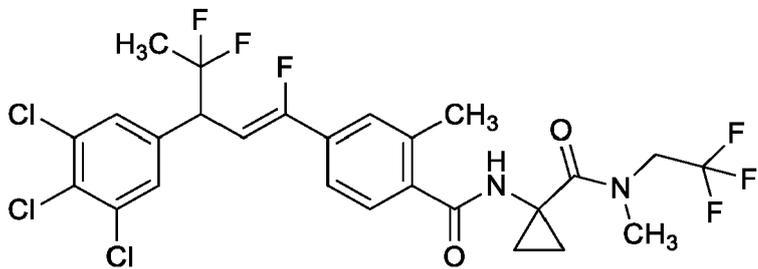
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P62	 <chem>CC(F)(F)/C=C/c1ccc(Cl)c1C(=O)N1CC1C(=O)NCC(F)(F)F</chem>
P63	 <chem>CC(F)(F)/C=C/c1ccc(Cl)c1C(=O)N1CC1C(=O)N(C)CC(F)(F)F</chem>
P64	 <chem>CC(F)(F)/C=C/c1ccc(Br)c1C(=O)NCC(=O)NCC(F)(F)F</chem>

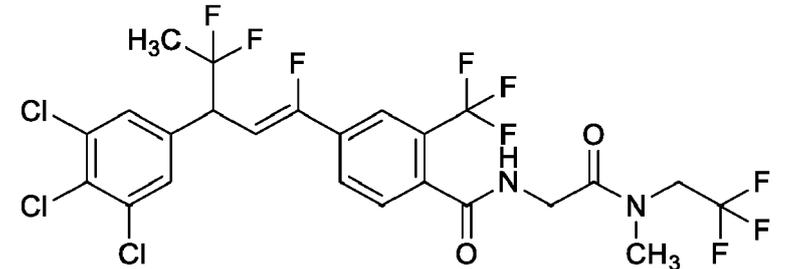
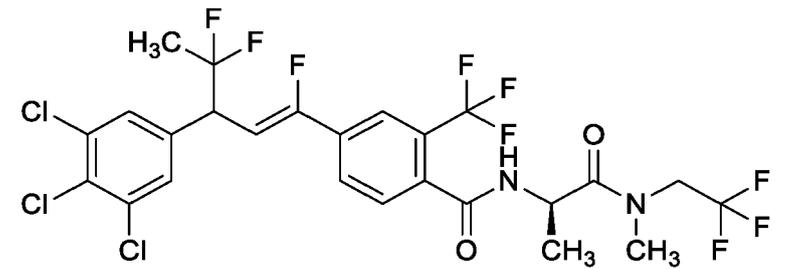
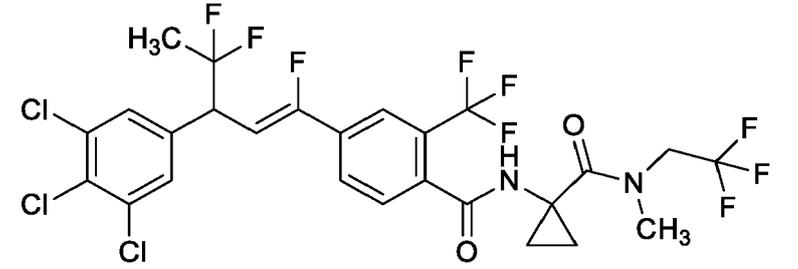
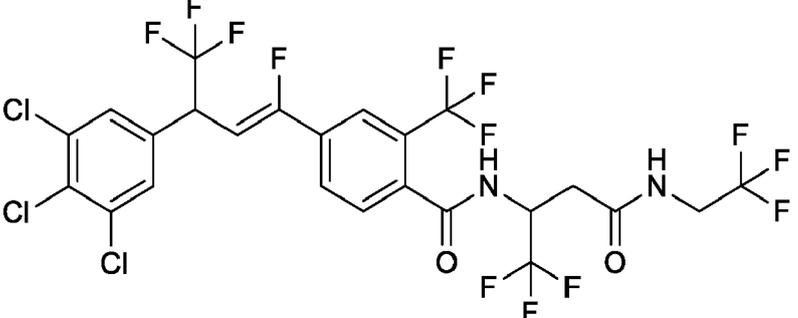
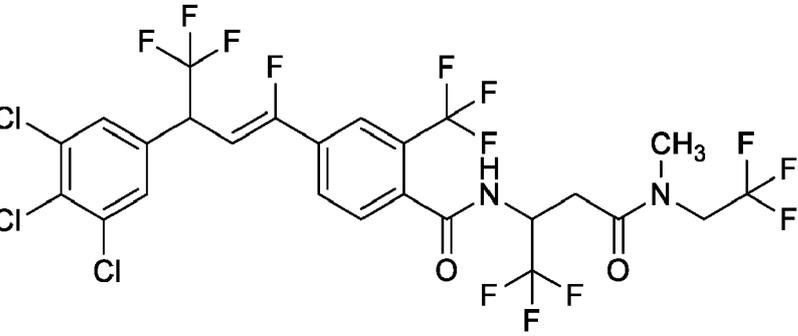
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P66	
P67	
P68	
P69	

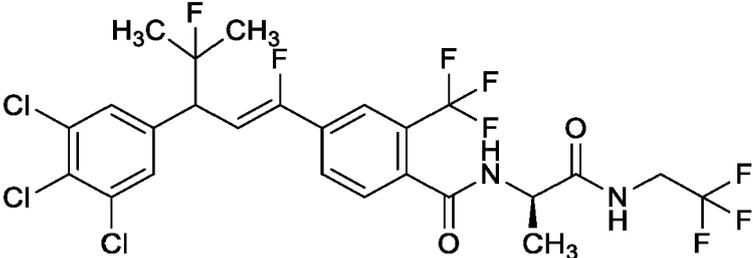
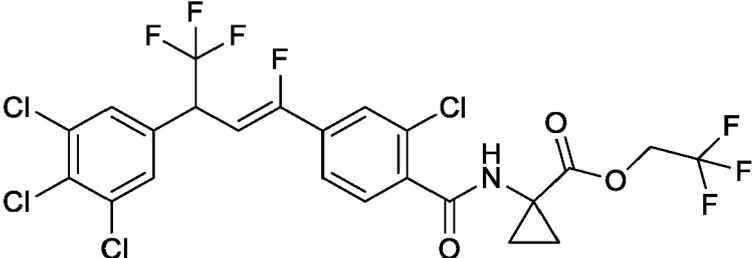
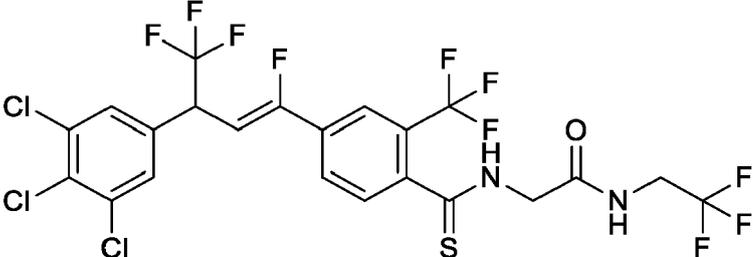
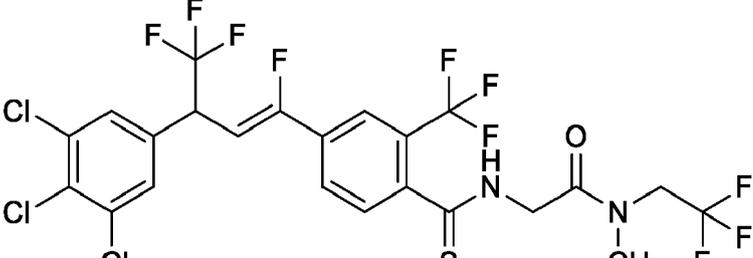
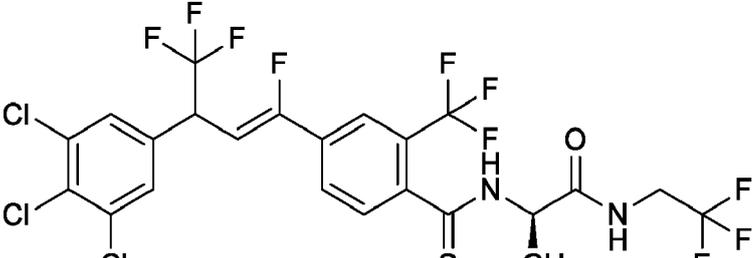
(continued)

No.	Structure
P70	
P71	
P72	
P73	
P74	

(continued)

No.	Structure
P75	
P76	
P77	
P78	
P79	

(continued)

No.	Structure
P80	
P81	
P82	
P83	
P84	

(continued)

No.	Structure
P85	<p>Chemical structure P85: A thiazine derivative. The thiazine ring is substituted with a 2,2,2-trifluoroethyl group and a 2,2,2-trifluoroethylamino group. The thiazine ring is also substituted with a 2,2,2-trifluoroethyl group and a 2,2,2-trifluoroethylamino group.</p>
P86	<p>Chemical structure P86: A thiazine derivative. The thiazine ring is substituted with a 2,2,2-trifluoroethyl group and a 2,2,2-trifluoroethylamino group. The thiazine ring is also substituted with a 2,2,2-trifluoroethyl group and a 2,2,2-trifluoroethylamino group.</p>
P87	<p>Chemical structure P87: A thiazine derivative. The thiazine ring is substituted with a 2,2,2-trifluoroethyl group and a 2,2,2-trifluoroethylamino group. The thiazine ring is also substituted with a 2,2,2-trifluoroethyl group and a 2,2,2-trifluoroethylamino group.</p>
P88	<p>Chemical structure P88: A thiazine derivative. The thiazine ring is substituted with a 2,2,2-trifluoroethyl group and a 2,2,2-trifluoroethylamino group. The thiazine ring is also substituted with a 2,2,2-trifluoroethyl group and a 2,2,2-trifluoroethylamino group.</p>
P89	<p>Chemical structure P89: A thiazine derivative. The thiazine ring is substituted with a 2,2,2-trifluoroethyl group and a 2,2,2-trifluoroethylamino group. The thiazine ring is also substituted with a 2,2,2-trifluoroethyl group and a 2,2,2-trifluoroethylamino group.</p>

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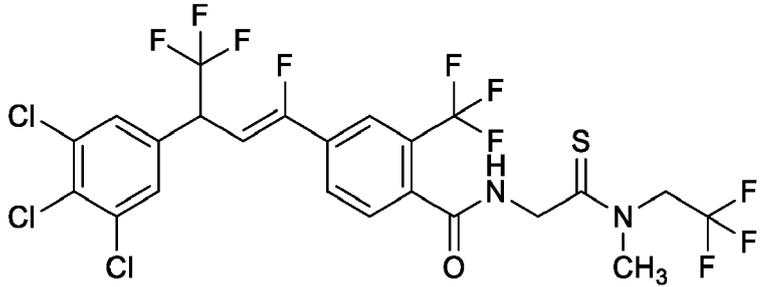
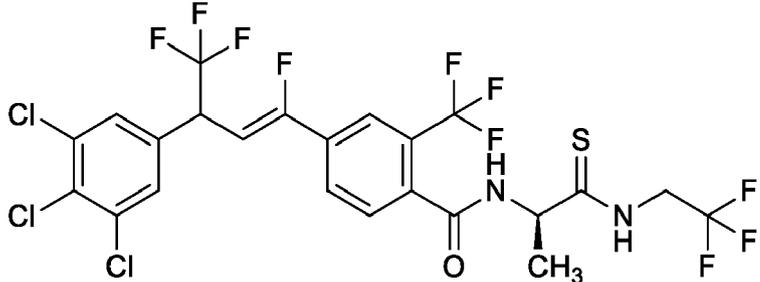
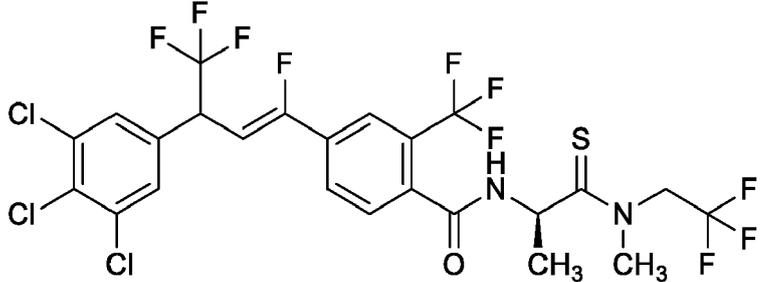
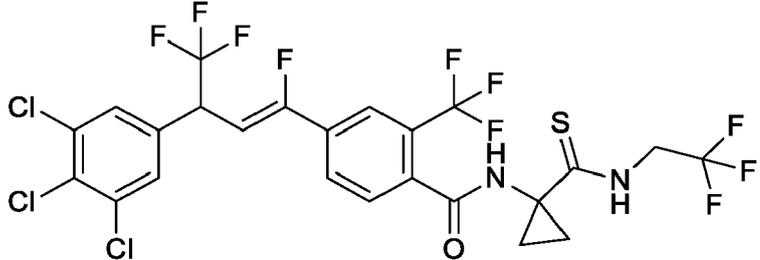
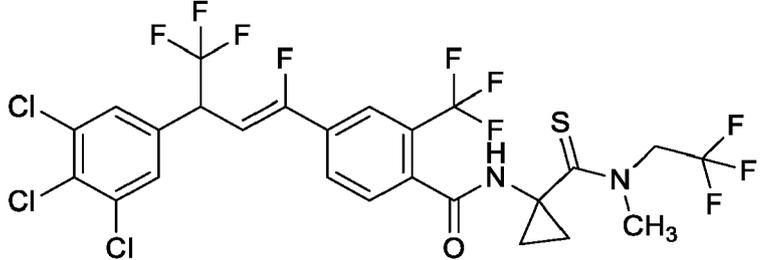
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(continued)

No.	Structure
P90	<chem>Clc1cc(Cl)c(Cl)cc1C(F)(F)F/C=C/Fc2ccc3c(c2)nc(FC(F)F)c(=S)n3C[C@H](C)C(=S)NCC(F)(F)F</chem>
P91	<chem>Clc1cc(Cl)c(Cl)cc1C(F)(F)F/C=C/Fc2ccc3c(c2)nc(FC(F)F)c(=S)n3C[C@H](C)C(=S)N(C)CC(F)(F)F</chem>
P92	<chem>Clc1cc(Cl)c(Cl)cc1C(F)(F)F/C=C/Fc2ccc3c(c2)nc(FC(F)F)c(=S)n3C4CC4C(=S)NCC(F)(F)F</chem>
P93	<chem>Clc1cc(Cl)c(Cl)cc1C(F)(F)F/C=C/Fc2ccc3c(c2)nc(FC(F)F)c(=S)n3C4CC4C(=S)N(C)CC(F)(F)F</chem>
P94	<chem>Clc1cc(Cl)c(Cl)cc1C(F)(F)F/C=C/Fc2ccc3c(c2)nc(FC(F)F)c(=O)n3CC(=S)NCC(F)(F)F</chem>

(continued)

No.	Structure
P95	
P96	
P97	
P98	
P99	

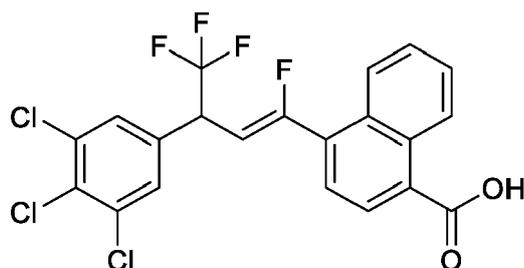
(continued)

No.	Structure
P100	
P101	
P102	
P103	
P104	

[0550] The following compounds were prepared in like manner to the procedure outlined in **Example 1** :

(Z)-4-(1,4,4,4-Tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-1-naphthoic acid (C86)

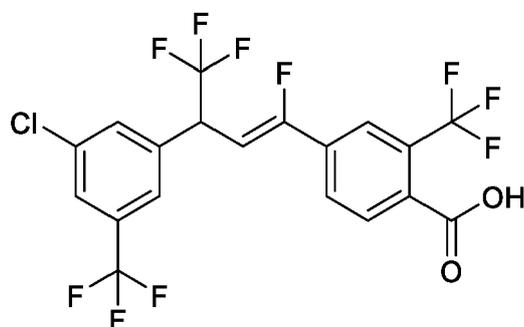
[0551]



[0552] Isolated as a yellow solid (0.85 g, 53%): $^1\text{H NMR}$ (300 MHz, CDCl_3) δ 8.30 (d, $J = 7.5$ Hz, 1H), 8.07 - 8.05 (m, 1H), 7.70 - 7.61 (m, 4H), 7.49 (s, 2H), 5.69 (dd, $J = 9.9, 31.2$ Hz, 1H), 4.75 - 4.69 (m, 1H); IR (thin film) 3445, 1684, 1260, 750 cm^{-1} ; ESIMS m/z 475.23 ($[\text{M}]^-$).

15 **(Z)-4-(3-(3-Chloro-5-(trifluoromethyl)phenyl)-1,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C87)**

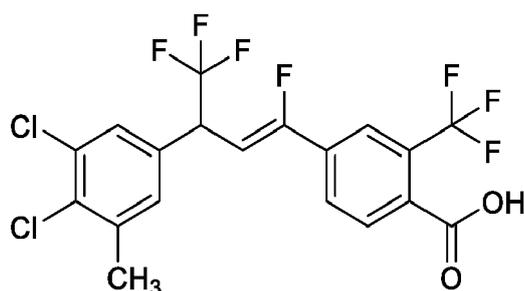
[0553]



[0554] Isolated as a brown solid (1.0 g, 47%): $^1\text{H NMR}$ (300 MHz, $\text{DMSO}-d_6$) δ 13.80 (s, 1H), 8.17 - 8.12 (m, 3H), 7.91 - 7.86 (m, 3H), 6.87 (dd, $J = 9.9, 36.0$ Hz, 1H), 5.39 - 5.32 (m, 1H); ESIMS m/z 493.14 ($[\text{M}-\text{H}]^-$).

35 **(Z)-4-(3-(3,4-Dichloro-5-methylphenyl)-1,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C88)**

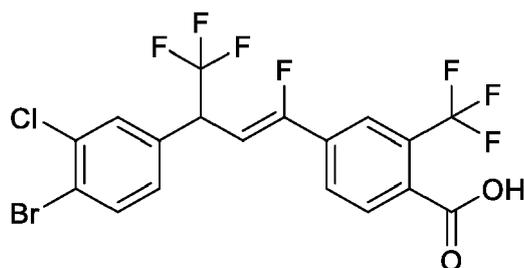
[0555]



50 **[0556]** Isolated as a brown gum (1.7 g, 42%): $^1\text{H NMR}$ (300 MHz, $\text{DMSO}-d_6$) δ 13.80 (s, 1H), 8.14 (s, 1H), 8.09 (d, $J = 8.1$ Hz, 1H), 7.91 (d, $J = 8.1$ Hz, 1H), 7.83 (s, 1H), 7.65 (s, 1H), 6.87 (dd, $J = 9.9, 36.0$ Hz, 1H), 5.13 - 5.07 (m, 1H), 2.42 (s, 3H); IR (thin film) 3446, 2928, 1716 cm^{-1} ; ESIMS m/z 473.10 ($[\text{M}-\text{H}]^-$).

55 **(Z)-4-(3-(4-Bromo-3-chlorophenyl)-1,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C89)**

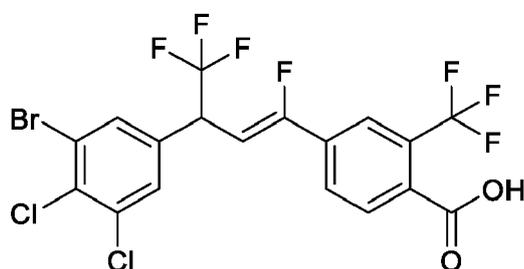
[0557]



[0558] Isolated as a brown gum (2.5 g, 68%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.02 (d, $J = 8.4$ Hz, 1H), 7.94 (s, 1H), 7.83 (d, $J = 7.2$ Hz, 1H), 7.66 (d, $J = 8.4$ Hz, 1H), 7.50 (s, 1H), 7.17 (dd, $J = 2.0, 8.4$ Hz, 1H), 5.96 (dd, $J = 9.2, 32.0$ Hz, 1H), 4.65 - 4.61 (m, 1H); IR (thin film) 3447, 2927, 1715, 750 cm^{-1} ; ESIMS m/z 504.4 ($[\text{M}-\text{H}]^-$).

15 **(Z)-4-(3-(3-Bromo-4,5-dichlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C90)**

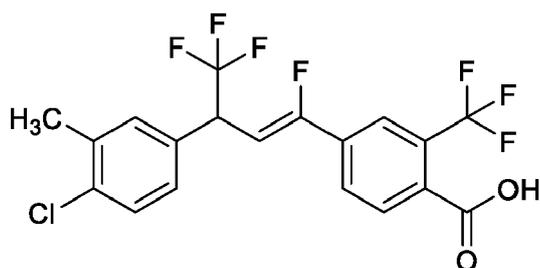
[0559]



30 **[0560]** Isolated as a yellow gum (2.6 g, 27%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 11.66 (s, 1H), 8.04 (d, $J = 7.3$ Hz, 1H), 7.97 (d, $J = 1.7$ Hz, 1H), 7.84 (dd, $J = 8.2, 1.8$ Hz, 1H), 7.60 (d, $J = 2.0$ Hz, 1H), 7.49 (d, $J = 2.1$ Hz, 1H), 5.91 (dd, $J = 32.4, 9.6$ Hz, 1H), 4.62 (p, $J = 8.8$ Hz, 1H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -57.06, -66.85, -110.35; ESIMS m/z 540 ($[\text{M}-\text{H}]^-$).

35 **(Z)-4-(3-(4-Chloro-3-methylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C91)**

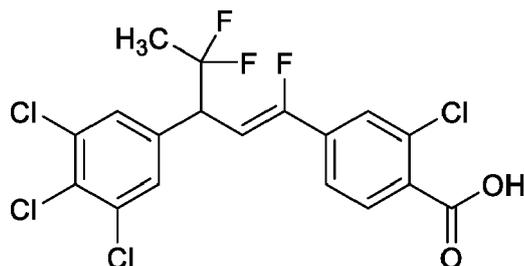
[0561]



50 **[0562]** Isolated as a brown gummy oil (0.45 g, 75%): $^1\text{H NMR}$ (300 MHz, $\text{DMSO}-d_6$) δ 13.60 (br s, 1H), 7.98 (s, 1H), 7.92 (d, $J = 8.1$ Hz, 1H), 7.90 (d, $J = 8.1$ Hz, 1H), 7.53 - 7.38 (m, 2H), 7.04 (dd, $J = 8.4, 15.6$ Hz, 1H), 6.89 (d, $J = 15.9$ Hz, 1H), 4.76 - 4.63 (m, 1H), 2.35 (s, 3H); IR (thin film) 3436, 1727, 1150, 765 cm^{-1} ; ESIMS m/z 420.79 ($[\text{M}-\text{H}]^-$).

(Z)-2-Chloro-4-(1,4,4-trifluoro-3-(3,4,5-trichlorophenyl)pent-1-en-1-yl)benzoic acid (C92)

[0563]



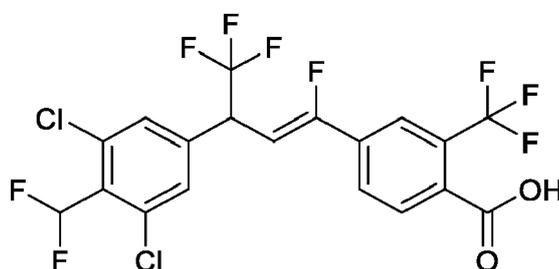
[0564] Isolated as a brown gum (1.5 g, 39%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.87 (d, $J = 7.2$ Hz, 1H), 7.66 (d, $J = 8.0$ Hz, 1H), 7.41 - 7.35 (m, 3H), 5.96 (dd, $J = 10.0, 33.6$ Hz, 1H), 4.98 - 4.96 (m, 1H), 1.67 (t, $J = 19.2$ Hz, 3H).

(Z)-4-(3-(3,5-Dichloro-4-(difluoromethyl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C94)

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[0565]

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[0566] Isolated as a yellow gum (0.45 g, 25%): $^1\text{H NMR}$ (400 MHz, $\text{DMSO}-d_6$) δ 13.80 (s, 1H), 8.15 (s, 1H), 8.09 (dd, $J = 8.0$ Hz, 1H), 8.00 (s, 2H), 7.92 (d, $J = 8.4$ Hz, 1H), 7.45 (t, $J = 12.9$ Hz, 1H), 6.90 (dd, $J = 10.0, 35.6$ Hz, 1H), 5.33 - 5.31 (m, 1H); ESIMS m/z 509.11 ($[\text{M}-\text{H}]^-$).

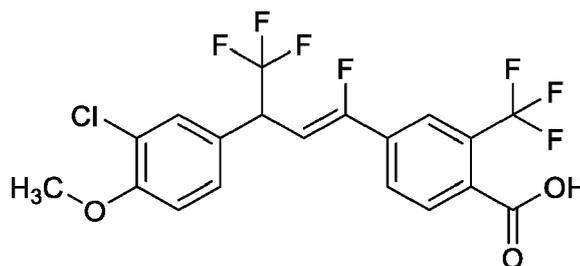
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(Z)-4-(3-(3-Chloro-4-methoxyphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C95)

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[0567]

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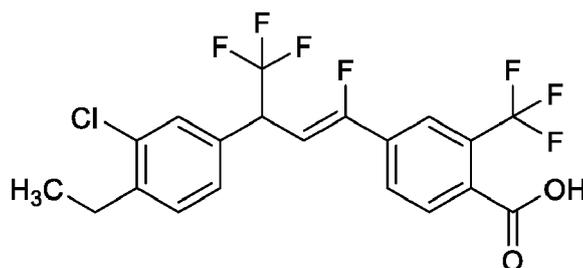
[0568] Isolated as a yellow gum (0.46 g, 66%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.98 (d, $J = 8.4$ Hz, 1H), 7.93 (s, 1H), 7.81 (d, $J = 8.0$ Hz, 1H), 7.42 (s, 1H), 7.26 (s, 1H), 6.95 (d, $J = 8.8$ Hz, 1H), 5.96 (dd, $J = 10.0, 32.8$ Hz, 1H), 4.62 - 4.57 (m, 1H), 3.91 (s, 3H); ESIMS m/z 455.36 ($[\text{M}-\text{H}]^-$).

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(Z)-4-(3-(3-Chloro-4-ethylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C96)

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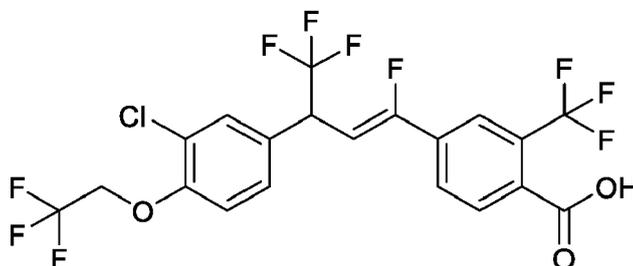
[0569]



[0570] Isolated as a yellow gum (0.60 g, 53%): $^1\text{H NMR}$ (400 MHz, $\text{DMSO-}d_6$) δ 13.75 (br s, 1H), 8.15 (s, 1H), 8.01 (d, $J = 8.4$ Hz, 1H), 7.90 (d, $J = 8.4$ Hz, 1H), 7.78 (d, $J = 8.4$ Hz, 1H), 7.55 (d, $J = 8.4$ Hz, 1H), 7.41 (d, $J = 8.0$ Hz, 1H), 6.87 (dd, $J = 9.6, 35.6$ Hz, 1H), 5.08 - 5.04 (m, 1H), 2.73 - 2.67 (m, 2H), 1.17 (t, $J = 6.0$ Hz, 3H); ESIMS m/z 453.38 ($[\text{M-H}]^-$).

15 (Z)-4-(3-(3-Chloro-4-(2,2,2-trifluoroethoxy)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C97)

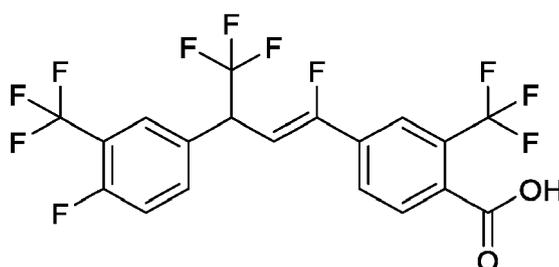
[0571]



30 [0572] Isolated as a brown solid (0.30 g, 53%): $^1\text{H NMR}$ (300 MHz, $\text{DMSO-}d_6$) δ 13.90 (br s, 1H), 8.05 - 7.99 (m, 2H), 7.83 - 7.75 (m, 2H), 7.64 - 7.61 (m, 1H), 7.34 (d, $J = 8.4$ Hz, 1H), 6.81 (dd, $J = 9.9, 36.3$ Hz, 1H), 5.08 - 4.82 (m, 3H); IR (thin film) 3433, 2924, 1712, 749 cm^{-1} ; ESIMS m/z 523.20 ($[\text{M-H}]^-$).

35 (Z)-4-(1,4,4,4-Tetrafluoro-3-(4-fluoro-3-(trifluoromethyl)phenoxy)but-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C98)

[0573]

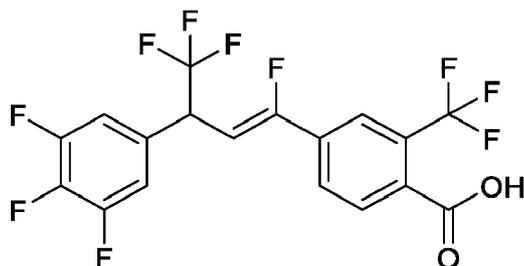


50 [0574] Isolated as a brown gum (1.0 g, 42%): $^1\text{H NMR}$ (300 MHz, $\text{DMSO-}d_6$) δ 13.80 (br s, 1H), 8.16 (s, 1H), 8.12 - 8.07 (m, 3H), 7.92 (d, $J = 8.7$ Hz, 1H), 7.66 (d, $J = 10.2$ Hz, 1H), 6.96 (dd, $J = 9.9, 35.4$ Hz, 1H), 5.36 - 5.29 (m, 1H); IR (thin film) 2926, 1715, 765 cm^{-1} ; ESIMS m/z 477.2 ($[\text{M-H}]^-$).

(Z)-4-(1,4,4,4-Tetrafluoro-3-(3,4,5-trifluorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C99)

55 [0575]

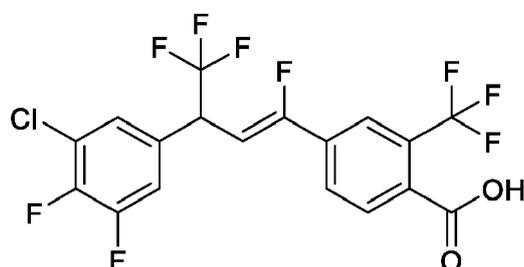
EP 3 407 716 B9



[0576] Isolated as a brown gum (0.8 g, 56%): $^1\text{H NMR}$ (300 MHz, $\text{DMSO-}d_6$) δ 13.98 (br s, 1H), 8.14 (br s, 1H), 8.08 - 8.05 (m, 1H), 7.92 - 7.89 (m, 1H), 7.77 - 7.72 (m, 2H), 6.85 (dd, $J = 9.9, 35.4$ Hz, 1H), 5.23 - 5.16 (m, 1H); IR (thin film) 3100, 1715 cm^{-1} ; ESIMS m/z 444.79 ($[\text{M-H}]^-$).

15 **(Z)-4-(3-(3-Chloro-4,5-difluorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C100)**

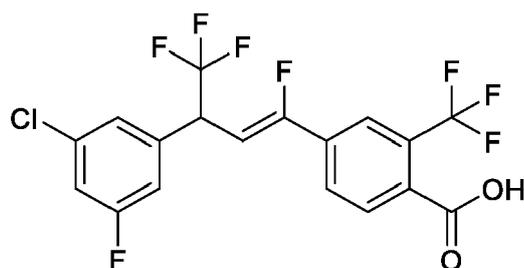
[0577]



30 **[0578]** Isolated as a brown gum (0.55 g, 56%): $^1\text{H NMR}$ (300 MHz, $\text{DMSO-}d_6$) δ 13.92 (br s, 1H), 8.14 (s, 1H), 8.08 (d, $J = 8.1$ Hz, 1H), 7.92 - 7.85 (s, 3H), 6.87 (dd, $J = 9.9, 35.4$ Hz, 1H), 5.24 - 5.18 (m, 1H); IR (thin film) 3085, 1715, 659 cm^{-1} ; ESIMS m/z 461.24 ($[\text{M-H}]^-$).

35 **(Z)-4-(3-(3-Chloro-5-fluorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C101)**

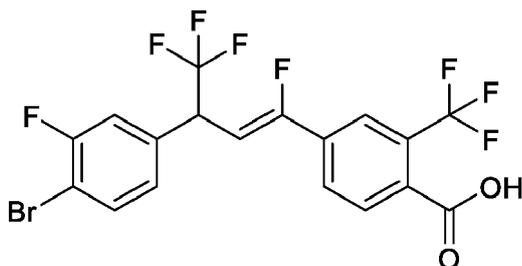
[0579]



50 **[0580]** Isolated as a brown gum (0.40 g, 63%): $^1\text{H NMR}$ (400 MHz, $\text{DMSO-}d_6$) δ 13.89 (br s, 1H), 8.16 (s, 1H), 8.09 (d, $J = 8.4$ Hz, 1H), 7.93 - 7.86 (m, 1H), 7.69 (s, 1H), 7.63 (d, $J = 9.6$ Hz, 1H), 7.52 - 7.49 (m, 1H), 6.87 (dd, $J = 10.4, 35.6$ Hz, 1H), 5.23 - 5.18 (m, 1H); IR (thin film) 2924, 1698, 1258 cm^{-1} ; ESIMS m/z 442.80 ($[\text{M-H}]^-$).

55 **(Z)-4-(3-(4-Bromo-3-fluorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C102)**

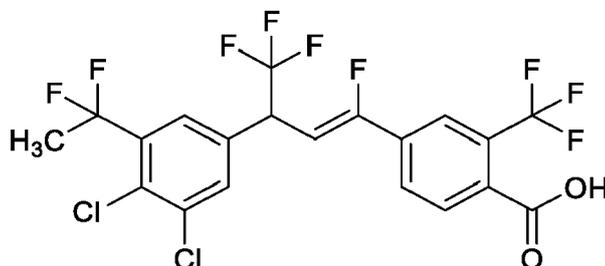
[0581]



[0582] Isolated as a dark brown oil (0.130 g, 28%): $^1\text{H NMR}$ (300 MHz, CDCl_3) δ 7.87 (m, 2H), 7.74 (d, $J = 8.1$ Hz, 1H), 7.58 (dd, $J = 8.3, 7.1$ Hz, 1H), 7.18 (dd, $J = 9.2, 2.0$ Hz, 1H), 7.08 (d, $J = 8.6$ Hz, 1H), 5.86 (dd, $J = 32.7, 9.6$ Hz, 1H), 4.64 (p, $J = 8.9$ Hz, 1H); ESIMS m/z 486.9 ($[\text{M-H}]^-$).

15 **(Z)-4-(3-(3,4-Dichloro-5-(1,1-difluoroethyl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C103)**

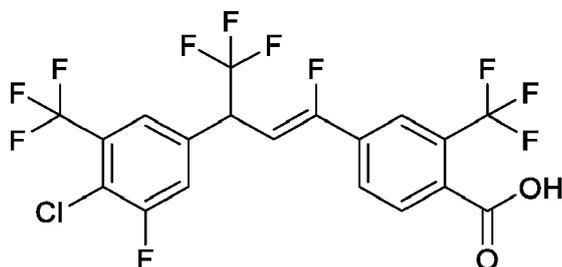
[0583]



30 **[0584]** Isolated as a yellow foam (0.05 g, 23%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 11.66 (s, 1H), 7.85 (dd, $J = 9.3, 1.8$ Hz, 1H), 7.80 - 7.71 (m, 1H), 7.61 (d, $J = 2.2$ Hz, 1H), 7.56 (d, $J = 8.1$ Hz, 1H), 7.26 (s, 1H), 5.86 (dd, $J = 32.6, 9.6$ Hz, 1H), 4.86 (p, $J = 7.0$ Hz, 1H), 4.01 - 3.81 (m, 1H), 2.18 - 1.93 (m, 3H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -57.66, -69.34 (d, $J = 2.3$ Hz), -72.58, -87.48, -106.98; ESIMS m/z 525 ($[\text{M-H}]^-$).

35 **(Z)-4-(3-(4-Chloro-3-fluoro-5-(trifluoromethyl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C104)**

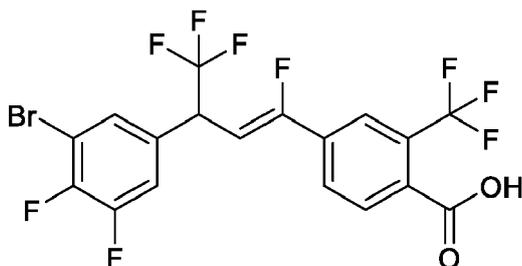
[0585]



50 **[0586]** Isolated as a yellow gum (1.1 g, 54%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.03 (d, $J = 8.2$ Hz, 1H), 7.98 - 7.93 (m, 2H), 7.84 (dd, $J = 8.1, 1.8$ Hz, 1H), 7.54 (s, 1H), 7.44 (d, $J = 8.7$ Hz, 1H), 5.91 (dd, $J = 32.4, 9.5$ Hz, 1H), 4.72 (p, $J = 8.8$ Hz, 1H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -59.64, -62.52, -69.35 (d, $J = 2.1$ Hz), -109.31, -111.51 (d, $J = 2.3$ Hz); ESIMS m/z 512 ($[\text{M-H}]^-$).

55 **(Z)-4-(3-(3-Bromo-4,5-difluorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C105)**

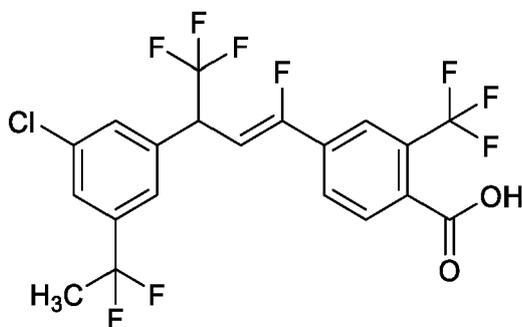
[0587]



[0588] Isolated as a yellow gum (1.3 g, 54%); ^1H NMR (400 MHz, CDCl_3) δ 9.76 (s, 1H), 8.05 (d, $J = 8.2$ Hz, 1H), 8.01 - 7.91 (m, 1H), 7.84 (dd, $J = 8.2, 1.8$ Hz, 1H), 7.39 (dt, $J = 4.9, 2.1$ Hz, 1H), 7.22 (ddd, $J = 10.1, 6.6, 2.2$ Hz, 1H), 5.90 (dd, $J = 32.5, 9.6$ Hz, 1H), 4.62 (q, $J = 8.9$ Hz, 1H); ^{19}F NMR (376 MHz, CDCl_3) δ -59.58, -69.53 (d, $J = 2.3$ Hz), -110.42, -129.11 (d, $J = 21.5$ Hz), -132.15 (d, $J = 21.4$ Hz).; ESIMS m/z 505 ($[\text{M}-\text{H}]^-$).

(Z)-4-(3-(3-Chloro-5-(1,1-difluoroethyl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C106)

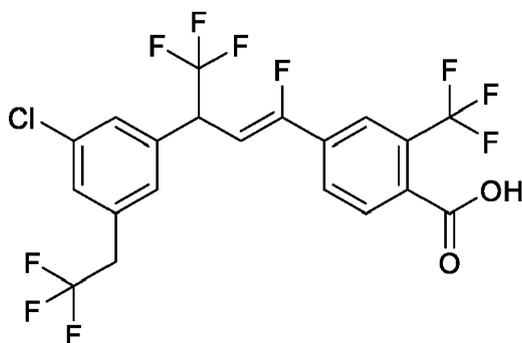
[0589]



[0590] Isolated as a brown foam (0.190 g, 62.1%); ^1H NMR (400 MHz, CDCl_3) δ 7.99 (d, $J = 8.1$ Hz, 1H), 7.78 (s, 1H), 7.66 (d, $J = 8.2$ Hz, 1H), 7.52 (s, 1H), 7.46 (s, 1H), 7.40 (s, 1H), 6.67 (d, $J = 15.9$ Hz, 1H), 6.56 (dd, $J = 15.9, 7.7$ Hz, 1H), 4.23 (p, $J = 8.7$ Hz, 1H), 1.93 (t, $J = 18.2$ Hz, 3H); ^{19}F NMR (376 MHz, CDCl_3) δ -59.51, -68.50, -88.16 (d, $J = 9.2$ Hz); IR (thin film) 3006, 1706 cm^{-1} ; ESIMS m/z 470.9 ($[\text{M}-\text{H}]^-$).

(Z)-4-(3-(3-Chloro-5-(2,2,2-trifluoroethyl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C107)

[0591]



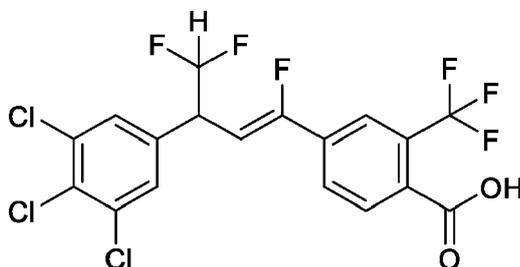
[0592] Isolated as an orange oil (0.513 g, 59%); ^1H NMR (400 MHz, CDCl_3) δ 8.03 (d, $J = 8.2$ Hz, 1H), 7.96 (s, 1H), 7.83 (dd, $J = 8.2, 1.3$ Hz, 1H), 7.40 (s, 1H), 7.33 (s, 1H), 7.22 (s, 1H), 5.93 (dd, $J = 32.6, 9.7$ Hz, 1H), 4.67 (p, $J = 8.9$ Hz, 1H), 3.39 (q, $J = 10.5$ Hz, 2H); ^{19}F NMR (376 MHz, CDCl_3) δ -59.60, -65.69, -69.25 (d, $J = 2.3$ Hz), -112.97; IR (thin

film) 3018, 1710 cm^{-1} ; ESIMS m/z 507.0 ($[\text{M}-\text{H}]^-$).

(Z)-4-(1,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C108)

5 **[0593]**

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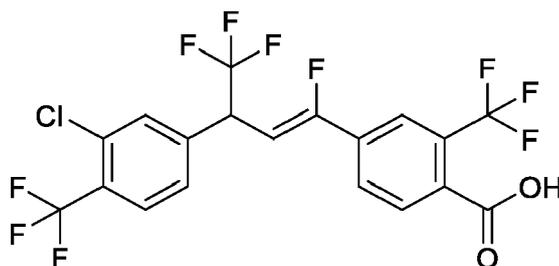
[0594] Isolated as a brown foam (1.8 g, 49%); ^1H NMR (400 MHz, CDCl_3) δ 8.04 (d, $J = 8.1$ Hz, 1H), 7.97 (s, 1H), 7.84 (d, $J = 8.2$ Hz, 1H), 7.42 (s, 2H), 6.25 - 5.80 (m, 2H), 4.55 - 4.23 (m, 1H); IR (thin film) 2979, 1706, 1615, 1573, 1404 cm^{-1} ; ESIMS m/z 474.9 ($[\text{M}-\text{H}]^-$).

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(Z)-4-(3-(3-Chloro-4-(trifluoromethyl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C109)

25 **[0595]**

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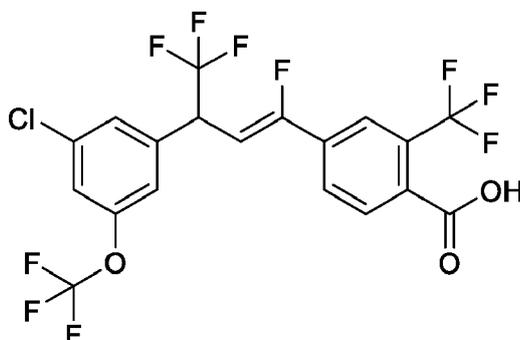
[0596] Isolated as an orange oil (1.22 g, 58%); ^1H NMR (400 MHz, CDCl_3) δ 8.04 (d, $J = 8.2$ Hz, 1H), 7.96 (d, $J = 1.7$ Hz, 1H), 7.84 (dd, $J = 8.3, 1.8$ Hz, 1H), 7.74 (d, $J = 8.2$ Hz, 1H), 7.57 (d, $J = 1.6$ Hz, 1H), 7.43 (d, $J = 8.2$ Hz, 1H), 5.94 (dd, $J = 32.5, 9.6$ Hz, 1H), 4.73 (p, $J = 8.9$ Hz, 1H); IR (thin film) 3022, 1710 cm^{-1} ; ESIMS m/z 493.0 ($[\text{M}-\text{H}]^-$).

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(Z)-4-(3-(3-Chloro-5-(trifluoromethoxy)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C110)

45 **[0597]**

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[0598] Isolated as an orange oil (0.744 g, 68%); ^1H NMR (400 MHz, CDCl_3) δ 8.04 (d, $J = 8.2$ Hz, 1H), 8.01 - 7.94 (m,

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1H), 7.84 (dd, $J = 8.2, 1.7$ Hz, 1H), 7.36 (d, $J = 1.6$ Hz, 1H), 7.27 (dt, $J = 2.3, 1.1$ Hz, 1H), 7.17 (s, 1H), 5.91 (dd, $J = 32.4, 9.6$ Hz, 1H), 4.68 (p, $J = 8.8$ Hz, 1H); ^{19}F NMR (376 MHz, CDCl_3) δ -57.93, -59.60, -69.24 (d, $J = 2.5$ Hz), -112.31 (d, $J = 2.6$ Hz); IR (thin film) 3005, 1712, 1605, 1507, 1408 cm^{-1} ; ESIMS m/z 508.8 ($[\text{M}-\text{H}]^-$).

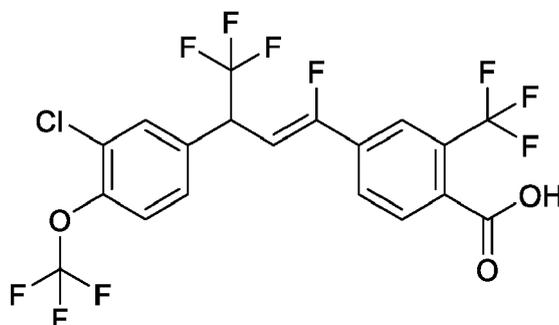
5 **(Z)-4-(3-(3-Chloro-4-(trifluoromethoxy)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C111)**

[0599]

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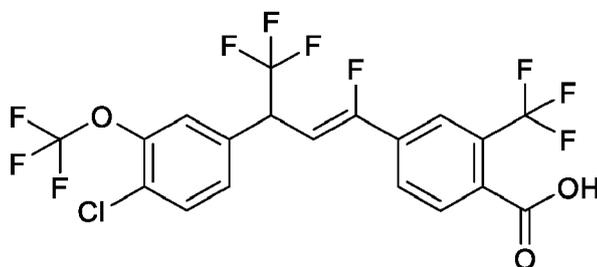
[0600] Isolated as an orange oil (0.428 g, 56%): ^1H NMR (400 MHz, CDCl_3) δ 8.04 (d, $J = 8.2$ Hz, 1H), 7.99 - 7.94 (m, 1H), 7.84 (dd, $J = 8.2, 1.8$ Hz, 1H), 7.54 (s, 1H), 7.36 (q, $J = 1.0$ Hz, 2H), 5.93 (dd, $J = 32.5, 9.7$ Hz, 1H), 4.68 (p, $J = 8.9$ Hz, 1H); ^{19}F NMR (376 MHz, CDCl_3) δ -57.82, -59.60, -69.36 (d, $J = 2.2$ Hz), -112.78 (d, $J = 2.7$ Hz); IR (thin film) 3010, 1711, 1497, 1412 cm^{-1} ; ESIMS m/z 508.8 ($[\text{M}-\text{H}]^-$).

(Z)-4-(3-(4-Chloro-3-(trifluoromethoxy)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C112)

30 **[0601]**

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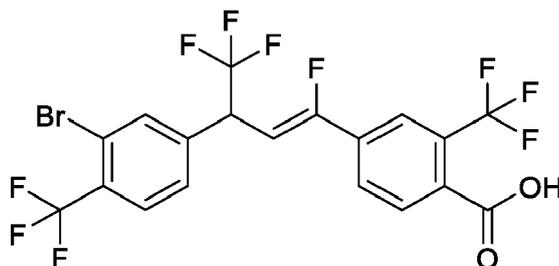
45

[0602] Isolated as an orange oil (0.712 g, 65%): ^1H NMR (400 MHz, CDCl_3) δ 8.03 (d, $J = 8.1$ Hz, 1H), 7.95 (d, $J = 1.6$ Hz, 1H), 7.83 (dd, $J = 8.2, 1.8$ Hz, 1H), 7.53 (d, $J = 8.3$ Hz, 1H), 7.37 (s, 1H), 7.32 (dd, $J = 8.5, 2.1$ Hz, 1H), 5.92 (dd, $J = 32.5, 9.6$ Hz, 1H), 4.69 (p, $J = 8.9$ Hz, 1H); ^{19}F NMR (376 MHz, CDCl_3) δ -57.85, -59.63, -69.49 (d, $J = 2.2$ Hz), -112.48 (t, $J = 2.7$ Hz); IR (thin film) 3089, 1713, 1490 cm^{-1} ; ESIMS m/z 508.8 ($[\text{M}-\text{H}]^-$).

(Z)-4-(3-(3-Bromo-4-(trifluoromethyl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C113)

50 **[0603]**

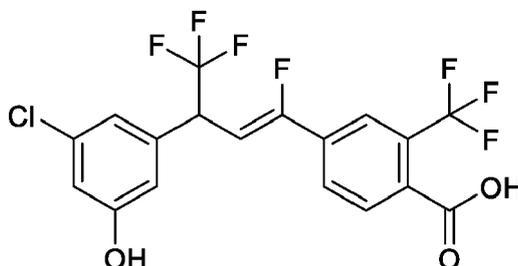
55



[0604] Isolated as an orange oil (0.749 g, 65%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.03 (d, $J = 8.2$ Hz, 1H), 7.96 (d, $J = 1.6$ Hz, 1H), 7.86 - 7.80 (m, 1H), 7.77 (d, $J = 1.7$ Hz, 1H), 7.73 (d, $J = 8.2$ Hz, 1H), 7.51 - 7.44 (m, 1H), 5.94 (dd, $J = 32.5$, 9.6 Hz, 1H), 4.72 (p, $J = 8.9$ Hz, 1H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -59.64 (d, $J = 21.5$ Hz), -62.85, -69.05 (d, $J = 2.3$ Hz), -112.23 (d, $J = 2.7$ Hz); IR (thin film) 3084, 1709 cm^{-1} ; ESIMS m/z 539.0 ($[\text{M-H}]^-$).

(Z)-4-(3-(3-chloro-5-hydroxyphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C114)

[0605]

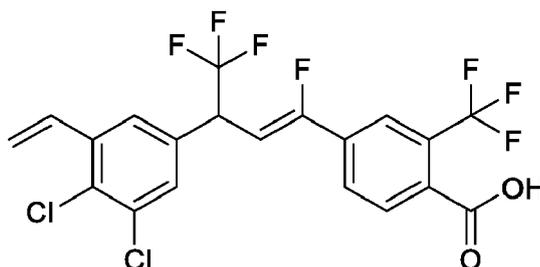


[0606] Isolated as an orange oil (0.090 g, 29.4%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.01 (d, $J = 8.2$ Hz, 1H), 7.95 (t, $J = 1.2$ Hz, 1H), 7.82 (dd, $J = 8.2$, 1.7 Hz, 1H), 6.97 (d, $J = 1.8$ Hz, 1H), 6.87 (t, $J = 2.0$ Hz, 1H), 6.80 (d, $J = 1.8$ Hz, 1H), 5.90 (dd, $J = 32.7$, 9.8 Hz, 1H), 4.59 (p, $J = 9.0$ Hz, 1H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -59.60, -69.15 (d, $J = 2.4$ Hz), -113.71 (d, $J = 3.0$ Hz); ESIMS m/z 441.0 ($[\text{M-H}]^-$).

[0607] The following compounds were prepared in like manner to the procedure outlined in **Example 3**:

(Z)-4-(3-(3,4-Dichloro-5-vinylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C115)

[0608]

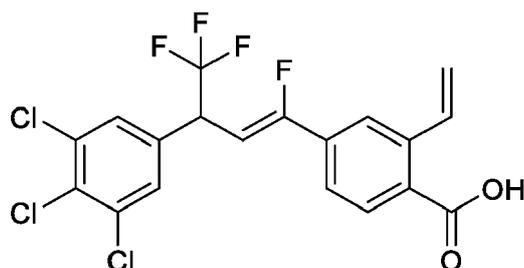


[0609] Isolated as a yellow wax (0.19 g, 65%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 9.76 (s, 1H), 8.02 (d, $J = 8.2$ Hz, 1H), 7.95 (s, 1H), 7.82 (d, $J = 8.2$ Hz, 1H), 7.52 - 7.39 (m, 2H), 7.09 (dd, $J = 17.5$, 11.0 Hz, 1H), 6.04 - 5.85 (m, 1H), 5.76 (dd, $J = 17.5$, 13.8 Hz, 1H), 5.55 - 5.45 (m, 1H), 4.65 (p, $J = 8.9$ Hz, 1H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -59.56, -67.15, -113.15; ESIMS m/z 487 ($[\text{M-H}]^-$).

(Z)-4-(1,4,4,4-Tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-vinylbenzoic acid (C116)

[0610]

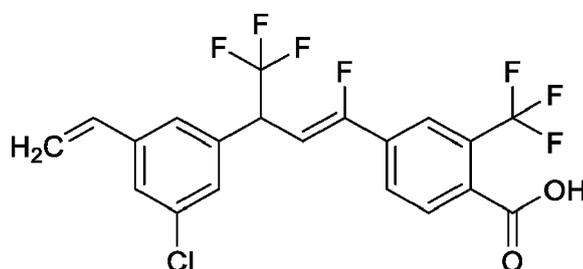
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[0611] Isolated as a yellow gum (0.3 g, 86%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.06 (d, $J = 8.4$ Hz, 1H), 7.81 - 7.64 (m, 2H), 7.61 - 7.49 (m, 2H), 7.44 (s, 2H), 5.95 - 5.67 (m, 2H), 5.47 (dd, $J = 15.5, 11.0$ Hz, 1H), 4.63 (dp, $J = 13.9, 8.9$ Hz, 1H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -69.35, -112.10; ESIMS m/z 451 ($[\text{M}-\text{H}]^-$).

15 (Z)-4-(3-(3-Chloro-5-vinylphenyl)-1,4,4-tetrafluorobut-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (C117)

[0612]

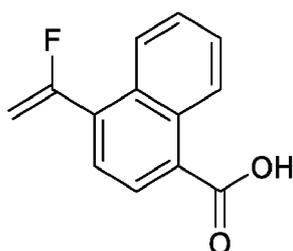


30 [0613] Isolated as a yellow gum (0.065 g, 58%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.95 - 7.92 (m, 2H), 7.81 (dd, $J = 8.2, 1.8$ Hz, 1H), 7.57 (dd, $J = 7.5, 1.7$ Hz, 1H), 7.41 (t, $J = 1.8$ Hz, 1H), 7.30 (s, 1H), 7.30 (s, 1H), 6.67 (dd, $J = 17.6, 10.9$ Hz, 1H), 5.94 (s, 1H), 5.80 (d, $J = 17.5$ Hz, 1H), 5.37 (d, $J = 10.9$ Hz, 1H), 4.77 - 4.55 (m, 1H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -59.66, -69.30, -112.51; ESIMS m/z 452 ($[\text{M}-\text{H}]^-$).

[0614] The following compound was prepared in like manner to the procedure outlined in Example 7:

35 (4-(1-Fluorovinyl)-1-naphthoic acid (C118)

[0615]

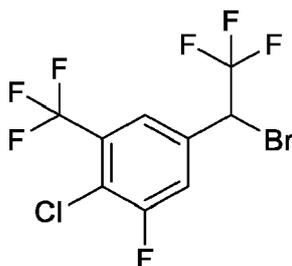


50 [0616] Isolated as an off-white solid (0.70 g, 52%): mp 154 - 156 °C; $^1\text{H NMR}$ (400 MHz, $\text{DMSO}-d_6$) δ 13.40 (br s, 1H), 8.88 - 8.84 (m, 1H), 8.17 - 8.10 (m, 2H), 7.75 - 7.66 (m, 3H), 5.39 (dd, $J = 3.6, 17.2$ Hz, 1H), 5.23 (dd, $J = 36.0, 50.4$ Hz, 1H); ESIMS m/z 215.20 ($[\text{M}-\text{H}]^-$).

[0617] The following compounds were prepared in like manner to the procedure outlined in Example 8:

55 5-(1-Bromo-2,2,2-trifluoroethyl)-2-chloro-1-fluoro-3-(trifluoromethyl)benzene (C119)

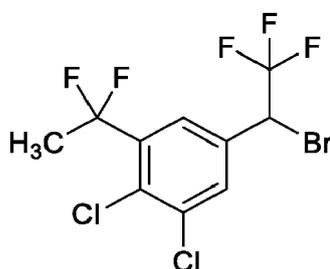
[0618]



[0619] Isolated as a yellow gum (2.5 g, 49%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.62 (t, $J = 1.6$ Hz, 1H), 7.57 (dd, $J = 8.7$, 2.1 Hz, 1H), 5.12 (q, $J = 7.0$ Hz, 1H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -62.69, -70.52, -108.76; ESIMS m/z 359 ($[\text{M-H}]^-$).

5-(1-Bromo-2,2,2-trifluoroethyl)-1,2-dichloro-3-(1,1-difluoroethyl)benzene (C120)

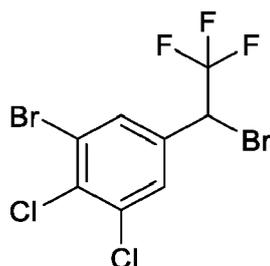
[0620]



[0621] Isolated as a yellow oil (2 g, 39%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.91 (dd, $J = 9.3$, 1.8 Hz, 1H), 7.80 - 7.71 (m, 1H), 5.16 (q, $J = 7.0$ Hz, 1H), 2.22 - 1.99 (m, 3H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -59.68, -69.32, -112.07; ESIMS m/z 371 ($[\text{M-H}]^-$).

1-Bromo-5-(1-bromo-2,2,2-trifluoroethyl)-2,3-dichlorobenzene (C121)

[0622]

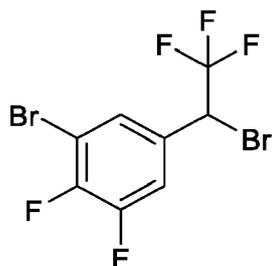


[0623] Isolated as a yellow oil (4.5 g, 46%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.58 (d, $J = 2.1$ Hz, 1H), 7.46 (d, $J = 2.1$ Hz, 1H), 4.35 (s, 1H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -70.40; ESIMS m/z 386 ($[\text{M-H}]^-$).

1-Bromo-5-(1-bromo-2,2,2-trifluoroethyl)-2,3-difluorobenzene (C122)

[0624]

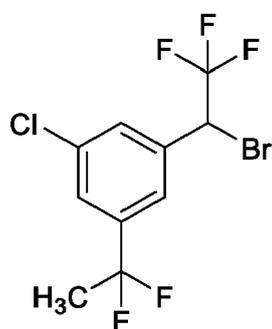
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[0625] Isolated as a pale yellow oil (1.8 g, 64%): ^1H NMR (400 MHz, CDCl_3) δ 7.52 - 7.44 (m, 1H), 7.36 (td, $J = 7.4$, 7.0, 3.4 Hz, 1H), 5.03 (q, $J = 7.0$ Hz, 1H); ^{19}F NMR (376 MHz, CDCl_3) δ -70.63, -126.49 (d, $J = 21.3$ Hz), -131.58 (dd, $J = 21.3$, 0.9 Hz); ESIMS m/z 336 ($[\text{M}-\text{H}]^-$).

15 **1-(1-Bromo-2,2,2-trifluoroethyl)-3-chloro-5-(1,1-difluoroethyl)benzene (C123)**

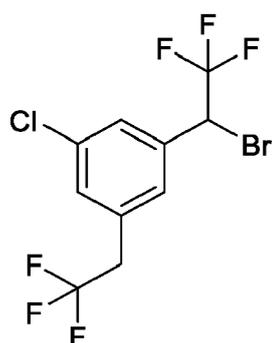
[0626]



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[0627] Isolated as a clear oil (0.665 g, 68%): ^1H NMR (400 MHz, CDCl_3) δ 7.59 (s, 1H), 7.53 (s, 1H), 7.50 (s, 1H), 5.10 (q, $J = 7.2$ Hz, 1H), 1.92 (t, $J = 18.2$ Hz, 3H); ^{19}F NMR (376 MHz, CDCl_3) δ -70.39, -88.36 (d, $J = 1.6$ Hz); IR (thin film) 1588 cm^{-1} ; ESIMS m/z 336.4 ($[\text{M}+\text{H}]^+$).

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1-(1-Bromo-2,2,2-trifluoroethyl)-3-chloro-5-(2,2,2-trifluoroethyl)benzene (C124)

[0628]

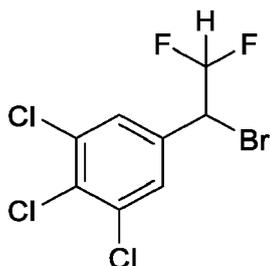


45
[0629] Isolated as a pale yellow oil (0.930 g, 73%): ^1H NMR (400 MHz, CDCl_3) δ 7.51 (s, 1H), 7.35 (s, 1H), 7.32 (s, 1H), 5.07 (q, $J = 7.2$ Hz, 1H), 3.38 (q, $J = 10.5$ Hz, 2H); ^{19}F NMR (376 MHz, CDCl_3) δ -65.71, -70.43; IR (thin film) 1113 cm^{-1} ; EIMS m/z 356 ($[\text{M}]^+$).

50
5-(1-Bromo-2,2-difluoroethyl)-1,2,3-trichlorobenzene (C125)

[0630]

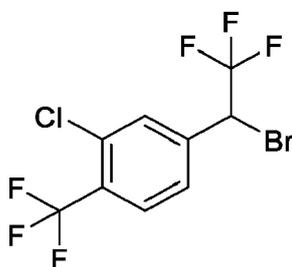
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[0631] Isolated as a clear oil (8.3 g, 66.9%): ^1H NMR (400 MHz, CDCl_3) δ 7.49 (s, 2H), 6.00 (td, $J = 55.4, 3.8$ Hz, 1H), 4.85 (ddd, $J = 13.7, 10.4, 3.8$ Hz, 1H); ^{19}F NMR (376 MHz, CDCl_3) δ -116.16 (ddd, $J = 278.0, 55.2, 10.4$ Hz), -119.84 (ddd, $J = 278.1, 55.6, 13.4$ Hz); IR (thin film) 1552, 1431 cm^{-1} ; ESIMS m/z 323.9 ($[\text{M}+\text{H}]^+$).

15 **4-(1-Bromo-2,2,2-trifluoroethyl)-2-chloro-1-(trifluoromethyl)benzene (C126)**

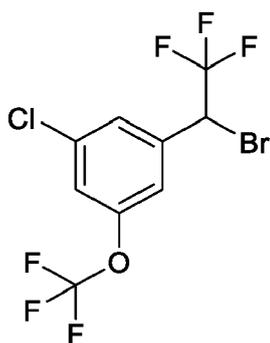
[0632]



30 **[0633]** Isolated as a colorless oil (3.33 g, 46%): ^1H NMR (300 MHz, CDCl_3) δ 7.73 (d, $J = 8.2$ Hz, 1H), 7.68 (s, 1H), 7.52 (d, $J = 8.2$ Hz, 1H), 5.11 (q, $J = 7.1$ Hz, 1H); ^{13}C NMR (75 MHz, CDCl_3) δ 137.94, 133.06 (d, $J = 1.9$ Hz), 132.10, 129.93 (q, $J = 32.0$ Hz), 128.10 (q, $J = 5.3$ Hz), 127.47, 124.46 (d, $J = 48.7$ Hz), 120.81 (d, $J = 43.9$ Hz), 44.84 (q, $J = 34.8$ Hz); ESIMS m/z 342.0 ($[\text{M}+\text{H}]^+$).

35 **1-(1-Bromo-2,2,2-trifluoroethyl)-3-chloro-5-(trifluoromethoxy)benzene (C127)**

[0634]

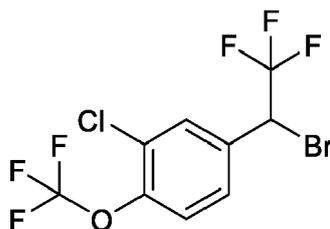


50 **[0635]** Isolated as a colorless oil (2.27 g, 60%): ^1H NMR (400 MHz, CDCl_3) δ 7.45 (d, $J = 1.7$ Hz, 1H), 7.30 (s, 1H), 7.28 (s, 1H), 5.07 (q, $J = 7.1$ Hz, 1H); ^{19}F NMR (376 MHz, CDCl_3) δ -58.02, -70.44; IR (thin film) 1588, 1450 cm^{-1} ; EIMS m/z 358 ($[\text{M}]^+$).

55 **4-(1-Bromo-2,2,2-trifluoroethyl)-2-chloro-1-(trifluoromethoxy)benzene (C128)**

[0636]

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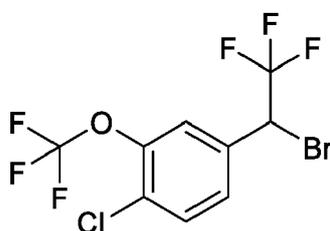


10 **[0637]** Isolated as a colorless oil (2.83 g, 62%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.65 (d, $J = 2.2$ Hz, 1H), 7.45 (dd, $J = 8.6, 2.3$ Hz, 1H), 7.36 (dd, $J = 8.6, 1.5$ Hz, 1H), 5.09 (q, $J = 7.1$ Hz, 1H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -57.75, -70.52; IR (thin film) 1497 cm^{-1} ; EIMS m/z 356 ($[\text{M}]^+$).

15 **4-(1-Bromo-2,2,2-trifluoroethyl)-1-chloro-2-(trifluoromethoxy)benzene (C129)**

[0638]

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25

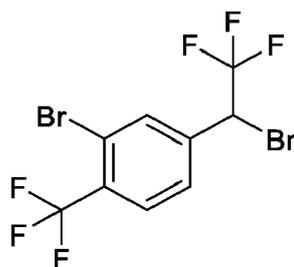
30 **[0639]** Isolated as a clear oil (2.50 g, 56 %): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.52 (d, $J = 8.4$ Hz, 1H), 7.48 (s, 1H), 7.41 (dd, $J = 8.4, 2.1$ Hz, 1H), 5.10 (q, $J = 7.1$ Hz, 1H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -57.94, -70.63; IR (thin film) 1492, 1423 cm^{-1} ; EIMS m/z 356 ($[\text{M}]^+$).

30

2-Bromo-4-(1-bromo-2,2,2-trifluoroethyl)-1-(trifluoromethyl)benzene (C130)

[0640]

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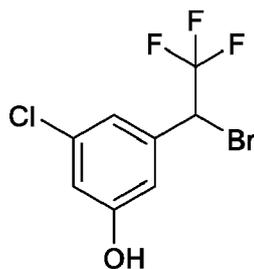
45 **[0641]** Isolated as a pale yellow oil (3.88 g, 61%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.87 (d, $J = 1.6$ Hz, 1H), 7.74 (d, $J = 8.2$ Hz, 1H), 7.59 - 7.50 (m, 1H), 5.09 (qd, $J = 6.4, 3.8$ Hz, 1H), 2.88 (d, $J = 4.3$ Hz, 1H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -62.86, -78.24; IR (thin film) 3392 cm^{-1} ; ESIMS m/z 322.0 ($[\text{M}-\text{H}]^-$).

50

3-(1-Bromo-2,2,2-trifluoroethyl)-5-chlorophenol (C131)

[0642]

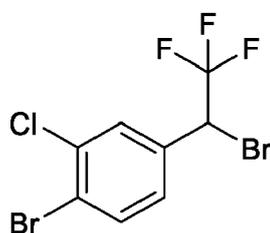
55



[0643] Isolated as a brown oil (2.29 g, 38%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.03 (d, $J = 1.7$ Hz, 1H), 6.88 (d, $J = 1.7$ Hz, 2H), 6.32 (s, 1H), 4.98 (q, $J = 7.2$ Hz, 1H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -70.32; ESIMS m/z 288.9 ($[\text{M-H}]^-$).

15 **1-Bromo-4-(1-bromo-2,2,2-trifluoroethyl)-2-chlorobenzene (C132)**

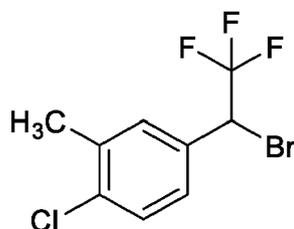
[0644]



[0645] Isolated as a light yellow oil (7.0 g, 51%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.65 - 7.62 (m, 1H), 7.61 - 7.59 (m, 1H), 7.29 - 7.25 (m, 1H), 5.08 - 5.02 (m, 1H); ESIMS m/z 351.9 ($[\text{M}]^+$).

30 **4-(1-Bromo-2,2,2-trifluoroethyl)-1-chloro-2-methylbenzene (C133)**

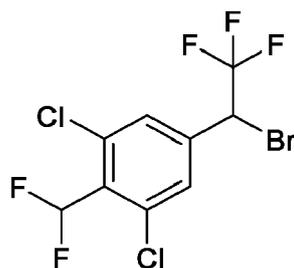
[0646]



[0647] Isolated as a colorless oil (5.0 g, 44%): $^1\text{H NMR}$ (300 MHz, $\text{DMSO-}d_6$) δ 7.55 - 7.50 (m, 2H), 7.44 (d, $J = 8.4$ Hz, 1H), 6.24 - 6.16 (m, 1H), 2.36 (s, 3H); IR (thin film) 1112, 749, 564 cm^{-1} ; ESIMS m/z 286.1 ($[\text{M}]^+$).

45 **5-(1-Bromo-2,2,2-trifluoroethyl)-1,3-dichloro-2-(difluoromethyl)benzene (C134)**

[0648]

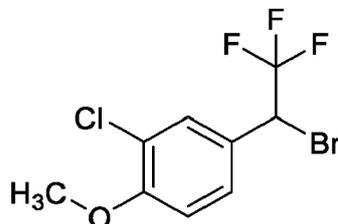


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[0649] Isolated as a brown solid (2.2 g, 60%): $^1\text{H NMR}$ (400 MHz, $\text{DMSO-}d_6$) δ 7.71 (s, 2H), 7.46 (t, $J = 51.6$ Hz, 1H), 6.32 - 6.26 (m, 1H); ESIMS m/z 335.91 ($[\text{M}]^+$).

4-(1-Bromo-2,2,2-trifluoroethyl)-2-chloro-1-methoxybenzene (C135)

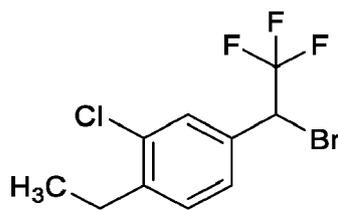
[0650]



[0651] Isolated as a pale yellow oil (2.5 g, 33%): $^1\text{H NMR}$ (300 MHz, $\text{DMSO-}d_6$) δ 7.61 (s, 1H), 7.55 (d, $J = 8.7$ Hz, 1H), 7.26 (d, $J = 8.7$ Hz, 1H), 6.22 - 6.14 (m, 1H), 3.89 (s, 3H); ESIMS m/z 302.0 ($[\text{M}]^+$).

4-(1-Bromo-2,2,2-trifluoroethyl)-2-chloro-1-ethylbenzene (C136)

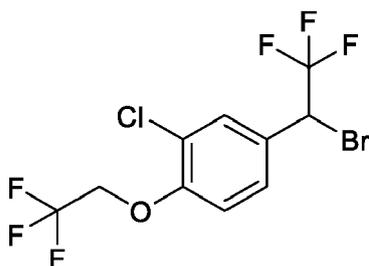
[0652]



[0653] Isolated as a yellow oil (3.5 g, 57%): $^1\text{H NMR}$ (400 MHz, $\text{DMSO-}d_6$) δ 7.59 (s, 1H), 7.53 - 7.45 (m, 2H), 6.25 - 6.17 (m, 1H), 2.75 - 2.69 (m, 2H), 1.19 (t, $J = 7.6$ Hz, 3H); IR (thin film) 3444, 2926, 1627, 750 cm^{-1} ; ESIMS m/z 300.00 ($[\text{M}]^+$).

4-(1-Bromo-2,2,2-trifluoroethyl)-2-chloro-1-(2,2,2-trifluoroethoxy)benzene (C137)

[0654]

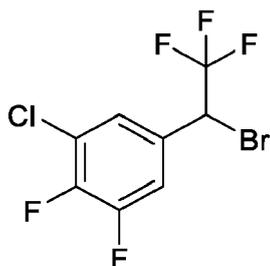


[0655] Isolated as a colorless oil (1.50 g, 55%): $^1\text{H NMR}$ (300 MHz, $\text{DMSO-}d_6$) δ 7.68 (m, 1H), 7.59 - 7.55 (m, 1H), 7.38 - 7.35 (m, 1H), 6.24 - 6.16 (m, 1H), 4.98 - 4.90 (m, 2H); IR (thin film) 3437, 2929, 1503, 1166 cm^{-1} ; ESIMS m/z 370.00 ($[\text{M}]^+$).

5-(1-Bromo-2,2,2-trifluoroethyl)-1-chloro-2,3-difluorobenzene (C138)

[0656]

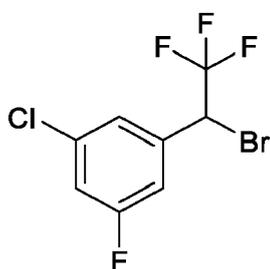
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[0657] Isolated as a colorless oil (2.5 g, 31%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.35 - 7.28 (m, 2H), 5.05 - 4.99 (m, 1H); IR (thin film) 2965, 1508, 758 cm^{-1} ; ESIMS m/z 308.00 ($[\text{M}]^+$).

15 **1-(1-Bromo-2,2,2-trifluoroethyl)-3-chloro-5-fluorobenzene (C139)**

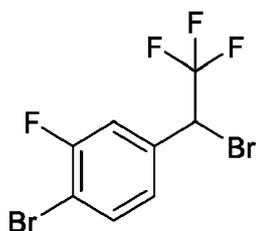
[0658]



[0659] Isolated as a colorless oil (1.3 g, 32%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.29 (s, 1H), 7.17 - 7.13 (m, 2H), 5.07 - 5.01 (m, 1H); IR (thin film) 3419, 1265, 746 cm^{-1} ; ESIMS m/z 290.01 ($[\text{M}]^+$).

30 **1-Bromo-4-(1-bromo-2,2,2-trifluoroethyl)-2-fluorobenzene (C140)**

[0660]



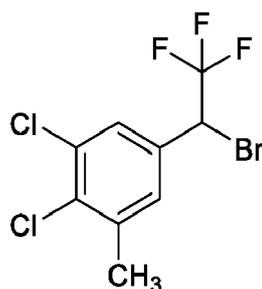
[0661] Isolated as a dark brown oil (0.390 g, 64%): $^1\text{H NMR}$ (300 MHz, CDCl_3) δ 7.59 (dd, $J = 8.3, 7.0$ Hz, 1H), 7.31 (dd, $J = 9.0, 2.2$ Hz, 1H), 7.17 (ddt, $J = 8.2, 2.0, 0.7$ Hz, 1H), 5.07 (q, $J = 7.2$ Hz, 1H); IR (thin film) 1684, 1257, 1167, 1117 cm^{-1} ; ESIMS m/z 336 ($[\text{M}]^+$).

45 **5-(1-Bromo-2,2,2-trifluoroethyl)-1,2-dichloro-3-methylbenzene (C199)**

50 [0662]

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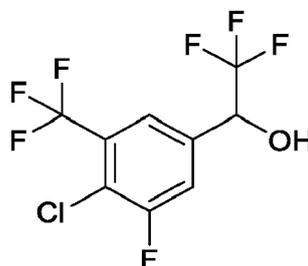


[0663] Isolated as a clear oil (6.7 g, 67%): $^1\text{H NMR}$ (300 MHz, CDCl_3) δ 7.46 (s, 1H), 7.28 (s, 1H), 5.02 (q, $J = 7.2$ Hz, 1H), 2.45 (s, 3H); IR (thin film) 1260, 1113, 750 cm^{-1} ; EIMS m/z 322 ($[\text{M}]^+$).

[0664] The following compounds were prepared in like manner to the procedure outlined in **Example 10**:

15 **1-(4-Chloro-3-fluoro-5-(trifluoromethyl)phenyl)-2,2,2-trifluoroethan-1-ol (C141)**

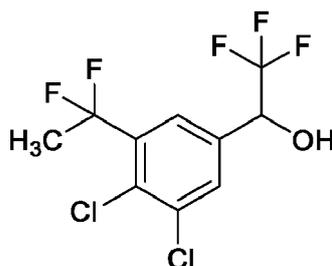
[0665]



30 **[0666]** Isolated as a yellow gum (5.0 g, 73%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.63 (s, 1H), 7.54 (dd, $J = 8.9, 1.7$ Hz, 1H), 5.16 - 5.02 (m, 1H), 2.95 - 2.74 (m, 1H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -62.56, -78.52, -110.00; ESIMS m/z 296 ($[\text{M}-\text{H}]^-$).

35 **1-(3,4-Dichloro-5-(1,1-difluoroethyl)phenyl)-2,2,2-trifluoroethan-1-ol (C142)**

[0667]

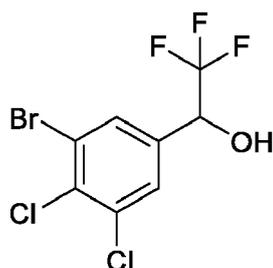


[0668] Isolated as a yellow oil (0.75 g, 87%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.88 (dd, $J = 9.3, 1.8$ Hz, 1H), 7.82 - 7.69 (m, 1H), 5.04 - 4.99 (m, 1H), 3.75 - 3.64 (m, 1H), 2.25 - 2.11 (m, 3H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -113.14, -139.92 (d, $J = 20.8$ Hz); ESIMS m/z 307 ($[\text{M}-\text{H}]^-$).

50 **1-(3-Bromo-4,5-dichlorophenyl)-2,2,2-trifluoroethan-1-ol (C143)**

[0669]

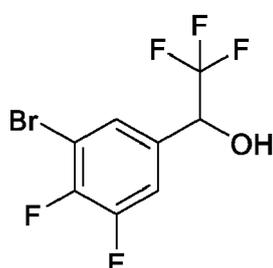
55



[0670] Isolated as a yellow oil (5.5 g, 86%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.68 (s, 1H), 7.57 (s, 1H), 5.00 (d, $J = 11.5$ Hz, 1H), 4.75 (s, 1H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -78.32; ESIMS m/z 323 ($[\text{M-H}]^-$).

15 **1-(3-Bromo-4,5-difluorophenyl)-2,2,2-trifluoroethan-1-ol (C144)**

[0671]

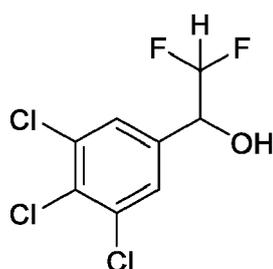


[0672] Isolated as a yellow oil (5.5 g, 90%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.44 (dd, $J = 17.9, 5.5$ Hz, 2H), 5.02 (q, $J = 6.5$ Hz, 1H), 1.55 (br, 1H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -78.63, -128.47 (d, $J = 21.3$ Hz), -135.58 (dd, $J = 21.3, 0.9$ Hz); ESIMS m/z 291 ($[\text{M-H}]^-$).

30

2,2-Difluoro-1-(3,4,5-trichlorophenyl)ethan-1-ol (C145)

[0673]

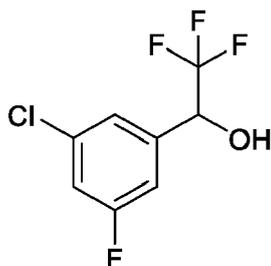


[0674] Isolated as a pale yellow solid (9.4 g, 98%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.48 (s, 2H), 5.72 (td, $J = 55.7, 4.7$ Hz, 1H), 4.80 (tt, $J = 9.3, 4.2$ Hz, 1H), 2.65 (s, 1H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -127.41 (m); IR (thin film) 3381 cm^{-1} ; ESIMS m/z 260.0 ($[\text{M+H}]^+$).

45

50 **1-(3-Chloro-5-fluorophenyl)-2,2,2-trifluoroethan-1-ol (C146)**

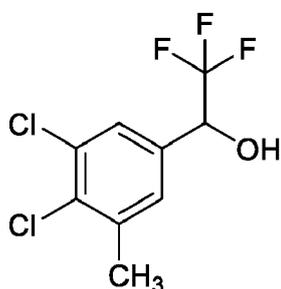
[0675]



[0676] Isolated as an off-white solid (3.0 g, 83%): $^1\text{H NMR}$ (300 MHz, CDCl_3) δ 7.28 - 7.26 (m, 1H), 7.15 - 7.12 (m, 2H), 5.04 - 4.97 (m, 1H), 3.64 - 3.58 (m, 1H); IR (thin film) 3421, 1266, 742 cm^{-1} ; ESIMS m/z 228.01 ($[\text{M}]^+$).

15 **1-(3,4-Dichloro-5-methylphenyl)-2,2,2-trifluoroethan-1-ol (C200)**

[0677]

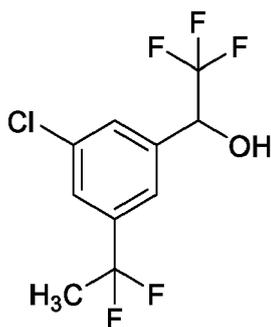


[0678] Isolated as a pale yellow oil (4.6 g, 79%): $^1\text{H NMR}$ (300 MHz, CDCl_3) δ 7.44 (s, 1H), 7.26 (s, 1H), 4.97 (q, J = 6.6 Hz, 1H), 2.44 (s, 3H); IR (thin film) 3428, 1275, 1262, 750 cm^{-1} ; EIMS m/z 258 ($[\text{M}]^+$).

[0679] The following compounds were prepared in like manner to the procedure outlined in **Example 11**:

30 **1-(3-Chloro-5-(1,1-difluoroethyl)phenyl)-2,2,2-trifluoroethan-1-ol (C147)**

35 **[0680]**

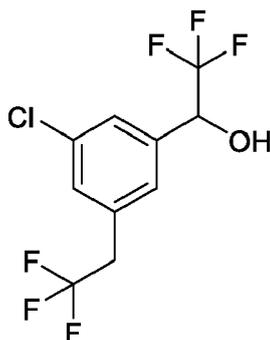


[0681] Isolated as a clear oil (0.800 g, 90%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.56 (s, 1H), 7.54 (s, 1H), 7.51 (s, 1H), 5.14 - 5.01 (m, 1H), 2.77 (s, 1H), 1.92 (t, J = 18.2 Hz, 3H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -78.37, -88.20 (d, J = 9.9 Hz); IR (thin film) 3422 cm^{-1} ; EIMS m/z 274 ($[\text{M}]^+$).

50 **1-(3-Chloro-5-(2,2,2-trifluoroethyl)phenyl)-2,2,2-trifluoroethan-1-ol (C148)**

55 **[0682]**

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10

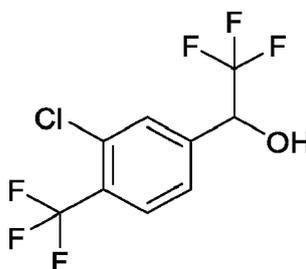
[0683] Isolated as a pale yellow oil (1.05 g, 61%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.49 (s, 1H), 7.35 (s, 1H), 7.31 (s, 1H), 5.07 - 5.00 (m, 1H), 3.38 (q, $J = 10.5$ Hz, 2H), 2.64 (d, $J = 4.4$ Hz, 1H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -65.75, -78.39; IR (thin film) 3562 cm^{-1} ; EIMS m/z 292 ($[\text{M}]^+$).

15

1-(3-Chloro-4-(trifluoromethyl)phenyl)-2,2,2-trifluoroethan-1-ol (C149)

20 **[0684]**

25



30

[0685] Isolated as a colorless oil (5.90 g, 88%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.74 (d, $J = 8.2$ Hz, 1H), 7.68 (s, 1H), 7.50 (d, $J = 8.1, 2.0, 0.9$ Hz, 1H), 5.25 - 4.95 (m, 1H), 3.14 (s, 1H); $^{13}\text{C NMR}$ (75 MHz, CDCl_3) δ 139.39, 132.66, 130.35, 129.22 (q, $J = 31.5$ Hz), 127.67 (q, $J = 5.3$ Hz), 129.69 - 116.91 (m), 117.16, 71.40 (q, $J = 32.4$ Hz); ESIMS m/z 278.1 ($[\text{M} + \text{H}]^+$).

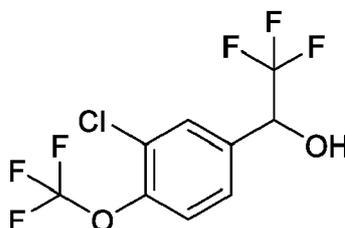
35

1-(3-Chloro-4-(trifluoromethoxy)phenyl)-2,2,2-trifluoroethan-1-ol (C150)

40 **[0686]**

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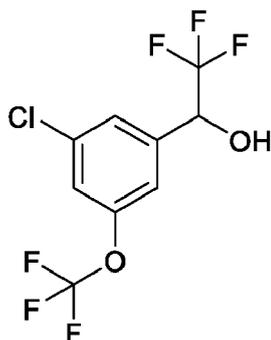
[0687] Isolated as a clear oil (3.4 g, 86%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.64 (dq, $J = 1.9, 0.6$ Hz, 1H), 7.47 - 7.33 (m, 2H), 5.04 (qd, $J = 6.5, 4.4$ Hz, 1H), 2.98 (d, $J = 4.1$ Hz, 1H); IR (thin film) $3392, 1496\text{ cm}^{-1}$; EIMS m/z 294 ($[\text{M}]^+$).

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1-(3-Chloro-5-(trifluoromethoxy)phenyl)-2,2,2-trifluoroethan-1-ol (C151)

55 **[0688]**

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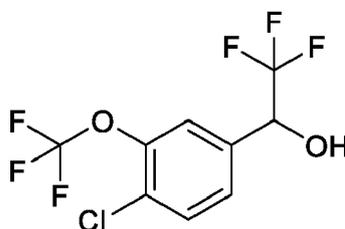
[0689] Isolated as a clear oil (3.15 g, 80%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.45 (s, 1H), 7.30 - 7.26 (m, 2H), 5.04 (q, J = 6.4 Hz, 1H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -58.01, -78.40; IR (thin film) 3305, 1587, 1442 cm^{-1} ; EIMS m/z 294 ($[\text{M}]^+$).

15

1-(4-Chloro-3-(trifluoromethoxy)phenyl)-2,2,2-trifluoroethan-1-ol (C152)

20

[0690]



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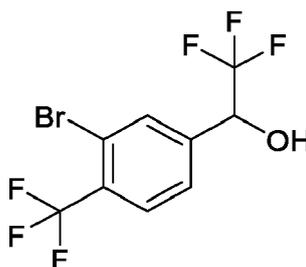
[0691] Isolated as a clear oil (3.72 g, 95%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.53 (d, J = 8.3 Hz, 1H), 7.49 (s, 1H), 7.38 (d, J = 8.4 Hz, 1H), 5.06 (dd, J = 6.6, 3.4 Hz, 1H), 3.80 - 3.70 (m, 1H), 2.92 (s, 1H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -57.90, -78.59; IR (thin film) 3396, 1489 cm^{-1} ; EIMS m/z 294 ($[\text{M}]^+$).

30

1-(3-Bromo-4-(trifluoromethyl)phenyl)-2,2,2-trifluoroethan-1-ol (C153)

35

[0692]



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[0693] Isolated as a pale yellow oil (3.88 g, 61%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.87 (d, J = 1.6 Hz, 1H), 7.74 (d, J = 8.2 Hz, 1H), 7.59 - 7.50 (m, 1H), 5.09 (qd, J = 6.4, 3.8 Hz, 1H), 2.88 (d, J = 4.3 Hz, 1H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -62.86, -78.24; IR (thin film) 3392 cm^{-1} ; ESIMS m/z 322.0 ($[\text{M}-\text{H}]^-$).

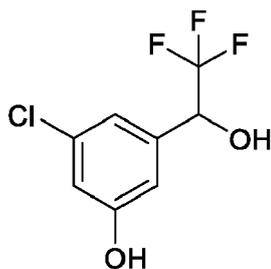
50

3-Chloro-5-(2,2,2-trifluoro-1-hydroxyethyl)phenol (C154)

55

[0694]

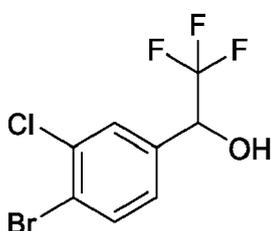
EP 3 407 716 B9



[0695] Isolated as a yellow solid (5.373 g, 85%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 6.97 (s, 1H), 6.88 (s, 1H), 6.82 (dq, J = 5.1, 2.0 Hz, 1H), 4.95 (qd, J = 6.7, 1.6 Hz, 1H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -78.28 - -82.47 (m); ESIMS m/z 228.1 ($[\text{M}+\text{H}]^+$).

15 **1-(4-Bromo-3-chlorophenyl)-2,2,2-trifluoroethan-1-ol (C155)**

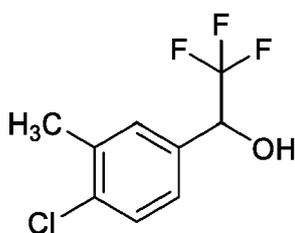
[0696]



[0697] Isolated as a brown gum (12 g, 77%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.65 - 7.60 (m, 1H), 7.59 (s, 1H), 7.23 - 7.19 (m, 1H), 5.09 - 5.01 (m, 1H), 2.86 (br s, 1H); ESIMS m/z 289.90 ($[\text{M}]^+$).

30 **1-(4-Chloro-3-methylphenyl)-2,2,2-trifluoroethan-1-ol (C156)**

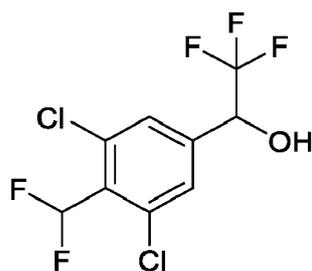
[0698]



[0699] Isolated as a brown oil (7.2 g, 95%): $^1\text{H NMR}$ (300 MHz, $\text{DMSO}-d_6$) δ 7.46 (m, 2H), 7.34 (d, J = 8.4 Hz, 1H), 5.19 - 5.10 (m, 1H), 3.62-3.58 (m, 1H), 2.34 (s, 3H); IR (thin film) 3400, 1128, 720 cm^{-1} ; ESIMS m/z 242.2 ($[\text{M}]^+$).

1-(3,5-Dichloro-4-(difluoromethyl)phenyl)-2,2,2-trifluoroethan-1-ol (C157)

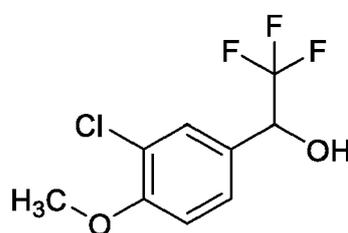
[0700]



[0701] Isolated as a pale yellow gum (2.6 g, 62%): $^1\text{H NMR}$ (400 MHz, $\text{DMSO-}d_6$) δ 7.73 (s, 2H), 7.45 (t, $J = 52.0$ Hz, 1H), 7.30 (d, $J = 6.4$ Hz, 1H), 5.39 (t, $J = 6.8$ Hz, 1H); IR (thin film) 3418, 1562, 1135 cm^{-1} ; ESIMS m/z 293.9 ($[\text{M}]^+$).

15 **1-(3-Chloro-4-methoxyphenyl)-2,2,2-trifluoroethan-1-ol (C158)**

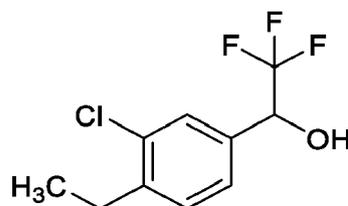
[0702]



[0703] Isolated as a brown viscous oil (4.0 g, 79%): $^1\text{H NMR}$ (400 MHz, $\text{DMSO-}d_6$) δ 7.51 (s, 1H), 7.43 (d, $J = 8.1$ Hz, 1H), 7.19 (d, $J = 8.4$ Hz, 1H), 6.85 (d, $J = 5.2$ Hz, 1H), 5.16 - 5.12 (m, 1H), 3.86 (s, 3H); IR (thin film) 3445, 2952, 1606, 1262 cm^{-1} ; ESIMS m/z 240.0 ($[\text{M}]^+$).

30 **1-(3-Chloro-4-ethylphenyl)-2,2,2-trifluoroethan-1-ol (C159)**

[0704]



[0705] Isolated as a yellow gum (5.0 g, 36%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.42 (s, 1H), 7.38 - 7.31 (m, 2H), 5.02 - 4.95 (m, 1H), 2.81 - 2.74 (m, 2H), 2.61 (br s, 1H), 1.24 (t, $J = 8.0$ Hz, 3H); IR (thin film) 3420, 2973, 1565, 1131 cm^{-1} ; ESIMS m/z 238.10 ($[\text{M}]^+$).

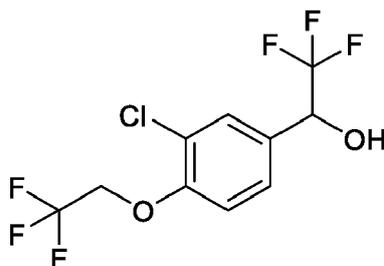
45 **1-(3-Chloro-4-(2,2,2-trifluoroethoxy)phenyl)-2,2,2-trifluoroethan-1-ol (C160)**

[0706]

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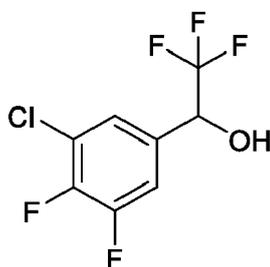
[0707] Isolated as a pale yellow oil (2.0 g, 59%): $^1\text{H NMR}$ (400 MHz, $\text{DMSO-}d_6$) δ 7.58 (s, 1H), 7.49 - 7.44 (m, 1H), 7.33 - 7.30 (m, 1H), 6.93 - 6.92 (m, 1H), 5.19 (br s, 1H), 4.91 - 4.86 (m, 2H); IR (thin film) 3428, 2962, 1501, 1254 cm^{-1} ; ESIMS m/z 308.10 ($[\text{M}]^+$).

15

1-(3-Chloro-4,5-difluorophenyl)-2,2,2-trifluoroethan-1-ol (C161)

[0708]

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[0709] Isolated as a colorless oil (4.6 g, 33%): $^1\text{H NMR}$ (300 MHz, CDCl_3) δ 7.34 - 7.30 (m, 2H), 5.01 - 4.95 (m, 1H), 3.21 (br s, 1H); IR (thin film) 3302, 1709, 750 cm^{-1} ; ESIMS m/z 246.00 ($[\text{M}]^+$).

30

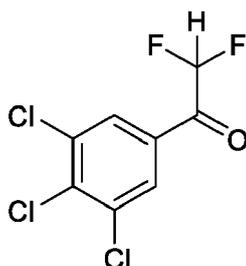
[0710] The following compound was prepared in like manner to the procedure outlined in **Example 12**:

2,2-Difluoro-1-(3,4,5-trichlorophenyl)ethan-1-one (C162)

35

[0711]

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[0712] Isolated as an off-white solid (9.25 g, 88%); mp 45-48 $^\circ\text{C}$; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.71 (s, 2H), 6.21 (t, J = 53.5 Hz, 1H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -126.71 (d, J = 53.4 Hz); IR (thin film) 1743, 1559 cm^{-1} ; ESIMS m/z 260.0 ($[\text{M}+\text{H}]^+$).

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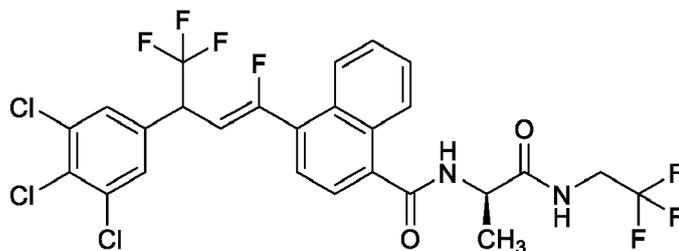
[0713] The following compounds were prepared in like manner to the procedure outlined in **Example 13**:

***N*-((*R*)-1-Oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-4-((*Z*)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-1-naphthamide (PF1)**

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[0714]

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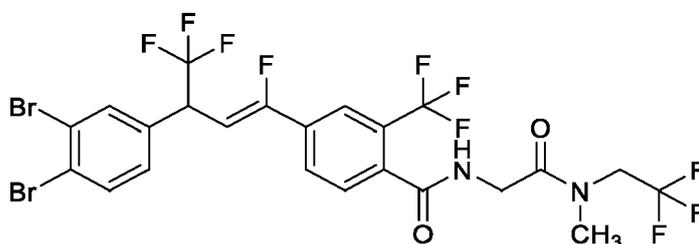
[0715] Isolated as a yellow solid (0.110 g, 44%).

(Z)-4-(3-(3,4-Dibromophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(2-(methyl(2,2,2-trifluoroethyl)amino)-2-oxoethyl)-2-(trifluoromethyl)benzamide (PF4)

15

[0716]

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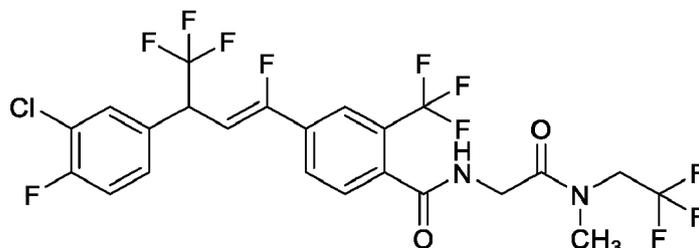
[0717] Isolated as a yellow gum (0.154 g, 62%).

(Z)-4-(3-(3-Chloro-4-fluorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(2-(methyl(2,2,2-trifluoroethyl)amino)-2-oxoethyl)-2-(trifluoromethyl)benzamide (PF6)

30

[0718]

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[0719] Isolated as a yellow gum (0.160 g, 61%).

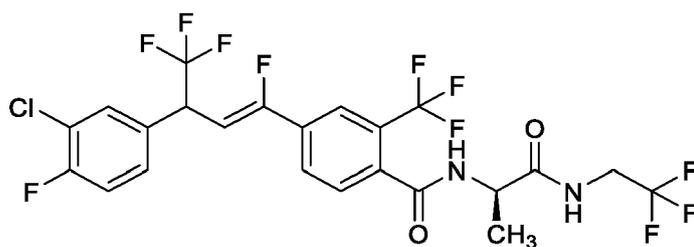
45

4-((Z)-3-(3-Chloro-4-fluorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (PF7)

50

[0720]

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[0721] Isolated as a yellow gum (0.121 g, 43%).

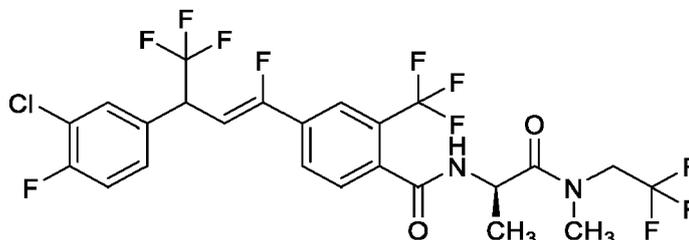
4-((Z)-3-(3-Chloro-4-fluorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-(methyl(2,2,2-trifluoroethyl)amino)-1-oxopropan-2-yl)-2-(trifluoromethyl)benzamide (PF8)

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[0722]

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[0723] Isolated as a yellow gum (0.195 g, 74%).

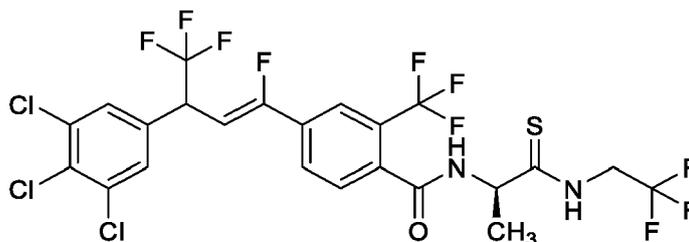
4-((Z)-1,4,4,4-Tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-N-((R)-1-thioxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (PF96)

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[0724]

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[0725] Isolated as a yellow oil (0.097 g, 69%).

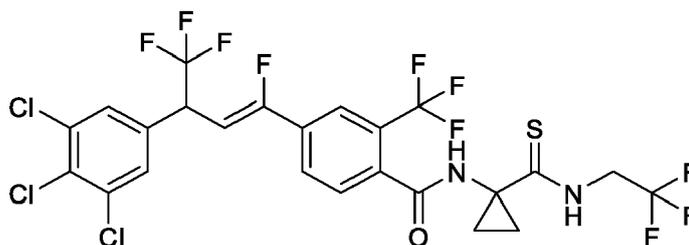
(Z)-4-(1,4,4,4-Tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-N-(1-((2,2,2-trifluoroethyl)carbamothioyl)cyclopropyl)-2-(trifluoromethyl)benzamide (PF98)

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[0726]

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[0727] Isolated as a yellow gum (0.047 g, 33%).

4-((Z)-3-(3,5-Dichlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F144)

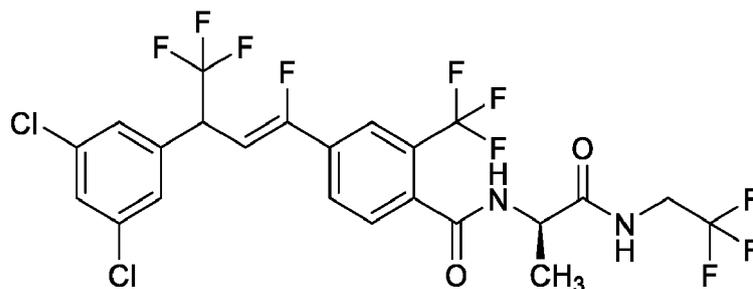
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[0728]

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[0729] Isolated as a brown gum (0.100 g, 35%).

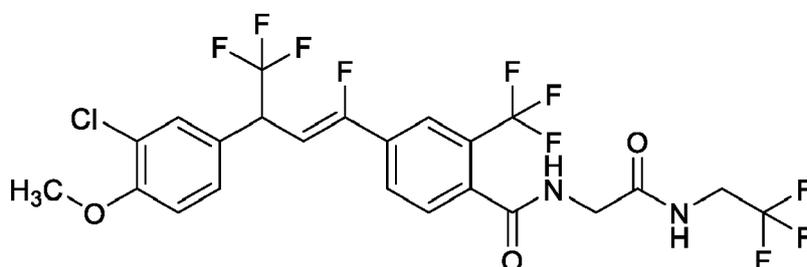
15

(*Z*)-4-(3-(3-Chloro-4-methoxyphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-*N*-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-2-(trifluoromethyl)benzamide (F158)

[0730]

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[0731] Isolated as a yellow solid (0.105 g, 42%).

30

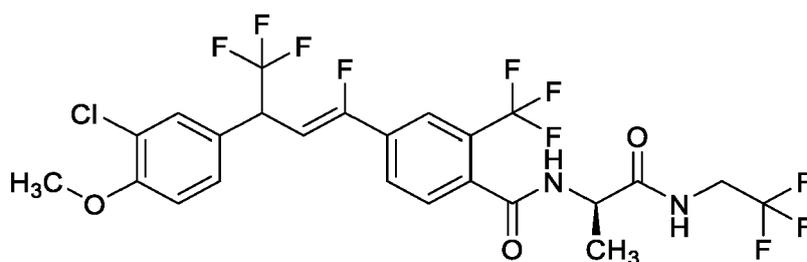
4-((*Z*)-3-(3-Chloro-4-methoxyphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-*N*-((*R*)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F161)

[0732]

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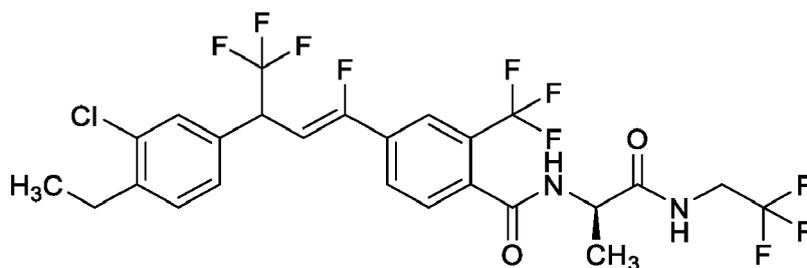
[0733] Isolated as a yellow solid (0.150 g, 58%).

4-((*Z*)-3-(3-Chloro-4-ethylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-*N*-((*R*)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F164)

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[0734]

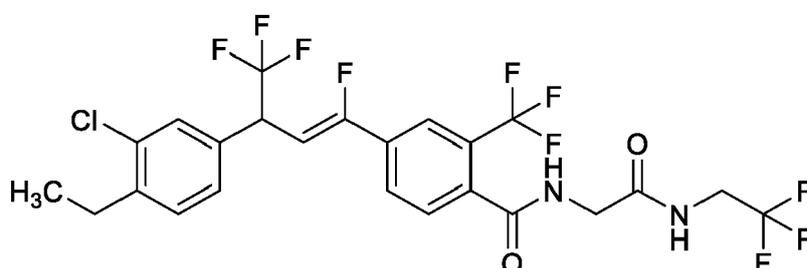
55



[0735] Isolated as a yellow gum (0.120 g, 48%).

(Z)-4-(3-(3-Chloro-4-ethylphenyl)-1,4,4-tetrafluorobut-1-en-1-yl)-N-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-2-(trifluoromethyl)benzamide (F165)

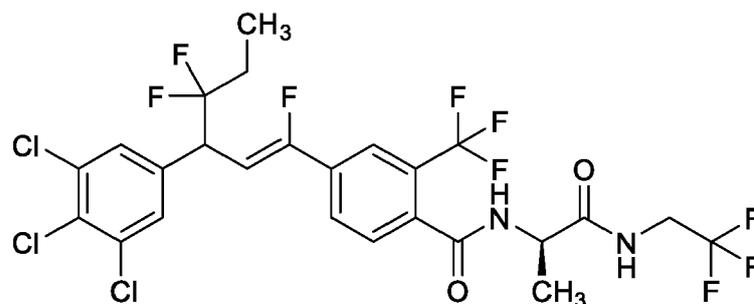
15 [0736]



[0737] Isolated as a pale yellow solid (0.120 g, 51%).

30 N-((R)-1-Oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-4-((Z)-1,4,4-trifluoro-3-(3,4,5-trichlorophenyl)hex-1-en-1-yl)-2-(trifluoromethyl)benzamide (F174)

[0738]



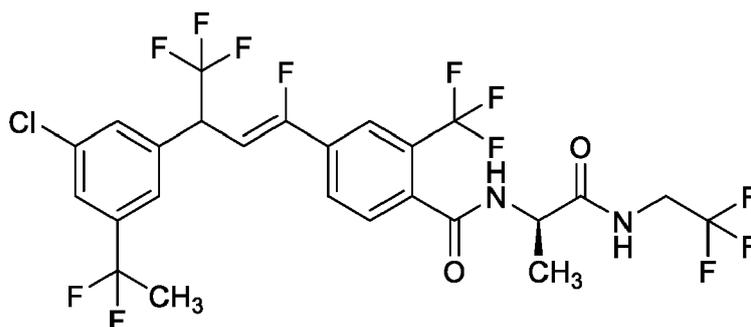
[0739] Isolated as a white foamy glass (0.047 g, 60%).

50 4-((Z)-3-(3-Chloro-5-(1,1-difluoroethyl)phenyl)-1,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F175)

[0740]

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[0741] Isolated as a pale yellow foam (0.061 g, 55%).

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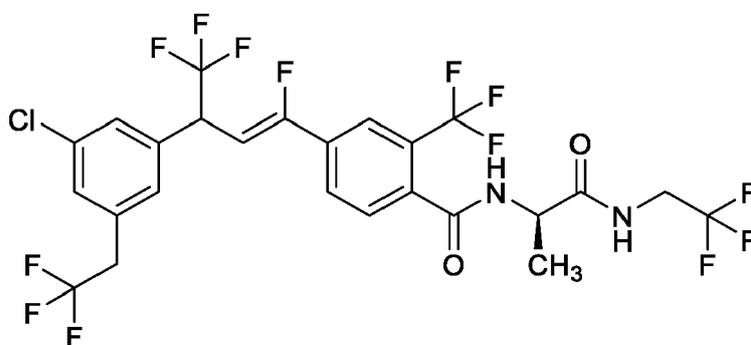
4-((Z)-3-(3-Chloro-5-(2,2,2-trifluoroethyl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F176)

[0742]

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[0743] Isolated as a white foamy wax (0.079 g, 60.8%).

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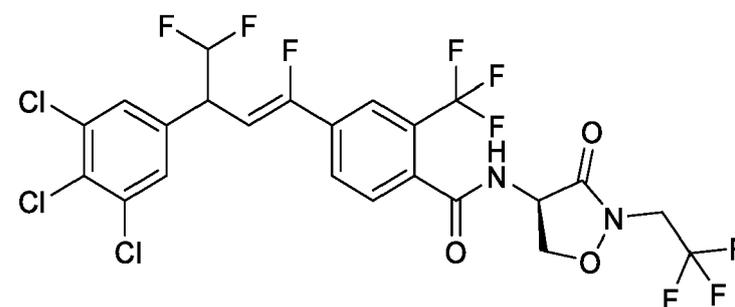
N-((R)-3-Oxo-2-(2,2,2-trifluoroethyl)isoxazolidin-4-yl)-4-((Z)-1,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F177)

[0744]

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[0745] Isolated as an off-white solid (0.144 g, 52%).

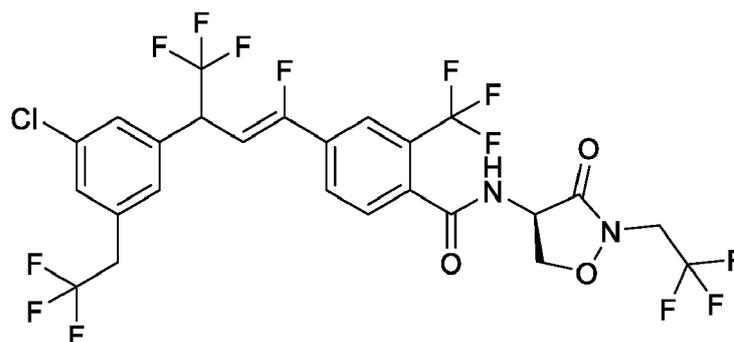
55

4-((Z)-3-(3-Chloro-5-(2,2,2-trifluoroethyl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-3-oxo-2-(2,2,2-trifluoroethyl)isoxazolidin-4-yl)-2-(trifluoromethyl)benzamide (F178)

[0746]

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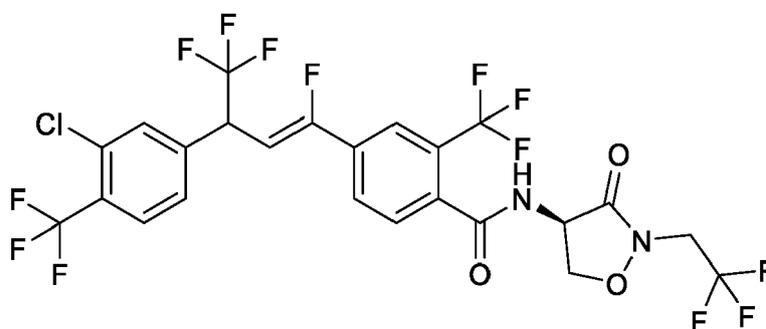
[0747] Isolated as a white foamy glass (0.052 g, 56%).

15

4-((Z)-3-(3-Chloro-4-(trifluoromethyl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-3-oxo-2-(2,2,2-trifluoroethyl)isoxazolidin-4-yl)-2-(trifluoromethyl)benzamide (F180)

[0748]

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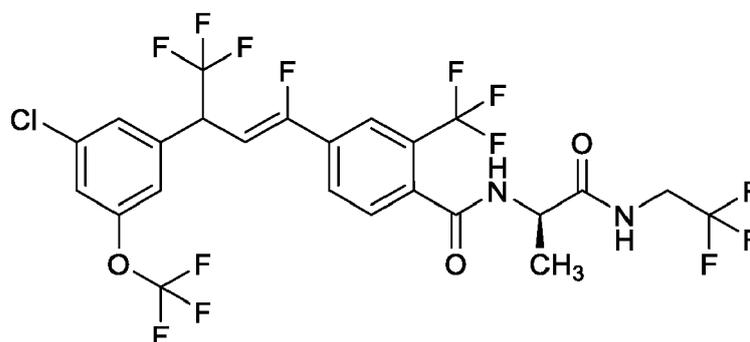
[0749] Isolated as a white foam (0.103 g, 74.8%).

35

4-((Z)-3-(3-Chloro-5-(trifluoromethoxy)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F181)

[0750]

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[0751] Isolated as a clear orange oil (0.077 g, 74.2%).

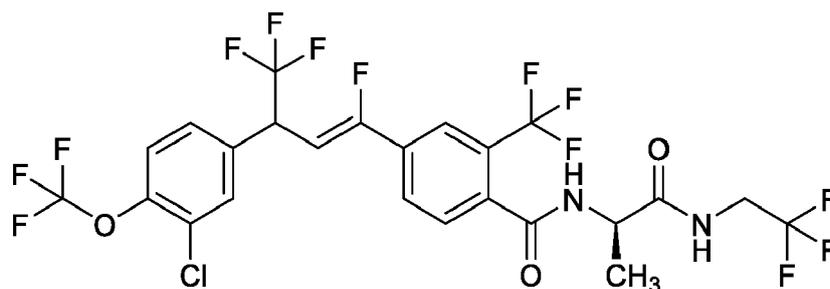
55

4-((Z)-3-(3-Chloro-4-(trifluoromethoxy)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F182)

[0752]

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[0753] Isolated as a yellow glass (0.073 g, 89%).

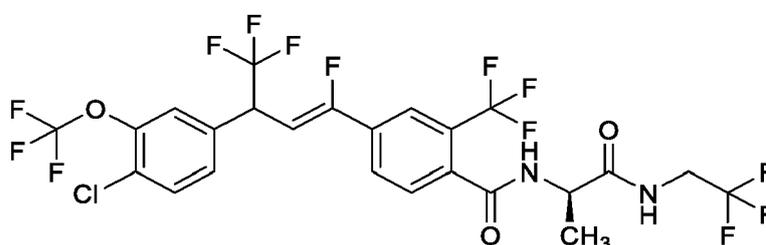
15

4-((Z)-3-(4-Chloro-3-(trifluoromethoxy)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F183)

[0754]

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[0755] Isolated as a pale yellow glass (0.102 g, 77%).

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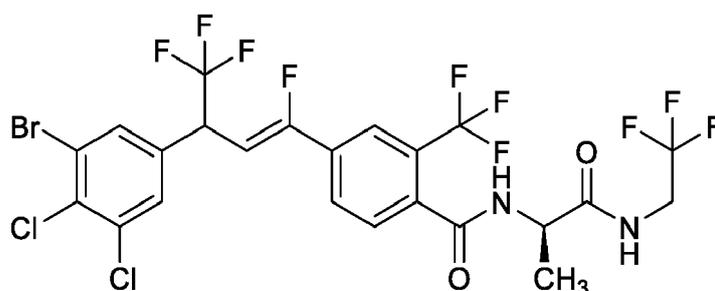
4-((Z)-3-(3-Bromo-4,5-dichlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F185)

[0756]

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[0757] Isolated as a white gum (0.0721 g, 60%).

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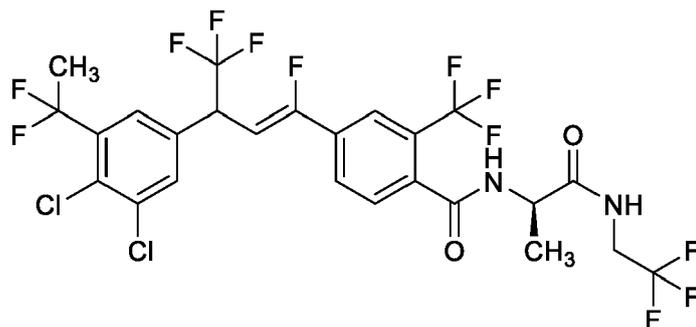
4-((Z)-3-(3,4-Dichloro-5-(1,1-difluoroethyl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F193)

[0758]

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[0759] Isolated as a yellow gum (0.044 g, 32%).

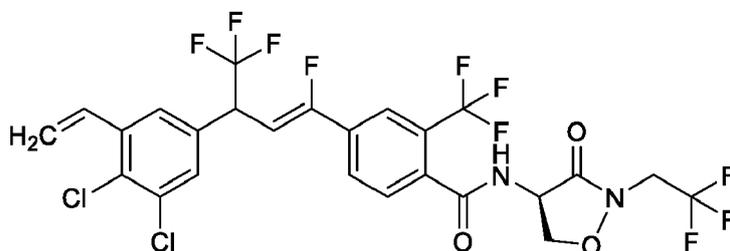
15

4-((Z)-3-(3,4-Dichloro-5-vinylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-3-oxo-2-(2,2,2-trifluoroethyl)isoxazolidin-4-yl)-2-(trifluoromethyl)benzamide (F196)

[0760]

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[0761] Isolated as a colorless gum (0.030 g, 82%).

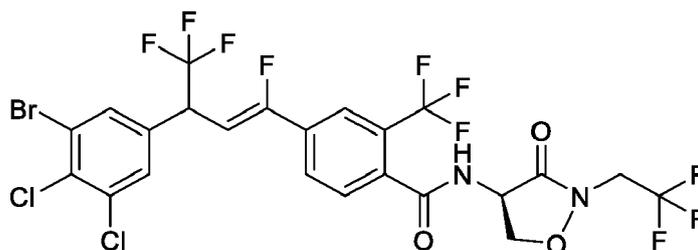
4-((Z)-3-(3-Bromo-4,5-dichlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-3-oxo-2-(2,2,2-trifluoroethyl)isoxazolidin-4-yl)-2-(trifluoromethyl)benzamide (F197)

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[0762]

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[0763] Isolated as a white wax (0.091 g, 94%).

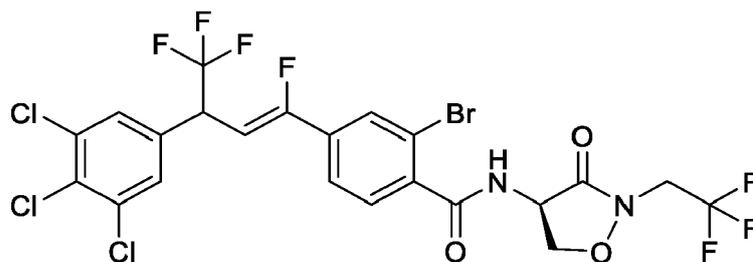
50

2-Bromo-N-((R)-3-oxo-2-(2,2,2-trifluoroethyl)isoxazolidin-4-yl)-4-((Z)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (F198)

[0764]

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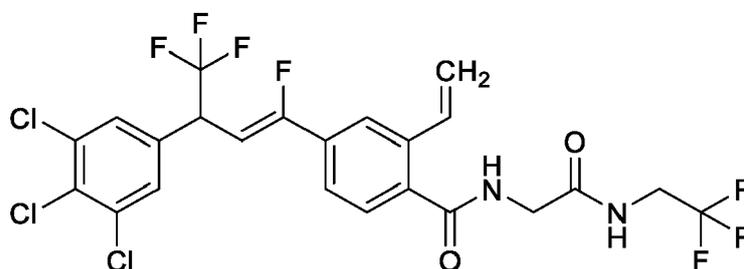
[0765] Isolated as a white wax (0.045 g, 46%).

(Z)-N-(2-Oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-vinylbenzamide (F200)

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[0766]

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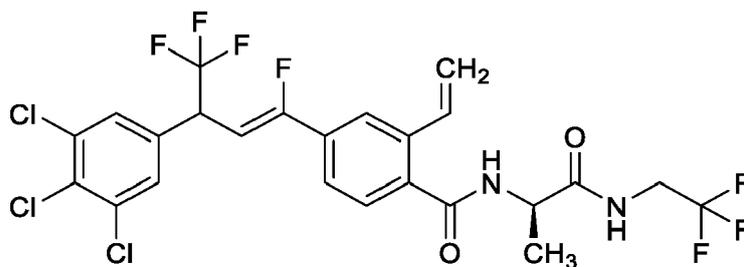
25

[0767] Isolated as a yellow gum (0.026 g, 46%).

N-((R)-1-Oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-4-((Z)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-vinylbenzamide (F201)

[0768]

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[0769] Isolated as a colorless gum (0.052 g, 40%).

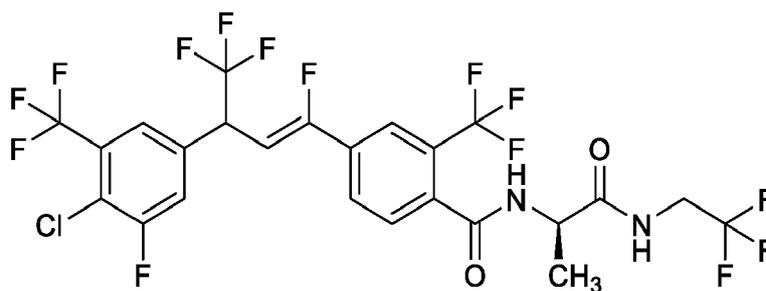
4-((Z)-3-(4-Chloro-3-fluoro-5-(trifluoromethyl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F202)

[0770]

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[0771] Isolated as a pale yellow gum (0.052 g, 86%).

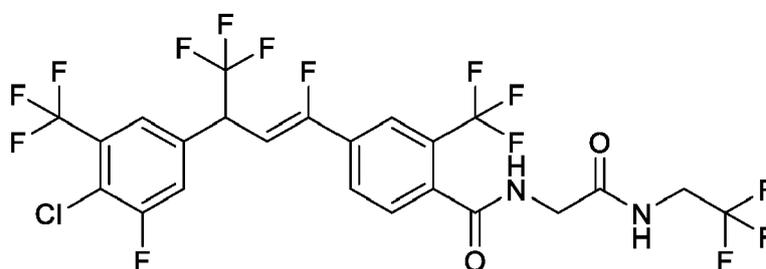
15

(Z)-4-(3-(4-Chloro-3-fluoro-5-(trifluoromethyl)phenyl)-1,4,4,4-tetrafluoro-but-1-en-1-yl)-N-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-2-(trifluoromethyl)benzamide (F203)

[0772]

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[0773] Isolated as a yellow oil (0.141 g, 76%).

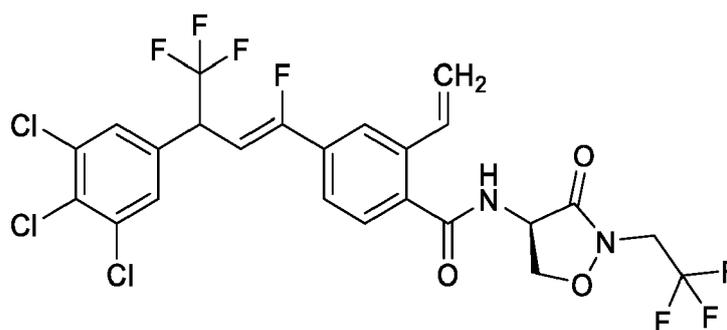
N-((R)-3-Oxo-2-(2,2,2-trifluoroethyl)isoxazolidin-4-yl)-4-((Z)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-vinylbenzamide (F204)

[0774]

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[0775] Isolated as a yellow gum (0.101 g, 21%).

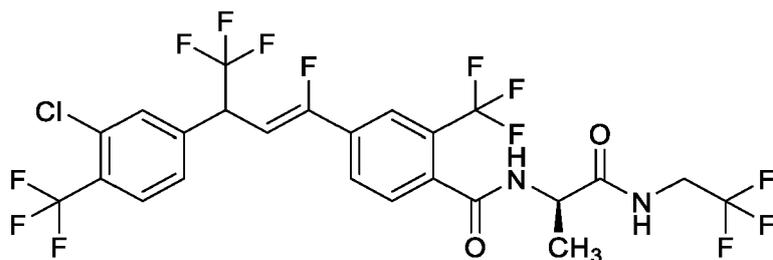
50

4-((Z)-3-(3-Chloro-4-(trifluoromethyl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F205)

[0776]

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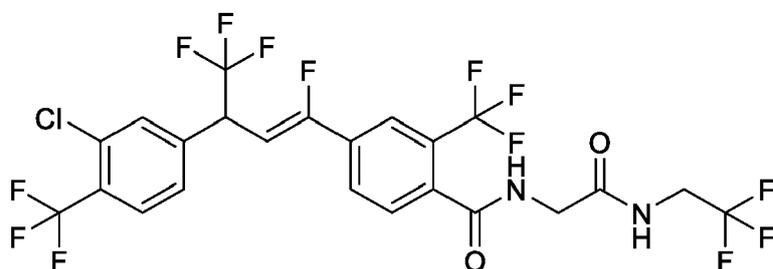
[0777] Isolated as an orange oil (0.081 g, 44.6%).

(Z)-4-(3-(3-Chloro-4-(trifluoromethyl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-2-(trifluoromethyl)benzamide (F206)

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[0778]

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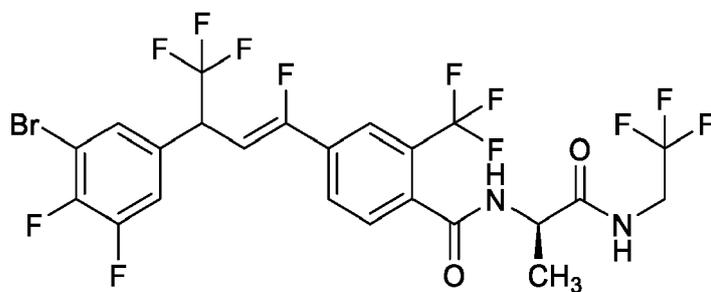
[0779] Isolated as an off-white foam (0.074 g, 41%).

4-(Z)-3-(3-Bromo-4,5-difluorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F214)

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[0780]

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[0781] Isolated as an orange oil (0.048 g, 72%).

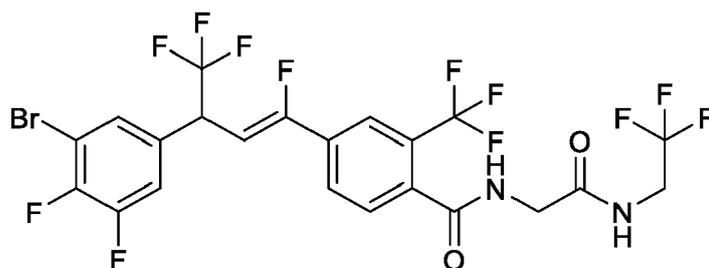
(Z)-4-(3-(3-Bromo-4,5-difluorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-2-(trifluoromethyl)benzamide (F217)

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[0782]

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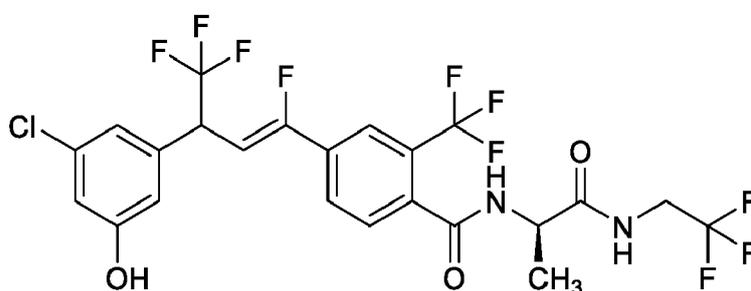
55



[0783] Isolated as an orange oil (0.062 g, 81%).

4-((Z)-3-(3-Chloro-5-hydroxyphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (C163)

[0784]

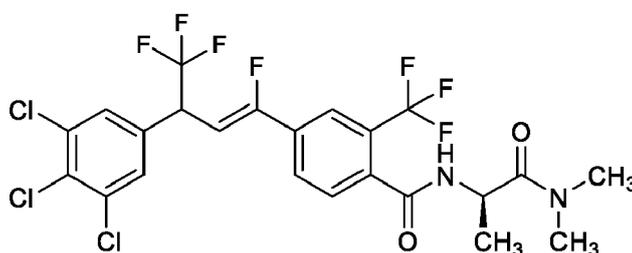


[0785] Isolated as an orange oil (0.23 g, 10%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.86 (s, 1H), 7.76 (d, $J = 8.1$ Hz, 1H), 7.56 (d, $J = 8.1$ Hz, 1H), 6.96 (s, 1H), 6.85 (t, $J = 2.1$ Hz, 1H), 6.78 (d, $J = 10.5$ Hz, 2H), 6.44 (d, $J = 7.5$ Hz, 1H), 5.83 (dd, $J = 32.8, 9.8$ Hz, 1H), 5.63 (s, 1H), 4.74 (p, $J = 7.1$ Hz, 1H), 4.56 (p, $J = 9.0$ Hz, 1H), 3.94 (dq, $J = 9.3, 7.1$ Hz, 2H), 1.51 (dd, $J = 7.0, 5.1$ Hz, 3H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -59.11, -69.19 (d, $J = 2.6$ Hz), -72.56, -113.58; IR (thin film) 3303, 1692, 1531 cm^{-1} ; ESIMS m/z 595.3 ($[\text{M}+\text{H}]^+$).

[0786] The following compounds were prepared in like manner to the procedure outlined in **Example 15**:

N-((*R*)-1-(Dimethylamino)-1-oxopropan-2-yl)-4-((*Z*)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F172)

[0787]

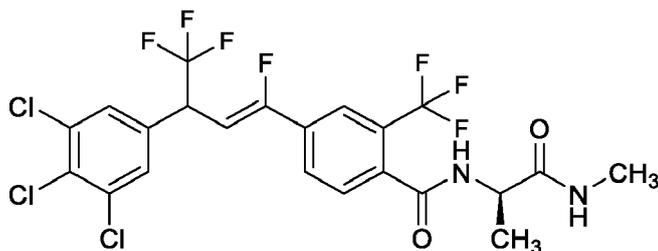


[0788] Isolated as a white foam (0.134 g, 55%).

N-((*R*)-1-(Methylamino)-1-oxopropan-2-yl)-4-((*Z*)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F173)

[0789]

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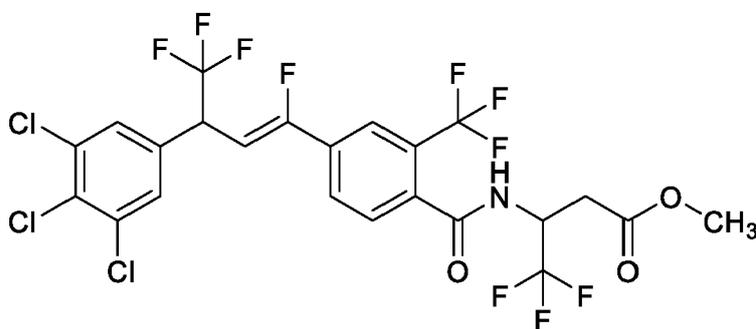
[0790] Isolated as a white foam (0.0120 g, 51%).

Methyl (Z)-4,4,4-trifluoro-3-(4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamido)butanoate (F166)

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[0791]

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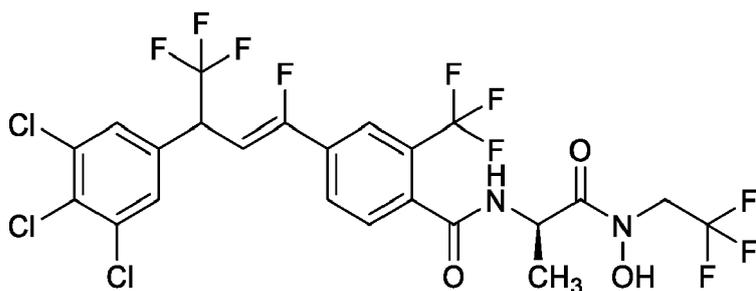
[0792] Isolated as a yellow/orange gum (0.059 g, 76%).

N-((R)-1-(Hydroxy(2,2,2-trifluoroethyl)amino)-1-oxopropan-2-yl)-4-((Z)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F169)

35

[0793]

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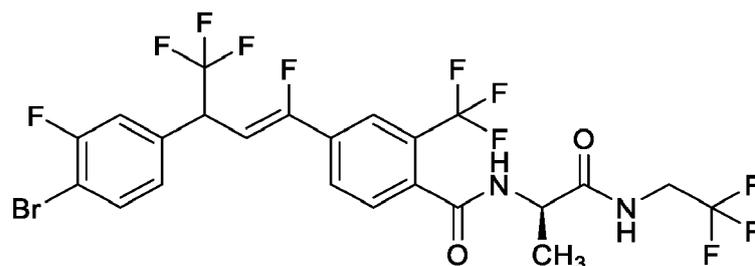
[0794] Isolated as a white foam (0.117 g, 44%).

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4-((Z)-3-(4-Bromo-3-fluorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F170)

[0795]

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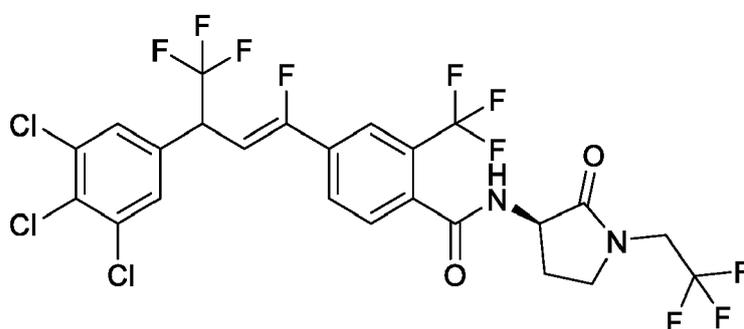


[0796] Isolated as a white foam (0.093 g, 55%).

N-((*R*)-2-Oxo-1-(2,2,2-trifluoroethyl)pyrrolidin-3-yl)-4-((*Z*)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F171)

15

[0797]



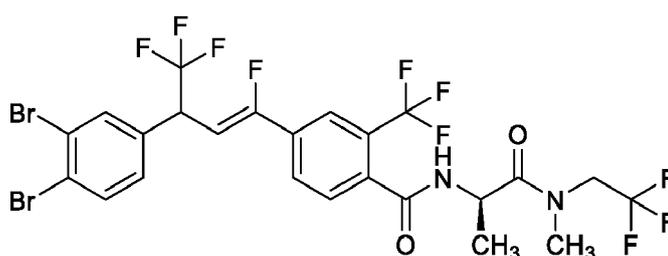
[0798] Isolated as a white foam (0.114 g, 57%).

[0799] The following compounds were prepared in like manner to the procedure outlined in **Example 16**:

4-((*Z*)-3-(3,4-Dibromophenyl)-1,4,4,4-tetrafluoro-but-1-en-1-yl)-*N*-((*R*)-1-(methyl(2,2,2-trifluoroethyl)amino)-1-oxopropan-2-yl)-2-(trifluoromethyl)benzamide (PF2)

35

[0800]



[0801] Isolated as a yellow gum (0.169 g, 68%).

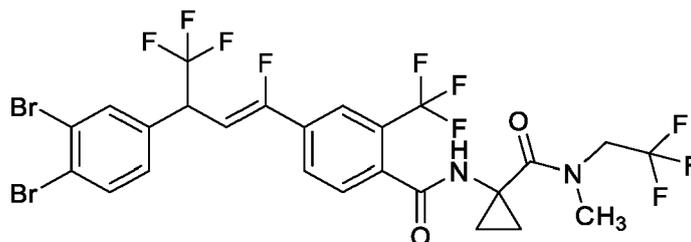
(*Z*)-4-(3-(3,4-Dibromophenyl)-1,4,4,4-tetrafluoro-but-1-en-1-yl)-*N*-(1-(methyl(2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (PF3)

50

[0802]

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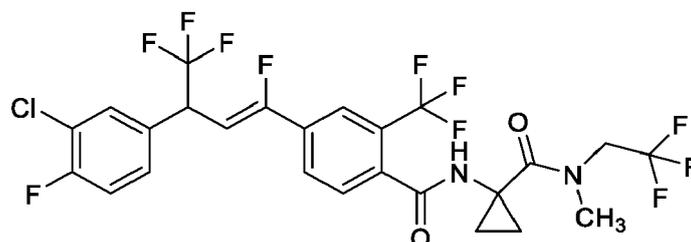


10 [0803] Isolated as a yellow solid (0.157 g, 60%).

(Z)-4-(3-(3-Chloro-4-fluorophenyl)-1,4,4,4-tetrafluoro-but-1-en-1-yl)-N-(1-(methyl(2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (PF10)

15 [0804]

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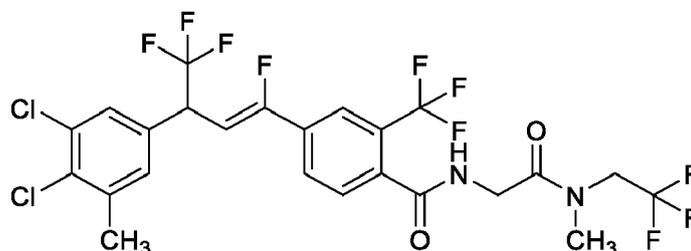
[0805] Isolated as a brown gum (0.142 g, 53%).

(Z)-4-(3-(3,4-Dichloro-5-methylphenyl)-1,4,4,4-tetrafluoro-but-1-en-1-yl)-N-(2-(methyl(2,2,2-trifluoroethyl)amino)-2-oxoethyl)-2-(trifluoromethyl)benzamide (PF12)

30

[0806]

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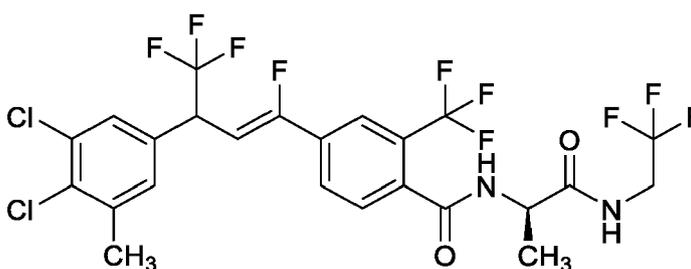
40

[0807] Isolated as a pale yellow solid (0.176 g, 57%).

4-((Z)-3-(3,4-Dichloro-5-methylphenyl)-1,4,4,4-tetrafluoro-but-1-en-1-yl)-N-((R)-1-oxo-1-(2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (PF13)

[0808]

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[0809] Isolated as a pale yellow solid (0.155 g, 54%).

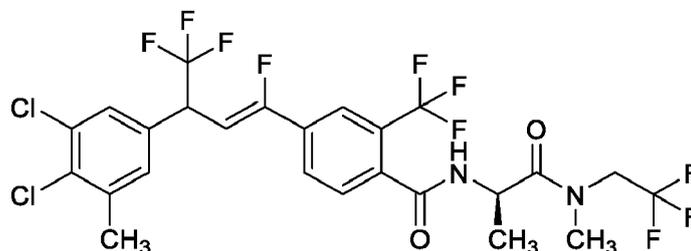
4-((Z)-3-(3,4-Dichloro-5-methylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-(methyl(2,2,2-trifluoroethyl)amino)-1-oxopropan-2-yl)-2-(trifluoromethyl)benzamide (PF14)

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[0810]

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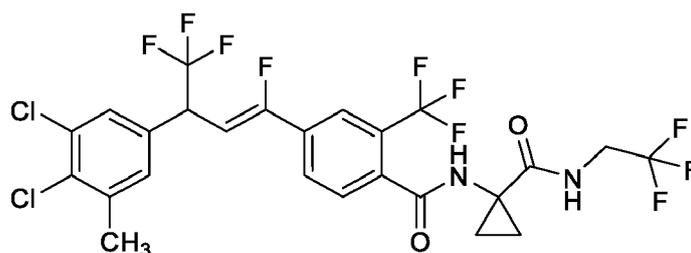
[0811] Isolated as a yellow gum (0.165 g, 60%).

20 (Z)-4-(3-(3,4-Dichloro-5-methylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(1-(2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (PF15)

[0812]

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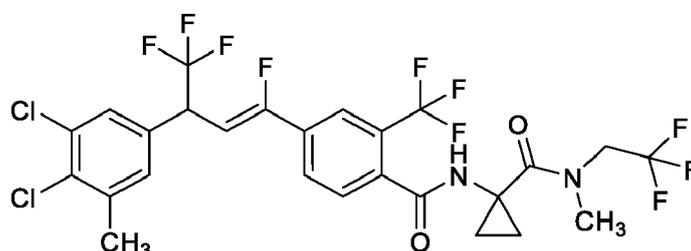
35 [0813] Isolated as a pale yellow solid (0.140 g, 51%).

(Z)-4-(3-(3,4-Dichloro-5-methylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(1-(methyl(2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (PF16)

40 [0814]

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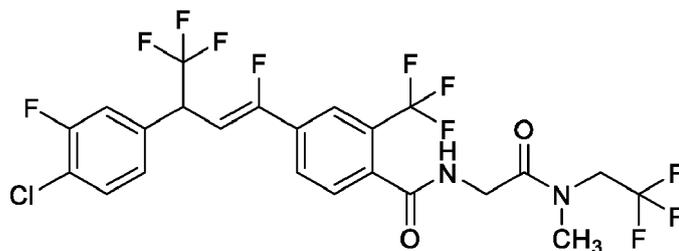


[0815] Isolated as a yellow solid (0.175 g, 64%).

55 (Z)-4-(3-(4-Chloro-3-fluorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(2-(methyl(2,2,2-trifluoroethyl)amino)-2-oxoethyl)-2-(trifluoromethyl)benzamide (PF18)

[0816]

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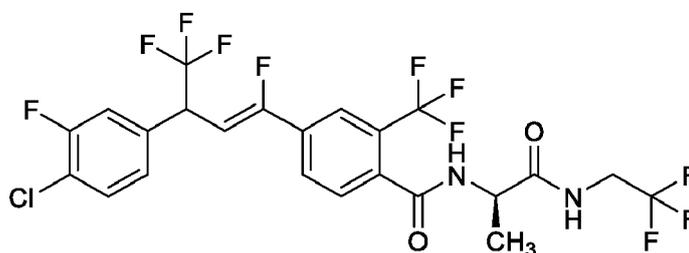
[0817] Isolated as a white solid (0.153 g, 48%).

4-((Z)-3-(4-Chloro-3-fluorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (PF19)

15

[0818]

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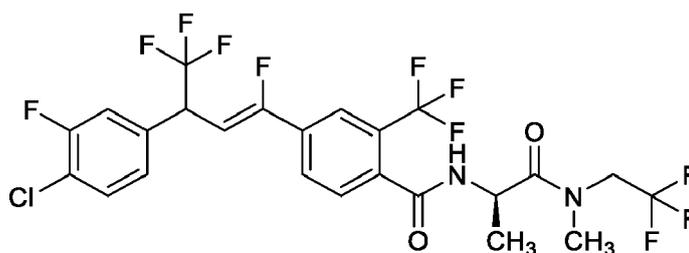
[0819] Isolated as a yellow solid (0.088 g, 41%).

4-((Z)-3-(4-Chloro-3-fluorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-(methyl(2,2,2-trifluoroethyl)amino)-1-oxopropan-2-yl)-2-(trifluoromethyl)benzamide (PF20)

30

[0820]

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[0821] Isolated as a yellow gum (0.150 g, 47%).

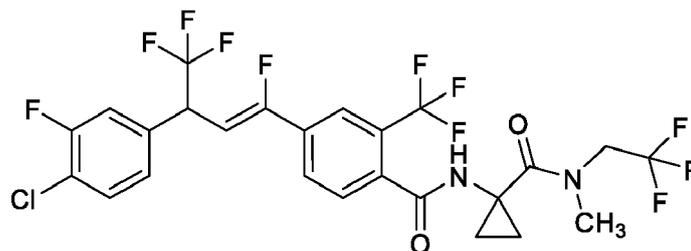
(Z)-4-(3-(4-Chloro-3-fluorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(1-(methyl(2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (PF22)

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[0822]

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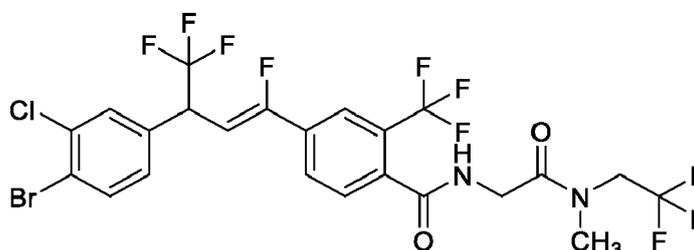
[0823] Isolated as a yellow gum (0.090 g, 33%).

(Z)-4-(3-(4-Bromo-3-chlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(2-(methyl(2,2,2-trifluoroethyl)amino)-2-oxoethyl)-2-(trifluoromethyl)benzamide (PF24)

15

[0824]

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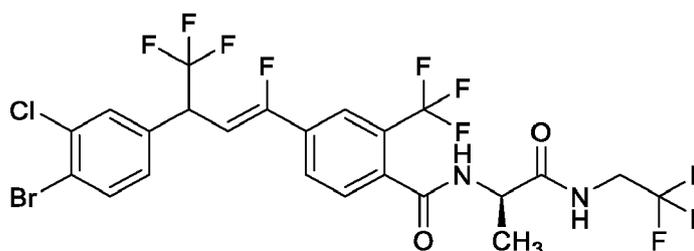
[0825] Isolated as a yellow gum (0.101 g, 43%).

4-((Z)-3-(4-Bromo-3-chlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-(2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (PF25)

35

[0826]

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[0827] Isolated as a pale brown solid (0.168 g, 65%).

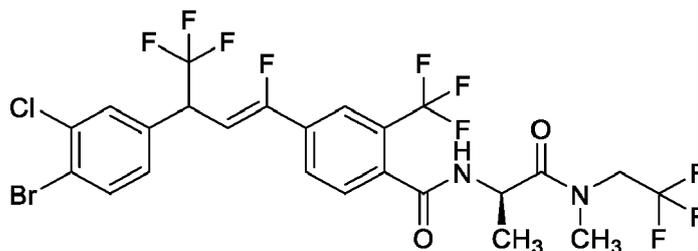
4-((Z)-3-(4-Bromo-3-chlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-(methyl(2,2,2-trifluoroethyl)amino)-1-oxopropan-2-yl)-2-(trifluoromethyl)benzamide (PF26)

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[0828]

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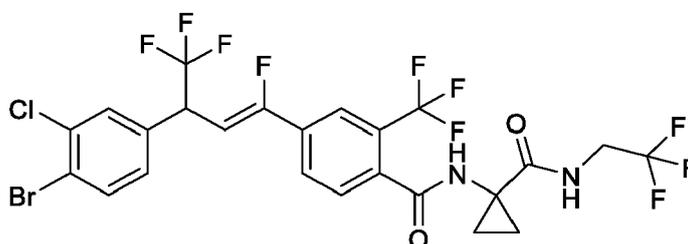
[0829] Isolated as a pale yellow solid (0.076 g, 32%).

(Z)-4-(3-(4-Bromo-3-chlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(1-(2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (PF27)

15

[0830]

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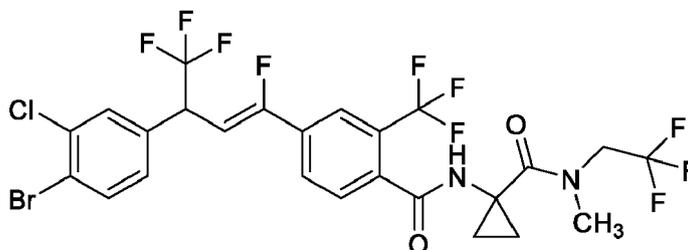
[0831] Isolated as an off-white solid (0.149 g, 57%).

(Z)-4-(3-(4-Bromo-3-chlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(1-(methyl(2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (PF28)

30

[0832]

35



40

[0833] Isolated as an off-white solid (0.055 g, 23%).

45

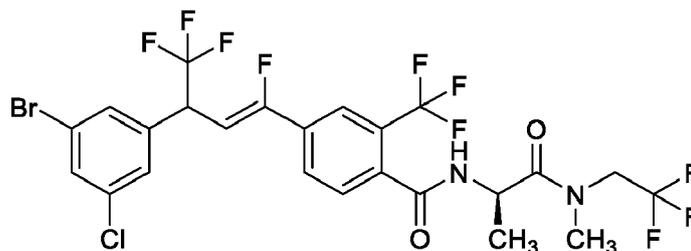
4-((Z)-3-(3-Bromo-5-chlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-(methyl(2,2,2-trifluoroethyl)amino)-1-oxopropan-2-yl)-2-(trifluoromethyl)benzamide (PF31)

50

[0834]

55

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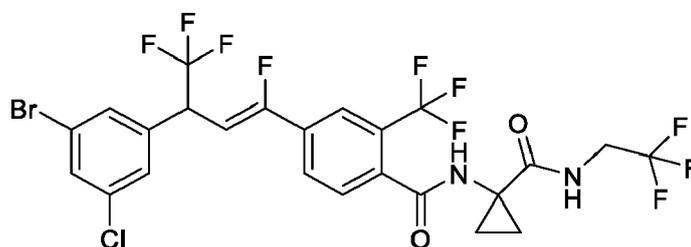
[0835] Isolated as a yellow gum (0.124 g, 54%).

(Z)-4-(3-(3-Bromo-5-chlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(1-((2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (PF32)

15

[0836]

20



25

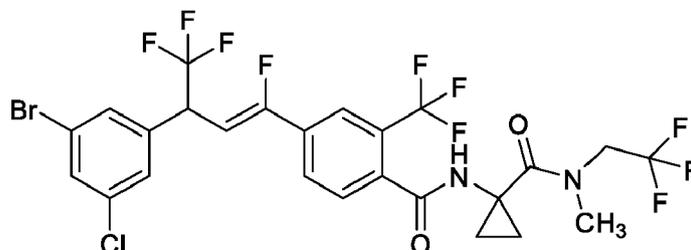
[0837] Isolated as a brown gum (0.115 g, 56%).

(Z)-4-(3-(3-Bromo-5-chlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(1-(methyl(2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (PF33)

30

[0838]

35



40

[0839] Isolated as a yellow gum (0.136 g, 51%).

45

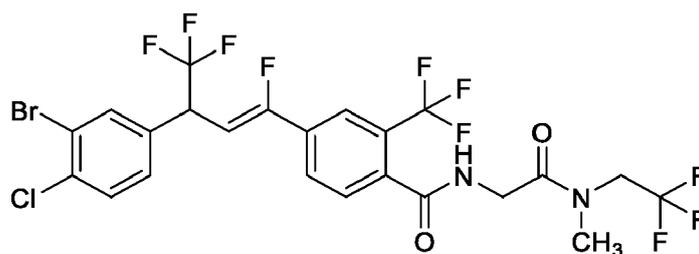
(Z)-4-(3-(3-Bromo-4-chlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(2-(methyl(2,2,2-trifluoroethyl)amino)-2-oxoethyl)-2-(trifluoromethyl)benzamide (PF35)

50

[0840]

55

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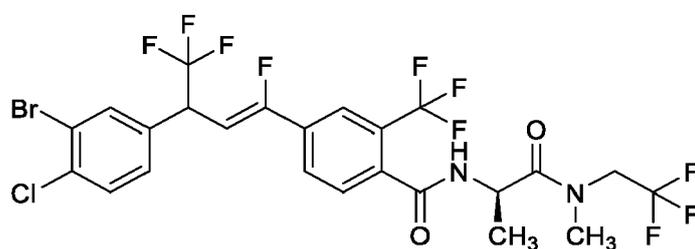
[0841] Isolated as a yellow gum (0.111 g, 56%).

4-((Z)-3-(3-Bromo-4-chlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-(methyl(2,2,2-trifluoroethyl)amino)-1-oxopropan-2-yl)-2-(trifluoromethyl)benzamide (PF37)

15

[0842]

20



25

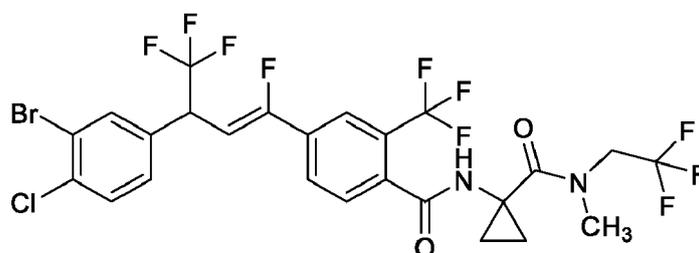
[0843] Isolated as a yellow gum (0.131 g, 67%).

(Z)-4-(3-(3-Bromo-4-chlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(1-(methyl(2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (PF39)

30

[0844]

35



40

[0845] Isolated as a yellow gum (0.107 g, 47%).

(Z)-4-(3-(3-Chloro-5-(trifluoromethyl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(2-(methyl(2,2,2-trifluoroethyl)amino)-2-oxoethyl)-2-(trifluoromethyl)benzamide (PF41)

45

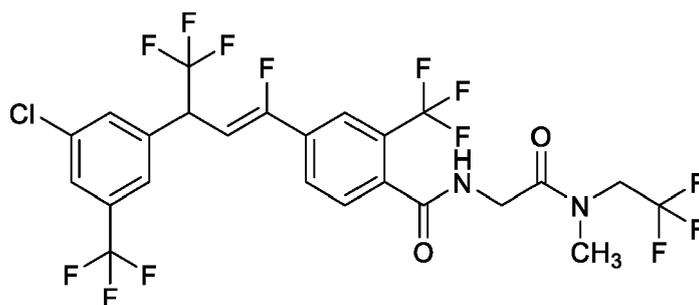
[0846]

50

55

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[0847] Isolated as a pale yellow solid (0.077 g, 32%).

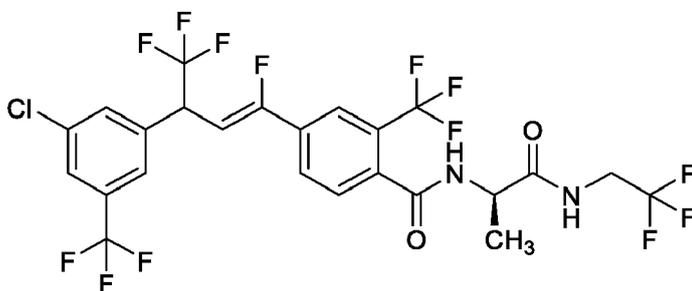
15

4-((Z)-3-(3-Chloro-5-(trifluoromethyl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((1R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (PF42)

[0848]

20

25



30

[0849] Isolated as a yellow solid (0.076 g, 32%).

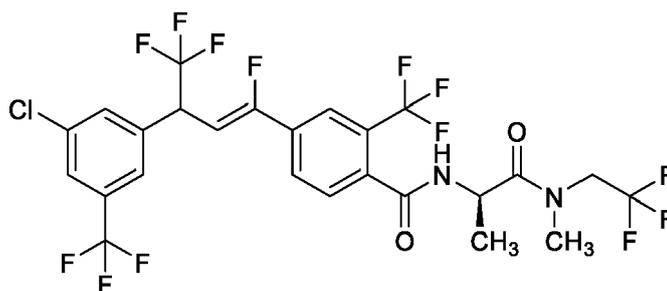
4-((Z)-3-(3-Chloro-5-(trifluoromethyl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((1R)-1-methyl-2-(2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (PF43)

35

[0850]

40

45



[0851] Isolated as a brown gum (0.051 g, 21%).

50

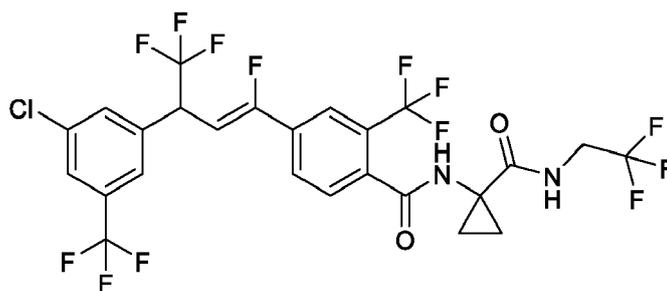
(Z)-4-(3-(3-Chloro-5-(trifluoromethyl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(1-((2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (PF44)

[0852]

55

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10



[0853] Isolated as a pale brown solid (0.088 g, 37%).

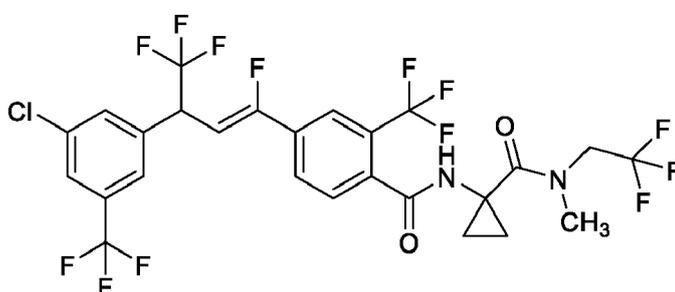
15

(Z)-4-(3-(3-Chloro-5-(trifluoromethyl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(1-(methyl(2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (PF45)

[0854]

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25



30

[0855] Isolated as a brown solid (0.045 g, 18%).

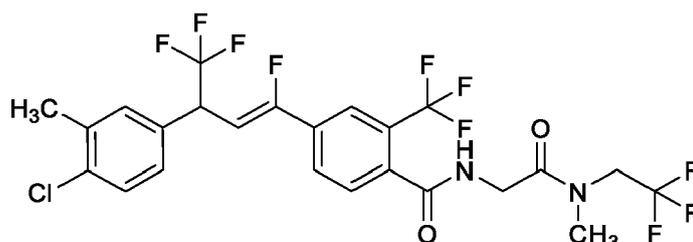
(Z)-4-(3-(4-Chloro-3-methylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(2-(methyl(2,2,2-trifluoroethyl)amino)-2-oxoethyl)-2-(trifluoromethyl)benzamide (PF47)

35

[0856]

40

45



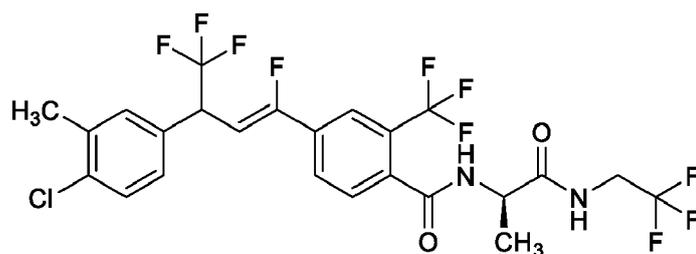
[0857] Isolated as a pale yellow solid (0.100 g, 41%).

4-((Z)-3-(4-Chloro-3-methylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-(2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (PF48)

50

[0858]

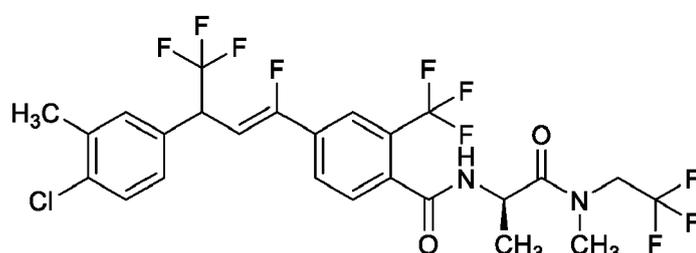
55



10
[0859] Isolated as a pale yellow solid (0.080 g, 33%).

15
4-((Z)-3-(4-Chloro-3-methylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-(methyl(2,2,2-trifluoroethyl)amino)-1-oxopropan-2-yl)-2-(trifluoromethyl)benzamide (PF49)

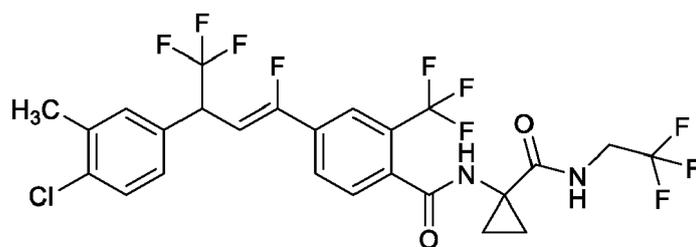
20
[0860]



30
[0861] Isolated as an off-white solid (0.058 g, 28%).

35
(Z)-4-(3-(4-Chloro-3-methylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(1-(2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (PF50)

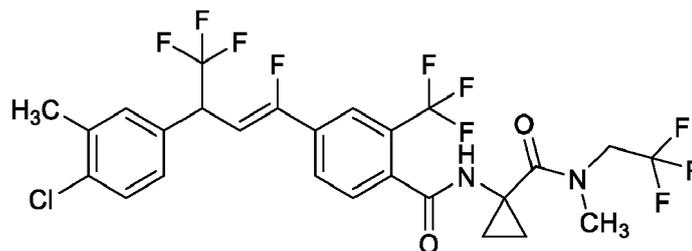
40
[0862]



50
[0863] Isolated as a pale yellow solid (0.106 g, 39%).

55
(Z)-4-(3-(4-Chloro-3-methylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(1-(methyl(2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (PF51)

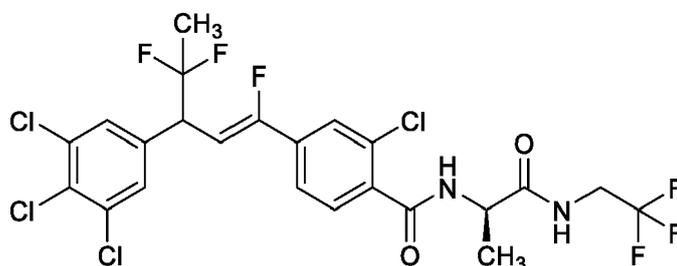
[0864]



10 [0865] Isolated as a pale yellow solid (0.098 g, 39%).

15 **2-Chloro-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-4-((Z)-1,4,4-trifluoro-3-(3,4,5-trichlorophenyl)pent-1-en-1-yl)benzamide (PF60)**

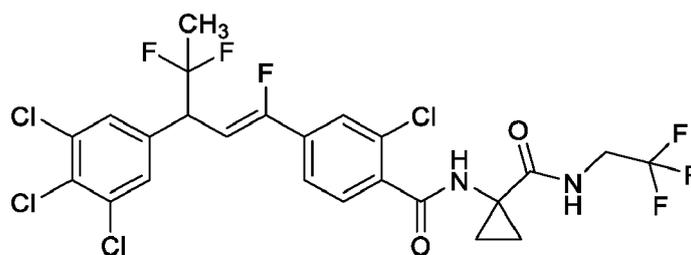
20 [0866]



30 [0867] Isolated as a pale brown solid (0.100 g, 32%).

35 **(Z)-2-Chloro-4-(1,4,4-trifluoro-3-(3,4,5-trichlorophenyl)pent-1-en-1-yl)-N-(1-((2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)benzamide (PF62)**

40 [0868]



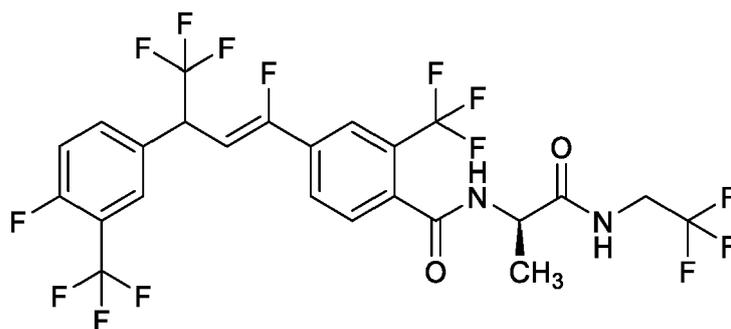
50 [0869] Isolated as a pale yellow gum (0.101 g, 33%).

55 **N-((R)-1-Oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-4-((Z)-1,4,4-tetrafluoro-3-(4-fluoro-3-(trifluoromethyl)phenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F141)**

[0870]

5

10



[0871] Isolated as a pale yellow solid (0.190 g, 51%).

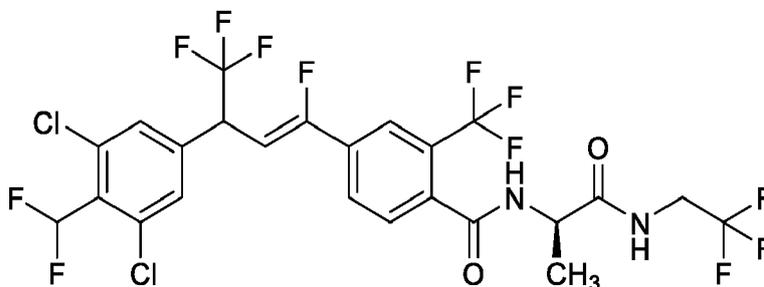
15

4-((Z)-3-(3,5-Dichloro-4-(difluoromethyl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F142)

[0872]

20

25



30

[0873] Isolated as a pale yellow solid (0.130 g, 50%).

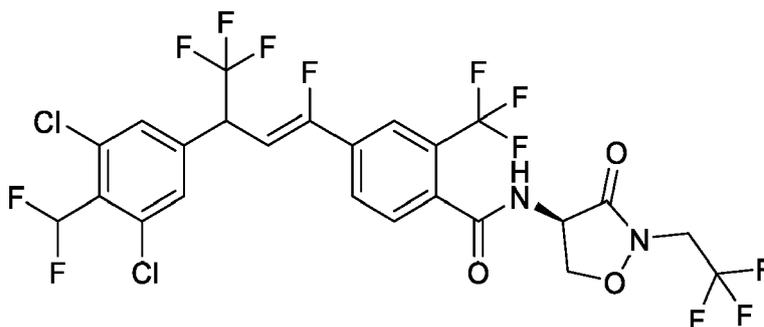
4-((Z)-3-(3,5-Dichloro-4-(difluoromethyl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-3-oxo-2-(2,2,2-trifluoroethyl)isoxazolidin-4-yl)-2-(trifluoromethyl)benzamide (F143)

35

[0874]

40

45



50

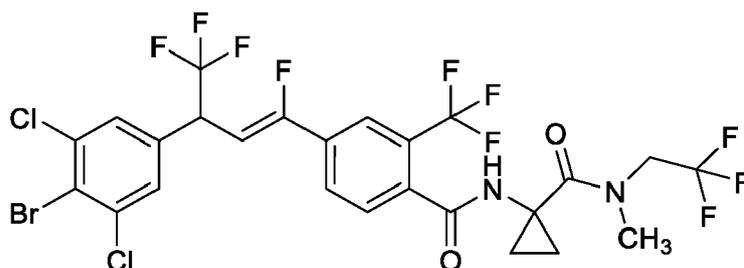
[0875] Isolated as a pale yellow gum (0.112 g, 52%).

(Z)-4-(3-(4-Bromo-3,5-dichlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(1-(methyl(2,2,2-trifluoroethyl)carbamoyl)cyclopropyl)-2-(trifluoromethyl)benzamide (F145)

55

[0876]

5



10

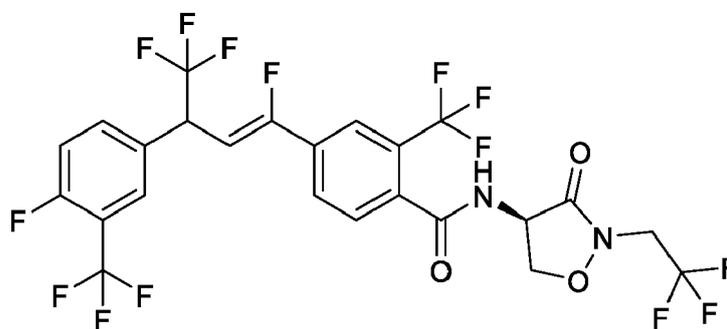
[0877] Isolated as a yellow gum (0.085 g, 37%).

N-((R)-3-Oxo-2-(2,2,2-trifluoroethyl)isoxazolidin-4-yl)-4-((Z)-1,4,4,4-tetrafluoro-3-(4-fluoro-3-(trifluoromethyl)phenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F150)

15

[0878]

20



25

30

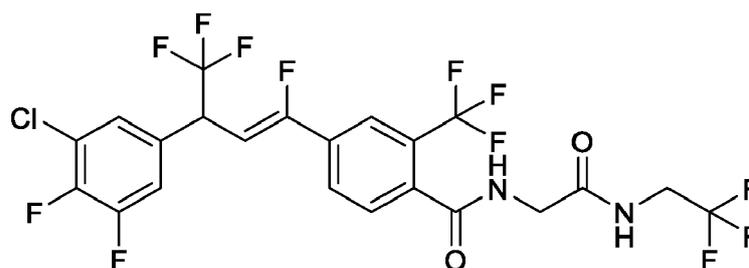
[0879] Isolated as a brown gum (0.100 g, 37%).

(Z)-4-(3-(3-Chloro-4,5-difluorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-2-(trifluoromethyl)benzamide (F153)

35

[0880]

40



45

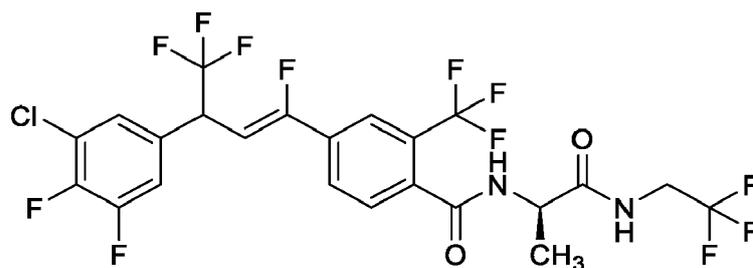
[0881] Isolated as a brown gum (0.110 g, 47%).

4-((Z)-3-(3-Chloro-4,5-difluorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F154)

50

[0882]

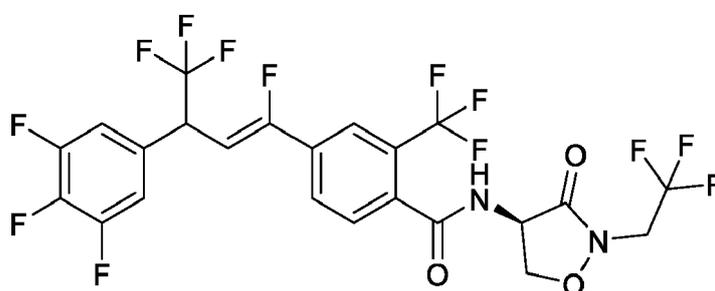
55



[0883] Isolated as a pale yellow gum (0.119 g, 50%).

N-((R)-3-Oxo-2-(2,2,2-trifluoroethyl)isoxazolidin-4-yl)-4-((Z)-1,4,4,4-tetrafluoro-3-(3,4,5-trifluorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F155)

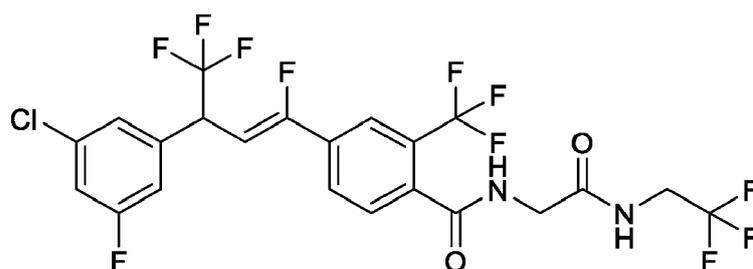
[0884]



[0885] Isolated as a pale yellow gum (0.110 g, 56%).

(Z)-4-(3-(3-Chloro-5-fluorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-2-(trifluoromethyl)benzamide (F157)

[0886]

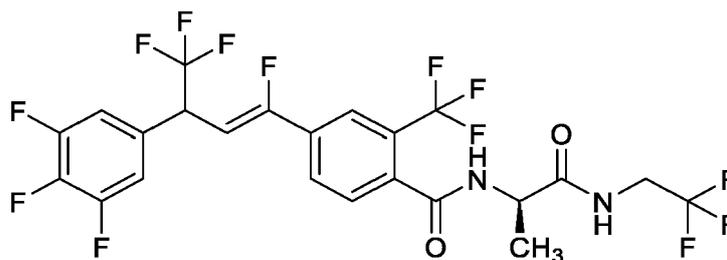


[0887] Isolated as a pale yellow gum (0.085 g, 51%).

N-((R)-1-Oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-4-((Z)-1,4,4,4-tetrafluoro-3-(3,4,5-trifluorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F159)

[0888]

5



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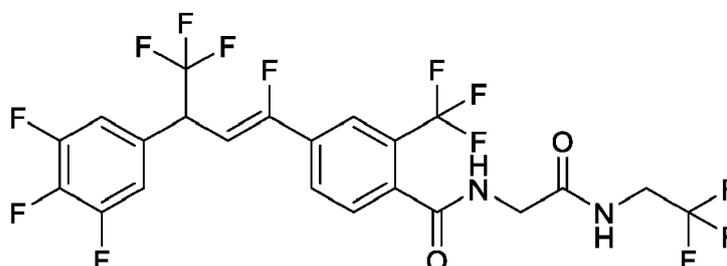
[0889] Isolated as a pale yellow gum (0.120 g, 44%).

(Z)-N-(2-Oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trifluorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F160)

15

[0890]

20



25

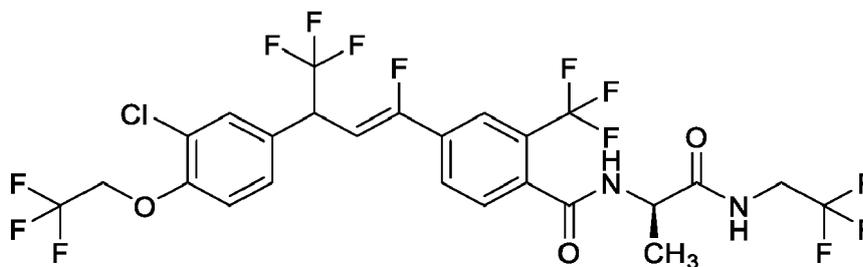
[0891] Isolated as an off-white solid (0.115 g, 41%).

30

4-((Z)-3-(3-Chloro-4-(2,2,2-trifluoroethoxy)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F162)

[0892]

35



40

45

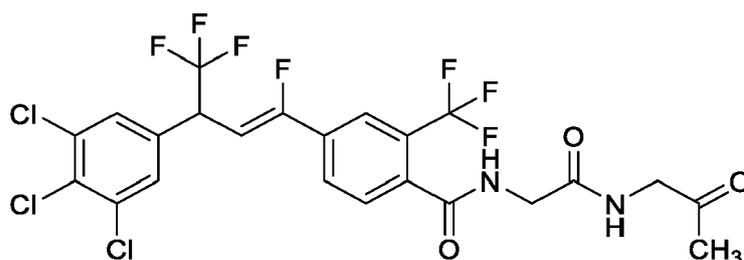
[0893] Isolated as a yellow gum (0.115 g, 44%).

(Z)-N-(2-Oxo-2-((2-oxopropyl)amino)ethyl)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F163)

50

[0894]

55

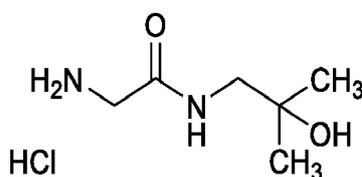


[0895] Isolated as a pale brown gum (0.112 g, 49%).

[0896] The following compounds were prepared in like manner to the procedure outlined in **Example 21**:

15 **2-Amino-N-(2-hydroxy-2-methylpropyl)acetamide hydrochloride (C164)**

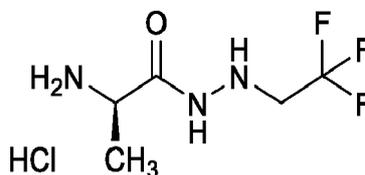
[0897]



25 [0898] Isolated as a colorless gum (0.45 g, 31%): $^1\text{H NMR}$ (400 MHz, $\text{DMSO-}d_6$) δ 8.56 (br s, 2H), 8.16 (br s, 3H), 3.61 - 3.15 (m, 2H), 3.09-3.07 (m, 2H), 1.53 (s, 3H), 1.07 (s, 3H); ESIMS m/z 147.35 ($[\text{M}+\text{H}]^+$).

(R)-2-Amino-N'-(2,2,2-trifluoroethyl)propanehydrazide hydrochloride (C165)

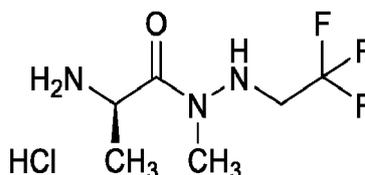
30 [0899]



40 [0900] Isolated as an off-white solid (0.15 g, 68%): $^1\text{H NMR}$ (400 MHz, $\text{DMSO-}d_6$) δ 10.06 (s, 1H), 8.30 (br s, 3H), 3.76 - 3.72 (m, 1H), 3.56 (s, 1H), 3.48 - 3.38 (m, 2H), 1.34 (d, $J = 6.8$ Hz, 3H); IR (thin film) 3425, 1683, 1145 cm^{-1} ; ESIMS m/z 186.30 ($[\text{M}+\text{H}]^+$).

(R)-2-Amino-N-methyl-N'-(2,2,2-trifluoroethyl)propanehydrazide hydrochloride (C166)

45 [0901]

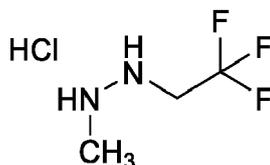


55 [0902] Isolated as a pale yellow solid (0.50 g, 91%): $^1\text{H NMR}$ (300 MHz, $\text{DMSO-}d_6$) δ 8.26 (br s, 3H), 6.08 (br s, 1H), 4.36 - 4.28 (m, 1H), 3.68-3.64 (m, 2H), 3.03 (s, 3H), 1.34 (d, $J = 6.9$ Hz, 3H); IR (thin film) 3452, 1667, 764 cm^{-1} ; ESIMS m/z 200.30 ($[\text{M}+\text{H}]^+$).

1-Methyl-2-(2,2,2-trifluoroethyl)hydrazine hydrochloride (C167)

[0903]

5



10

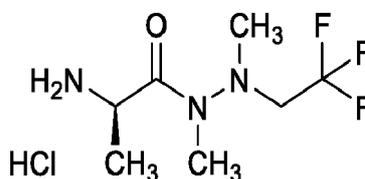
[0904] Isolated as an off white solid (0.70 g, 97%): $^1\text{H NMR}$ (300 MHz, $\text{DMSO-}d_6$) δ 10.82 (br s, 2H), 6.68 (br s, 1H), 3.90 - 3.74 (m, 2H), 2.66 (s, 3H); ESIMS m/z 129.10 ($[\text{M}+\text{H}]^+$).

15

(R)-2-Amino-*N,N'*-dimethyl-*N'*-(2,2,2-trifluoroethyl)propanehydrazide hydrochloride (C168)

[0905]

20



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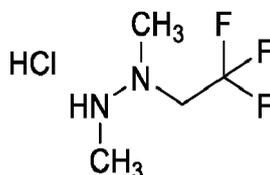
[0906] Isolated as an off-white solid (0.30 g, 75%): $^1\text{H NMR}$ (300 MHz, $\text{DMSO-}d_6$) δ 8.17 (br s, 3H), 4.14 - 4.07 (m, 1H), 3.71 - 3.56 (m, 2H), 2.92 (s, 3H), 2.69 (s, 3H), 1.36 (d, $J = 7.2$ Hz, 3H); ESIMS m/z 214.00 ($[\text{M}+\text{H}]^+$).

1,2-Dimethyl-1-(2,2,2-trifluoroethyl)hydrazine hydrochloride (C169)

30

[0907]

35



40

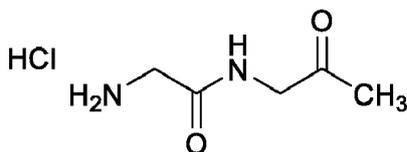
[0908] Isolated as an off-white solid (0.50 g, 68%): $^1\text{H NMR}$ (300 MHz, $\text{DMSO-}d_6$) δ 10.90 (s, 2H), 3.86 - 3.77 (m, 2H), 2.78 (s, 3H), 2.59 (m, 3H); IR (thin film) 2464, 1634, 790 cm^{-1} .

2-Amino-*N*-(2-oxopropyl)acetamide hydrochloride (C170)

45

[0909]

50



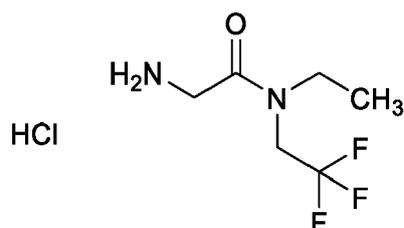
55

[0910] Isolated as a brown gum (0.40 g, 60%): $^1\text{H NMR}$ (400 MHz, $\text{DMSO-}d_6$) δ 8.72 (br s, 1H), 8.20 (br s, 3H), 4.05 - 4.03 (m, 2H), 3.61 - 3.60 (m, 2H), 2.10 (s, 3H); IR (thin film) 3421, 1261 cm^{-1} .

2-Amino-*N*-ethyl-*N'*-(2,2,2-trifluoroethyl)acetamide hydrochloride (C171)

[0911]

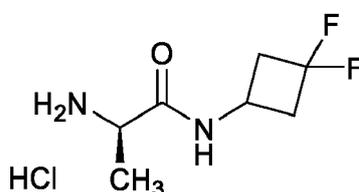
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10 **[0912]** Isolated as a pink solid (2.33 g, 93%): mp 158-162 °C: ¹H NMR (400 MHz, DMSO-*d*₆) δ 8.30 (s, 3H), minor rotamer 4.35 (q, *J* = 9.2 Hz, 2H), major rotamer 4.23 (q, *J* = 9.5 Hz, 2H), minor rotamer 3.98 (s, 2H), 3.43 (d, *J* = 7.0 Hz, 2H), 2.53 (m, 2H), minor rotamer 1.17 (m, 3H), minor rotamer 1.09 (m, 3H); ¹⁹F NMR (376 MHz, DMSO-*d*₆) δ major rotamer -68.20, minor rotamer -69.03; IR (thin film) 1665, 1156, 1109 cm⁻¹.

15 **(R)-2-Amino-N-(3,3-difluorocyclobutyl)propanamide hydrochloride (C172)**

[0913]

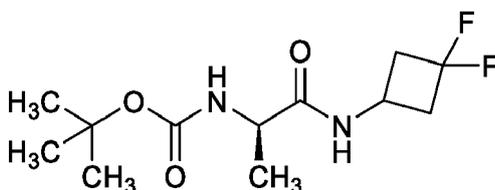


25 **[0914]** Isolated and carried on without further purification as a brown viscous oil: ¹⁹F NMR (376 MHz, Methanol-*d*₄) δ -85.81 (d, *J* = 199.0 Hz), -99.35 (d, *J* = 199.1 Hz); IR (thin film) 1669, 1299 cm⁻¹.

[0915] The following compound was prepared in like manner to the procedure outlined in **Example 22**:

30 **tert-Butyl (R)-1-((3,3-difluorocyclobutyl)amino)-1-oxopropan-2-yl)carbamate (C173)**

[0916]



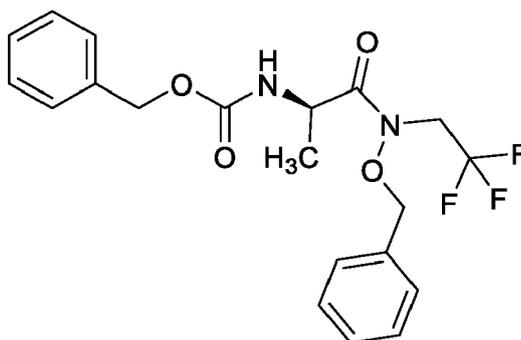
40 **[0917]** Isolated as a white solid (1.57 g, 51%): mp 149-153 °C; ¹H NMR (400 MHz, CDCl₃) 6.75 (br s, 1H), 4.96 (br s, 1H), 4.30 - 4.19 (m, 1H), 4.18 - 4.07 (m, 1H), 3.04 - 2.94 (m, 2H), 2.54 - 2.39 (m, 2H), 1.45 (s, 9H), 1.34 (d, *J* = 7.1 Hz, 3H); ¹⁹F NMR (376 MHz, Methanol-*d*₄) δ -84.89 (d, *J* = 198.9 Hz), -97.22 (d, *J* = 199.1 Hz); IR (thin film) 1680, 1652, 1522, 1157 cm⁻¹; ESIMS *m/z* 222 ([M-C₄H₉]⁺).

[0918] The following compound was prepared in like manner to the procedure outlined in **Example 30**:

50 **Benzyl (R)-1-((benzyloxy)(2,2,2-trifluoroethyl)amino)-1-oxopropan-2-yl)carbamate (C174)**

[0919]

55

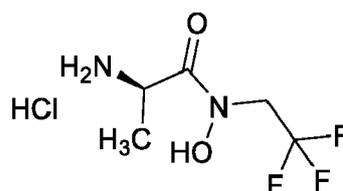


15 **[0920]** Isolated as a colorless oil (0.350 g, 40%): $^1\text{H NMR}$ (300 MHz, CDCl_3) δ 7.39 (m, 10H), 5.42 (d, $J = 8.6$ Hz, 1H), 5.14 (m, 2H), 5.03 (s, 2H), 4.87 (m, 1H), 4.56 (m, 1H), 3.82 (dd, $J = 15.9, 8.0$ Hz, 1H), 1.36 (d, $J = 7.0$ Hz, 3H); IR (thin film) 1684, 1256, 1188, 1154, 696 cm^{-1} ; ESIMS m/z 411.2 ($[\text{M}+\text{H}]^+$).

[0921] The following compound was prepared in like manner to the procedure outlined in **Example 31**:

20 **(R)-2-Amino-N-hydroxy-N-(2,2,2-trifluoroethyl)propanamide hydrochloride (C175)**

[0922]

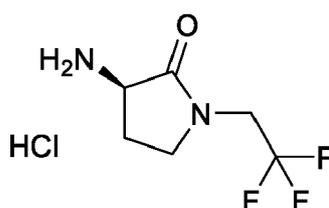


[0923] Isolated and carried on without further purification as a brown viscous oil: $^1\text{H NMR}$ (300 MHz, $\text{DMSO}-d_6$) δ 11.14 (s, 1H), 8.37 (s, 3H), 4.62 - 4.27 (m, 2H), 3.49 - 3.38 (m, 1H), 1.43 (d, $J = 6.9$ Hz, 3H); ESIMS m/z 184.9 ($[\text{M}-\text{H}]^-$).

[0924] The following compound was prepared in like manner to the procedure outlined in **Example 34**:

35 **(R)-3-Amino-1-(2,2,2-trifluoroethyl)pyrrolidin-2-one hydrochloride (C176)**

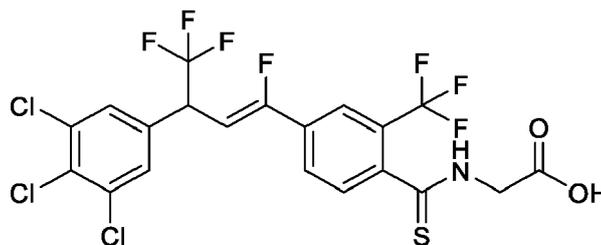
[0925]



[0926] Isolated as a white solid (0.320 g, 59%): $^1\text{H NMR}$ (300 MHz, $\text{DMSO}-d_6$) δ 8.55 (s, 3H), 4.16 (dddd, $J = 24.0, 14.3, 9.7, 5.1$ Hz, 3H), 3.55-3.47 (m, 2H), 2.42 (ddd, $J = 13.0, 6.5, 3.4$ Hz, 1H), 1.99 (dq, $J = 12.5, 9.5$ Hz, 1H).

50 **Example 35: Preparation of (Z)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)phenylcarbonothioylglycine (C177)**

[0927]



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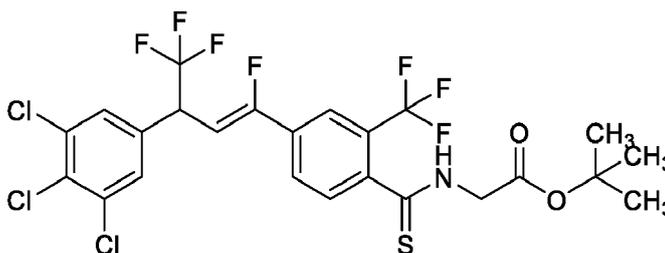
10 **[0928]** To tert-butyl (Z)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)phenylcarbonothioylglycinate (**C178**) (0.236 g, 0.378 mmol) in dichloromethane (5 mL) was added trifluoroacetic acid (0.116 mL, 1.51 mmol). After stirring 20 hours at room temperature and 1 hour at reflux, the mixture was cooled to room temperature and additional trifluoroacetic acid (0.233 mL, 3.02 mmol) was added. After 30 minutes the mixture was concentrated under reduced pressure. Purification of the residue by column chromatography (SiO₂, eluting with 0-100% ethyl acetate gradient in hexanes) afforded the title compound as a yellow foam (0.066 g, 29%): ¹H NMR (400 MHz, CDCl₃) δ 9.06 (s, 1H), 7.84 (s, 1H), 7.82 (d, *J* = 1.7 Hz, 1H), 7.74 (dd, *J* = 8.3, 1.7 Hz, 1H), 7.63 (d, *J* = 8.1 Hz, 1H), 7.43 (s, 2H), 5.81 (dd, *J* = 32.6, 9.6 Hz, 1H), 4.65 - 4.56 (m, 3H); ¹⁹F NMR (376 MHz, CDCl₃) δ -58.34, -69.35, -111.88; ESIMS *m/z* 567 [(M-H)].

15

20 **Example 36: Preparation of tert-butyl (Z)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)phenylcarbonothioylglycinate (C178)**

25 **[0929]**

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30

35 **[0930]** A 5 mL microwave reaction vial was charged with 2,4-bis(4-methoxyphenyl)-1,3,2,4-dithiadiphosphetane 2,4-disulfide (0.150 g, 0.369 mmol), tert-butyl (Z)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)phenylcarbonothioylglycinate (**C178**) (0.300 g, 0.493 mmol) and dioxane (2.0 mL). The mixture, under a nitrogen atmosphere, was placed in a microwave reactor and heated to 60 °C for 10 hours and 90 °C for 6 hours. After cooling the mixture was then treated with additional 4-bis(4-methoxyphenyl)-1,3,2,4-dithiadiphosphetane 2,4-disulfide (0.125 g, 0.309 mmol), placed in a microwave reactor and heated to 95 °C for 4 hours. After cooling, the crude mixture was diluted with ethyl acetate and diethyl ether, then washed with saturated sodium bicarbonate and brine. The organic phase was dried with sodium sulfate, concentrated, and purified by column chromatography (SiO₂, eluting with a 0-100% gradient of ethyl acetate in hexanes) to afford the title compound as a yellow glass (0.230 g, 67%): ¹H NMR (400 MHz, CDCl₃) δ 7.95 (d, *J* = 4.5 Hz, 1H), 7.81 (d, *J* = 1.7 Hz, 1H), 7.73 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.62 (d, *J* = 8.2 Hz, 1H), 7.44 (s, 2H), 5.80 (dd, *J* = 32.6, 9.6 Hz, 1H), 4.61 (p, *J* = 8.9 Hz, 1H), 4.40 (d, *J* = 4.4 Hz, 2H), 1.51 (s, 9H); ¹⁹F NMR (376 MHz, CDCl₃) δ -58.37, -69.37, -111.87; ESIMS *m/z* 623 [(M-H)].

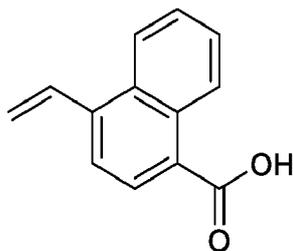
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Example 37 : Preparation of 4-vinyl-1-naphthoic acid (C179)

50 **[0931]**

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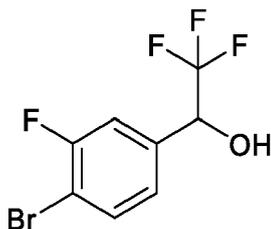
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[0932] To a stirred solution of 4-bromo-1-naphthoic acid (2.50 g, 9.98 mmol) in dimethyl sulfoxide (32.3 mL) was added potassium vinyltrifluoroborate (1.33 g, 9.96 mmol), potassium carbonate (3.85 g, 27.9 mmol) and [1,1'-bis(diphenylphosphino)ferrocene]-dichloropalladium(II) (0.364 g, 0.498 mmol). The reaction mixture was heated in an 80 °C bath for 18 hours. The reaction mixture was cooled to ambient temperature and diluted with 1 N aqueous hydrochloric acid solution (150 mL) and water (150 mL). The mixture was extracted with ethyl acetate. The organic layer was washed with brine, dried over sodium sulfate and concentrated under reduced pressure to afford crude compound. The crude compound was purified by column chromatography (SiO₂, eluting with 0-100% ethyl acetate gradient in hexanes) to afford the title compound as a bright yellow solid (1.36 g, 62%): mp 147 - 155 °C; ¹H NMR (300 MHz, acetone-*d*₆) δ 11.42 (s, 1H), 9.16 - 9.03 (m, 1H), 8.31 - 8.25 (m, 2H), 7.77 (dd, *J* = 7.7, 0.7 Hz, 1H), 7.70 - 7.57 (m, 3H), 5.95 (dd, *J* = 17.2, 1.5 Hz, 1H), 5.62 (dd, *J* = 11.1, 1.5 Hz, 1H); ESIMS *m/z* 197.1 ([M-H]⁻).

Example 38: Preparation of 1-(4-Bromo-3-fluorophenyl)-2,2,2-trifluoroethan-1-ol (C180)

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[0933]



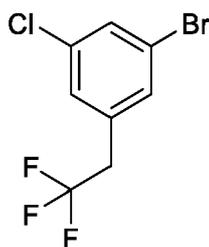
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[0934] To a stirred solution of lithium acetate (0.016 g, 0.25 mmol) in dimethylformamide (2 mL) cooled in an ice bath were added 4-bromo-3-fluorobenzaldehyde (1.00 g, 4.93 mmol) and trimethyl(trifluoromethyl)silane (0.841 g, 5.91 mmol). The mixture was allowed to warm to room temperature. After 18 hours the mixture was portioned between diethyl ether and saturated aqueous ammonium chloride. The organic layer was washed brine (2x), dried over sodium sulfate and concentrated to afford a light brown oil. The crude intermediate was taken up in tetrahydrofuran (20 mL) and treated with 1N aqueous hydrochloric acid solution (5 mL). After stirring for 18 hours at room temperature the reaction mixture was concentrated and the residue partitioned between diethyl ether and aqueous saturated sodium bicarbonate. The organic layer was dried over magnesium sulfate and concentrated under reduced pressure to afford crude compound. Purification by column chromatography (SiO₂, eluting with 10% ethyl acetate in hexanes) afforded the title compound as a pale oil (0.800 g, 60%): ¹H NMR (400 MHz, CDCl₃) δ 7.60 (dd, *J* = 8.3, 6.9 Hz, 1H), 7.30 (dd, *J* = 9.1, 2.0 Hz, 1H), 7.15 (dq, *J* = 8.3, 0.8 Hz, 1H), 5.02 (dd, *J* = 6.5, 4.5 Hz, 1H), 2.65 (d, *J* = 4.4 Hz, 1H).

Example 39: Preparation 1-Bromo-3-chloro-5-(2,2,2-trifluoroethyl)benzene (C181)

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[0935]

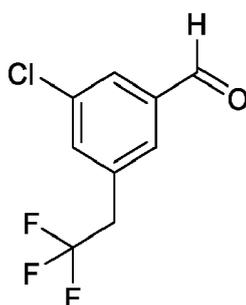


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[0936] (3-Bromo-5-chlorophenyl)boronic acid (4 g, 17.00 mmol) was added to a flask with 2,2,2-trifluoroethan-1-amine hydrochloride (9.22 g, 68.0 mmol), sodium nitrite (5.87 g, 85 mmol), and ammonium chloride (3.64 g, 68.0 mmol). The reaction was heated to 100 °C overnight. At this point, the solvent was removed, and the residue was dissolved in dimethyl sulfoxide (20 mL). Potassium fluoride (1.976 g, 34.0 mmol) was added, and the mixture was heated to 100 °C for 2 hours. After cooling, the mixture was diluted with water and extracted with dichloromethane. After extraction and solvent removal, the residue was purified by silica gel chromatography eluting with hexanes. The title compound was recovered as a clear, colorless oil that crystallized upon standing (3.00 g, 64.5%): ¹H NMR (400 MHz, CDCl₃) δ 7.52 (t, *J* = 1.8 Hz, 1H), 7.35 (s, 1H), 7.24 (s, 1H), 3.32 (q, *J* = 10.5 Hz, 2H); ¹⁹F NMR (376 MHz, CDCl₃) δ -65.64; ESIMS *m/z* 274.0 ([M+H]⁺).

Example 40: Preparation of 3-chloro-5-(2,2,2-trifluoroethyl)benzaldehyde (C182)

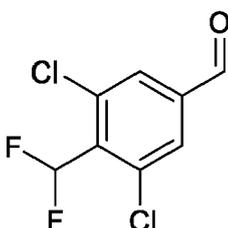
[0937]



[0938] 1-Bromo-3-chloro-5-(2,2,2-trifluoroethyl)benzene (**C181**) (2 g, 7.31 mmol) was dissolved in tetrahydrofuran at 0 °C, and isopropylmagnesium chloride-lithium chloride complex (1.3 M solution in tetrahydrofuran; 6.75 mL, 8.78 mmol) was added dropwise. The reaction mixture was stirred for 4 hours with warming to room temperature, and *N,N*-dimethylformamide (0.680 mL, 8.78 mmol) was added dropwise. The reaction mixture was stirred for 30 minutes, then 1 N aqueous hydrochloric acid was added, and the mixture was extracted with diethyl ether. The combined organic layers were washed with brine, dried over sodium sulfate and concentrated to a yellow oil. Purification by silica gel chromatography eluting 0-20% acetone in hexanes gave the title compound as a pale yellow oil (1.33 g, 82%): ¹H NMR (400 MHz, CDCl₃) δ 9.98 (s, 1H), 7.90 - 7.78 (m, 1H), 7.71 (s, 1H), 7.56 (s, 1H), 3.45 (q, *J* = 10.5 Hz, 2H); ¹⁹F NMR (376 MHz, CDCl₃) δ -65.67; IR (thin film) 1704 cm⁻¹; EIMS *m/z* 221 ([M]⁺).

Example 41: Preparation of 3,5-dichloro-4-(difluoromethyl)benzaldehyde (C183)

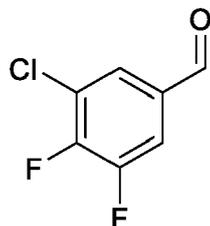
[0939]



[0940] To a stirred solution of methyl 3,5-dichloro-4-(difluoromethyl)benzoate (**C189**) (5.00 g, 19.6 mmol) in methylene chloride (20 mL) cooled in a -78 °C bath was added dropwise diisobutylaluminum hydride (1 M solution in tetrahydrofuran; 39.2 mL, 39.2 mmol). After 2 hours, the reaction mixture was treated with cold water and extracted with methylene chloride. The organic layer was washed with brine, dried over sodium sulfate, and concentrated under reduced pressure to afford crude compound. Purification by column chromatography (SiO₂, 100-200 mesh, eluting with 5% ethyl acetate in petroleum ether) afforded the title compound as a pale brown solid (3.0 g, 66%): ¹H NMR (400 MHz, DMSO-*d*₆) δ 10.00 (s, 1H), 8.05 (s, 2H), 7.52 (t, *J* = 52.0 Hz, 1H); IR (thin film) 1709, 1362, 1057 cm⁻¹; ESIMS *m/z* 224.0 ([M]⁺).

Example 42: Preparation of 3-chloro-4,5-difluorobenzaldehyde (C184)

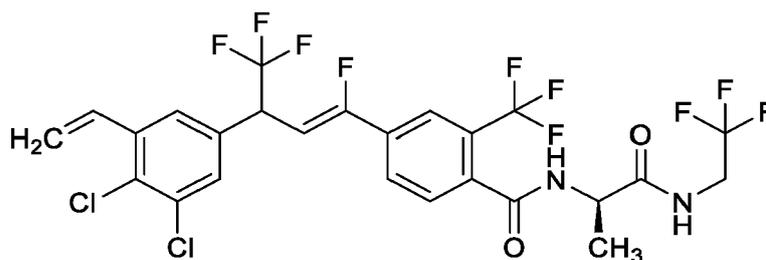
[0941]



[0942] To a stirred solution of methyl (3-chloro-4,5-difluorophenyl)methanol (4.00 g, 22.4 mmol) in methylene chloride (150 mL) was added manganese dioxide (15.0 g, 179 mmol). After stirring for 12 hours at room temperature, the reaction mixture was filtered through Celite®. The filtrate was concentrated under reduced pressure to afford the title compound as a colorless oil (3.5 g, 86%): ¹H NMR (300 MHz, CDCl₃) δ 9.89 (s, 1H), 7.77 - 7.74 (m, 1H), 7.66 - 7.61 (m, 1H); IR (thin film) 3302, 1709, 750 cm⁻¹; ESIMS *m/z* 176.10 ([M]⁺).

Example 43: Preparation of 4-((Z)-3-(3,4-dichloro-5-vinylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F186)

[0943]



[0944] Tetrakis(triphenylphosphine)palladium(0) (26.7 mg, 0.023 mmol) was added to a solution of 4-((Z)-3-(3-bromo-4,5-dichlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (**F185**) (0.08 g, 0.12 mmol) in toluene (1.1 mL) at room temperature. The reaction mixture was degassed by purging with nitrogen (3 x 10 minutes). Tributyl vinyl stannane (0.11 g, 0.35 mmol) was added to the reaction mixture. The reaction mixture was again degassed by purging with nitrogen (3 x 10 minutes) and stirred at 110 °C for 12 hours. The reaction mixture was quenched with water and then extracted with ethyl acetate. The organic layer was dried over sodium sulfate, filtered, and concentrated. Purification by flash column chromatography using 30% ethyl acetate in hexanes provided the title compound as a pale yellow gum (0.0231 g, 30%).

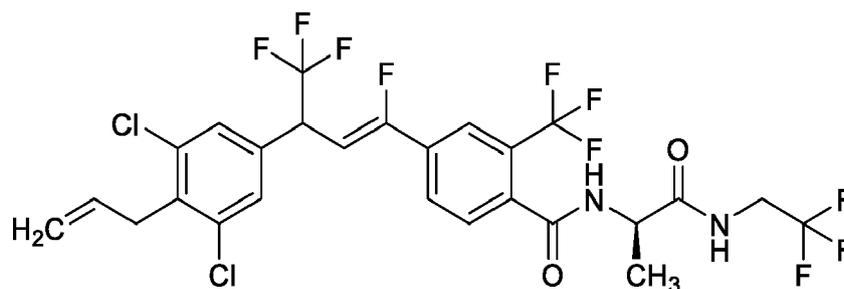
[0945] The following compounds were prepared in like manner to the procedure outlined in **Example 43**:

4-((Z)-3-(4-Allyl-3,5-dichlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F146)

[0946]

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10



[0947] Isolated as a pale yellow gum (0.115 g, 35%).

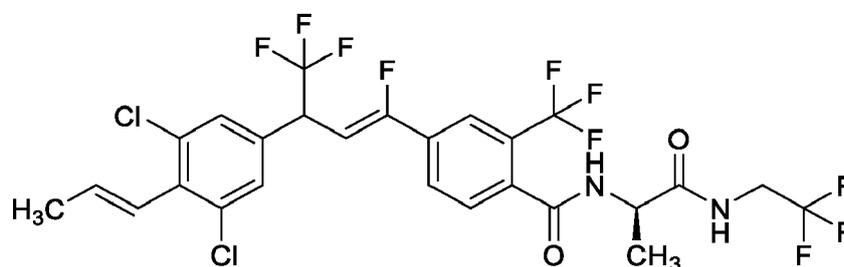
15

4-((Z)-3-(3,5-Dichloro-4-((E)-prop-1-en-1-yl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F147)

[0948]

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[0949] Isolated as a pale yellow solid (0.135 g, 42%).

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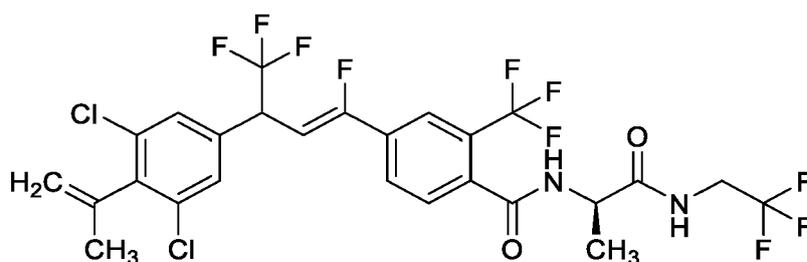
4-((Z)-3-(3,5-Dichloro-4-(prop-1-en-2-yl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F148)

[0950]

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[0951] Isolated as a pale yellow gum (0.181 g, 57%).

50

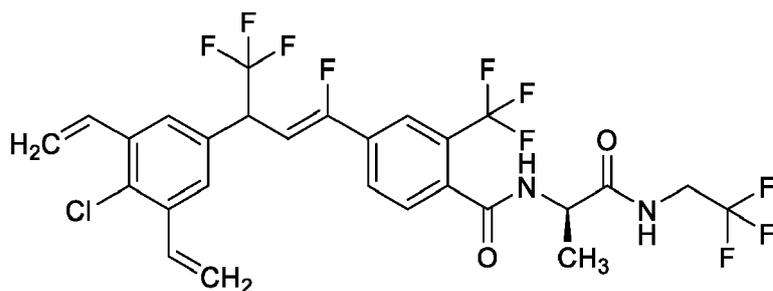
4-((Z)-3-(4-Chloro-3,5-divinylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F184)

[0952]

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[0953] Isolated as a yellow oil 0.0444 g, 90%.

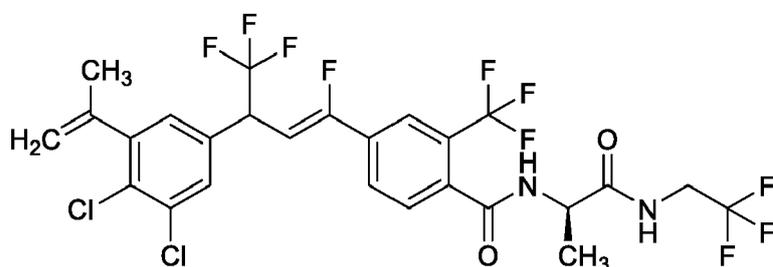
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4-((Z)-3-(3,4-Dichloro-5-(prop-1-en-2-yl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F187)

[0954]

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[0955] Isolated as a yellow oil (0.052 g, 51%).

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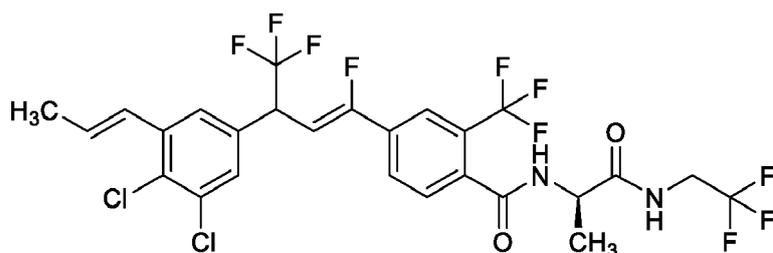
4-((Z)-3-(3,4-Dichloro-5-((E)-prop-1-en-1-yl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F188)

[0956]

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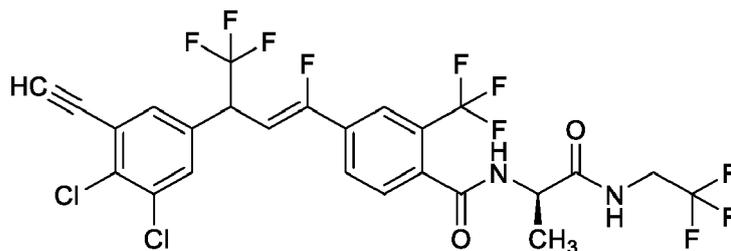
[0957] Isolated as a yellow gum (0.092 g, 65%).

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4-((Z)-3-(3,4-Dichloro-5-ethynylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F189)

[0958]

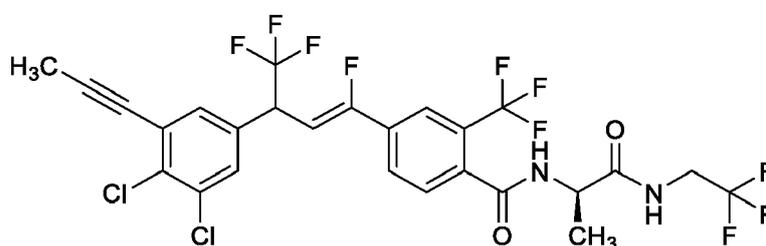
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[0959] Isolated as a white gum (0.016 g, 28%).

4-((Z)-3-(3,4-Dichloro-5-(prop-1-yn-1-yl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F190)

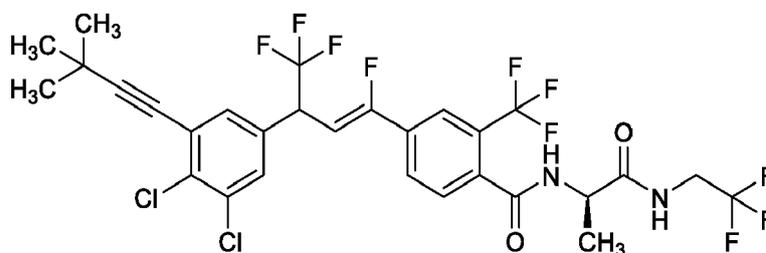
[0960]



[0961] Isolated as a yellow gum (0.017 g, 29%).

4-((Z)-3-(3,4-Dichloro-5-(3,3-dimethylbut-1-yn-1-yl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F191)

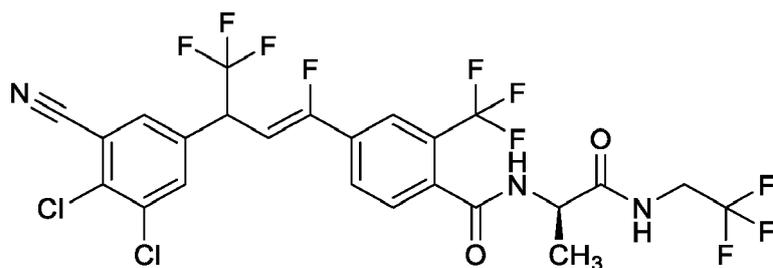
[0962]



[0963] Isolated as a yellow gum (0.010 g, 19%).

4-((Z)-3-(3,4-Dichloro-5-cyanophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F192)

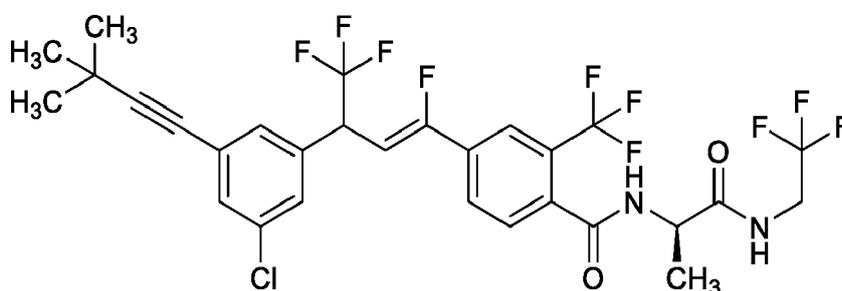
[0964]



[0965] Isolated as a colorless oil (0.035 g, 52%).

4-((Z)-3-(3-Chloro-5-(3,3-dimethylbut-1-yn-1-yl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F212)

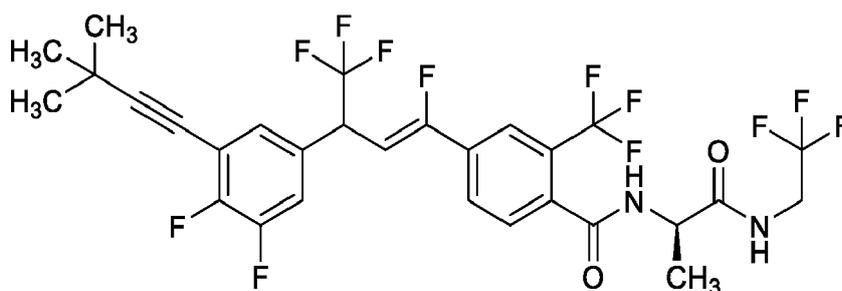
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[0966]



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[0967] Isolated as a yellow gum (0.083 g, 87%).

4-((Z)-3-(3-(3,3-Dimethylbut-1-yn-1-yl)-4,5-difluorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F216)

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[0968]

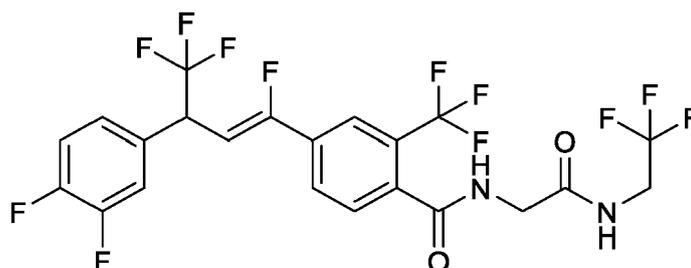


[0969] Isolated as an orange oil (0.038 g, 59%).

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Example 44: Preparation of (Z)-4-(3-(3,4-difluorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-2-(trifluoromethyl)benzamide (F215)

[0970]

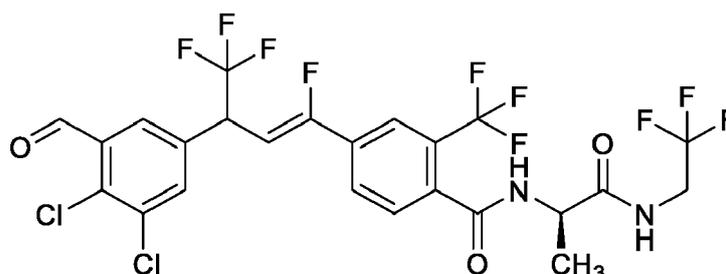
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[0971] Dichlorobis(triphenylphosphine)palladium(II) (18.93 mg, 0.027 mmol) was added to a solution of 4-((Z)-3-(3-bromo-4,5-dichlorophenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (**F185**) (0.087 g, 0.135 mmol) in toluene (0.674 mL) at room temperature. The reaction mixture was degassed by purging with nitrogen (3 x 10 minutes). 2-(Tributylstannyl)pyridine (99 mg, 0.270 mmol) was added into the reaction mixture. The reaction mixture was again degassed by purging with nitrogen (3 x 10 minutes) and stirred at 110 °C for 4 hours. The reaction mixture was loaded directly onto a column with dichloromethane and methanol. Purification by flash column chromatography provided the title compound as an orange oil (0.011 g, 15%).

Example 45 Preparation of 4-((Z)-3-(3,4-dichloro-5-formylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (C185)

[0972]



[0973] Osmium tetroxide (2.5% in tert-butanol; 48 mg, 0.005 mmol) was added to a solution of 4-((Z)-3-(3,4-dichloro-5-vinylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (**F186**) (0.06 g, 0.094 mmol) in tetrahydrofuran-water (2:1, 1.1 mL) at room temperature. The reaction mixture was stirred for 5 minutes. Sodium periodate (0.061 g, 0.282 mmol) was added to the reaction mixture. The reaction mixture was stirred at 20 °C for 12 hours. The reaction mixture was quenched with sodium bisulfate (100 mg) and then extracted with ethyl acetate (10 mL). The organic layer was dried over sodium sulfate, filtered, and concentrated. Purification by flash column chromatography using 40% ethyl acetate in hexanes provided the title compound as a pale yellow gum (0.050 g, 75%): ¹H NMR (400 MHz, CDCl₃) δ 10.47 (s, 1H), 7.87 (dd, J = 12.8, 1.9 Hz, 2H), 7.81 - 7.70 (m, 2H), 7.60 - 7.49 (m, 1H), 7.34 (d, J = 6.5 Hz, 1H), 6.83 (d, J = 7.6 Hz, 1H), 5.99 - 5.79 (m, 1H), 4.92 - 4.78 (m, 1H), 4.71 (p, J = 9.0 Hz, 1H), 3.85 (dddd, J = 15.2, 12.9, 7.6, 3.5 Hz, 2H), 1.51 (d, J = 7.0 Hz, 3H); ¹⁹F NMR (376 MHz, CDCl₃) δ -58.18, -68.49, -72.97, -110.05; ESIMS m/z 639 ([M-H]⁻).

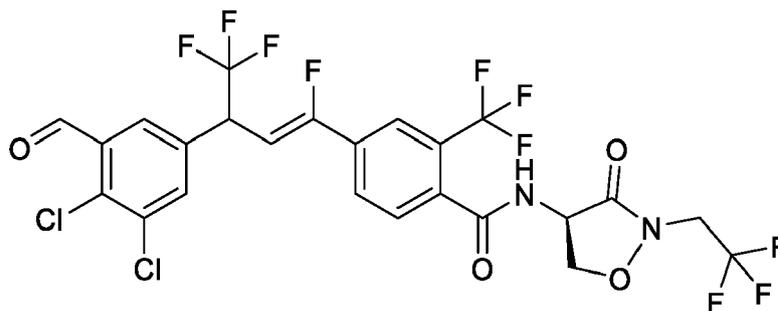
[0974] The following compounds were prepared in like manner to the procedure outlined in **Example 45**:

4-((Z)-3-(3,4-Dichloro-5-formylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-3-oxo-2-(2,2,2-trifluoroethyl)isoxazolidin-4-yl)-2-(trifluoromethyl)benzamide (C186)

[0975]

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[0976] Isolated as a white gum (0.074 g, 88%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 10.47 (s, 1H), 7.88 (dd, $J = 5.8, 1.9$ Hz, 2H), 7.81 - 7.72 (m, 2H), 7.63 (d, $J = 8.1$ Hz, 1H), 6.70 - 6.57 (m, 1H), 6.01 - 5.80 (m, 1H), 5.08 - 4.86 (m, 2H), 4.79 - 4.61 (m, $J = 9.3$ Hz, 1H), 4.30 - 3.98 (m, 3H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -59.08 (d, $J = 2.0$ Hz), -68.49, -70.35 (d, $J = 3.9$ Hz), -112.33; ESIMS m/z 655 ($[\text{M}-\text{H}]^-$).

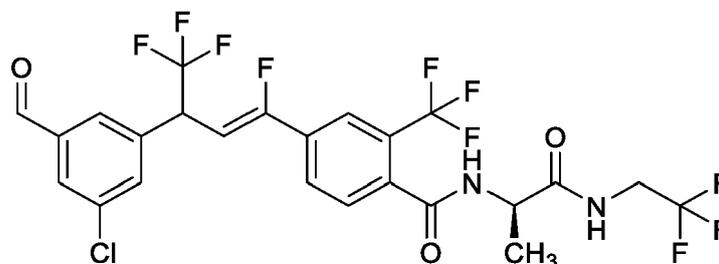
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4-((Z)-3-(3-Chloro-5-formylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (C187)

[0977]

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[0978] Isolated as an orange solid (0.800 g, 54%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 10.00 (d, $J = 0.6$ Hz, 1H), 7.91 - 7.86 (m, 3H), 7.81 (d, $J = 5.6$ Hz, 1H), 7.66 (s, 1H), 7.57 (d, $J = 8.1$ Hz, 1H), 7.02 (t, $J = 6.4$ Hz, 1H), 6.59 (d, $J = 7.6$ Hz, 1H), 5.92 (dd, $J = 32.6, 9.6$ Hz, 1H), 4.89 - 4.66 (m, 2H), 3.88 (ddd, $J = 19.5, 9.2, 6.5$ Hz, 2H), 1.51 (d, $J = 7.0$ Hz, 3H); $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -59.28, -68.39, -70.36, -110.05; ESIMS m/z 606 ($[\text{M}-\text{H}]^-$).

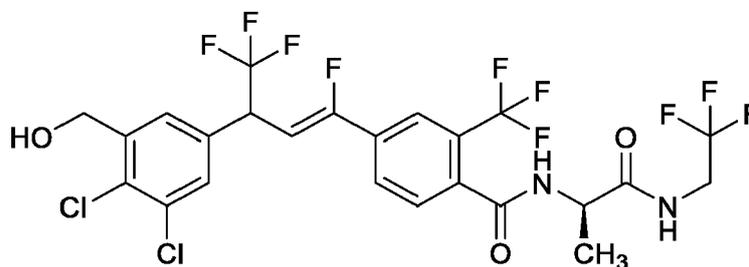
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Example 46: Preparation of 4-((Z)-3-(3,4-dichloro-5-(hydroxymethyl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (C188)

[0979]

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[0980] Sodium borohydride (18 mg, 0.468 mmol) was added to a solution of 4-((Z)-3-(3,4-dichloro-5-(hydroxymethyl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (**C185**) (0.2 g, 0.312 mmol) in tetrahydrofuran (1.5 mL) at room temperature. The reaction mixture was stirred at 20 °C for 12 hours. The reaction mixture was quenched with water (5 mL) and then extracted with ethyl acetate (50 mL). The organic layer was dried over sodium sulfate, filtered, and concentrated. Purification by flash column chromatography using 35% ethyl acetate in hexanes provided the title compound as a pale yellow gum (0.110 g, 52%): $^1\text{H NMR}$ (400

MHz, CDCl₃) δ 7.80 (t, *J* = 2.0 Hz, 1H), 7.72 (d, *J* = 6.7 Hz, 1H), 7.67 (dt, *J* = 8.1, 1.7 Hz, 1H), 7.52 (d, *J* = 2.0 Hz, 1H), 7.47 - 7.41 (m, 2H), 7.31 (d, *J* = 7.2 Hz, 1H), 6.03 - 5.70 (m, 1H), 4.87 (p, *J* = 7.1 Hz, 1H), 4.74 (s, 2H), 4.64 (p, *J* = 9.0 Hz, 1H), 3.90 - 3.66 (m, 2H), 3.38 (s, 1H), 1.48 (dd, *J* = 7.0, 2.6 Hz, 3H); ¹⁹F NMR (376 MHz, CDCl₃) δ -58.48, -67.37, -72.66, -110.57; ESIMS *m/z* 641 ([M-H]⁻).

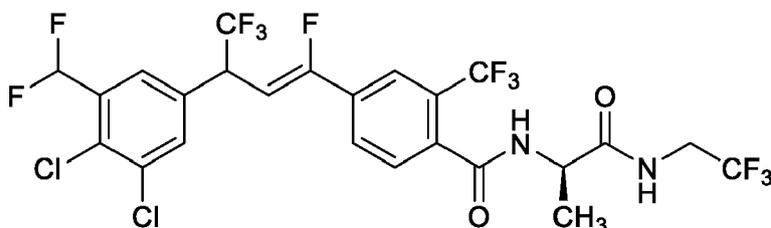
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Example 47: Preparation of 4-((Z)-3-(3,4-dichloro-5-(difluoromethyl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F195)

[0981]

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[0982] Bis(2-methoxyethyl)aminosulfur trifluoride (35 mg, 0.16 mmol) was added to a solution of 4-((Z)-3-(3,4-dichloro-5-formylphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (C185) (0.05 g, 0.078 mmol) in dichloromethane (0.5 mL) at room temperature. One drop of methanol was added and the reaction mixture was stirred at 20 °C for 12 hours. The reaction mixture was quenched with water (5 mL) and then extracted with ethyl acetate (15 mL). The organic layer was dried over sodium sulfate, filtered, and concentrated. Purification by flash column chromatography using 35% ethyl acetate in hexanes provided the title compound as a white wax (0.028 g, 51%).

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[0983] The following compounds were prepared in like manner to the procedure outlined in **Example 47**:

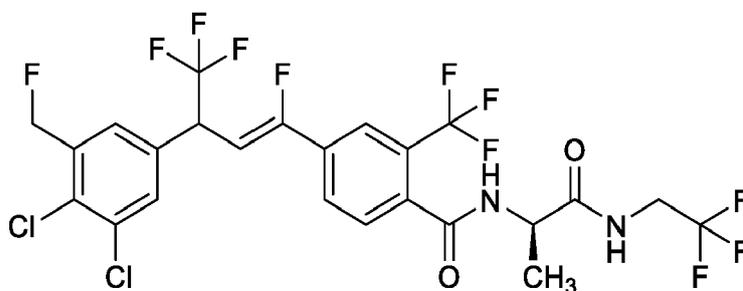
4-((Z)-3-(3,4-Dichloro-5-(fluoromethyl)phenyl)-1,4,4,4-tetrafluoro-but-1-en-1-yl)-N-((R)-1-oxo-1-(2,2,2-trifluoroethyl)amino)propan-2-yl]-2-(trifluoromethyl)benzamide (F194)

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[0984]

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[0985] Isolated as a colorless gum (0.0931 g, 67%).

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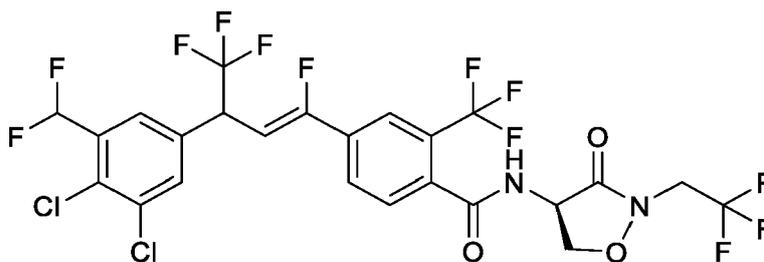
4-((Z)-3-(3,4-Dichloro-5-(difluoromethyl)phenyl)-1,4,4,4-tetrafluoro-but-1-enyl)-N-((R)-3-oxo-2-(2,2,2-trifluoroethyl)isoxazolidin-4-yl)-2-(trifluoromethyl)benzamide (F199)

[0986]

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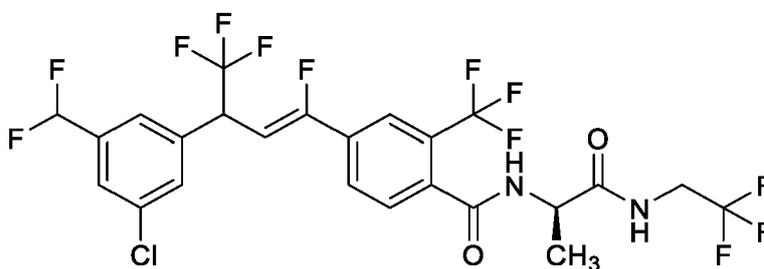
[0987] Isolated as a yellow gum (0.040 g, 53%).

4-((Z)-3-(3-Chloro-5-(difluoromethyl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F213)

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[0988]

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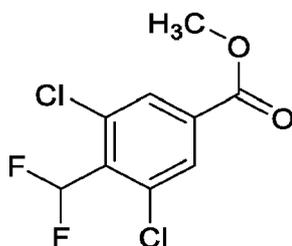
[0989] Isolated as an orange solid (0.062 g, 76%).

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Methyl 3,5-dichloro-4-(difluoromethyl)benzoate (C189)

[0990]

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[0991] Isolated as a pale yellow solid (0.70 g, 63%): $^1\text{H NMR}$ (300 MHz, $\text{DMSO-}d_6$) δ 8.02 (s, 2H), 7.50 (t, $J = 52.2$ Hz, 1H), 3.99 (s, 3H); ESIMS m/z 254.2 ($[\text{M}]^+$).

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Example 48: Preparation of 4-((Z)-3-(3-chloro-5-(2,2,2-trifluoroethoxy)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F207)

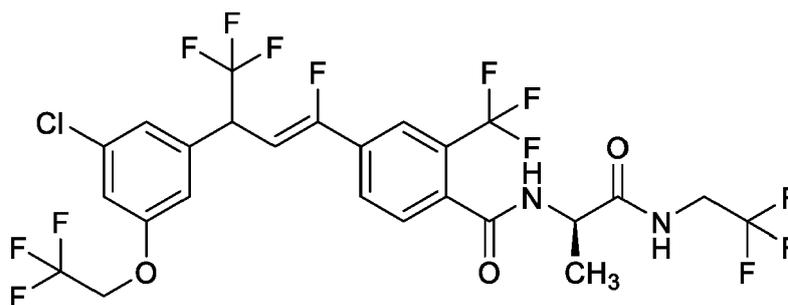
[0992]

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[0993] 4-((Z)-3-(3-Chloro-5-hydroxyphenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (**C163**) (0.070 g, 0.118 mmol) was dissolved in acetone (1.177 mL) and potassium carbonate (0.049 g, 0.353 mmol) and 2,2,2-trifluoroethyl trifluoromethanesulfonate (0.025 mL, 0.177 mmol) were added sequentially. The reaction mixture was stirred overnight. The solvent was removed. Purification of the residue on silica gel eluting with 0-30% acetone in hexanes provided the title compound as a clear colorless oil (0.016 g, 20.1%).

[0994] The following compounds were prepared in like manner to the procedure outlined in **Example 48**:

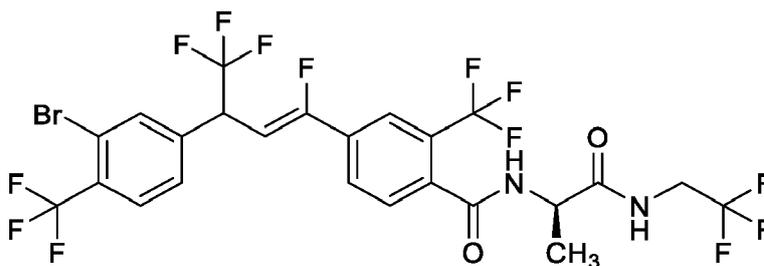
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4-((Z)-3-(3-Bromo-4-(trifluoromethyl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-((R)-1-oxo-1-((2,2,2-trifluoroethyl)amino)propan-2-yl)-2-(trifluoromethyl)benzamide (F208)

[0995]

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[0996] Isolated as an orange oil (0.107 g, 55.6%).

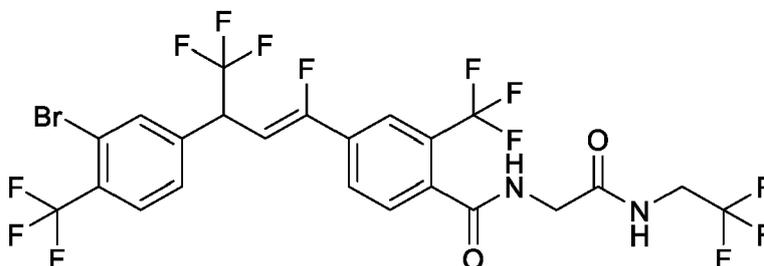
(Z)-4-(3-(3-Bromo-4-(trifluoromethyl)phenyl)-1,4,4,4-tetrafluorobut-1-en-1-yl)-N-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-2-(trifluoromethyl)benzamide (F209)

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[0997]

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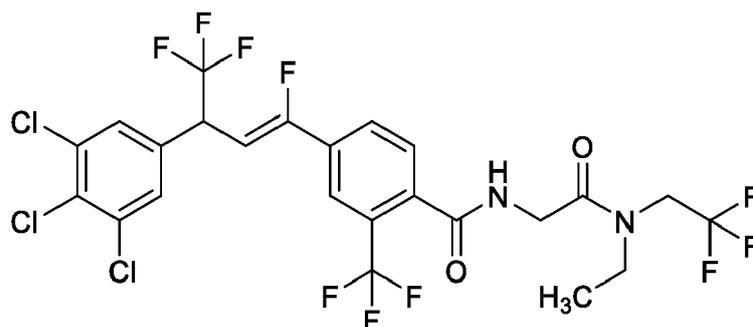


[0998] Isolated as an off-white foam (0.116 g, 55.4%).

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Example 49: Preparation of (Z)-N-(2-(ethyl(2,2,2-trifluoroethyl)amino)-2-oxoethyl)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F167)

[0999]

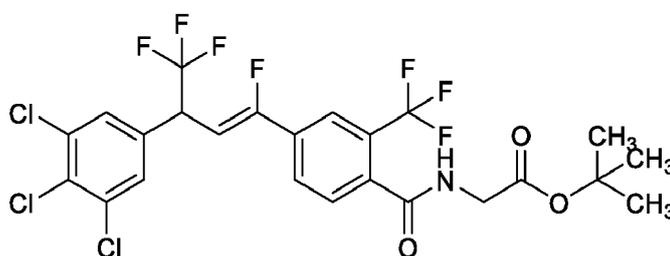


[1000] To a stirred solution of (Z)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (**C2**) (0.167 g, 0.34 mmol) in *N,N*-dimethylformamide (4 mL) were added (1-cyano-2-ethoxy-2-oxoethylidenedimethylamino)morpholino-carbenium hexafluorophosphate (0.170 g, 0.40 mmol) and *N*-methyl morpholine (0.10 mL, 0.92 mmol). After 15 minutes the reaction mixture was treated with 2-amino-*N*-ethyl-*N*-(2,2,2-trifluoroethyl)acetamide hydrochloride (**C171**) (0.104 g, 0.47 mmol) and was stirred for 20 hours at room temperature. The mixture was partitioned between diethyl ether and 5% aqueous sodium bisulfate. The organic layer was washed with 5% aqueous sodium bisulfate (2x), saturated aqueous sodium carbonate and brine, then dried over magnesium sulfate and concentrated under reduced pressure to afford crude compound. The crude compound was purified by column chromatography (SiO_2) to afford the title compound as a yellow/orange gum (0.127 g, 56%).

[1001] The following compound was prepared in like manner to the procedure outlined in **Example 49**:

tert-Butyl (Z)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzoyl)glycinate (C190**)**

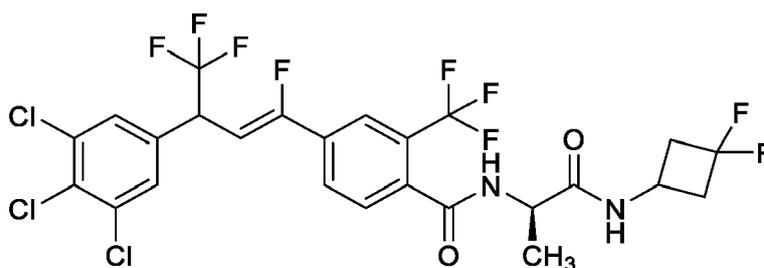
[1002]



[1003] Isolated as a brown foam (0.300 g, 42%): $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.85 (s, 1H), 7.80 - 7.73 (m, 1H), 7.64 (d, $J = 4.3$ Hz, 1H), 7.44 (s, 2H), 6.37 (t, $J = 5.0$ Hz, 1H), 5.83 (dd, $J = 32.5, 9.5$ Hz, 1H), 4.68 - 4.54 (m, 1H), 4.11 (d, $J = 4.9$ Hz, 2H), 1.50 (s, 9H); ESIMS m/z 610 ($[\text{M}+\text{H}]^+$).

Example 50: Preparation of *N*-((*R*)-1-((3,3-difluorocyclobutyl)amino)-1-oxopropan-2-yl)-4-((*Z*)-1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (F168**)**

[1004]

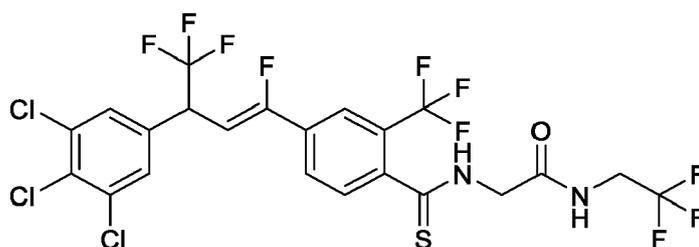


[1005] To a stirred solution of (Z)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)ben-

zoic acid (**C2**) (0.250 g, 0.50 mmol) and (*R*)-2-amino-*N*-(3,3-difluorocyclobutyl)propanamide hydrochloride (**C172**) (0.162 g, 0.75 mmol) in methylene chloride (5 mL) were added 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (0.114 g, 0.59 mmol), 4-dimethylaminopyridine (0.75 g, 0.67 mmol) and triethylamine (0.20 mL, 1.43 mmol). After 20 hours the reaction mixture was diluted with methylene chloride (20 mL) and washed with 5% aqueous sodium bisulfate (3x), saturated aqueous sodium carbonate (2x) and brine. The combined organic extracts were dried over magnesium sulfate and concentrated under reduced pressure to afford crude compound. The crude compound was purified by column chromatography (SiO₂, eluting with 0-45% ethyl acetate gradient in hexanes) to afford the title compound as a yellow oil (0.045 g, 14%).

Example 51: Preparation of (Z)-2-(4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)phenylthioamido)-*N*-(2,2,2-trifluoroethyl)acetamide (PF82)

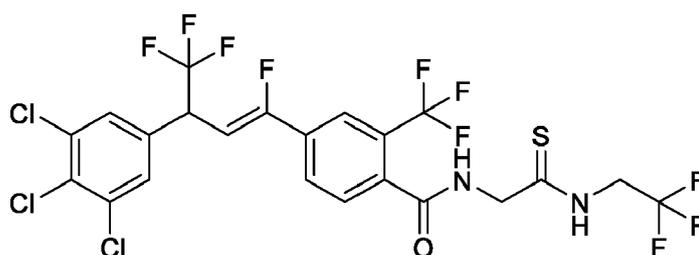
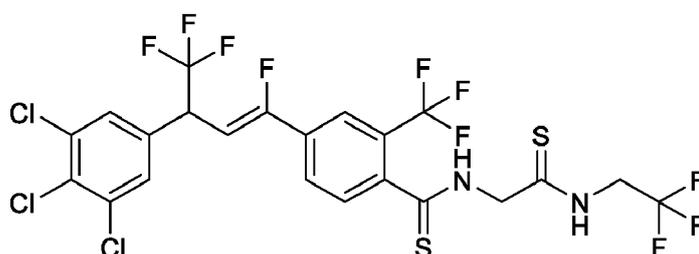
[1006]



To (Z)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)phenylcarbonothioylglycine (**C177**) (0.044 g, 0.077 mmol) and 2-(1*H*-benzo[*d*][1,2,3]triazol-1-yl)-1,1,3,3-tetramethylisouronium hexafluorophosphate(V) (0.041 g, 0.108 mmol) in *N,N*-dimethylformamide (0.3 mL) was added 2,2,2-trifluoroethanamine (0.015 mL, 0.186 mmol). The mixture was stirred for 2 hours at room temperature and then partitioned between ethyl acetate and water. The organic layer was washed with water, 0.1 N aqueous hydrochloric acid solution, and brine, and concentrated under reduced pressure to afford crude compound. The crude compound was purified by column chromatography (SiO₂, eluting with 0-100% ethyl acetate gradient in hexanes) to afford the title compound as a yellow foam (0.025 g, 45%).

Example 52: Preparation of (Z)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-*N*-(2-thioxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-2-(trifluoromethyl)benzothioamide (PF88) and (Z)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-*N*-(2-thioxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-2-(trifluoromethyl)benzamide (PF94)

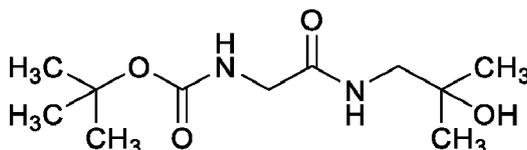
[1008]



[1009] A 5 mL microwave reactor vial was charged with (*Z*)-*N*-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-4-(1,4,4,4-tetrafluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (**F1**) (0.11 g, 0.174 mmol) and 2,4-bis(4-methoxyphenyl)-1,3,2,4-dithiadiphosphetane 2,4-disulfide (0.070 g, 0.174 mmol) in dichloroethane (3 mL). The mixture was placed in a microwave reactor and heated to 130 °C for 30 min. After cooling to room temperature, the reaction mixture was diluted with dichloromethane and washed with aqueous saturated sodium bicarbonate solution (2x). The organic phase was dried with sodium sulfate, filtered, and concentrated. Purification by column chromatography (SiO₂, eluting with 0-100% ethyl acetate gradient in hexanes) afforded the title compounds, (**PF88**) as a pale yellow glass (0.045 g, 31%) and (**PF94**) as a yellow glass (0.025 g, 20%).

Example 53: Preparation of tert-butyl (2-((2-hydroxy-2-methylpropyl)amino)-2-oxoethyl)carbamate (C191)

[1010]

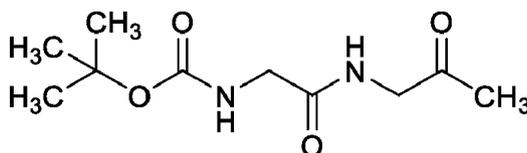


[1011] To a stirred solution of (tert-butoxycarbonyl)glycine (0.500 g, 2.85 mmol) in tetrahydrofuran (30 mL) cooled in a -78 °C bath was added *N*-methyl morpholine (0.433 g, 4.28 mmol). After 15 minutes isobutyl chloroformate (0.585 g, 4.28 mmol) was added. After an additional 15 minutes of stirring in a -78 °C bath the reaction mixture was treated with 1-amino-2-methyl-propan-2-ol (0.279 g, 3.14 mmol). The mixture was stirred an additional 1 hour at which time the reaction mixture was diluted with water. The mixture was extracted with ethyl acetate. The organic layer was washed with brine, dried over sodium sulfate and concentrated under reduced pressure to afford crude compound. Purification by column chromatography (SiO₂, eluting with 40% ethyl acetate in petroleum ether) afforded the title compound as a colorless liquid (0.7 g, 70%): ¹H NMR (400 MHz, CDCl₃) δ 6.55 (br s, 1H), 5.18 (br s, 1H), 3.86 - 3.80 (m, 2H), 3.29 - 3.28 (m, 2H), 1.45 (s, 6H), 1.22 (s, 9H); IR (thin film) 3335, 1698, 1275 cm⁻¹; ESIMS *m/z* 247.30 ([M+H]⁺).

[1012] The following compound was prepared in like manner to the procedure outlined in **Example 53**:

tert-Butyl (2-oxo-2-((2-oxopropyl)amino)ethyl)carbamate (C192)

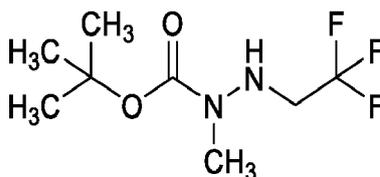
[1013]



[1014] Isolated as a colorless oil (0.60 g, 78%): ¹H NMR (300 MHz, CDCl₃) δ 6.75 (br s, 1H), 5.13 (br s, 1H), 4.18 - 4.16 (m, 2H), 3.85 - 3.83 (m, 2H), 2.21 (s, 3H), 1.46 (s, 9H); IR (thin film) 3345, 1704, 1169 cm⁻¹; ESIMS *m/z* 131.20 ([M-CO₂C₄H₉]⁻).

Example 54: Preparation of tert-butyl 1-methyl-2-(2,2,2-trifluoroethyl)hydrazine-1-carboxylate (C193)

[1015]

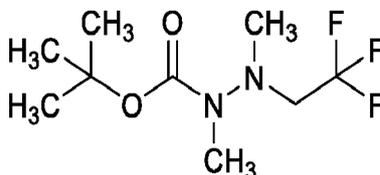


[1016] To a stirred solution of *tert*-butyl 2-(2,2,2-trifluoroethyl)hydrazine-1-carboxylate (2.00 g, 9.34 mmol) in *N,N*-dimethylformamide (20 mL) cooled in an ice bath was added sodium hydride (0.450 g, 18.7 mmol). After 20 minutes the mixture was treated with methyl iodide (1.99 g, 14.0 mmol) and stirred for an additional 2 hours with cooling. The

reaction mixture was then poured into ice water and extracted with ethyl acetate. The organic layer was washed with brine, dried over sodium sulfate and concentrated under reduced pressure to afford crude compound. Purification by column chromatography (SiO₂) afforded the title compound as a yellow oil (1.8 g, 85%): ¹H NMR (400 MHz, CDCl₃) δ 4.29 (br s, 1H), 3.49 - 3.46 (m, 2H), 3.03 (s, 3H), 1.47 (s, 9H).

Example 55: Preparation of *tert*-butyl 1,2-dimethyl-2-(2,2,2-trifluoroethyl)hydrazine-1-carboxylate (C194)

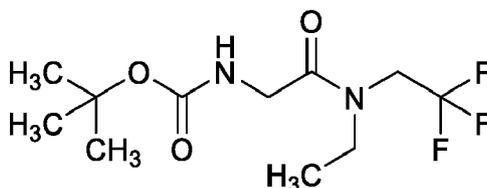
[1017]



[1018] To a stirred solution of *tert*-butyl 2-(2,2,2-trifluoroethyl)hydrazine-1-carboxylate (1.00 g, 4.67 mmol) in *N,N*-dimethylformamide (10 mL) cooled in an ice bath were added sequentially sodium hydride (0.34 g, 14 mmol) and methyl iodide (1.99 g, 14 mmol). The mixture was allowed to warm to room temperature and stir for 12 hours. The reaction mixture was poured into ice water and extracted with ethyl acetate. The organic layer was washed with brine, dried over sodium sulfate and concentrated under reduced pressure to afford crude compound. Purification by column chromatography (SiO₂) afforded the title compound as a yellow oil (1.0 g, 88%): ¹H NMR (300 MHz, DMSO-*d*₆) δ 3.63 - 3.45 (m, 2H), 2.88 (s, 3H), 2.67 (m, 3H), 1.35 (s, 9H); IR (thin film) 3422, 1694, 769 cm⁻¹.

Example 56: Preparation *N*-(2-(ethyl(2,2,2-trifluoroethyl)amino)-2-oxoethyl)-3,3-dimethylbutanamide (C195)

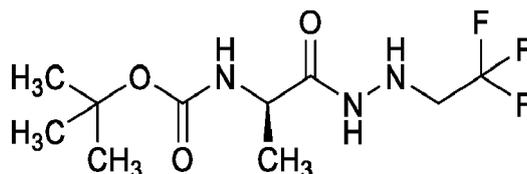
[1019]



[1020] To a stirred solution of (*tert*-butoxycarbonyl)glycine (0.528 g, 3.01 mmol) in *N,N*-dimethylformamide (5 mL) cooled in an ice bath was added (1-cyano-2-ethoxy-2-oxoethylideneaminoxy)dimethylamino-morpholino-carbenium hexafluorophosphate (1.88 g, 4.39 mmol) and diisopropylethylamine (0.60 mL, 3.45 mmol). After 15 minutes the reaction mixture was treated with *N*-ethyl-2,2,2-trifluoroethanamine hydrochloride (0.510 g, 3.11 mmol) and diisopropylethylamine (0.60 mL, 3.11 mmol) in *N,N*-dimethylformamide (2 mL). The mixture was allowed to warm to room temperature and was stirred for 20 hours. The mixture was partitioned between diethyl ether and 5% aqueous sodium bisulfate. The organic layer was washed with 5% aqueous sodium bisulfate (2x), saturated aqueous sodium carbonate and brine, dried over magnesium sulfate, and concentrated under reduced pressure to afford the title compound as a red solid (0.710 g, 83%): mp 70-72 °C; ¹H NMR (400 MHz, CDCl₃) δ 5.44 (s, 1H), 4.20 - 3.91 (m, 4H), minor rotamer 3.83 (q, *J* = 8.4 Hz, 2H), minor rotamer 3.53 (q, *J* = 7.1 Hz, 2H), major rotamer 3.44 (q, *J* = 7.2 Hz, 2H), 1.45 (s, 9H), major rotamer 1.24 (t, *J* = 7.2 Hz, 3H), minor rotamer 1.16 (t, *J* = 7.1 Hz, 3H); ¹⁹F NMR (376 MHz, CDCl₃) δ major rotamer -69.57, minor rotamer -70.06; ESIMS *m/z* 228 ([M-C₄H₉]⁺).

Example 57: Preparation of *tert*-butyl (*R*)-(1-oxo-1-(2-(2,2,2-trifluoroethyl)hydrazinyl)propan-2-yl)carbamate (C196)

[1021]



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[1022] Diisopropylethylamine (2.26 mL, 13.2 mmol), 2-chloro-1,3-dimethylimidazolidinium hexafluorophosphate (1.47 g, 5.29 mmol), and 1-hydroxy-7-azabenzotriazole (0.72 g, 5.29 mmol) were added to a solution of (*tert*-butoxycarbonyl)-*D*-alanine (1.00 g, 5.29 mmol) and 2-(2,2,2-trifluoroethyl)hydrazine hydrochloride (0.80 g, 0.529 mmol) in *N,N*-dimethylformamide (10 mL) at room temperature. The mixture was stirred for 12 hours then was diluted with dichloromethane. The organic layer was washed sequentially with a 2 N hydrochloric acid solution, 2 N aqueous sodium bicarbonate, water, and then brine. The organic layer was then dried over sodium sulfate, filtered, and concentrated. Purification by column chromatography (SiO₂) provided the title compound as a white solid (0.60 g, 37%): ¹H NMR (300 MHz, DMSO-*d*₆) δ 9.45 (s, 1H), 6.82 (d, *J* = 7.2 Hz, 1H), 5.57 (d, *J* = 4.50 Hz, 1H), 3.92 - 3.85 (m, 1H), 3.42 - 3.31 (m, 2H), 1.36 (s, 9H), 1.14 (d, *J* = 6.9 Hz, 3H); IR (thin film) 3342, 1683 cm⁻¹; ESIMS *m/z* 284.40 ([M-H]⁻).

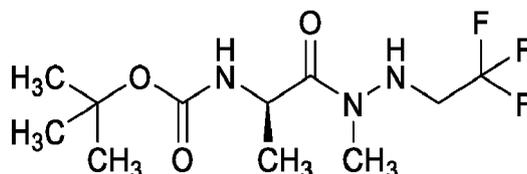
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[1023] The following compounds were prepared in like manner to the procedure outlined in **Example 57**:

tert-Butyl (R)-(1-(1-methyl-2-(2,2,2-trifluoroethyl)hydrazinyl)-1-oxopropan-2-yl)carbamate (C197)

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[1024]



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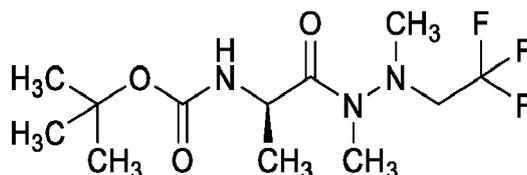
[1025] Isolated as a yellow gum (0.90 g, 47%): ¹H NMR (300 MHz, DMSO-*d*₆) δ 6.56 (d, *J* = 8.1 Hz, 1H), 5.74 (br s, 1H), 4.83 - 4.78 (m, 1H), 3.65 - 3.58 (m, 2H), 2.96 (s, 3H), 1.35 (s, 9H), 1.13 (d, *J* = 7.2 Hz, 3H); IR (thin film) 3419, 1698, 1167 cm⁻¹; ESIMS *m/z* 300.30 ([M-H]⁻).

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tert-Butyl (R)-(1-(1,2-dimethyl-2-(2,2,2-trifluoroethyl)hydrazinyl)-1-oxopropan-2-yl)carbamate (C198)

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[1026]



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[1027] Isolated as a yellow oil (0.50 g, 50%): ¹H NMR (300 MHz, DMSO-*d*₆) δ 6.95 (br s, 1H), 4.95 - 4.78 (m, 1H), 3.77 - 3.31 (m, 2H), 2.84 (s, 3H), 2.64 (m, 3H), 1.35 (s, 9H), 1.13 (d, *J* = 6.9 Hz, 3H); IR (thin film) 2979, 1709, 1168 cm⁻¹; ESIMS *m/z* 213.96 ([M-CO₂C₄H₉]⁻).

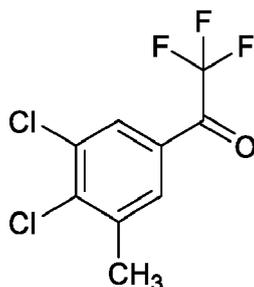
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Example 58: Preparation of 1-(3,4-dichloro-5-methylphenyl)-2,2,2-trifluoroethan-1-one (C201)

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[1028]

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[1029] To 5-bromo-1,2-dichloro-3-methylbenzene (6.9 g, 29 mmol) in tetrahydrofuran (65 mL) cooled in an ice bath under nitrogen was added isopropylmagnesium chloride lithium chloride complex in tetrahydrofuran (26.8 mL, 34.8 mmol). After 1 hour methyl 2,2,2-trifluoroacetate (3.79 mL, 37.7 mmol) was added. After 30 minutes, the ice bath was removed, and the solution was stirred for 1 hour. The reaction mixture was quenched with aqueous hydrochloric acid (2 N). The mixture was concentrated and extracted with dichloromethane. The organic layer was washed with brine, dried over sodium sulfate, filtered, and concentrated. Purification by column chromatography (SiO₂, petroleum ether) provided the title compound as a white solid (5.9 g, 80%): ¹H NMR (400 MHz, CDCl₃) δ 8.00 (s, 1H), δ 7.83 (s, 1H), 2.51 (s, 3H); EIMS *m/z* 256 ([M]⁺).

BIOLOGICAL ASSAYS

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[1030] The following bioassays against Beet Armyworm (*Spodoptera exigua*), Cabbage Looper (*Trichoplusia ni*), Corn Earworm (*Helicoverpa zea*), Green Peach Aphid (*Myzus persicae*), and Yellow Fever Mosquito (*Aedes aegypti*), are included herein due to the damage they inflict. Furthermore, the Beet Armyworm, Corn Earworm, and Cabbage Looper are three good indicator species for a broad range of chewing pests. Additionally, the Green Peach Aphid is a good indicator species for a broad range of sap-feeding pests. The results with these four indicator species along with the Yellow Fever Mosquito show the broad usefulness of the molecules of Formula One in controlling pests in Phyla Arthropoda, Mollusca, and Nematoda (For further information see Methods for the Design and Optimization of New Active Ingredients, Modern Methods in Crop Protection Research, Edited by Jeschke, P., Kramer, W., Schirmer, U., and Matthias W., p. 1-20, 2012).

Example A: BIOASSAYS ON BEET ARMYWORM (*Spodoptera exigua*, LAPHEG) ("BAW"), CORN EARWORM (*Helicoverpa zea*, HELIZE) ("CEW"), AND CABBAGE LOOPER (*Trichoplusia ni*, TRIPNI) ("CL")

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[1031] Beet armyworm is a serious pest of economic concern for alfalfa, asparagus, beets, citrus, corn, cotton, onions, peas, peppers, potatoes, soybeans, sugar beets, sunflowers, tobacco, tomatoes, among other crops. It is native to Southeast Asia but is now found in Africa, Australia, Japan, North America, and Southern Europe. The larvae may feed in large swarms causing devastating crop losses. It is known to be resistant to several pesticides.

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[1032] Cabbage looper is a serious pest found throughout the world. It attacks alfalfa, beans, beets, broccoli, Brussel sprouts, cabbage, cantaloupe, cauliflower, celery, collards, cotton, cucumbers, eggplant, kale, lettuce, melons, mustard, parsley, peas, peppers, potatoes, soybeans, spinach, squash, tomatoes, turnips, and watermelons, among other crops. This species is very destructive to plants due to its voracious appetite. The larvae consume three times their weight in food daily. The feeding sites are marked by large accumulations of sticky, wet, fecal material. It is known to be resistant to several pesticides.

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[1033] Corn earworm is considered by some to be the most costly crop pest in North America. It often attacks valuable crops, and the harvested portion of the crop. This pest damages alfalfa, artichoke, asparagus, cabbage, cantaloupe, collard, corn, cotton, cowpea, cucumber, eggplant, lettuce, lima bean, melon, okra, pea, pepper, potato, pumpkin, snap bean, soybean, spinach, squash, sugarcane, sweet potato, tomato, and watermelon, among other crops. Furthermore, this pest is also known to be resistant to certain insecticides.

[1034] Consequently, because of the above factors control of these pests is important. Furthermore, molecules that control these pests (BAW, CEW, and CL), which are known as chewing pests, are useful in controlling other pests that chew on plants.

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[1035] Certain molecules disclosed in this document were tested against BAW, CEW, and CL using procedures described in the following examples. In the reporting of the results, the "BAW, CEW, & CL Rating Table" was used (See Table Section).

BIOASSAYS ON BAW

[1036] Bioassays on BAW were conducted using a 128-well diet tray assay. One to five second instar BAW larvae were placed in each well (3 mL) of the diet tray that had been previously filled with 1 mL of artificial diet to which 50 $\mu\text{g}/\text{cm}^2$ of the test molecule (dissolved in 50 μL of 90:10 acetone-water mixture) had been applied (to each of eight wells) and then allowed to dry. Trays were covered with a clear self-adhesive cover and held at 25 °C, 14:10 light-dark for five to seven days. Percent mortality was recorded for the larvae in each well; activity in the eight wells was then averaged. The results are indicated in the table entitled "**Table ABC: Biological Results**" (See Table Section).

BIOASSAYS ON CL

[1037] Bioassays on CL were conducted using a 128-well diet tray assay. One to five second instar CL larvae were placed in each well (3 mL) of the diet tray that had been previously filled with 1 mL of artificial diet to which 50 $\mu\text{g}/\text{cm}^2$ of the test molecule (dissolved in 50 μL of 90:10 acetone-water mixture) had been applied (to each of eight wells) and then allowed to dry. Trays were covered with a clear self-adhesive cover and held at 25 °C, 14:10 light-dark for five to seven days. Percent mortality was recorded for the larvae in each well; activity in the eight wells was then averaged. The results are indicated in the table entitled "**Table ABC: Biological Results**" (See Table Section).

Example B: BIOASSAYS ON GREEN PEACH APHID (*Myzus persicae*, MYZUPE) ("GPA").

[1038] GPA is the most significant aphid pest of peach trees, causing decreased growth, shriveling of the leaves, and the death of various tissues. It is also hazardous because it acts as a vector for the transport of plant viruses, such as potato virus Y and potato leafroll virus to members of the nightshade/potato family *Solanaceae*, and various mosaic viruses to many other food crops. GPA attacks such plants as broccoli, burdock, cabbage, carrot, cauliflower, daikon, eggplant, green beans, lettuce, macadamia, papaya, peppers, sweet potatoes, tomatoes, watercress, and zucchini, among other crops. GPA also attacks many ornamental crops such as carnation, chrysanthemum, flowering white cabbage, poinsettia, and roses. GPA has developed resistance to many pesticides. Consequently, because of the above factors control of this pest is important. Furthermore, molecules that control this pest (GPA), which is known as a sap-feeding pest, are useful in controlling other pests that feed on the sap from plants.

[1039] Certain molecules disclosed in this document were tested against GPA using procedures described in the following example. In the reporting of the results, the "**GPA & YFM Rating Table**" was used (See Table Section).

[1040] Cabbage seedlings grown in 7.62 cm (3-inch pots), with 2-3 small (3-5 cm) true leaves, were used as test substrate. The seedlings were infested with 20-50 GPA (wingless adult and nymph stages) one day prior to chemical application. Four pots with individual seedlings were used for each treatment. Test molecules (2 mg) were dissolved in 2 mL of acetone/methanol (1:1) solvent, forming stock solutions of 1000 ppm test molecule. The stock solutions were diluted 5X with 0.025% Tween 20 in water to obtain the solution at 200 ppm test molecule. A hand-held aspirator-type sprayer was used for spraying a solution to both sides of cabbage leaves until runoff. Reference plants (solvent check) were sprayed with the diluent only containing 20% by volume of acetone/methanol (1:1) solvent. Treated plants were held in a holding room for three days at approximately 25 °C and ambient relative humidity (RH) prior to grading. Evaluation was conducted by counting the number of live aphids per plant under a microscope. Percent Control was measured by using Abbott's correction formula (W.S. Abbott, "A Method of Computing the Effectiveness of an Insecticide" J. Econ. Entomol. 18 (1925), pp.265-267) as follows.

$$\text{Corrected \% Control} = 100 * (X - Y) / X$$

where

X = No. of live aphids on solvent check plants and

Y = No. of live aphids on treated plants

[1041] The results are indicated in the table entitled "**Table ABC: Biological Results**" (See Table Section).

Example C: BIOASSAYS ON YELLOW FEVER MOSQUITO (*Aedes aegypti*, AEDSAE) ("YFM").

[1042] YFM prefers to feed on humans during the daytime and is most frequently found in or near human habitations. YFM is a vector for transmitting several diseases. It is a mosquito that can spread the dengue fever and yellow fever viruses. Yellow fever is the second most dangerous mosquito-borne disease after malaria. Yellow fever is an acute viral

hemorrhagic disease and up to 50% of severely affected persons without treatment will die from yellow fever. There are an estimated 200,000 cases of yellow fever, causing 30,000 deaths, worldwide each year. Dengue fever is a nasty, viral disease; it is sometimes called "breakbone fever" or "break-heart fever" because of the intense pain it can produce. Dengue fever kills about 20,000 people annually. Consequently, because of the above factors control of this pest is important. Furthermore, molecules that control this pest (YFM), which is known as a sucking pest, are useful in controlling other pests that cause human and animal suffering.

[1043] Certain molecules disclosed in this document were tested against YFM using procedures described in the following paragraph. In the reporting of the results, the "GPA & YFM Rating Table" was used (See Table Section).

[1044] Master plates containing 400 µg of a molecule dissolved in 100 µL of dimethyl sulfoxide (DMSO) (equivalent to a 4000 ppm solution) are used. A master plate of assembled molecules contains 15 µL per well. To this plate, 135 µL of a 90:10 water:acetone mixture is added to each well. A robot (Biomek® NXP Laboratory Automation Workstation) is programmed to dispense 15 µL aspirations from the master plate into an empty 96-well shallow plate ("daughter" plate). There are 6 reps ("daughter" plates) created per master. The created daughter plates are then immediately infested with YFM larvae.

[1045] The day before plates are to be treated, mosquito eggs are placed in Millipore water containing liver powder to begin hatching (4 g. into 400 mL). After the daughter plates are created using the robot, they are infested with 220 µL of the liver powder/larval mosquito mixture (about 1 day-old larvae). After plates are infested with mosquito larvae, a non-evaporative lid is used to cover the plate to reduce drying. Plates are held at room temperature for 3 days prior to grading. After 3 days, each well is observed and scored based on mortality. The results are indicated in the table entitled "Table ABC: Biological Results" (See Table Section).

AGRICULTURALLY ACCEPTABLE ACID ADDITION SALTS, SALT DERIVATIVES, SOLVATES, ESTER DERIVATIVES, POLYMORPHS, ISOTOPES, AND RADIONUCLIDES

[1046] Molecules of Formula One may be formulated into agriculturally acceptable acid addition salts. By way of a non-limiting example, an amine function can form salts with hydrochloric, hydrobromic, sulfuric, phosphoric, acetic, benzoic, citric, malonic, salicylic, malic, fumaric, oxalic, succinic, tartaric, lactic, gluconic, ascorbic, maleic, aspartic, benzenesulfonic, methanesulfonic, ethanesulfonic, hydroxyl-methanesulfonic, and hydroxyethanesulfonic acids. Additionally, by way of a non-limiting example, an acid function can form salts including those derived from alkali or alkaline earth metals and those derived from ammonia and amines. Examples of preferred cations include sodium, potassium, and magnesium.

[1047] Molecules of Formula One may be formulated into salt derivatives. By way of a non-limiting example, a salt derivative may be prepared by contacting a free base with a sufficient amount of the desired acid to produce a salt. A free base may be regenerated by treating the salt with a suitable dilute aqueous base solution such as dilute aqueous sodium hydroxide, potassium carbonate, ammonia, and sodium bicarbonate. As an example, in many cases, a pesticide, such as 2,4-D, is made more water-soluble by converting it to its dimethylamine salt.

[1048] Molecules of Formula One may be formulated into stable complexes with a solvent, such that the complex remains intact after the non-complexed solvent is removed. These complexes are often referred to as "solvates." However, it is particularly desirable to form stable hydrates with water as the solvent.

[1049] Molecules of Formula One may be made into ester derivatives. These ester derivatives can then be applied in the same manner as the molecules disclosed in this document is applied.

[1050] Molecules of Formula One may be made as various crystal polymorphs. Polymorphism is important in the development of agrochemicals since different crystal polymorphs or structures of the same molecule can have vastly different physical properties and biological performances.

[1051] Molecules of Formula One may be made with different isotopes. Of particular importance are molecules having ^2H (also known as deuterium) or ^3H (also known as tritium) in place of ^1H . Molecules of Formula One may be made with different radionuclides. Of particular importance are molecules having ^{14}C . Molecules of Formula One having deuterium, tritium, or ^{14}C may be used in biological studies allowing tracing in chemical and physiological processes and half-life studies, as well as, MoA studies.

STEREISOMERS

[1052] Molecules of Formula One may exist as one or more stereoisomers. Thus, certain molecules may be produced as racemic mixtures. It will be appreciated by those skilled in the art that one stereoisomer may be more active than the other stereoisomers. Individual stereoisomers may be obtained by known selective synthetic procedures, by conventional synthetic procedures using resolved starting materials, or by conventional resolution procedures. Certain molecules disclosed in this document can exist as two or more isomers. The various isomers include geometric isomers, diastereomers, and enantiomers. Thus, the molecules disclosed in this document include geometric isomers, racemic mixtures,

individual stereoisomers, and optically active mixtures. It will be appreciated by those skilled in the art that one isomer may be more active than the others. The structures disclosed in the present disclosure are drawn in only one geometric form for clarity, but are intended to represent all geometric forms of the molecule.

5 COMBINATIONS

[1053] In another embodiment of this invention, molecules of Formula One may be used in combination (such as, in a compositional mixture, or a simultaneous or sequential application) with one or more active ingredients.

10 **[1054]** In another embodiment of this invention, molecules of Formula One may be used in combination (such as, in a compositional mixture, or a simultaneous or sequential application) with one or more active ingredients each having a MoA that is the same as, similar to, but more likely - different from, the MoA of the molecules of Formula One.

[1055] In another embodiment, molecules of Formula One may be used in combination (such as, in a compositional mixture, or a simultaneous or sequential application) with one or more molecules having acaricidal, algicidal, avicidal, bactericidal, fungicidal, herbicidal, insecticidal, molluscicidal, nematocidal, rodenticidal, and/or virucidal properties.

15 **[1056]** In another embodiment, the molecules of Formula One may be used in combination (such as, in a compositional mixture, or a simultaneous or sequential application) with one or more molecules that are antifeedants, bird repellents, chemosterilants, herbicide safeners, insect attractants, insect repellents, mammal repellents, mating disrupters, plant activators, plant growth regulators, and/or synergists.

20 **[1057]** In another embodiment, molecules of Formula One may also be used in combination (such as in a compositional mixture, or a simultaneous or sequential application) with one or more biopesticides.

[1058] In another embodiment, in a pesticidal composition combinations of a molecule of Formula One and an active ingredient may be used in a wide variety of weight ratios. For example, in a two component mixture, the weight ratio of a molecule of Formula One to an active ingredient, may be from about 100:1 to about 1:100; in another example the weight ratio may be about 50:1 to about 1:50; in another example the weight ratio may be about 20:1 to about 1:20; in another example the weight ratio may be about 10:1 to about 1:10; in another example the weight ratio may be about 5:1 to 1:5; in another example the weight ratio may be about 3:1 to about 1:3; in another example the weight ratio may be about 2:1 to about 1:2; and in a final example the weight ratio may be about 1:1 (See Table B). However, in general, weight ratios less than about 10:1 to about 1:10 are preferred. It is also preferred sometimes to use a three or four component mixture comprising a molecule of Formula One and one or more active ingredients.

30 **TABLE B**

Weight Ratios Molecule of the Formula One : active ingredient
100:1 to 1:100
50:1 to 1:50
20:1 to 1:20
10:1 to 1:10
5:1 to 1:5
3:1 to 1:3
2:1 to 1:2
1:1

35 **[1059]** Weight ratios of a molecule of Formula One to an active ingredient may also be depicted as X:Y; wherein X is the parts by weight of a molecule of Formula One and Y is the parts by weight of active ingredient. The numerical range of the parts by weight for X is $0 < X \leq 100$ and the parts by weight for Y is $0 < Y \leq 100$ and is shown graphically in TABLE C. By way of non-limiting example, the weight ratio of a molecule of Formula One to an active ingredient may be 20:1.

TABLE C

active ingredient (Y) Parts by weight	100	X,Y		X,Y			X,Y			
	50	X,Y	X,Y	X,Y			X,Y	X,Y		
	20	X,Y		X,Y	X,Y		X,Y		X,Y	
	15	X,Y	X,Y					X,Y	X,Y	X,Y
	10	X,Y		X,Y						
	5	X,Y	X,Y	X,Y				X,Y		
	3	X,Y	X,Y		X,Y	X,Y		X,Y	X,Y	X,Y
	2	X,Y		X,Y	X,Y		X,Y		X,Y	
	1	X,Y	X,Y	X,Y	X,Y	X,Y	X,Y	X,Y	X,Y	X,Y
		1	2	3	5	10	15	20	50	100
molecule of Formula One (X) Parts by weight										

[1060] Ranges of weight ratios of a molecule of Formula One to an active ingredient may be depicted as $X_1:Y_1$ to $X_2:Y_2$, wherein X and Y are defined as above.

[1061] In one embodiment, the range of weight ratios may be $X_1:Y_1$ to $X_2:Y_2$, wherein $X_1 > Y_1$ and $X_2 < Y_2$. By way of non-limiting example, the range of a weight ratio of a molecule of Formula One to an active ingredient may be between 3:1 and 1:3, inclusive of the endpoints.

[1062] In another embodiment, the range of weight ratios may be $X_1:Y_1$ to $X_2:Y_2$, wherein $X_1 > Y_1$ and $X_2 > Y_2$. By way of non-limiting example, the range of weight ratio of a molecule of Formula One to an active ingredient may be between 15:1 and 3:1, inclusive of the endpoints.

[1063] In another embodiment, the range of weight ratios may be $X_1:Y_1$ to $X_2:Y_2$, wherein $X_1 < Y_1$ and $X_2 < Y_2$. By way of non-limiting example, the range of weight ratios of a molecule of Formula One to an active ingredient may be between about 1:3 and about 1:20, inclusive of the endpoints.

FORMULATIONS

[1064] A pesticide is rarely suitable for application in its pure form. It is usually necessary to add other substances so that the pesticide may be used at the required concentration and in an appropriate form, permitting ease of application, handling, transportation, storage, and maximum pesticide activity. Thus, pesticides are formulated into, for example, baits, concentrated emulsions, dusts, emulsifiable concentrates, fumigants, gels, granules, microencapsulations, seed treatments, suspension concentrates, suspoemulsions, tablets, water soluble liquids, water dispersible granules or dry flowables, wettable powders, and ultra-low volume solutions.

[1065] Pesticides are applied most often as aqueous suspensions or emulsions prepared from concentrated formulations of such pesticides. Such water-soluble, water-suspendable, or emulsifiable formulations are either solids, usually

known as wettable powders, or water dispersible granules, or liquids usually known as emulsifiable concentrates, or aqueous suspensions. Wettable powders, which may be compacted to form water dispersible granules, comprise an intimate mixture of the pesticide, a carrier, and surfactants. The concentration of the pesticide is usually from about 10% to about 90% by weight. The carrier is usually selected from among the attapulgite clays, the montmorillonite clays, the diatomaceous earths, or the purified silicates. Effective surfactants, comprising from about 0.5% to about 10% of the wettable powder, are found among sulfonated lignins, condensed naphthalenesulfonates, naphthalenesulfonates, alkylbenzenesulfonates, alkyl sulfates, and non-ionic surfactants such as ethylene oxide adducts of alkyl phenols.

[1066] Emulsifiable concentrates of pesticides comprise a convenient concentration of a pesticide, such as from about 50 to about 500 grams per liter of liquid dissolved in a carrier that is either a water miscible solvent or a mixture of water-immiscible organic solvent and emulsifiers. Useful organic solvents include aromatics, especially xylenes and petroleum fractions, especially the high-boiling naphthalenic and olefinic portions of petroleum such as heavy aromatic naphtha. Other organic solvents may also be used, such as the terpenic solvents including rosin derivatives, aliphatic ketones such as cyclohexanone, and complex alcohols such as 2-ethoxyethanol. Suitable emulsifiers for emulsifiable concentrates are selected from conventional anionic and non-ionic surfactants.

[1067] Aqueous suspensions comprise suspensions of water-insoluble pesticides dispersed in an aqueous carrier at a concentration in the range from about 5% to about 50% by weight. Suspensions are prepared by finely grinding the pesticide and vigorously mixing it into a carrier comprised of water and surfactants. Ingredients, such as inorganic salts and synthetic or natural gums may also be added, to increase the density and viscosity of the aqueous carrier. It is often most effective to grind and mix the pesticide at the same time by preparing the aqueous mixture and homogenizing it in an implement such as a sand mill, ball mill, or piston-type homogenizer.

[1068] Pesticides may also be applied as granular compositions that are particularly useful for applications to the soil. Granular compositions usually contain from about 0.5% to about 10% by weight of the pesticide, dispersed in a carrier that comprises clay or a similar substance. Such compositions are usually prepared by dissolving the pesticide in a suitable solvent and applying it to a granular carrier which has been pre-formed to the appropriate particle size, in the range of from about 0.5 to about 3 mm. Such compositions may also be formulated by making a dough or paste of the carrier and molecule and crushing and drying to obtain the desired granular particle size.

[1069] Dusts containing a pesticide are prepared by intimately mixing the pesticide in powdered form with a suitable dusty agricultural carrier, such as kaolin clay, ground volcanic rock, and the like. Dusts can suitably contain from about 1% to about 10% of the pesticide. Dusts may be applied as a seed dressing or as a foliage application with a dust blower machine.

[1070] It is equally practical to apply a pesticide in the form of a solution in an appropriate organic solvent, usually petroleum oil, such as the spray oils, which are widely used in agricultural chemistry.

[1071] Pesticides can also be applied in the form of an aerosol composition. In such compositions the pesticide is dissolved or dispersed in a carrier, which is a pressure-generating propellant mixture. The aerosol composition is packaged in a container from which the mixture is dispensed through an atomizing valve.

[1072] Pesticide baits are formed when the pesticide is mixed with food or an attractant or both. When the pests eat the bait they also consume the pesticide. Baits may take the form of granules, gels, flowable powders, liquids, or solids. Baits may be used in pest harborages.

[1073] Fumigants are pesticides that have a relatively high vapor pressure and hence can exist as a gas in sufficient concentrations to kill pests in soil or enclosed spaces. The toxicity of the fumigant is proportional to its concentration and the exposure time. They are characterized by a good capacity for diffusion and act by penetrating the pest's respiratory system or being absorbed through the pest's cuticle. Fumigants are applied to control stored product pests under gas proof sheets, in gas sealed rooms or buildings or in special chambers.

[1074] Pesticides may be microencapsulated by suspending the pesticide particles or droplets in plastic polymers of various types. By altering the chemistry of the polymer or by changing factors in the processing, microcapsules may be formed of various sizes, solubility, wall thicknesses, and degrees of penetrability. These factors govern the speed with which the active ingredient within is released, which in turn, affects the residual performance, speed of action, and odor of the product.

[1075] Oil solution concentrates are made by dissolving pesticide in a solvent that will hold the pesticide in solution. Oil solutions of a pesticide usually provide faster knockdown and kill of pests than other formulations due to the solvents themselves having pesticidal action and the dissolution of the waxy covering of the integument increasing the speed of uptake of the pesticide. Other advantages of oil solutions include better storage stability, better penetration of crevices, and better adhesion to greasy surfaces.

[1076] Another embodiment is an oil-in-water emulsion, wherein the emulsion comprises oily globules which are each provided with a lamellar liquid crystal coating and are dispersed in an aqueous phase, wherein each oily globule comprises at least one molecule which is agriculturally active, and is individually coated with a monolamellar or oligolamellar layer comprising: (1) at least one non-ionic lipophilic surface-active agent, (2) at least one non-ionic hydrophilic surface-active agent and (3) at least one ionic surface-active agent, wherein the globules having a mean particle diameter of less than

800 nanometers.

OTHER FORMULATION COMPONENTS

5 **[1077]** Generally, when the molecules disclosed in Formula One are used in a formulation, such formulation can also contain other components. These components include, but are not limited to, (this is a non-exhaustive and non-mutually exclusive list) wetters, spreaders, stickers, penetrants, buffers, sequestering agents, drift reduction agents, compatibility agents, anti-foam agents, cleaning agents, and emulsifiers. A few components are described forthwith.

10 **[1078]** A wetting agent is a substance that when added to a liquid increases the spreading or penetration power of the liquid by reducing the interfacial tension between the liquid and the surface on which it is spreading. Wetting agents are used for two main functions in agrochemical formulations: during processing and manufacture to increase the rate of wetting of powders in water to make concentrates for soluble liquids or suspension concentrates; and during mixing of a product with water in a spray tank to reduce the wetting time of wettable powders and to improve the penetration of water into water-dispersible granules. Examples of wetting agents used in wettable powder, suspension concentrate, and water-dispersible granule formulations are: sodium lauryl sulfate; sodium dioctyl sulfosuccinate; alkyl phenol ethoxylates; and aliphatic alcohol ethoxylates.

15 **[1079]** A dispersing agent is a substance which adsorbs onto the surface of particles and helps to preserve the state of dispersion of the particles and prevents them from reaggregating. Dispersing agents are added to agrochemical formulations to facilitate dispersion and suspension during manufacture, and to ensure the particles redisperse into water in a spray tank. They are widely used in wettable powders, suspension concentrates and water-dispersible granules. Surfactants that are used as dispersing agents have the ability to adsorb strongly onto a particle surface and provide a charged or steric barrier to reaggregation of particles. The most commonly used surfactants are anionic, non-ionic, or mixtures of the two types. For wettable powder formulations, the most common dispersing agents are sodium lignosulfonates. For suspension concentrates, very good adsorption and stabilization are obtained using polyelectrolytes, such as sodium naphthalene sulfonate formaldehyde condensates. Tristyrylphenol ethoxylate phosphate esters are also used. Non-ionics such as alkylarylethylene oxide condensates and EO-PO block copolymers are sometimes combined with anionics as dispersing agents for suspension concentrates. In recent years, new types of very high molecular weight polymeric surfactants have been developed as dispersing agents. These have very long hydrophobic 'backbones' and a large number of ethylene oxide chains forming the 'teeth' of a 'comb' surfactant. These high molecular weight polymers can give very good long-term stability to suspension concentrates because the hydrophobic backbones have many anchoring points onto the particle surfaces. Examples of dispersing agents used in agrochemical formulations are: sodium lignosulfonates; sodium naphthalene sulfonate formaldehyde condensates; tristyrylphenol ethoxylate phosphate esters; aliphatic alcohol ethoxylates; alkyl ethoxylates; EO-PO block copolymers; and graft copolymers.

20 **[1080]** An emulsifying agent is a substance which stabilizes a suspension of droplets of one liquid phase in another liquid phase. Without the emulsifying agent the two liquids would separate into two immiscible liquid phases. The most commonly used emulsifier blends contain alkylphenol or aliphatic alcohol with twelve or more ethylene oxide units and the oil-soluble calcium salt of dodecylbenzenesulfonic acid. A range of hydrophile-lipophile balance ("HLB") values from 8 to 18 will normally provide good stable emulsions. Emulsion stability can sometimes be improved by the addition of a small amount of an EO-PO block copolymer surfactant.

25 **[1081]** A solubilizing agent is a surfactant which will form micelles in water at concentrations above the critical micelle concentration. The micelles are then able to dissolve or solubilize water-insoluble materials inside the hydrophobic part of the micelle. The types of surfactants usually used for solubilization are non-ionics, sorbitan monooleates, sorbitan monooleate ethoxylates, and methyl oleate esters.

30 **[1082]** Surfactants are sometimes used, either alone or with other additives such as mineral or vegetable oils as adjuvants to spray-tank mixes to improve the biological performance of the pesticide on the target. The types of surfactants used for bioenhancement depend generally on the nature and mode of action of the pesticide. However, they are often non-ionics such as: alkyl ethoxylates; linear aliphatic alcohol ethoxylates; aliphatic amine ethoxylates.

35 **[1083]** A carrier or diluent in an agricultural formulation is a material added to the pesticide to give a product of the required strength. Carriers are usually materials with high absorptive capacities, while diluents are usually materials with low absorptive capacities. Carriers and diluents are used in the formulation of dusts, wettable powders, granules and water-dispersible granules.

40 **[1084]** Organic solvents are used mainly in the formulation of emulsifiable concentrates, oil-in-water emulsions, suspoemulsions, and ultra-low volume formulations, and to a lesser extent, granular formulations. Sometimes mixtures of solvents are used. The first main groups of solvents are aliphatic paraffinic oils such as kerosene or refined paraffins. The second main group (and the most common) comprises the aromatic solvents such as xylene and higher molecular weight fractions of C9 and C10 aromatic solvents. Chlorinated hydrocarbons are useful as cosolvents to prevent crystallization of pesticides when the formulation is emulsified into water. Alcohols are sometimes used as cosolvents to increase solvent power. Other solvents may include vegetable oils, seed oils, and esters of vegetable and seed oils.

[1085] Thickeners or gelling agents are used mainly in the formulation of suspension concentrates, emulsions and suspoemulsions to modify the rheology or flow properties of the liquid and to prevent separation and settling of the dispersed particles or droplets. Thickening, gelling, and anti-settling agents generally fall into two categories, namely water-insoluble particulates and water-soluble polymers. It is possible to produce suspension concentrate formulations using clays and silicas. Examples of these types of materials, include, but are not limited to, montmorillonite, bentonite, magnesium aluminum silicate, and attapulgite. Water-soluble polysaccharides have been used as thickening-gelling agents for many years. The types of polysaccharides most commonly used are natural extracts of seeds and seaweeds or are synthetic derivatives of cellulose. Examples of these types of materials include, but are not limited to, guar gum; locust bean gum; carrageenan; alginates; methyl cellulose; sodium carboxymethyl cellulose (SCMC); hydroxyethyl cellulose (HEC). Other types of anti-settling agents are based on modified starches, polyacrylates, polyvinyl alcohol and polyethylene oxide. Another good anti-settling agent is xanthan gum.

[1086] Microorganisms can cause spoilage of formulated products. Therefore preservation agents are used to eliminate or reduce their effect. Examples of such agents include, but are not limited to: propionic acid and its sodium salt; sorbic acid and its sodium or potassium salts; benzoic acid and its sodium salt; p-hydroxybenzoic acid sodium salt; methyl p-hydroxybenzoate; and 1,2-benzisothiazolin-3-one (BIT).

[1087] The presence of surfactants often causes water-based formulations to foam during mixing operations in production and in application through a spray tank. In order to reduce the tendency to foam, anti-foam agents are often added either during the production stage or before filling into bottles. Generally, there are two types of anti-foam agents, namely silicones and non-silicones. Silicones are usually aqueous emulsions of dimethyl polysiloxane, while the non-silicone anti-foam agents are water-insoluble oils, such as octanol and nonanol, or silica. In both cases, the function of the anti-foam agent is to displace the surfactant from the air-water interface.

[1088] "Green" agents (e.g., adjuvants, surfactants, solvents) can reduce the overall environmental footprint of crop protection formulations. Green agents are biodegradable and generally derived from natural and/or sustainable sources, e.g. plant and animal sources. Specific examples are: vegetable oils, seed oils, and esters thereof, also alkoxyated alkyl polyglucosides.

APPLICATIONS

[1089] Molecules of Formula One may be applied to any locus. Particular crop loci to apply such molecules include loci where alfalfa, almonds, apples, barley, beans, canola, corn, cotton, crucifers, lettuce, oats, oranges, pears, peppers, potatoes, rice, sorghum, soybeans, strawberries, sugarcane, sugar beets, sunflowers, tobacco, tomatoes, wheat, and other valuable crops are growing or the seeds thereof are going to be planted.

[1090] Molecules of Formula One may also be applied where plants, such as crops, are growing and where there are low levels (even no actual presence) of pests that can commercially damage such plants. Applying such molecules in such locus is to benefit the plants being grown in such locus. Such benefits, may include, but are not limited to: helping the plant grow a better root system; helping the plant better withstand stressful growing conditions; improving the health of a plant; improving the yield of a plant (e.g. increased biomass and/or increased content of valuable ingredients); improving the vigor of a plant (e.g. improved plant growth and/or greener leaves); improving the quality of a plant (e.g. improved content or composition of certain ingredients); and improving the tolerance to abiotic and/or biotic stress of the plant.

[1091] Molecules of Formula One may be applied with ammonium sulfate when growing various plants as this may provide additional benefits.

[1092] Molecules of Formula One may be applied on, in, or around plants genetically modified to express specialized traits, such as *Bacillus thuringiensis* or other insecticidal toxins, or those expressing herbicide resistance, or those with "stacked" foreign genes expressing insecticidal toxins, herbicide resistance, nutrition-enhancement, or any other beneficial traits.

[1093] Molecule of Formula One may be applied to the foliar and/or fruiting portions of plants to control pests. Such molecules will either come in direct contact with the pest, or the pest will consume such molecules when eating the plant or while extracting sap from the plant.

[1094] Molecule of Formula One may also be applied to the soil, and when applied in this manner, root and stem feeding pests may be controlled. The roots may absorb such molecules thereby taking it up into the foliar portions of the plant to control above ground chewing and sap feeding pests.

[1095] Systemic movement of pesticides in plants may be utilized to control pests on one portion of the plant by applying (for example by spraying a locus) a molecule of Formula One to a different portion of the plant. For example, control of foliar-feeding insects may be achieved by drip irrigation or furrow application, by treating the soil with for example pre- or post-planting soil drench, or by treating the seeds of a plant before planting.

[1096] Molecules of Formula One may be used with baits. Generally, with baits, the baits are placed in the ground where, for example, termites can come into contact with, and/or be attracted to, the bait. Baits can also be applied to a

surface of a building, (horizontal, vertical, or slant surface) where, for example, ants, termites, cockroaches, and flies, can come into contact with, and/or be attracted to, the bait.

[1097] Molecules of Formula One may be encapsulated inside, or placed on the surface of a capsule. The size of the capsules can range from nanometer size (about 100-900 nanometers in diameter) to micrometer size (about 10-900 microns in diameter).

[1098] Molecules of Formula One may be applied to eggs of pests. Because of the unique ability of the eggs of some pests to resist certain pesticides, repeated applications of such molecules may be desirable to control newly emerged larvae.

[1099] Molecules of Formula One may be applied as seed treatments. Seed treatment may be applied to all types of seeds, including those from which plants genetically modified to express specialized traits will germinate. Representative examples include those expressing proteins toxic to invertebrate pests, such as *Bacillus thuringiensis* or other insecticidal toxins, those expressing herbicide resistance, such as "Roundup Ready" seed, or those with "stacked" foreign genes expressing insecticidal toxins, herbicide resistance, nutrition-enhancement, drought resistance, or any other beneficial traits. Furthermore, such seed treatments with molecules of Formula One may further enhance the ability of a plant to better withstand stressful growing conditions. This results in a healthier, more vigorous plant, which can lead to higher yields at harvest time. Generally, about 1 gram of such molecules to about 500 grams per 100,000 seeds is expected to provide good benefits, amounts from about 10 grams to about 100 grams per 100,000 seeds is expected to provide better benefits, and amounts from about 25 grams to about 75 grams per 100,000 seeds is expected to provide even better benefits.

[1100] Molecules of Formula One may be applied with one or more active ingredients in a soil amendment.

[1101] Molecules of Formula One may be used for controlling endoparasites and ectoparasites in the veterinary medicine sector or in the field of non-human-animal keeping. Such molecules may be applied by oral administration in the form of, for example, tablets, capsules, drinks, granules, by dermal application in the form of, for example, dipping, spraying, pouring on, spotting on, and dusting, and by parenteral administration in the form of, for example, an injection.

[1102] Molecules of Formula One may also be employed advantageously in livestock keeping, for example, cattle, sheep, pigs, chickens, salmon, and geese. They may also be employed advantageously in pets such as, horses, dogs, and cats. Particular pests to control would be fleas and ticks that are bothersome to such animals. Suitable formulations are administered orally to the animals with the drinking water or feed. The dosages and formulations that are suitable depend on the species.

[1103] Molecules of Formula One may also be used for controlling parasitic worms, especially of the intestine, in the animals listed above.

[1104] Molecules of Formula One may also be employed in therapeutic methods for human health care. Such methods include, but are limited to, oral administration in the form of, for example, tablets, capsules, drinks, granules, and by dermal application.

[1105] Molecules of Formula One may also be applied to invasive pests. Pests around the world have been migrating to new environments (for such pest) and thereafter becoming a new invasive species in such new environment. Such molecules may also be used on such new invasive species to control them in such new environments.

[1106] Consequently, in light of the above and the Tables in the Table Section, the following items are provided.

[1107] The invention provides a molecule as defined in appended claim 1. Herein:

(A) preferably, **R¹**, **R⁵**, **R⁶**, **R¹¹**, and **R¹²** are H;

(B) preferably, **R²**, **R³**, and **R⁴** are H, F, Cl, Br, CH₃, or CH=CH₂;

(C) preferably **R⁷** is CF₃, CF₂CH₃, or CF₂CH₂CH₃;

(D) preferably **R⁹** is H;

(E) preferably **R¹⁰** is Cl, Br, I, CH₃, or CF₃;

(G) preferably **Q¹** and **Q²** are O;

(H) preferably **R¹³** is CH₃ or CH₂CH₃;

(I) preferably **R¹⁴** is CH₃ or CH₂CH₃;

(J) preferably **R¹⁵** is CH₃ or CH₂CH₃;

(K) preferably **R¹⁴** and **R¹⁵** together form a 2-membered saturated, hydrocarbyl link;

(L) preferably **L** is a bond;

(M) preferably **X** is a bond or **NR¹⁶R¹⁷**;

(N) preferably **R¹⁶** is CH₃, CH₂CH₃, OCH₃, OCH₂CH=CH₂, NH₂, or NHC(O)OC(CH₃)₃;

(O) preferably **R¹⁴** and **R¹⁶** together form a 2- to 4-membered saturated link that is either (1) a hydrocarbyl link or (2) a heterohydrocarbyl link that contains one or more oxygen atoms;

(P) preferably **R¹⁷** is CH₂CH₃, CH₂CH₂CH₂CH₃, CH₂CH₂CH(CH₃)₂, CH₂CH=CH₂, CH₂C≡CH, CH₂CHF₂, CH₂CF₃, CH₂CH₂CF₃, CH₂CF₂CH₃, CH(CH₃)CF₃, CH₂CH₂CH₂CF₃, CH=CHCH₂CF₃, 3,3-difluorocyclobutyl, CH₂CH₂cyclopropyl, or CH₂cyclobutyl.

[1108] In said molecule of the present invention

(A) R^1 , R^5 , R^6 , R^{11} , and R^{12} may be H;

(B) R^2 , R^3 , and R^4 may be each independently selected from the group consisting of H, F, Cl, Br, (C₁-C₆)alkyl, and (C₂-C₆)alkenyl;

(C) R^7 may be (C₁-C₆)haloalkyl;

(D) R^9 may be H;

(E) R^{10} may be selected from the group consisting of Cl, Br, I, (C₁-C₆)alkyl, and (C₁-C₆)haloalkyl;

(G) Q^1 and Q^2 may be O;

(H) R^{13} may be selected from the group consisting of H and (C₁-C₆)alkyl;

(I) R^{14} may be selected from the group consisting of (K), (O), H, and (C₁-C₄)alkyl;

(J) R^{15} may be selected from the group consisting of (K), H, and (C₁-C₆)alkyl;

(K) R^{14} and R^{15} together can optionally form a 2- to 5-membered saturated, hydrocarbyl link;

(L) L may be a bond;

(M) X may be selected from the group consisting of

(1) R^{17} , and

(2) a $NR^{16}R^{17}$;

(N) R^{16} may be selected from the group consisting of (O), H, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₂-C₆)alkenyloxy, amino, and NHC(O)O(C₁-C₆)alkyl;

(O) R^{14} and R^{16} together can optionally form a 2- to 4-membered saturated link that is either (1) a hydrocarbyl link or (2) a heterohydrocarbyl link that contains one or more oxygen atoms;

(P) R^{17} may be selected from the group consisting of H, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, (C₁-C₆)haloalkyl, (C₂-C₆)haloalkenyl, (C₃-C₆)halocycloalkyl, and (C₁-C₆)alkyl(C₃-C₆)cycloalkyl. Said molecule may be selected from one of the molecules in Table 2 or Table 1.

[1109] The invention further provides a pesticidal composition comprising a molecule as defined above and further one or more active ingredients. Said active ingredient may be from AIGA or may be selected from the group consisting of Al-1, 1,3-dichloropropene, chlorpyrifos, chlorpyrifosmethyl, hexaflumuron, methoxyfenozide, noviflumuron, spinetoram, spinosad, sulfoxaflor, and sulfuryl fluoride.

[1110] The invention further provides a pesticidal composition comprising a molecule as defined above and further a MoA Material.

[1111] Said MoA Material may be from MoAMGA.

[1112] In the pesticidal composition the weight ratio of the molecule according to Formula One to said active ingredient may be

(a) 100:1 to 1:100;

(b) 50:1 to 1:50;

(c) 20:1 to 1:20;

(d) 10:1 to 1:10;

(e) 5:1 to 1:5;

(f) 3:1 to 1:3;

(g) 2:1 to 1:2; or

(h) 1:1.

[1113] The invention further provides a non-therapeutic process to control a pest said process comprising applying to a locus, a pesticidally effective amount of a molecule or a pesticidal composition as defined above.

[1114] The molecule may be in the form of agriculturally acceptable acid addition salt, a salt derivative, a solvate, an ester derivative, or a crystal polymorph.

[1115] Said molecule may have deuterium, tritium, and or ¹⁴C.

[1116] Said molecule may be in the form of one or more stereoisomers.

[1117] Said molecule may be in the form of a resolved stereoisomer.

[1118] The pesticidal composition may further comprise another active ingredient.

[1119] The pesticidal composition may further comprise two or more active ingredients.

[1120] The active ingredient may have a MOA different from the MoA of said molecule of Formula One.

[1121] The pesticidal composition may comprise an active ingredient having acaricidal, algicidal, avicidal, bactericidal, fungicidal, herbicidal, insecticidal, molluscicidal, nematocidal, rodenticidal, and/or virucidal properties.

[1122] The pesticidal composition may comprise an active ingredient that is an antifeedant, bird repellent, chemosterilant, herbicide safener, insect attractant, insect repellent, mammal repellent, mating disrupter, plant activator, plant growth regulator, and/or synergist.

[1123] The pesticidal composition may comprise an active ingredient that is a biopesticide.

5 [1124] In the pesticidal composition the weight ratio of a molecule of Formula One to an active ingredient may be 100:1 to 1:100, 50:1 to 1:50, 20:1 to 1:20, 10:1 to 1:10, 5:1 to 1:5, 3:1 to 1:3, 2:1 to 1:2, or 1:1.

[1125] In the pesticidal composition the weight ratio of a molecule of Formula One to an active ingredient may be depicted as X:Y; wherein X is the parts by weight of a molecule of Formula One and Y is the parts by weight of active ingredient; further wherein the numerical range of the parts by weight for X may be $0 < X \leq 100$ and the parts by weight for Y may be $0 < Y \leq 100$; and further wherein X and Y may be selected from Table C

TABLE C

15	active ingredient (Y) Parts by weight	100	X,Y		X,Y			X,Y			
		50	X,Y	X,Y	X,Y			X,Y	X,Y		
20		20	X,Y		X,Y	X,Y		X,Y		X,Y	
		15	X,Y	X,Y					X,Y	X,Y	X,Y
25		10	X,Y		X,Y						
		5	X,Y	X,Y	X,Y				X,Y		
30		3	X,Y	X,Y		X,Y	X,Y		X,Y	X,Y	X,Y
		2	X,Y		X,Y	X,Y		X,Y		X,Y	
35		1	X,Y	X,Y	X,Y	X,Y	X,Y	X,Y	X,Y	X,Y	X,Y
			1	2	3	5	10	15	20	50	100
40		molecule of Formula One (X) Parts by weight									
45											

Herein, a range of weight ratios of a molecule of Formula One to an active ingredient may be depicted as $X_1:Y_1$ to $X_2:Y_2$; wherein $X_1 > Y_1$ and $X_2 < Y_2$, $X_1 > Y_1$ and $X_2 > Y_2$, or $X_1 < Y_1$ and $X_2 < Y_2$. Such composition may be synergistic.

[1126] In the above process the pest may be from Phylum Arthropoda, Phylum Mollusca, or Phylum Nematoda.

[1127] In the above process the pests may be ants, aphids, beetles, bristletails, cockroaches, crickets, earwigs, fleas, flies, grasshoppers, leafhoppers, lice (including sea lice), locusts, mites, moths, nematodes, scales, symphylans, termites, thrips, ticks, wasps, and/or whiteflies.

[1128] In the above process the locus may be where alfalfa, almonds, apples, barley, beans, canola, corn, cotton, crucifers, lettuce, oats, oranges, pears, peppers, potatoes, rice, sorghum, soybeans, strawberries, sugarcane, sugar

beets, sunflowers, tobacco, tomatoes, wheat, and other valuable crops are growing or the seeds thereof are planted.

[1129] The above pesticidal composition may further comprise ammonium sulfate.

[1130] In the above process the locus may be where plants genetically modified to express specialized traits are planted.

[1131] In the above process the applying may be done to the foliar and/or fruiting portions of plants or to the soil.

[1132] In the above process the applying may be done by drip irrigation, furrow application, or pre- or post-planting soil drench.

[1133] In the above process the applying may be done to the foliar and/or fruiting portions of plants, or by treating the seeds of a plant before planting.

[1134] The invention further provides a pesticidal composition comprising a molecule as defined above, and a seed.

[1135] The invention further provides a process comprising applying a molecule or a pesticidal composition according as defined above to a seed.

[1136] The invention further provides a molecule as defined above for use in controlling endoparasites and/or ectoparasites by applying it to a non-human animal.

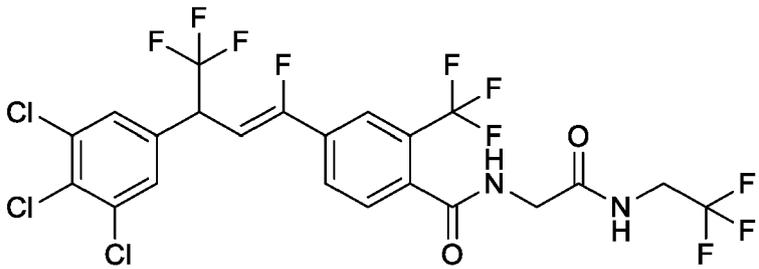
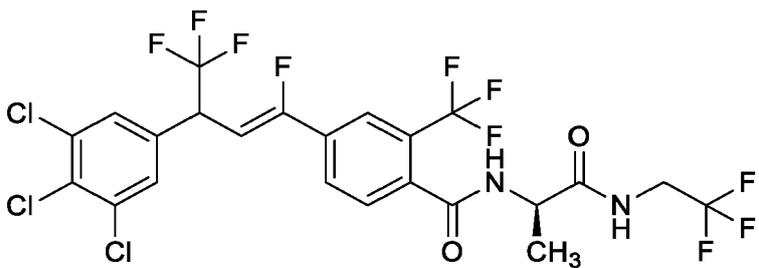
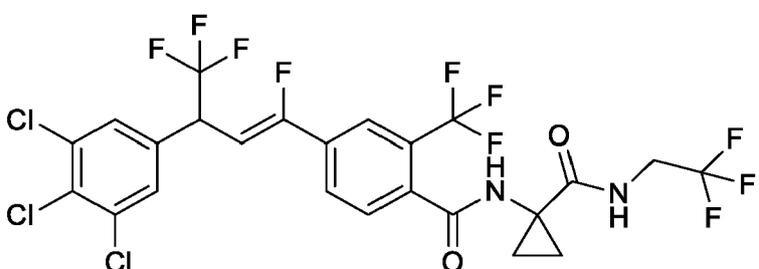
[1137] The invention further provides a process to produce a pesticidal composition, said process comprising mixing a molecule as defined above, with one or more active ingredients.

[1138] **The headings in this document are for convenience only and must not be used to interpret any portion hereof.**

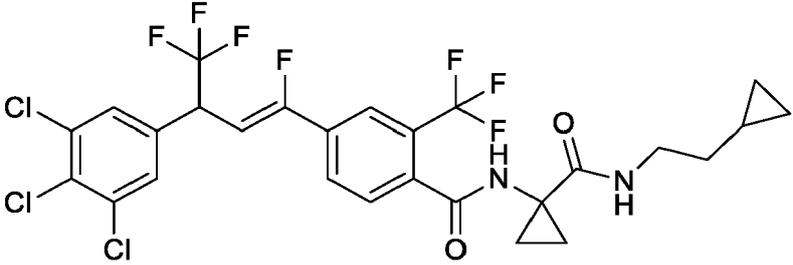
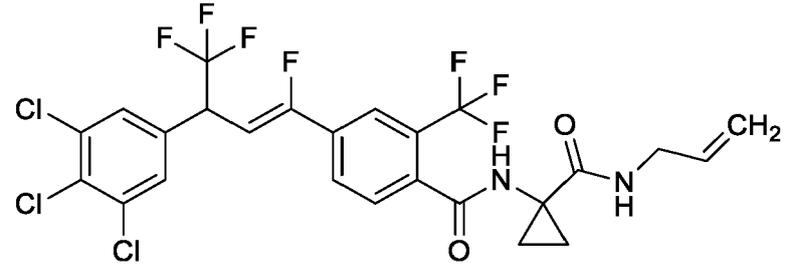
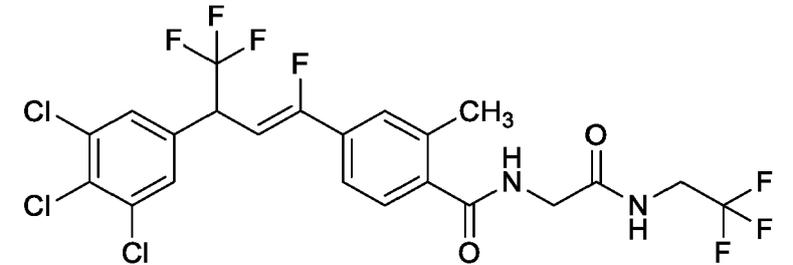
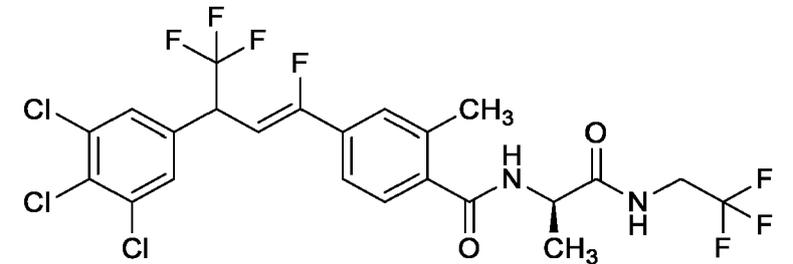
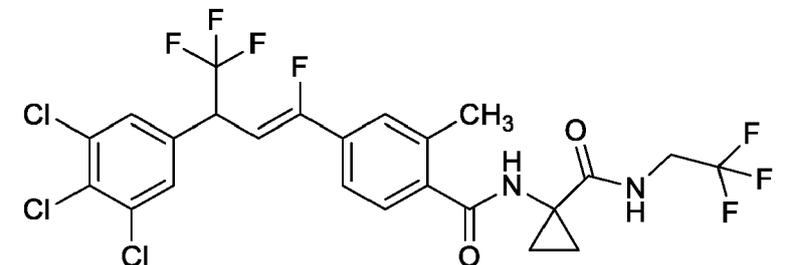
TABLE SECTION

[1139]

Table 2. Structure and Preparation Method for F Series Molecules

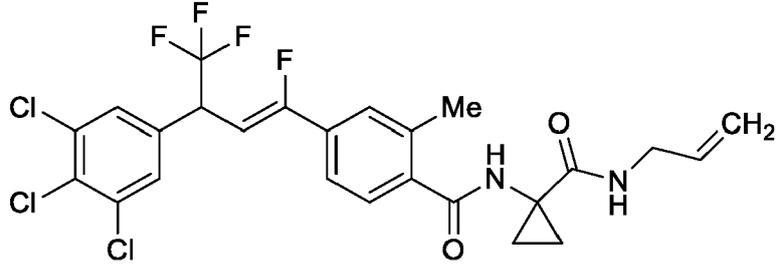
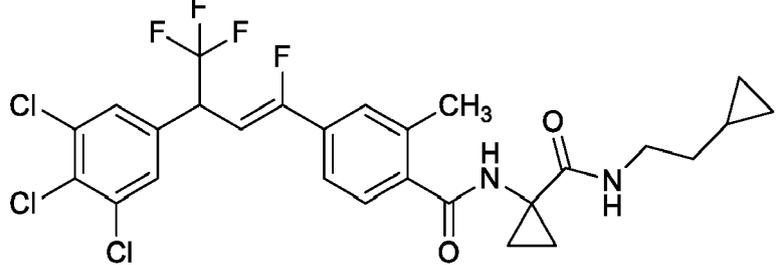
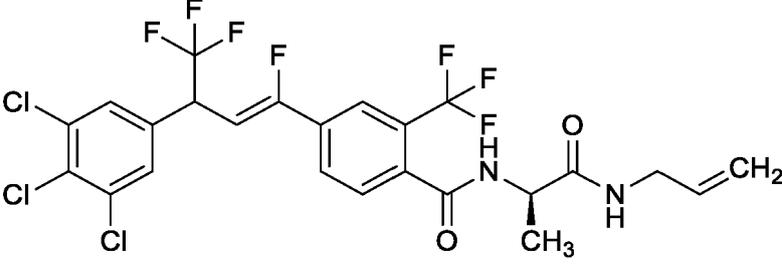
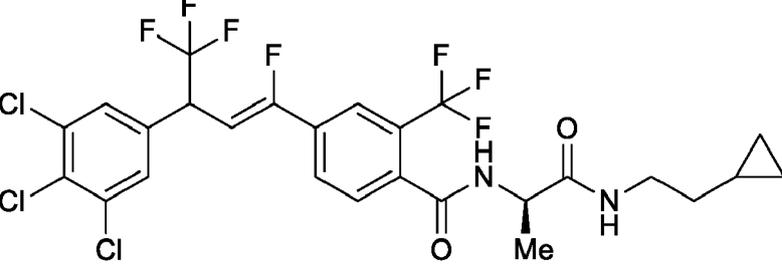
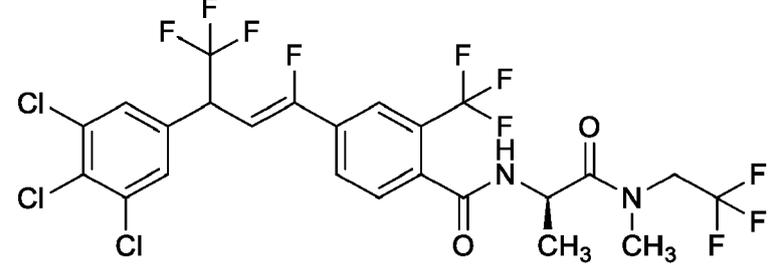
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F13		13

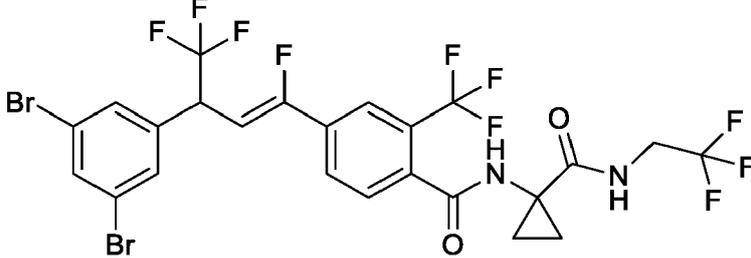
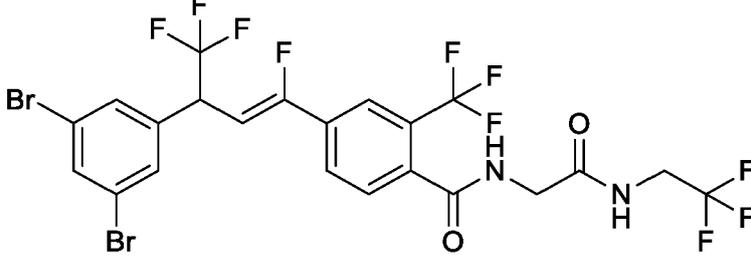
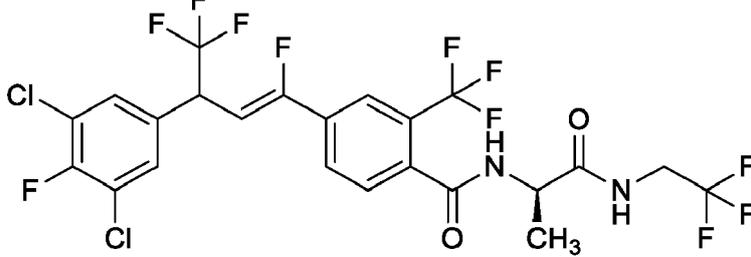
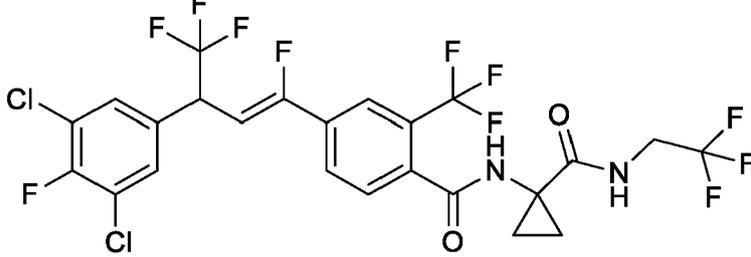
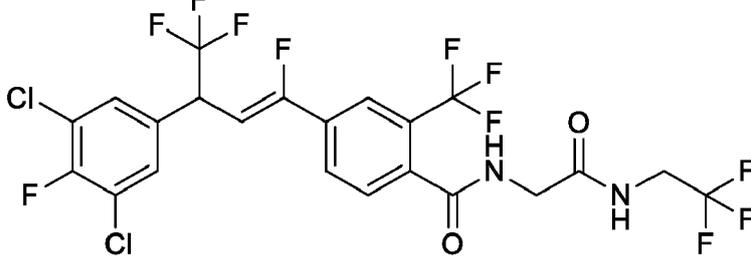
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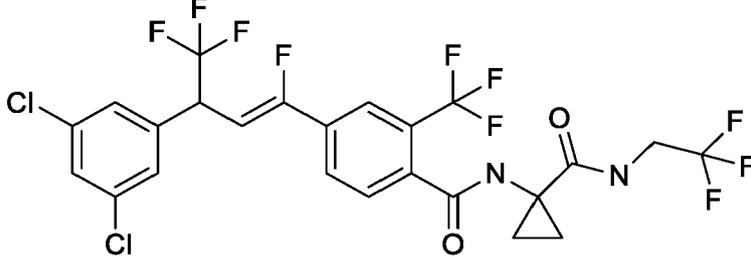
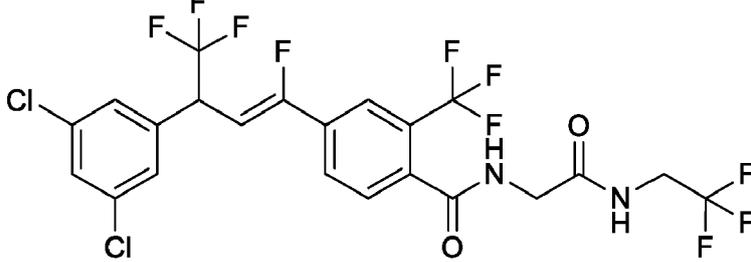
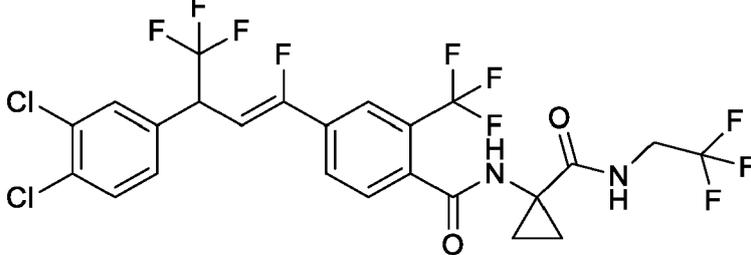
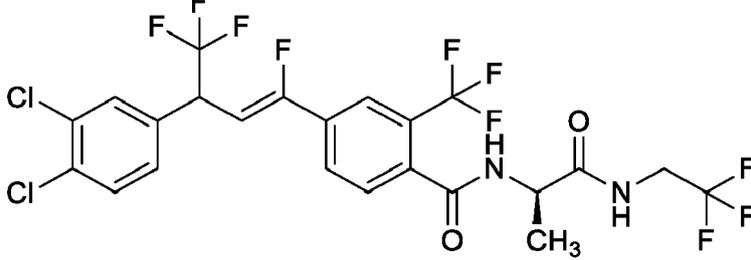
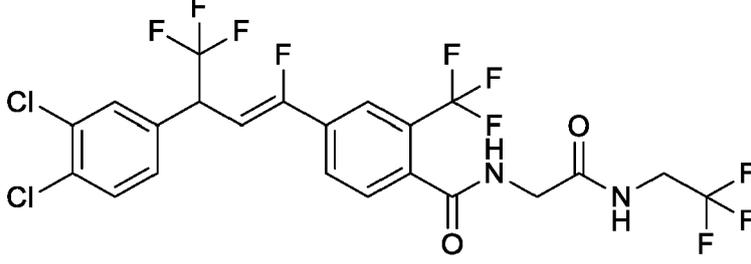
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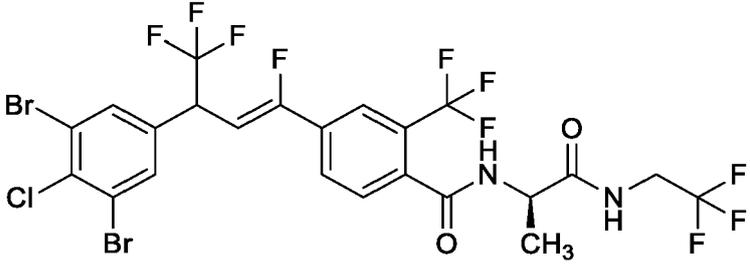
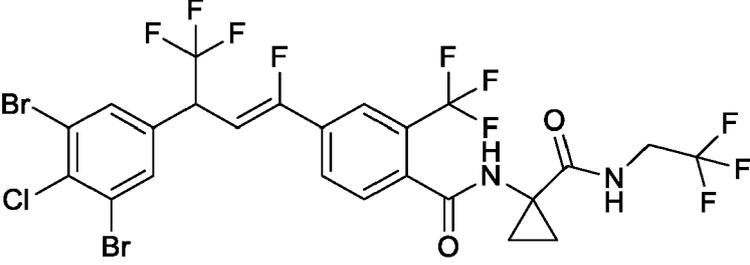
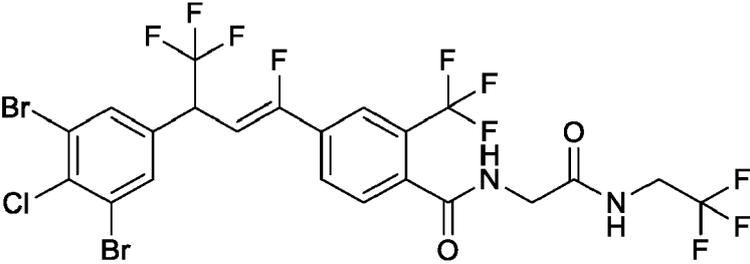
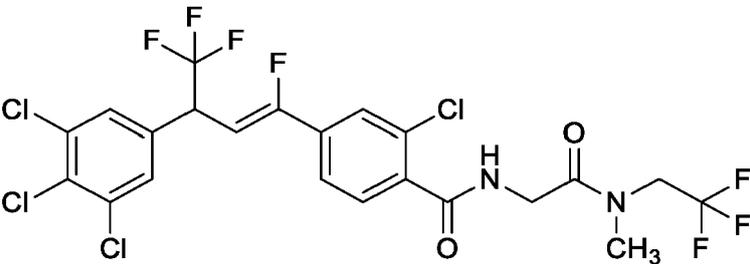
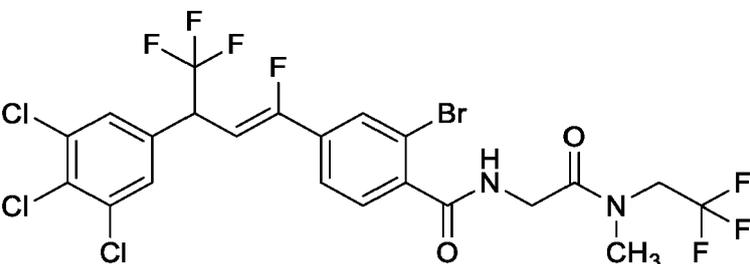
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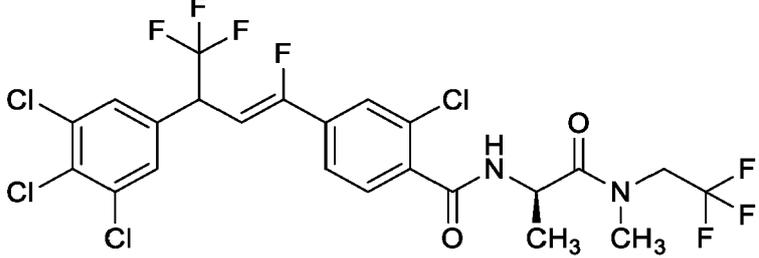
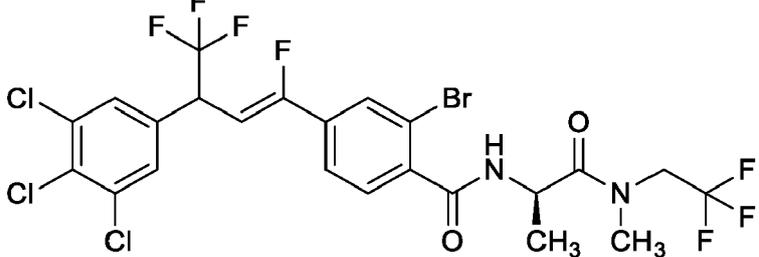
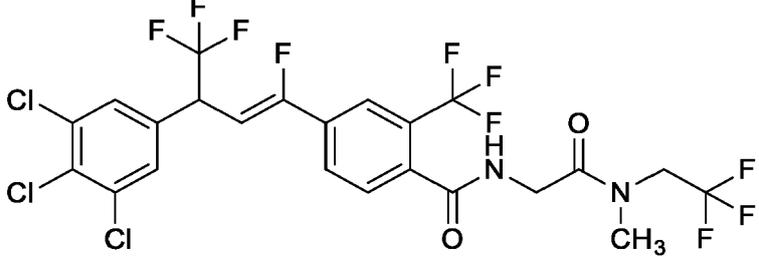
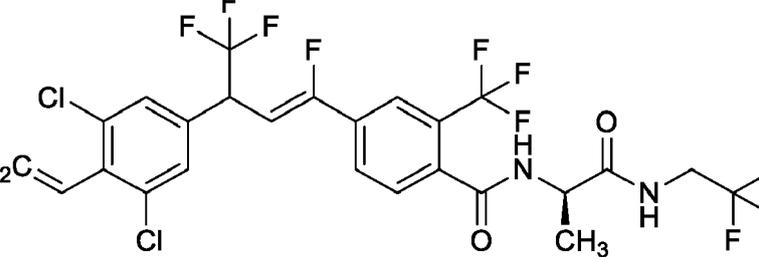
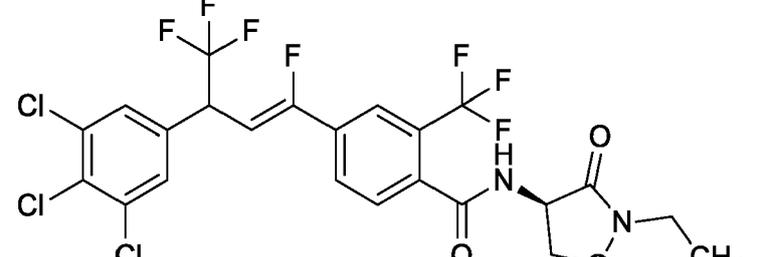
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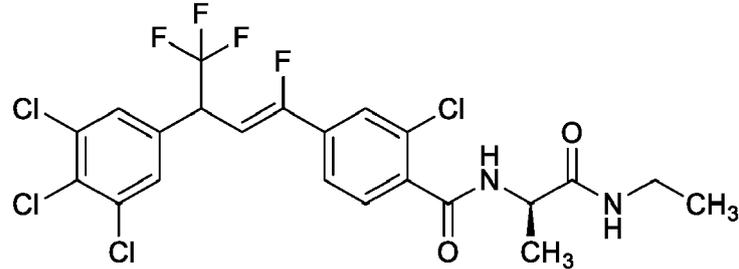
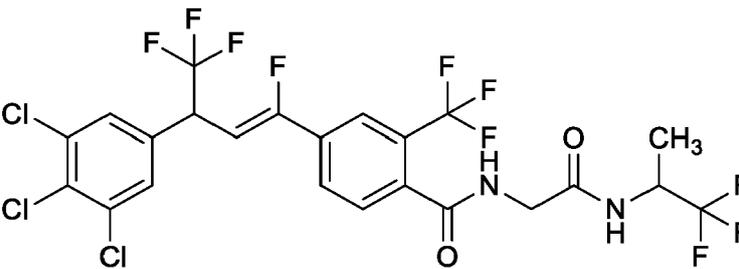
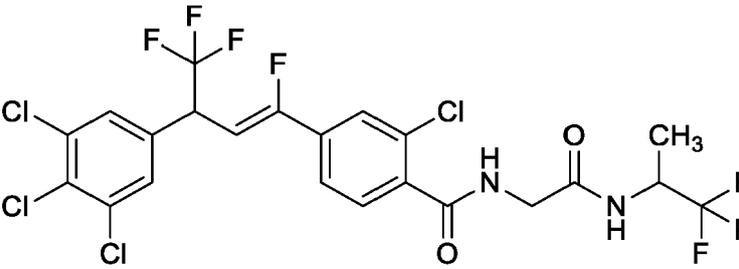
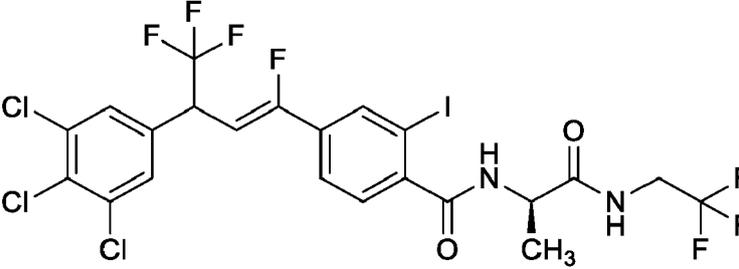
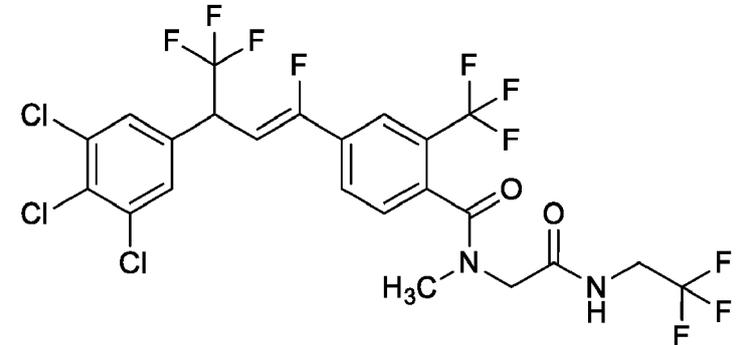
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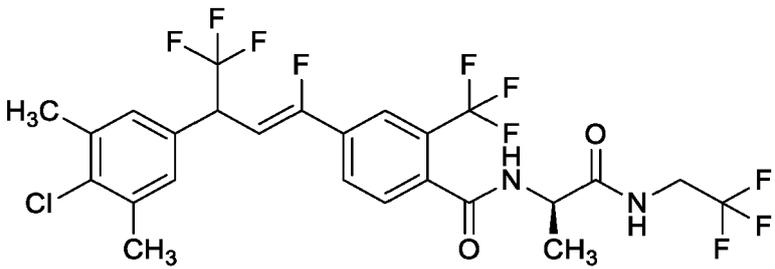
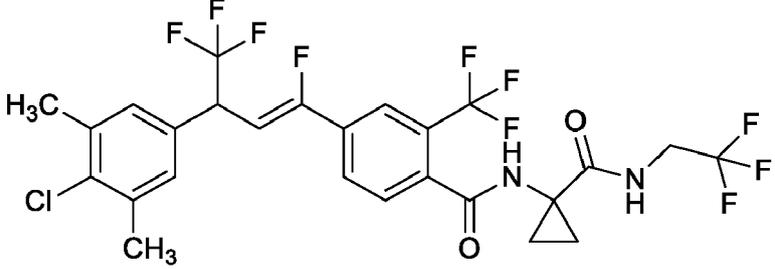
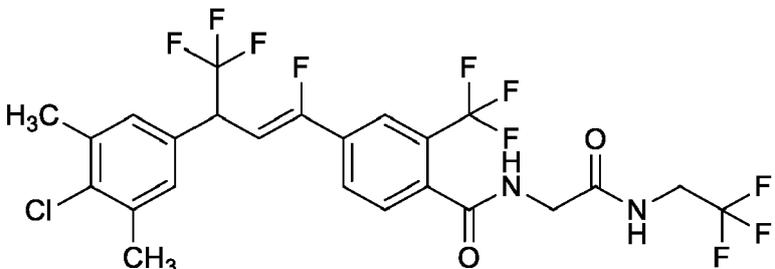
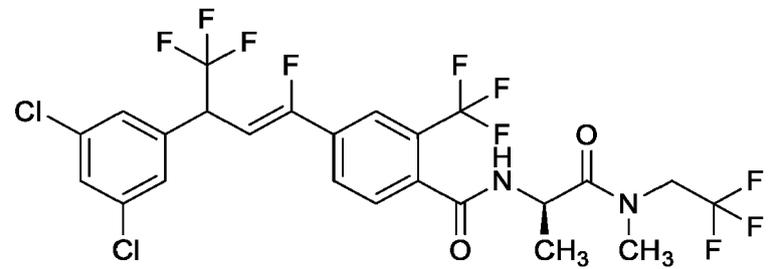
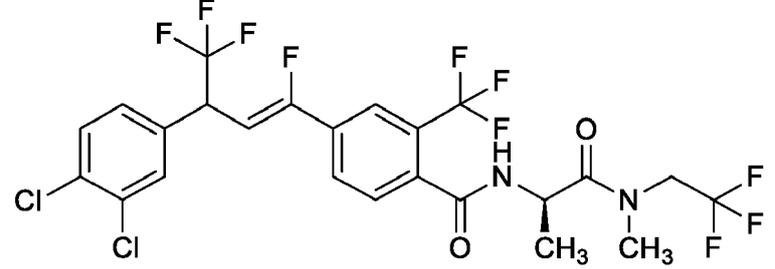
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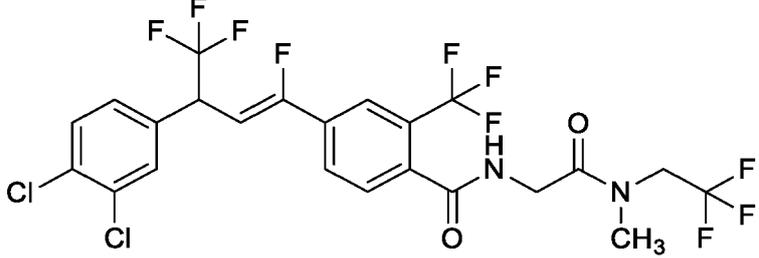
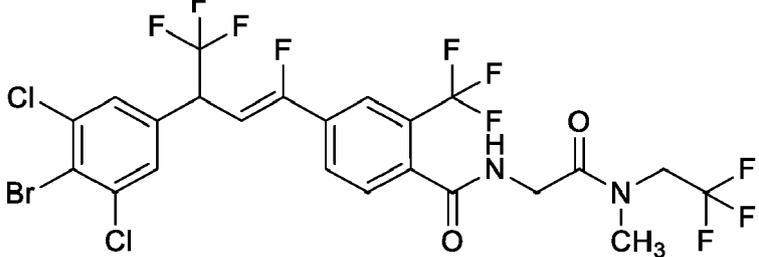
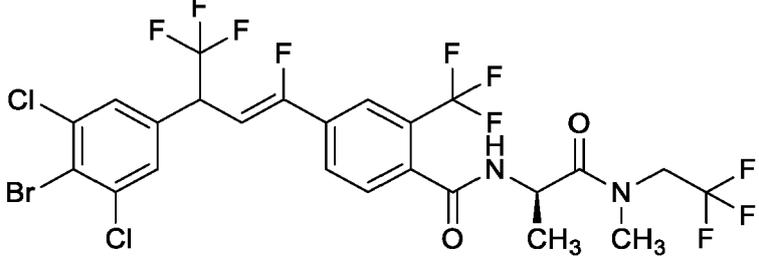
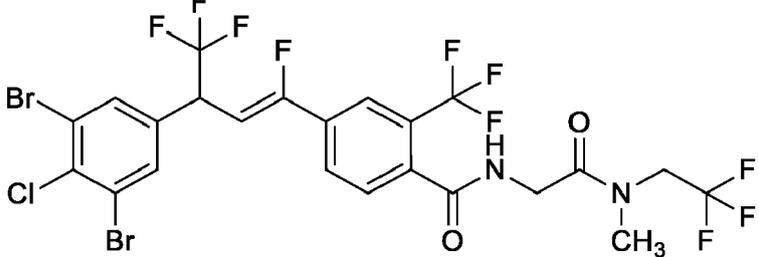
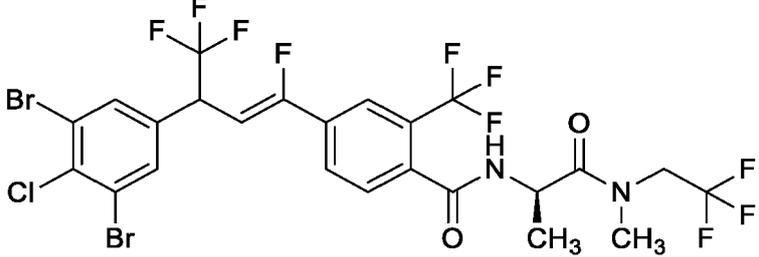
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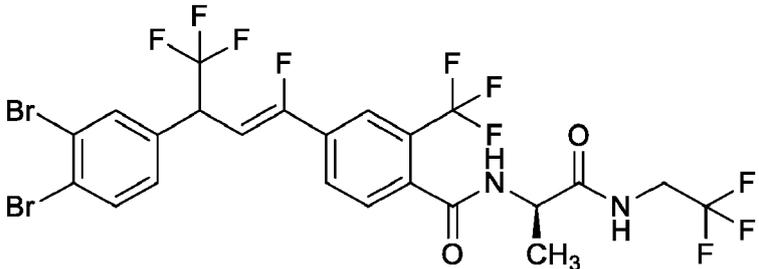
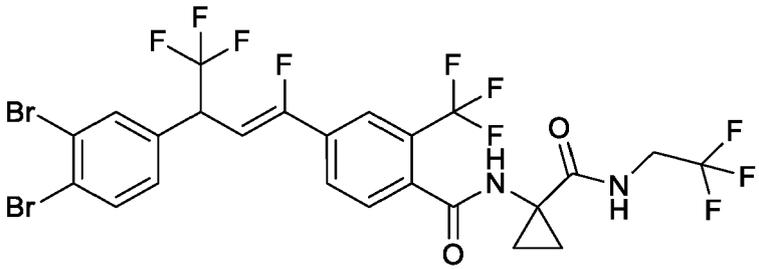
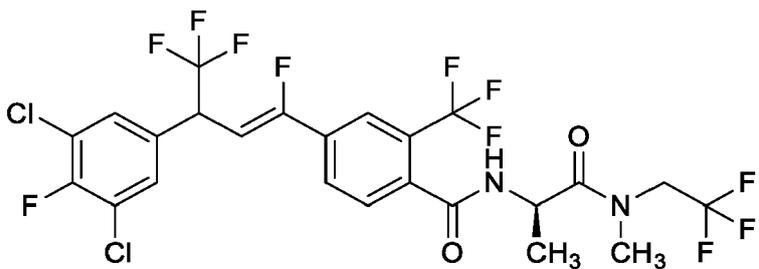
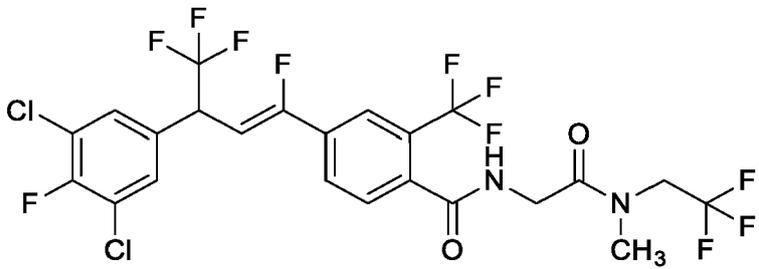
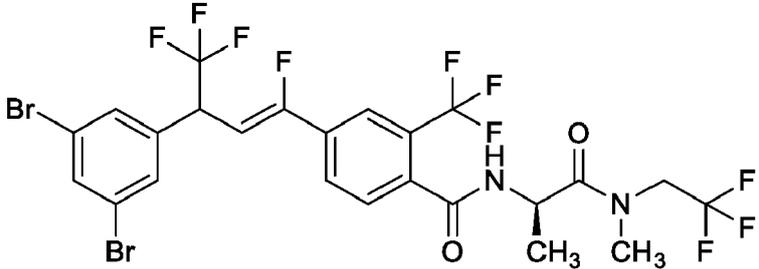
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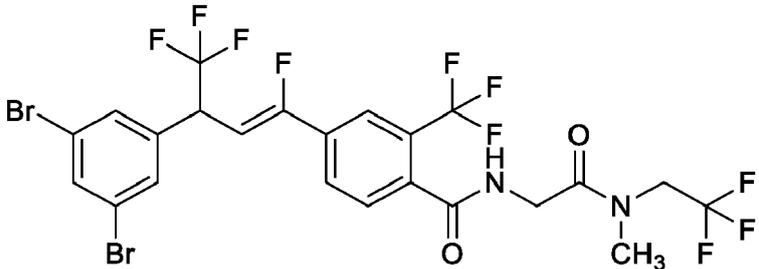
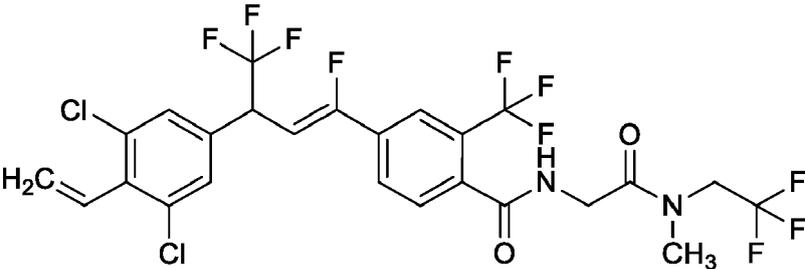
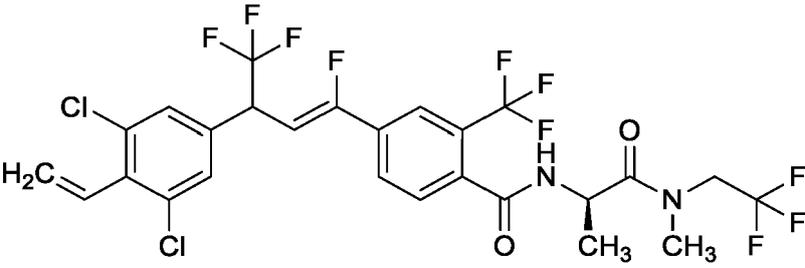
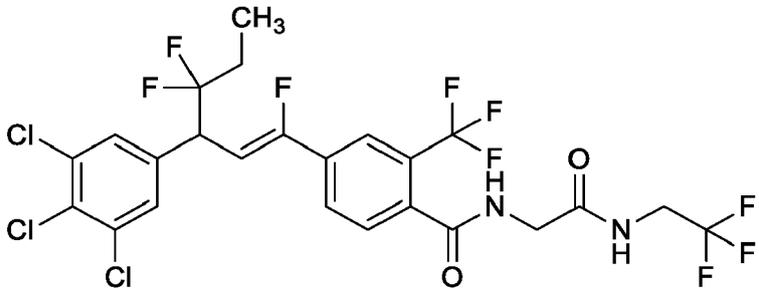
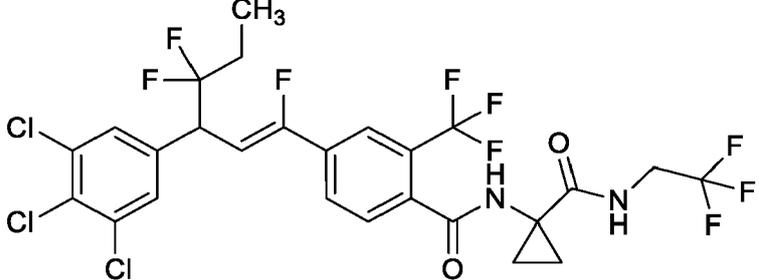
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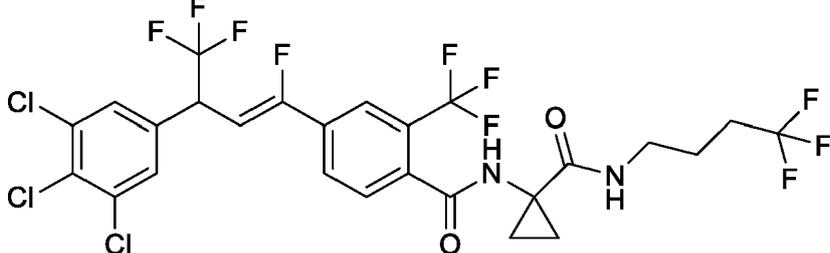
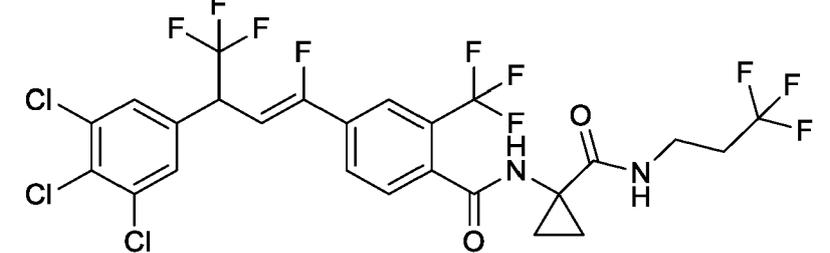
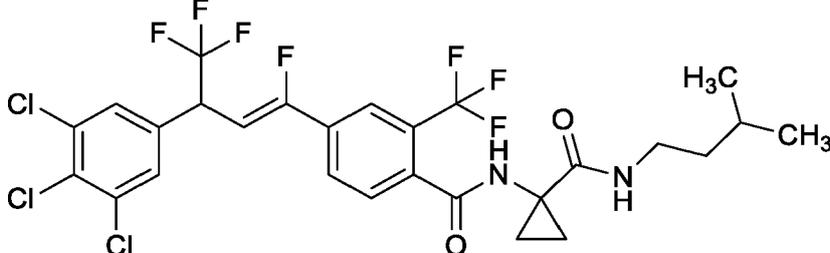
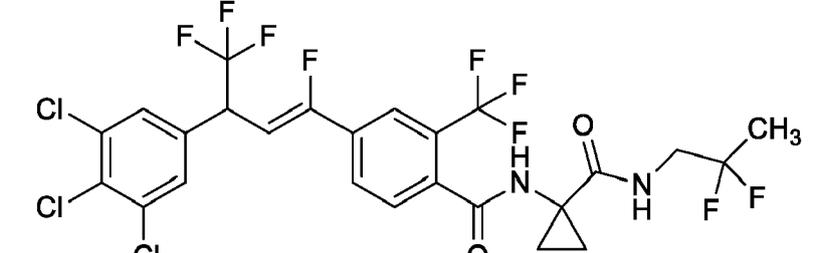
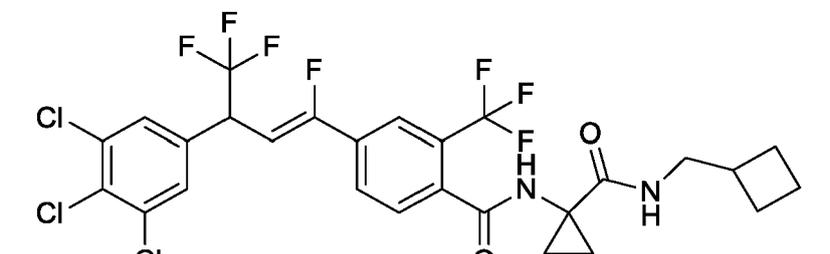
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15 F85		16
25 F86		16
35 F87		13
45 F88		13

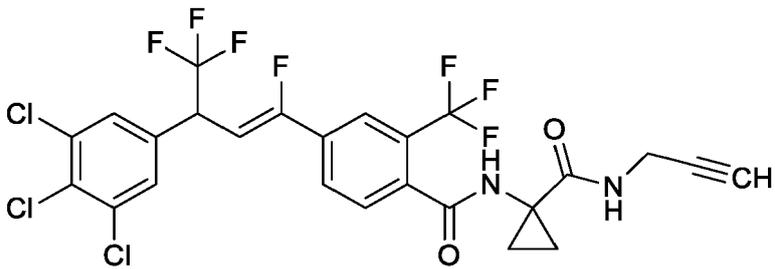
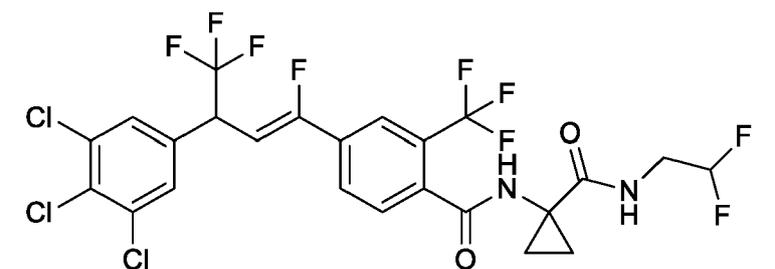
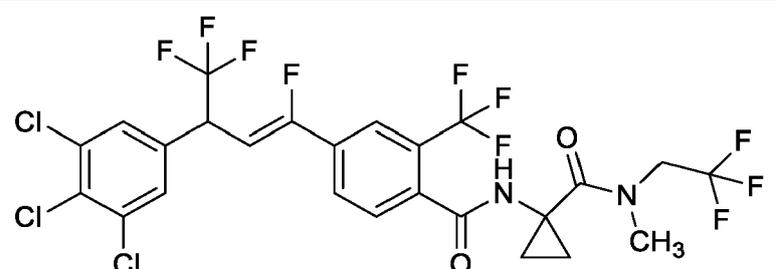
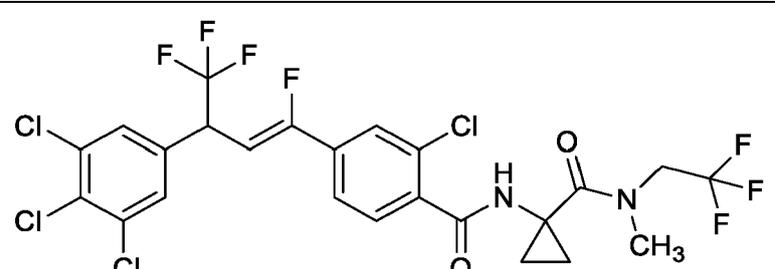
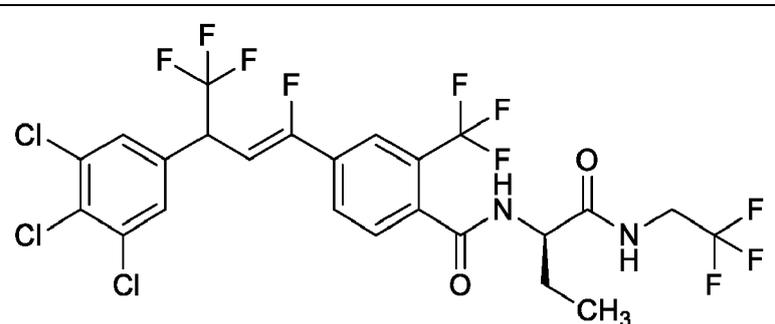
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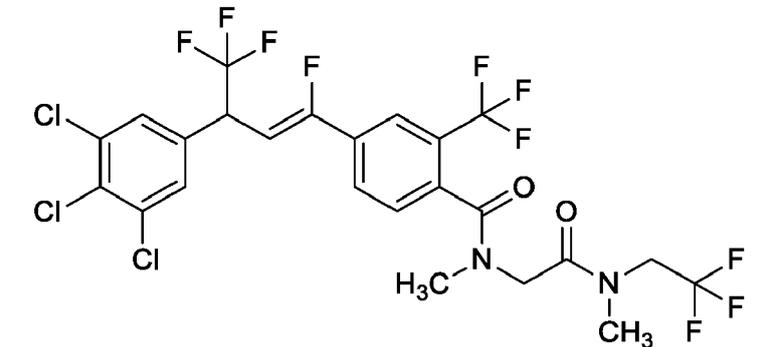
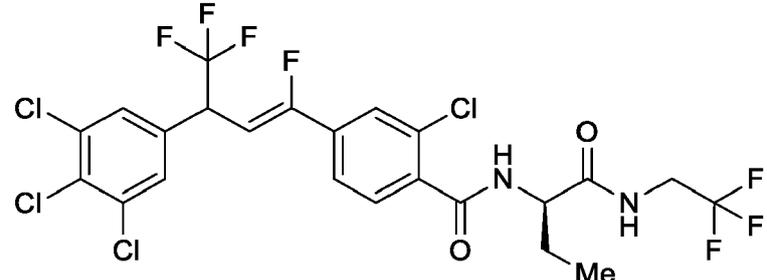
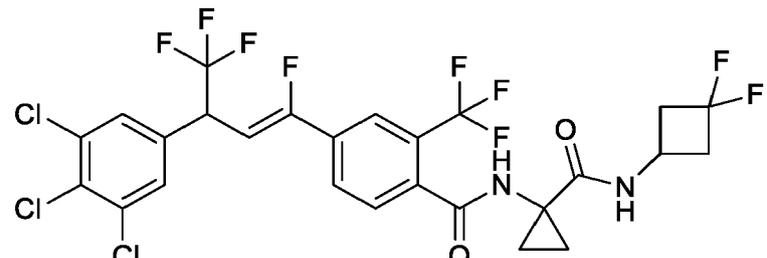
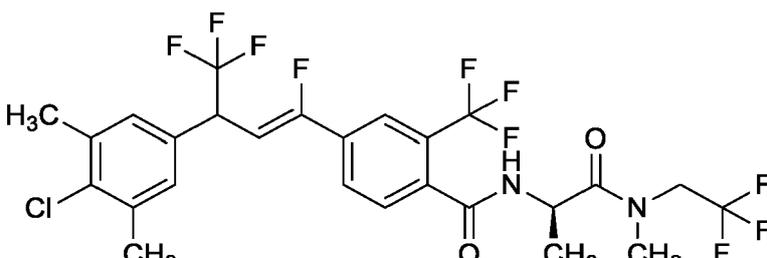
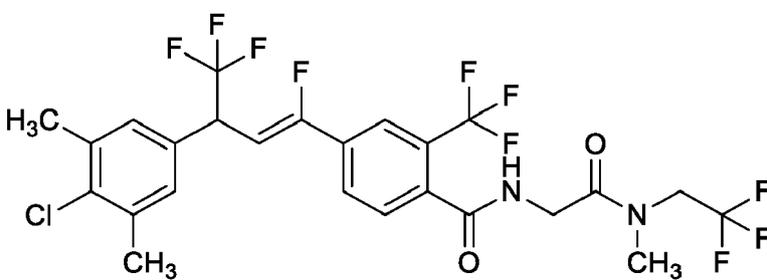
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25 F91		14
35 F92		14
45 F93		14

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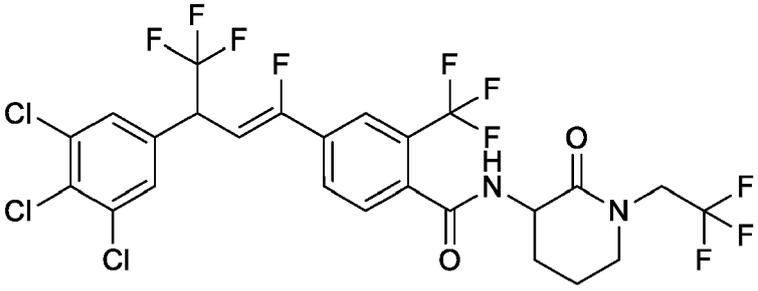
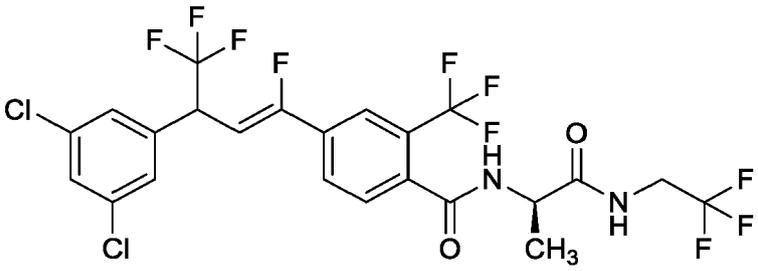
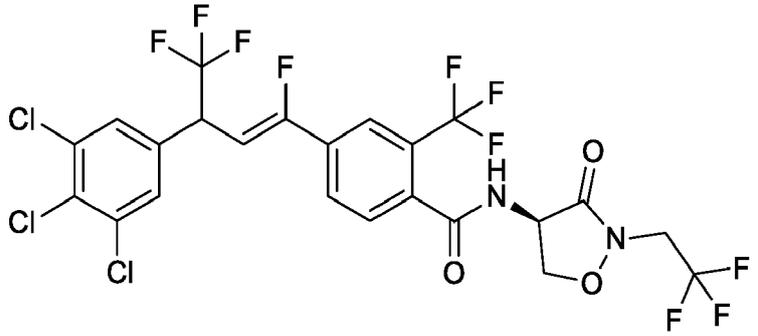
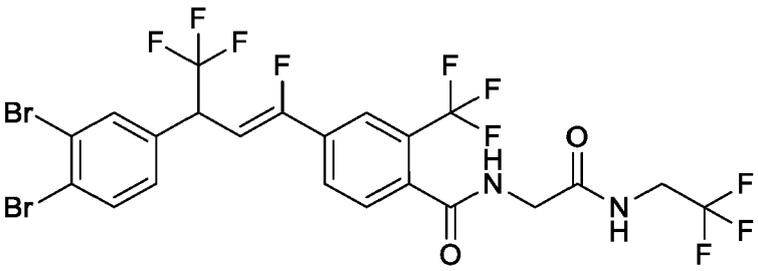
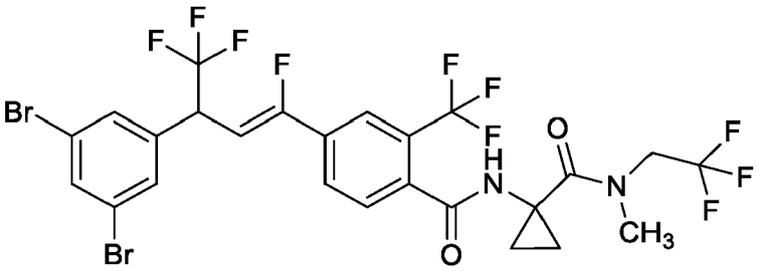
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F95		14
F96		13
F97		13
F98		13

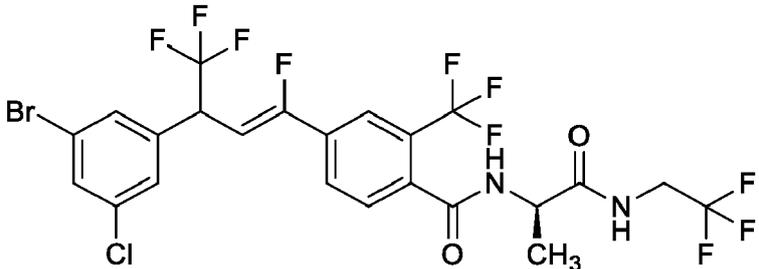
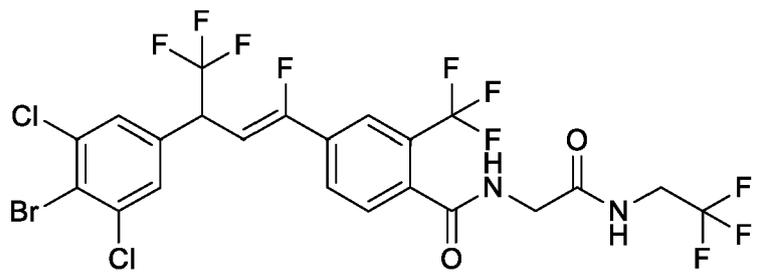
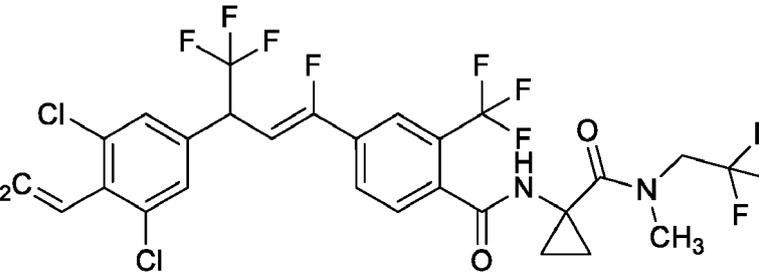
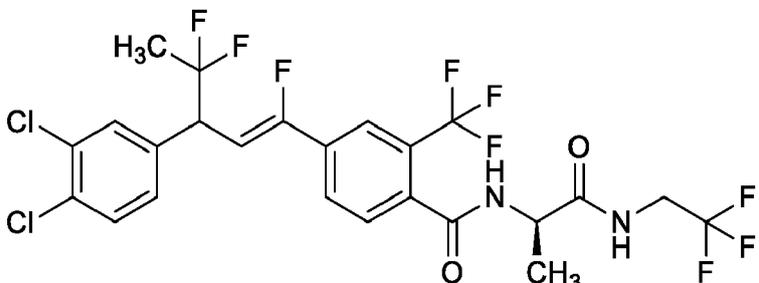
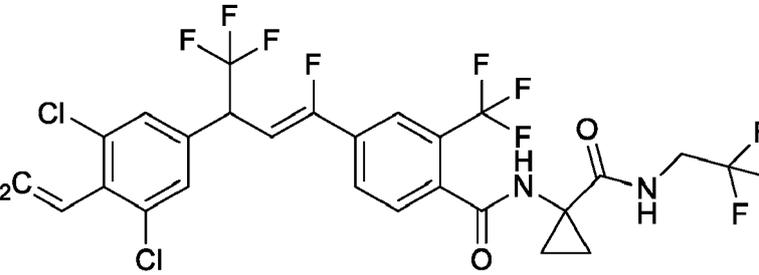
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F101		14
F102		16
F103		16

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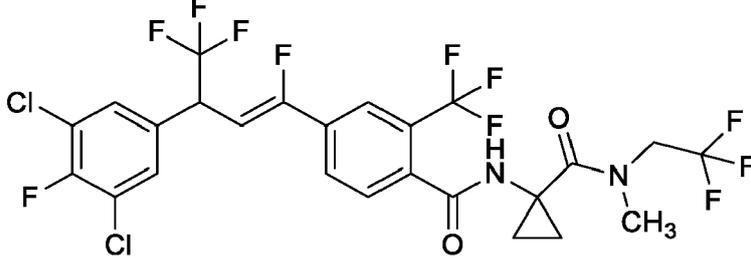
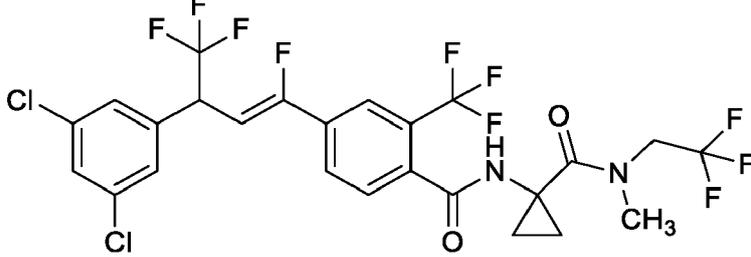
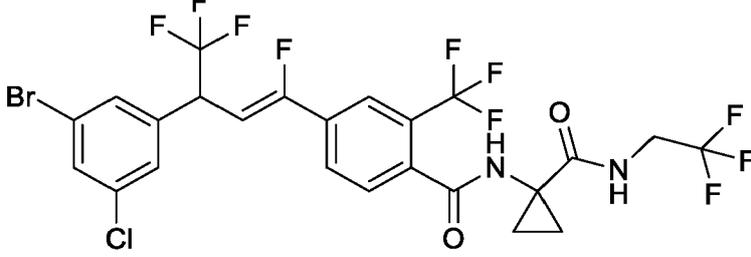
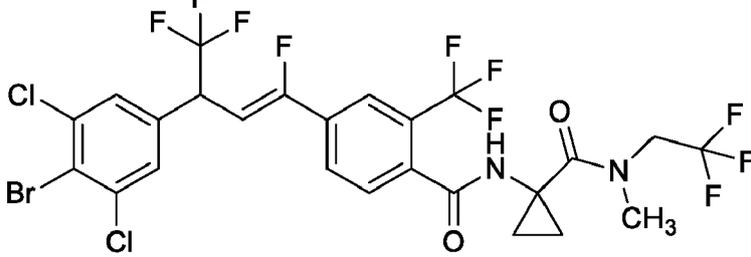
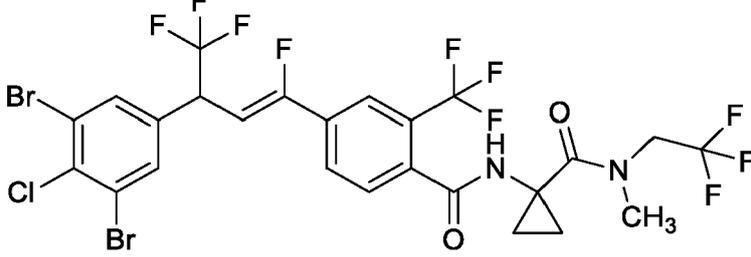
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F105		16
F106		13
F107		13
F108		16

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No.	Structure	Prep.*
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25 F111		16
35 F112		13
45 F113		16

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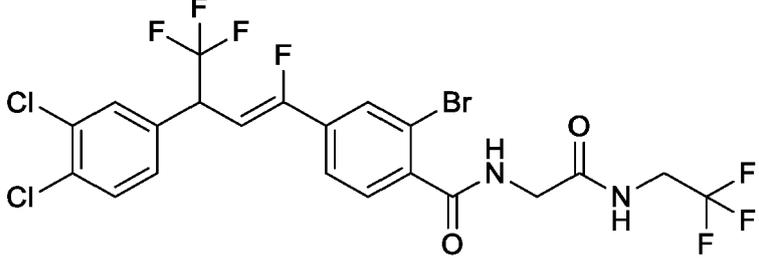
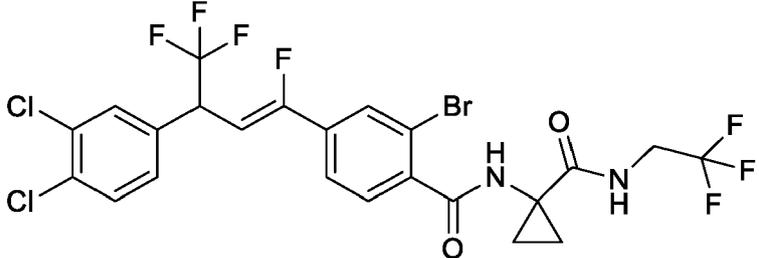
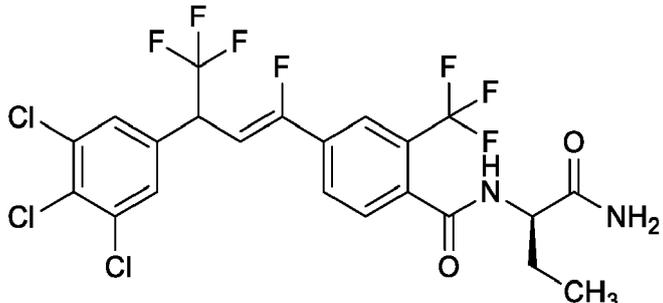
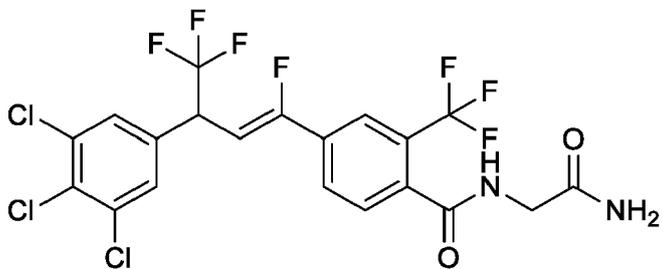
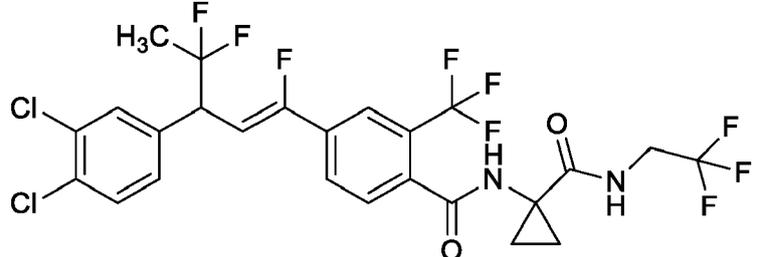
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F115		16
F116		16
F117		16
F118		16

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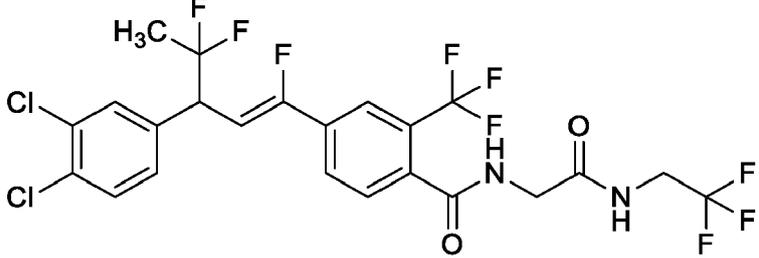
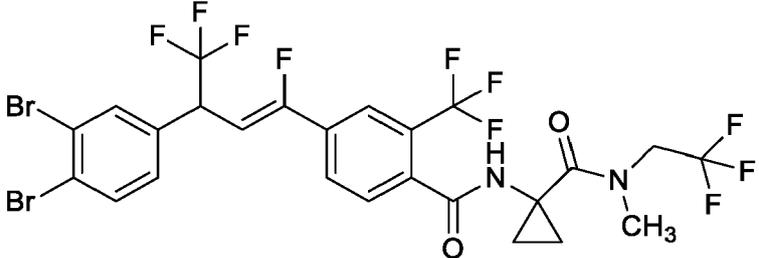
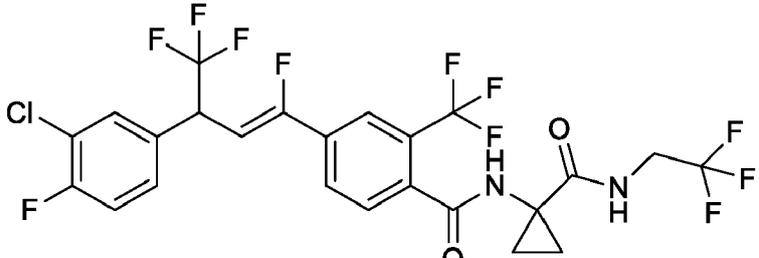
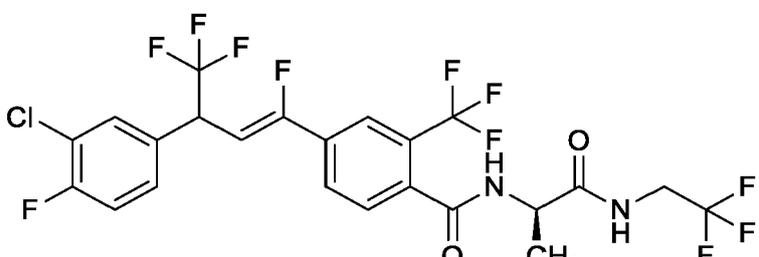
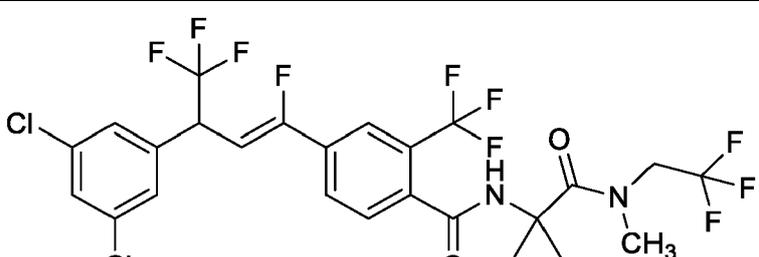
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25 F121		16
35 F122		16
45 F123		13

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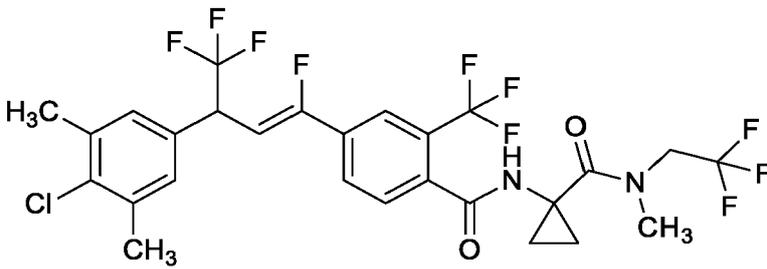
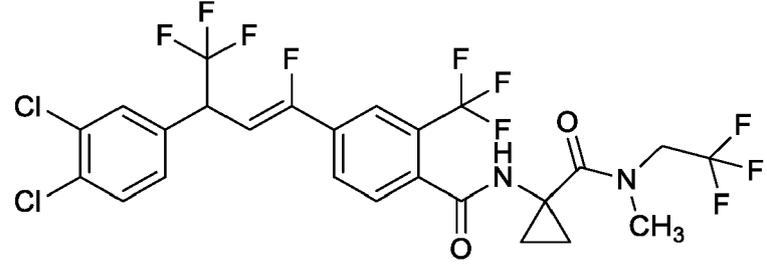
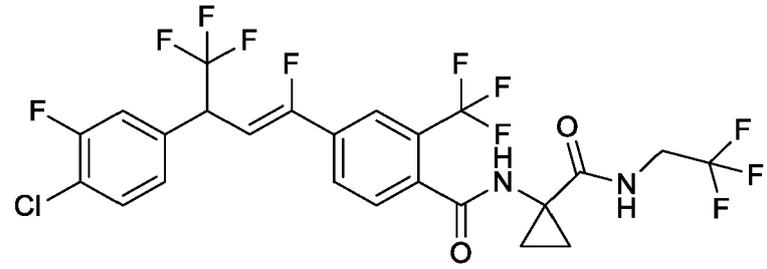
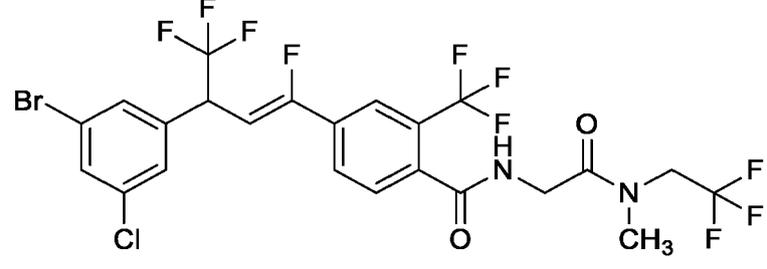
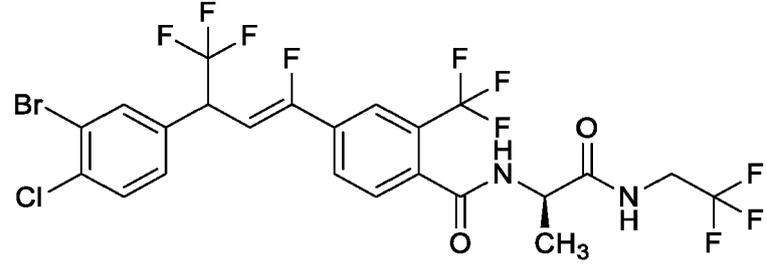
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No.	Structure	Prep.*
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F125		13
F126		15
F127		15
F128		13

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No.	Structure	Prep.*
F129		13
F130		16
F131		16
F132		13
F133		16

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No.	Structure	Prep.*
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F135		16
F136		16
F137		16
F138		16

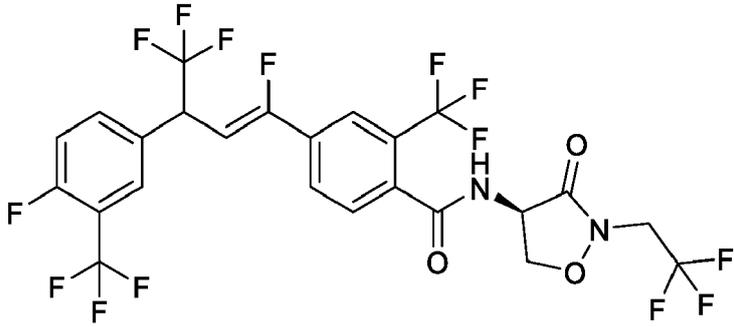
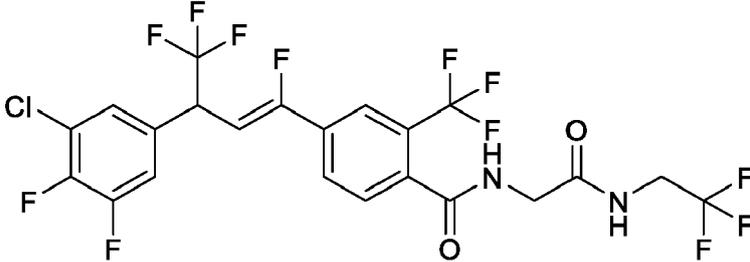
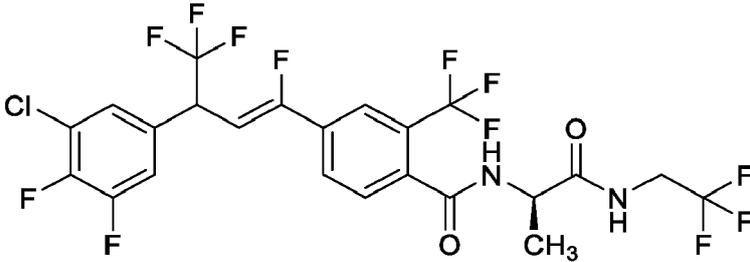
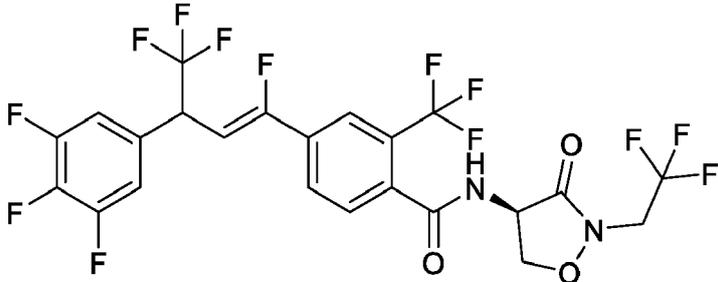
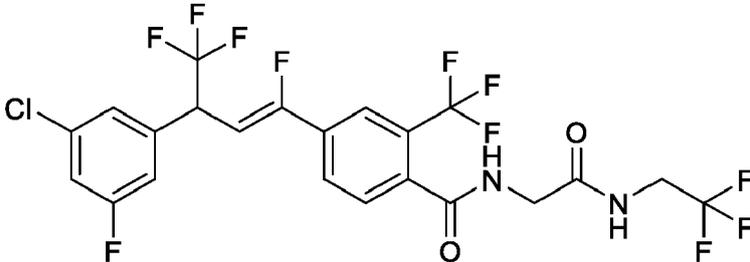
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F140		
F141		16
F142		16
F143		16

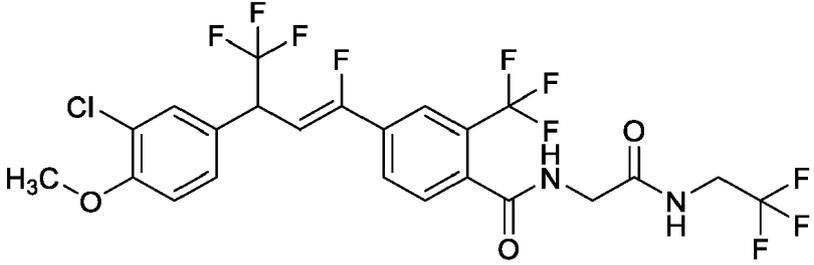
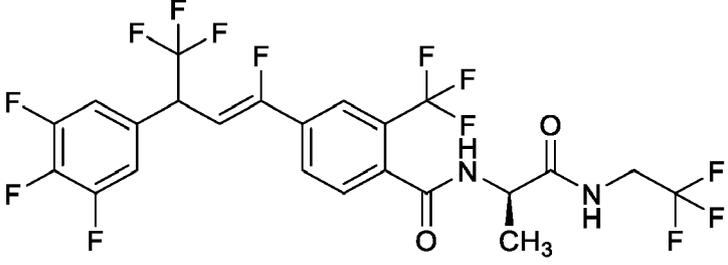
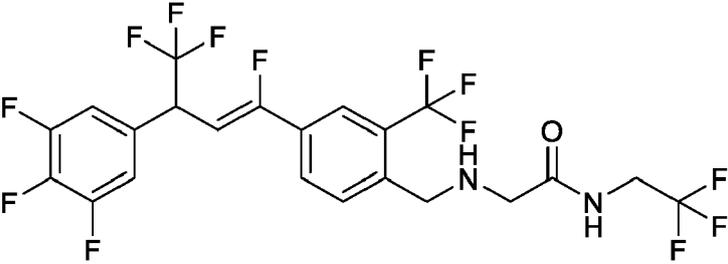
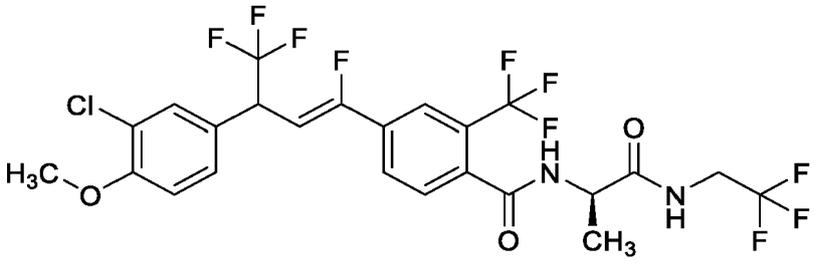
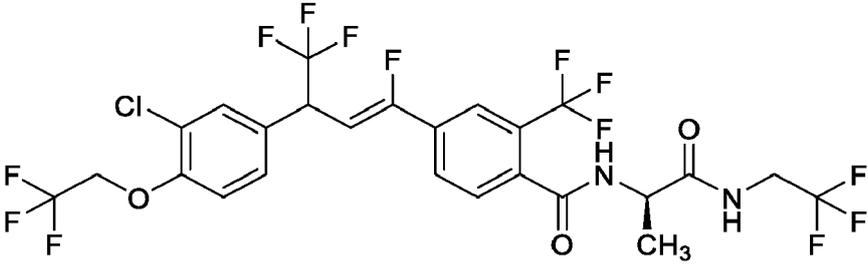
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F145		16
F146		43
F147		43
F148		43

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No.	Structure	Prep.*
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F153		16
F154		16
F155		16
F157		16

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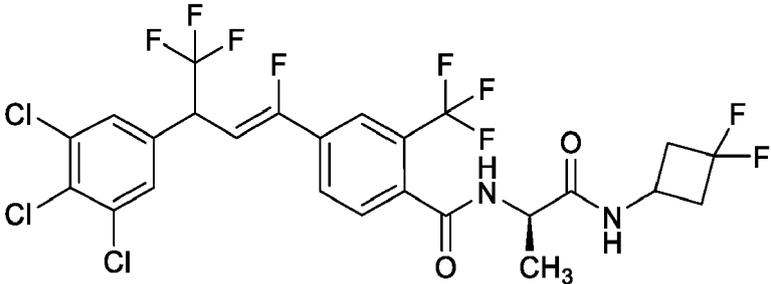
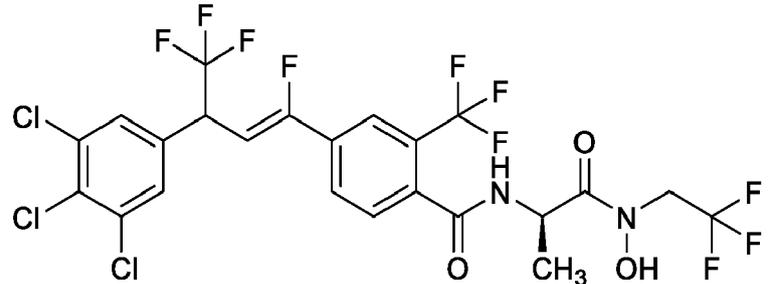
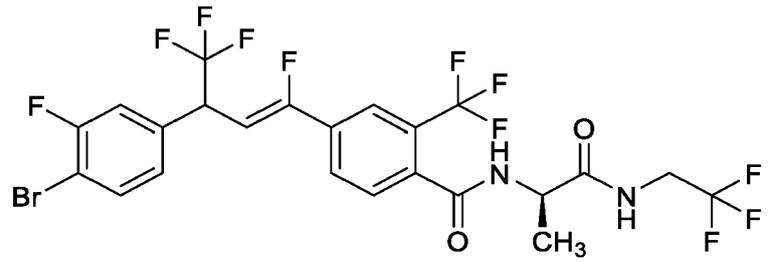
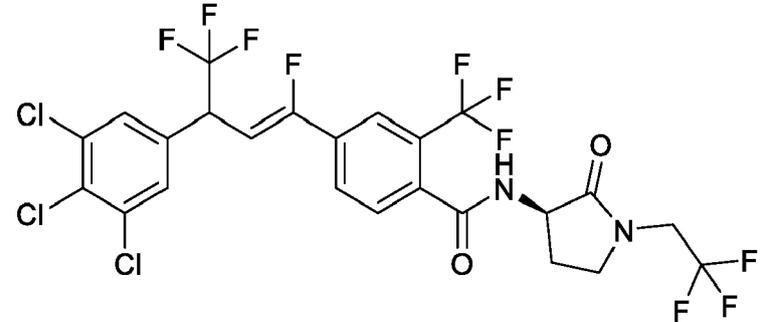
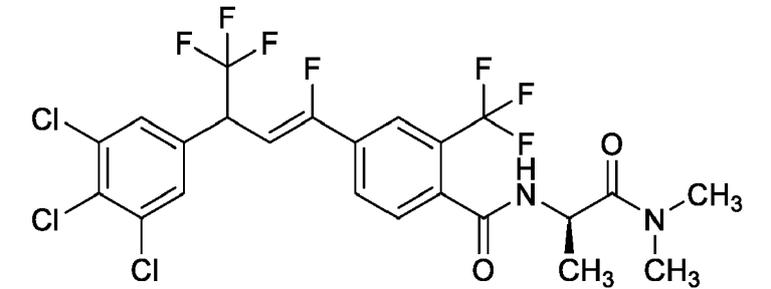
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25 F160		16
35 F161		13
45 F162		16

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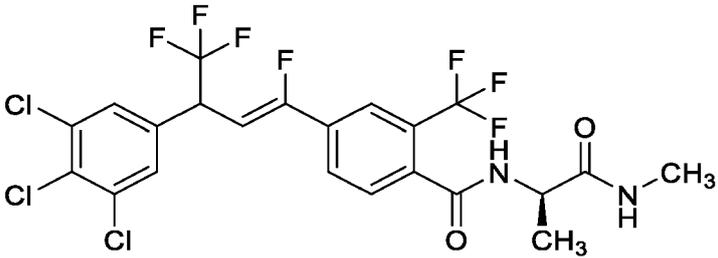
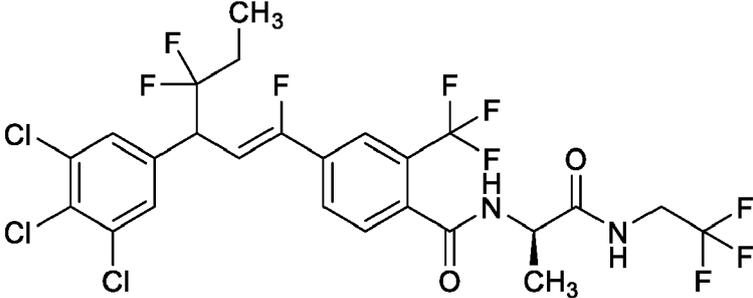
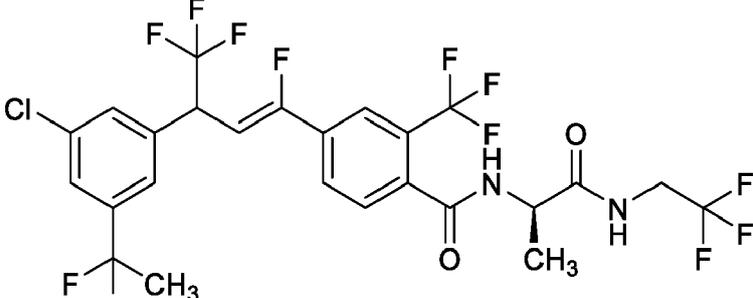
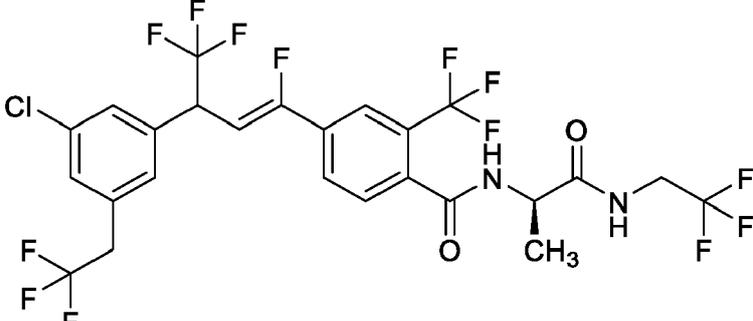
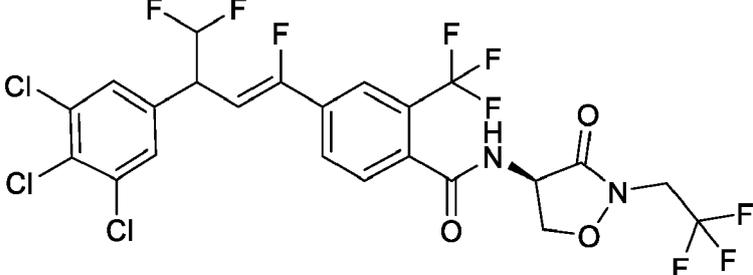
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No.	Structure	Prep.*
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F164		13
F165		13
F166		15
F167		49

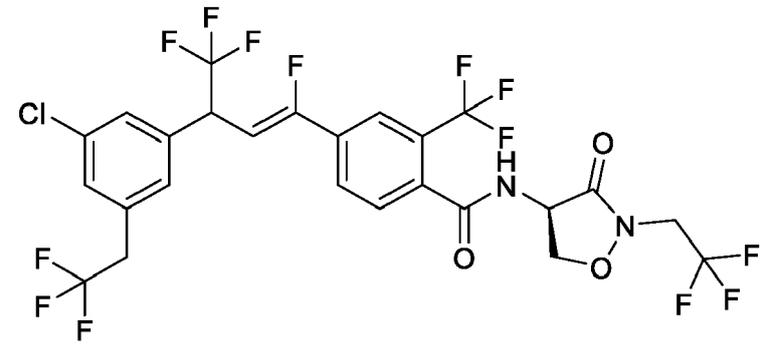
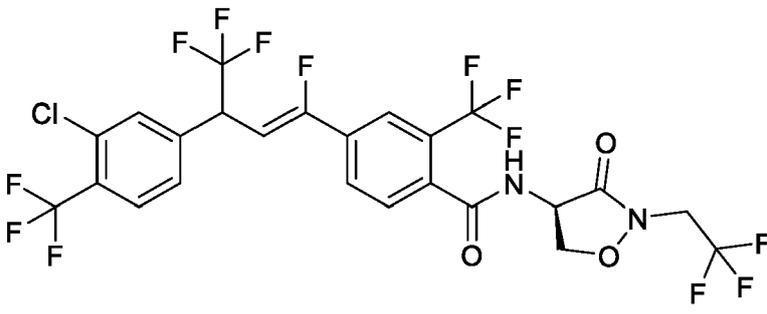
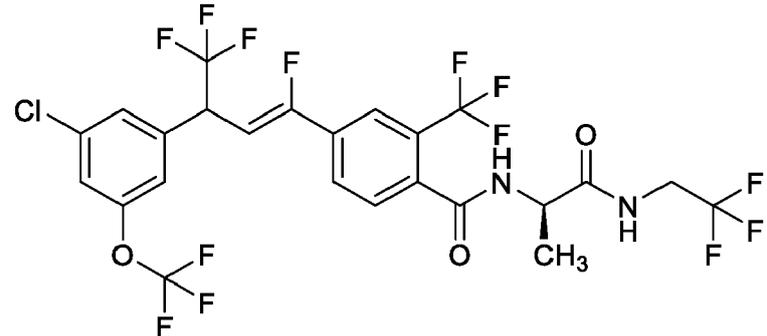
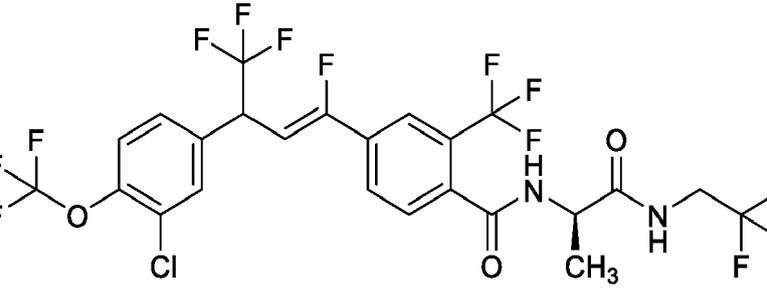
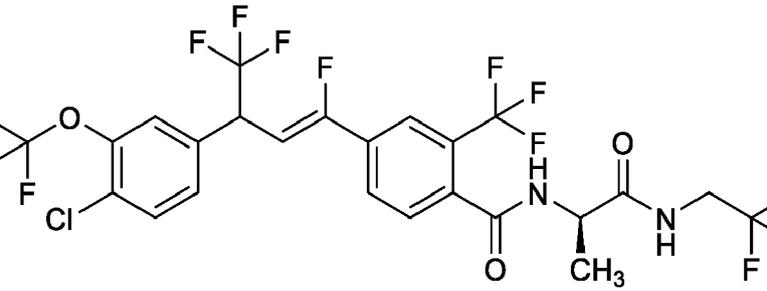
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F169		15
F170		15
F171		15
F172		15

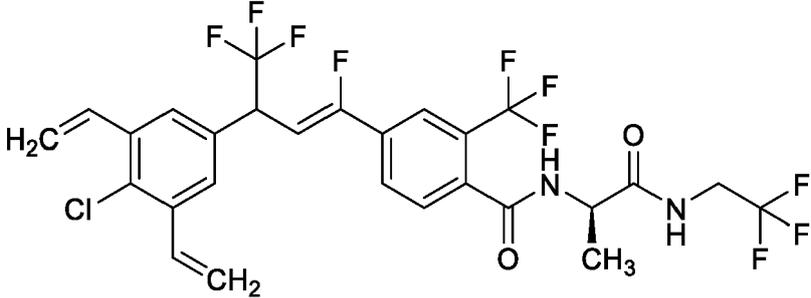
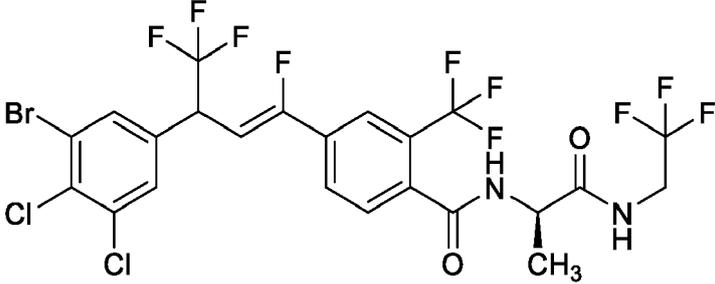
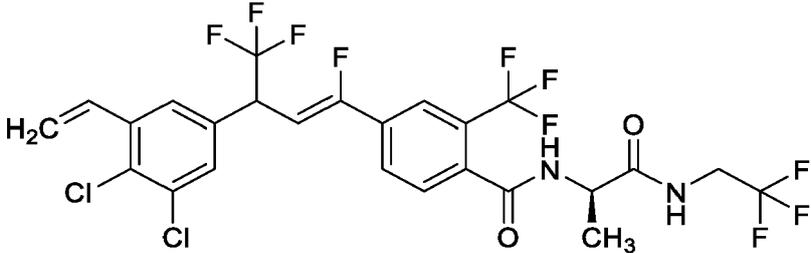
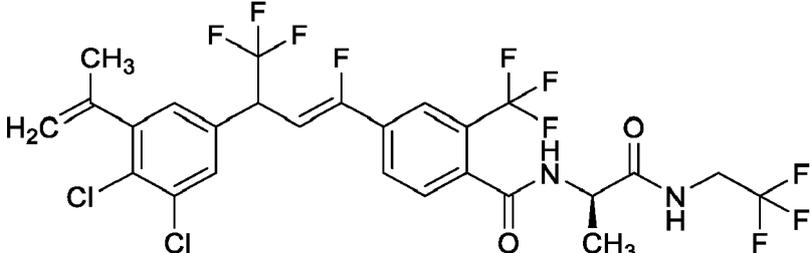
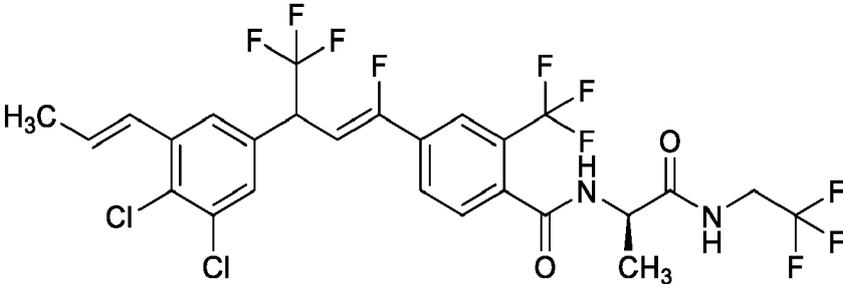
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No.	Structure	Prep.*
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15 F174		13
25 F175		13
35 F176		13
50 F177		13

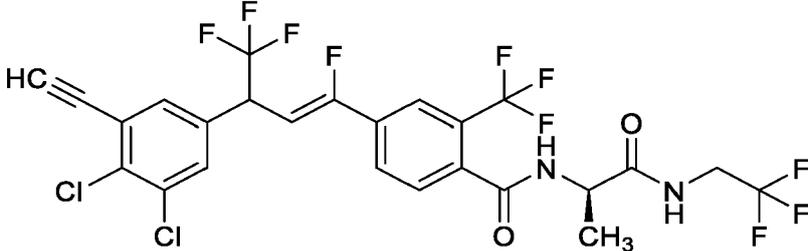
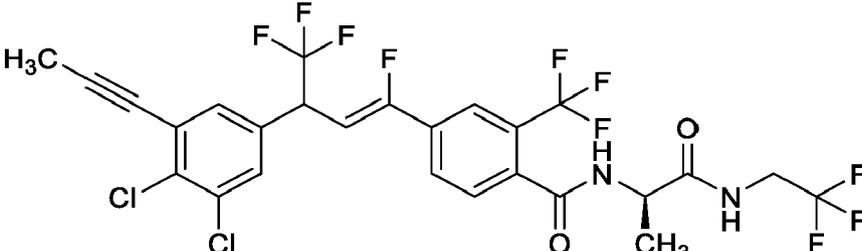
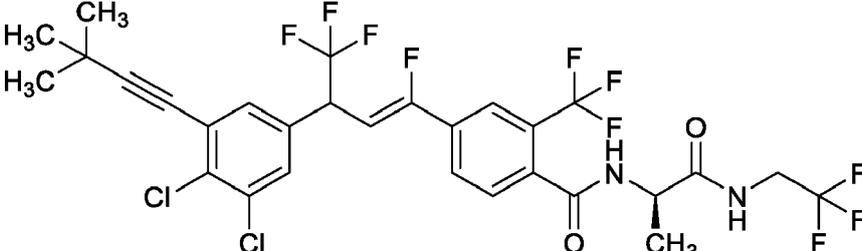
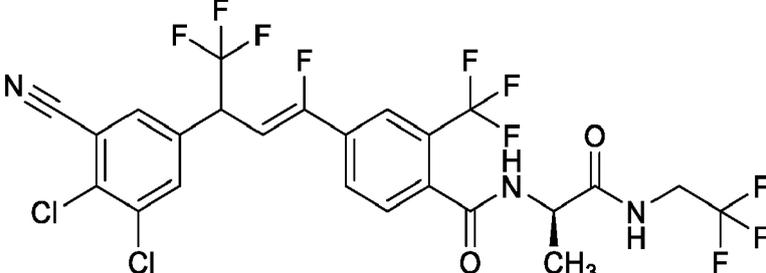
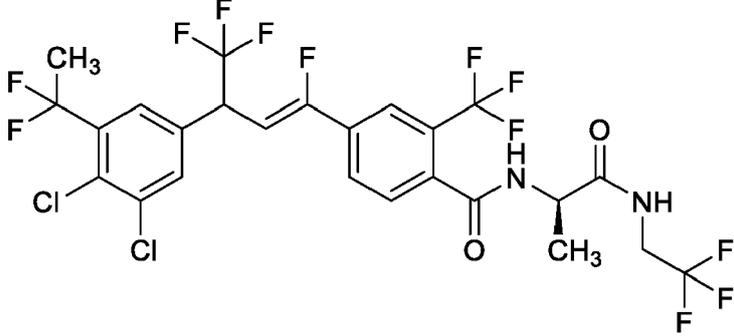
(continued)

No.	Structure	Prep.*
5 10 15 F178		13
20 25 F180		13
30 35 F181		13
40 45 F182		13
50 55 F183		13

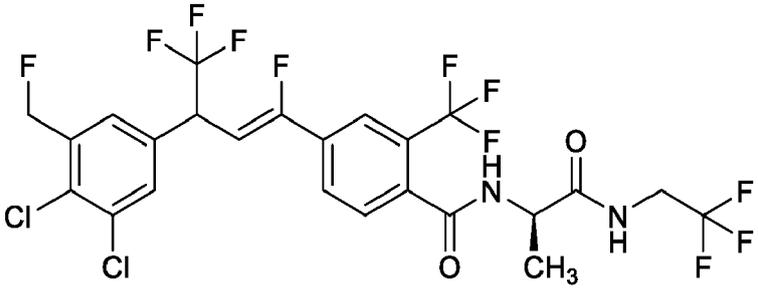
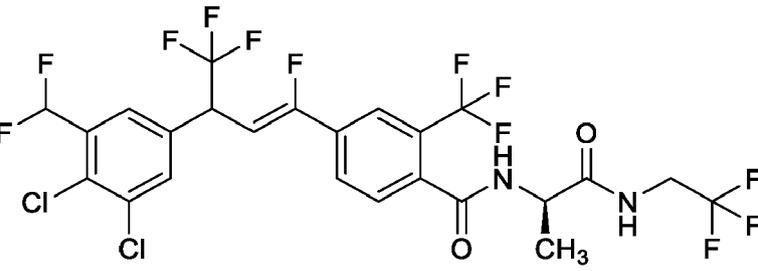
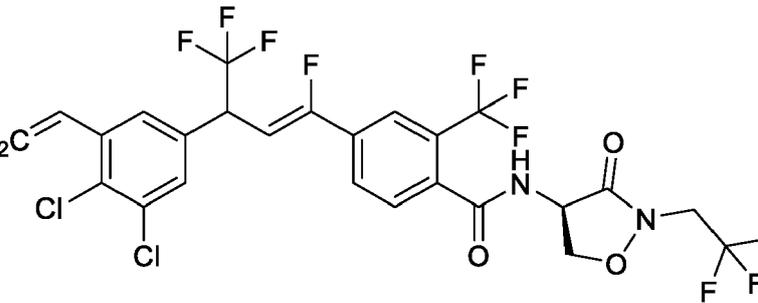
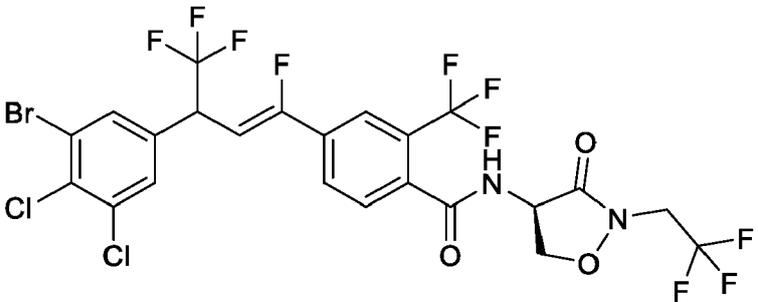
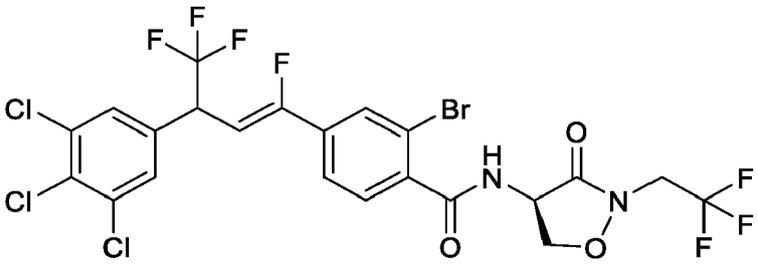
(continued)

No.	Structure	Prep.*
F184		43
F185		13
F186		43
F187		43
F188		43

(continued)

No.	Structure	Prep.*
F189		43
F190		43
F191		43
F192		43
F193		13

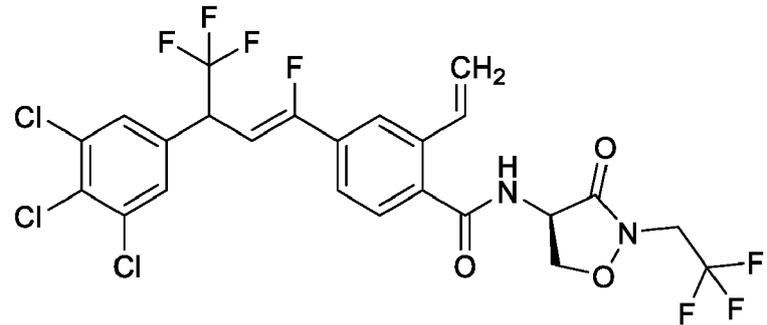
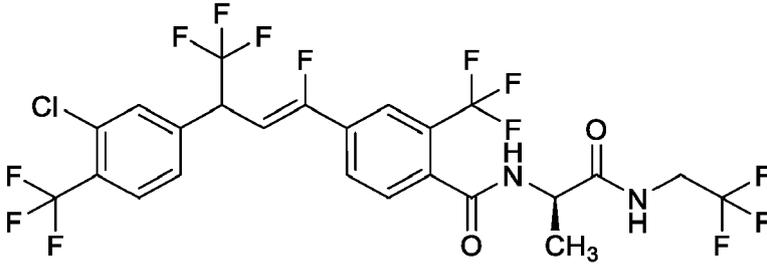
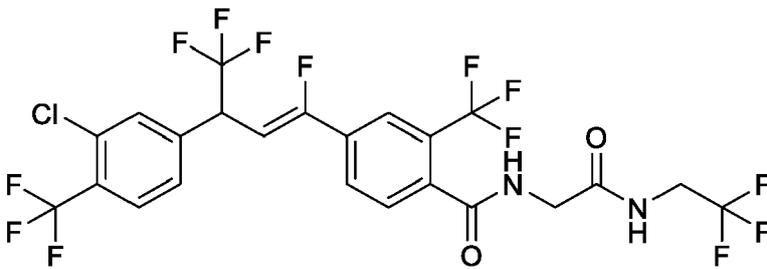
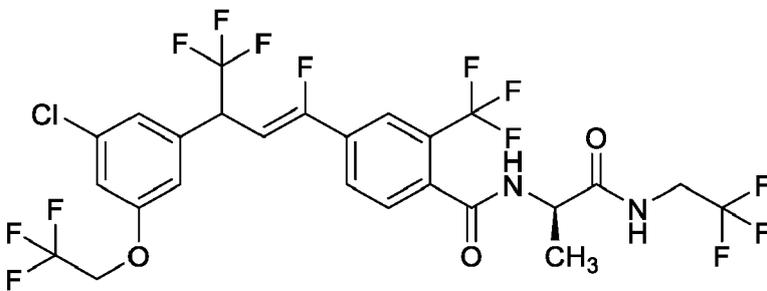
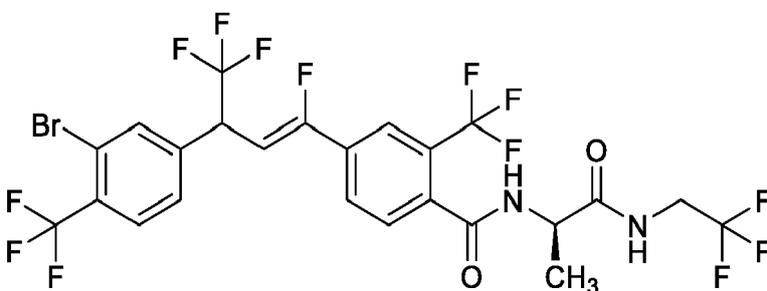
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No.	Structure	Prep.*
F194		47
F195		47
F196		13
F197		13
F198		13

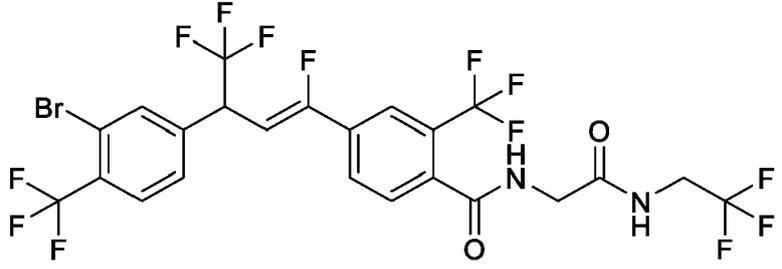
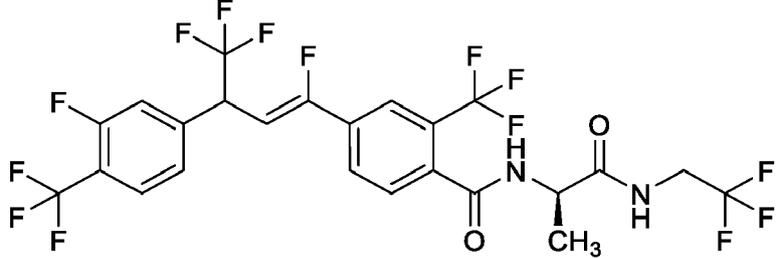
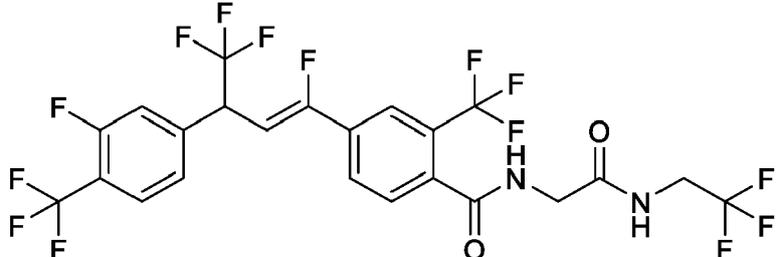
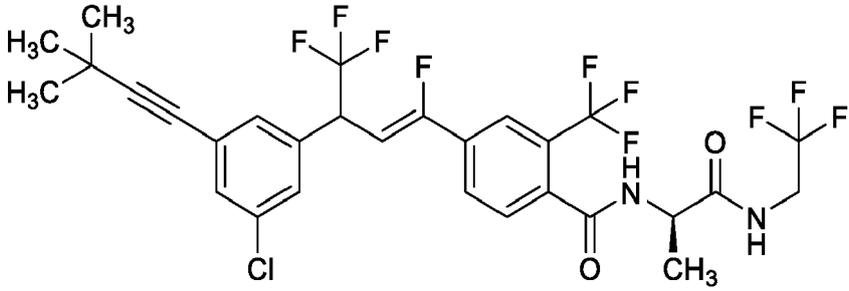
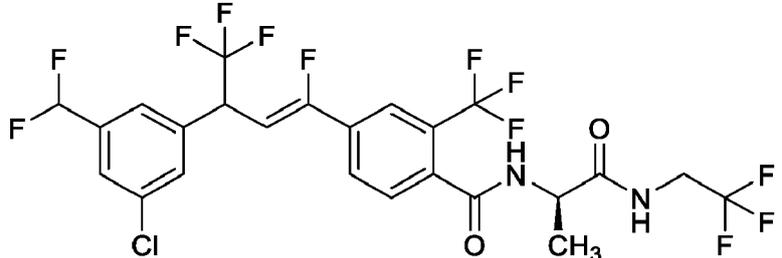
(continued)

No.	Structure	Prep.*
F199		47
F200		13
F201		13
F202		13
F203		13

(continued)

No.	Structure	Prep.*
F204	 <chem>Clc1cc(Cl)c(Cl)cc1C(F)(F)C=C(F)c2ccc(C=O)cc2N[C@@H]3COC(=O)N3CC(F)(F)F</chem>	13
F205	 <chem>Clc1cc(C(F)(F)F)ccc1C(F)(F)C=C(F)c2ccc(C(=O)N[C@@H](C)C(=O)NCC(F)(F)F)cc2F</chem>	13
F206	 <chem>Clc1cc(C(F)(F)F)ccc1C(F)(F)C=C(F)c2ccc(C(=O)NCC(=O)NCC(F)(F)F)cc2F</chem>	13
F207	 <chem>Clc1cc(C(F)(F)F)ccc1OCc2ccc(C(=O)N[C@@H](C)C(=O)NCC(F)(F)F)cc2F</chem>	48
F208	 <chem>Brc1cc(C(F)(F)F)ccc1C(F)(F)C=C(F)c2ccc(C(=O)N[C@@H](C)C(=O)NCC(F)(F)F)cc2F</chem>	48

(continued)

No.	Structure	Prep.*
F209		48
F210		13
F211		13
F212		43
F213		47

(continued)

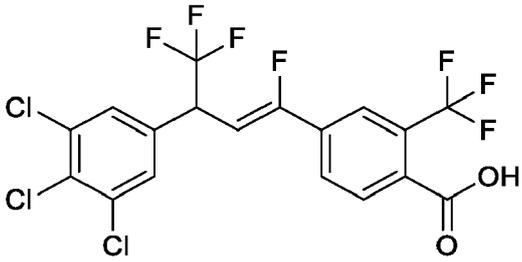
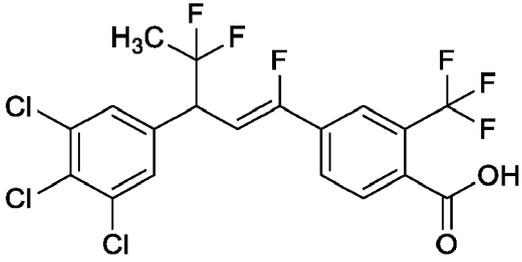
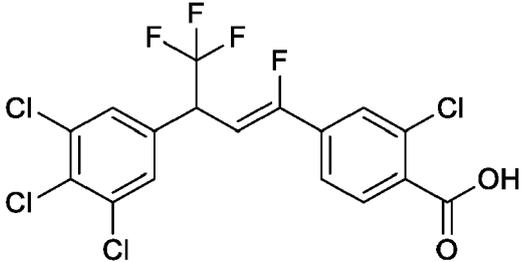
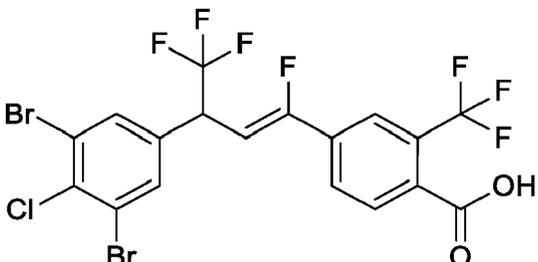
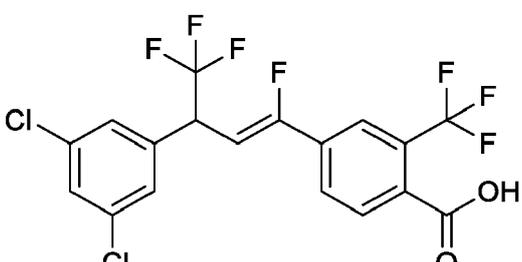
No.	Structure	Prep.*
F214		13
F215		44
F216		43
F217		13

*prepared according to example number

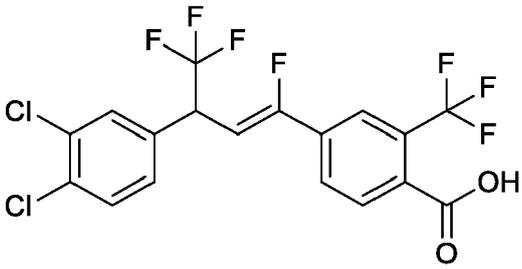
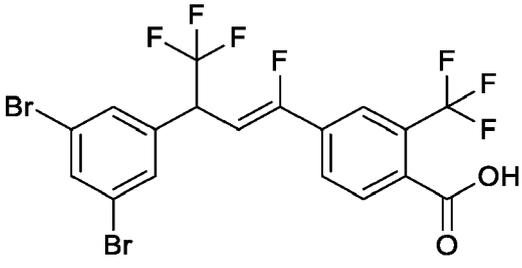
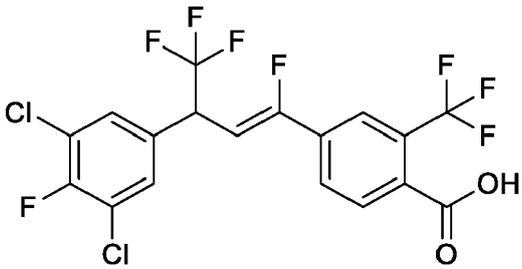
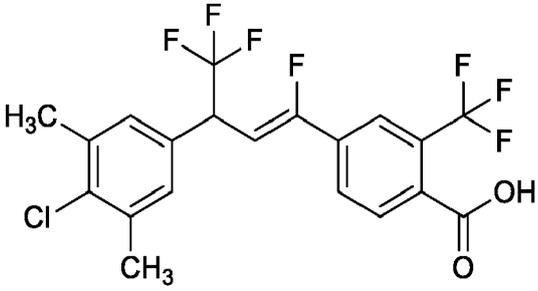
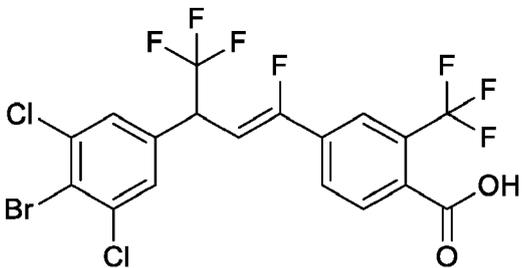
Table 3. Structure and Preparation Method for C Series Molecules

No.	Structure	Prep.*
C1		1

(continued)

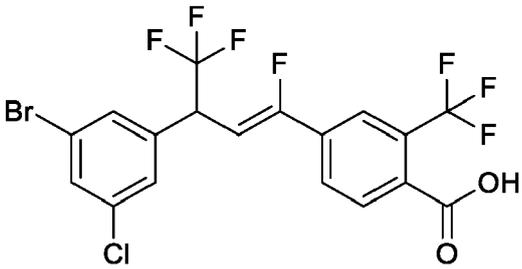
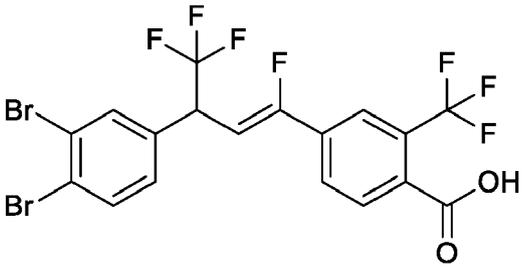
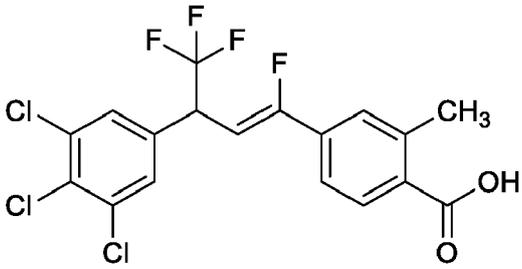
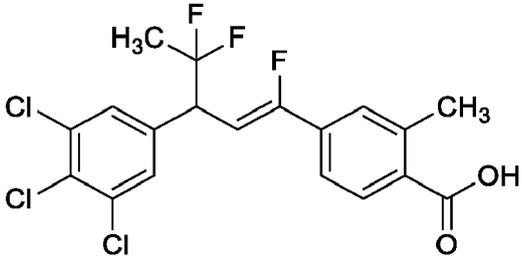
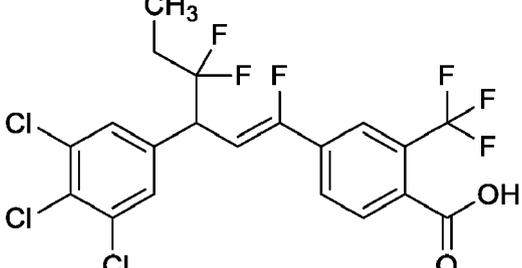
No.	Structure	Prep.*
5 C2		1
15 C3		1
25 C4		1
35 C5		1
45 C6		1

(continued)

No.	Structure	Prep.*
5 10 C7		1
15 20 C8		1
25 30 C9		1
35 40 C10		1
45 50 C11		1

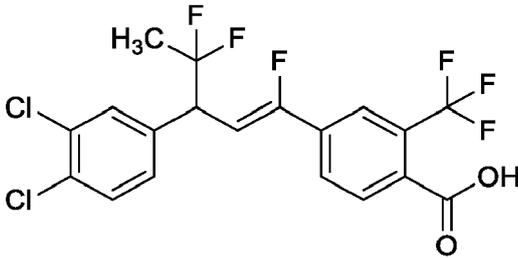
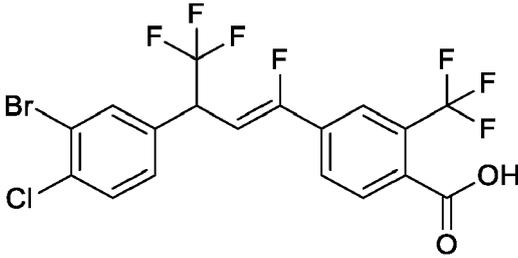
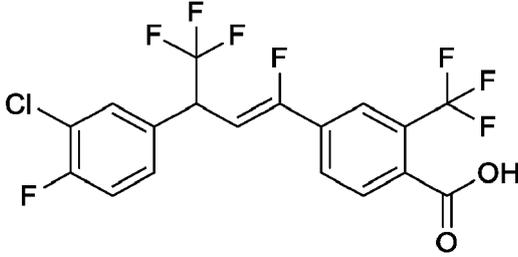
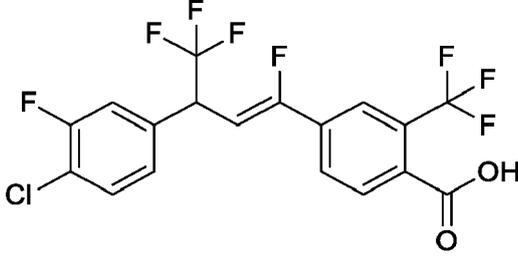
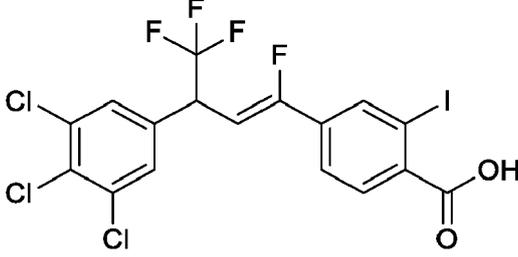
55

(continued)

No.	Structure	Prep.*
5 10 C12		1
15 20 C13		1
25 30 C14		1
35 40 C15		1
45 50 C16		1

55

(continued)

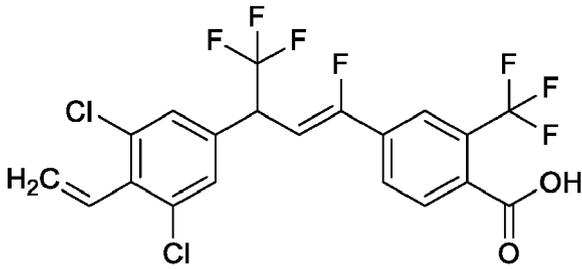
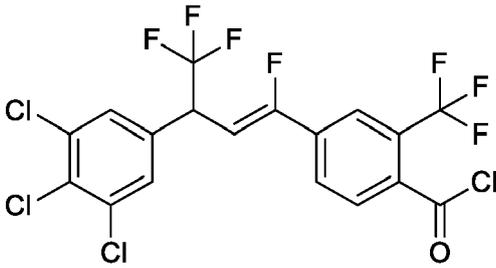
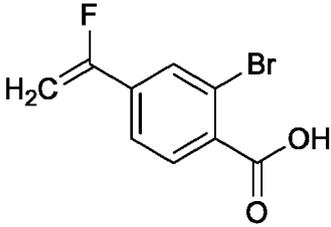
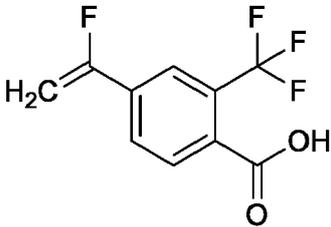
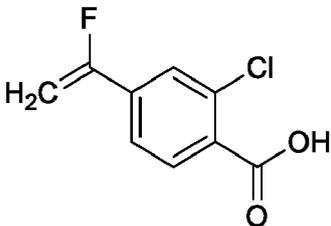
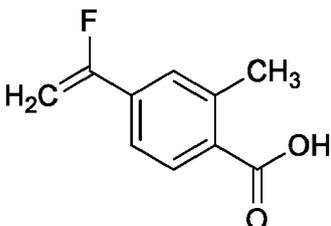
No.	Structure	Prep.*
5 10 C17		1
15 20 C18		1
25 30 C19		1
35 40 C20		1
45 50 C21		2

50

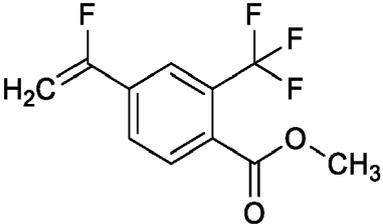
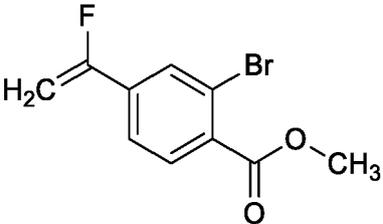
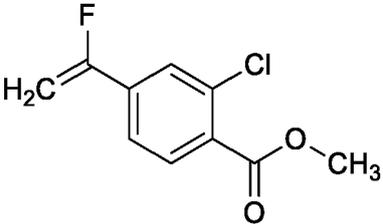
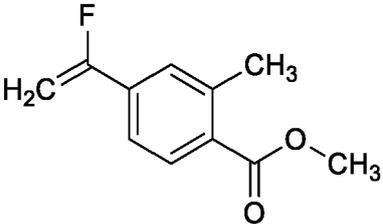
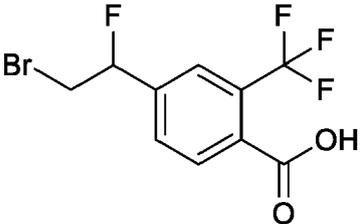
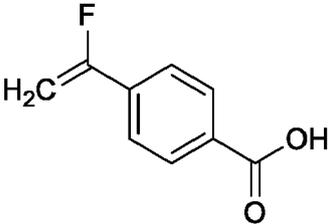
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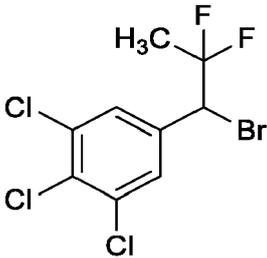
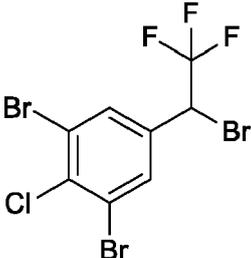
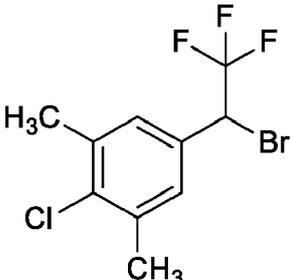
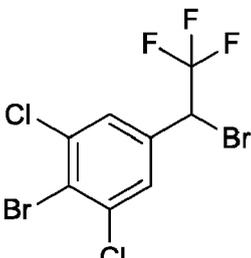
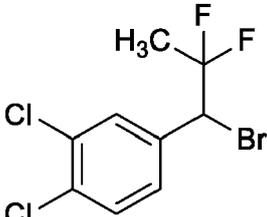
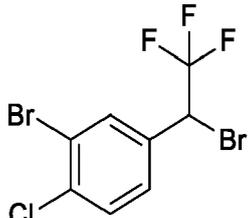
No.	Structure	Prep.*
C22		3
C23		4
C24		5
C25		5,7
C26		5
C27		5,7

(continued)

No.	Structure	Prep.*
5 C28	 <p>Chemical structure of 4-(2-fluorovinyl)-2,2,2-trifluoro-3-methoxybenzoic acid methyl ester. The structure shows a benzene ring with a 2-fluorovinyl group at the para position, a trifluoromethyl group at the ortho position, and a methoxy carbonyl group at the other ortho position.</p>	6
10 C29	 <p>Chemical structure of 4-(2-fluorovinyl)-3-bromo-2-methoxybenzoic acid methyl ester. The structure shows a benzene ring with a 2-fluorovinyl group at the para position, a bromine atom at the ortho position, and a methoxy carbonyl group at the other ortho position.</p>	6
15 C30	 <p>Chemical structure of 4-(2-fluorovinyl)-3-chloro-2-methoxybenzoic acid methyl ester. The structure shows a benzene ring with a 2-fluorovinyl group at the para position, a chlorine atom at the ortho position, and a methoxy carbonyl group at the other ortho position.</p>	6
20 C31	 <p>Chemical structure of 4-(2-fluorovinyl)-3-methyl-2-methoxybenzoic acid methyl ester. The structure shows a benzene ring with a 2-fluorovinyl group at the para position, a methyl group at the ortho position, and a methoxy carbonyl group at the other ortho position.</p>	6
25 C32	 <p>Chemical structure of 4-(2-bromoethyl)-2,2,2-trifluoro-3-(2-fluorophenyl)propanoic acid. The structure shows a benzene ring with a 2-bromoethyl group at the para position, a trifluoromethyl group at the ortho position, and a carboxylic acid group at the other ortho position.</p>	7
30 C33	 <p>Chemical structure of 4-(2-fluorovinyl)benzoic acid. The structure shows a benzene ring with a 2-fluorovinyl group at the para position and a carboxylic acid group at the other para position.</p>	7

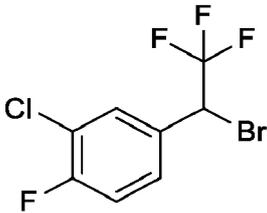
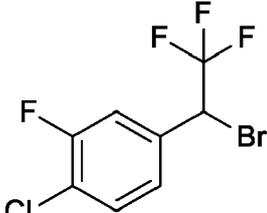
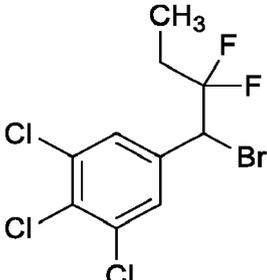
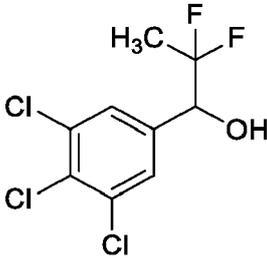
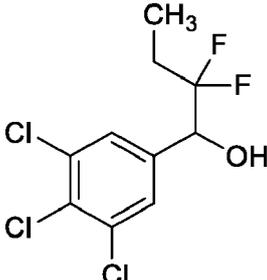
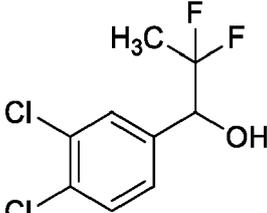
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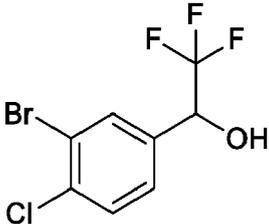
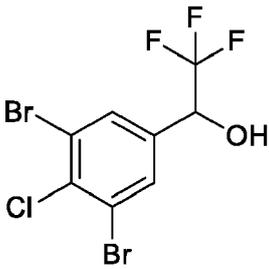
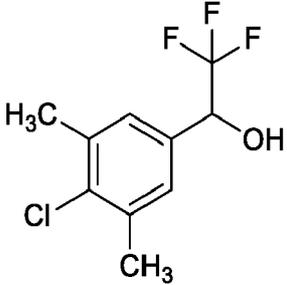
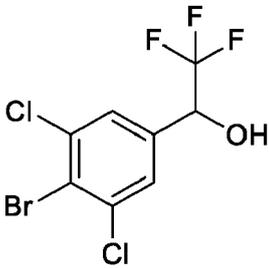
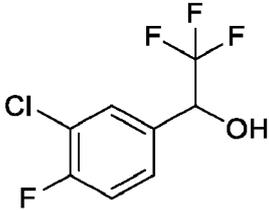
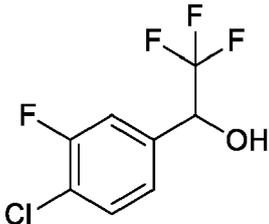
No.	Structure	Prep.*
5 10 C34	 <chem>CC(F)(F)C(Br)c1cc(Cl)c(Cl)c(Cl)c1</chem>	8
15 20 C35	 <chem>BrC1=CC(Cl)=CC(Br)=C1C(Br)C(F)F</chem>	8
25 30 C36	 <chem>CC1=CC(Cl)=CC(C)=C1C(Br)C(F)F</chem>	8
35 40 C37	 <chem>ClC1=CC(Br)=CC(Cl)=C1C(Br)C(F)F</chem>	8
45 50 C38	 <chem>CC(F)(F)C(Br)c1cc(Cl)c(Cl)cc1</chem>	8
55 C39	 <chem>BrC1=CC(Cl)=CC=C1C(Br)C(F)F</chem>	8

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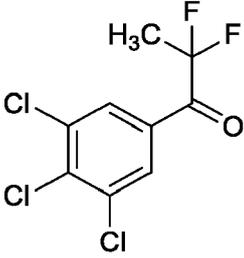
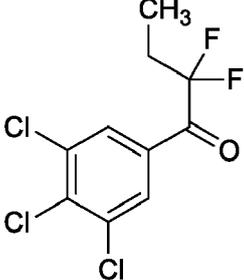
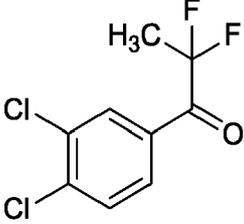
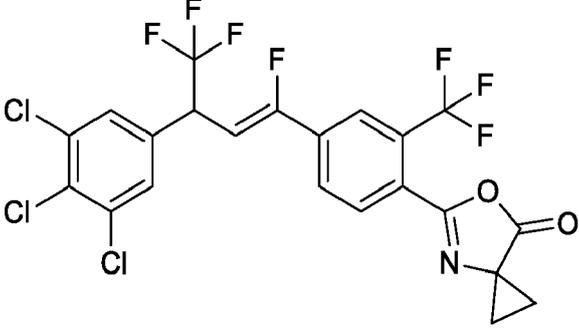
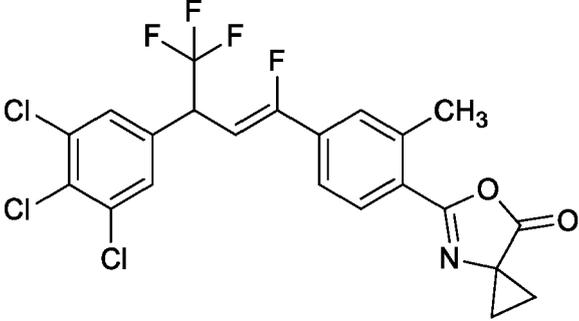
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No.	Structure	Prep.*
C40		8
C41		8
C42		9
C43		10
C44		10
C45		10

(continued)

No.	Structure	Prep.*
5 C46	 <p>Chemical structure of 2-(3-bromo-4-chlorophenyl)-2,2,2-trifluoroethanol. It consists of a benzene ring with a bromine atom at the 3-position and a chlorine atom at the 4-position. A 2,2,2-trifluoroethyl group is attached to the 1-position of the ring, with the hydroxyl group (-OH) pointing downwards.</p>	11
10 C47	 <p>Chemical structure of 2-(3-bromo-5-chlorophenyl)-2,2,2-trifluoroethanol. It consists of a benzene ring with a bromine atom at the 3-position and a chlorine atom at the 5-position. A 2,2,2-trifluoroethyl group is attached to the 1-position of the ring, with the hydroxyl group (-OH) pointing downwards.</p>	11
15 C48	 <p>Chemical structure of 2-(3-chloro-4,6-dimethylphenyl)-2,2,2-trifluoroethanol. It consists of a benzene ring with a chlorine atom at the 3-position and methyl groups (-CH₃) at the 4 and 6 positions. A 2,2,2-trifluoroethyl group is attached to the 1-position of the ring, with the hydroxyl group (-OH) pointing downwards.</p>	11
20 C49	 <p>Chemical structure of 2-(3-bromo-4,6-dichlorophenyl)-2,2,2-trifluoroethanol. It consists of a benzene ring with a bromine atom at the 3-position and chlorine atoms at the 4 and 6 positions. A 2,2,2-trifluoroethyl group is attached to the 1-position of the ring, with the hydroxyl group (-OH) pointing downwards.</p>	11
25 C50	 <p>Chemical structure of 2-(3-chloro-5-fluorophenyl)-2,2,2-trifluoroethanol. It consists of a benzene ring with a chlorine atom at the 3-position and a fluorine atom at the 5-position. A 2,2,2-trifluoroethyl group is attached to the 1-position of the ring, with the hydroxyl group (-OH) pointing downwards.</p>	11
30 C51	 <p>Chemical structure of 2-(3-chloro-5-fluorophenyl)-2,2,2-trifluoroethanol. It consists of a benzene ring with a fluorine atom at the 3-position and a chlorine atom at the 5-position. A 2,2,2-trifluoroethyl group is attached to the 1-position of the ring, with the hydroxyl group (-OH) pointing downwards.</p>	11

(continued)

No.	Structure	Prep.*
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10 C53		12
25 C54		12
35 C55		19
45 C56		19

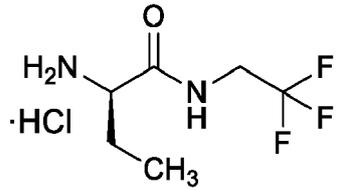
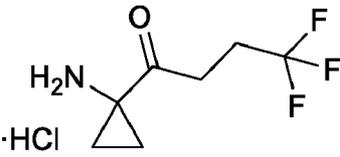
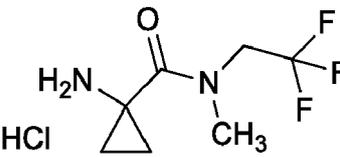
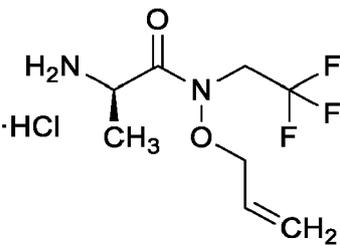
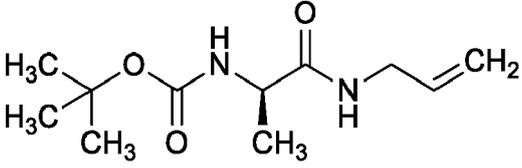
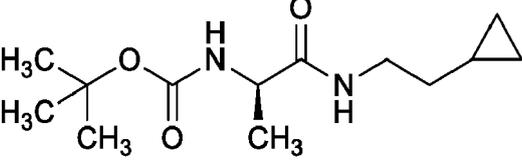
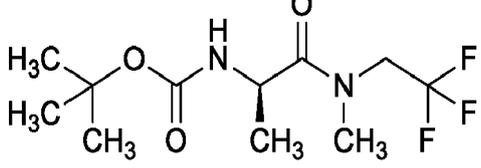
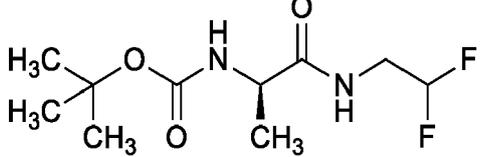
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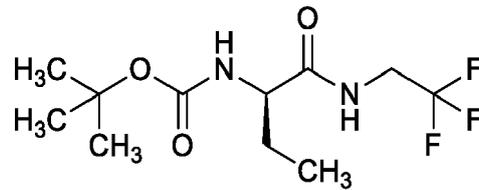
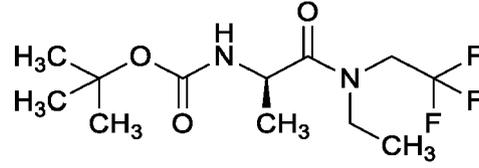
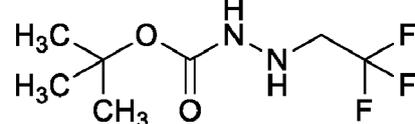
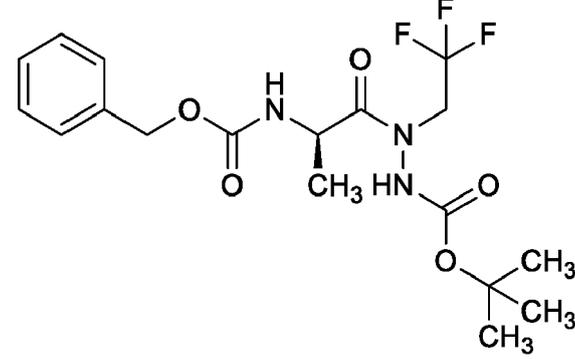
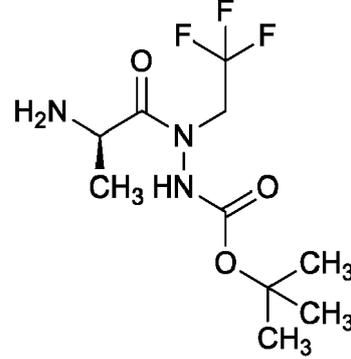
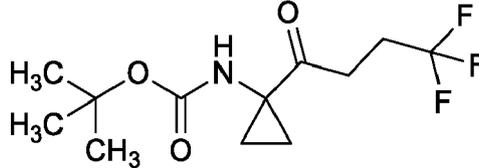
No.	Structure	Prep.*
C57		20
C58		20
C59		21
C60		21
C61		21
C62		21
C63		21

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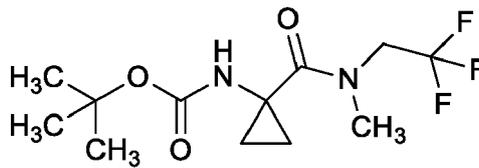
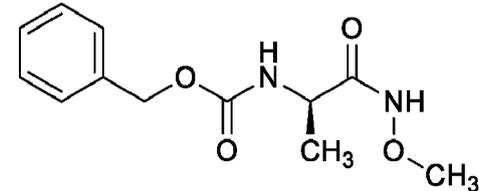
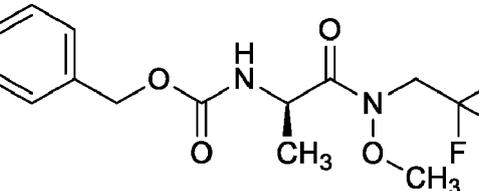
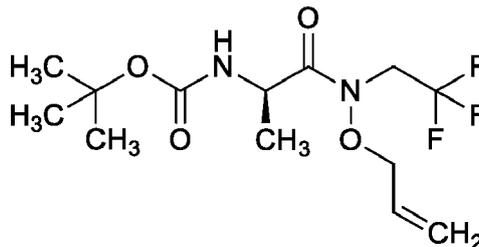
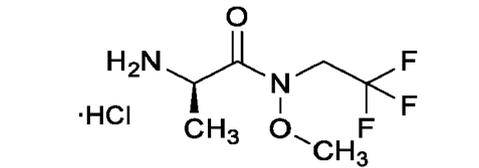
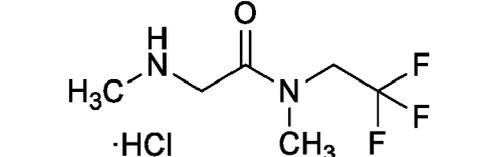
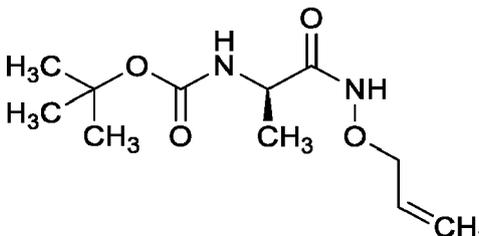
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No.	Structure	Prep.*
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C65		21
C66		21
C67		21
C68		22
C69		22
C70		22
C71		22

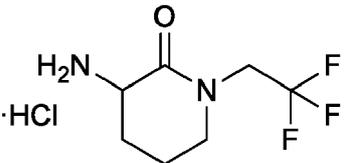
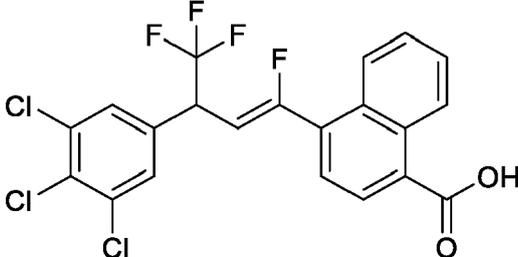
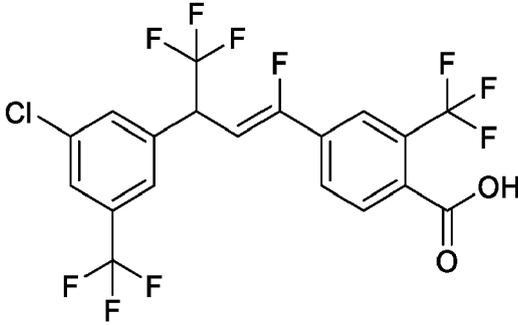
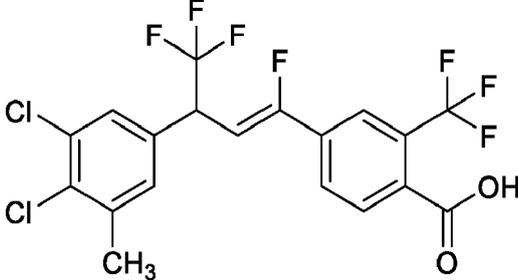
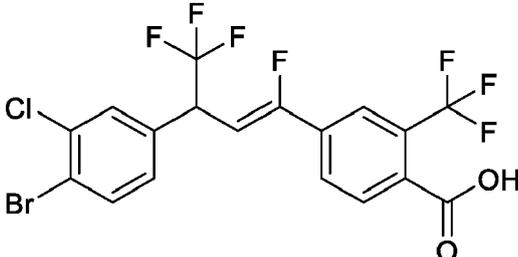
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No.	Structure	Prep.*
C72		22
C73		23
C74		24
C75		25
C76		26
C77		27

(continued)

No.	Structure	Prep.*
C78		28
C79		29
C80		30
C81		30
C82		31
C83		32
C84		33

(continued)

No.	Structure	Prep.*
5 C85		34
10 C86		1
20 C87		1
35 C88		1
45 C89		1

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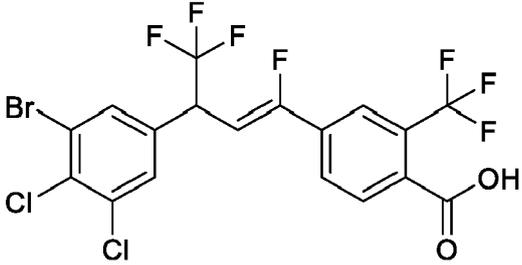
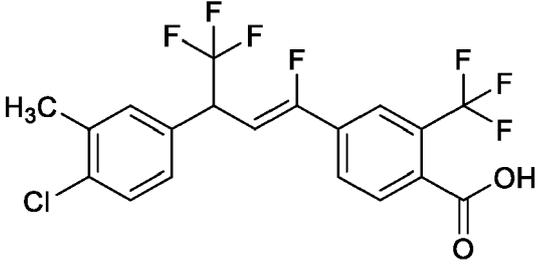
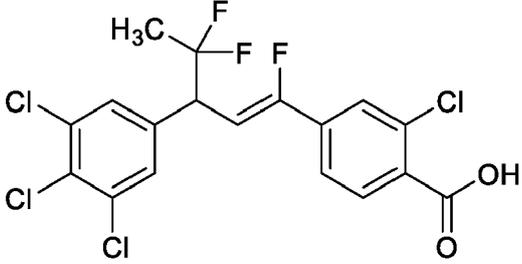
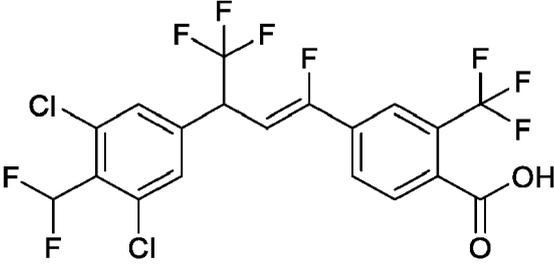
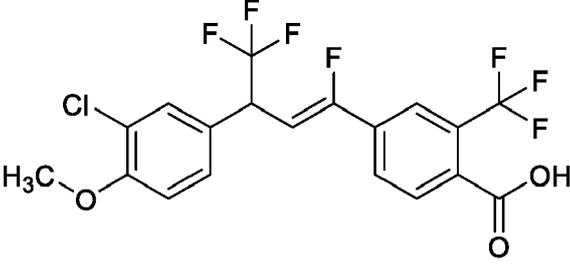
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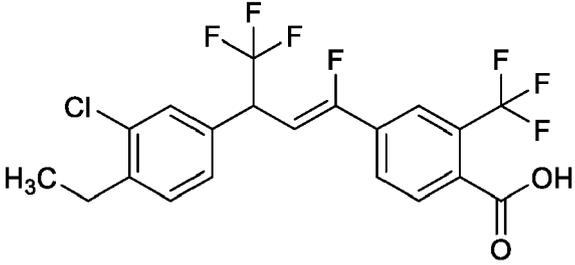
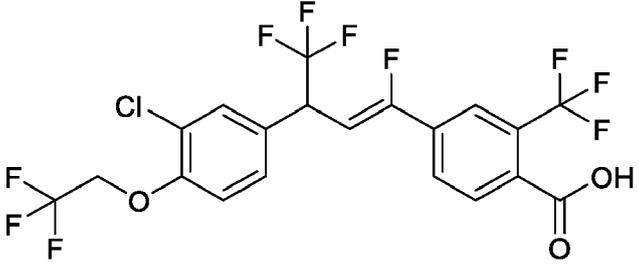
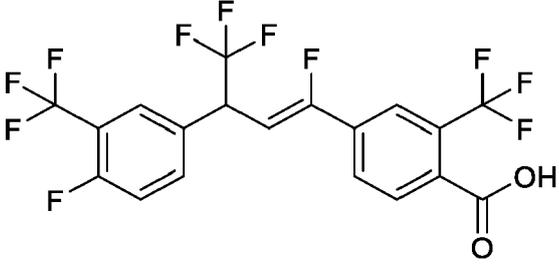
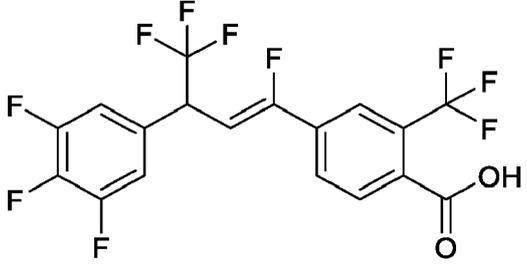
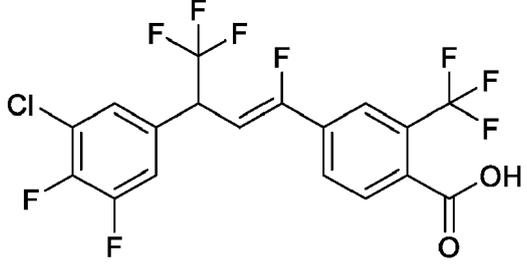
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No.	Structure	Prep.*
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15 20 C91		1
25 30 C92		1
35 40 C94		1
45 50 C95		1

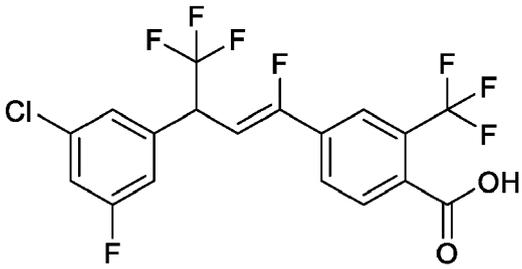
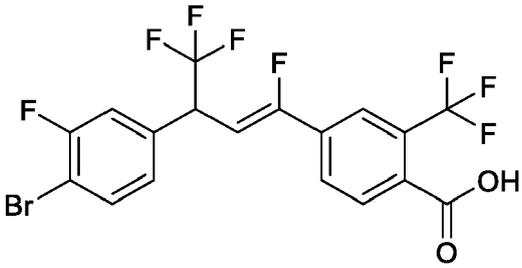
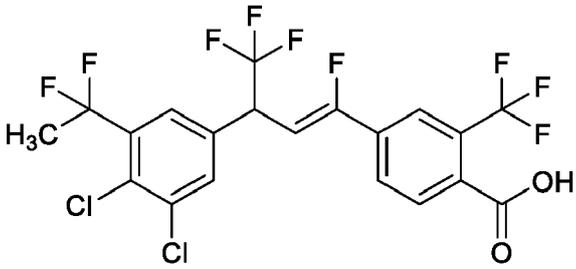
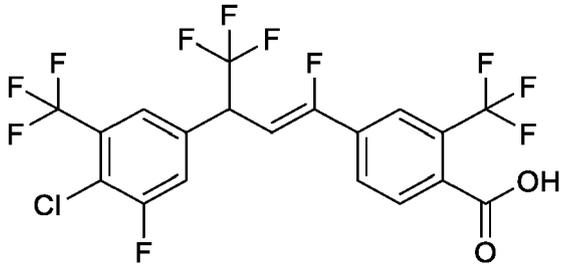
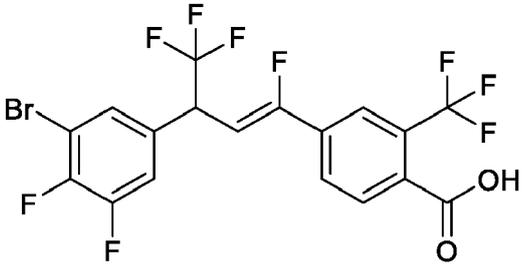
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15 20 C97	 <chem>COC(F)(F)F1=CC=C(C=C1C(F)(F)F)C(F)=C2=CC=C(C(=O)O)C2(F)(F)F</chem>	1
25 30 C98	 <chem>FC1=CC=C(C=C1C(F)(F)F)C(F)=C2=CC=C(C(=O)O)C2(F)(F)F</chem>	1
35 40 C99	 <chem>FC1=CC(F)=C(C=C1C(F)(F)F)C(F)=C2=CC=C(C(=O)O)C2(F)(F)F</chem>	1
45 50 C100	 <chem>ClC1=CC(F)=C(C=C1C(F)(F)F)C(F)=C2=CC=C(C(=O)O)C2(F)(F)F</chem>	1

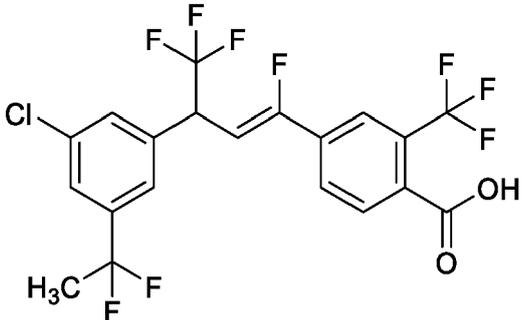
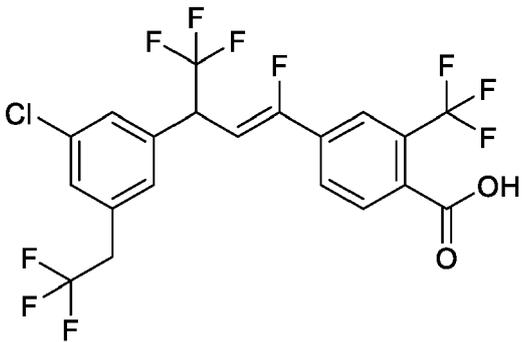
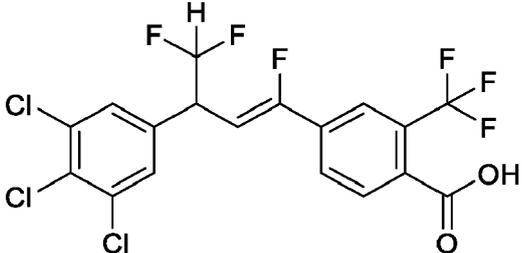
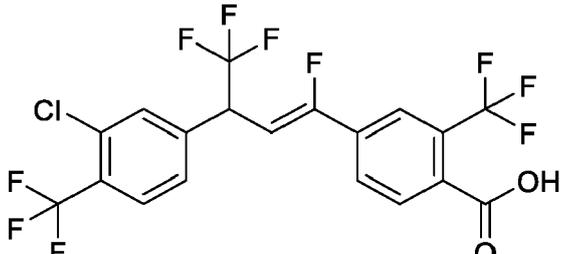
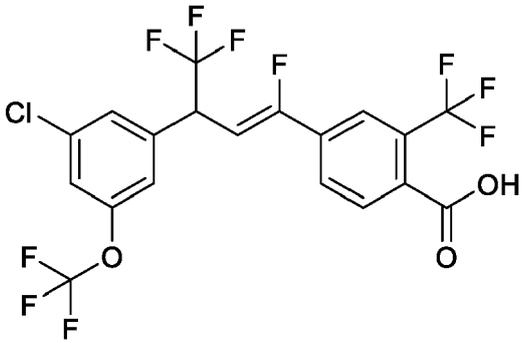
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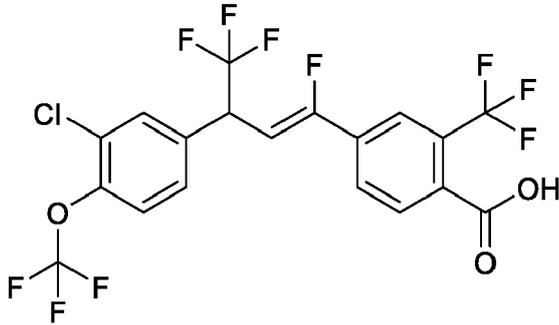
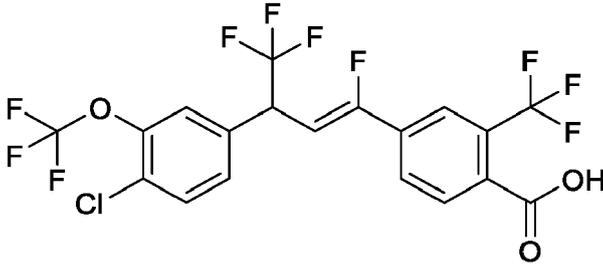
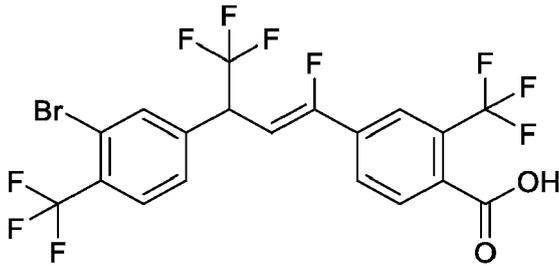
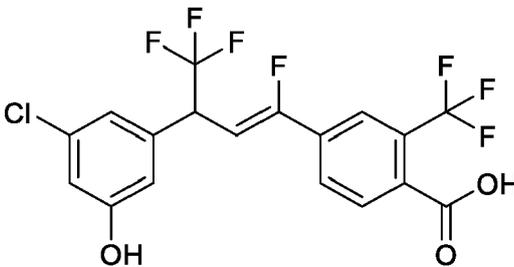
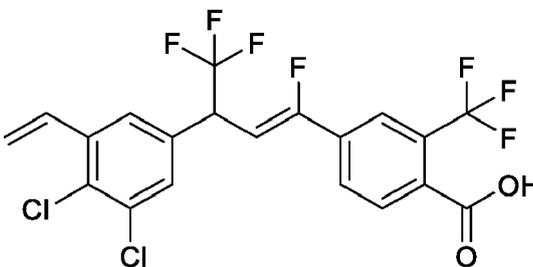
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15 20 C102		1
25 30 C103		1
35 40 C104		1
45 50 C105		1

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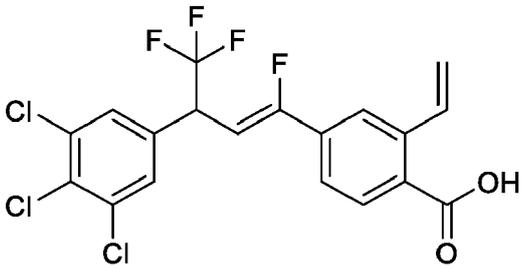
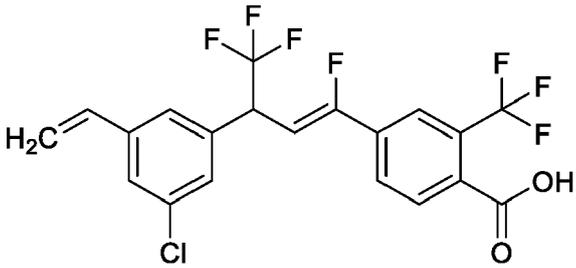
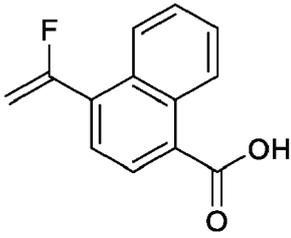
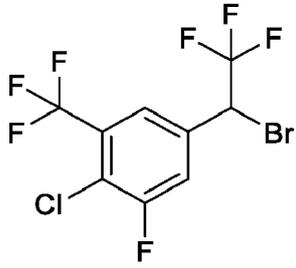
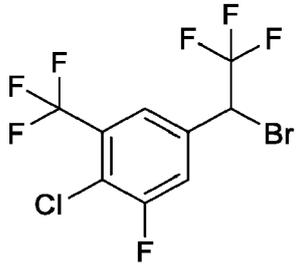
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20 25 C107		1
30 35 C108		1
40 45 C109		1
50 55 C110		1

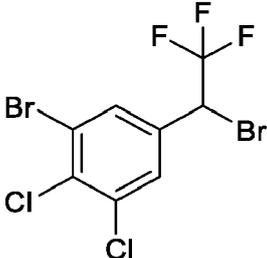
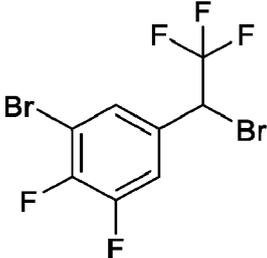
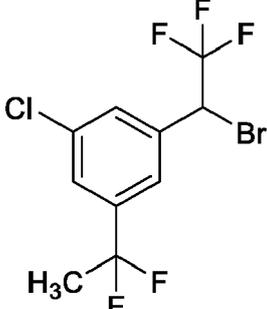
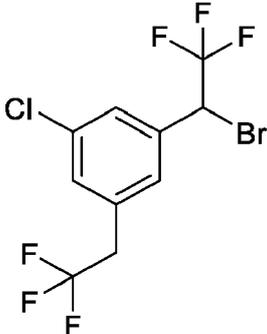
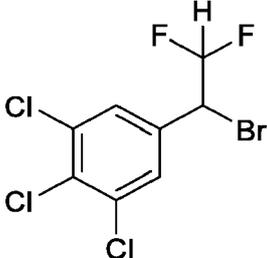
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No.	Structure	Prep.*
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C112		1
C113		1
C114		1
C115		3

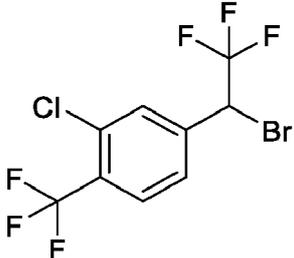
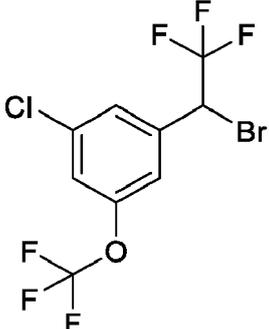
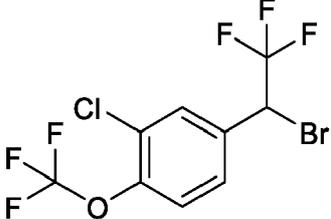
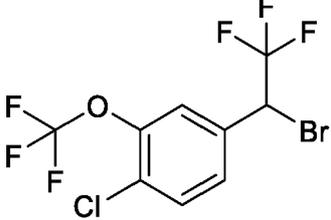
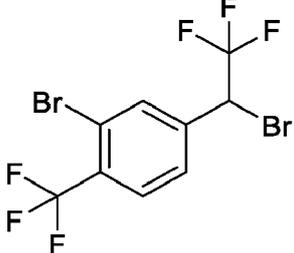
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No.	Structure	Prep.*
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15 C117		3
25 C118		7
35 C119		8
45 C120		8

(continued)

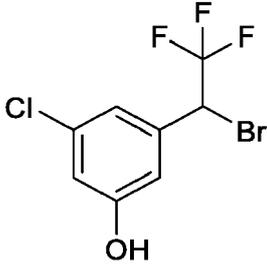
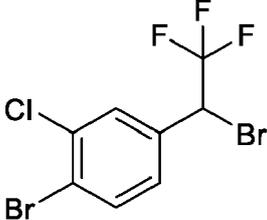
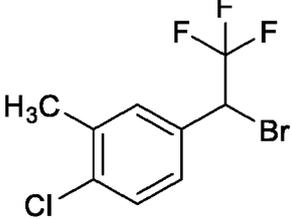
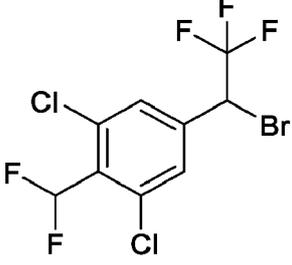
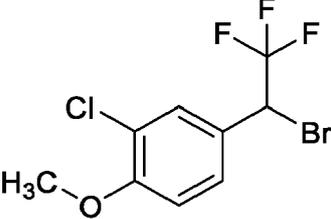
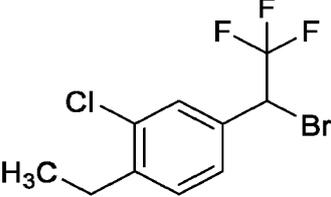
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5 C121	 <chem>BrC1=CC(Cl)=CC(Cl)=C1C(F)FBr</chem>	8
15 C122	 <chem>BrC1=CC(F)=CC(F)=C1C(F)FBr</chem>	8
25 C123	 <chem>ClC1=CC=C(C(F)(F)F)C=C1C(F)FBr</chem>	8
35 C124	 <chem>ClC1=CC=C(C(F)(F)F)C=C1C(F)(F)FC(F)FBr</chem>	8
50 C125	 <chem>ClC1=CC(Cl)=CC(Cl)=C1C(F)CBr</chem>	8

(continued)

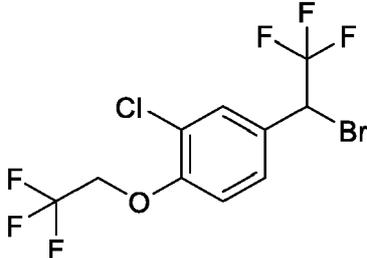
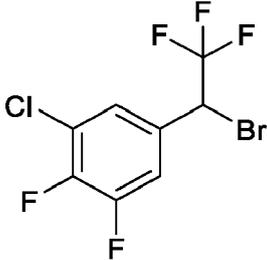
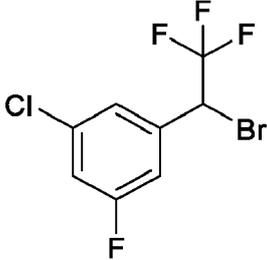
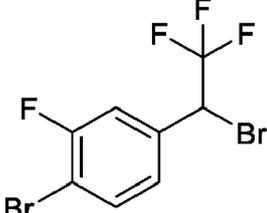
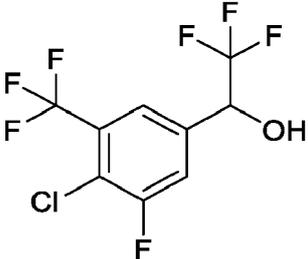
No.	Structure	Prep.*
5 C126		8
15 C127		8
25 C128		8
35 C129		8
45 C130		8

55

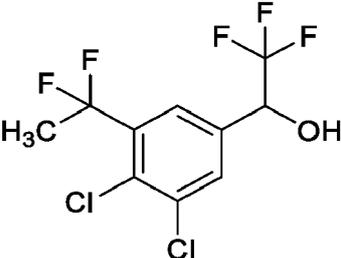
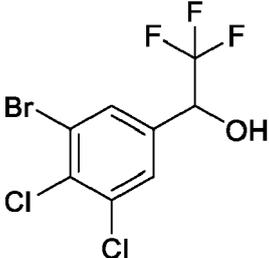
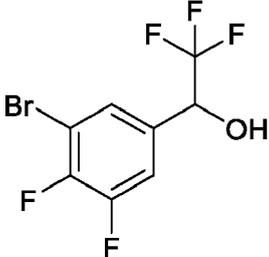
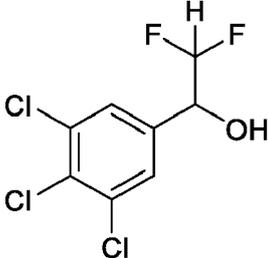
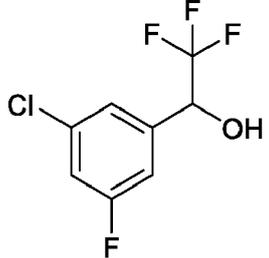
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No.	Structure	Prep.*
5 C131	 <chem>Clc1ccc(O)cc1C(C(F)(F)F)Br</chem>	8
15 C132	 <chem>Clc1ccc(Br)cc1C(C(F)(F)F)Br</chem>	8
25 C133	 <chem>Cc1ccc(Cl)cc1C(C(F)(F)F)Br</chem>	8
30 C134	 <chem>Clc1cc(Cl)c(C(F)F)cc1C(C(F)(F)F)Br</chem>	8
40 C135	 <chem>COc1ccc(Cl)cc1C(C(F)(F)F)Br</chem>	8
50 C136	 <chem>CNc1ccc(Cl)cc1C(C(F)(F)F)Br</chem>	8

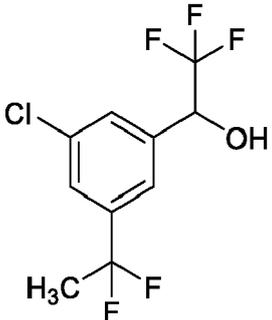
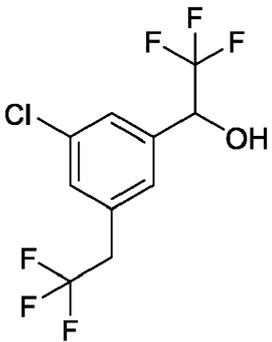
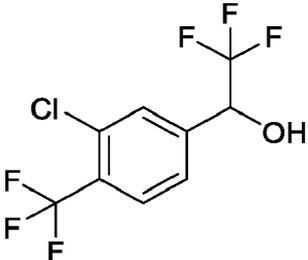
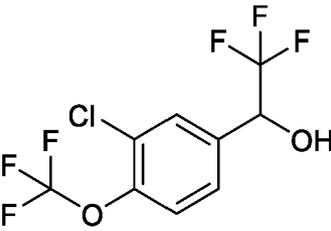
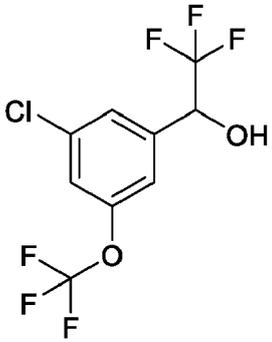
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No.	Structure	Prep.*
5 10 C137		8
15 20 C138		8
25 30 C139		8
35 40 C140		8
45 50 C141		10

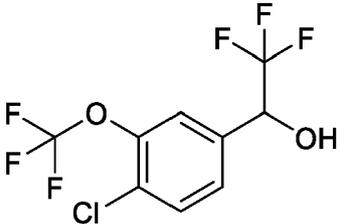
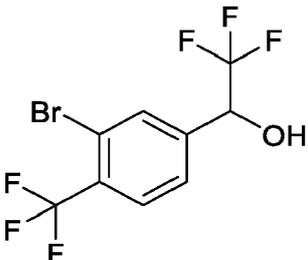
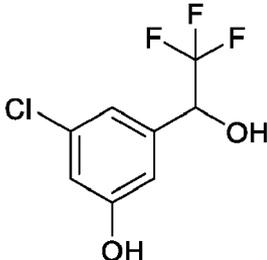
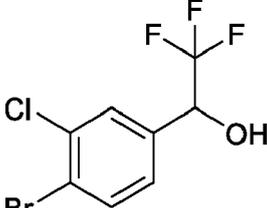
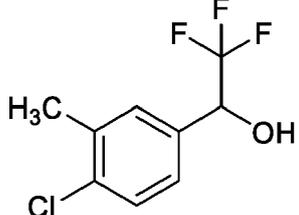
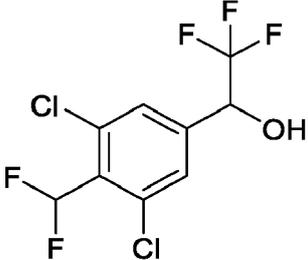
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No.	Structure	Prep.*
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C143		10
C144		10
C145		10
C146		10

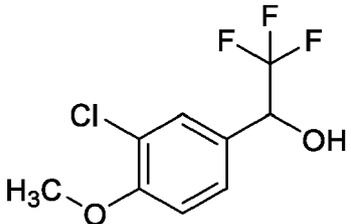
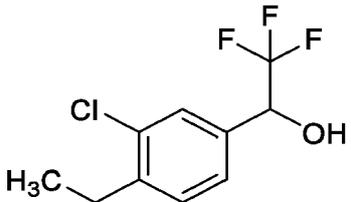
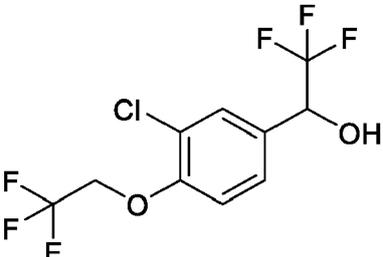
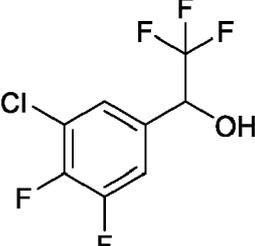
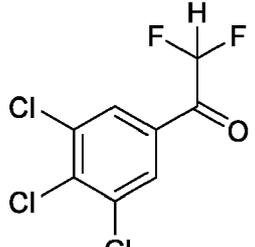
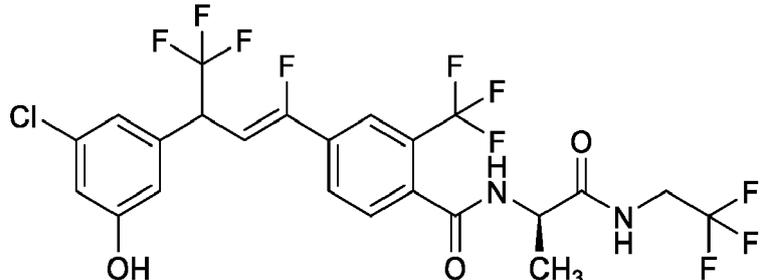
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No.	Structure	Prep.*
5 10 15 C147	 <chem>CC(F)(F)Fc1ccc(Cl)cc1CO</chem>	11
20 25 C148	 <chem>CC(F)(F)Fc1ccc(Cl)cc1CO</chem>	11
30 35 C149	 <chem>CC(F)(F)Fc1cc(Cl)c(C(F)(F)F)cc1CO</chem>	11
40 45 C150	 <chem>CC(F)(F)Fc1cc(Cl)c(OC(F)(F)F)cc1CO</chem>	11
50 55 C151	 <chem>CC(F)(F)Fc1ccc(Cl)cc1OC(F)(F)F</chem>	11

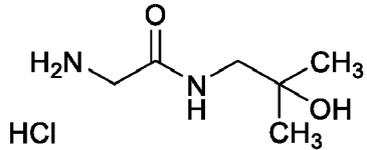
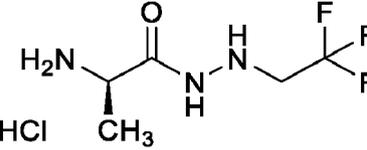
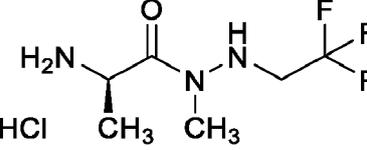
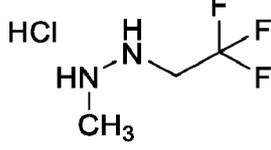
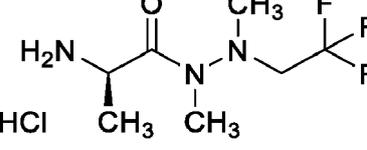
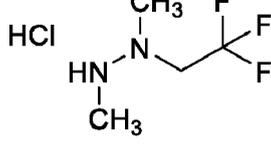
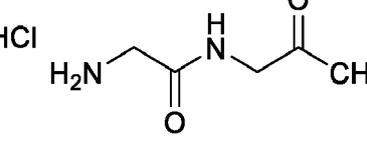
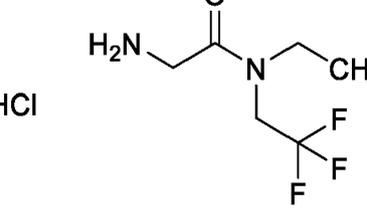
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No.	Structure	Prep.*
5 C152		11
10 C153		11
15 C154		11
20 C155		11
25 C156		11
30 C157		11

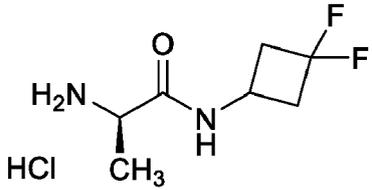
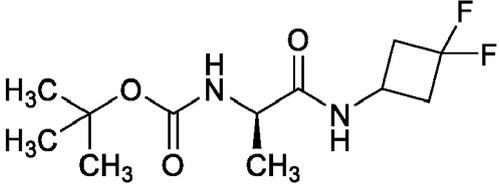
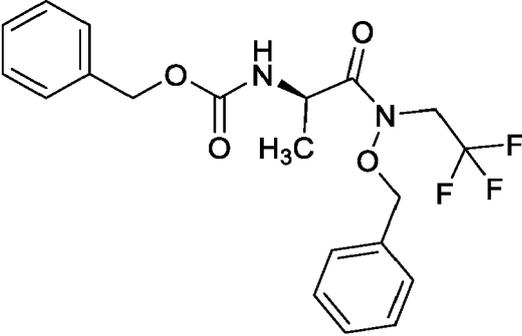
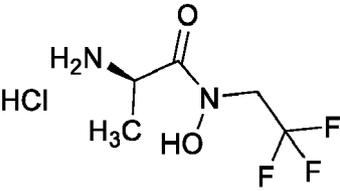
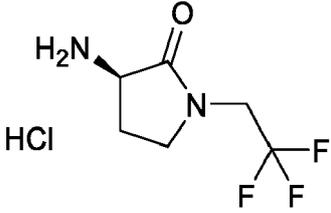
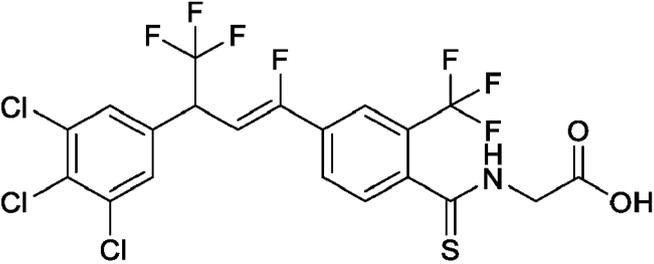
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No.	Structure	Prep.*
C158		11
C159		11
C160		11
C161		11
C162		12
C163		13

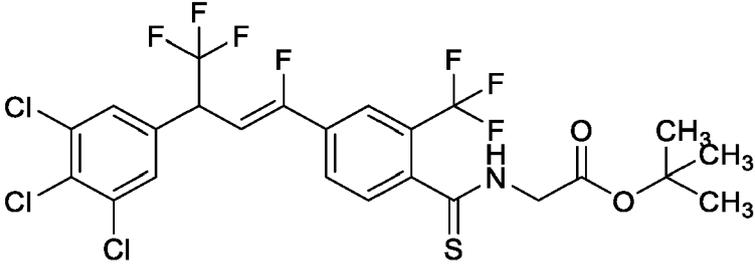
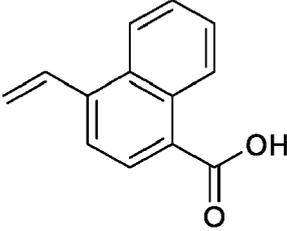
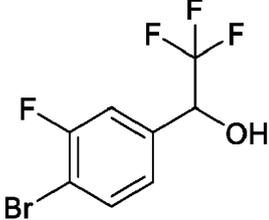
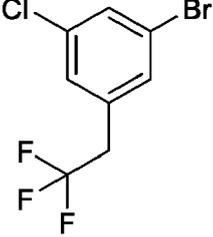
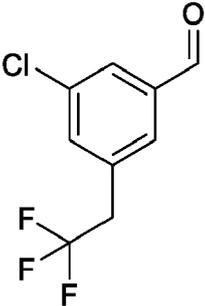
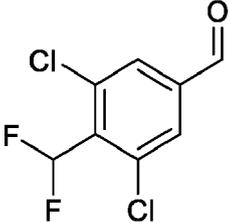
(continued)

No.	Structure	Prep.*
C164		21
C165		21
C166		21
C167		21
C168		21
C169		21
C170		21
C171		21

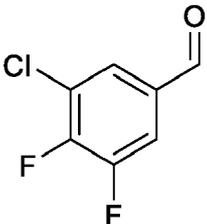
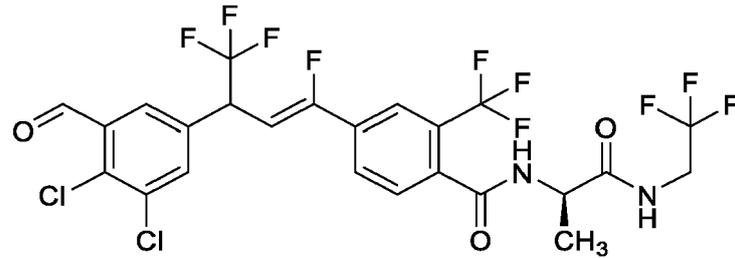
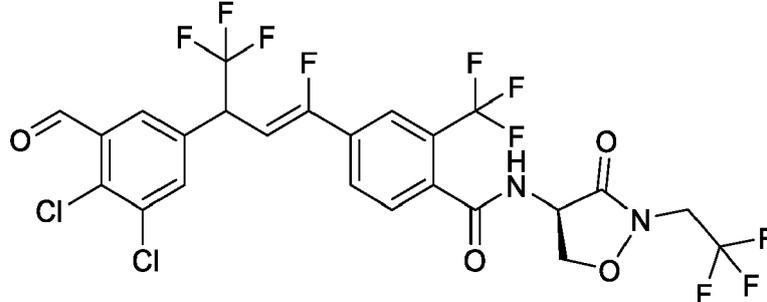
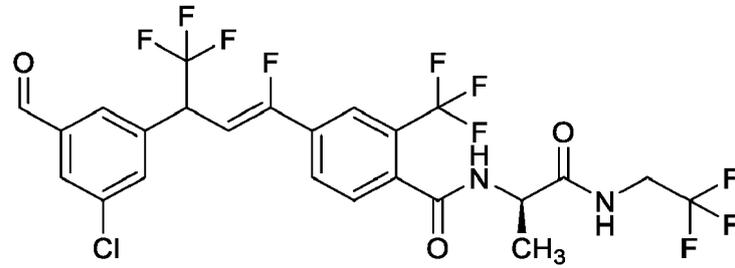
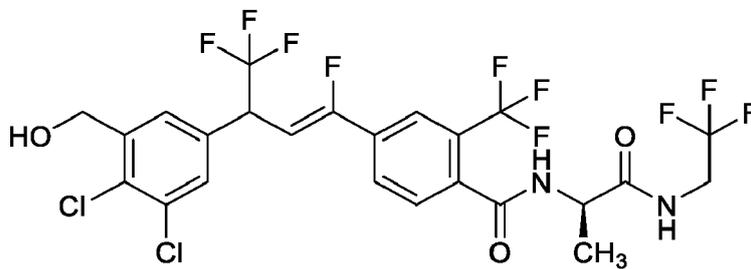
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No.	Structure	Prep.*
C172		21
C173		22
C174		30
C175		31
C176		34
C177		35

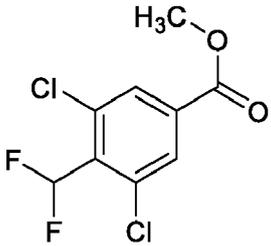
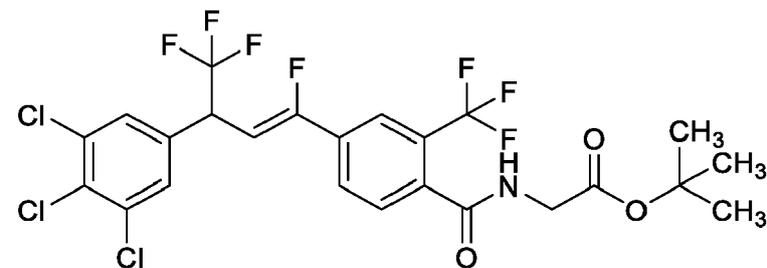
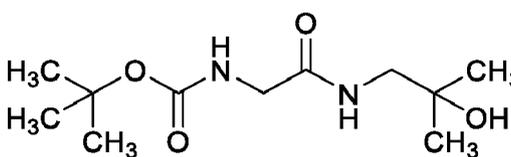
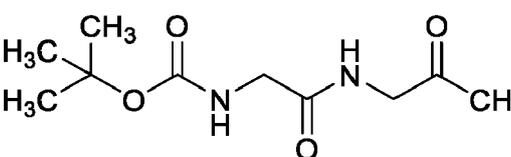
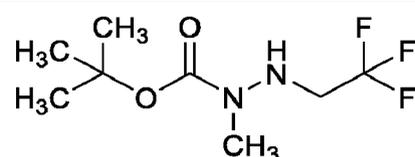
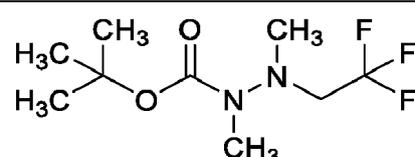
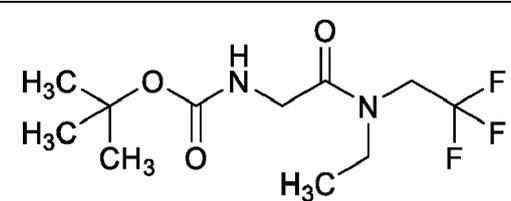
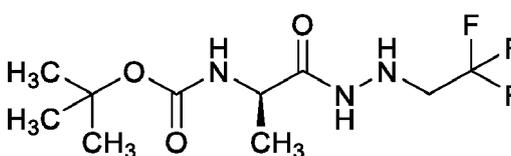
(continued)

No.	Structure	Prep.*
C178		36
C179		37
C180		38
C181		39
C182		40
C183		41

(continued)

No.	Structure	Prep.*
C184		42
C185		45
C186		45
C187		45
C188		46

(continued)

No.	Structure	Prep.*
C189		47
C190		49
C191		53
C192		53
C193		54
C194		55
C195		56
C196		57

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(continued)

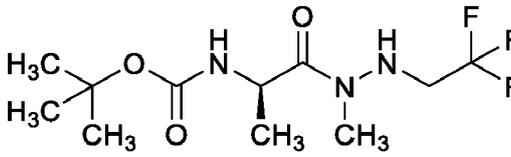
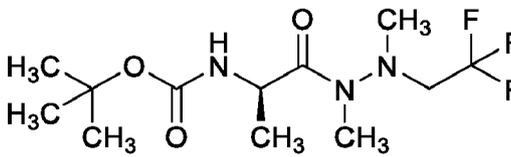
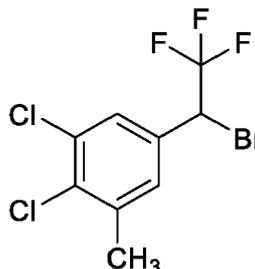
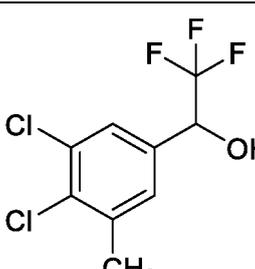
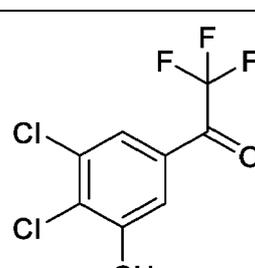
No.	Structure	Prep.*
C197		57
C198		57
C199		8
C200		10
C201		58
*prepared according to example number		

Table 4: Analytical Data for Molecules in Table 2

No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
F1		635 ([M+H] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 7.88 (d, <i>J</i> = 1.6 Hz, 1H), 7.79 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.61 (d, <i>J</i> = 8.1 Hz, 1H), 7.44 (s, 2H), 7.26 (d, <i>J</i> = 7.8 Hz, 1H), 6.98 (t, <i>J</i> = 5.2 Hz, 1H), 5.85 (dd, <i>J</i> = 32.5, 9.6 Hz, 1H), 4.61 (p, <i>J</i> = 8.9 Hz, 1H), 4.25 (d, <i>J</i> = 5.1 Hz, 2H), 3.91 (qd, <i>J</i> = 9.0, 6.4 Hz, 2H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.40, -69.32 (d, <i>J</i> = 2.2 Hz), -72.57, -109.75 - -115.20 (m)

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(continued)

No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
F2		649 ([M+H] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 7.84 (d, <i>J</i> = 1.7 Hz, 1H), 7.74 (dd, <i>J</i> = 8.0, 1.7 Hz, 1H), 7.53 (d, <i>J</i> = 8.1 Hz, 1H), 7.47 (t, <i>J</i> = 6.4 Hz, 1H), 7.44 (s, 2H), 6.93 (d, <i>J</i> = 7.8 Hz, 1H), 5.83 (dd, <i>J</i> = 32.5, 9.5 Hz, 1H), 4.92 (p, <i>J</i> = 7.1 Hz, 1H), 4.61 (p, <i>J</i> = 8.9 Hz, 1H), 3.83 (qdd, <i>J</i> = 8.8, 6.2, 2.5 Hz, 2H), 1.51 (d, <i>J</i> = 6.9 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.29, -69.34 (d, <i>J</i> = 2.1 Hz), -72.64, -112.09
F3		659 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.89 (d, <i>J</i> = 1.7 Hz, 1H), 7.81 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.55 (d, <i>J</i> = 8.1 Hz, 1H), 7.43 (s, 2H), 6.91 (t, <i>J</i> = 6.4 Hz, 1H), 6.42 (s, 1H), 5.85 (dd, <i>J</i> = 32.5, 9.6 Hz, 1H), 4.61 (p, <i>J</i> = 8.8 Hz, 1H), 3.96 (qd, <i>J</i> = 9.1, 6.4 Hz, 2H), 1.78 - 1.64 (m, 2H), 1.23 - 1.13 (m, 2H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -58.76 (d, <i>J</i> = 1.4 Hz), -69.29 (d, <i>J</i> = 2.3 Hz), -72.65 (t, <i>J</i> = 1.3 Hz), -112.04 (d, <i>J</i> = 12.9 Hz)
F4		646 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.87 (d, <i>J</i> = 1.6 Hz, 1H), 7.79 (dd, <i>J</i> = 8.2, 1.7 Hz, 1H), 7.54 (d, <i>J</i> = 8.1 Hz, 1H), 7.44 (s, 2H), 6.75 (s, 1H), 6.62 (t, <i>J</i> = 5.7 Hz, 1H), 5.86 (dd, <i>J</i> = 32.6, 9.6 Hz, 1H), 4.61 (p, <i>J</i> = 8.9 Hz, 1H), 3.31 (td, <i>J</i> = 7.1, 5.7 Hz, 2H), 1.62 - 1.53 (m, 2H), 1.39 (q, <i>J</i> = 7.0 Hz, 2H), 1.15 - 1.01 (m, 2H), 0.77 - 0.57 (m, 1H), 0.50 - 0.36 (m, 2H), 0.10 - -0.01 (m, 2H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -58.66, -69.31 (d, <i>J</i> = 2.3 Hz), -112.04
F5		619 ([M+H] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 7.87 (d, <i>J</i> = 1.6 Hz, 1H), 7.79 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.54 (d, <i>J</i> = 8.1 Hz, 1H), 7.44 (s, 2H), 6.68 (s, 1H), 6.57 (t, <i>J</i> = 5.9 Hz, 1H), 5.95 - 5.71 (m, 2H), 5.22 (dq, <i>J</i> = 17.1, 1.6 Hz, 1H), 5.14 (dq, <i>J</i> = 10.3, 1.4 Hz, 1H), 4.61 (p, <i>J</i> = 8.8 Hz, 1H), 3.92 - 3.81 (m, 2H), 1.76 - 1.50 (m, 2H), 1.18 - 0.99 (m, 2H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -58.65, -69.31 (d, <i>J</i> = 2.3 Hz), -112.03
F6		579 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.67 (t, <i>J</i> = 6.4 Hz, 1H), 7.48 - 7.34 (m, 5H), 7.11 (t, <i>J</i> = 5.2 Hz, 1H), 5.73 (dd, <i>J</i> = 32.8, 9.6 Hz, 1H), 4.59 (p, <i>J</i> = 8.9 Hz, 1H), 4.24 (d, <i>J</i> = 5.2 Hz, 2H), 3.90 (qd, <i>J</i> = 9.1, 6.4 Hz, 2H), 2.44 (s, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -69.45 (d, <i>J</i> = 2.2 Hz), -72.45, -111.78
F7		496 ([M-NHCH ₂ CF ₃] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 7.47 (t, <i>J</i> = 6.5 Hz, 1H), 7.43 (s, 2H), 7.40 (d, <i>J</i> = 1.3 Hz, 1H), 7.38 (d, <i>J</i> = 1.1 Hz, 2H), 6.69 (d, <i>J</i> = 7.7 Hz, 1H), 5.72 (dd, <i>J</i> = 32.8, 9.6 Hz, 1H), 4.99 - 4.70 (m, 1H), 4.58 (p, <i>J</i> = 8.9 Hz, 1H), 3.89 (qd, <i>J</i> = 9.0, 6.4 Hz, 2H), 2.43 (s, 3H), 1.52 (d, <i>J</i> = 7.0 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -67.97 - -70.44 (m), -72.52, -110.05 - -114.53 (m)

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No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
F8		605 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.43 (s, 1H), 7.40 (d, <i>J</i> = 1.7 Hz, 1H), 7.34 (d, <i>J</i> = 8.0 Hz, 1H), 7.18 (t, <i>J</i> = 6.4 Hz, 1H), 6.57 (s, 1H), 5.74 (dd, <i>J</i> = 32.8, 9.6 Hz, 1H), 4.58 (p, <i>J</i> = 8.9 Hz, 1H), 3.93 (qd, <i>J</i> = 9.1, 6.4 Hz, 2H), 2.47 (s, 3H), 1.72 - 1.54 (m, 2H), 1.23 - 1.05 (m, 2H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -69.43 (d, <i>J</i> = 2.3 Hz), -72.54, -111.77
F9		566 ([M+H] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 7.43 (s, 2H), 7.42 (s, 1H), 7.41 - 7.36 (m, 1H), 7.36 - 7.32 (m, 1H), 7.19 (d, <i>J</i> = 8.1 Hz, 1H), 6.78 - 6.61 (m, 1H), 5.92 - 5.65 (m, 2H), 5.22 (ddd, <i>J</i> = 17.2, 2.5, 1.4 Hz, 1H), 5.13 (dt, <i>J</i> = 10.3, 1.6 Hz, 1H), 4.58 (p, <i>J</i> = 8.9 Hz, 1H), 3.87 (tdt, <i>J</i> = 5.3, 3.4, 1.6 Hz, 2H), 2.46 (s, 3H), 1.67 - 1.56 (m, 2H), 1.09 (td, <i>J</i> = 7.7, 4.9 Hz, 2H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -69.42 (d, <i>J</i> = 2.3 Hz), -111.73
F10		592 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.43 (s, 3H), 7.41 - 7.36 (m, 2H), 6.73 (t, <i>J</i> = 5.7 Hz, 1H), 6.57 (s, 1H), 5.73 (dd, <i>J</i> = 32.8, 9.6 Hz, 1H), 4.58 (p, <i>J</i> = 8.9 Hz, 1H), 3.35 (td, <i>J</i> = 6.9, 5.7 Hz, 2H), 2.48 (s, 3H), 1.67 - 1.56 (m, 2H), 1.41 (q, <i>J</i> = 6.9 Hz, 2H), 1.17 - 1.04 (m, 2H), 0.67 (dddd, <i>J</i> = 14.9, 9.9, 5.2, 2.2 Hz, 1H), 0.51 - 0.37 (m, 2H), 0.17 - 0.00 (m, 2H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -69.42 (d, <i>J</i> = 2.3 Hz), -110.57 - -113.11 (m)
F11		607 ([M+H] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 7.82 (d, <i>J</i> = 1.6 Hz, 1H), 7.72 (dd, <i>J</i> = 8.0, 1.7 Hz, 1H), 7.53 (d, <i>J</i> = 8.1 Hz, 1H), 7.44 (s, 2H), 7.09 (dd, <i>J</i> = 7.7, 2.0 Hz, 1H), 6.86 (t, <i>J</i> = 5.8 Hz, 1H), 5.92 - 5.61 (m, 2H), 5.24 - 5.03 (m, 2H), 4.80 (p, <i>J</i> = 7.0 Hz, 1H), 4.61 (p, <i>J</i> = 8.9 Hz, 1H), 3.80 (tq, <i>J</i> = 5.7, 1.8 Hz, 2H), 1.50 (d, <i>J</i> = 6.9 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.16, -69.32 (d, <i>J</i> = 2.3 Hz), -110.35 - -113.41 (m)
F12		633 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.90 - 7.79 (m, 1H), 7.74 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.56 (d, <i>J</i> = 8.1 Hz, 1H), 7.44 (s, 2H), 6.86 (dd, <i>J</i> = 7.8, 1.7 Hz, 1H), 6.52 (t, <i>J</i> = 5.8 Hz, 1H), 5.97 - 5.68 (m, 1H), 4.71 (p, <i>J</i> = 7.0 Hz, 1H), 4.61 (p, <i>J</i> = 8.9 Hz, 1H), 3.33 (dtdd, <i>J</i> = 20.2, 13.2, 7.0, 5.7 Hz, 2H), 1.49 (d, <i>J</i> = 6.9 Hz, 3H), 1.39 (q, <i>J</i> = 7.0 Hz, 2H), 0.65 (dddt, <i>J</i> = 11.9, 8.4, 7.1, 4.9 Hz, 1H), 0.47 - 0.34 (m, 2H), 0.11 - -0.01 (m, 2H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.16, -69.33, -108.63 - -115.35 (m)

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No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
F13		661 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.86 (d, <i>J</i> = 1.8 Hz, 1H), 7.76 (dd, <i>J</i> = 8.1, 1.8 Hz, 1H), 7.59 (d, <i>J</i> = 8.1 Hz, 1H), 7.44 (s, 2H), 6.90 (d, <i>J</i> = 7.5 Hz, 1H), 5.82 (dd, <i>J</i> = 32.6, 9.6 Hz, 1H), 5.24 - 5.06 (m, 1H), 4.61 (p, <i>J</i> = 8.9 Hz, 1H), 4.27 (dq, <i>J</i> = 14.9, 9.0 Hz, 1H), 3.85 (dq, <i>J</i> = 14.9, 8.7 Hz, 1H), 3.26 (s, 3H), 1.48 (d, <i>J</i> = 6.8 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.12, -69.32 (d, <i>J</i> = 2.3 Hz), -69.79, -111.45 - -112.65 (m)
F14		553 ([M+H] ⁺)	¹ H NMR (500 MHz, CDCl ₃) δ 7.43 (s, 2H), 7.42 - 7.33 (m, 3H), 6.79 (d, <i>J</i> = 7.6 Hz, 1H), 6.72 (t, <i>J</i> = 5.9 Hz, 1H), 5.87 - 5.76 (m, 1H), 5.71 (dd, <i>J</i> = 32.9, 9.6 Hz, 1H), 5.19 (dq, <i>J</i> = 17.1, 1.6 Hz, 1H), 5.12 (dq, <i>J</i> = 10.2, 1.4 Hz, 1H), 4.76 (p, <i>J</i> = 7.0 Hz, 1H), 4.58 (p, <i>J</i> = 8.9 Hz, 1H), 3.88 (tt, <i>J</i> = 5.7, 1.7 Hz, 2H), 2.44 (s, 3H), 1.51 (d, <i>J</i> = 7.0 Hz, 3H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -69.42 (d, <i>J</i> = 8.9 Hz), -111.72
F15		581 ([M+H] ⁺)	¹ H NMR (500 MHz, CDCl ₃) δ 7.43 (s, 2H), 7.42 - 7.34 (m, 3H), 6.80 (d, <i>J</i> = 7.6 Hz, 1H), 6.61 (t, <i>J</i> = 5.8 Hz, 1H), 5.71 (dd, <i>J</i> = 32.9, 9.6 Hz, 1H), 4.71 (p, <i>J</i> = 7.0 Hz, 1H), 4.58 (p, <i>J</i> = 8.9 Hz, 1H), 3.44 - 3.20 (m, 2H), 2.45 (s, 3H), 1.50 (d, <i>J</i> = 6.9 Hz, 3H), 1.40 (q, <i>J</i> = 7.0 Hz, 2H), 0.66 (dddd, <i>J</i> = 15.0, 10.1, 5.1, 2.3 Hz, 1H), 0.48 - 0.40 (m, 2H), 0.08 - 0.02 (m, 2H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -69.43 (d, <i>J</i> = 8.7 Hz), -111.61
F16		623 ([M+H] ⁺)	¹ H NMR (500 MHz, CDCl ₃) δ 7.84 (d, <i>J</i> = 1.6 Hz, 1H), 7.74 (dd, <i>J</i> = 8.1, 1.6 Hz, 1H), 7.55 (d, <i>J</i> = 8.1 Hz, 1H), 7.44 (s, 2H), 6.93 (dd, <i>J</i> = 7.8, 2.5 Hz, 1H), 6.54 (t, <i>J</i> = 5.8 Hz, 1H), 5.93 - 5.71 (m, 1H), 4.73 (p, <i>J</i> = 7.0 Hz, 1H), 4.61 (p, <i>J</i> = 8.9 Hz, 1H), 3.37 - 3.10 (m, 2H), 1.56 - 1.40 (m, 5H), 1.40 - 1.24 (m, 2H), 0.88 (t, <i>J</i> = 7.3 Hz, 3H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -59.19, -69.33 (d, <i>J</i> = 8.8 Hz), -111.97 (d, <i>J</i> = 19.7 Hz)
F17		649 ([M+H] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 7.84 (d, <i>J</i> = 1.7 Hz, 1H), 7.74 (dd, <i>J</i> = 8.0, 1.7 Hz, 1H), 7.53 (d, <i>J</i> = 8.1 Hz, 1H), 7.47 (t, <i>J</i> = 6.4 Hz, 1H), 7.44 (s, 2H), 6.93 (d, <i>J</i> = 7.8 Hz, 1H), 5.92 (dd, <i>J</i> = 17.9, 11.1 Hz, 1H), 4.92 (p, <i>J</i> = 7.1 Hz, 1H), 4.61 (p, <i>J</i> = 8.9 Hz, 1H), 3.83 (qdd, <i>J</i> = 8.8, 6.2, 2.5 Hz, 2H), 1.51 (d, <i>J</i> = 6.9 Hz, 3H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -59.36, -69.81 (d, <i>J</i> = 5.9 Hz), -72.59 (d, <i>J</i> = 3.5 Hz), -90.26
F18		657 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.76 (d, <i>J</i> = 1.5 Hz, 1H), 7.59 - 7.46 (m, 2H), 7.43 (s, 3H), 7.00 (d, <i>J</i> = 7.6 Hz, 1H), 5.77 (dd, <i>J</i> = 32.6, 9.6 Hz, 1H), 4.87 (p, <i>J</i> = 7.1 Hz, 1H), 4.58 (p, <i>J</i> = 8.9 Hz, 1H), 3.90 (qd, <i>J</i> = 9.0, 6.3 Hz, 2H), 1.55 (d, <i>J</i> = 6.9 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -69.36 (d, <i>J</i> = 2.4 Hz), -72.41, -112.05 (d, <i>J</i> = 3.9 Hz)

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No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
F19		645 ([M+H] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 7.78 (d, <i>J</i> = 1.2 Hz, 1H), 7.55 (d, <i>J</i> = 1.8 Hz, 2H), 7.43 (s, 2H), 7.37 (t, <i>J</i> = 6.4 Hz, 1H), 7.20 (t, <i>J</i> = 5.2 Hz, 1H), 5.78 (dd, <i>J</i> = 32.6, 9.6 Hz, 1H), 4.59 (p, <i>J</i> = 8.9 Hz, 1H), 4.27 (d, <i>J</i> = 5.2 Hz, 2H), 3.94 (qd, <i>J</i> = 9.0, 6.4 Hz, 2H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -69.37, -72.35, -112.02
F20		675 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.86 (d, <i>J</i> = 1.8 Hz, 1H), 7.76 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.59 (d, <i>J</i> = 8.2 Hz, 1H), 7.44 (s, 2H), 6.82 (d, <i>J</i> = 7.7 Hz, 1H), 5.82 (dd, <i>J</i> = 32.6, 9.6 Hz, 1H), 5.22 - 5.07 (m, 1H), 4.61 (p, <i>J</i> = 8.9 Hz, 1H), 4.37 (dq, <i>J</i> = 15.0, 9.1 Hz, 1H), 3.91 - 3.38 (m, 3H), 1.49 (d, <i>J</i> = 6.8 Hz, 3H), 1.32 (t, <i>J</i> = 7.1 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.16, -69.59, -111.89 (t, <i>J</i> = 9.4 Hz)
F21		668 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.76 (d, <i>J</i> = 1.7 Hz, 1H), 7.56 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.49 (d, <i>J</i> = 8.1 Hz, 1H), 7.43 (s, 2H), 7.07 (t, <i>J</i> = 6.5 Hz, 1H), 6.81 (s, 1H), 5.79 (dd, <i>J</i> = 32.6, 9.6 Hz, 1H), 4.59 (p, <i>J</i> = 8.9 Hz, 1H), 3.94 (qd, <i>J</i> = 9.1, 6.4 Hz, 2H), 1.74 - 1.62 (m, 2H), 1.23 - 1.17 (m, 2H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -69.34 (d, <i>J</i> = 2.3 Hz), -72.34, -112.02 (d, <i>J</i> = 13.4 Hz)
F22		599 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.66 (d, <i>J</i> = 8.1 Hz, 1H), 7.59 (d, <i>J</i> = 1.7 Hz, 1H), 7.58 - 7.52 (m, 1H), 7.52 - 7.46 (m, 2H), 7.43 (s, 2H), 5.80 (dd, <i>J</i> = 32.6, 9.7 Hz, 1H), 4.59 (p, <i>J</i> = 8.9 Hz, 1H), 4.29 (d, <i>J</i> = 5.1 Hz, 2H), 3.92 (qd, <i>J</i> = 9.0, 6.4 Hz, 2H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -69.37 (d, <i>J</i> = 2.4 Hz), -72.40, -112.09
F23		613 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.63 (t, <i>J</i> = 6.4 Hz, 1H), 7.59 (d, <i>J</i> = 8.1 Hz, 1H), 7.56 (d, <i>J</i> = 1.6 Hz, 1H), 7.47 (dd, <i>J</i> = 8.2, 1.7 Hz, 1H), 7.43 (s, 2H), 7.31 (d, <i>J</i> = 7.6 Hz, 1H), 5.78 (dd, <i>J</i> = 32.6, 9.6 Hz, 1H), 4.92 (p, <i>J</i> = 7.1 Hz, 1H), 4.58 (p, <i>J</i> = 8.9 Hz, 1H), 3.88 (pt, <i>J</i> = 12.1, 6.1 Hz, 2H), 1.55 (d, <i>J</i> = 7.0 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -70.81 (m), -72.46 -112.10
F24		677 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.87 (d, <i>J</i> = 1.7 Hz, 1H), 7.77 (dd, <i>J</i> = 8.2, 1.7 Hz, 1H), 7.62 (d, <i>J</i> = 8.1 Hz, 1H), 7.44 (s, 2H), 6.55 (d, <i>J</i> = 8.1 Hz, 1H), 5.82 (dd, <i>J</i> = 32.5, 9.6 Hz, 1H), 5.18 (p, <i>J</i> = 7.2 Hz, 1H), 4.61 (p, <i>J</i> = 8.9 Hz, 1H), 4.45 (dq, <i>J</i> = 17.1, 8.7 Hz, 1H), 4.07 (dd, <i>J</i> = 16.0, 8.0 Hz, 1H), 3.91 (s, 3H), 1.50 (d, <i>J</i> = 6.9 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.12, -69.27, -69.31 (d, <i>J</i> = 2.3 Hz), -111.89 (d, <i>J</i> = 3.4 Hz)

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No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
F25		685 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.77 (d, <i>J</i> = 1.6 Hz, 1H), 7.60 - 7.48 (m, 2H), 7.43 (s, 2H), 7.10 (d, <i>J</i> = 7.7 Hz, 1H), 5.77 (dd, <i>J</i> = 32.6, 9.6 Hz, 1H), 5.27 - 5.08 (m, 1H), 4.59 (p, <i>J</i> = 8.9 Hz, 1H), 4.37 (dq, <i>J</i> = 15.1, 9.1 Hz, 1H), 3.93 - 3.32 (m, 3H), 1.52 (d, <i>J</i> = 6.8 Hz, 3H), 1.32 (t, <i>J</i> = 7.2 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -69.37 (d, <i>J</i> = 2.3 Hz), -69.56, -108.63 - -118.42 (m)
F26		591 ([M+H] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 7.47 - 7.36 (m, 5H), 6.95 (t, <i>J</i> = 6.5 Hz, 1H), 6.30 (d, <i>J</i> = 7.5 Hz, 1H), 5.78 (dd, <i>J</i> = 34.0, 9.8 Hz, 1H), 4.72 (p, <i>J</i> = 7.0 Hz, 1H), 4.26 (td, <i>J</i> = 14.3, 9.8 Hz, 1H), 4.10 - 3.83 (m, 2H), 2.46 (s, 3H), 1.65 (t, <i>J</i> = 18.4 Hz, 3H), 1.51 (d, <i>J</i> = 7.0 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -72.51, -95.16, -95.18, -114.28
F27		705 ([M+H] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 7.87 (d, <i>J</i> = 1.7 Hz, 1H), 7.77 (dd, <i>J</i> = 8.2, 1.8 Hz, 1H), 7.62 (d, <i>J</i> = 8.1 Hz, 1H), 7.44 (s, 2H), 6.54 (d, <i>J</i> = 8.1 Hz, 1H), 6.03 (ddt, <i>J</i> = 16.8, 10.3, 6.4 Hz, 1H), 5.82 (dd, <i>J</i> = 32.5, 9.6 Hz, 1H), 5.47 (m, 2H), 5.21 (q, <i>J</i> = 7.2 Hz, 1H), 4.57 (m, 4H), 4.04 (dd, <i>J</i> = 15.8, 8.1 Hz, 1H), 1.49 (d, <i>J</i> = 6.9 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.12, -69.31, -111.88
F28		625 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.67 (d, <i>J</i> = 8.1 Hz, 1H), 7.62 (d, <i>J</i> = 1.7 Hz, 1H), 7.55 (dd, <i>J</i> = 8.2, 1.7 Hz, 1H), 7.42 (s, 2H), 7.00 (t, <i>J</i> = 6.4 Hz, 1H), 6.80 (s, 1H), 5.80 (dd, <i>J</i> = 32.5, 9.6 Hz, 1H), 4.59 (p, <i>J</i> = 8.9 Hz, 1H), 3.93 (dq, <i>J</i> = 22.4, 9.1, 6.6 Hz, 2H), 1.79 - 1.64 (m, 2H), 1.24 - 1.16 (m, 2H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -69.34 (d, <i>J</i> = 2.3 Hz), -72.48, -112.01 (d, <i>J</i> = 14.3 Hz)
F29		643 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.89 - 7.82 (m, 1H), 7.75 (dd, <i>J</i> = 8.2, 1.8 Hz, 1H), 7.54 (d, <i>J</i> = 8.1 Hz, 1H), 7.42 (s, 2H), 7.15 (t, <i>J</i> = 6.5 Hz, 1H), 6.62 (d, <i>J</i> = 7.7 Hz, 1H), 5.90 (dd, <i>J</i> = 33.8, 9.8 Hz, 1H), 4.84 (p, <i>J</i> = 7.1 Hz, 1H), 4.28 (td, <i>J</i> = 14.3, 9.7 Hz, 1H), 3.98 - 3.82 (m, 2H), 1.65 (t, <i>J</i> = 18.4 Hz, 3H), 1.51 (d, <i>J</i> = 6.9 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.16, -72.59, -93.24 - -97.00 (m), -114.71
F30		629 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.83 (d, <i>J</i> = 1.7 Hz, 1H), 7.73 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.53 (d, <i>J</i> = 8.1 Hz, 1H), 7.45 (d, <i>J</i> = 7.2 Hz, 3H), 7.16 (d, <i>J</i> = 7.8 Hz, 1H), 6.02 - 5.51 (m, 2H), 4.88 (p, <i>J</i> = 7.0 Hz, 1H), 4.61 (p, <i>J</i> = 8.9 Hz, 1H), 3.71 - 3.37 (m, 2H), 1.49 (d, <i>J</i> = 6.9 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.27, -69.37 (d, <i>J</i> = 2.2 Hz), -109.45 - -115.35 (m), -122.98 (d, <i>J</i> = 8.9 Hz)

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No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
F31		639 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.76 (d, <i>J</i> = 1.1 Hz, 1H), 7.52 (d, <i>J</i> = 1.1 Hz, 2H), 7.43 (s, 2H), 7.15 (t, <i>J</i> = 6.2 Hz, 1H), 6.96 (d, <i>J</i> = 7.6 Hz, 1H), 6.05 - 5.60 (m, 2H), 4.82 (p, <i>J</i> = 7.1 Hz, 1H), 4.58 (p, <i>J</i> = 8.9 Hz, 1H), 3.78 - 3.48 (m, 2H), 1.54 (d, <i>J</i> = 7.0 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -69.36 (d, <i>J</i> = 2.2 Hz), -111.99, -122.83
F32		595 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.63 (d, <i>J</i> = 8.1 Hz, 1H), 7.57 (d, <i>J</i> = 1.7 Hz, 1H), 7.48 (dd, <i>J</i> = 8.2, 1.8 Hz, 1H), 7.43 (s, 2H), 7.31 (t, <i>J</i> = 6.2 Hz, 1H), 7.24 (d, <i>J</i> = 7.5 Hz, 1H), 6.05 - 5.64 (m, 2H), 4.86 (p, <i>J</i> = 7.0 Hz, 1H), 4.58 (p, <i>J</i> = 8.9 Hz, 1H), 3.72 - 3.47 (m, 2H), 1.54 (d, <i>J</i> = 6.9 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -69.37 (d, <i>J</i> = 2.8 Hz), -110.57 - -115.35 (m), -122.85
F33	110 - 113	701 ([M+H] ⁺)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.84 (d, <i>J</i> = 7.2 Hz, 1H), 8.64 (t, <i>J</i> = 6.4 Hz, 1H), 8.11 (s, 1H), 8.06 (d, <i>J</i> = 7.6 Hz, 1H), 7.96 (s, 2H), 7.90 - 7.89 (m, 1H), 7.67 (d, <i>J</i> = 7.6 Hz, 1H), 6.85 (dd, <i>J</i> = 36.0, 10.0 Hz, 1H), 5.21 - 5.20 (m, 1H), 4.53 - 4.59 (m, 1H), 4.03 - 3.85 (m, 2H), 1.30 (d, <i>J</i> = 6.8 Hz, 3H)	
F34	89-92	713 ([M+H] ⁺)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 9.09 (br s, 1H), 8.16 - 8.12 (m, 2H), 8.09 (d, <i>J</i> = 8.4 Hz, 1H), 8.01 (d, <i>J</i> = 8.4 Hz, 1H), 7.96 (s, 2H), 7.91 - 7.90 (m, 1H), 6.85 (dd, <i>J</i> = 35.6, 10.0 Hz, 1H), 5.22 - 5.17 (m, 1H), 3.97 - 3.89 (m, 2H), 1.40 - 1.37 (m, 2H), 1.03 - 1.01 (m, 2H)	
F35	124 - 127	686 ([M+H] ⁺)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.80 (t, <i>J</i> = 6.0 Hz, 1H), 8.62 (t, <i>J</i> = 6.4 Hz, 1H), 8.11 (s, 1H), 8.08 (d, <i>J</i> = 8.0 Hz, 1H), 7.96 (s, 2H), 7.90 (s, 1H), 7.70 (d, <i>J</i> = 7.6 Hz, 1H), 6.85 (dd, <i>J</i> = 36.0, 10.0 Hz, 1H), 5.21 - 5.20 (m, 1H), 3.96 - 3.87 (m, 4H)	
F36	85-87	631 ([M+H] ⁺)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.84 (d, <i>J</i> = 7.2 Hz, 1H), 8.63 (t, <i>J</i> = 6.4 Hz, 1H), 8.10 (s, 1H), 8.05 - 8.03 (m, 2H), 8.00 (d, <i>J</i> = 6.4 Hz, 1H), 7.67 (d, <i>J</i> = 8.0 Hz, 1H), 6.84 (dd, <i>J</i> = 36.0, 10.0 Hz, 1H), 5.21 - 5.20 (m, 1H), 4.53 - 4.59 (m, 1H), 4.05 - 3.85 (m, 2H), 1.30 (d, <i>J</i> = 7.2 Hz, 3H)	
F37	56-58	643 ([M+H] ⁺)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 9.10 (br s, 1H), 8.16 (t, <i>J</i> = 6.4 Hz, 1H), 8.11 (s, 1H), 8.08 (d, <i>J</i> = 8.4 Hz, 1H), 8.02 (s, 1H), 8.00 (d, <i>J</i> = 6.4 Hz, 2H), 6.84 (dd, <i>J</i> = 36.0, 10.4 Hz, 1H), 5.24 - 5.21 (m, 1H), 3.95 - 3.87 (m, 2H), 1.02 (t, <i>J</i> = 4.4 Hz, 2H), 0.66 (t, <i>J</i> = 4.8 Hz, 2H)	

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No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
F38	82-85	615 ([M-H] ⁻)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.88 (t, <i>J</i> = 6.0 Hz, 1H), 8.61 (t, <i>J</i> = 6.4 Hz, 1H), 8.10 (s, 1H), 8.07 (d, <i>J</i> = 8.0 Hz, 1H), 8.00 (d, <i>J</i> = 6.4 Hz, 2H), 7.71 (d, <i>J</i> = 8.4 Hz, 1H), 6.83 (dd, <i>J</i> = 35.6, 10.4 Hz, 1H), 5.24 - 5.23 (m, 1H), 4.05 - 3.88 (m, 4H)	
F39	66-68	625 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 9.10 (s, 1H), 8.18 - 7.99 (m, 4H), 7.80 (s, 2H), 7.67 (s, 1H), 6.88 (dd, <i>J</i> = 36.0, 10.2 Hz, 1H), 5.24 - 5.18 (m, 1H), 3.99 - 3.87 (m, 2H), 1.09 - 0.98 (m, 2H), 0.97 - 0.87 (m, 2H)	
F40	101 - 103	590 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.80 (t, <i>J</i> = 5.7 Hz, 1H), 8.64 (t, <i>J</i> = 6.0 Hz, 1H), 8.12 (s, 1H), 8.08 (d, <i>J</i> = 8.1 Hz, 1H), 7.81 (s, 2H), 7.71 - 7.69 (m, 2H), 6.87 (dd, <i>J</i> = 36.0, 10.2 Hz, 1H), 5.24 - 5.18 (m, 1H), 4.02 - 3.90 (m, 4H)	
F41		599 ([M+H] ⁺)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 9.10 (s, 1H), 8.17 - 8.07 (m, 3H), 8.02 (d, <i>J</i> = 8.4 Hz, 2H), 7.75 (d, <i>J</i> = 8.8 Hz, 1H), 7.67 (d, <i>J</i> = 8.8 Hz, 1H), 6.84 (dd, <i>J</i> = 36.0, 10.2 Hz, 1H), 5.21 - 5.16 (m, 1H), 3.97 - 3.89 (m, 2H), 1.40 - 1.37 (m, 2H), 1.02 - 0.98 (m, 2H)	IR (thin film) 3463, 3289, 1682, 1168, 666 cm ⁻¹
F42		613 ([M+H] ⁺)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.84 (d, <i>J</i> = 8.0 Hz, 1H), 8.64 (t, <i>J</i> = 6.4 Hz, 1H), 8.10 (s, 1H), 8.05 (t, <i>J</i> = 6.4 Hz, 2H), 7.74 (d, <i>J</i> = 8.8 Hz, 1H), 7.69 - 7.64 (m, 2H), 6.83 (dd, <i>J</i> = 35.6, 9.6 Hz, 1H), 5.20 - 5.16 (m, 1H), 4.52 - 4.49 (m, 1H), 4.01 - 3.87 (m, 2H), 1.32 - 1.09 (m, 3H)	IR (thin film) 3412, 2924, 1652, 1275 cm ⁻¹
F43		599 ([M+H] ⁺)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.88 (t, <i>J</i> = 6.0 Hz, 1H), 8.63 (t, <i>J</i> = 6.4 Hz, 1H), 8.11 (s, 1H), 8.07 (d, <i>J</i> = 8.0 Hz, 1H), 8.00 (d, <i>J</i> = 6.4 Hz, 2H), 7.74 - 7.65 (m, 3H), 6.83 (dd, <i>J</i> = 36.0, 10.0 Hz, 1H), 5.21-5.16 (m, 1H), 4.00-3.92 (m, 4H)	IR (thin film) 3348, 2924, 1657, 607 cm ⁻¹
F44	73-76	735 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.85 (d, <i>J</i> = 7.5 Hz, 1H), 8.63 (s, 1H), 8.18 - 7.97 (m, 4H), 7.67 (d, <i>J</i> = 8.1 Hz, 1H), 6.87 (dd, <i>J</i> = 36.3, 10.2 Hz, 1H), 5.25 - 5.19 (m, 1H), 4.53 - 4.49 (m, 1H), 4.00 - 3.86 (m, 2H), 1.30 (d, <i>J</i> = 7.2 Hz, 3H)	
F45	81-84	747 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 9.10 (s, 1H), 8.17 - 7.96 (m, 6H), 6.87 (dd, <i>J</i> = 35.7, 10.2 Hz, 1H), 5.26 - 5.19 (m, 1H), 3.99 - 3.87 (m, 2H), 1.41 - 1.38 (m, 2H), 1.03 - 0.99 (m, 2H)	

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No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
5 F46	99-102	721 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.87 (d, <i>J</i> = 5.7 Hz, 1H), 8.62 (d, <i>J</i> = 6.3 Hz, 1H), 7.71 (d, <i>J</i> = 6.3 Hz, 1H), 6.87 (dd, <i>J</i> = 36.0, 10.2 Hz, 1H), 5.26 - 5.19 (m, 1H), 4.02 - 3.90 (m, 4H)	
10 F47		613 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.77 (d, <i>J</i> = 8.1 Hz, 1H), 7.61 (d, <i>J</i> = 1.6 Hz, 1H), 7.51 (dd, <i>J</i> = 8.2, 1.7 Hz, 1H), 7.43 (s, 2H), 7.41 (s, 1H), 5.79 (dd, <i>J</i> = 32.6, 9.6 Hz, 1H), 4.59 (p, <i>J</i> = 8.9 Hz, 1H), 4.37 (d, <i>J</i> = 4.1 Hz, 2H), 4.09 (q, <i>J</i> = 8.9 Hz, 2H), 3.19 (s, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -69.37 (d, <i>J</i> = 2.2 Hz), -69.89, -111.97 (d, <i>J</i> = 3.3 Hz)
15 F48		657 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.80 (d, <i>J</i> = 1.6 Hz, 1H), 7.63 (d, <i>J</i> = 8.1 Hz, 1H), 7.55 (dd, <i>J</i> = 8.2, 1.6 Hz, 1H), 7.17 (q, <i>J</i> = 4.1 Hz, 1H), 5.77 (dd, <i>J</i> = 32.6, 9.6 Hz, 1H), 4.59 (p, <i>J</i> = 8.9 Hz, 1H), 4.36 (d, <i>J</i> = 4.1 Hz, 2H), 4.19 - 4.02 (m, 3H), 3.19 (s, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -69.36, -69.88, -111.89
20 F49		627 ([M-H] ⁻)	¹ H NMR (500 MHz, CDCl ₃) δ 7.72 (d, <i>J</i> = 8.1 Hz, 1H), 7.60 (d, <i>J</i> = 1.7 Hz, 1H), 7.50 (dd, <i>J</i> = 8.2, 1.7 Hz, 1H), 7.43 (s, 2H), 7.30 (d, <i>J</i> = 7.3 Hz, 1H), 5.77 (dd, <i>J</i> = 32.6, 9.5 Hz, 1H), 5.16 (p, <i>J</i> = 6.9 Hz, 1H), 4.58 (p, <i>J</i> = 8.9 Hz, 1H), 4.39 - 4.23 (m, 1H), 3.84 (dq, <i>J</i> = 15.0, 8.9 Hz, 1H), 3.27 (s, 3H), 1.51 (d, <i>J</i> = 6.8 Hz, 3H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -69.36 (d, <i>J</i> = 8.7 Hz), -69.77 (t, <i>J</i> = 8.9 Hz), -111.54--112.50 (m)
25 F50		671 ([M-H] ⁻)	¹ H NMR (500 MHz, CDCl ₃) δ 7.78 (d, <i>J</i> = 1.7 Hz, 1H), 7.58 (d, <i>J</i> = 8.1 Hz, 1H), 7.53 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.43 (s, 2H), 7.06 (d, <i>J</i> = 7.4 Hz, 1H), 5.75 (dd, <i>J</i> = 32.6, 9.6 Hz, 1H), 5.22 - 5.09 (m, 1H), 4.58 (p, <i>J</i> = 8.8 Hz, 1H), 4.31 (dq, <i>J</i> = 15.0, 9.1 Hz, 1H), 3.85 (dq, <i>J</i> = 15.0, 8.8 Hz, 1H), 3.27 (d, <i>J</i> = 0.8 Hz, 3H), 1.51 (d, <i>J</i> = 6.8 Hz, 3H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -69.36, -69.75, -111.15--112.61 (m)
30 F51		631 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.88 (d, <i>J</i> = 1.7 Hz, 1H), 7.81-7.72 (m, 1H), 7.64 (d, <i>J</i> = 8.0 Hz, 1H), 7.44 (s, 2H), 6.88 (s, 1H), 5.83 (dd, <i>J</i> = 32.5, 9.6 Hz, 1H), 4.61 (p, <i>J</i> = 8.9 Hz, 1H), 4.34 (d, <i>J</i> = 4.1 Hz, 2H), 4.16 - 4.00 (m, 2H), 3.22 - 3.15 (m, 3H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -59.33, -69.31, -69.90 -111.89 (d, <i>J</i> = 32.2 Hz)
35 F52	66-68	638 ([M-H] ⁻)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 7.86 (s, 1H), 7.80 (d, <i>J</i> = 8.4 Hz, 1H), 7.58 (d, <i>J</i> = 8.0 Hz, 1H), 7.42 (s, 1H), 7.38 - 7.37 (m, 1H), 6.74 - 6.69 (m, 1H), 6.40 (d, <i>J</i> = 7.2 Hz, 1H), 5.89 - 5.74 (m, 2H), 4.78 - 4.71 (m, 1H), 4.64 - 4.55 (m, 1H), 3.97 - 3.89 (m, 2H), 1.52 (d, <i>J</i> = 7.2 Hz, 3H)	

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No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
5 10 F53		607 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 9.23 (d, <i>J</i> = 8.1 Hz, 1H), 8.13 (s, 1H), 8.04 (s, 3H), 7.66 (d, <i>J</i> = 8.1 Hz, 1H), 6.88 (dd, <i>J</i> = 35.6, 10.2 Hz, 1H), 5.28 - 5.21 (m, 1H), 5.02 - 4.93 (m, 1H), 4.64 - 4.59 (m, 1H), 4.09 - 4.00 (m, 1H), 3.57 - 3.31 (m, 2H), 1.23 - 1.19 (br s, 3H)	IR (thin film) 3440, 2927, 1716, 1175 cm ⁻¹
15 F54		661 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.87 (d, <i>J</i> = 1.7 Hz, 1H), 7.79 (dd, <i>J</i> = 8.1, 1.8 Hz, 1H), 7.59 (d, <i>J</i> = 8.1 Hz, 1H), 7.44 (s, 2H), 7.12 (t, <i>J</i> = 6.4 Hz, 1H), 5.83 (dd, <i>J</i> = 32.6, 9.6 Hz, 1H), 5.26 (s, 1H), 4.61 (p, <i>J</i> = 8.9 Hz, 1H), 3.92 (dq, <i>J</i> = 37.0, 9.1, 6.4 Hz, 2H), 1.70 (s, 6H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -58.82, -69.31, -73.25, -111.88
20 25 F55		762 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.86 (d, <i>J</i> = 1.7 Hz, 1H), 7.77 (dd, <i>J</i> = 8.0, 1.7 Hz, 1H), 7.59 (d, <i>J</i> = 7.8 Hz, 1H), 7.44 (s, 2H), 7.18 (s, 1H), 6.60 (d, <i>J</i> = 73.8 Hz, 2H), 5.82 (dd, <i>J</i> = 32.5, 9.6 Hz, 1H), 4.88 (s, 1H), 4.59 (m, 1H), 3.68 (d, <i>J</i> = 45.3 Hz, 1H), 1.52 (s, 12H); rotamers	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.19 (d, <i>J</i> = 6.3 Hz), -69.31 (d, <i>J</i> = 2.3 Hz), -69.69 (d, <i>J</i> = 12.5 Hz), -111.89; rotamers
30 F56		658 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.86 (d, <i>J</i> = 1.7 Hz, 1H), 7.80 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.60 (d, <i>J</i> = 8.1 Hz, 1H), 7.44 (s, 2H), 6.57 (s, 1H), 5.85 (dd, <i>J</i> = 32.5, 9.6 Hz, 1H), 4.61 (p, <i>J</i> = 8.9 Hz, 1H), 2.95 (dd, <i>J</i> = 8.6, 6.8 Hz, 2H), 2.57 - 2.31 (m, 2H), 1.76 - 1.65 (m, 2H), 1.33 - 1.28 (m, 2H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -58.79, -66.61, -69.31, -111.93
35 40 F57		664 ([M+H] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 7.86 (d, <i>J</i> = 1.7 Hz, 1H), 7.76 (m, 1H), 7.60 (d, <i>J</i> = 8.1 Hz, 1H), 7.44 (s, 2H), 6.67 (d, <i>J</i> = 7.9 Hz, 1H), 5.81 (dd, <i>J</i> = 32.6, 9.6 Hz, 1H), 5.65 (m, 1H), 4.59 (m, 1H), 4.45 (dq, <i>J</i> = 15.2, 8.9 Hz, 1H), 4.11 (s, 2H), 4.00 (dq, <i>J</i> = 15.2, 8.6 Hz, 1H), 1.50 (d, <i>J</i> = 6.9 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.12, -69.31 (d, <i>J</i> = 2.3 Hz), -69.40, -111.85
45 50 F58		657 ([M+H] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 7.87 (s, 1H), 7.79 (d, <i>J</i> = 8.1 Hz, 1H), 7.57 - 7.50 (m, 1H), 7.42 (s, 2H), 6.91 (s, 1H), 6.33 (s, 1H), 5.92 (dd, <i>J</i> = 33.7, 9.8 Hz, 1H), 4.27 (td, <i>J</i> = 14.7, 14.3, 9.7 Hz, 1H), 3.94 (ddd, <i>J</i> = 18.9, 9.3, 6.5 Hz, 2H), 1.64 (t, <i>J</i> = 18.4 Hz, 3H), 1.28 - 1.16 (m, 3H), 0.93 - 0.84 (m, 1H)	¹³ C NMR (101 MHz, CDCl ₃) δ 169.85, 166.53, 157.06 (d, <i>J</i> _{CF} = 252.8 Hz), 136.98 (d, <i>J</i> _{CF} = 5.7 Hz), 135.96, 132.74, 131.21, 129.10, 128.60, 127.91, 127.33, 127.29, 125.17, 124.32, 122.57 - 121.90 (m), 121.60, 99.98, 53.13, 48.63 - 47.10 (m), 28.27, 23.04 - 22.23 (m), 19.91

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No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
F59		689 ([M-H] ⁻)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.85 (d, <i>J</i> = 8.0 Hz, 1H), 8.63 (t, <i>J</i> = 6.4 Hz, 1H), 8.10 - 8.00 (m, 4H), 7.67 (d, <i>J</i> = 8.0 Hz, 1H), 6.84 (dd, <i>J</i> = 35.6, 10.4 Hz, 1H), 5.25 - 5.20 (m, 1H), 4.53 - 4.49 (m, 1H), 4.02 - 3.87 (m, 2H), 1.30 (d, <i>J</i> = 7.6 Hz, 3H)	IR (thin film) 3293, 2924, 1651, 1170 cm ⁻¹
F60		703 ([M+H] ⁺)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 9.10 (s, 1H), 8.17 - 7.99 (m, 6H), 6.85 (dd, <i>J</i> = 35.6, 10.0 Hz, 1H), 5.23 - 5.21 (m, 1H), 4.00 - 3.83 (m, 2H), 1.40 - 1.33 (m, 2H), 1.03 - 1.00 (m, 2H)	IR (thin film) 3437, 1663, 1119, 749 cm ⁻¹
F61	105 - 107	626 ([M+H] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 7.88 (s, 1H) 7.79 (d, <i>J</i> = 8.4 Hz, 1H), 7.66 (d, <i>J</i> = 7.6 Hz, 1H), 7.42 (s, 1H), 7.37 (s, 1H), 6.69-6.64 (m, 2H), 5.90-5.74 (m, 2H), 4.62-4.57 (m, 1H), 4.22 (d, <i>J</i> = 5.6 Hz, 2H), 3.99 - 3.91 (m, 2H)	
F62		631 ([M+H] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 7.84 (s, 1H), 7.74 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.56 (d, <i>J</i> = 8.1 Hz, 1H), 7.52 (t, <i>J</i> = 6.5 Hz, 1H), 7.42 (s, 2H), 7.17 (t, <i>J</i> = (5.1 Hz, 1H), 5.91 (dd, <i>J</i> = 33.8, 9.7 Hz, 1H), 4.38 - 4.20 (m, 3H), 3.87 (qd, <i>J</i> = 9.0, 6.4 Hz, 2H), 1.65 (t, <i>J</i> = 18.4 Hz, 3H)	¹³ C NMR (101 MHz, CDCl ₃) δ 168.92, 167.79, 157.06 (d, <i>J</i> _{CF} = 253.1 Hz), 136.92 (d, <i>J</i> _{CF} = 3.4 Hz), 135.13, 134.54, 133.45, 133.16, 131.25, 129.12, 127.70 (d, <i>J</i> _{CF} = 5.8 Hz), 125.22, 124.40, 122.83-122.35 (m), 121.67, 103.78, 48.56-47.56 (m), 43.74, 40.64 (dd, <i>J</i> = 70.8, 35.6 Hz), 23.38-21.79 (m)
F63		593 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.90 - 7.79 (m, 1H), 7.74 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.56 (d, <i>J</i> = 8.1 Hz, 1H), 7.44 (s, 2H), 6.88 (dd, <i>J</i> = 7.8, 2.0 Hz, 1H), 6.50 (t, <i>J</i> = 5.6 Hz, 1H), 5.82 (ddd, <i>J</i> = 32.6, 9.6, 0.8 Hz, 1H), 4.71 (p, <i>J</i> = 7.0 Hz, 1H), 4.59 (q, <i>J</i> = 8.9 Hz, 1H), 3.27 (qd, <i>J</i> = 7.3, 5.6 Hz, 2H), 1.49 (d, <i>J</i> = 6.9 Hz, 3H), 1.12 (t, <i>J</i> = 7.3 Hz, 3H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -59.09, -69.32, -111.85
F64		559 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.65 (d, <i>J</i> = 8.1 Hz, 1H), 7.58 (d, <i>J</i> = 1.6 Hz, 1H), 7.49 (dd, <i>J</i> = 8.2, 1.7 Hz, 1H), 7.43 (s, 2H), 7.10 (d, <i>J</i> = 7.5 Hz, 1H), 6.37 (t, <i>J</i> = 5.7 Hz, 1H), 5.77 (dd, <i>J</i> = 32.5, 9.6 Hz, 1H), 4.70 (p, <i>J</i> = 7.0 Hz, 1H), 4.58 (p, <i>J</i> = 8.9 Hz, 1H), 3.40 - 3.15 (m, 2H), 1.52 (d, <i>J</i> = 6.9 Hz, 3H), 1.16 (t, <i>J</i> = 7.3 Hz, 3H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -69.37, -111.86
F65		647 ([M-H] ⁻)	¹ H NMR (300 MHz, CDCl ₃) δ 7.85 (d, <i>J</i> = 1.6 Hz, 1H), 7.76 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.57 (d, <i>J</i> = 8.1 Hz, 1H), 7.49 (s, 2H), 7.41 - 7.17 (m, 2H), 5.85 (dd, <i>J</i> = 32.6, 9.6 Hz, 1H), 4.78-4.43 (m, 2H), 4.21 (d, <i>J</i> = 5.1 Hz, 2H), 1.32 - 1.27 (m, 3H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -59.09 (d, <i>J</i> = 16.2 Hz), -69.34, -74.46-80.70 (m), -111.92 (dd, <i>J</i> = 49.8, 32.3 Hz)

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No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
F66		613 ([M-H] ⁻)	¹ H NMR (300 MHz, CDCl ₃) δ 7.75 - 7.65 (m, 1H), 7.61 (d, <i>J</i> = 1.7 Hz, 1H), 7.52 (dd, <i>J</i> = 8.2, 1.8 Hz, 1H), 7.43 (s, 2H), 7.34 (t, <i>J</i> = 5.0 Hz, 1H), 7.12 (d, <i>J</i> = 9.4 Hz, 1H), 5.80 (dd, <i>J</i> = 32.6, 9.6 Hz, 1H), 4.83 - 4.41 (m, 2H), 4.27 (dd, <i>J</i> = 5.1, 1.4 Hz, 2H), 1.34 (d, <i>J</i> = 7.0 Hz, 3H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -69.34, -77.5, -111.95
F67		703 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.98 (d, <i>J</i> = 1.6 Hz, 1H), 7.65-7.59 (m, 1H), 7.52 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.43 (d, <i>J</i> = 4.6 Hz, 2H), 7.35 (d, <i>J</i> = 8.1 Hz, 1H), 7.02 (d, <i>J</i> = 7.7 Hz, 1H), 5.74 (dd, <i>J</i> = 32.6, 9.6 Hz, 1H), 4.90 (h, <i>J</i> = 7.0 Hz, 1H), 4.70 - 4.45 (m, 1H), 3.88 (tddd, <i>J</i> = 14.9, 12.5, 8.9, 6.1 Hz, 2H), 1.56 (d, <i>J</i> = 7.0 Hz, 3H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -69.34 (d, <i>J</i> = 8.9 Hz), -72.42, -108.78--113.05 (m)
F68		649 ([M+H] ⁺)	¹ H NMR (500 MHz, CDCl ₃) δ 7.91 (d, <i>J</i> = 1.6 Hz, 1H), 7.90 - 7.81 (m, 1H), 7.49 - 7.42 (m, 1H), 7.45 (s, 2H), 7.15 (t, <i>J</i> = 6.5 Hz, 1H), 5.94-5.78 (m, 1H), 4.63 (p, <i>J</i> = 8.7 Hz, 1H), 4.24 (s, 2H), 3.90 (m, 2H), 2.91 (s, 3H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -60.56, -69.37 (d, <i>J</i> = 8.9 Hz), -72.61 (t, <i>J</i> = 9.0 Hz), -112.15 (d, <i>J</i> = 32.7 Hz)
F69		607 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.45 (d, <i>J</i> = 7.2 Hz, 1H), 8.65 (t, <i>J</i> = 6.0 Hz, 1H), 8.07 - 8.02 (m, 2H), 7.66 (d, <i>J</i> = 8.1 Hz, 1H), 7.45 (s, 2H), 6.78 (dd, <i>J</i> = 36.0, 10.2 Hz, 1H), 4.95-4.89 (m, 1H), 4.53-4.48 (m, 1H), 4.02-3.86 (m, 2H), 2.35 (s, 6H), 1.32 (d, <i>J</i> = 7.2 Hz, 3H)	IR (thin film) 3285, 2929, 1653, 1167 cm ⁻¹
F70		619 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 9.10 (s, 1H), 8.17 (t, <i>J</i> = 6.6 Hz, 1H), 8.08 (s, 2H), 8.01 (d, <i>J</i> = 8.4 Hz, 1H), 7.44 (s, 2H), 6.79 (dd, <i>J</i> = 30.0, 9.9 Hz, 1H), 4.96-4.89 (m, 1H), 3.96-3.90 (m, 2H), 2.35 (s, 6H), 1.40 - 1.29 (m, 2H), 1.08 - 1.01 (m, 2H)	IR (thin film) 3339, 2925, 1661, 1165 cm ⁻¹
F71		593 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.88 (t, <i>J</i> = 6.0 Hz, 1H), 8.63 (t, <i>J</i> = 6.0 Hz, 1H), 8.07 (s, 1H), 8.04 (s, 1H), 7.70 (d, <i>J</i> = 7.8 Hz, 1H), 7.45 (s, 2H), 6.78 (dd, <i>J</i> = 35.7, 9.9 Hz, 1H), 4.96-4.89 (m, 1H), 4.02-3.90 (m, 4H), 2.35 (s, 6H)	IR (thin film) 3321, 2918, 1654, 1166 cm ⁻¹
F72		627 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.97 (d, <i>J</i> = 7.8 Hz, 1H), 8.11 (s, 1H), 8.05 (d, <i>J</i> = 8.1 Hz, 1H), 7.81 (s, 2H), 7.68 (s, 1H), 7.60 (d, <i>J</i> = 8.1 Hz, 1H), 6.87 (dd, <i>J</i> = 36.0, 10.2 Hz, 1H), 5.24 - 5.17 (m, 1H), 4.99 - 4.97 (m, 1H), 4.29 - 4.14 (m, 2H), 3.23 (s, 3H), 1.29 (d, <i>J</i> = 6.8 Hz, 3H)	IR (thin film) 3418, 2927, 1652, 749 cm ⁻¹

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No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
5 F73		625 ([M-H] ⁻)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.97 (d, <i>J</i> = 7.6 Hz, 1H), 8.11 (s, 1H), 8.04 (d, <i>J</i> = 8.0 Hz, 1H), 8.00 (s, 2H), 7.74 (d, <i>J</i> = 8.4 Hz, 1H), 7.67 (d, <i>J</i> = 6.8 Hz, 1H), 7.59 (d, <i>J</i> = 8.4 Hz, 1H), 6.83 (dd, <i>J</i> = 35.6, 9.8 Hz, 1H), 5.20 - 5.16 (m, 1H), 4.98 - 4.94 (m, 1H), 4.24 - 4.11 (m, 2H), 3.22 (s, 3H), 1.28 (d, <i>J</i> = 6.8 Hz, 3H)	IR (thin film) 3435, 2924, 1651, 750 cm ⁻¹
10 F74		613 ([M+H] ⁺)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.80 (t, <i>J</i> = 6.0 Hz, 1H), 8.12 (s, 1H), 8.07 (d, <i>J</i> = 8.8 Hz, 1H), 8.00 (s, 1H), 7.74 - 7.65 (m, 3H), 6.83 (dd, <i>J</i> = 35.6, 10.0 Hz, 1H), 5.21 - 5.16 (m, 1H), 4.22 - 4.15 (m, 4H), 3.14 (s, 3H)	IR (thin film) 3406, 2926, 1661, 749 cm ⁻¹
15 F75		693 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.97 (d, <i>J</i> = 7.2 Hz, 1H), 8.10 (s, 1H), 8.05 - 8.00 (m, 3H), 7.95 (s, 1H), 7.60 (d, <i>J</i> = 8.1 Hz, 1H), 6.86 (dd, <i>J</i> = 35.7, 9.9 Hz, 1H), 5.26 - 5.19 (m, 1H), 4.99 - 4.94 (m, 1H), 4.34 - 4.08 (m, 2H), 3.22 (s, 3H)	IR (thin film) 3412, 1652 cm ⁻¹
20 F76		705 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.81 (t, <i>J</i> = 5.4 Hz, 1H), 8.11 (s, 1H), 8.08 (d, <i>J</i> = 8.4 Hz, 1H), 8.00 (s, 2H), 7.70 (d, <i>J</i> = 8.1 Hz, 1H), 6.86 (dd, <i>J</i> = 35.4, 9.9 Hz, 1H), 5.26 - 5.20 (m, 1H), 4.23 - 4.18 (m, 3H), 3.14 (s, 3H), 1.26 (d, <i>J</i> = 7.2 Hz, 3H)	IR (thin film) 3411, 1661 cm ⁻¹
25 F77		735 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.79 (d, <i>J</i> = 5.4 Hz, 1H), 8.18 - 8.05 (m, 4H), 7.70 (d, <i>J</i> = 8.1 Hz, 1H), 6.87 (dd, <i>J</i> = 36.3, 10.2 Hz, 1H), 5.23-5.19 (m, 1H), 4.23-4.19 (m, 4H), 3.14 (s, 3H)	IR (thin film) 3411, 1661 cm ⁻¹
30 F78		749 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.97 (d, <i>J</i> = 6.6 Hz, 1H), 8.17 - 8.02 (m, 4H), 7.60 (d, <i>J</i> = 8.1 Hz, 1H), 6.86 (dd, <i>J</i> = 36.0, 9.6 Hz, 1H), 5.25-5.19 (m, 1H), 4.98-4.95 (m, 1H), 4.35-4.10 (m, 2H), 3.22 (s, 3H), 1.28 (d, <i>J</i> = 6.0 Hz, 3H)	IR (thin film) 3411, 1652 cm ⁻¹
35 F79		699 ([M-H] ⁻)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.81 (d, <i>J</i> = 8.0 Hz, 1H), 8.61 (t, <i>J</i> = 6.0 Hz, 1H), 8.09 (s, 2H), 8.05 (d, <i>J</i> = 8.0 Hz, 1H), 7.85 (d, <i>J</i> = 8.0 Hz, 1H), 7.66 (d, <i>J</i> = 8.0 Hz, 1H), 7.61 (d, <i>J</i> = 6.8 Hz, 1H), 6.81 (dd, <i>J</i> = 36.0, 9.6 Hz, 1H), 5.17 - 5.16 (m, 1H), 4.53 - 4.49 (m, 1H), 4.01 - 3.86 (m, 2H), 1.30 (d, <i>J</i> = 6.8 Hz, 3H)	IR (thin film) 3429, 2927, 1649, 1116 cm ⁻¹

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No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
F80		712 ([M-H] ⁻)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 9.08 (br s, 1H), 8.13 - 8.08 (m, 2H), 8.06 (s, 2H), 8.00 (d, <i>J</i> = 8.0 Hz, 1H), 7.85 (d, <i>J</i> = 8.4 Hz, 1H), 7.61 (d, <i>J</i> = 8.4 Hz, 1H), 6.85 (dd, <i>J</i> = 35.6, 10.0 Hz, 1H), 5.17 - 5.16 (m, 1H), 4.03 - 3.89 (m, 2H), 1.42 - 1.33 (m, 2H), 1.03 - 1.01 (m, 2H)	IR (thin film) 3436, 2926, 1667, 1275, 750 cm ⁻¹
F81		643 ([M-H] ⁻)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.94 (d, <i>J</i> = 7.6 Hz, 1H), 8.10 (s, 1H), 8.04 (d, <i>J</i> = 8.4 Hz, 1H), 8.00 (d, <i>J</i> = 6.4 Hz, 2H), 7.60 (d, <i>J</i> = 8.0 Hz, 1H), 6.82 (dd, <i>J</i> = 35.6, 10.4 Hz, 1H), 5.23 - 5.21 (m, 1H), 4.99 - 4.93 (m, 1H), 4.32 - 4.26 (m, 1H), 4.15 - 4.02 (m, 1H), 3.22 (s, 3H), 1.29 (d, <i>J</i> = 6.8 Hz, 3H)	IR (thin film) 3432, 2927, 1647, 1262, 749 cm ⁻¹
F82		629 ([M+H] ⁺)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.76 (t, <i>J</i> = 6.0 Hz, 1H), 8.10 (s, 1H), 8.07 (d, <i>J</i> = 8.4 Hz, 1H), 8.00 (d, <i>J</i> = 6.0 Hz, 2H), 7.70 (d, <i>J</i> = 8.4 Hz, 1H), 6.82 (dd, <i>J</i> = 35.6, 9.6 Hz, 1H), 5.23-5.22 (m, 1H), 4.39-4.36 (m, 1H), 4.23-4.19 (m, 3H), 3.14 (s, 3H)	IR (thin film) 3418, 2927, 1651, 749 cm ⁻¹
F83		715 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.97 (d, <i>J</i> = 8.1 Hz, 1H), 8.11 (s, 1H), 8.05 (d, <i>J</i> = 8.7 Hz, 1H), 7.96 (s, 2H), 7.90 - 7.89 (m, 1H), 7.60 (d, <i>J</i> = 8.4 Hz, 1H), 6.87 (dd, <i>J</i> = 36.0, 10.2 Hz, 1H), 5.22 - 5.21 (m, 1H), 4.99 - 4.94 (m, 1H), 4.34 - 4.08 (m, 2H), 3.22 (s, 3H), 1.29 (d, <i>J</i> = 6.9 Hz, 3H)	IR (thin film) 3405, 2929, 1651, 748 cm ⁻¹
F84		701 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.80 (t, <i>J</i> = 5.4 Hz, 1H), 8.12 (s, 1H), 8.08 - 8.06 (m, 1H), 7.96 (s, 2H), 7.90 (s, 1H), 7.70 (d, <i>J</i> = 8.1 Hz, 1H), 6.87 (dd, <i>J</i> = 36.0, 10.2 Hz, 1H), 5.22 - 5.20 (m, 1H), 4.23 - 4.16 (m, 4H), 3.14 (s, 3H)	IR (thin film) 3402, 2926, 1660, 748 cm ⁻¹
F85		639 ([M+H] ⁺)	¹ H NMR (300 MHz, CDCl ₃) δ 7.88 (s, 1H), 7.79 (d, <i>J</i> = 7.8 Hz, 1H), 7.64 (d, <i>J</i> = 7.8 Hz, 1H), 7.44 (s, 1H), 7.37 (s, 1H), 6.76 - 6.72 (m, 1H), 5.84 - 5.65 (m, 2H), 5.47 - 5.31 (m, 1H), 4.64 - 4.60 (m, 1H), 4.34 - 4.31 (m, 2H), 4.12 - 4.03 (q, <i>J</i> = 8.7 Hz, 2H), 3.17 (s, 3H)	IR (thin film) 3437, 2924, 1661, 1266, 1170, 764 cm ⁻¹
F86	85-88	653 ([M+H] ⁺)	¹ H NMR (300 MHz, CDCl ₃) δ 7.86 (s, 1H), 7.79 (d, <i>J</i> = 8.1 Hz, 1H), 7.59 (d, <i>J</i> = 8.4 Hz, 1H), 7.43 (s, 1H), 7.37 (s, 1H), 7.01 - 6.90 (m, 1H), 5.94 - 5.65 (m, 3H), 5.46 - 5.34 (m, 1H), 5.16 - 5.11 (m, 1H), 4.33 - 4.25 (m, 1H), 3.88 - 3.80 (m, 1H), 3.26 (s, 3H), 1.54 (d, <i>J</i> = 6.9 Hz, 3H)	

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No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
5 F87		646 ([M+H] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 7.83 (d, <i>J</i> = 1.8 Hz, 1H), 7.73 (dt, <i>J</i> = 9.0, 2.7 Hz, 1H), 7.59 - 7.52 (m, 2H), 7.42 (d, <i>J</i> = 5.2 Hz, 2H), 7.22 - 7.13 (m, 1H), 5.91 (ddd, <i>J</i> = 33.9, 9.7, 1.6 Hz, 1H), 4.39 - 4.19 (m, 2H), 3.85 (qd, <i>J</i> = 8.9, 6.3 Hz, 2H), 1.98 - 1.78 (m, 3H), 1.07 (t, <i>J</i> = 7.4 Hz, 3H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -59.32, -72.56, -102.60--107.05 (m), -115.08
10 F88		672 ([M+H] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 7.82 (d, <i>J</i> = 1.8 Hz, 1H), 7.73 (ddd, <i>J</i> = 8.3, 4.2, 1.6 Hz, 1H), 7.46 (dd, <i>J</i> = 8.1, 4.5 Hz, 1H), 7.42 (s, 2H), 6.92 (q, <i>J</i> = 6.1 Hz, 2H), 5.92 (dd, <i>J</i> = 33.9, 9.7 Hz, 1H), 4.31 (td, <i>J</i> = 14.6, 9.8 Hz, 1H), 3.89 (qd, <i>J</i> = 9.0, 6.4 Hz, 2H), 2.02 1.72 (m, 2H), 1.64 1.56 (m, 2H), 1.18 1.12 (m, 2H), 1.07 (t, <i>J</i> = 7.4 Hz, 3H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -58.73, -72.6, -101.74--107.92 (m), -115.12
15 F89		687 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.98 - 7.84 (m, 1H), 7.80 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.53 (d, <i>J</i> = 8.1 Hz, 1H), 7.44 (s, 2H), 6.61 (d, <i>J</i> = 3.8 Hz, 2H), 5.86 (dd, <i>J</i> = 32.5, 9.6 Hz, 1H), 4.61 (p, <i>J</i> = 8.9 Hz, 1H), 3.34 (q, <i>J</i> = 6.6 Hz, 2H), 2.27 - 2.07 (m, 2H), 1.88 - 1.72 (m, 2H), 1.72 - 1.54 (m, 2H), 1.17 - 1.04 (m, 2H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -58.56, -66.16, -69.29, -111.68
20 F90		673 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.87 (d, <i>J</i> = 1.6 Hz, 1H), 7.80 (dd, <i>J</i> = 8.0, 1.7 Hz, 1H), 7.54 (d, <i>J</i> = 8.1 Hz, 1H), 7.44 (s, 2H), 6.79 (t, <i>J</i> = 6.1 Hz, 1H) 6.59 (s, 1H), 5.86 (dd, <i>J</i> = 32.5, 9.6 Hz, 1H), 4.61 (p, <i>J</i> = 8.8 Hz, 1H), 3.53 (q, <i>J</i> = 6.3 Hz, 2H), 2.34 (qt, <i>J</i> = 10.8, 6.5 Hz, 2H), 1.70-1.57 (m, 2H), 1.22-1.07 (m, 2H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -58.66, -65.10 (d, <i>J</i> = 10.7 Hz), -69.30, -111.97
25 F91		647 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.94 - 7.83 (m, 1H), 7.79 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.53 (d, <i>J</i> = 8.1 Hz, 1H), 7.44 (s, 2H), 6.65 (s, 1H), 6.47 (t, <i>J</i> = 5.5 Hz, 1H), 5.85 (dd, <i>J</i> = 32.6, 9.6 Hz, 1H), 4.61 (p, <i>J</i> = 8.9 Hz, 1H), 3.26 (ddd, <i>J</i> = 8.6, 7.4, 5.7 Hz, 2H), 1.66 (d, <i>J</i> = 3.0 Hz, 1H), 1.63 - 1.57 (m, 2H), 1.39 (q, <i>J</i> = 7.1 Hz, 2H), 1.18-1.00 (m, 2H), 0.91 (d, <i>J</i> = 6.6 Hz, 6H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -58.57, -69.30, -111.96 (d, <i>J</i> = 32.3 Hz)
30 F92		655 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.87 (d, <i>J</i> = 1.7 Hz, 1H), 7.80 (dd, <i>J</i> = 8.2, 1.8 Hz, 1H), 7.55 (d, <i>J</i> = 8.0 Hz, 1H), 7.44 (s, 2H), 6.76 (t, <i>J</i> = 6.2 Hz, 1H) 6.54 (s, 1H), 5.85 (dd, <i>J</i> = 32.5, 9.6 Hz, 1H), 4.61 (p, <i>J</i> = 8.9 Hz, 1H), 3.68 (td, <i>J</i> = 13.6, 6.3 Hz, 2H), 1.71 - 1.65 (m, 2H), 1.60 (t, <i>J</i> = 18.6 Hz, 3H), 1.23 - 1.10 (m, 2H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -58.70, -69.31, -96.76, -111.99 (d, <i>J</i> = 32.5 Hz)

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No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
5 10 F93		645 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.95 - 7.83 (m, 1H), 7.79 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.52 (d, <i>J</i> = 8.1 Hz, 1H), 7.44 (s, 2H), 6.71 (s, 1H), 6.49 (t, <i>J</i> = 5.7 Hz, 1H), 5.85 (dd, <i>J</i> = 32.5, 9.6 Hz, 1H), 4.61 (p, <i>J</i> = 8.9 Hz, 1H), 3.26 (dd, <i>J</i> = 7.3, 5.6 Hz, 2H), 2.45 (dt, <i>J</i> = 15.2, 7.5 Hz, 1H), 1.96 - 1.81 (m, 2H), 1.79 - 1.61 (m, 2H), 1.63 - 1.52 (m, 2H), 1.16 - 1.05 (m, 2H), 1.02 (d, <i>J</i> = 6.6 Hz, 2H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -58.58 -69.30, -112.02
15 20 F94		615 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.87 (d, <i>J</i> = 1.6 Hz, 1H), 7.80 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.58 (d, <i>J</i> = 8.0 Hz, 1H), 7.44 (s, 2H), 6.69 (t, <i>J</i> = 5.3 Hz, 1H), 6.65 (s, 1H), 5.86 (dd, <i>J</i> = 32.5, 9.6 Hz, 1H), 4.61 (p, <i>J</i> = 8.9 Hz, 1H), 4.04 (dd, <i>J</i> = 5.2, 2.6 Hz, 2H), 2.24 (t, <i>J</i> = 2.6 Hz, 1H), 1.73 - 1.59 (m, 2H), 1.21 - 1.06 (m, 2H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -58.58, -69.30, -112.02
25 30 F95		641 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.97 - 7.82 (m, 1H), 7.79 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.55 (d, <i>J</i> = 8.1 Hz, 1H), 7.44 (s, 2H), 6.78 (t, <i>J</i> = 6.3 Hz, 1H), 6.60 (s, 1H), 6.06 - 5.55 (m, 2H), 4.61 (p, <i>J</i> = 8.9 Hz, 1H), 3.66 (tdd, <i>J</i> = 15.0, 6.2, 4.0 Hz, 2H), 1.74 - 1.55 (m, 2H), 1.20 - 1.08 (m, 2H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -58.70, -69.30, -111.99 (d, <i>J</i> = 32.8 Hz), -123.08 (dd, <i>J</i> = 56.0, 15.5 Hz)
35 F96		673 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.79 (d, <i>J</i> = 1.6 Hz, 1H), 7.71 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.47 (t, <i>J</i> = 4.1 Hz, 2H), 7.43 (s, 2H), 5.81 (dd, <i>J</i> = 32.6, 9.6 Hz, 1H), 4.60 (p, <i>J</i> = 8.9 Hz, 1H), 4.11 (m, 2H), 3.27 (d, <i>J</i> = 31.4 Hz, 3H), 1.36 - 1.33 (m, 2H), 1.32 - 1.28 (m, 2H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -58.98, -69.32 (d, <i>J</i> = 8.7 Hz), -72.64, -111.94
40 45 F97		639 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.69 (d, <i>J</i> = 8.1 Hz, 1H), 7.58 (d, <i>J</i> = 1.7 Hz, 1H), 7.51 (dd, <i>J</i> = 8.3, 1.7 Hz, 1H), 7.42 (s, 2H), 6.87 (s, 1H), 5.78 (dd, <i>J</i> = 32.5, 9.6 Hz, 1H), 4.58 (p, <i>J</i> = 8.8 Hz, 1H), 4.29 - 4.09 (m, 2H), 3.32 (s, 3H), 1.58 - 1.46 (m, 2H), 1.40 - 1.30 (m, 2H)	¹⁹ F NMR (471 MHz, -69.36, -72.58, -111.68)
50 55 F98		661 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.86 - 7.77 (m, 2H), 7.71 (d, <i>J</i> = 8.1 Hz, 1H), 7.50 (d, <i>J</i> = 8.0 Hz, 1H), 7.44 (s, 2H), 7.43 - 7.30 (m, 1H), 5.83 (ddd, <i>J</i> = 32.6, 9.6, 1.6 Hz, 1H), 4.84 (q, <i>J</i> = 7.2 Hz, 1H), 4.61 (p, <i>J</i> = 8.9 Hz, 1H), 3.85 (tdd, <i>J</i> = 15.0, 9.3, 6.6 Hz, 1H), 3.67 (dtd, <i>J</i> = 17.5, 8.9, 4.2 Hz, 1H), 1.87 (dt, <i>J</i> = 14.2, 7.1 Hz, 1H), 1.75 (dt, <i>J</i> = 14.1, 7.2 Hz, 1H), 0.94 (t, <i>J</i> = 7.4 Hz, 3H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -59.23, -69.32, -72.55 (d, <i>J</i> = 8.6 Hz), -109.09 - -114.80 (m)

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No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
F99		661 ([M+H] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 7.88 (s, 1H), 7.80 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.58 (d, <i>J</i> = 8.1 Hz, 1H), 7.45 (s, 2H), 5.85 (dd, <i>J</i> = 32.6, 9.5 Hz, 1H), 4.94 (d, <i>J</i> = 16.1 Hz, 1H), 4.63 (p, <i>J</i> = 8.9 Hz, 1H), 4.28 (d, <i>J</i> = 11.5 Hz, 1H), 4.18 - 3.78 (m, 2H), 3.21 (s, 3H), 2.88 (s, 3H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -60.53, -69.32 (d, <i>J</i> = 8.5 Hz), rotamers -69.96 (t, <i>J</i> = 8.8 Hz) & -70.49 (t, <i>J</i> = 8.4 Hz), -111.88 (d, <i>J</i> = 33.2 Hz)
F100		627 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.65 (dd, <i>J</i> = 8.2, 4.5 Hz, 1H), 7.60 (dd, <i>J</i> = 3.9, 1.4 Hz, 1H), 7.50 (dt, <i>J</i> = 8.2, 2.1 Hz, 1H), 7.43 (d, <i>J</i> = 4.4 Hz, 2H), 7.27 (d, <i>J</i> = 2.7 Hz, 1H), 7.09 (dd, <i>J</i> = 8.0, 4.4 Hz, 1H), 5.78 (dd, <i>J</i> = 32.5, 9.6 Hz, 1H), 4.87 - 4.66 (m, 1H), 4.69 - 4.41 (m, 1H), 4.10 - 3.92 (m, 1H), 3.85 (dtdd, <i>J</i> = 17.8, 8.9, 5.6, 3.2 Hz, 1H), 2.05 - 1.94 (m, 1H), 1.89 - 1.75 (m, 1H), 1.26 (qd, <i>J</i> = 5.2, 4.3, 2.3 Hz, 3H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -68.53 - -70.20 (m), -72.49 (d, <i>J</i> = 9.9 Hz), -110.53 - -114.49 (m)
F101		629 ([M-H] ⁻)	¹ H NMR (300 MHz, Methanol- <i>d</i> ₄) δ 8.07 (d, <i>J</i> = 1.6 Hz, 1H), 8.06 - 7.97 (m, 1H), 7.80 (d, <i>J</i> = 8.2 Hz, 1H), 7.78 (s, 2H), 6.48 (dd, <i>J</i> = 34.1, 9.8 Hz, 1H), 4.96 (q, <i>J</i> = 9.2 Hz, 1H), 4.28 - 4.07 (m, 1H), 3.05 - 2.80 (m, 2H), 2.78 - 2.46 (m, 2H), 1.63 - 1.42 (m, 2H), 1.21 - 1.03 (m, 2H)	IR (thin film) 3289, 3082, 2362, 1681, 1643, 1598, 1552, 1246, 1165, 1118, 811, 733, 672 cm ⁻¹
F102		619 ([M-H] ⁻)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.93 (d, <i>J</i> = 7.6 Hz, 1H), 8.06 (s, 1H), 8.03 (d, <i>J</i> = 8.4 Hz, 1H), 7.58 (d, <i>J</i> = 7.6 Hz, 1H), 7.44 (s, 2H), 6.74 (dd, <i>J</i> = 36.0, 10.0 Hz, 1H), 4.98 - 4.89 (m, 1H), 4.32 - 4.25 (m, 1H), 4.15 - 4.09 (m, 2H), 3.28 (s, 3H), 2.35 (s, 6H), 1.28 (d, <i>J</i> = 6.8 Hz, 3H)	IR (thin film) 3404, 2929, 1664 cm ⁻¹
F103		605 ([M-H] ⁻)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.77 (t, <i>J</i> = 6.0 Hz, 1H), 8.07 (s, 1H), 8.04 (s, 1H), 7.68 (d, <i>J</i> = 8.0 Hz, 1H), 7.44 (s, 2H), 6.75 (dd, <i>J</i> = 36.0, 10.4 Hz, 1H), 4.94 - 4.89 (m, 1H), 4.22 - 4.16 (m, 4H), 3.14 (s, 3H), 2.32 (s, 6H)	IR (thin film) 3399, 1661, 1167 cm ⁻¹
F104		675 ([M+H] ⁺)	¹ H NMR (300 MHz, CDCl ₃) δ 7.86 (s, 1H), 7.77 (m, 1H), 7.65 (d, <i>J</i> = 8.1 Hz, 1H), 7.44 (s, 2H), 6.80 (d, <i>J</i> = 5.4 Hz, 1H), 5.82 (dd, <i>J</i> = 32.6, 9.6 Hz, 1H), 4.56 (m, 2H), 4.17 (dq, <i>J</i> = 14.9, 8.9 Hz, 1H), 3.92 (dq, <i>J</i> = 15.1, 9.0 Hz, 1H), 3.61 (dt, <i>J</i> = 11.8, 5.7 Hz, 1H), 3.49 (m, 1H), 2.81 (m, 1H), 2.04 (m, 2H), 1.68 (m, 1H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.08, -69.30 (d, <i>J</i> = 2.3 Hz), -69.76, -111.87 (dd, <i>J</i> = 4.8, 2.3 Hz)
F105		590 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.79 (t, <i>J</i> = 6.0 Hz, 1H), 8.12 (s, 1H), 8.08 (d, <i>J</i> = 8.1 Hz, 1H), 7.81 (s, 2H), 7.70 - 7.67 (m, 2H), 6.87 (dd, <i>J</i> = 36.6, 10.5 Hz, 1H), 5.24 - 5.18 (m, 1H), 4.23 - 4.06 (m, 4H), 2.35 (s, 3H)	IR (thin film) 3400, 2929, 1661, 1171 cm ⁻¹

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No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
F106		661 ([M+H] ⁺)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 9.31 (d, <i>J</i> = 7.1 Hz, 1H), 8.14 (s, 1H), 8.08 - 8.04 (m, 3H), 7.67 (d, <i>J</i> = 7.6 Hz, 1H), 6.87 (dd, <i>J</i> = 36.0, 10.4 Hz, 1H), 5.27 - 5.22 (m, 1H), 5.10 - 5.03 (m, 1H), 4.71 - 4.67 (m, 1H), 4.45 - 4.37 (m, 2H), 4.15 - 4.11 (m, 1H)	IR (thin film) 3421, 2925, 1731, 769 cm ⁻¹
F107	88-93	685 ([M-H] ⁻)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.89 (t, <i>J</i> = 6.0 Hz, 1H), 8.64 (t, <i>J</i> = 6.4 Hz, 1H), 8.11 (s, 1H), 8.08 (d, <i>J</i> = 8.7 Hz, 2H), 7.86 (d, <i>J</i> = 8.4 Hz, 1H), 7.70 (d, <i>J</i> = 8.1 Hz, 1H), 7.62 (d, <i>J</i> = 8.4 Hz, 1H), 6.85 (dd, <i>J</i> = 35.7, 9.9 Hz, 1H), 5.19 - 5.18 (m, 1H), 4.02 - 3.90 (m, 4H)	
F108		725 ([M-H] ⁻)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 9.26 (br s, 1H), 8.14 (s, 1H), 8.06 (d, <i>J</i> = 7.2 Hz, 1H), 7.96 (s, 2H), 7.91 (s, 1H), 7.58 (d, <i>J</i> = 8.1 Hz, 1H), 6.87 (dd, <i>J</i> = 35.4, 9.9 Hz, 1H), 5.22 - 5.21 (m, 1H), 4.22 - 4.21 (m, 2H), 3.17 (s, 3H), 1.08 - 1.02 (m, 2H), 0.85 - 0.81 (m, 2H)	IR (thin film) 3429, 2925, 1667, 749 cm ⁻¹
F109		655 ([M-H] ⁻)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.85 (d, <i>J</i> = 7.2 Hz, 1H), 8.63 (t, <i>J</i> = 6.6 Hz, 1H), 8.11 (s, 1H), 8.06 (d, <i>J</i> = 8.1 Hz, 1H), 7.93 (s, 1H), 7.85 (s, 1H), 7.80 (s, 1H), 7.67 (d, <i>J</i> = 8.1 Hz, 1H), 6.87 (dd, <i>J</i> = 36.0, 10.2 Hz, 1H), 5.23 - 5.17 (m, 1H), 4.53 - 4.48 (m, 1H), 4.01 - 3.86 (m, 2H), 1.28 (d, <i>J</i> = 6.0 Hz, 3H)	IR (thin film) 3284, 2923, 1641, 845 cm ⁻¹
F110		677 ([M+H] ⁺)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.89 (t, <i>J</i> = 6.0 Hz, 1H), 8.63 (t, <i>J</i> = 6.4 Hz, 1H), 8.11 - 8.00 (m, 4H), 7.71 (d, <i>J</i> = 8.4 Hz, 1H), 6.84 (dd, <i>J</i> = 36.0, 10.4 Hz, 1H), 5.25 - 5.21 (m, 1H), 3.98 - 3.91 (m, 4H)	IR (thin film) 3330, 2927, 1660, 1163, 670 cm ⁻¹
F111	78-80	665 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.84 (s, 1H), 7.79 (d, <i>J</i> = 8.0 Hz, 1H), 7.54 (d, <i>J</i> = 7.6 Hz, 1H), 7.41 (s, 1H), 7.36 (s, 1H), 6.72 - 6.64 (dd, <i>J</i> = 17.6, 12.0 Hz, 1H), 6.38 (br s, 1H), 5.88 - 5.74 (m, 2H), 4.61 - 4.56 (m, 1H), 4.22 - 4.15 (m, 2H), 3.33 (s, 3H), 1.25 - 1.17 (m, 3H), 0.89 - 0.86 (m, 1H)	

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No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
F112		607 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.83 (d, <i>J</i> = 1.7 Hz, 1H), 7.73 (dd, <i>J</i> = 8.1, 1.8 Hz, 1H), 7.52 (d, <i>J</i> = 8.0 Hz, 1H), 7.49 (d, <i>J</i> = 2.1 Hz, 1H), 7.45 (d, <i>J</i> = 8.3 Hz, 1H), 7.24 (dd, <i>J</i> = 8.4, 2.1 Hz, 1H), 7.18 (t, <i>J</i> = 6.5 Hz, 1H), 6.63 (d, <i>J</i> = 7.7 Hz, 1H), 5.94 (dd, <i>J</i> = 33.9, 9.8 Hz, 1H), 4.84 (p, <i>J</i> = 7.1 Hz, 1H), 4.31 (ddd, <i>J</i> = 15.8, 13.1, 9.7 Hz, 1H), 3.89 (qdd, <i>J</i> = 8.8, 6.4, 2.0 Hz, 2H), 1.68 - 1.55 (m, 3H), 1.51 (d, <i>J</i> = 7.0 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.16, -72.60, -92.33--97.71 (m), -115.49 ; IR (thin film) 3286, 1647, 1543 cm ⁻¹
F113		652 ([M+H] ⁺)	¹ H NMR (300 MHz, CDCl ₃) δ 7.89 (s, 1H), 7.83 (d, <i>J</i> = 8.4 Hz, 1H), 7.58 (d, <i>J</i> = 8.4 Hz, 1H), 7.41 (s, 1H), 7.37 (s, 1H), 6.71 - 6.63 (m, 1H), 6.38 (br s, 1H), 5.93 - 5.74 (m, 2H), 4.63 - 4.57 (m, 1H), 4.02 - 3.86 (m, 2H), 1.19 - 1.17 (m, 2H), 0.90 - 0.86 (m, 2H)	IR (thin film) 3382, 2925, 1673, 1160 764 cm ⁻¹
F114		657 ([M+H] ⁺)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 9.30 (br s, 1H), 8.13 (s, 1H), 8.05 (d, <i>J</i> = 8.0 Hz, 1H), 8.00 (d, <i>J</i> = 6.0 Hz, 2H), 7.59 (d, <i>J</i> = 8.7 Hz, 1H), 6.84 (dd, <i>J</i> = 35.6, 10.0 Hz, 1H), 5.24 - 5.23 (m, 1H), 4.21 - 4.10 (m, 2H), 3.18 (s, 3H), 1.04 - 1.01 (m, 2H), 0.86 - 0.83 (m, 2H)	IR (thin film) 3437, 2924, 1667, 750 cm ⁻¹
F115		639 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 9.26 (s, 1H), 8.14 (s, 1H), 8.06 (d, <i>J</i> = 8.4 Hz, 1H), 7.80 (s, 2H), 7.69 (s, 1H), 7.59 (d, <i>J</i> = 8.1 Hz, 1H), 6.87 (dd, <i>J</i> = 35.4, 9.9 Hz, 1H), 5.24 - 5.17 (m, 1H), 4.22 (br s, 2H), 3.17 (s, 3H), 1.08 - 1.00 (m, 2H), 0.85 - 0.83 (m, 2H)	IR (thin film) 3430, 2925, 1668, 749 cm ⁻¹
F116		667 ([M-H] ⁻)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 9.10 (br s, 1H), 8.17 - 8.07 (m, 3H), 8.02 (d, <i>J</i> = 8.1 Hz, 1H), 7.93 (s, 1H), 7.84 (s, 1H), 7.80 - 7.79 (m, 1H), 6.87 (dd, <i>J</i> = 36.0, 9.9 Hz, 1H), 5.23 - 5.17 (m, 1H), 3.96 - 3.87 (m, 2H), 1.40 - 1.25 (m, 2H), 1.03 - 0.99 (m, 2H)	IR (thin film) 3421, 2925, 1667, 1166, 750 cm ⁻¹
F117		717 ([M+H] ⁺)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 9.26 (s, 1H), 8.13 (s, 1H), 8.06 - 7.99 (m, 3H), 7.59 (d, <i>J</i> = 8.0 Hz, 1H), 6.85 (dd, <i>J</i> = 36.0, 10.4 Hz, 1H), 5.25 - 5.20 (m, 1H), 4.20 - 4.18 (m, 2H), 3.18 (s, 3H), 1.28 - 1.23 (m, 2H), 1.03 - 0.99 (m, 2H)	IR (thin film) 3429, 2920, 1260, 750 cm ⁻¹

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No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
F118		761 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 9.26 (br s, 1H), 8.17 - 8.14 (m, 2H), 8.06 (d, <i>J</i> = 8.1 Hz, 1H), 7.95 (s, 1H), 7.59 (d, <i>J</i> = 8.1 Hz, 1H), 6.87 (dd, <i>J</i> = 35.4, 9.3 Hz, 1H), 5.25 - 5.19 (m, 1H), 4.20 - 4.18 (m, 2H), 3.19 (s, 3H), 1.28 - 1.26 (m, 2H), 1.04 - 1.00 (m, 2H)	IR (thin film) 3442, 2931, 1667, 748 cm ⁻¹
F119		633 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 9.27 (s, 1H), 8.11 (s, 1H), 8.05 (d, <i>J</i> = 8.1 Hz, 1H), 7.59 (d, <i>J</i> = 8.1 Hz, 1H), 7.44 (s, 2H), 6.87 (dd, <i>J</i> = 36.0, 10.2 Hz, 1H), 4.96 - 4.92 (m, 1H), 4.22 - 4.20 (m, 2H), 3.17 (s, 3H), 2.35 (s, 6H), 1.20 - 0.98 (m, 2H), 0.82 - 0.79 (m, 2H)	IR (thin film) 3436, 2925, 1637, 750 cm ⁻¹
F120		640 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 9.24 (s, 1H), 8.14 (s, 1H), 8.06 (d, <i>J</i> = 8.4 Hz, 1H), 7.99 (s, 1H), 7.75 (d, <i>J</i> = 8.4 Hz, 1H), 7.67 (d, <i>J</i> = 8.4 Hz, 1H), 7.58 (d, <i>J</i> = 8.1 Hz, 1H), 6.86 (dd, <i>J</i> = 36.0, 9.9 Hz, 1H), 5.21 - 5.15 (m, 1H), 4.23 (br s, 2H), 3.18 (s, 3H), 1.04 - 1.00 (m, 2H), 0.93 - 0.79 (m, 2H)	IR (thin film) 3444, 2926, 1667, 1261 cm ⁻¹
F121		655 ([M-H] ⁻)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.85 (d, <i>J</i> = 7.2 Hz, 1H), 8.63 (t, <i>J</i> = 6.6 Hz, 1H), 8.11 (s, 1H), 8.06 (d, <i>J</i> = 8.1 Hz, 1H), 7.93 (s, 1H), 7.85 (s, 1H), 7.80 (s, 1H), 7.67 (d, <i>J</i> = 8.1 Hz, 1H), 6.87 (dd, <i>J</i> = 36.0, 10.2 Hz, 1H), 5.23 - 5.17 (m, 1H), 4.53 - 4.48 (m, 1H), 4.01 - 3.86 (m, 2H), 1.28 (d, <i>J</i> = 6.0 Hz, 3H)	IR (thin film) 3284, 2923, 1641, 845 cm ⁻¹
F122		643 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.73 (t, <i>J</i> = 6.0 Hz, 1H), 8.63 (t, <i>J</i> = 6.0 Hz, 1H), 8.12 (s, 1H), 8.08 (d, <i>J</i> = 8.1 Hz, 1H), 7.93 (s, 1H), 7.85 (s, 1H), 7.80 - 7.79 (m, 1H), 7.71 (d, <i>J</i> = 8.1 Hz, 1H), 6.87 (dd, <i>J</i> = 35.7, 10.2 Hz, 1H), 5.20 - 5.17 (m, 1H), 4.04 - 3.90 (m, 4H)	IR (thin film) 3360, 2925, 1657, 1163 cm ⁻¹
F123			¹ H NMR (400 MHz, CDCl ₃) δ 7.74 (d, <i>J</i> = 1.5 Hz, 1H), 7.57 - 7.39 (m, 4H), 7.27 - 7.18 (m, 2H), 7.03 (d, <i>J</i> = 7.6 Hz, 1H), 5.79 (dd, <i>J</i> = 32.8, 9.7 Hz, 1H), 4.88 (p, <i>J</i> = 7.1 Hz, 1H), 4.59 (dddd, <i>J</i> = 18.9, 14.3, 9.9, 4.9 Hz, 1H), 3.89 (qdd, <i>J</i> = 8.9, 6.3, 1.9 Hz, 2H), 1.54 (d, <i>J</i> = 7.0 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -69.48 (d, <i>J</i> = 2.4 Hz), -72.40, -112.78
F124			¹ H NMR (400 MHz, CDCl ₃) δ 7.77 (d, <i>J</i> = 1.2 Hz, 1H), 7.59 - 7.35 (m, 6H), 7.31 - 7.18 (m, 1H), 5.80 (dd, <i>J</i> = 32.8, 9.7 Hz, 1H), 4.61 (p, <i>J</i> = 9.1 Hz, 1H), 4.26 (d, <i>J</i> = 5.2 Hz, 2H), 4.01 - 3.85 (m, 2H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -69.47 (d, <i>J</i> = 2.3 Hz), -72.33, -112.79

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No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
F125			¹ H NMR (400 MHz, CDCl ₃) δ 7.75 (d, <i>J</i> = 1.7 Hz, 1H), 7.60 - 7.37 (m, 4H), 7.32 - 7.18 (m, 2H), 7.10 (t, <i>J</i> = 6.5 Hz, 1H), 5.81 (dd, <i>J</i> = 32.8, 9.6 Hz, 1H), 4.61 (p, <i>J</i> = 8.9 Hz, 1H), 4.02 - 3.76 (m, 2H), 1.45 (q, <i>J</i> = 4.2 Hz, 2H), 0.88 (q, <i>J</i> = 4.2 Hz, 2H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -69.49 (d, <i>J</i> = 2.3 Hz), -72.61, -112.79
F126		579 ([M-H] ⁻)	¹ H NMR (500 MHz, CDCl ₃) δ 7.82 (d, <i>J</i> = 1.8 Hz, 1H), 7.76 - 7.67 (m, 1H), 7.53 (d, <i>J</i> = 8.1 Hz, 1H), 7.44 (s, 2H), 7.13 - 7.03 (m, 1H), 6.84 (s, 1H), 6.06 (s, 1H), 5.83 (dd, <i>J</i> = 32.6, 9.6 Hz, 1H), 4.72 (dt, <i>J</i> = 7.8, 6.6 Hz, 1H), 4.60 (p, <i>J</i> = 8.9 Hz, 1H), 1.93 (ddd, <i>J</i> = 13.8, 7.6, 6.2 Hz, 1H), 1.77 (dp, <i>J</i> = 14.4, 7.3 Hz, 1H), 0.98 (t, <i>J</i> = 7.4 Hz, 3H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -59.29, -69.36 (t, <i>J</i> = 7.3 Hz), -112.12 (dd, <i>J</i> = 32.7, 8.2 Hz)
F127		551 ([M-H] ⁻)	¹ H NMR (500 MHz, CDCl ₃) δ 7.89 - 7.85 (m, 1H), 7.78 (dd, <i>J</i> = 8.1, 1.8 Hz, 1H), 7.62 (d, <i>J</i> = 8.1 Hz, 1H), 7.44 (s, 2H), 6.78 (t, <i>J</i> = 4.7 Hz, 1H), 6.22 (s, 1H), 5.83 (dd, <i>J</i> = 32.5, 9.6 Hz, 1H), 5.68 - 5.58 (m, 1H), 4.61 (p, <i>J</i> = 8.9 Hz, 1H), 4.20 (s, 1H), 4.19 (s, 1H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -59.28, -69.31 (d, <i>J</i> = 8.4 Hz), -111.99 (d, <i>J</i> = 32.4 Hz)
F128		622 ([M+H] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 7.87 (s, 1H), 7.78 (d, <i>J</i> = 7.4 Hz, 1H), 7.53 (d, <i>J</i> = 7.9 Hz, 1H), 7.50 - 7.42 (m, 2H), 7.23 (d, <i>J</i> = 9.1 Hz, 1H), 6.92 (s, 1H), 6.32 (s, 1H), 5.96 (dd, <i>J</i> = 33.9, 9.7 Hz, 1H), 4.37 - 4.25 (m, 1H), 3.94 (ddd, <i>J</i> = 18.3, 9.1, 6.5 Hz, 2H), 1.61 (t, <i>J</i> = 18.5 Hz, 3H), 1.25 - 1.18 (m, 2H), 1.03 - 0.94 (m, 1H), 0.92 - 0.84 (m, 1H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -58.69, -72.64, -92.07 - -98.57 (m), -115.55
F129		596 ([M+H] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 7.86 (d, <i>J</i> = 1.6 Hz, 1H), 7.77 (dd, <i>J</i> = 8.1, 1.6 Hz, 1H), 7.59 (d, <i>J</i> = 8.1 Hz, 1H), 7.49 (d, <i>J</i> = 2.1 Hz, 1H), 7.45 (d, <i>J</i> = 8.3 Hz, 1H), 7.24 (dd, <i>J</i> = 8.4, 2.1 Hz, 1H), 6.70 (d, <i>J</i> = 5.8 Hz, 1H), 5.95 (dd, <i>J</i> = 34.0, 9.8 Hz, 1H), 4.31 (ddd, <i>J</i> = 15.8, 13.1, 9.8 Hz, 1H), 4.22 (dd, <i>J</i> = 5.4, 2.4 Hz, 2H), 4.01 - 3.88 (m, 2H), 1.62 (t, <i>J</i> = 18.4 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ 59.21, -72.54, -92.43 - -97.26 (m), -115.50
F130		727 ([M+H] ⁺)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 9.26 (br s, 1H), 8.14 (s, 1H), 8.09 (s, 1H), 8.05 (d, <i>J</i> = 8.0 Hz, 1H), 7.85 (s, 1H), 7.61 - 7.56 (m, 2H), 6.84 (dd, <i>J</i> = 36.0, 10.0 Hz, 1H), 5.18 - 5.13 (m, 1H), 4.22 - 4.21 (m, 2H), 3.17 (s, 3H), 1.04 - 1.02 (m, 2H), 0.85 - 0.83 (m, 2H)	IR (thin film) 3435, 2926, 1667, 749 cm ⁻¹

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No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
F131		609 ([M+H] ⁺)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 9.10 (s, 1H), 8.63 (t, <i>J</i> = 8.4 Hz, 1H), 8.15 - 8.11 (m, 2H), 8.09 (d, <i>J</i> = 9.2 Hz, 1H), 7.99 - 7.94 (m, 1H), 7.51 (d, <i>J</i> = 9.2 Hz, 1H), 7.69 (s, 1H), 6.84 (dd, <i>J</i> = 36.0, 10.0 Hz, 1H), 5.18 - 5.14 (m, 1H), 3.95 - 3.91 (m, 2H), 1.03 - 1.01 (m, 2H), 0.85 - 0.81 (m, 2H)	IR (thin film) 3458, 2926, 1671, 1167 cm ⁻¹
F132		595 ([M-H] ⁻)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.84 (d, <i>J</i> = 7.2 Hz, 1H), 8.64 (t, <i>J</i> = 6.4 Hz, 1H), 8.10 (s, 1H), 8.05 (d, <i>J</i> = 8.0 Hz, 1H), 7.97 (d, <i>J</i> = 6.4 Hz, 1H), 7.68 - 7.64 (m, 2H), 7.53 - 7.48 (m, 1H), 6.83 (dd, <i>J</i> = 36.0, 10.4 Hz, 1H), 5.18 - 5.13 (m, 1H), 4.52 - 4.49 (m, 1H), 3.99 - 3.87 (m, 2H), 1.30 (d, <i>J</i> = 7.2 Hz, 3H)	IR (thin film) 3428, 2925, 1650, 1167 cm ⁻¹
F133		639 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 9.26 (s, 1H), 8.14 (s, 1H), 8.06 (d, <i>J</i> = 8.4 Hz, 1H), 7.80 (s, 2H), 7.69 (s, 1H), 7.59 (d, <i>J</i> = 8.1 Hz, 1H), 6.87 (dd, <i>J</i> = 35.4, 9.9 Hz, 1H), 5.24 - 5.17 (m, 1H), 4.22 (br s, 2H), 3.17 (s, 3H), 1.08 - 1.00 (m, 2H), 0.85 - 0.83 (m, 2H)	IR (thin film) 3430, 2925, 1668, 749 cm ⁻¹
F134		633 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 9.27 (s, 1H), 8.11 (s, 1H), 8.05 (d, <i>J</i> = 8.1 Hz, 1H), 7.59 (d, <i>J</i> = 8.1 Hz, 1H), 7.44 (s, 2H), 6.87 (dd, <i>J</i> = 36.0, 10.2 Hz, 1H), 4.96 - 4.92 (m, 1H), 4.22 - 4.20 (m, 2H), 3.17 (s, 3H), 2.35 (s, 6H), 1.20 - 0.98 (m, 2H), 0.82 - 0.79 (m, 2H)	IR (thin film) 3436, 2925, 1637, 750 cm ⁻¹
F135		640 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 9.24 (s, 1H), 8.14 (s, 1H), 8.06 (d, <i>J</i> = 8.4 Hz, 1H), 7.99 (s, 1H), 7.75 (d, <i>J</i> = 8.4 Hz, 1H), 7.67 (d, <i>J</i> = 8.4 Hz, 1H), 7.58 (d, <i>J</i> = 8.1 Hz, 1H), 6.86 (dd, <i>J</i> = 36.0, 9.9 Hz, 1H), 5.21 - 5.15 (m, 1H), 4.23 (br s, 2H), 3.18 (s, 3H), 1.04 - 1.00 (m, 2H), 0.93 - 0.79 (m, 2H)	IR (thin film) 3444, 2926, 1667, 1261 cm ⁻¹
F136		609 ([M+H] ⁺)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 9.10 (s, 1H), 8.17 (t, <i>J</i> = 7.4 Hz, 1H), 8.11 (s, 1H), 8.08 (d, <i>J</i> = 7.6 Hz, 1H), 8.02 (d, <i>J</i> = 8.0 Hz, 1H), 7.80 (d, <i>J</i> = 10.4 Hz, 1H), 7.71 (d, <i>J</i> = 8.4 Hz, 1H), 7.55 (d, <i>J</i> = 8.4 Hz, 1H), 6.81 (dd, <i>J</i> = 35.6, 9.6 Hz, 1H), 5.20 - 5.15 (m, 1H), 3.95 - 3.91 (m, 2H), 1.23 - 1.08 (m, 2H), 0.86 - 0.81 (m, 2H)	IR (thin film) 3431, 2925, 1650 cm ⁻¹

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No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
F137		657 ([M+H] ⁺)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.79 (t, <i>J</i> = 5.6 Hz, 1H), 8.12 (br s, 1H), 8.08 (d, <i>J</i> = 7.6 Hz, 1H), 7.93 (s, 1H), 7.85 (s, 1H), 7.79 (s, 1H), 7.69 (d, <i>J</i> = 8.0 Hz, 1H), 6.85 (dd, <i>J</i> = 35.6, 9.6 Hz, 1H), 5.23 - 5.18 (m, 1H), 4.22 - 4.16 (m, 4H), 3.14 (s, 3H)	IR (thin film) 3412, 2924, 1660, 1260, 750 cm ⁻¹
F138		657 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.83 (d, <i>J</i> = 7.8 Hz, 1H), 8.62 (t, <i>J</i> = 6.0 Hz, 1H), 8.12 - 8.03 (m, 3H), 7.71 - 7.64 (m, 3H), 6.85 (dd, <i>J</i> = 36.0, 9.9 Hz, 1H), 5.20 - 5.14 (m, 1H), 4.53 - 4.48 (m, 1H), 4.01 - 3.89 (m, 2H), 1.30 (d, <i>J</i> = 7.2 Hz, 3H)	IR (thin film) 3310, 1653, 1169 cm ⁻¹
F139		669 ([M+H] ⁺)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 9.10 (s, 1H), 8.16 - 8.00 (m, 5H), 7.71 (s, 2H), 6.84 (dd, <i>J</i> = 36.0, 10.0 Hz, 1H), 5.20 - 5.16 (m, 1H), 3.95 - 3.91 (m, 2H), 1.38 - 1.33 (m, 2H), 1.08 - 1.02 (m, 2H)	IR (thin film) 3459, 1667, 1165 cm ⁻¹
F140		659 ([M+H] ⁺)	¹ H NMR (300 MHz, CDCl ₃) δ 7.86 (s, 1H), 7.79 (d, <i>J</i> = 9.0 Hz, 1H), 7.61 - 7.60 (m, 1H), 7.45 (s, 2H), 6.94 - 6.87 (m, 1H), 6.48 (d, <i>J</i> = 15.6 Hz, 1H), 5.89 (dd, <i>J</i> = 9.6 Hz, 32.4 Hz, 1H), 5.05 - 5.00 (m, 1H), 4.63 - 4.60 (m, 1H), 3.11 - 3.05 (m, 2H), 1.49 (d, <i>J</i> = 7.2 Hz, 3H)	IR (thin film) 3431, 2922, 1663, 1260, 749 cm ⁻¹
F141		631 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.84 (d, <i>J</i> = 7.8 Hz, 1H), 8.65 (t, <i>J</i> = 6.3 Hz, 1H), 8.10 (s, 2H), 8.06 (d, <i>J</i> = 8.1 Hz, 2H), 7.67 - 7.60 (m, 2H), 6.91 (dd, <i>J</i> = 9.9, 36.0 Hz, 1H), 5.35 - 5.28 (m, 1H), 4.53 - 4.48 (m, 1H), 4.02 - 3.86 (m, 2H), 1.30 (d, <i>J</i> = 6.9 Hz, 3H)	IR (thin film) 3281, 3098, 1644 cm ⁻¹
F142		661 ([M+H] ⁻)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.85 (d, <i>J</i> = 9.0 Hz, 1H), 8.63 (t, <i>J</i> = 6.0 Hz, 1H), 8.13 (s, 1H), 8.09 - 8.00 (m, 4H), 7.65 (t, <i>J</i> = 8.1 Hz, 1H), 6.88 - 6.72 (m, 1H), 5.37 - 5.24 (m, 1H), 4.51 (t, <i>J</i> = 9.0 Hz, 1H), 4.05 - 3.84 (m, 2H), 1.29 (d, <i>J</i> = 6.0 Hz, 3H)	IR (thin film) 1731, 1267, 1049 cm ⁻¹
F143		677 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 9.30 (d, <i>J</i> = 9.3 Hz, 1H), 8.14 (s, 1H), 8.07 (d, <i>J</i> = 6.0 Hz, 1H), 8.02 (br s, 2H), 7.67 (d, <i>J</i> = 8.1 Hz, 1H), 7.44 (t, <i>J</i> = 52.2 Hz, 1H), 6.89 - 6.74 (m, 1H), 5.30 (t, <i>J</i> = 9.0 Hz, 1H), 5.11 - 5.02 (m, 1H), 4.77 (t, <i>J</i> = 9.0 Hz, 1H), 4.43 - 4.33 (m, 2H), 4.13 (t, <i>J</i> = 6.3 Hz, 1H)	IR (thin film) 1732, 1266, 1173, 1049 cm ⁻¹

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No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
F144		613 ([M+H] ⁺)	¹ H NMR (300 MHz, CDCl ₃) δ 7.86 (s, 1H), 7.79 (d, <i>J</i> = 8.0 Hz, 1H), 7.58 (d, <i>J</i> = 8.0 Hz, 1H), 7.49 (s, 1H), 7.47 (s, 1H), 7.26 - 7.21 (m, 1H), 6.64 - 6.60 (m, 1H), 6.33 (d, <i>J</i> = 7.6 Hz, 1H), 5.91 (dd, <i>J</i> = 9.6, 32.0 Hz, 1H), 4.73 - 4.61 (m, 1H), 4.20 - 4.15 (m, 1H), 3.98 - 3.90 (m, 2H), 1.33 - 1.28 (m, 3H)	IR (thin film) 3462, 1682, 1116 cm ⁻¹
F145		717 ([M+H] ⁺)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 9.26 (s, 1H), 8.13 (s, 1H), 8.06 - 7.99 (m, 3H), 7.59 (d, <i>J</i> = 8.0 Hz, 1H), 6.85 (dd, <i>J</i> = 10.4, 36.0 Hz, 1H), 5.25 - 5.20 (m, 1H), 4.20 - 4.18 (m, 2H), 3.18 (s, 3H), 1.28 - 1.23 (m, 2H), 1.03 - 0.99 (m, 2H)	IR (thin film) 3429, 2920, 1260, 750 cm ⁻¹
F146		653 ([M+H] ⁺)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.84 (d, <i>J</i> = 8.0 Hz, 1H), 8.63 (t, <i>J</i> = 6.4 Hz, 1H), 8.11 (s, 1H), 8.06 (d, <i>J</i> = 8.4 Hz, 1H), 7.84 - 7.81 (m, 2H), 7.68 (d, <i>J</i> = 5.6 Hz, 1H), 6.84 (dd, <i>J</i> = 10.0, 36.0 Hz, 1H), 5.92 - 5.83 (m, 1H), 5.19 - 5.15 (m, 1H), 5.09 (d, <i>J</i> = 8.4 Hz, 1H), 4.98 (d, <i>J</i> = 17.2 Hz, 1H), 4.54 - 4.47 (m, 1H), 4.03 - 3.85 (m, 2H), 3.64 (d, <i>J</i> = 6.0 Hz, 2H), 1.30 (d, <i>J</i> = 7.6 Hz, 3H)	IR (thin film) 3429, 2998, 1660, 1030 cm ⁻¹
F147		653 ([M+H] ⁺)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.84 (d, <i>J</i> = 8.0 Hz, 1H), 8.63 (t, <i>J</i> = 6.4 Hz, 1H), 8.12 (s, 1H), 8.06 (d, <i>J</i> = 6.4 Hz, 1H), 7.87 - 7.81 (m, 2H), 7.67 (d, <i>J</i> = 8.4 Hz, 1H), 6.86 (dd, <i>J</i> = 10.0, 36.0 Hz, 1H), 6.34 - 6.19 (m, 1H), 6.07 - 6.01 (m, 1H), 5.22 - 5.15 (m, 1H), 4.55 - 4.47 (m, 1H), 4.03 - 3.85 (m, 2H), 1.51 - 1.49 (m, 3H), 1.30 (d, <i>J</i> = 6.8 Hz, 3H)	IR (thin film) 3307, 2925, 1660, 1117, 672 cm ⁻¹
F148		653 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.88 (d, <i>J</i> = 7.5 Hz, 1H), 8.65 (t, <i>J</i> = 6.3 Hz, 1H), 8.12 (s, 1H), 8.06 (d, <i>J</i> = 8.4 Hz, 1H), 7.86 (s, 2H), 7.67 (d, <i>J</i> = 8.1 Hz, 1H), 6.88 (dd, <i>J</i> = 9.9, 35.7 Hz, 1H), 5.43 (s, 1H), 5.23 - 5.17 (m, 1H), 4.93 (s, 1H), 4.53 - 4.48 (m, 1H), 4.04 - 3.86 (m, 2H), 1.97 (s, 3H), 1.30 (d, <i>J</i> = 6.9 Hz, 3H)	IR (thin film) 3435, 2999, 1660, 1027 cm ⁻¹
F150		645 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 9.31 (d, <i>J</i> = 7.8 Hz, 1H), 8.14 (s, 2H), 8.08 (d, <i>J</i> = 7.8 Hz, 2H), 7.67 - 7.60 (m, 2H), 6.93 (dd, <i>J</i> = 10.8, 35.6 Hz, 1H), 5.35 - 5.29 (m, 1H), 5.11 - 5.09 (m, 1H), 4.70 - 4.66 (m, 1H), 4.66 - 4.36 (m, 2H), 4.16 - 4.10 (m, 1H)	IR (thin film) 3854, 3418, 2926, 2350, 1641 cm ⁻¹

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No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
F153		601 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.87 (t, <i>J</i> = 6.0 Hz, 1H), 8.62 (t, <i>J</i> = 6.3 Hz, 1H), 8.11 (s, 1H), 8.07 (d, <i>J</i> = 8.1 Hz, 1H), 7.91 - 7.85 (m, 2H), 7.72 (d, <i>J</i> = 8.1 Hz, 1H), 6.83 (dd, <i>J</i> = 9.9, 35.7 Hz, 1H), 5.24 - 5.18 (m, 1H), 4.04 - 3.87 (m, 4H)	IR (thin film) 3306, 1661, 1166, 750 cm ⁻¹
F154		615 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.83 (d, <i>J</i> = 7.8 Hz, 1H), 8.61 (t, <i>J</i> = 6.0 Hz, 1H), 8.08 - 8.00 (m, 2H), 7.89 - 7.83 (m, 2H), 7.66 (d, <i>J</i> = 7.8 Hz, 10.5, 36.0 Hz, 1H), 5.22 - 5.15 (m, 1H), 4.52 - 4.47 (m, 1H), 4.01 - 3.84 (m, 2H), 1.28 (d, <i>J</i> = 7.5 Hz, 3H)	IR (thin film) 3422, 2928, 1651, 750 cm ⁻¹
F155		613 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 9.32 (d, <i>J</i> = 8.1 Hz, 1H), 8.14 (s, 1H), 8.07 (d, <i>J</i> = 8.1 Hz, 1H), 7.77 - 7.72 (m, 2H), 7.68 (d, <i>J</i> = 8.1 Hz, 1H), 6.83 (dd, <i>J</i> = 9.9, 35.7 Hz, 1H), 5.22 - 5.16 (m, 1H), 5.11 - 5.02 (m, 1H), 4.72 - 4.66 (m, 1H), 4.44 - 4.33 (m, 2H), 4.16 - 4.10 (m, 1H)	IR (thin film) 1674, 1171 cm ⁻¹
F157		583 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.87 (t, <i>J</i> = 5.7 Hz, 1H), 8.62 (t, <i>J</i> = 6.0 Hz, 1H), 8.12 (s, 1H), 8.08 (d, <i>J</i> = 7.8 Hz, 1H), 7.71 - 7.60 (m, 3H), 7.52 (d, <i>J</i> = 8.4 Hz, 1H), 6.85 (dd, <i>J</i> = 9.9, 36.0 Hz, 1H), 5.24 - 5.17 (m, 1H), 4.02 - 3.82 (m, 4H)	IR (thin film) 3309, 1697, 750 cm ⁻¹
F158		595 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.88 (t, <i>J</i> = 6.0 Hz, 1H), 8.63 (t, <i>J</i> = 6.0 Hz, 1H), 8.11 (s, 1H), 8.07 (d, <i>J</i> = 7.5 Hz, 1H), 7.76 (s, 1H), 7.70 (d, <i>J</i> = 8.1 Hz, 1H), 7.59 (d, <i>J</i> = 9.0 Hz, 1H), 7.21 (d, <i>J</i> = 8.4 Hz, 1H), 6.84 (dd, <i>J</i> = 10.2, 36.3 Hz, 1H), 5.04 - 4.98 (m, 1H), 4.02 - 3.92 (m, 4H), 3.87 (s, 3H)	IR (thin film) 3306, 2925, 1661, 1166, 750 cm ⁻¹
F159		599 ([M+H] ⁺)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.84 (d, <i>J</i> = 8.0 Hz, 1H), 8.63 (t, <i>J</i> = 6.4 Hz, 1H), 8.10 (s, 1H), 8.04 (d, <i>J</i> = 8.4 Hz, 1H), 7.76 - 7.73 (m, 2H), 7.68 (d, <i>J</i> = 8.0 Hz, 1H), 6.78 (dd, <i>J</i> = 9.6, 35.6 Hz, 1H), 5.23 - 5.14 (m, 1H), 4.55 - 4.53 (m, 1H), 4.03 - 3.83 (m, 2H), 1.30 (d, <i>J</i> = 7.2 Hz, 3H)	IR (thin film) 3291, 1651, 1168, 673 cm ⁻¹
F160		585 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.87 (t, <i>J</i> = 5.7 Hz, 1H), 8.62 (t, <i>J</i> = 6.3 Hz, 1H), 8.11 - 8.04 (m, 2H), 7.77 - 7.66 (m, 3H), 6.81 (dd, <i>J</i> = 10.2, 36.0 Hz, 1H), 5.22 - 5.16 (m, 1H), 4.02 - 3.92 (m, 4H)	IR (thin film) 3303, 1667, 1166, 749 cm ⁻¹

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No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
F161		609 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.84 (d, <i>J</i> = 7.5 Hz, 1H), 8.64 (t, <i>J</i> = 6.0 Hz, 1H), 8.10 (s, 1H), 8.05 (d, <i>J</i> = 9.0 Hz, 1H), 7.75 (s, 1H), 7.66 (d, <i>J</i> = 8.1 Hz, 1H), 7.59 (d, <i>J</i> = 7.8 Hz, 1H), 7.21 (d, <i>J</i> = 8.7 Hz, 1H), 6.83 (dd, <i>J</i> = 9.9, 35.7 Hz, 1H), 5.04 - 4.98 (m, 1H), 4.53 - 4.48 (m, 1H), 4.04 - 3.92 (m, 2H), 3.87 (s, 3H), 1.30 (d, <i>J</i> = 7.2 Hz, 3H)	IR (thin film) 3421, 2924, 1650, 1260 cm ⁻¹
F162		677 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.84 (d, <i>J</i> = 7.2 Hz, 1H), 8.63 (t, <i>J</i> = 5.7 Hz, 1H), 8.10 (s, 1H), 8.05 (d, <i>J</i> = 7.8 Hz, 1H), 7.83 (s, 1H), 7.67 - 7.61 (m, 2H), 7.35 (d, <i>J</i> = 8.4 Hz, 1H), 6.84 (dd, <i>J</i> = 10.2, 36.0 Hz, 1H), 5.09 - 5.03 (m, 1H), 4.94 - 4.85 (m, 2H), 4.53 - 4.48 (m, 1H), 4.04 - 3.80 (m, 2H), 1.30 (d, <i>J</i> = 6.9 Hz, 3H)	IR (thin film) 3297, 2925, 1656, 1167, 750 cm ⁻¹
F163		607 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.75 (t, <i>J</i> = 6.0 Hz, 1H), 8.14 (t, <i>J</i> = 5.7 Hz, 1H), 7.94 (s, 1H), 7.90 (d, <i>J</i> = 7.5 Hz, 1H), 7.80 (s, 2H), 7.61 (d, <i>J</i> = 7.8 Hz, 1H), 7.06 (dd, <i>J</i> = 9.9, 36.0 Hz, 1H), 4.30 - 4.27 (m, 1H), 3.97 - 3.88 (m, 4H), 2.08 (s, 3H)	IR (thin film) 3422, 1671, 842 cm ⁻¹
F164		607 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.84 (d, <i>J</i> = 7.2 Hz, 1H), 8.64 (t, <i>J</i> = 6.3 Hz, 1H), 8.10 (s, 1H), 8.06 (d, <i>J</i> = 8.4 Hz, 1H), 7.73 (s, 1H), 7.66 (d, <i>J</i> = 8.1 Hz, 1H), 7.56 (d, <i>J</i> = 8.4 Hz, 1H), 7.42 (d, <i>J</i> = 8.1 Hz, 1H), 6.84 (dd, <i>J</i> = 9.9, 36.0 Hz, 1H), 5.08 - 5.02 (m, 1H), 4.53 - 4.48 (m, 1H), 3.99 - 3.85 (m, 2H), 2.74 - 2.66 (m, 2H), 1.30 (d, <i>J</i> = 7.2 Hz, 3H), 1.19 (t, <i>J</i> = 7.2 Hz, 3H)	IR (thin film) 3425, 2925, 1651, 1275, 750 cm ⁻¹
F165		593 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.89 (t, <i>J</i> = 6.3 Hz, 1H), 8.64 (t, <i>J</i> = 6.6 Hz, 1H), 8.11 (s, 1H), 8.08 (d, <i>J</i> = 8.1 Hz, 1H), 7.73 (s, 1H), 7.70 (d, <i>J</i> = 8.1 Hz, 1H), 7.56 (d, <i>J</i> = 7.8 Hz, 1H), 7.42 (d, <i>J</i> = 7.8 Hz, 1H), 6.85 (dd, <i>J</i> = 9.9, 36.0 Hz, 1H), 5.09 - 5.02 (m, 1H), 4.02 - 3.90 (m, 4H), 2.74 - 2.67 (m, 2H), 1.17 (t, <i>J</i> = 7.5 Hz, 3H)	IR (thin film) 3386, 2926, 1657, 750 cm ⁻¹
F166		648 ([M+H] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 7.88 (d, <i>J</i> = 1.7 Hz, 1H), 7.80 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.61 (d, <i>J</i> = 8.1 Hz, 1H), 7.44 (s, 2H), 6.84 (d, <i>J</i> = 9.6 Hz, 1H), 5.84 (dd, <i>J</i> = 32.5, 9.6 Hz, 1H), 5.26 - 5.10 (m, 1H), 4.68 - 4.50 (m, 1H), 3.75 (s, 3H), 2.92 - 2.69 (m, 2H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.30, -69.32, -75.38, -111.93; IR (thin film) 1667, 1258, 1208, 1173, 1118, 807, 666 cm ⁻¹

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No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
F167		661 ([M+H] ⁺)	¹ H NMR (500 MHz, CDCl ₃) δ 7.87 (d, <i>J</i> = 1.6 Hz, 1H), 7.78 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.64 (d, <i>J</i> = 8.0 Hz, 1H), 7.44 (s, 2H), 6.92 (t, <i>J</i> = 4.2 Hz, 1H), 5.83 (dd, <i>J</i> = 32.5, 9.6 Hz, 1H), 4.61 (p, <i>J</i> = 8.9 Hz, 1H), major rotamer 4.36 (d, <i>J</i> = 4.1 Hz, 2H), minor rotamer 4.28 (d, <i>J</i> = 4.2 Hz, 1H), major rotamer 4.06 (q, <i>J</i> = 8.8 Hz, 2H), minor rotamer 3.89 (q, <i>J</i> = 8.3 Hz, 1H), minor rotamer 3.57 (q, <i>J</i> = 7.1 Hz, 1H), major rotamer 3.51 (q, <i>J</i> = 7.2 Hz, 2H), major rotamer 1.29 (t, <i>J</i> = 7.2 Hz, 2H), minor rotamer 1.19 (t, <i>J</i> = 7.1 Hz, 1H).	IR (thin film) 1654, 1141, 1118 cm ⁻¹
F168		657 ([M+H] ⁺)	¹ H NMR (500 MHz, CDCl ₃) δ 7.86 (d, <i>J</i> = 1.6 Hz, 1H), 7.76 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.55 (d, <i>J</i> = 8.1 Hz, 1H), 7.44 (s, 2H), 7.41 (d, <i>J</i> = 7.0 Hz, 1H), 6.94 (d, <i>J</i> = 7.7 Hz, 1H), 5.84 (dd, <i>J</i> = 32.5, 9.6 Hz, 1H), 4.79 (p, <i>J</i> = 7.1 Hz, 1H), 4.61 (p, <i>J</i> = 8.9 Hz, 1H), 4.20 - 4.09 (m, 1H), 2.97 - 2.80 (m, 2H), 2.52 - 2.34 (m, 2H), 1.49 (d, <i>J</i> = 6.9 Hz, 3H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -59.04, -69.30 (d, <i>J</i> = 8.6 Hz), -84.57 (dt, <i>J</i> = 199.2, 12.8, 6.4 Hz), -97.82 - -98.39 (m), -112.06 (d, <i>J</i> = 32.8 Hz); IR (thin film) 1640, 1174, 1138, 1118, 732 cm ⁻¹
F169		665 ([M+H] ⁺)	¹ H NMR (300 MHz, CDCl ₃) δ 9.36 (s, 1H), 7.85 (s, 1H), 7.76 (d, <i>J</i> = 8.3 Hz, 1H), 7.54 (d, <i>J</i> = 8.1 Hz, 1H), 7.44 (s, 2H), 6.70 (d, <i>J</i> = 7.4 Hz, 1H), 5.83 (dd, <i>J</i> = 32.5, 9.6 Hz, 1H), 5.34 (m, 1H), 4.60 (p, <i>J</i> = 8.8 Hz, 1H), 4.30 (dt, <i>J</i> = 17.0, 8.4 Hz, 1H), 4.15 (dq, <i>J</i> = 16.8, 8.6 Hz, 1H), 1.52 (d, <i>J</i> = 6.9 Hz, 3H)	IR (thin film) 1641 cm ⁻¹
F170		643 ([M+H] ⁺)	¹ H NMR (300 MHz, CDCl ₃) δ 7.85 (d, <i>J</i> = 1.7 Hz, 1H), 7.76 (m, 1H), 7.56 (d, <i>J</i> = 8.1 Hz, 1H), 7.39 (m, 5H), 6.99 (m, 2H), 6.91 (m, 2H), 6.47 (d, <i>J</i> = 7.5 Hz, 1H), 5.83 (dd, <i>J</i> = 32.8, 9.8 Hz, 1H), 5.06 (s, 2H), 4.78 (p, <i>J</i> = 7.0 Hz, 1H), 4.59 (p, <i>J</i> = 9.0 Hz, 1H), 3.90 (dq, <i>J</i> = 11.7, 9.0, 6.5 Hz, 2H), 1.52 (d, <i>J</i> = 7.0 Hz, 3H)	IR (thin film) 1648 cm ⁻¹
F171		661 ([M+H] ⁺)	¹ H NMR (300 MHz, CDCl ₃) δ 7.86 (s, 1H), 7.79 (d, <i>J</i> = 8.1 Hz, 1H), 7.65 (d, <i>J</i> = 8.1 Hz, 1H), 7.44 (s, 2H), 6.41 (d, <i>J</i> = 5.3 = 32.5, 9.6 Hz, 1H), 4.59 (m, 2H), 4.08 (dq, <i>J</i> = 14.9, 9.0 Hz, 1H), 3.83 (m, 1H), 3.59 (m, 2H), 2.95 (m, 1H), 2.08 (m, 1H)	IR (thin film) 1661 cm ⁻¹
F172		HRMS-ESI (<i>m/z</i>) [M+H] ⁺ calcd for C ₂₃ H ₁₈ Cl ₃ F ₇ N ₂ O ₂ , 593.0395; found, 593.0402	¹ H NMR (500 MHz, DMSO- <i>d</i> ₆) δ 8.82 (dd, <i>J</i> = 7.8, 1.5 Hz, 1H), 8.13 - 8.09 (m, 1H), 8.05 (s, 2H), 8.03 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.60 (d, <i>J</i> = 8.1 Hz, 1H), 6.79 (dd, <i>J</i> = 35.8, 10.1 Hz, 1H), 5.25 (p, <i>J</i> = 9.4 Hz, 1H), 4.91 (p, <i>J</i> = 7.0 Hz, 1H), 3.08 (s, 3H), 2.86 (s, 3H), 1.25 (d, <i>J</i> = 6.9 Hz, 3H)	IR (thin film) 3261, 3061, 1637, 1552 cm ⁻¹

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No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
F173		HRMS-ESI (m/z) [M+H] ⁺ calcd for C ₂₂ H ₁₆ Cl ₃ F ₇ N ₂ O ₂ , 579.0238; found, 579.0241	¹ H NMR (500 MHz, DMSO- <i>d</i> ₆) δ 8.73 (dd, <i>J</i> = 7.6, 1.3 Hz, 1H), 8.10 (d, <i>J</i> = 1.6 Hz, 1H), 8.04 (d, <i>J</i> = 10.4 Hz, 3H), 7.88 (q, <i>J</i> = 4.7 Hz, 1H), 7.70 (d, <i>J</i> = 8.1 Hz, 1H), 6.79 (dd, <i>J</i> = 35.7, 10.1 Hz, 1H), 5.25 (p, <i>J</i> = 9.4 Hz, 1H), 4.42 (p, <i>J</i> = 7.2 Hz, 1H), 2.63 (d, <i>J</i> = 4.6 Hz, 3H), 1.27 (d, <i>J</i> = 7.1 Hz, 3H)	IR (thin film) 1652, 1552 cm ⁻¹
F174		659 ([M+H] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 7.83 (d, <i>J</i> = 1.8 Hz, 1H), 7.74 (dt, <i>J</i> = 8.4, 2.5 Hz, 1H), 7.53 (dd, <i>J</i> = 8.1, 4.4 Hz, 1H), 7.42 (d, <i>J</i> = 3.0 Hz, 2H), 7.20 (t, <i>J</i> = 6.5 Hz, 1H), 6.64 (dd, <i>J</i> = 7.7, 4.9 Hz, 1H), 5.90 (ddd, <i>J</i> = 33.9, 9.7, 2.6 Hz, 1H), 4.95 - 4.78 (m, 1H), 4.31 (td, <i>J</i> = 14.6, 9.8 Hz, 1H), 3.88 (tt, <i>J</i> = 9.1, 7.4 Hz, 2H), 1.95 - 1.78 (m, 2H), 1.51 (dd, <i>J</i> = 7.0, 1.4 Hz, 3H), 1.07 (t, <i>J</i> = 7.4 Hz, 3H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -59.09, -72.58, -103.79 - -105.98 (m), -115.07
F175		643 ([M+H] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 7.87 (s, 1H), 7.78 (d, <i>J</i> = 8.1 Hz, 1H), 7.56 (d, <i>J</i> = 8.1 Hz, 1H), 7.50 (s, 1H), 7.47 (s, 1H), 7.42 (s, 1H), 7.06 (t, <i>J</i> = 6.4 Hz, 1H), 6.59 (d, <i>J</i> = 7.6 Hz, 1H), 5.88 (dd, <i>J</i> = 32.6, 9.7 Hz, 1H), 4.82 (p, <i>J</i> = 7.0 Hz, 1H), 4.69 (p, <i>J</i> = 8.9 Hz, 1H), 3.98 - 3.83 (m, 2H), 1.93 (t, <i>J</i> = 18.2 Hz, 3H), 1.52 (d, <i>J</i> = 7.0 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.18, -69.26 (d, <i>J</i> = 2.1 Hz), -72.60, -88.20 (d, <i>J</i> = 7.0 Hz), -112.61; IR (thin film) 3288, 1729, 1647 cm ⁻¹
F176		661 ([M+H] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 7.87 (s, 1H), 7.79 (d, <i>J</i> = 8.0 Hz, 1H), 7.58 (d, <i>J</i> = 8.0 Hz, 1H), 7.39 (s, 1H), 7.32 (s, 1H), 7.22 (s, 1H), 6.63 (s, 1H), 6.31 (d, <i>J</i> = 8.4 Hz, 1H), 5.87 (dd, <i>J</i> = 32.5, 9.8 Hz, 1H), 4.77 - 4.59 (m, 2H), 4.04 - 3.87 (m, 2H), 3.38 (q, <i>J</i> = 10.4 Hz, 2H), 1.51 (d, <i>J</i> = 7.0 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.10, -65.69, -69.28 (d, <i>J</i> = 2.2 Hz), -72.58; -112.78 IR (thin film) 3272, 1640, 1539 cm ⁻¹
F177		643 ([M+H] ⁺)	¹ H NMR (500 MHz, CDCl ₃) δ 7.87 (s, 1H), 7.79 (d, <i>J</i> = 8.1 Hz, 1H), 7.63 (d, <i>J</i> = 8.1 Hz, 1H), 7.40 (s, 2H), 6.51 (d, <i>J</i> = 4.5 Hz, 1H), 6.01 (td, <i>J</i> = 55.7, 2.9 Hz, 1H), 5.84 (dd, <i>J</i> = 33.9, 9.5 Hz, 1H), 5.02 (t, <i>J</i> = 8.4 Hz, 1H), 4.97 - 4.91 (m, 1H), 4.36 (tdd, <i>J</i> = 15.8, 9.4, 2.8 Hz, 1H), 4.28 - 4.07 (m, 3H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -59.03, -70.32, -113.08, -118.37 - -123.39 (m)
F178		675 ([M+H] ⁺)	¹ H NMR (300 MHz, CDCl ₃) δ 7.89 (s, 1H), 7.81 (d, <i>J</i> = 8.0 Hz, 1H), 7.64 (d, <i>J</i> = 8.3 Hz, 1H), 7.40 (s, 1H), 7.33 (s, 1H), 7.22 (s, 1H), 6.39 (s, 1H), 5.88 (dd, <i>J</i> = 32.6, 9.7 Hz, 1H), 5.04 (t, <i>J</i> = 8.2 Hz, 1H), 5.00 - 4.87 (m, 1H), 4.74 - 4.56 (m, 1H), 4.33 - 4.05 (m, 3H), 3.39 (q, <i>J</i> = 10.6 Hz, 2H)	IR (thin film) 3268, 1728, 1659, 1538 cm ⁻¹

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No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
5 10 F180		661 ([M+H] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 7.88 (s, 1H), 7.81 (d, <i>J</i> = 8.2 Hz, 1H), 7.74 (d, <i>J</i> = 8.2 Hz, 1H), 7.65 (d, <i>J</i> = 8.0 Hz, 1H), 7.57 (s, 1H), 7.43 (d, <i>J</i> = 7.7 Hz, 1H), 6.37 (s, 1H), 5.89 (dd, <i>J</i> = 32.6, 9.6 Hz, 1H), 5.04 (t, <i>J</i> = 8.4 Hz, 1H), 4.99 - 4.90 (m, 1H), 4.71 (p, <i>J</i> = 9.0 Hz, 1H), 4.31 - 4.07 (m, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.03, -62.80, -69.07 (d, <i>J</i> = 2.2 Hz), -70.29, -112.11; IR (thin film) 3268, 1669, 1533 cm ⁻¹
15 F181		663 ([M+H] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 7.87 (d, <i>J</i> = 1.6 Hz, 1H), 7.83 - 7.76 (m, 1H), 7.58 (d, <i>J</i> = 8.1 Hz, 1H), 7.35 (s, 1H), 7.27 (s, 1H), 7.17 (s, 1H), 6.86 (t, <i>J</i> = 6.3 Hz, 1H), 6.47 (d, <i>J</i> = 7.5 Hz, 1H), 5.85 (dd, <i>J</i> = 32.5, 9.7 Hz, 1H), 4.78 (p, <i>J</i> = 7.1 Hz, 1H), 4.66 (p, <i>J</i> = 8.9 Hz, 1H), 3.93 (qd, <i>J</i> = 8.9, 6.4 Hz, 2H), 1.52 (d, <i>J</i> = 7.0 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -57.94, -59.15, -69.27 (d, <i>J</i> = 2.5 Hz), -72.59, -112.15 (d, <i>J</i> = 2.6 Hz); IR (thin film) 3284, 1652, 1540 cm ⁻¹
20 25 F182		663 ([M+H] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 7.87 (d, <i>J</i> = 1.5 Hz, 1H), 7.78 (dd, <i>J</i> = 8.3, 1.7 Hz, 1H), 7.57 (d, <i>J</i> = 8.1 Hz, 1H), 7.53 (d, <i>J</i> = 1.6 Hz, 1H), 7.39 - 7.31 (m, 2H), 6.86 (s, 1H), 6.46 (d, <i>J</i> = 7.5 Hz, 1H), 5.87 (dd, <i>J</i> = 32.6, 9.7 Hz, 1H), 4.78 (p, <i>J</i> = 7.1 Hz, 1H), 4.67 (p, <i>J</i> = 8.9 Hz, 1H), 3.92 (qd, <i>J</i> = 9.0, 6.4 Hz, 2H), 1.52 (d, <i>J</i> = 7.0 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -57.82, -59.15, -69.39 (d, <i>J</i> = 2.2 Hz), -72.59, -112.62 (d, <i>J</i> = 2.3 Hz); IR (thin film) 3285, 1648, 1545, 1497 cm ⁻¹
30 35 F183		663 ([M+H] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 7.86 (d, <i>J</i> = 1.4 Hz, 1H), 7.78 (d, <i>J</i> = 8.2 Hz, 1H), 7.58 (d, <i>J</i> = 8.1 Hz, 1H), 7.52 (d, <i>J</i> = 8.4 Hz, 1H), 7.36 (s, 1H), 7.31 (dd, <i>J</i> = 8.3, 2.1 Hz, 1H), 6.78 (t, <i>J</i> = 6.4 Hz, 1H), 6.42 (d, <i>J</i> = 7.5 Hz, 1H), 5.86 (dd, <i>J</i> = 32.6, 9.6 Hz, 1H), 4.76 (p, <i>J</i> = 7.2 Hz, 1H), 4.66 (q, <i>J</i> = 8.9 Hz, 1H), 4.00 - 3.87 (m, 2H), 1.51 (d, <i>J</i> = 7.0 Hz, 4H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -57.85, -59.15, -69.52 (d, <i>J</i> = 2.4 Hz), -72.59, -112.31; IR (thin film) 3284, 1646, 1540, 1491 cm ⁻¹
40 45 F184		629 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.85 (s, 1H), 7.75 (d, <i>J</i> = 8.3 Hz, 1H), 7.52 (d, <i>J</i> = 8.0 Hz, 1H), 7.48 (s, 2H), 7.38 (q, <i>J</i> = 6.7, 5.8 Hz, 1H), 7.14 (dd, <i>J</i> = 17.4, 11.0 Hz, 2H), 6.80 (d, <i>J</i> = 7.8 Hz, 1H), 6.02 - 5.83 (m, 1H), 5.74 (d, <i>J</i> = 17.4 Hz, 2H), 5.45 (d, <i>J</i> = 11.0 Hz, 2H), 4.89 (p, <i>J</i> = 7.1 Hz, 1H), 4.67 (p, <i>J</i> = 9.0 Hz, 1H), 3.99 - 3.74 (m, 2H), 1.51 (d, <i>J</i> = 6.9 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.23 (d, <i>J</i> = 4.8 Hz), -66.70 - -70.14 (m), -72.62, -108.70 - -115.95 (m)
50 55 F185		692 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.89 - 7.82 (m, 2H), 7.76 (dd, <i>J</i> = 8.0, 1.7 Hz, 1H), 7.60 (d, <i>J</i> = 2.1 Hz, 1H), 7.56 (d, <i>J</i> = 8.1 Hz, 1H), 7.48 (d, <i>J</i> = 2.1 Hz, 1H), 6.77 (d, <i>J</i> = 7.6 Hz, 1H), 5.84 (dd, <i>J</i> = 32.5, 9.6 Hz, 1H), 4.86 (p, <i>J</i> = 7.0 Hz, 1H), 4.60 (p, <i>J</i> = 8.8 Hz, 1H), 3.88 (dddd, <i>J</i> = 15.6, 9.0, 7.6, 1.8 Hz, 2H), 1.52 (d, <i>J</i> = 7.0 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.24, -69.31 (d, <i>J</i> = 2.2 Hz), -72.97 (d, <i>J</i> = 271.5 Hz), -112.04 (d, <i>J</i> = 14.3 Hz)

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No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
F186		639 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.86 (d, <i>J</i> = 1.6 Hz, 1H), 7.77 (dd, <i>J</i> = 8.0, 1.7 Hz, 1H), 7.55 (dd, <i>J</i> = 8.1, 2.8 Hz, 1H), 7.50 - 7.37 (m, 2H), 7.22 - 7.03 (m, 2H), 6.65 (dd, <i>J</i> = 7.8, 4.7 Hz, 1H), 5.93 - 5.81 (m, 1H), 5.76 (ddd, <i>J</i> = 17.5, 13.4, 0.9 Hz, 1H), 5.56 - 5.37 (m, 1H), 4.84 (p, <i>J</i> = 7.1 Hz, 1H), 4.66 (dt, <i>J</i> = 12.8, 9.1 Hz, 1H), 4.04 - 3.69 (m, 2H), 1.51 (d, <i>J</i> = 6.9 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -56.83 - -60.72 (m), -67.67 - -71.03 (m), -72.94 (d, <i>J</i> = 254.5 Hz), -113.05 (d, <i>J</i> = 249.6 Hz)
F187		653 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.84 (d, <i>J</i> = 1.6 Hz, 1H), 7.74 (dd, <i>J</i> = 8.0, 1.7 Hz, 1H), 7.61 - 7.48 (m, 2H), 7.43 (d, <i>J</i> = 2.2 Hz, 1H), 7.14 (d, <i>J</i> = 2.2 Hz, 1H), 7.07 - 6.95 (m, 1H), 5.86 (dd, <i>J</i> = 32.7, 9.7 Hz, 1H), 5.27 (p, <i>J</i> = 1.5 Hz, 1H), 5.04 - 4.97 (m, 1H), 4.92 (p, <i>J</i> = 7.1 Hz, 1H), 4.62 (p, <i>J</i> = 9.0 Hz, 1H), 3.93 - 3.72 (m, 2H), 2.10 (t, <i>J</i> = 1.2 Hz, 3H), 1.51 (d, <i>J</i> = 6.9 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -51.53 - -61.54 (m), -67.07 - -70.14 (m), -72.64, -113.01
F188		653 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.84 (s, 1H), 7.75 (dd, <i>J</i> = 8.1, 1.8 Hz, 1H), 7.53 (dd, <i>J</i> = 8.1, 3.6 Hz, 1H), 7.45 - 7.30 (m, 3H), 6.92 - 5.75 (m, 4H), 4.88 (p, <i>J</i> = 7.1 Hz, 1H), 4.62 (ddq, <i>J</i> = 13.1, 9.0, 4.3 Hz, 1H), 3.86 (qdd, <i>J</i> = 8.9, 6.3, 2.5 Hz, 2H), 2.01 - 1.73 (m, 3H), 1.51 (d, <i>J</i> = 6.9 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -57.06 - -61.17 (m), -67.37 - -70.81 (m), -72.61, -110.79 - -115.95 (m)
F189		638 ([M+H] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 7.87 (d, <i>J</i> = 1.6 Hz, 1H), 7.83 - 7.71 (m, 1H), 7.57 (d, <i>J</i> = 8.1 Hz, 1H), 7.54 - 7.40 (m, 2H), 6.87 (t, <i>J</i> = 6.5 Hz, 1H), 6.46 (d, <i>J</i> = 7.5 Hz, 1H), 5.85 (ddd, <i>J</i> = 32.6, 9.7, 5.1 Hz, 1H), 4.78 (p, <i>J</i> = 7.1 Hz, 1H), 4.61 (p, <i>J</i> = 9.1 Hz, 1H), 4.03 - 3.84 (m, 2H), 3.52 - 3.39 (m, 1H), 1.52 (d, <i>J</i> = 7.0 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.14, -67.97 - -70.44 (m), -72.59, -106.38 - -115.05 (m)
F190		649 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.91 - 7.82 (m, 1H), 7.77 (dd, <i>J</i> = 8.2, 1.7 Hz, 1H), 7.61 - 7.50 (m, 1H), 7.45 - 7.34 (m, 2H), 6.95 (t, <i>J</i> = 6.4 Hz, 1H), 6.51 (d, <i>J</i> = 7.6 = 32.6, 9.8 Hz, 1H), 4.79 (p, <i>J</i> = 7.1 Hz, 1H), 4.58 (p, <i>J</i> = 8.9 Hz, 1H), 4.02 - 3.83 (m, 2H), 2.14 (s, 3H), 1.52 (d, <i>J</i> = 7.0 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.15, -66.85 - -70.44 (m), -72.59, -108.10 - -114.23 (m)
F191		691 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.87 (s, 1H), 7.79 (d, <i>J</i> = 8.1 Hz, 1H), 7.63 - 7.54 (m, 1H), 7.41 - 7.33 (m, 2H), 6.68 (s, 1H), 6.35 (d, <i>J</i> = 7.5 Hz, 1H), 5.84 (dd, <i>J</i> = 32.6, 9.7 Hz, 1H), 4.73 (p, <i>J</i> = 7.2 Hz, 1H), 4.58 (p, <i>J</i> = 8.7 Hz, 1H), 4.03 - 3.80 (m, 2H), 1.51 (d, <i>J</i> = 7.0 Hz, 3H), 1.35 (s, 9H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -56.24 - -60.94 (m), -67.15 - -69.91 (m), -73.26, -112.60 (d, <i>J</i> = 21.4 Hz)

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No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
5 10 F192		636 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.87 (d, <i>J</i> = 1.7 Hz, 1H), 7.78 (dd, <i>J</i> = 8.1, 1.8 Hz, 1H), 7.75 (s, 1H), 7.65 (d, <i>J</i> = 2.1 Hz, 1H), 7.58 (d, <i>J</i> = 8.1 Hz, 1H), 7.15 (t, <i>J</i> = 6.4 Hz, 1H), 6.70 (d, <i>J</i> = 7.7 Hz, 1H), 5.96 - 5.74 (m, 1H), 4.84 (p, <i>J</i> = 7.1 Hz, 1H), 4.68 (p, <i>J</i> = 8.7 Hz, 1H), 3.97 - 3.81 (m, 2H), 1.52 (d, <i>J</i> = 7.0 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.23, -67.15 - -70.73 (m), -72.59, -107.51 - -115.88 (m)
15 20 F193		675 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.85 (dd, <i>J</i> = 9.3, 1.8 Hz, 1H), 7.80 - 7.71 (m, 1H), 7.61 (d, <i>J</i> = 2.2 Hz, 1H), 7.56 (d, <i>J</i> = 8.1 Hz, 2H), 7.26 (s, 1H), 6.75 (d, <i>J</i> = 7.7 Hz, 1H), 5.86 (dd, <i>J</i> = 32.6, 9.6 Hz, 1H), 4.86 (p, <i>J</i> = 7.0 Hz, 1H), 4.67 (p, <i>J</i> = 8.9 Hz, 1H), 4.01 - 3.81 (m, 2H), 2.18 - 1.93 (m, 3H), 1.52 (dd, <i>J</i> = 7.0, 4.5 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -57.66 - -60.42 (m), -69.34 (d, <i>J</i> = 2.3 Hz), -72.58, -87.48, -106.98 - -114.23 (m)
25 30 F194		643 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.90 - 7.82 (m, 1H), 7.75 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.54 (d, <i>J</i> = 8.1 Hz, 1H), 7.52 (s, 1H), 7.44 (d, <i>J</i> = 2.1 Hz, 1H), 7.34 (t, <i>J</i> = 6.5 Hz, 1H), 6.82 (d, <i>J</i> = 7.7 Hz, 1H), 5.99 - 5.77 (m, 1H), 5.58 (s, 1H), 5.46 (s, 1H), 4.86 (p, <i>J</i> = 7.1 Hz, 1H), 4.66 (h, <i>J</i> = 9.0 Hz, 1H), 3.94 - 3.77 (m, 2H), 1.51 (d, <i>J</i> = 7.0 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -58.60 - -60.17 (m), -68.68 - -69.62 (m), -72.63 (d, <i>J</i> = 2.5 Hz), -73.34, -107.80 - -115.05 (m)
35 F195		661 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.86 (s, 1H), 7.78 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.63 (d, <i>J</i> = 12.0 Hz, 2H), 7.57 (d, <i>J</i> = 8.1 Hz, 1H), 7.09 - 7.02 (m, 1H), 6.88 (t, <i>J</i> = 54.6 Hz, 1H), 6.62 (d, <i>J</i> = 7.6 Hz, 1H), 5.87 (dd, <i>J</i> = 32.5, 9.6 Hz, 1H), 4.82 (p, <i>J</i> = 7.1 Hz, 1H), 4.70 (p, <i>J</i> = 8.9 Hz, 1H), 4.02 - 3.73 (m, 2H), 1.51 (d, <i>J</i> = 7.0 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.2, -69.36, -72.66, -110.57, -115.6
40 45 F196		651 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.87 (d, <i>J</i> = 1.6 Hz, 1H), 7.78 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.62 (d, <i>J</i> = 8.1 Hz, 1H), 7.47 (d, <i>J</i> = 2.0 Hz, 1H), 7.44 (d, <i>J</i> = 2.1 Hz, 1H), 7.09 (dd, <i>J</i> = 17.5, 11.0 Hz, 1H), 6.64 (d, <i>J</i> = 4.6 Hz, 1H), 5.96 - 5.82 (m, 1H), 5.78 (d, <i>J</i> = 17.4 Hz, 1H), 5.56 - 5.46 (m, 1H), 5.09 - 4.86 (m, 2H), 4.65 (p, <i>J</i> = 9.0 Hz, 1H), 4.33 - 4.02 (m, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.07 (d, <i>J</i> = 3.0 Hz), -67.15 - -69.91 (m), -70.35 (d, <i>J</i> = 4.9 Hz), -109.22 - -116.70 (m)
50 55 F197		705 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.86 (d, <i>J</i> = 1.6 Hz, 1H), 7.77 (dd, <i>J</i> = 8.0, 1.7 Hz, 1H), 7.66 - 7.57 (m, 2H), 7.49 (d, <i>J</i> = 2.0 Hz, 1H), 6.85 (d, <i>J</i> = 4.1 Hz, 1H), 5.86 (dd, <i>J</i> = 32.5, 9.6 Hz, 1H), 5.02 - 4.88 (m, 2H), 4.61 (p, <i>J</i> = 8.9 Hz, 1H), 4.28 - 4.01 (m, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.12 (d, <i>J</i> = 3.4 Hz), -69.32 (d, <i>J</i> = 2.1 Hz), -70.40 (d, <i>J</i> = 6.8 Hz), -109.22 - -114.23 (m)

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(continued)

No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
F198		672 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.79 (d, <i>J</i> = 1.6 Hz, 1H), 7.62 (d, <i>J</i> = 8.1 Hz, 1H), 7.56 (dd, <i>J</i> = 8.2, 1.6 Hz, 1H), 7.43 (s, 2H), 6.79 (dd, <i>J</i> = 11.5, 4.4 Hz, 1H), 5.78 (dd, <i>J</i> = 32.6, 9.6 Hz, 1H), 5.07 - 4.91 (m, 2H), 4.58 (p, <i>J</i> = 8.9 Hz, 1H), 4.31 - 4.05 (m, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -69.33 (d, <i>J</i> = 2.3 Hz), -70.28, -110.63 - -114.49 (m)
F199		674 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.88 (d, <i>J</i> = 2.0 Hz, 1H), 7.78 (ddd, <i>J</i> = 10.2, 7.0, 2.0 Hz, 2H), 7.69 - 7.57 (m, 2H), 6.95 (t, <i>J</i> = 54.6 Hz, 1H), 6.59 (dd, <i>J</i> = 13.3, 4.4 Hz, 1H), 5.98 - 5.81 (m, 1H), 5.05 - 4.84 (m, 2H), 4.80 - 4.65 (m, 1H), 4.34 - 4.02 (m, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.07 (d, <i>J</i> = 1.4 Hz), -69.35 (d, <i>J</i> = 2.3 Hz), -70.34, -111.83 (d, <i>J</i> = 46.3 Hz), -115.77
F200		592 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.71 (d, <i>J</i> = 1.6 Hz, 1H), 7.53 (d, <i>J</i> = 8.1 Hz, 1H), 7.48 (dd, <i>J</i> = 10.7, 2.1 Hz, 1H), 7.44 (s, 2H), 7.24 (d, <i>J</i> = 16.1 Hz, 1H), 7.12 - 6.97 (m, 1H), 6.88 (t, <i>J</i> = 5.3 Hz, 1H), 5.91 - 5.65 (m, 2H), 5.51 - 5.40 (m, 1H), 4.62 (dp, <i>J</i> = 13.5, 9.0 Hz, 1H), 4.23 (d, <i>J</i> = 5.3 Hz, 2H), 3.93 (qd, <i>J</i> = 9.0, 6.3 Hz, 2H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -69.37, -72.46, -111.55
F201		604 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.68 (s, 1H), 7.46 (d, <i>J</i> = 1.6 Hz, 3H), 7.44 (s, 2H), 6.97 (dd, <i>J</i> = 17.4, 11.0 Hz, 1H), 6.76 (d, <i>J</i> = 7.6 Hz, 1H), 5.80 (d, <i>J</i> = 8.8 Hz, 1H), 5.76 - 5.65 (m, 1H), 5.51 - 5.35 (m, 1H), 4.83 (p, <i>J</i> = 7.1 Hz, 1H), 4.60 (p, <i>J</i> = 8.9 Hz, 1H), 3.86 (dq, <i>J</i> = 27.8, 9.1, 6.4 Hz, 2H), 1.57 - 1.44 (m, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -69.37, -72.51, -73.27, -111.56
F202		662 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.82 (d, <i>J</i> = 1.7 Hz, 1H), 7.72 (ddd, <i>J</i> = 9.7, 6.2, 3.9 Hz, 2H), 7.54 (s, 1H), 7.51 (d, <i>J</i> = 8.1 Hz, 1H), 7.44 (dd, <i>J</i> = 8.9, 2.0 Hz, 1H), 7.29 - 7.24 (m, 1H), 5.86 (dd, <i>J</i> = 32.5, 9.5 Hz, 1H), 4.94 (p, <i>J</i> = 7.1 Hz, 1H), 4.72 (p, <i>J</i> = 8.8 Hz, 1H), 3.87 - 3.67 (m, 2H), 1.50 (d, <i>J</i> = 6.9 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.46, -62.62, -69.52, -72.74, -109.56, -111.73
F203		650 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.90 - 7.81 (m, 1H), 7.77 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.59 (d, <i>J</i> = 8.1 Hz, 1H), 7.54 (s, 1H), 7.50 (t, <i>J</i> = 6.5 Hz, 1H), 7.44 (dd, <i>J</i> = 8.9, 2.0 Hz, 1H), 7.24 (t, <i>J</i> = 5.2 Hz, 1H), 5.88 (dd, <i>J</i> = 32.5, 9.5 Hz, 1H), 4.72 (p, <i>J</i> = 8.8 Hz, 1H), 4.25 (d, <i>J</i> = 5.1 Hz, 2H), 3.86 (qd, <i>J</i> = 9.0, 6.5 Hz, 2H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.53, -62.59, -69.48 (d, <i>J</i> = 2.3 Hz), -72.63, -109.50

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(continued)

No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
F204		618 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.70 (d, <i>J</i> = 1.6 Hz, 1H), 7.56 (d, <i>J</i> = 8.2 Hz, 1H), 7.49 (dd, <i>J</i> = 8.3, 1.8 Hz, 1H), 7.44 (s, 2H), 7.11 - 6.99 (m, 1H), 6.57 (d, <i>J</i> = 3.9 Hz, 1H), 5.84 - 5.78 (m, 1H), 5.78 - 5.70 (m, 1H), 5.51 - 5.42 (m, 1H), 5.06 - 4.80 (m, 1H), 4.60 (p, <i>J</i> = 8.9 Hz, 1H), 4.30 - 4.01 (m, 4H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -69.35, -70.30, -75.43, -111.59
F205		647 ([M+H] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 7.87 (s, 1H), 7.79 (d, <i>J</i> = 8.1 Hz, 1H), 7.74 (d, <i>J</i> = 8.2 Hz, 1H), 7.58 (d, <i>J</i> = 11.0 Hz, 2H), 7.42 (d, <i>J</i> = 8.2 Hz, 1H), 6.67 (s, 1H), 6.36 (d, <i>J</i> = 7.4 Hz, 1H), 5.88 (dd, <i>J</i> = 32.6, 9.6 Hz, 1H), 4.89 - 4.58 (m, 2H), 3.93 (pd, <i>J</i> = 8.9, 6.5 Hz, 2H), 1.51 (d, <i>J</i> = 7.0 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.12, -62.80, -69.10 (d, <i>J</i> = 2.3 Hz), -72.58, -112.08; IR (thin film) 3288, 1652, 1540 cm ⁻¹
F206		633 ([M+H] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 7.89 (d, <i>J</i> = 1.7 Hz, 1H), 7.84 - 7.77 (m, 1H), 7.74 (d, <i>J</i> = 8.2 Hz, 1H), 7.62 (d, <i>J</i> = 8.1 Hz, 1H), 7.57 (s, 1H), 7.43 (d, <i>J</i> = 8.1 Hz, 1H), 6.64 (dd, <i>J</i> = 10.0, 5.3 Hz, 2H), 5.89 (dd, <i>J</i> = 32.6, 9.6 Hz, 1H), 4.71 (p, <i>J</i> = 8.9 Hz, 1H), 4.22 (d, <i>J</i> = 5.3 Hz, 2H), 3.95 (qd, <i>J</i> = 8.9, 6.5 Hz, 2H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.27, -62.80, -69.09 (d, <i>J</i> = 2.3 Hz), -72.54, -112.13 (d, <i>J</i> = 2.9 Hz); IR (thin film) 3299, 1655, 1538 cm ⁻¹
F207		675 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.95 - 7.83 (m, 1H), 7.78 (d, <i>J</i> = 8.0 Hz, 1H), 7.57 (d, <i>J</i> = 8.1 Hz, 1H), 7.10 (s, 1H), 6.94 (t, <i>J</i> = 2.0 Hz, 1H), 6.91 (s, 1H), 6.84 (t, <i>J</i> = 6.4 Hz, 1H), 6.44 (d, <i>J</i> = 7.5 Hz, 1H), 5.85 (dd, <i>J</i> = 32.6, 9.7 Hz, 1H), 4.77 (p, <i>J</i> = 7.0 Hz, 1H), 4.62 (p, <i>J</i> = 9.2 Hz, 1H), 4.36 (q, <i>J</i> = 7.9 Hz, 2H), 4.05 - 3.81 (m, 2H), 1.51 (d, <i>J</i> = 7.0 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.13, -69.19 (d, <i>J</i> = 2.4 Hz), -72.58, -73.82, -113.00 (d, <i>J</i> = 54.0 Hz); IR (thin film) 3290, 2963, 1652, 1538 cm ⁻¹
F208		691 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.87 (s, 1H), 7.79 (d, <i>J</i> = 8.1 Hz, 1H), 7.76 (s, 1H), 7.73 (d, <i>J</i> = 8.2 Hz, 1H), 7.58 (d, <i>J</i> = 8.1 Hz, 1H), 7.47 (d, <i>J</i> = 8.2 Hz, 1H), 6.70 (s, 1H), 6.37 (s, 1H), 5.88 (dd, <i>J</i> = 32.6, 9.6 Hz, 1H), 4.70 (dt, <i>J</i> = 18.1, 8.9 Hz, 2H), 3.94 (p, <i>J</i> = 8.1, 7.6 Hz, 2H), 1.52 (d, <i>J</i> = 7.0 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -58.18 - -59.72 (m), -62.84, -68.86 (d, <i>J</i> = 2.3 Hz), -72.58, -112.07; IR (thin film) 3289, 3087, 1651, 1540 cm ⁻¹
F209		679 ([M+H] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 7.91 - 7.87 (m, 1H), 7.80 (d, <i>J</i> = 1.8 Hz, 1H), 7.79 - 7.75 (m, 1H), 7.73 (d, <i>J</i> = 8.2 Hz, 1H), 7.61 (d, <i>J</i> = 8.1 Hz, 1H), 7.48 (d, <i>J</i> = 8.4 Hz, 1H), 7.10 (s, 1H), 6.88 (s, 1H), 5.89 (dd, <i>J</i> = 32.6, 9.6 Hz, 1H), 4.71 (p, <i>J</i> = 8.9 Hz, 1H), 4.41 - 4.14 (m, 2H), 3.92 (qd, <i>J</i> = 9.0, 6.6 Hz, 2H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.36, -62.85, -69.09 (d, <i>J</i> = 2.3 Hz), -72.56, -112.16 (d, <i>J</i> = 2.7 Hz); IR (thin film) 3302, 3084, 1655, 1537 cm ⁻¹

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No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
5 10 F211		617 ([M+H] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 7.86 (d, <i>J</i> = 1.7 Hz, 1H), 7.77 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.66 - 7.57 (m, 3H), 7.45 (t, <i>J</i> = 6.5 Hz, 1H), 7.31 - 7.21 (m, 1H), 7.04 (t, <i>J</i> = 5.1 Hz, 1H), 5.90 (dd, <i>J</i> = 32.6, 9.6 Hz, 1H), 4.72 (p, <i>J</i> = 8.9 Hz, 1H), 4.26 (d, <i>J</i> = 5.1 Hz, 2H), 3.92 (qd, <i>J</i> = 9.0, 6.4 Hz, 2H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.39, -61.54 (d, <i>J</i> = 12.4 Hz), -69.69 (d, <i>J</i> = 2.4 Hz), -72.51, -112.48, -113.88 (q, <i>J</i> = 12.7 Hz); IR (thin film) 3294, 1656, 1539 cm ⁻¹
15 20 F212		EIMS 658	¹ H NMR (400 MHz, CDCl ₃) δ 7.83 (d, <i>J</i> = 1.6 Hz, 1H), 7.73 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.58 (t, <i>J</i> = 6.5 Hz, 1H), 7.51 (d, <i>J</i> = 8.1 Hz, 1H), 7.40 - 7.37 (m, 1H), 7.30 (d, <i>J</i> = 1.5 Hz, 1H), 7.29 (d, <i>J</i> = 1.9 Hz, 1H), 7.02 (d, <i>J</i> = 7.8 Hz, 1H), 5.86 (dd, <i>J</i> = 32.7, 9.8 Hz, 1H), 4.91 (p, <i>J</i> = 7.1 Hz, 1H), 4.74 - 4.51 (m, 1H), 3.83 (dtd, <i>J</i> = 12.3, 8.8, 6.3 Hz, 2H), 1.50 (d, <i>J</i> = 6.9 Hz, 3H), 1.31 (s, 9H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.30, -69.24, -72.64, -113.27 (d, <i>J</i> = 29.5 Hz)
25 F213		EIMS 628	¹ H NMR (300 MHz, CDCl ₃) δ 7.85 (t, <i>J</i> = 1.9 Hz, 1H), 7.76 (dd, <i>J</i> = 8.2, 1.8 Hz, 1H), 7.64 - 7.50 (m, 3H), 7.44 (s, 1H), 7.35 (s, 1H), 6.97 - 6.41 (m, 2H), 5.88 (ddd, <i>J</i> = 32.7, 9.7, 7.4 Hz, 1H), 4.85 (p, <i>J</i> = 7.0 Hz, 1H), 4.70 (p, <i>J</i> = 8.9 Hz, 1H), 3.89 - 3.72 (m, 2H), 1.51 (d, <i>J</i> = 7.0 Hz, 3H)	IR (thin film) 3266, 2922, 1653, 1114 cm ⁻¹
30 35 F214		661 ([M+H] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 7.83 (dd, <i>J</i> = 12.3, 1.8 Hz, 1H), 7.74 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.63 (t, <i>J</i> = 6.5 Hz, 1H), 7.54 (d, <i>J</i> = 8.1 Hz, 1H), 7.41 - 7.37 (m, 1H), 7.22 (ddd, <i>J</i> = 10.2, 6.7, 2.2 Hz, 1H), 7.07 (d, <i>J</i> = 7.7 Hz, 1H), 5.83 (dd, <i>J</i> = 32.6, 9.6 Hz, 1H), 4.95 - 4.81 (m, 1H), 4.71 - 4.50 (m, 1H), 3.94 - 3.76 (m, 2H), 1.49 (dd, <i>J</i> = 7.0, 4.1 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.34 (d, <i>J</i> = 24.1 Hz), -68.79, -70.14, -72.59, -129.23 (d, <i>J</i> = 21.5 Hz), -132.24 (d, <i>J</i> = 21.5 Hz)
40 45 F215		565 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.88 (d, <i>J</i> = 1.7 Hz, 1H), 7.79 (dd, <i>J</i> = 8.1, 1.8 Hz, 1H), 7.62 (d, <i>J</i> = 8.0 Hz, 1H), 7.38 (dt, <i>J</i> = 4.7, 2.0 Hz, 1H), 7.26 (s, 2H), 6.89 (t, <i>J</i> = 6.6 Hz, 1H), 6.76 (t, <i>J</i> = 5.2 Hz, 1H), 5.83 (dd, <i>J</i> = 32.5, 9.6 Hz, 1H), 4.61 (p, <i>J</i> = 8.9 Hz, 1H), 4.23 (d, <i>J</i> = 5.3 Hz, 2H), 3.94 (qd, <i>J</i> = 9.0, 6.5 Hz, 2H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.31, -68.79, -70.14, -72.54, -129.10 (d, <i>J</i> = 21.3 Hz), -132.13 (d, <i>J</i> = 21.4 Hz)
50 55 F216		EIMS 660	¹ H NMR (400 MHz, CDCl ₃) δ 7.87 (d, <i>J</i> = 2.1 Hz, 1H), 7.78 (dt, <i>J</i> = 8.0, 2.4 Hz, 1H), 7.57 (dd, <i>J</i> = 8.1, 2.7 Hz, 1H), 7.51 (t, <i>J</i> = 6.2 Hz, 1H), 7.45 - 7.36 (m, 1H), 7.25 - 7.12 (m, 1H), 7.03 (d, <i>J</i> = 7.6 Hz, 1H), 5.89 (ddd, <i>J</i> = 32.8, 9.7, 4.8 Hz, 1H), 4.80 (q, <i>J</i> = 7.0 Hz, 1H), 4.61 (dt, <i>J</i> = 14.4, 9.0 Hz, 1H), 3.99 - 3.78 (m, 2H), 1.50 (dd, <i>J</i> = 6.9, 3.8 Hz, 3H), 1.33 (d, <i>J</i> = 3.4 Hz, 9H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -58.10 - -59.82 (m), -69.67 (t, <i>J</i> = 3.0 Hz), -73.05 (d, <i>J</i> = 303.2 Hz), -129.41 (d, <i>J</i> = 21.5 Hz), -135.70 (dd, <i>J</i> = 285.5, 21.1 Hz)

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No.	Mp(°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
F217		645 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 7.85 (dd, <i>J</i> = 11.4, 1.9 Hz, 1H), 7.79 - 7.69 (m, 1H), 7.58 (dd, <i>J</i> = 11.7, 7.2 Hz, 2H), 7.39 (dt, <i>J</i> = 4.8, 1.8 Hz, 2H), 7.27 - 7.17 (m, 1H), 5.86 (dd, <i>J</i> = 32.6, 9.6 Hz, 1H), 4.69 - 4.57 (m, 1H), 4.22 (dd, <i>J</i> = 6.8, 5.1 Hz, 3H), 3.89 (qd, <i>J</i> = 9.1, 6.5 Hz, 1H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.44, -69.09, -70.51, -72.56 (d, <i>J</i> = 1.6 Hz), -129.27 (d, <i>J</i> = 21.5 Hz), -132.28 (d, <i>J</i> = 21.4 Hz)

Table 5. Structure and Preparation Method for FC Series Compounds

No.	Structure	Prep.*
FC1		13
FC2		13
*prepared according to example number		

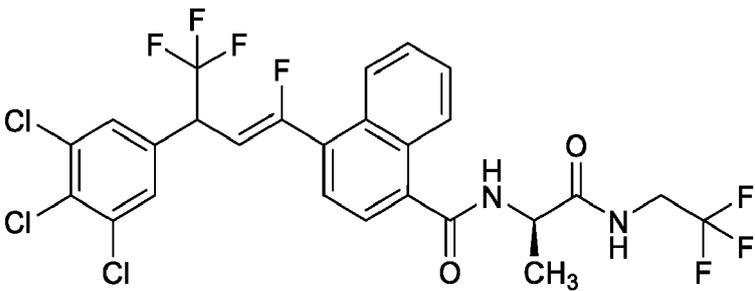
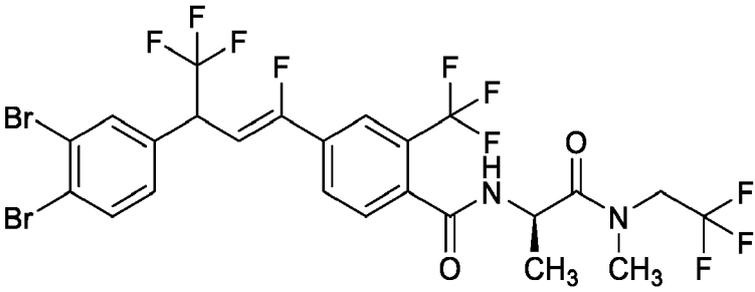
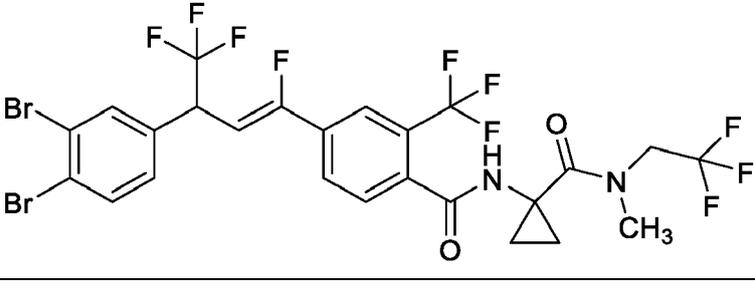
Table 6. Structure and Preparation Method for CC Series Molecules

No.	Structure	Prep.*
CC1		1
*prepared according to example number		

Table 7: Analytical Data for Compounds in Table 5

No.	Mp (°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
5 10 FC1		568 ([M+H] ⁺)	¹ H NMR (300 MHz, CDCl ₃) δ 7.85 (d, <i>J</i> = 8.3 Hz, 2H), 7.78 - 7.71 (m, 1H), 7.65 - 7.57 (m, 3H), 7.43 (s, 2H), 5.79 (dd, <i>J</i> = 32.8, 9.6 Hz, 1H), 4.60 (p, <i>J</i> = 8.9 Hz, 1H), 4.27 (d, <i>J</i> = 5.1 Hz, 2H), 3.94 (qd, <i>J</i> = 9.0, 6.4 Hz, 2H), 3.52 - 3.22 (m, 1H)	¹³ C NMR (75 MHz, CDCl ₃) δ 169.73, 167.13, 159.34 (d, <i>J</i> _{CF} = 256.1 Hz), 143.29, 134.76, 134.28, 133.99, 133.62, 131.99, 128.92, 127.60, 125.77, 125.27 - 124.64 (m), 122.08, 99.74 (d, <i>J</i> _{CF} = 15.0 Hz), 47.03, 43.78, 26.35 (d, <i>J</i> = 8.8 Hz)
15 FC2		582 ([M+H] ⁺)	¹ H NMR (300 MHz, CDCl ₃) δ 7.82 (d, <i>J</i> = 8.2 Hz, 2H), 7.65 - 7.56 (m, 2H), 7.43 (s, 2H), 7.32 (dd, <i>J</i> = 7.5, 2.1 Hz, 1H), 5.78 (ddd, <i>J</i> = 32.8, 9.6, 0.9 Hz, 1H), 5.07 - 4.86 (m, 1H), 4.60 (p, <i>J</i> = 8.9 Hz, 1H), 4.12 - 3.71 (m, 2H), 1.55 (t, <i>J</i> = 6.8 Hz, 4H)	¹³ C NMR (75 MHz, CDCl ₃) δ 173.19, 166.50, 159.35 (d, <i>J</i> _{CF} = 256.0 Hz), 134.76, 134.58, 134.28, 133.91, 133.54, 131.99, 128.92, 128.14, 127.57, 127.17, 124.84 (d, <i>J</i> _{CF} = 7.1 Hz), f99.58, 49.35, 34.66, 18.54.

Table 8. Structure and Preparation Method for PF Series Molecules

No.	Structure	Prep.*
25 30 PF1		13
35 40 PF2		16
45 50 PF3		16

(continued)

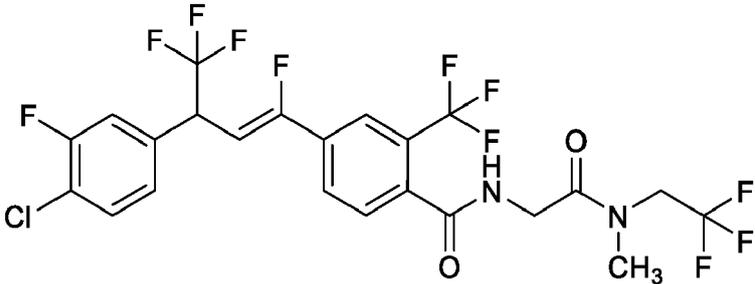
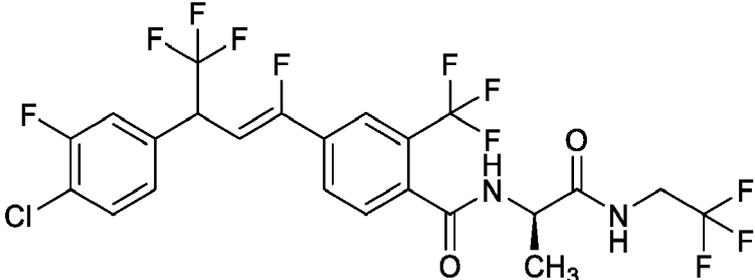
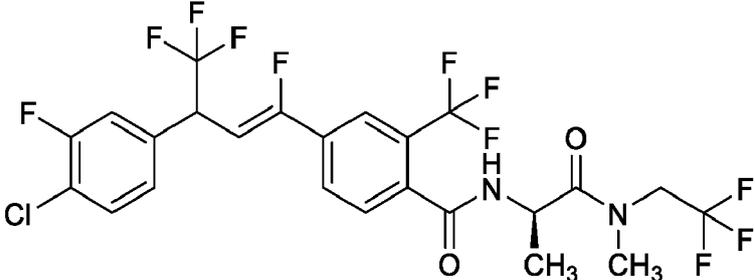
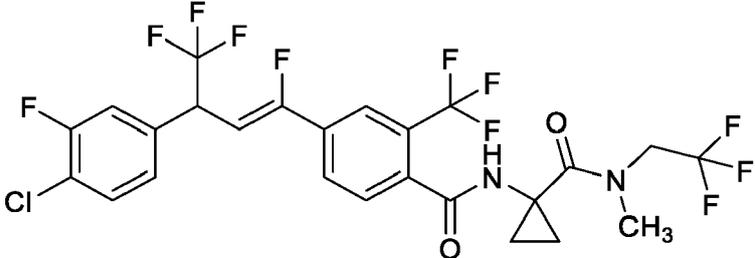
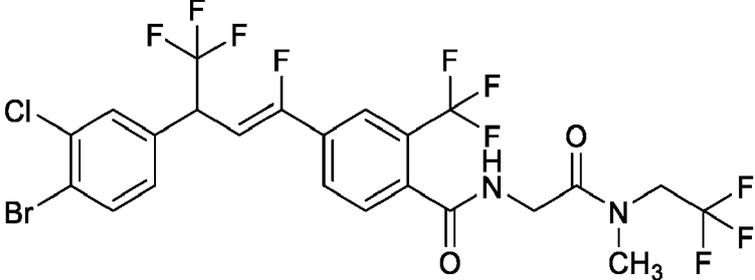
No.	Structure	Prep.*
5 10 PF4		13
15 20 PF6		13
25 30 PF7		13
35 40 PF8		13
45 50 PF10		16

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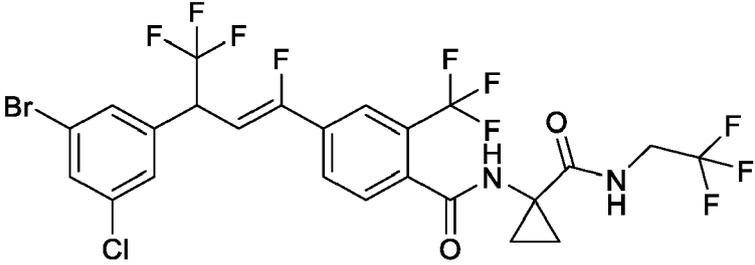
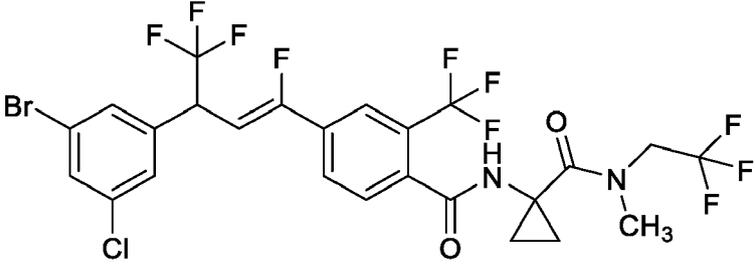
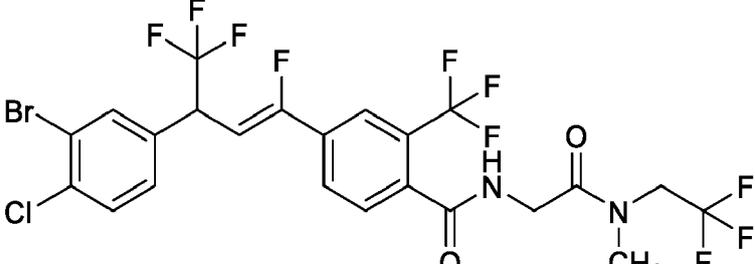
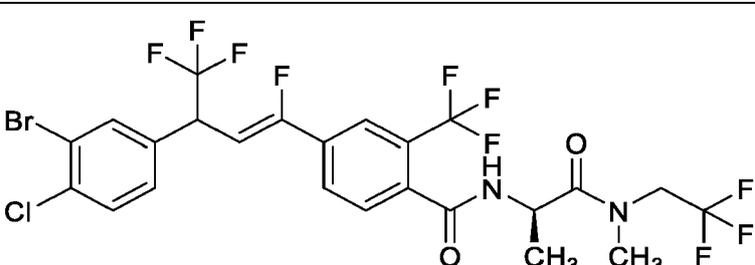
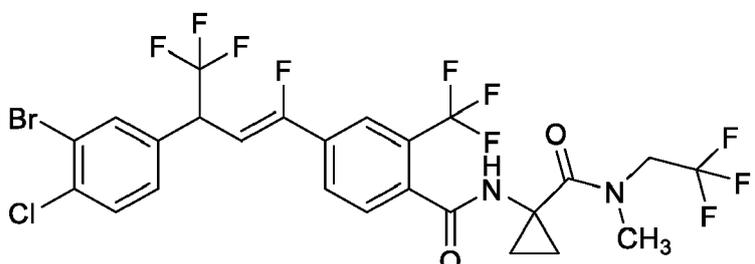
(continued)

No.	Structure	Prep.*
PF12		16
PF13		16
PF14		16
PF15		16
PF16		16

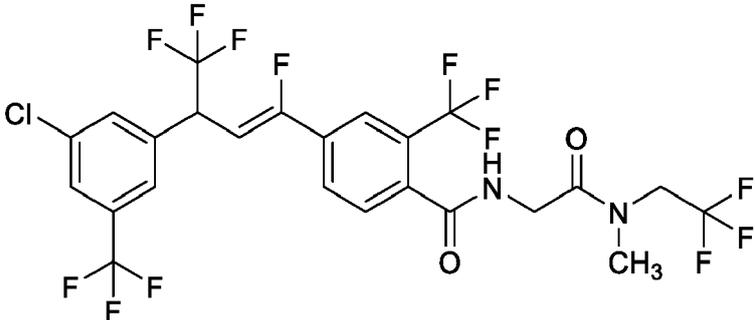
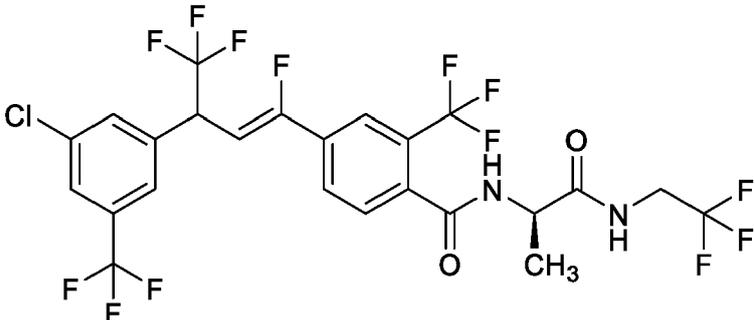
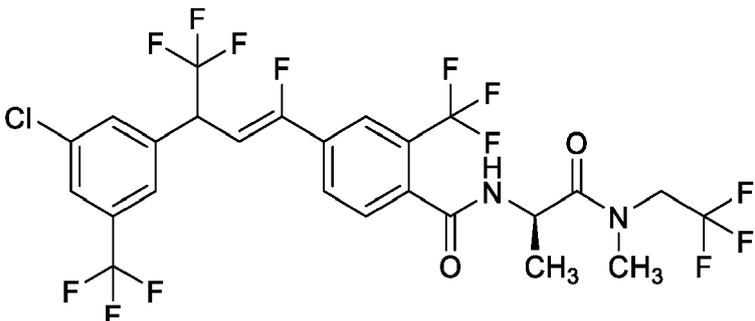
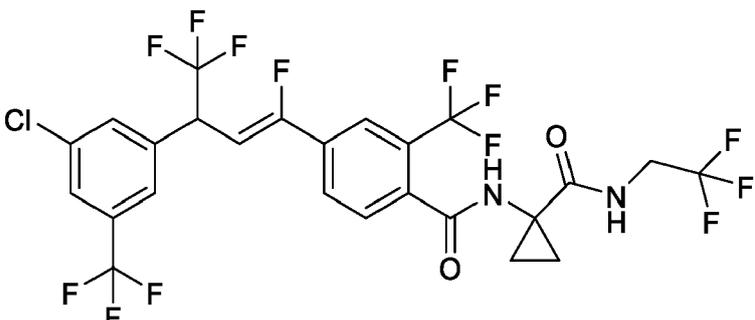
(continued)

No.	Structure	Prep.*
PF18		16
PF19		16
PF20		16
PF22		16
PF24		16

(continued)

No.	Structure	Prep.*
PF32		16
PF33		16
PF35		16
PF37		16
PF39		16

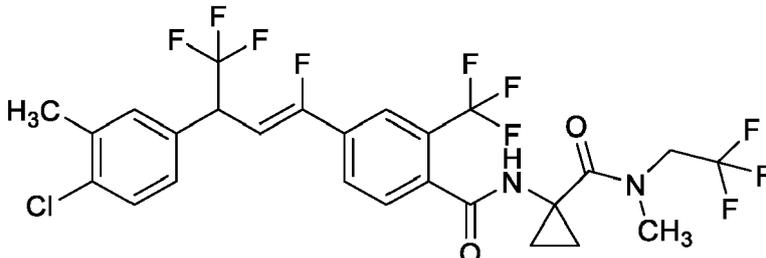
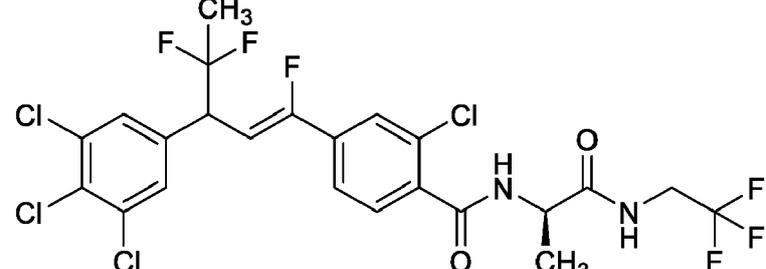
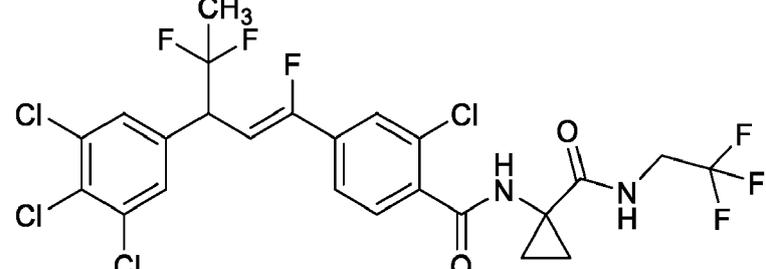
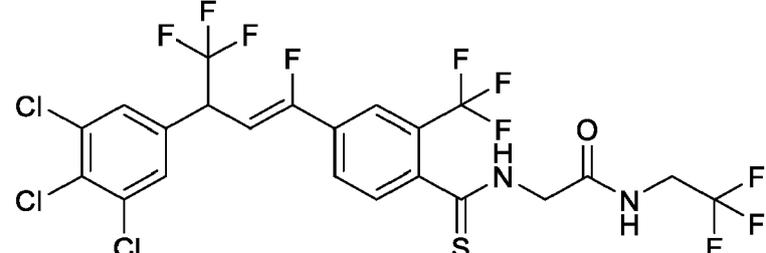
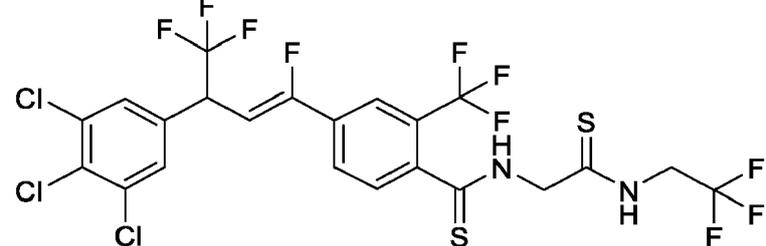
(continued)

No.	Structure	Prep.*
PF41		16
PF42		16
PF43		16
PF44		16

(continued)

No.	Structure	Prep.*
PF45		16
PF47		16
PF48		16
PF49		16
PF50		16

(continued)

No.	Structure	Prep.*
PF51		16
PF60		16
PF62		16
PF82		51
PF88		52

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(continued)

No.	Structure	Prep.*
PF94		52
PF96		13
PF98		13
*prepared according to example number		

Table 9: Analytical Data for Molecules in Table 8

No.	Mp (°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
PF1	78 - 80	629 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.87 (d, <i>J</i> = 6.9 Hz, 1H), 8.69 (t, <i>J</i> = 6.0 Hz, 1H), 8.30 (d, <i>J</i> = 7.5 Hz, 1H), 8.07 (s, 2H), 7.95 (s, 1H), 7.73 - 7.61 (m, 4H), 6.30 (dd, <i>J</i> = 9.9, 33.9 Hz, 1H), 5.35 - 5.29 (m, 1H), 4.60 - 4.56 (m, 1H), 4.11 - 3.91 (m, 2H), 1.36 (d, <i>J</i> = 6.9 Hz, 3H)	
PF2		715 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.97 (d, <i>J</i> = 7.2 Hz, 1H), 8.10 (s, 2H), 8.04 (d, <i>J</i> = 7.8 Hz, 1H), 7.86 (d, <i>J</i> = 8.1 Hz, 1H), 7.61 - 7.56 (m, 2H), 6.85 (dd, <i>J</i> = 9.9, 36.0 Hz, 1H), 5.19 - 5.12 (m, 1H), 4.99 - 4.94 (m, 1H), 4.37 - 4.08 (m, 2H), 3.13 (s, 3H), 1.23 (d, <i>J</i> = 7.2 Hz, 3H)	IR (thin film) 3429, 2998, 1659, 1031 cm ⁻¹
PF3		729 ([M+H] ⁺)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 9.26 (br s, 1H), 8.14 (s, 1H), 8.09 (s, 1H), 8.05 (d, <i>J</i> = 8.0 Hz, 1H), 7.85 (s, 1H), 7.61 - 7.56 (m, 2H), 6.84 (dd, <i>J</i> = 10.0, 36.0 Hz, 1H), 5.18 - 5.13 (m, 1H), 4.22 - 4.21 (m, 2H), 3.17 (s, 3H), 1.04 - 1.02 (m, 2H), 0.85 - 0.83 (m, 2H)	IR (thin film) 3435, 2926, 1667, 749 cm ⁻¹

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(continued)

No.	Mp (°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
5 PF4		701 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.79 (t, <i>J</i> = 5.4 Hz, 1H), 8.12 (s, 1H), 8.11 - 8.05 (m, 2H), 7.86 (d, <i>J</i> = 8.4 Hz, 1H), 7.69 (d, <i>J</i> = 8.1 Hz, 1H), 7.62 - 7.59 (m, 1H), 6.85 (dd, <i>J</i> = 9.9, 36.0 Hz, 1H), 5.19 - 5.13 (m, 1H), 4.25 - 4.16 (m, 4H), 3.14 (s, 3H)	IR (thin film) 3439, 2997, 1661, 1031 cm ⁻¹
10 PF6		597 ([M+H] ⁺)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.78 (t, <i>J</i> = 6.0 Hz, 1H), 8.12 (s, 1H), 8.07 (d, <i>J</i> = 8.0 Hz, 1H), 7.97 (d, <i>J</i> = 6.4 Hz, 1H), 7.71 - 7.67 (m, 2H), 7.53 - 7.48 (m, 1H), 6.83 (dd, <i>J</i> = 10.4, 36.4 Hz, 1H), 5.19 - 5.14 (m, 1H), 4.23 - 4.16 (m, 2H), 3.14 (s, 2H), 1.26 (d, <i>J</i> = 9.6 Hz, 3H)	IR (thin film) 3435, 2997, 1436, 1031 cm ⁻¹
15 PF7		595 ([M-H] ⁻)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.84 (d, <i>J</i> = 7.2 Hz, 1H), 8.64 (t, <i>J</i> = 6.4 Hz, 1H), 8.10 (s, 1H), 8.05 (d, <i>J</i> = 8.0 Hz, 1H), 7.97 (d, <i>J</i> = 6.4 Hz, 1H), 7.68 - 7.64 (m, 2H), 7.53 - 7.48 (m, 1H), 6.83 (dd, <i>J</i> = 10.4, 36.0 Hz, 1H), 5.18 - 5.13 (m, 1H), 4.52 - 4.49 (m, 1H), 3.99 - 3.87 (m, 2H), 1.30 (d, <i>J</i> = 7.2 Hz, 3H)	IR (thin film) 3428, 2925, 1650, 1167 cm ⁻¹
20 PF8		611 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.97 (d, <i>J</i> = 7.8 Hz, 1H), 8.11 (s, 1H), 8.05 (d, <i>J</i> = 7.1 Hz, 1H), 7.97 (d, <i>J</i> = 6.3 Hz, 1H), 7.70 - 7.69 (m, 1H), 7.59 (d, <i>J</i> = 8.1 Hz, 1H), 7.53 - 7.49 (m, 1H), 6.85 (dd, <i>J</i> = 9.9, 35.7 Hz, 1H), 5.19 - 5.13 (m, 1H), 4.99 - 4.94 (m, 1H), 4.33 - 4.25 (m, 1H), 4.16 - 4.13 (m, 1H), 3.22 (s, 3H), 1.29 (d, <i>J</i> = 6.9 Hz, 3H)	IR (thin film) 3432, 2927, 1647, 1262, 749 cm ⁻¹
25 PF10		623 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 9.24 (br s, 1H), 8.12 (s, 1H), 8.04 (d, <i>J</i> = 8.1 Hz, 1H), 7.95 (d, <i>J</i> = 6.9 Hz, 1H), 7.69 - 7.67 (m, 1H), 7.56 - 7.45 (m, 2H), 6.84 (dd, <i>J</i> = 10.2, 36.0 Hz, 1H), 5.17 - 5.10 (m, 1H), 4.18 - 4.14 (m, 2H), 3.17 (s, 3H), 1.08 - 1.06 (m, 2H), 0.84 - 0.81 (m, 2H)	IR (thin film) 3435, 2999, 1656, 1030 cm ⁻¹
30 PF12		625 ([M-H] ⁻)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.80 (t, <i>J</i> = 5.6 Hz, 1H), 8.10 (s, 1H), 8.07 (d, <i>J</i> = 8.4 Hz, 1H), 7.83 (s, 1H), 7.69 - 7.67 (m, 1H), 7.64 (s, 1H), 6.81 (dd, <i>J</i> = 10.0, 35.6 Hz, 1H), 5.12 - 5.07 (m, 1H), 4.23 - 4.17 (m, 4H), 3.14 (s, 3H), 2.42 (s, 3H)	IR (thin film) 3433, 3914, 1662 cm ⁻¹
35 PF13		627 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.84 (d, <i>J</i> = 7.8 Hz, 1H), 8.65 (t, <i>J</i> = 6.0 Hz, 1H), 8.09 (s, 1H), 8.05 (d, <i>J</i> = 7.8 Hz, 1H), 7.83 (s, 1H), 7.67 (d, <i>J</i> = 6.9 Hz, 2H), 6.82 (dd, <i>J</i> = 10.2, 36.0 Hz, 1H), 5.12 - 5.06 (m, 1H), 4.53 - 4.48 (m, 1H), 4.04 - 3.86 (m, 2H), 2.42 (s, 3H), 1.32 (d, <i>J</i> = 6.9 Hz, 3H)	IR (thin film) 3414, 2925, 1651 cm ⁻¹
40 PF14		641 ([M+H] ⁺)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.96 (d, <i>J</i> = 7.2 Hz, 1H), 8.09 (s, 1H), 8.04 (d, <i>J</i> = 8.8 Hz, 1H), 7.83 (s, 1H), 7.64 (s, 1H), 7.59 (d, <i>J</i> = 8.4 Hz, 1H), 6.80 (dd, <i>J</i> = 10.4, 35.6 Hz, 1H), 5.09 - 5.06 (m, 1H), 4.98 - 4.94 (m, 1H), 4.28 - 4.26 (m, 1H), 4.15 - 4.13 (m, 1H), 3.22 (s, 3H), 2.42 (s, 3H), 1.28 (d, <i>J</i> = 6.8 Hz, 3H)	IR (thin film) 3285, 2949, 1656 cm ⁻¹
45 PF15		639 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 9.10 (s, 1H), 8.17 (t, <i>J</i> = 6.3 Hz, 1H), 8.10 (s, 2H), 8.02 (d, <i>J</i> = 7.5 Hz, 1H), 7.82 (s, 1H), 7.64 (s, 1H), 6.83 (dd, <i>J</i> = 9.9, 36.0 Hz, 1H), 5.12 - 5.06 (m, 1H), 3.96 - 3.87 (m, 2H), 2.42 (s, 3H), 1.40 - 1.36 (m, 2H), 1.03 - 0.98 (m, 2H)	IR (thin film) 3462, 2930, 1679, 1116 cm ⁻¹

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(continued)

No.	Mp (°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
5 PF16		653 ([M+H] ⁺)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 9.26 (s, 1H), 8.12 (s, 1H), 8.05 (d, <i>J</i> = 8.0 Hz, 1H), 7.82 (s, 1H), 7.64 (s, 1H), 7.58 (d, <i>J</i> = 8.4 Hz, 1H), 6.82 (dd, <i>J</i> = 10.4, 36.0 Hz, 1H), 5.11 - 5.06 (m, 1H), 4.19 (br s, 2H), 3.19 (s, 3H), 2.41 (s, 3H), 1.29 - 1.26 (m, 2H), 0.87 - 0.79 (m, 2H)	IR (thin film) 3445, 1661, 1031 cm ⁻¹
10 PF18		597 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.81 (t, <i>J</i> = 5.4 Hz, 1H), 8.12 (s, 1H), 8.07 (d, <i>J</i> = 7.8 Hz, 1H), 7.82 (d, <i>J</i> = 10.5 Hz, 1H), 7.71 - 7.66 (m, 2H), 7.56 (d, <i>J</i> = 9.0 Hz, 1H), 6.83 (dd, <i>J</i> = 10.2, 35.7 Hz, 1H), 5.21 - 5.14 (m, 1H), 4.40 - 4.37 (m, 1H), 4.25 - 4.15 (m, 3H), 3.14 (s, 3H)	IR (thin film) 3429, 1661, 1030 cm ⁻¹
15 PF19		597 ([M+H] ⁺)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.84 (d, <i>J</i> = 7.2 Hz, 1H), 8.64 (t, <i>J</i> = 6.0 Hz, 1H), 8.10 (s, 1H), 8.05 (d, <i>J</i> = 8.4 Hz, 1H), 7.81 (d, <i>J</i> = 10.4 Hz, 1H), 7.71 - 7.67 (m, 2H), 7.56 (d, <i>J</i> = 8.4 Hz, 1H), 6.81 (dd, <i>J</i> = 10.0, 35.6 Hz, 1H), 5.20 - 5.15 (m, 1H), 4.53 - 4.95 (m, 1H), 3.99 - 3.86 (m, 2H), 1.30 (d, <i>J</i> = 7.2 Hz, 3H)	IR (thin film) 3431, 2925, 1650 cm ⁻¹
20 PF20		609 ([M-H] ⁻)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.97 (d, <i>J</i> = 7.8 Hz, 1H), 8.11 (s, 1H), 8.04 (d, <i>J</i> = 8.7 Hz, 1H), 7.81 (d, <i>J</i> = 10.5 Hz, 1H), 7.71 (t, <i>J</i> = 8.4 Hz, 1H), 7.59 - 7.53 (m, 2H), 6.82 (dd, <i>J</i> = 10.2, 36.0 Hz, 1H), 5.20 - 5.14 (m, 1H), 4.99 - 4.94 (m, 1H), 4.28 - 4.01 (m, 2H), 3.29 (s, 3H), 1.28 (d, <i>J</i> = 6.2 Hz, 3H)	IR (thin film) 3431, 2925, 1650 cm ⁻¹
25 PF22		623 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 9.26 (s, 1H), 8.14 (s, 1H), 8.06 (d, <i>J</i> = 8.4 Hz, 1H), 7.81 (d, <i>J</i> = 9.6 Hz, 1H), 7.71 (t, <i>J</i> = 7.8 Hz, 1H), 7.58 - 7.52 (m, 2H), 6.83 (dd, <i>J</i> = 9.9, 36.0 Hz, 1H), 5.20 - 5.14 (m, 1H), 4.21 (br s, 2H), 3.18 (s, 3H), 1.28 - 1.23 (m, 2H), 0.95 - 0.79 (m, 2H)	IR (thin film) 3429, 1668, 1030 cm ⁻¹
30 PF24		657 ([M-H] ⁻)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.81 - 8.77 (m, 1H), 8.12 (s, 1H), 8.08 (d, <i>J</i> = 8.4 Hz, 1H), 7.99 (s, 1H), 7.87 - 7.85 (d, <i>J</i> = 8.1 Hz, 1H), 7.70 (d, <i>J</i> = 8.1 Hz, 1H), 7.60 (d, <i>J</i> = 8.4 Hz, 1H), 6.85 (dd, <i>J</i> = 9.9, 35.7 Hz, 1H), 5.20 - 5.14 (m, 1H), 4.25 - 4.16 (m, 4H), 3.14 (s, 3H)	IR (thin film) 3400, 3316, 2928, 1661, 1267 cm ⁻¹
35 PF25	55 - 57	658 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.84 (d, <i>J</i> = 7.5 Hz, 1H), 8.65 (t, <i>J</i> = 6.6 Hz, 1H), 8.10 (s, 1H), 8.05 (d, <i>J</i> = 8.4 Hz, 1H), 7.98 (s, 1H), 7.87 (d, <i>J</i> = 8.4 Hz, 1H), 7.67 (dd, <i>J</i> = 7.8, 8.1 Hz, 2H), 6.85 (dd, <i>J</i> = 9.9, 35.7 Hz, 1H), 5.20 - 5.14 (m, 1H), 4.53 - 4.48 (m, 1H), 3.99 - 3.89 (m, 2H), 1.30 (d, <i>J</i> = 6.9 Hz, 3H)	
40 PF26	59 - 61	671 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.97 (d, <i>J</i> = 7.8 Hz, 1H), 8.11 (s, 1H), 8.04 (d, <i>J</i> = 8.1 Hz, 1H), 7.98 (s, 1H), 7.87 (d, <i>J</i> = 8.4 Hz, 1H), 7.59 (d, <i>J</i> = 8.1 Hz, 2H), 6.85 (dd, <i>J</i> = 9.6, 35.7 Hz, 1H), 5.20 - 5.13 (m, 1H), 4.99 - 4.94 (m, 1H), 4.34 - 4.08 (m, 2H), 3.22 (s, 3H), 1.29 (d, <i>J</i> = 7.2 Hz, 3H)	
45 PF27	63 - 65	669 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 9.01 (s, 1H), 8.18 - 7.97 (m, 5H), 7.88 (d, <i>J</i> = 8.4 Hz, 1H), 7.59 (dd, <i>J</i> = 1.8, 8.4 Hz, 1H), 6.86 (dd, <i>J</i> = 10.2, 36.3 Hz, 1H), 5.20 - 5.14 (m, 1H), 3.98 - 3.87 (m, 2H), 1.03 - 0.99 (m, 2H), 0.87 - 0.84 (m, 2H)	

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(continued)

No.	Mp (°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
5 PF28	71 - 73	683 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 9.26 (s, 1H), 8.14 (s, 1H), 8.06 (d, <i>J</i> = 8.1 Hz, 1H), 7.98 (s, 1H), 7.87 (d, <i>J</i> = 8.4 Hz, 1H), 7.58 (d, <i>J</i> = 8.1 Hz, 1H), 7.90 (s, 1H), 6.86 (dd, <i>J</i> = 10.2, 36.0 Hz, 1H), 5.20 - 5.14 (m, 1H), 4.21 (br s, 2H), 3.18 (br s, 3H), 1.30 - 1.26 (m, 2H), 1.05 - 1.01 (m, 2H)	
10 PF31		671 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.97 (d, <i>J</i> = 7.2 Hz, 1H), 8.11 (br s, 1H), 8.05 (d, <i>J</i> = 8.4 Hz, 1H), 7.93 (br s, 1H), 7.84 - 7.79 (m, 2H), 7.60 (d, <i>J</i> = 8.1 Hz, 1H), 6.86 (dd, <i>J</i> = 10.2, 35.7 Hz, 1H), 5.23 - 5.17 (m, 1H), 4.99 - 4.94 (m, 1H), 4.33 - 4.25 (m, 1H), 4.16 - 4.11 (m, 1H), 3.22 (s, 3H), 1.29 (d, <i>J</i> = 7.2 Hz, 3H)	IR (thin film) 3430, 1658, 1025, 705 cm ⁻¹
15 PF32		667 ([M-H] ⁻)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 9.10 (br s, 1H), 8.17 - 8.07 (m, 3H), 8.02 (d, <i>J</i> = 8.1 Hz, 1H), 7.93 (s, 1H), 7.84 (s, 1H), 7.80 - 7.79 (m, 1H), 6.87 (dd, <i>J</i> = 9.9, 36.0 Hz, 1H), 5.23 - 5.17 (m, 1H), 3.96 - 3.87 (m, 2H), 1.40 - 1.25 (m, 2H), 1.03 - 0.99 (m, 2H)	IR (thin film) 3421, 2925, 1667, 1166, 750 cm ⁻¹
20 PF33		683 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 9.26 (br s, 1H), 8.14 (br s, 1H), 8.06 (d, <i>J</i> = 7.8 Hz, 1H), 7.92 (br s, 1H), 7.84 (br s, 1H), 7.80 (d, <i>J</i> = 1.5 Hz, 1H), 7.58 (d, <i>J</i> = 8.1 Hz, 1H), 6.87 (dd, <i>J</i> = 9.9, 35.4 Hz, 1H), 5.23 - 5.16 (m, 1H), 4.21 - 4.19 (m, 2H), 3.29 (s, 3H), 1.30 - 1.26 (m, 2H), 1.04 - 1.02 (m, 2H)	IR (thin film) 3428, 1660, 1030, 704 cm ⁻¹
25 PF35		657 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.79 (t, <i>J</i> = 5.7 Hz, 1H), 8.12 (br s, 2H), 8.08 (d, <i>J</i> = 8.1 Hz, 1H), 7.71 - 7.67 (m, 3H), 6.86 (dd, <i>J</i> = 9.9, 36.0 Hz, 1H), 5.21 - 5.14 (m, 1H), 4.23 - 4.16 (m, 4H), 3.14 (s, 3H)	IR (thin film) 3399, 2925, 1661, 749 cm ⁻¹
30 PF37		671 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.97 (d, <i>J</i> = 7.5 Hz, 1H), 8.11 (s, 2H), 8.04 (d, <i>J</i> = 7.8 Hz, 1H), 7.71 (s, 2H), 7.59 (d, <i>J</i> = 8.1 Hz, 1H), 6.85 (dd, <i>J</i> = 9.9, 36.0 Hz, 1H), 5.20 - 5.14 (m, 1H), 4.99 - 4.94 (m, 1H), 4.33 - 4.08 (m, 2H), 3.21 (s, 3H), 1.28 (d, <i>J</i> = 6.9 Hz, 3H)	IR (thin film) 3436, 1660, 1031, 702 cm ⁻¹
35 PF39		683 ([M+H] ⁺)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 9.26 (br s, 1H), 8.14 - 8.11 (m, 2H), 8.05 (d, <i>J</i> = 8.0 Hz, 1H), 7.73 - 7.68 (m, 2H), 7.58 (d, <i>J</i> = 8.4 Hz, 1H), 6.84 (dd, <i>J</i> = 10.0, 36.0 Hz, 1H), 5.22 - 5.19 (m, 1H), 4.23 - 4.19 (m, 2H), 3.19 (s, 3H), 1.29 - 1.28 (m, 2H), 1.08 - 1.03 (m, 2H)	IR (thin film) 3431, 1659, 1029, 703 cm ⁻¹
40 PF41		645 ([M-H] ⁻)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.80 (t, <i>J</i> = 5.6 Hz, 1H), 8.17 (s, 1H), 8.12 - 8.07 (m, 3H), 7.94 (s, 1H), 7.72 (d, <i>J</i> = 8.4 Hz, 1H), 6.94 (dd, <i>J</i> = 10.0, 35.6 Hz, 1H), 5.39 - 5.32 (m, 1H), 4.23 - 4.27 (m, 4H), 3.14 (s, 3H)	IR (thin film) 3411, 2927, 1670, 1261, 750 cm ⁻¹
45 PF42	105 - 107	645 ([M-H] ⁻)	¹ H NMR (300 MHz, CDCl ₃) δ 7.88 (s, 1H), 7.80 (d, <i>J</i> = 8.6 Hz, 1H), 7.64 (s, 1H), 7.63 - 7.51 (m, 3H), 6.81 (t, <i>J</i> = 6.5 Hz, 1H), 6.44 (d, <i>J</i> = 7.5 Hz, 1H), 5.88 (dd, <i>J</i> = 32.5, 9.6 Hz, 1H), 4.84 - 4.61 (m, 2H), 3.93 (qd, <i>J</i> = 9.0, 6.5 Hz, 2H), 1.51 (d, <i>J</i> = 7.0 Hz, 3H)	

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(continued)

No.	Mp (°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
5 PF43		661 ([M+H] ⁺)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.97 (d, <i>J</i> = 7.2 Hz, 1H), 8.17 (s, 1H), 8.12 (d, <i>J</i> = 8.4 Hz, 1H), 8.06 (d, <i>J</i> = 5.7 Hz, 1H), 7.94 (s, 2H), 7.60 (d, <i>J</i> = 5.7 Hz, 1H), 6.92 (dd, <i>J</i> = 10.0, 35.6 Hz, 1H), 5.39 - 5.34 (m, 1H), 4.99 - 4.93 (m, 1H), 4.35 - 4.24 (m, 1H), 4.15 - 4.09 (m, 1H), 3.22 (s, 3H), 1.29 (d, <i>J</i> = 6.8 Hz, 3H)	IR (thin film) 3409, 3299, 2988, 1651, 750 cm ⁻¹
10 PF44	52 - 54	659 ([M+H] ⁺)	¹ H NMR (300 MHz, CDCl ₃) δ 9.12 (s, 2H), 8.17 - 7.95 (m, 6H), 6.95 (dd, <i>J</i> = 9.9, 36.0 Hz, 1H), 5.40 - 5.34 (m, 1H), 3.96 - 3.90 (m, 2H), 1.41 - 1.37 (m, 2H), 1.03 - 0.99 (m, 2H)	
15 PF45	62 - 65	673 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 9.26 (s, 1H), 8.16 (d, <i>J</i> = 5.7 Hz, 2H), 8.09 (s, 1H), 8.07 (d, <i>J</i> = 6.3 Hz, 1H), 7.95 (s, 1H), 7.65 (d, <i>J</i> = 5.7 Hz, 1H), 6.93 (dd, <i>J</i> = 7.8, 26.7 Hz, 1H), 5.38 - 5.34 (m, 1H), 4.40 - 4.20 (m, 2H), 3.18 (s, 3H), 1.28 - 1.27 (m, 2H), 1.04 - 1.02 (m, 2H)	
20 PF47	133 - 136	593 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.79 (t, <i>J</i> = 5.4 Hz, 1H), 8.12 (s, 1H), 8.07 (d, <i>J</i> = 7.8 Hz, 1H), 7.71 - 7.60 (m, 2H), 7.49 (s, 2H), 6.70 (dd, <i>J</i> = 35.7, 10.2 Hz, 1H), 5.04 - 4.96 (m, 1H), 4.25 - 4.17 (m, 4H), 3.15 (s, 3H), 2.38 (s, 3H)	
25 PF48	90 - 93	593 ([M+H] ⁺)	¹ H NMR (400 MHz, CDCl ₃) δ 8.83 (d, <i>J</i> = 8.0 Hz, 1H), 8.63 (d, <i>J</i> = 6.4 Hz, 1H), 8.08 (s, 1H), 8.05 (d, <i>J</i> = 7.6 Hz, 1H), 7.66 (d, <i>J</i> = 8.0 Hz, 1H), 7.62 (s, 1H), 7.47 (s, 2H), 6.78 (dd, <i>J</i> = 9.6, 35.6 Hz, 1H), 5.02 - 4.95 (m, 1H), 4.54 - 4.47 (m, 1H), 4.03 - 3.85 (m, 2H), 2.35 (s, 3H), 1.30 (d, <i>J</i> = 8.0 Hz, 3H)	
30 PF49	71 - 74	607 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.96 (d, <i>J</i> = 7.2 Hz, 1H), 8.09 (s, 1H), 8.04 (d, <i>J</i> = 8.1 Hz, 1H), 7.62 (s, 1H), 7.59 (d, <i>J</i> = 8.1 Hz, 1H), 7.47 (s, 2H), 6.94 (dd, <i>J</i> = 10.2, 35.1 Hz, 1H), 5.00 - 4.94 (m, 2H), 4.48 - 4.00 (m, 2H), 3.22 (s, 3H), 2.37 (s, 3H), 1.28 (d, <i>J</i> = 6.9 Hz, 3H)	
35 PF50	75 - 78	605 ([M+H] ⁺)	¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ 9.09 (s, 1H) 8.16 (t, <i>J</i> = 8.4 Hz, 1H), 8.09 - 8.06 (m, 2H), 8.01 (d, <i>J</i> = 7.6 Hz, 1H), 7.61 (s, 1H), 7.48 (s, 2H), 6.79 (dd, <i>J</i> = 10.0, 36.0 Hz, 1H), 5.03 - 4.98 (m, 1H), 3.97 - 3.88 (m, 2H), 2.35 (s, 3H), 1.40 - 1.37 (m, 2H), 1.03 - 1.00 (m, 2H)	
40 PF51	86 - 89	619 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 9.26 (br s, 1H), 8.12 (s, 1H), 8.06 (d, <i>J</i> = 8.1 Hz, 1H), 7.61 (s, 1H), 7.57 (d, <i>J</i> = 8.1 Hz, 1H), 7.47 (s, 2H), 6.82 (dd, <i>J</i> = 9.9, 36.0 Hz, 1H), 5.00 - 4.97 (m, 1H), 4.21 (br s, 2H), 3.18 (br s, 3H), 2.35 (s, 3H) 1.30 - 1.26 (m, 2H), 1.05 - 1.02 (m, 2H)	
45 PF60		609 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 8.75 (d, <i>J</i> = 7.5 Hz, 1H), 8.59 (t, <i>J</i> = 6.0 Hz, 1H), 7.88 (s, 3H), 7.71 (d, <i>J</i> = 8.1 Hz, 1H), 7.54 (d, <i>J</i> = 7.8 Hz, 1H), 6.66 (dd, <i>J</i> = 10.2, 36.6 Hz, 1H), 4.73 - 4.60 (m, 1H), 4.52 - 4.45 (m, 1H), 4.05 - 3.85 (m, 2H), 1.62 (t, <i>J</i> = 18.9 Hz, 3H), 1.31 (d, <i>J</i> = 6.9 Hz, 3H)	IR (thin film) 3431, 2925, 1650 cm ⁻¹
50 PF62		623 ([M+H] ⁺)	¹ H NMR (300 MHz, DMSO- <i>d</i> ₆) δ 9.02 (s, 1H), 8.16 (t, <i>J</i> = 6.3 Hz, 1H), 7.88 (s, 2H), 7.78 - 7.70 (m, 3H), 6.67 (dd, <i>J</i> = 10.5, 36.6 Hz, 1H), 4.68 - 4.65 (m, 1H), 3.96 - 3.91 (m, 2H), 1.68 (t, <i>J</i> = 18.9 Hz, 3H), 1.41 - 1.35 (m, 2H), 1.23 - 1.20 (m, 2H)	IR (thin film) 3431, 2925, 1650 cm ⁻¹

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No.	Mp (°C)	Mass (m/z)	¹ H NMR	¹³ C NMR; ¹⁹ F NMR; IR
5 PF82		648 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 8.16 (s, 1H), 7.82 (s, 1H), 7.75 (d, <i>J</i> = 8.3 Hz, 1H), 7.60 (d, <i>J</i> = 8.2 Hz, 1H), 7.43 (s, 2H), 6.29 - 6.21 (m, 1H), 5.81 (dd, <i>J</i> = 32.6, 9.6 Hz, 1H), 4.60 (p, <i>J</i> = 8.9 Hz, 1H), 4.52 (d, <i>J</i> = 4.7 Hz, 2H), 4.00 (qd, <i>J</i> = 8.9, 6.5 Hz, 2H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -58.34, -69.35, -72.47, -111.91
10 PF88		663 ([M-H] ⁻)	¹ H NMR (500 MHz, CDCl ₃) δ 8.55 (t, <i>J</i> = 5.1 Hz, 1H), 8.19 (t, <i>J</i> = 6.1 Hz, 1H), 7.83 (d, <i>J</i> = 1.7 Hz, 1H), 7.75 (dd, <i>J</i> = 8.2, 1.8 Hz, 1H), 7.66 - 7.56 (m, 1H), 7.43 (s, 2H), 5.82 (dd, <i>J</i> = 32.6, 9.6 Hz, 1H), 4.80 (d, <i>J</i> = 5.1 Hz, 2H), 4.61 (p, <i>J</i> = 8.8 Hz, 1H), 4.46 (qd, <i>J</i> = 8.9, 5.9 Hz, 2H)	¹⁹ F NMR (471 MHz, CDCl ₃) δ -58.18, -69.35 (d, <i>J</i> = 8.8 Hz), -70.58 (t, <i>J</i> = 9.0 Hz), -111.95 (d, <i>J</i> = 32.7 Hz)
15 PF94		649 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 9.03 (s, 1H), 7.90 (d, <i>J</i> = 1.7 Hz, 1H), 7.83 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.65 (d, <i>J</i> = 8.1 Hz, 1H), 7.44 (s, 2H), 7.11 (s, 1H), 5.86 (dd, <i>J</i> = 32.5, 9.5 Hz, 1H), 4.68 - 4.57 (m, 1H), 4.56 (d, <i>J</i> = 5.4 Hz, 2H), 4.43 (qd, <i>J</i> = 9.0, 5.9 Hz, 2H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.21 -69.30, -70.67, -112.02
20 PF96		663 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 9.68 (t, <i>J</i> = 6.0 Hz, 1H), 7.93 - 7.87 (m, 1H), 7.82 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.64 (d, <i>J</i> = 8.1 Hz, 1H), 7.45 (s, 2H), 7.36 (d, <i>J</i> = 8.1 Hz, 1H), 6.08 - 5.76 (m, 1H), 5.57 - 5.34 (m, 1H), 4.62 (p, <i>J</i> = 8.9 Hz, 1H), 4.52 - 4.22 (m, 2H), 1.59 (d, <i>J</i> = 6.7 Hz, 3H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -59.24 (d, <i>J</i> = 1.7 Hz), -69.32 (d, <i>J</i> = 2.2 Hz), -70.63, -109.75 - -114.23 (m)
25 PF98		675 ([M-H] ⁻)	¹ H NMR (400 MHz, CDCl ₃) δ 9.01 (s, 1H), 7.93 - 7.84 (m, 1H), 7.80 (dd, <i>J</i> = 8.1, 1.7 Hz, 1H), 7.53 (d, <i>J</i> = 8.3 Hz, 1H), 7.43 (s, 2H), 6.61 (s, 1H), 5.85 (dd, <i>J</i> = 32.5, 9.6 Hz, 1H), 4.61 (p, <i>J</i> = 8.8 Hz, 1H), 4.47 (qd, <i>J</i> = 9.1, 5.9 Hz, 2H), 1.96 - 1.86 (m, 2H), 1.38 - 1.26 (m, 2H)	¹⁹ F NMR (376 MHz, CDCl ₃) δ -58.84 (t, <i>J</i> = 1.8 Hz), -69.30 (d, <i>J</i> = 2.3 Hz), -70.82 (d, <i>J</i> = 1.8 Hz), -112.05

BAW, CEW, & CL Rating Table	
% Control (or Mortality)	Rating
50-100	A
More than 0 - Less than 50	B
Not Tested	C
No activity noticed in this bioassay	D

GPA & YFM Rating Table	
% Control (or Mortality)	Rating
80-100	A
More than 0 - Less than 80	B
Not Tested	C
No activity noticed in this bioassay	D

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Table ABC: Biological Results

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No.	Pests			
	BAW	CL	GPA	YFM
F1	A	A	C	C
F2	A	A	C	C
F3	A	A	A	C
F4	A	A	C	C
F5	A	A	C	C
F6	A	A	C	C
F7	A	A	C	C
F8	A	A	C	C
F9	A	A	C	C
F10	A	A	C	C
F11	A	A	C	C
F12	A	A	C	C
F13	A	A	C	C
F14	A	A	C	C
F15	A	A	C	C
F16	A	A	C	C
F17	A	A	C	C
F18	A	A	C	C
F19	A	A	C	C
F20	A	A	C	C
F21	A	A	C	C
F22	A	A	C	C
F23	A	A	C	C
F24	A	A	C	C
F25	A	A	C	C
F26	A	A	C	C
F27	A	A	C	C
F28	A	A	C	C
F29	A	A	C	C
F30	A	A	C	C
F31	A	A	C	C
F32	A	A	C	C
F33	A	A	C	A
F34	A	A	C	A
F35	A	A	C	A
F36	A	A	C	A
F37	A	A	C	A

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No.	Pests			
	BAW	CL	GPA	YFM
F38	A	A	C	A
F39	A	A	C	A
F40	A	A	C	A
F41	A	A	C	A
F42	A	A	C	A
F43	A	A	C	A
F44	A	A	C	A
F45	A	A	C	A
F46	A	A	C	A
F47	A	A	C	C
F48	A	A	C	C
F49	A	A	C	C
F50	A	A	C	C
F51	A	A	C	C
F52	A	A	C	A
F53	A	A	C	A
F54	A	A	C	C
F55	A	A	C	C
F56	A	A	C	C
F57	A	A	C	C
F58	A	A	C	C
F59	A	A	C	A
F60	A	A	C	A
F61	A	A	C	A
F62	A	A	C	C
F63	A	A	C	C
F64	A	A	C	C
F65	A	A	C	C
F66	A	A	C	C
F67	A	A	C	C
F68	A	A	C	A
F69	A	A	C	A
F70	A	A	C	A
F71	A	A	C	B
F72	A	A	C	A
F73	A	A	C	A
F74	A	A	C	A

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No.	Pests			
	BAW	CL	GPA	YFM
F75	A	A	C	A
F76	A	A	C	A
F77	A	A	C	A
F78	A	A	C	A
F79	A	A	C	A
F80	A	A	C	A
F81	A	A	C	A
F82	A	A	C	A
F83	A	A	C	A
F84	A	A	C	A
F85	A	A	C	A
F86	A	A	C	A
F87	A	A	C	C
F88	A	A	C	C
F89	A	A	C	C
F90	A	A	C	C
F91	A	A	C	C
F92	A	A	C	C
F93	A	A	C	C
F94	A	A	C	C
F95	A	A	C	C
F96	A	A	C	C
F97	A	A	C	C
F98	A	A	C	C
F99	A	A	C	A
F100	A	A	C	C
F101	A	A	C	C
F102	A	A	C	A
F103	A	A	C	B
F104	A	A	C	C
F105	A	A	C	C
F106	A	A	C	C
F107	A	A	C	C
F108	A	A	C	C
F109	A	A	C	C
F110	A	A	C	C
F111	A	A	C	C

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No.	Pests			
	BAW	CL	GPA	YFM
F112	A	A	C	C
F113	A	A	C	A
F114	A	A	C	A
F115	A	A	C	B
F116	A	A	C	A
F117	A	A	C	C
F118	A	A	C	B
F119	A	A	C	B
F120	A	A	C	B
F121	A	A	C	C
F122	A	A	C	B
F123	A	A	C	C
F124	A	A	C	C
F125	A	A	C	C
F126	A	A	C	C
F127	A	A	C	C
F128	A	A	C	C
F129	A	A	C	C
F130	A	A	C	A
F131	A	A	C	A
F132	A	A	C	B
F133	A	A	C	B
F134	A	A	C	B
F135	A	A	C	B
F136	A	A	C	B
F137	A	A	C	B
F138	A	A	C	A
F139	A	A	C	A
F140	A	A	C	C
F141	A	A	C	A
F142	A	A	C	A
F143	A	A	C	A
F144	A	A	C	A
F145	A	A	C	C
F146	A	A	B	B
F147	A	A	C	A
F148	A	A	C	D

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No.	Pests			
	BAW	CL	GPA	YFM
F150	A	A	C	C
F153	A	A	C	A
F154	A	A	C	C
F155	A	A	A	A
F157	A	A	C	A
F158	A	A	C	D
F159	A	A	C	A
F160	A	A	A	A
F161	A	A	C	A
F162	A	A	C	D
F163	A	A	C	A
F164	A	A	C	B
F165	A	A	C	B
F166	A	A	C	C
F167	A	A	A	A
F168	A	A	C	C
F169	A	A	C	C
F170	A	A	C	C
F171	A	A	C	C
F172	A	A	C	B
F173	A	A	C	D
F174	A	A	C	C
F175	A	A	C	C
F176	A	A	C	C
F177	A	A	A	A
F178	A	A	C	C
F180	A	A	A	D
F181	A	A	C	C
F182	A	A	C	C
F183	A	A	C	C
F184	A	A	C	C
F185	A	A	C	C
F186	A	A	C	C
F187	A	A	C	C
F188	A	A	C	C
F189	A	A	C	C
F190	A	A	C	C

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(continued)

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No.	Pests			
	BAW	CL	GPA	YFM
F191	A	A	C	C
F192	A	A	C	C
F193	A	A	C	C
F194	A	A	C	C
F195	A	A	C	C
F196	A	A	C	C
F197	A	A	C	C
F198	A	A	C	C
F199	A	A	C	C
F200	A	A	C	C
F201	A	A	C	C
F202	A	A	C	C
F203	A	A	C	C
F204	A	A	C	C
F205	A	A	C	B
F206	A	A	C	D
F207	A	A	C	C
F208	A	A	C	A
F209	A	A	C	A
F210	A	A	C	B
F211	A	A	C	D
F212	A	A	C	C
F213	A	A	C	C
F214	A	A	C	C
F215	A	A	C	C
F216	A	A	C	C
F217	A	A	C	C
PF1	A	A	C	A
PF2	A	A	C	A
PF3	A	A	C	A
PF4	A	A	C	A
PF6	A	A	A	A
PF7	A	A	C	B
PF8	A	A	C	B
PF10	A	A	C	A
PF12	A	A	C	B
PF13	A	A	C	B

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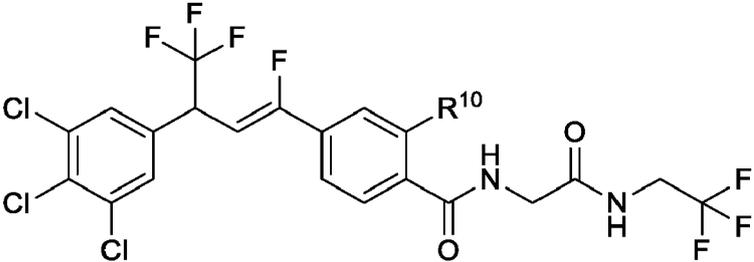
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No.	Pests			
	BAW	CL	GPA	YFM
PF14	A	A	C	A
PF15	A	A	C	B
PF16	A	A	B	A
PF18	A	A	C	B
PF19	A	A	C	B
PF20	A	A	C	B
PF22	A	A	C	B
PF24	A	A	A	A
PF25	A	A	C	B
PF26	A	A	C	C
PF27	A	A	A	B
PF28	A	A	C	C
PF31	A	A	C	B
PF32	A	A	B	A
PF33	A	A	C	B
PF35	A	A	C	B
PF37	A	A	C	B
PF39	A	A	C	B
PF41	A	A	C	C
PF42	A	A	C	C
PF43	A	A	C	C
PF44	A	A	C	C
PF45	A	A	C	C
PF47	A	A	C	D
PF48	A	A	C	C
PF49	A	A	C	C
PF50	A	A	C	C
PF51	A	A	C	C
PF60	A	A	C	C
PF62	A	A	C	D
PF82	A	A	C	A
PF88	A	A	C	C
PF94	A	A	C	A
PF96	A	A	C	C
PF98	A	A	C	C

COMPARATIVE DATA

[1140] Bioassays on BAW and CL were conducted according to the procedures outlined in **Example A: Bioassays on Beet Armyworm ("BAW") and Cabbage Looper ("CL")** using the indicated concentrations. The results are indicated in Table CD1 and Table CD2.

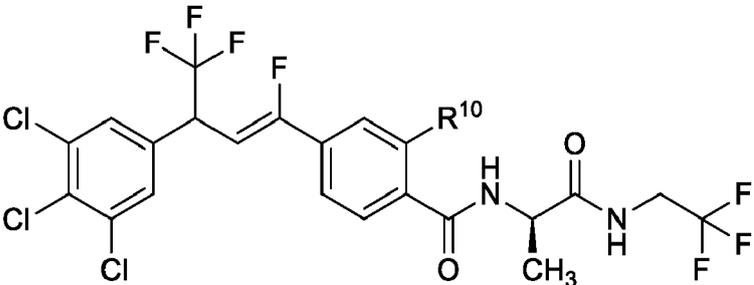
Table CD1



No.	R ¹⁰	5 μg/cm ²		0.5 μg/cm ²		0.05 μg/cm ²	
		BAW	CL	BAW	CL	BAW	CL
FC1	H	100*	100	100	100	0	0
F22	Cl	100	100	100	100	100	100
F19	Br	100	100	100	100	100	100
F6	CH ₃	-	-	100	100	100	100
F1	CF ₃	100	100	100	100	100	100

* Percent control (or mortality)

Table CD2

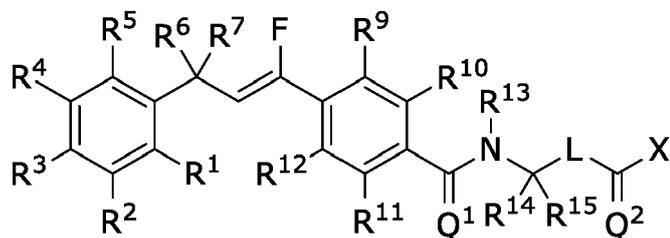


No.	R ¹⁰	5 μg/cm ²		0.5 μg/cm ²		0.05 μg/cm ²	
		BAW	CL	BAW	CL	BAW	CL
FC2	H	100*	100	100	100	0	0
F23	Cl	100	100	100	100	81	100
F18	Br	100	100	100	100	100	100
F67	I	100	100	100	100	100	100
F7	CH ₃	-	-	100	100	100	100
F2	CF ₃	100	100	100	100	100	100

* Percent control (or mortality)

Claims

1. A molecule having the following formula



Formula One

wherein:

(A) **R¹**, **R⁵**, **R⁶**, **R¹¹**, and **R¹²** are each independently selected from H, F, Cl, Br, I, CN, (C₁-C₆)alkyl, (C₁-C₆)haloalkyl, (C₁-C₆)alkoxy, and (C₁-C₄)haloalkoxy;

(B) **R²**, **R³**, and **R⁴** are each independently selected from H, F, Cl, Br, I, CN, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, (C₁-C₆)haloalkyl, (C₁-C₆)alkoxy, and (C₁-C₆)haloalkoxy;

(C) **R⁷** is (C₁-C₆)haloalkyl;

(D) **R⁹** is selected from (F), H, F, Cl, Br, I, CN, (C₁-C₄)alkyl, (C₁-C₄)haloalkyl, (C₁-C₄)alkoxy, and (C₁-C₄)haloalkoxy;

(E) **R¹⁰** is selected from (F), F, Cl, Br, I, CN, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, (C₁-C₆)haloalkyl, (C₁-C₆)alkoxy, and (C₁-C₆)haloalkoxy;

(F) **R⁹** and **R¹⁰** together can optionally form a 3- to 5-membered saturated or unsaturated, hydrocarbyl link, wherein said hydrocarbyl link may optionally be substituted with one or more substituents independently selected from F, Cl, Br, I, CN, OH, and oxo;

(G) **Q¹** and **Q²** are each independently O or S;

(H) **R¹³** is selected from H, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₁-C₆)haloalkyl, (C₁-C₆)alkoxy, and (C₁-C₆)haloalkoxy;

(I) **R¹⁴** is selected from (K), (O), H, (C₁-C₄)alkyl, (C₂-C₆)alkenyl, (C₁-C₆)haloalkyl, (C₁-C₆)alkoxy, and (C₁-C₆)haloalkoxy;

(J) **R¹⁵** is selected from (K), H, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₁-C₆)haloalkyl, (C₁-C₆)alkoxy, and (C₁-C₆)haloalkoxy;

(K) **R¹⁴** and **R¹⁵** together can optionally form a 2- to 5-membered saturated, hydrocarbyl link, wherein said hydrocarbyl link may optionally be substituted with one or more substituents independently selected from F, Cl, Br, I, and CN;

(L) **L** is selected from

(1) a bond, and

(2) a (C₁-C₆)alkyl wherein said alkyl is optionally substituted with one or more substituents independently selected from F, Cl, CN, OH, and oxo;

(M) **X** is selected from

(1) **R¹⁷**,

(2) **NR¹⁶R¹⁷**,

(3) **OR¹⁷**, and

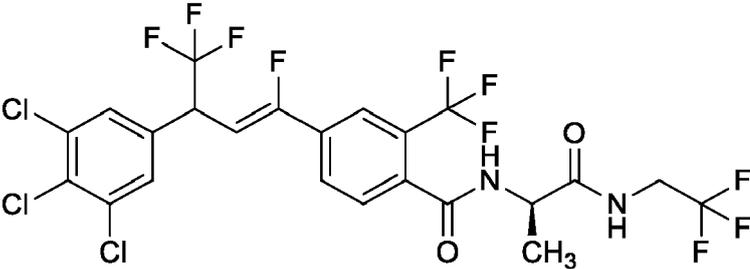
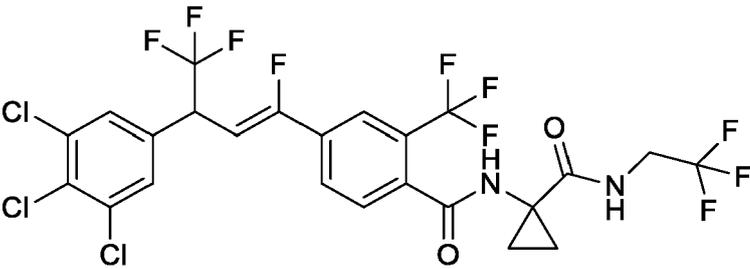
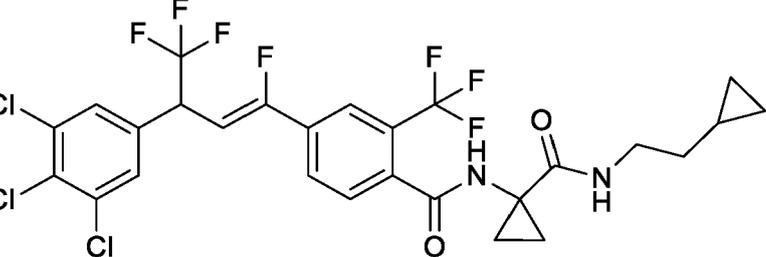
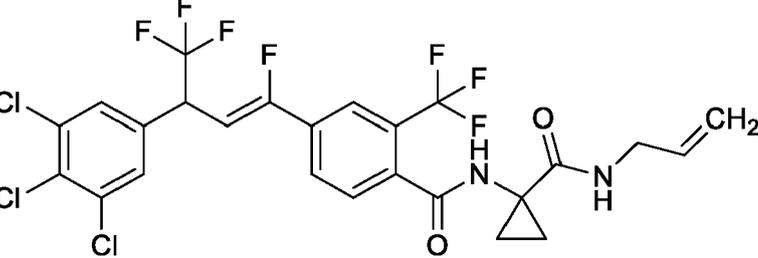
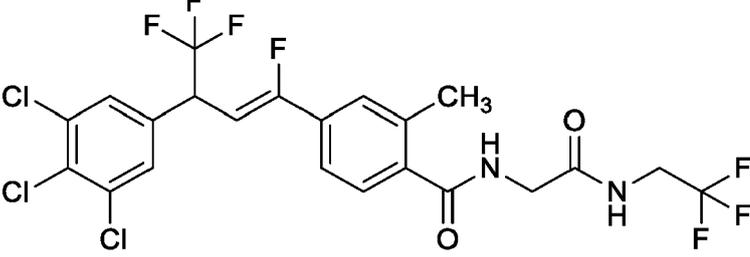
(4) **SR¹⁷**;

(N) **R¹⁶** is selected from (O), (Q), H, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₁-C₆)haloalkyl, (C₁-C₆)alkoxy, (C₂-C₆)alkoxyloxy, (C₁-C₆)haloalkoxy, amino, and NHC(O)O(C₁-C₆)alkyl;

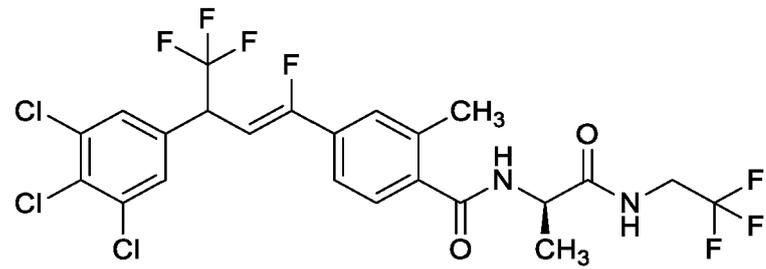
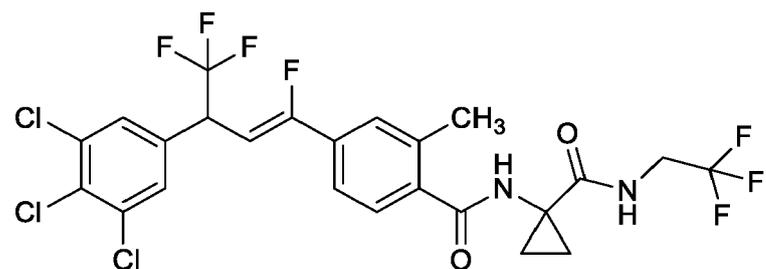
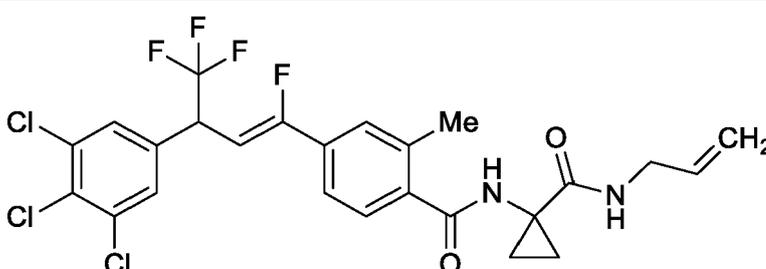
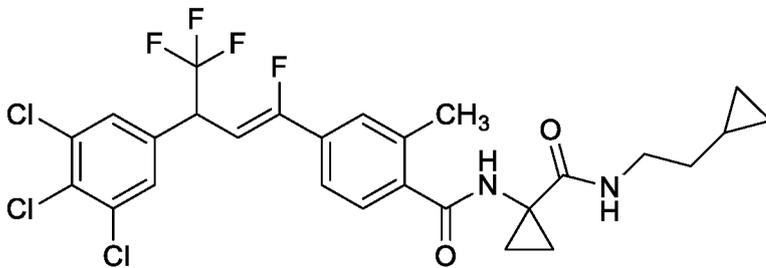
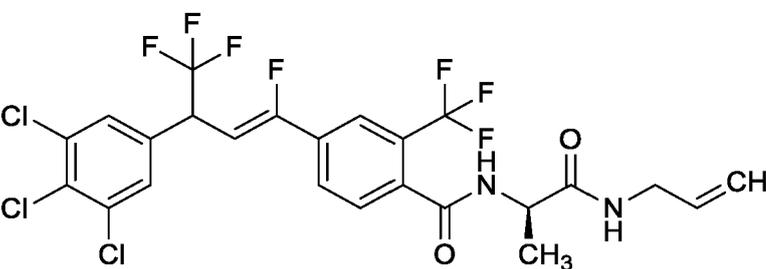
(O) **R¹⁴** and **R¹⁶** together can optionally form a 2- to 4-membered saturated link that is either (1) a hydrocarbyl link or (2) a heterohydrocarbyl link that contains one or more heteroatoms selected from nitrogen, sulfur, and oxygen,

wherein said link may optionally be substituted with one or more substituents independently selected from F, Cl, Br, I, CN, OH, and oxo;

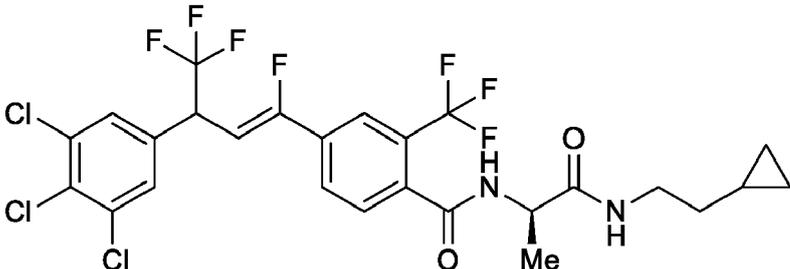
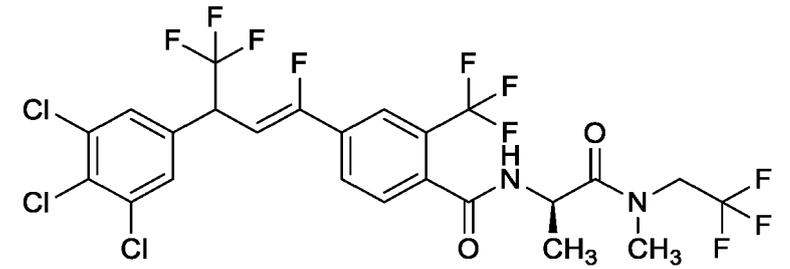
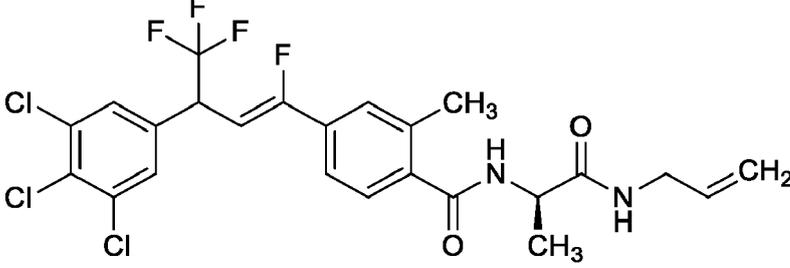
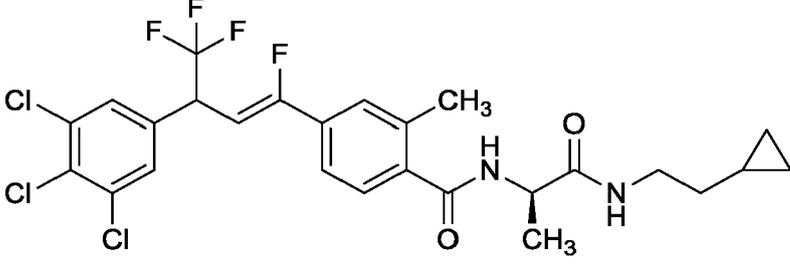
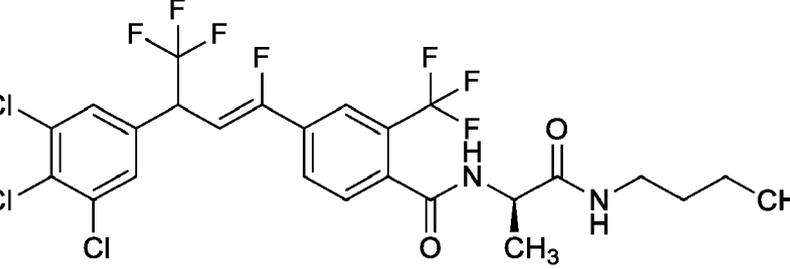
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No.	Structure
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F4	
F5	
F6	

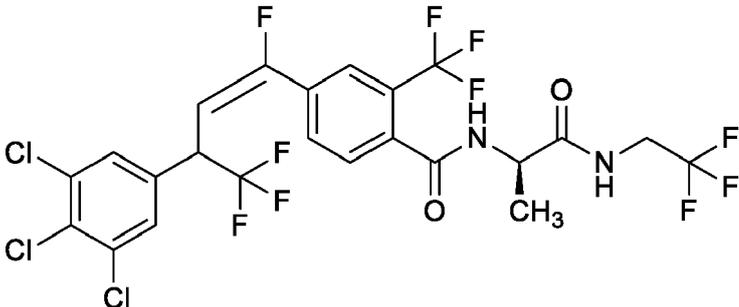
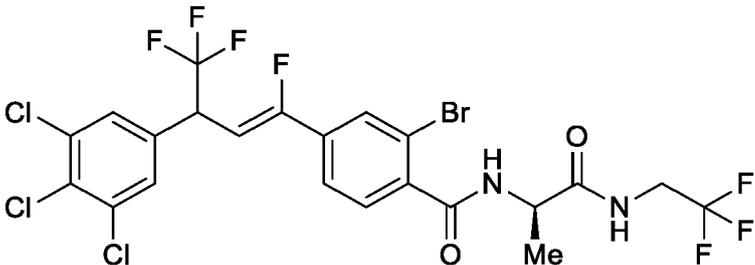
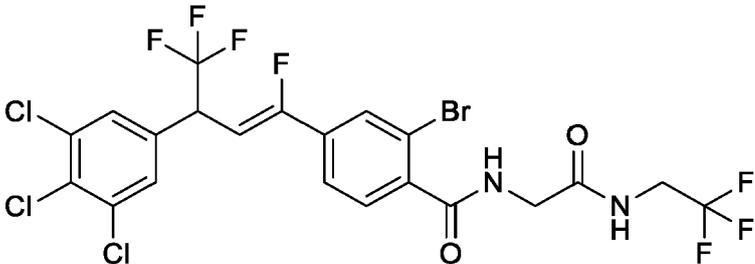
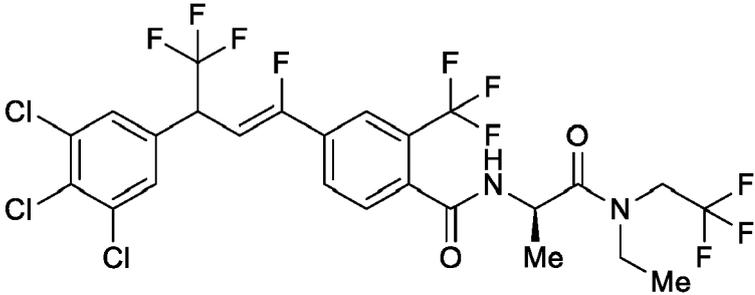
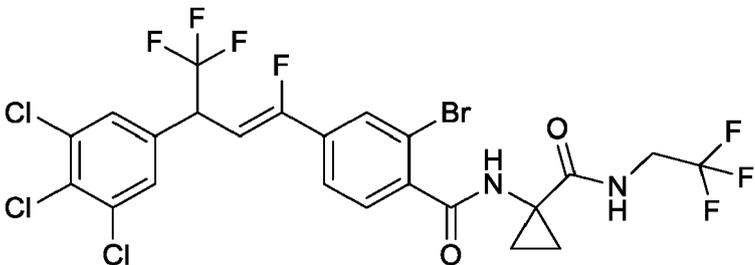
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F9	
F10	
F11	

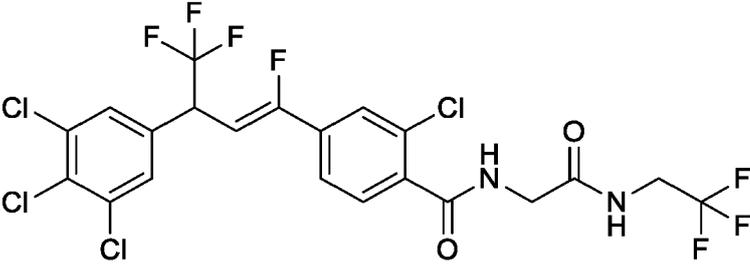
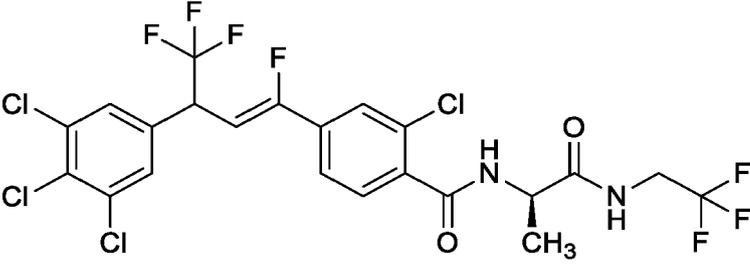
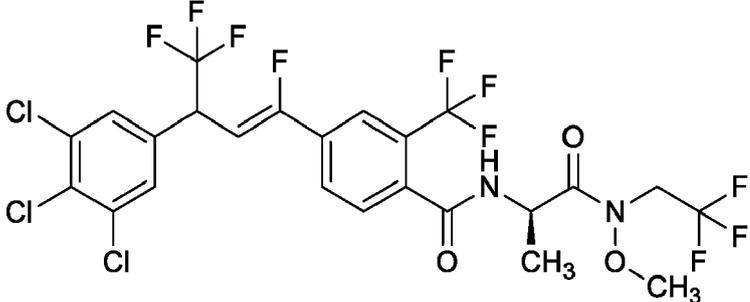
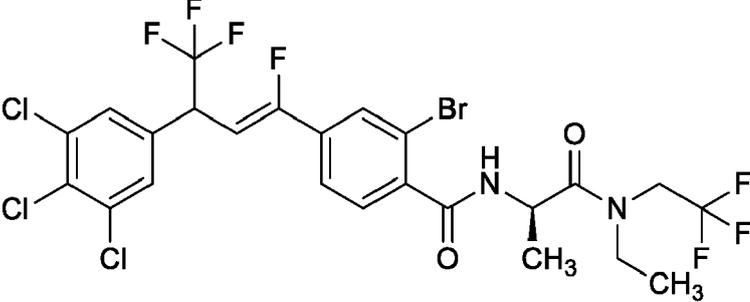
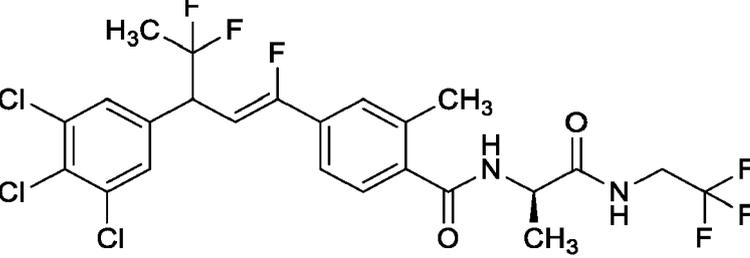
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No.	Structure
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F13	 <chem>Clc1cc(Cl)c(Cl)cc1C(F)(F)F/C=C/Fc1ccc(cc1C(F)(F)F)C(=O)N[C@H](C)C(=O)NCC(F)(F)F</chem>
F14	 <chem>Clc1cc(Cl)c(Cl)cc1C(F)(F)F/C=C/Fc1ccc(cc1C)C(=O)N[C@H](C)C(=O)NCC=C</chem>
F15	 <chem>Clc1cc(Cl)c(Cl)cc1C(F)(F)F/C=C/Fc1ccc(cc1C)C(=O)N[C@H](C)C(=O)NCC1CC1</chem>
F16	 <chem>Clc1cc(Cl)c(Cl)cc1C(F)(F)F/C=C/Fc1ccc(cc1C(F)(F)F)C(=O)N[C@H](C)C(=O)NCCCC</chem>

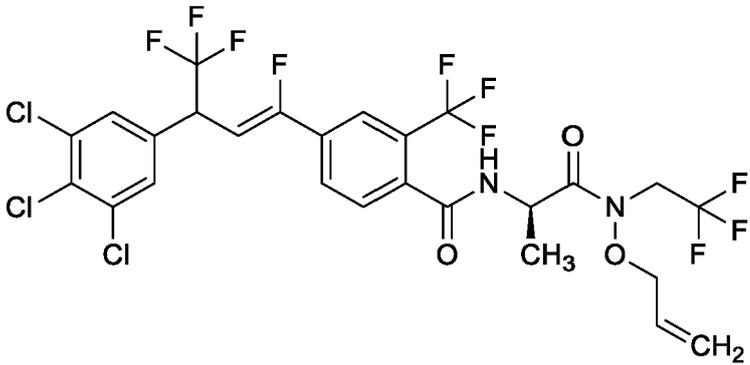
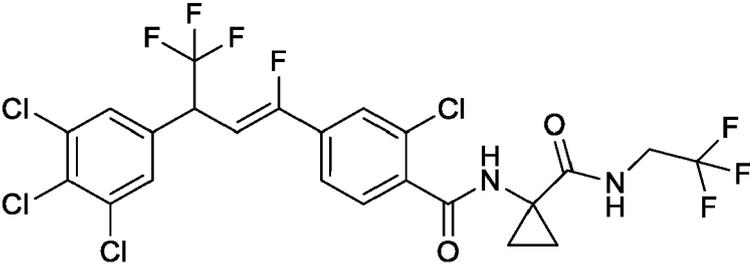
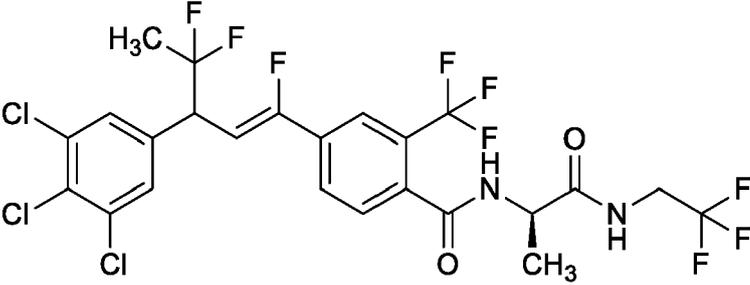
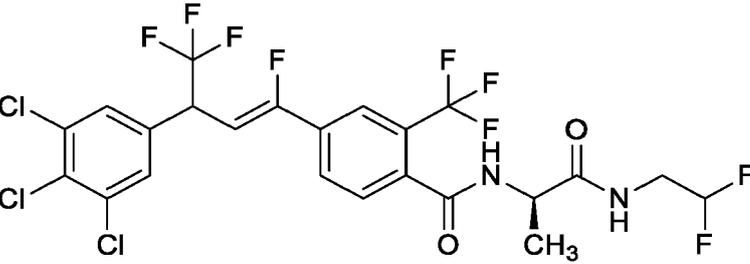
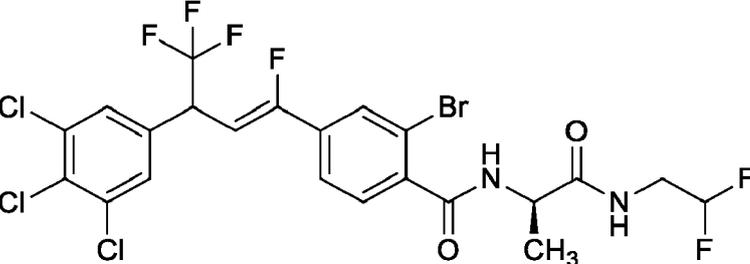
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No.	Structure
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F18	
F19	
F20	
F21	

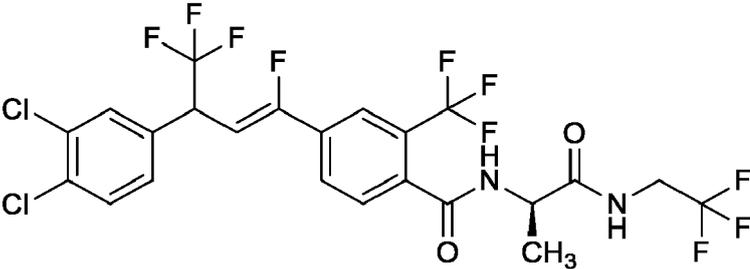
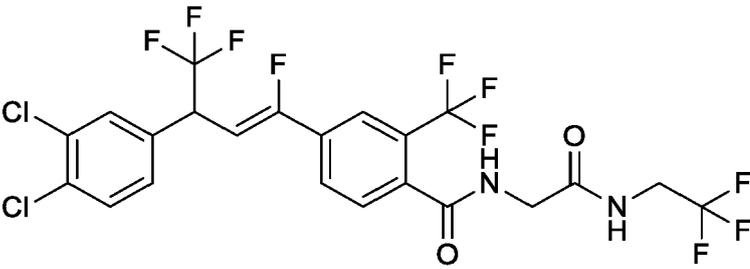
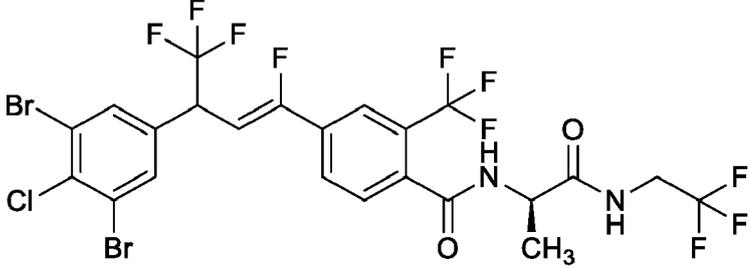
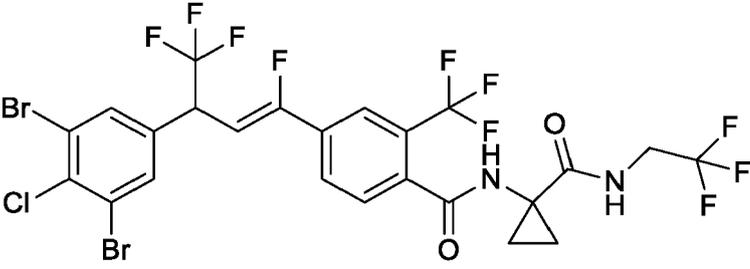
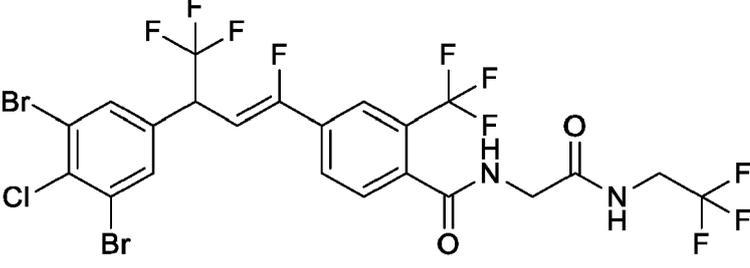
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No.	Structure
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F24	
F25	
F26	

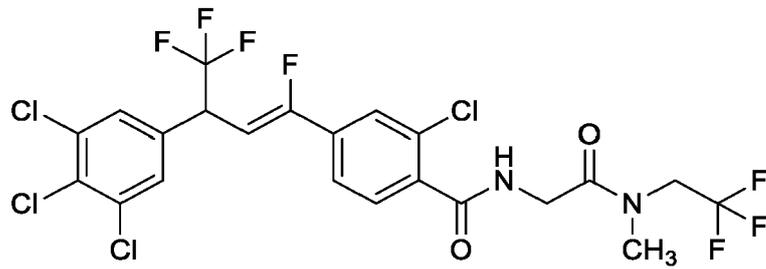
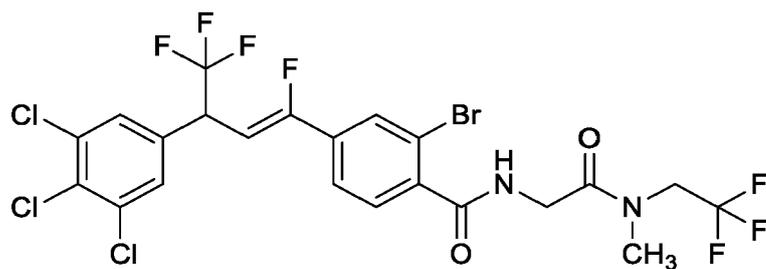
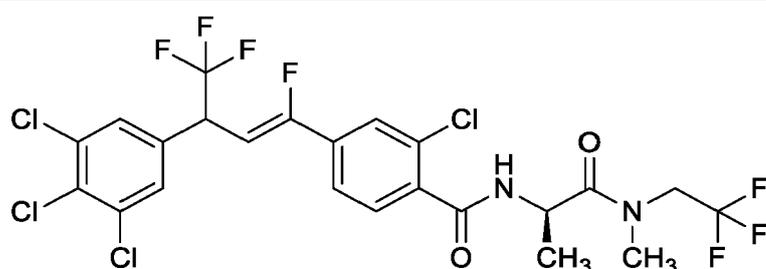
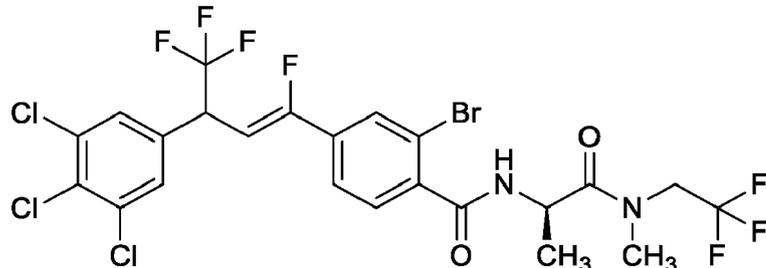
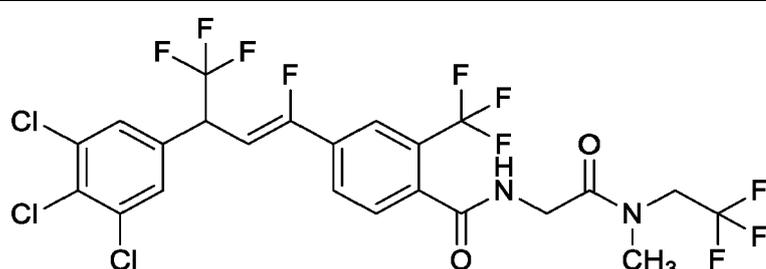
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No.	Structure
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F28	 <chem>Clc1cc(Cl)c(Cl)cc1C(F)(F)C/C=C\Fc1ccc(Cl)cc1C(F)FNC1CC1C(=O)NCC(F)(F)F</chem>
F29	 <chem>CC(F)(F)C1=CC=C(C=C1)C(F)C=Cc1ccc(cc1)C(F)FNC(C)C(=O)NCC(F)(F)F</chem>
F30	 <chem>Clc1cc(Cl)c(Cl)cc1C(F)(F)C/C=C\Fc1ccc(cc1)C(F)FNC(C)C(=O)NCC(F)F</chem>
F31	 <chem>Clc1cc(Cl)c(Cl)cc1C(F)(F)C/C=C\Fc1ccc(Br)cc1C(F)FNC(C)C(=O)NCC(F)F</chem>

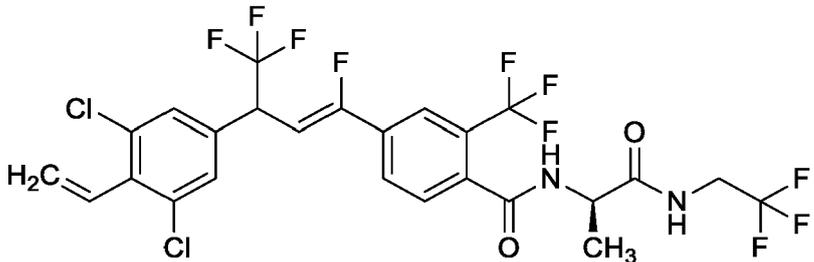
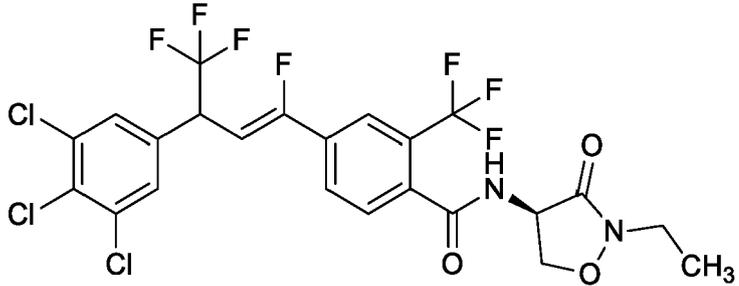
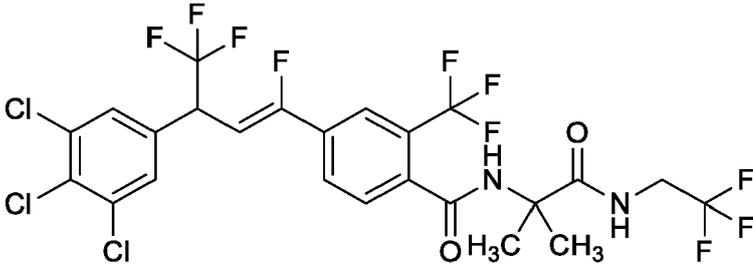
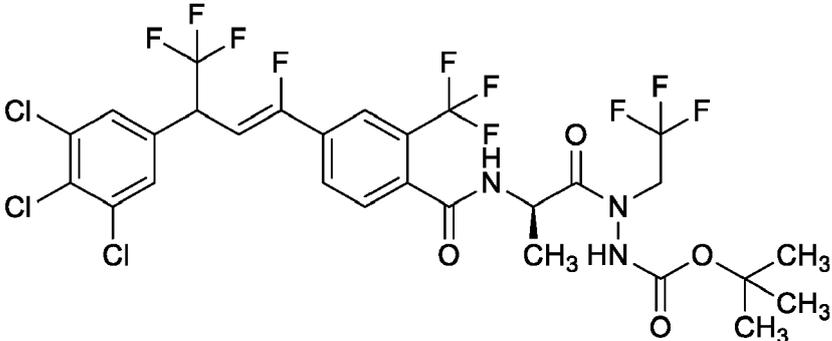
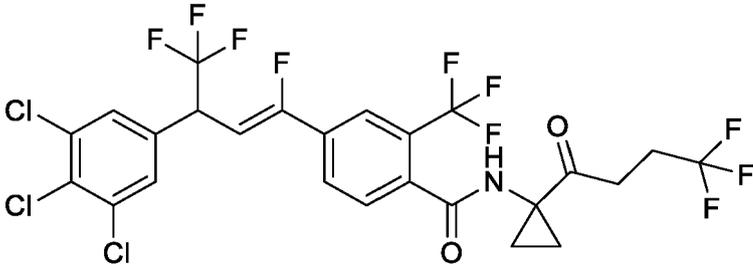
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No.	Structure
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F43	
F44	
F45	
F46	

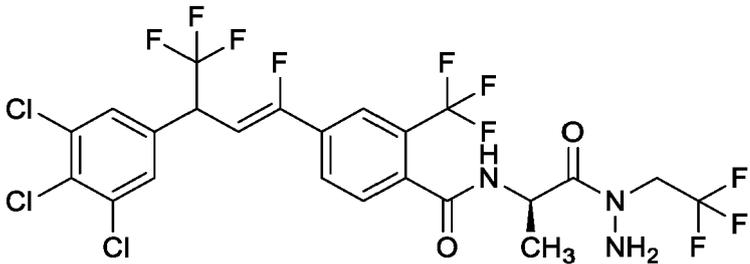
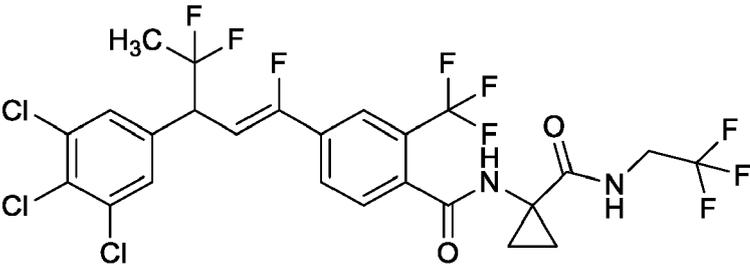
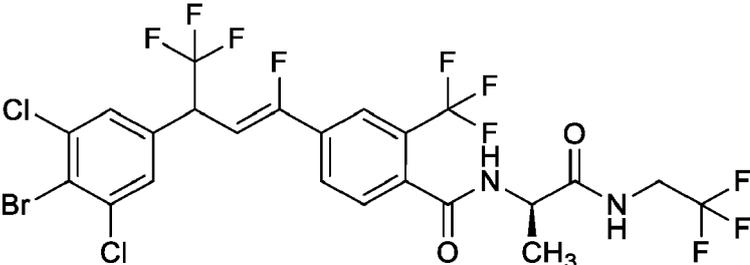
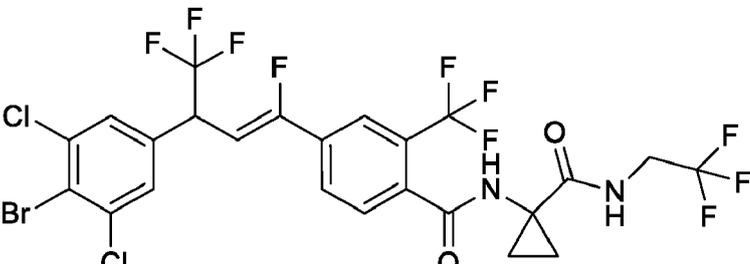
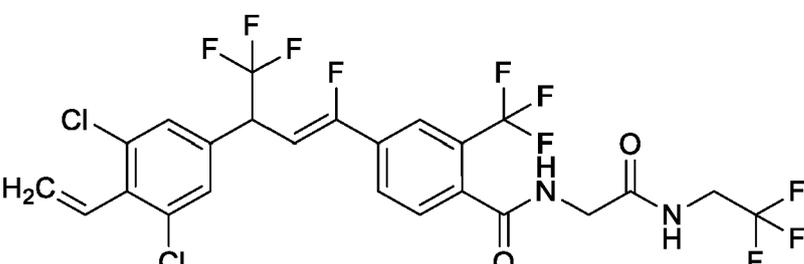
(continued)

No.	Structure
F47	 <chem>Clc1ccc(cc1C=C(C(F)(F)F)C(F)c2cc(Cl)ccc2)NC(=O)CN(C)CC(F)(F)F</chem>
F48	 <chem>Clc1ccc(cc1C=C(C(F)(F)F)C(F)c2cc(Br)ccc2)NC(=O)CN(C)CC(F)(F)F</chem>
F49	 <chem>Clc1ccc(cc1C=C(C(F)(F)F)C(F)c2cc(Cl)ccc2)NC(=O)C[C@H](C)N(C)CC(F)(F)F</chem>
F50	 <chem>Clc1ccc(cc1C=C(C(F)(F)F)C(F)c2cc(Br)ccc2)NC(=O)C[C@H](C)N(C)CC(F)(F)F</chem>
F51	 <chem>Clc1ccc(cc1C=C(C(F)(F)F)C(F)c2cc(F)c(F)cc2)NC(=O)CN(C)CC(F)(F)F</chem>

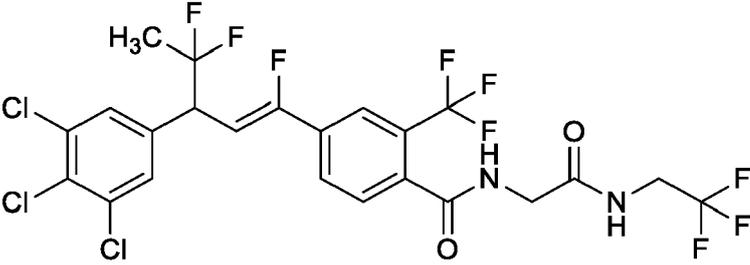
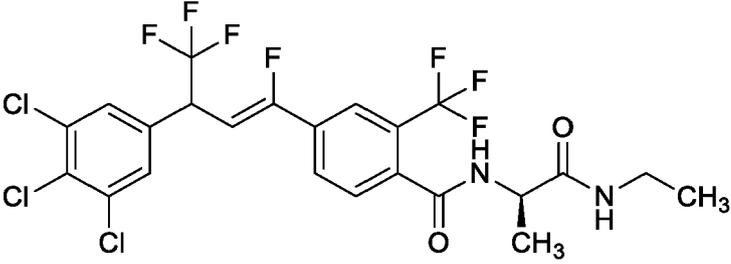
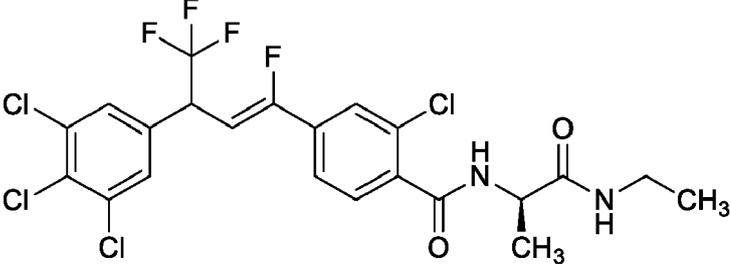
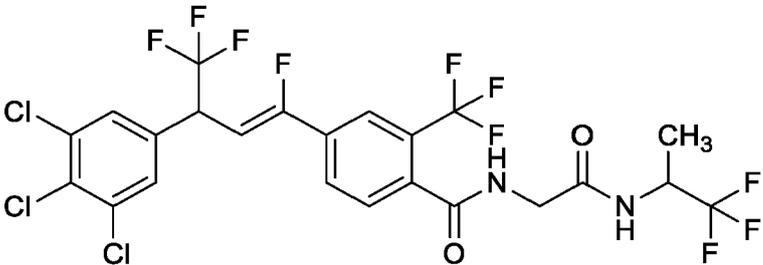
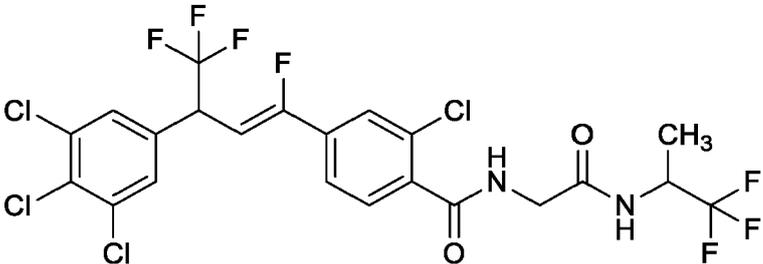
(continued)

No.	Structure
F52	
F53	
F54	
F55	
F56	

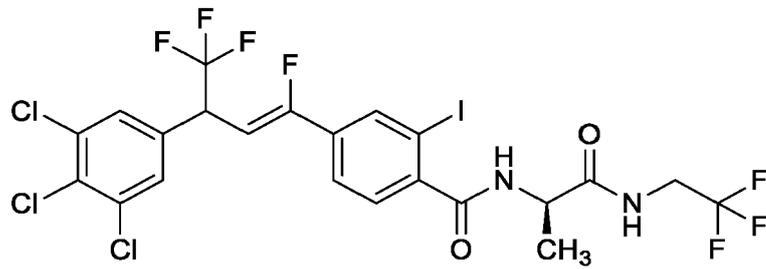
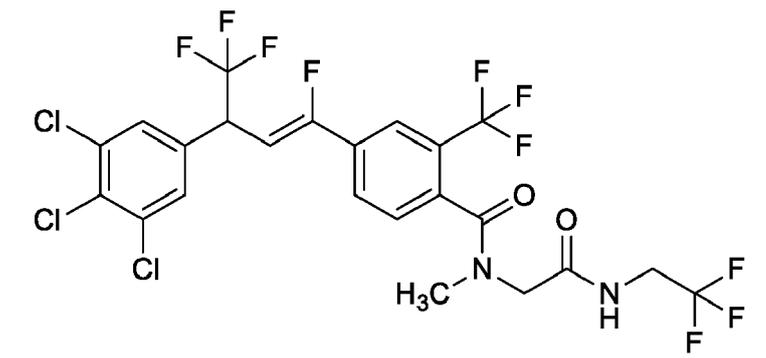
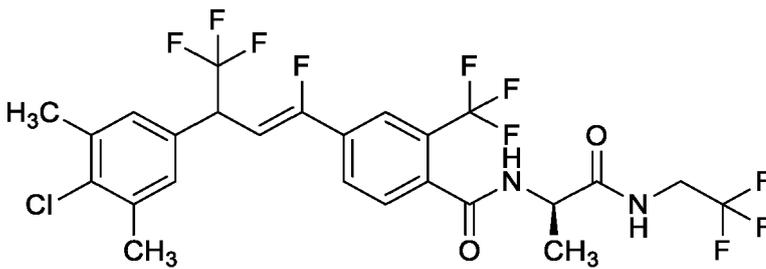
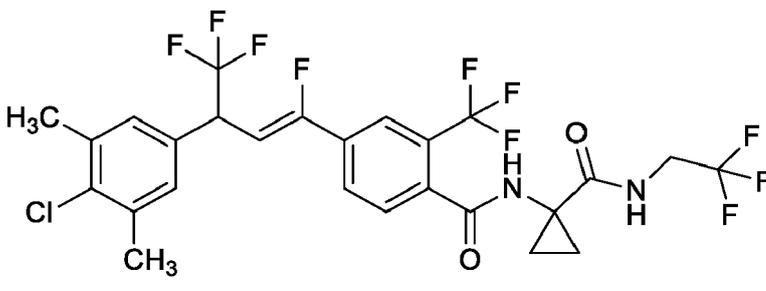
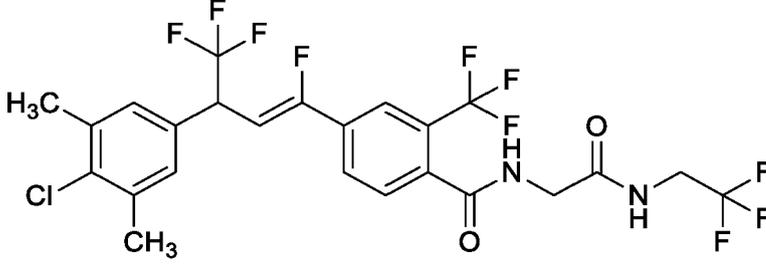
(continued)

No.	Structure
F57	
F58	
F59	
F60	
F61	

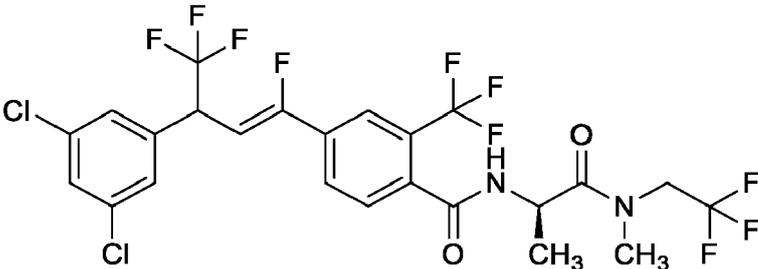
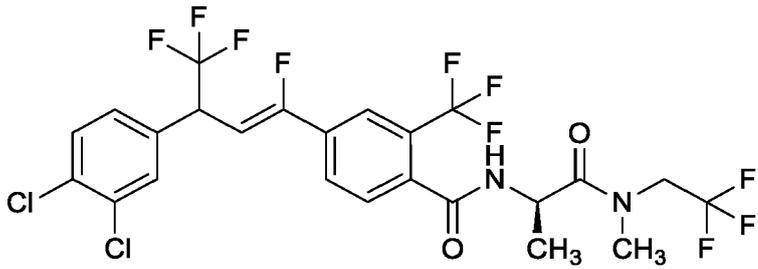
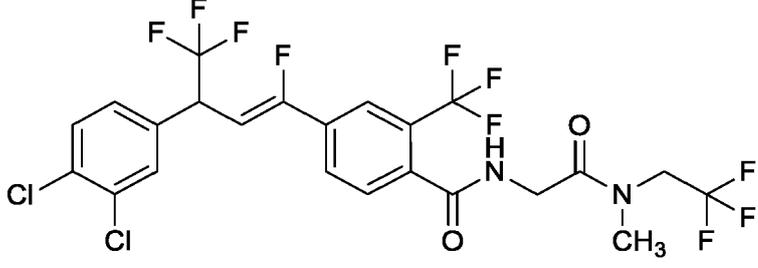
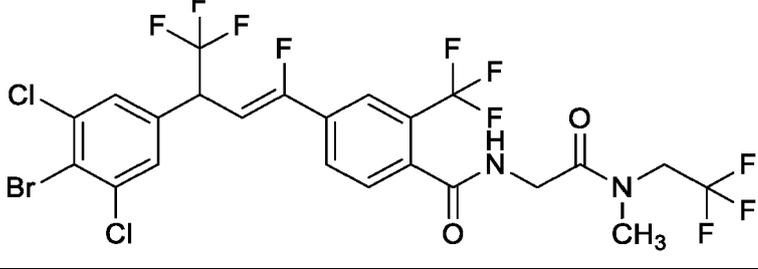
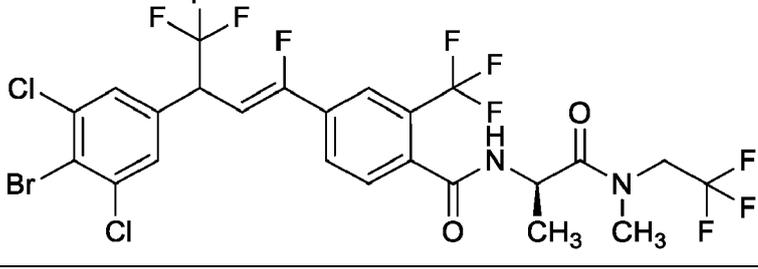
(continued)

No.	Structure
F62	 <chem>CC(F)(F)/C=C/c1ccc(cc1C(F)(F)NCC(=O)NCC(F)(F)F)c2cc(Cl)c(Cl)c(Cl)c2</chem>
F63	 <chem>CC(F)(F)C=C/c1ccc(cc1C(F)(F)NCC(=O)NCC)C2=CC(=CC=C2)F(F)F</chem>
F64	 <chem>CC(F)(F)C=C/c1ccc(cc1C(=O)NCC)C2=CC(=CC=C2)Cl</chem>
F65	 <chem>CC(F)(F)C=C/c1ccc(cc1C(F)(F)NCC(=O)NCC(F)(F)F)c2cc(Cl)c(Cl)c(Cl)c2</chem>
F66	 <chem>CC(F)(F)C=C/c1ccc(cc1C(F)(F)NCC(=O)NCC(F)(F)F)c2cc(Cl)c(Cl)c(Cl)c2</chem>

(continued)

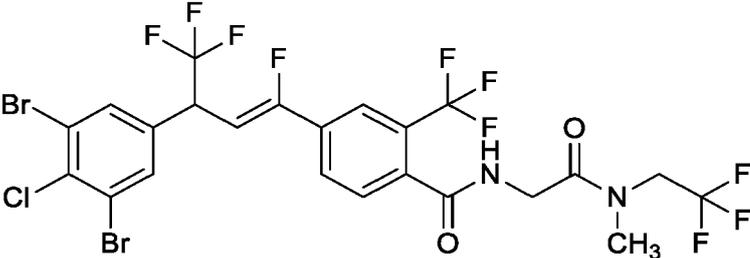
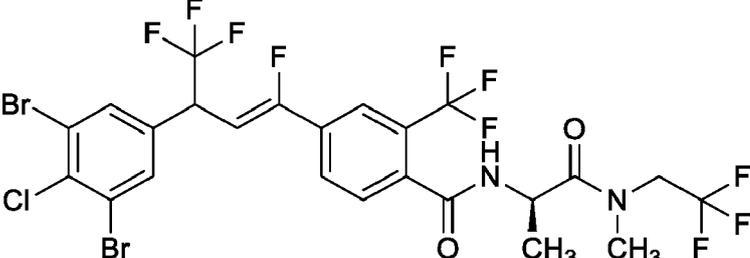
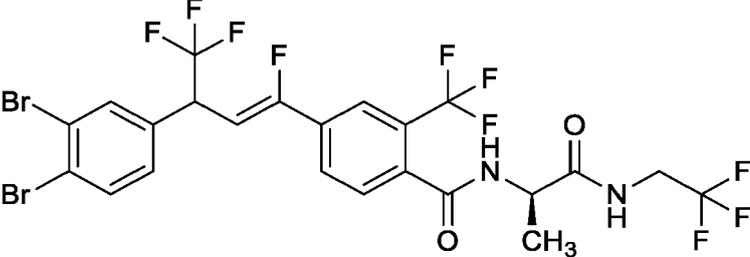
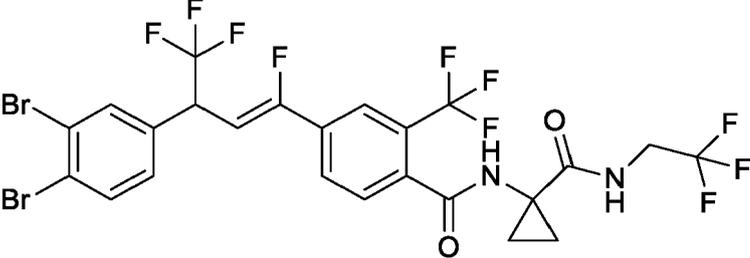
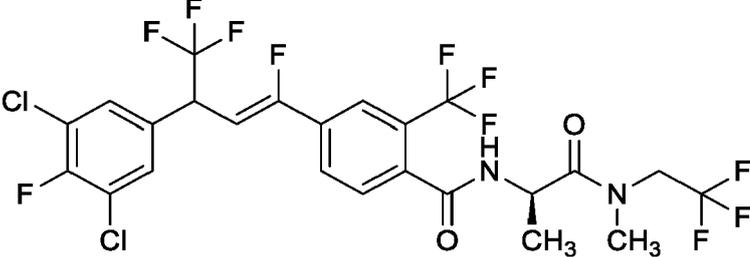
No.	Structure
F67	
F68	
F69	
F70	
F71	

(continued)

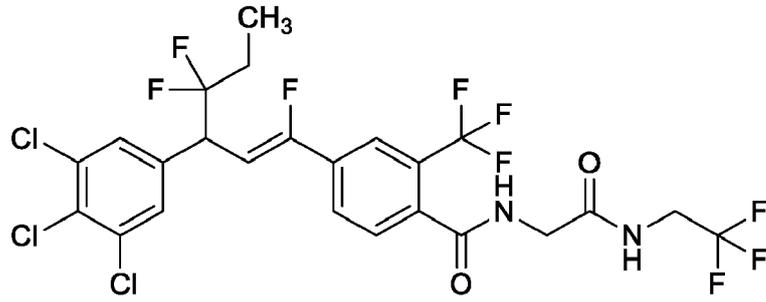
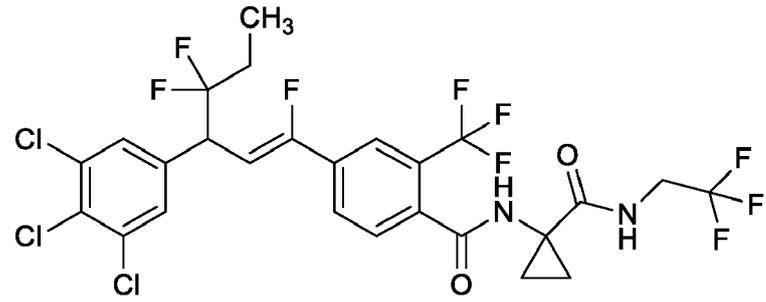
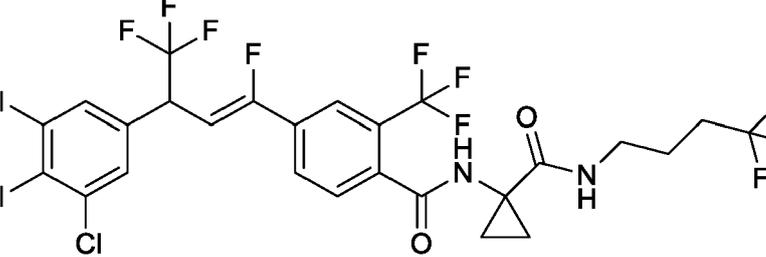
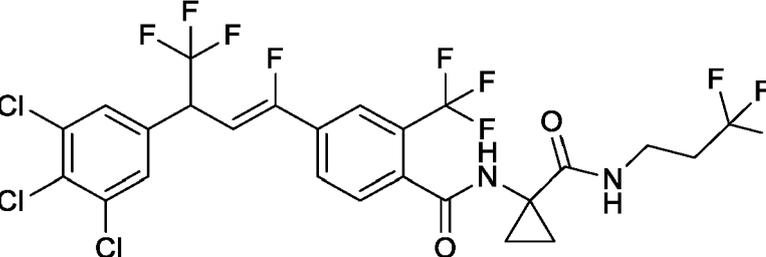
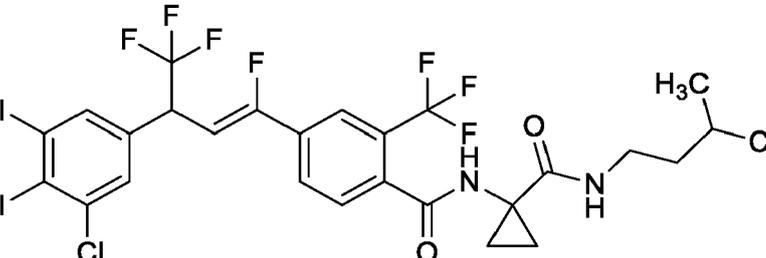
No.	Structure
F72	 <chem>Clc1cc(Cl)cc(C(F)(F)F)c1/C=C/Fc2ccc(C(F)F)c2C(=O)N[C@@H](C)C(=O)N(C)CC(F)(F)F</chem>
F73	 <chem>Clc1cc(Cl)cc(C(F)(F)F)c1/C=C/Fc2ccc(C(F)F)c2C(=O)N[C@@H](C)C(=O)N(C)CC(F)(F)F</chem>
F74	 <chem>Clc1cc(Cl)cc(C(F)(F)F)c1/C=C/Fc2ccc(C(F)F)c2C(=O)NCC(=O)N(C)CC(F)(F)F</chem>
F75	 <chem>Clc1cc(Cl)c(Br)cc1C(F)(F)F/C=C/Fc2ccc(C(F)F)c2C(=O)NCC(=O)N(C)CC(F)(F)F</chem>
F76	 <chem>Clc1cc(Cl)c(Br)cc1C(F)(F)F/C=C/Fc2ccc(C(F)F)c2C(=O)N[C@@H](C)C(=O)N(C)CC(F)(F)F</chem>

55

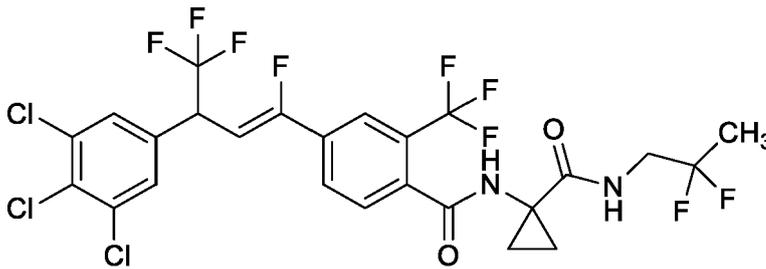
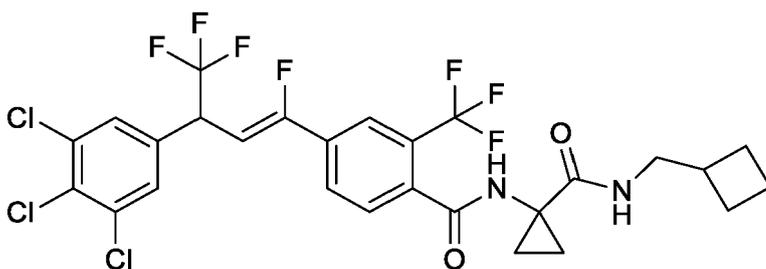
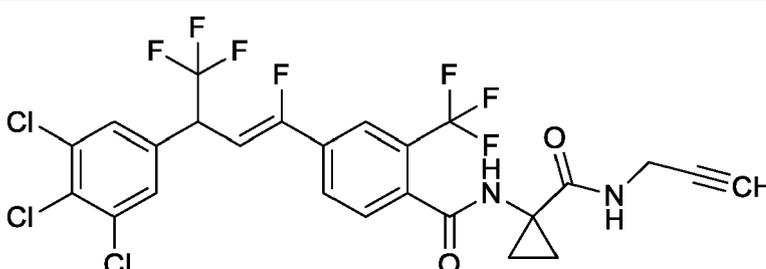
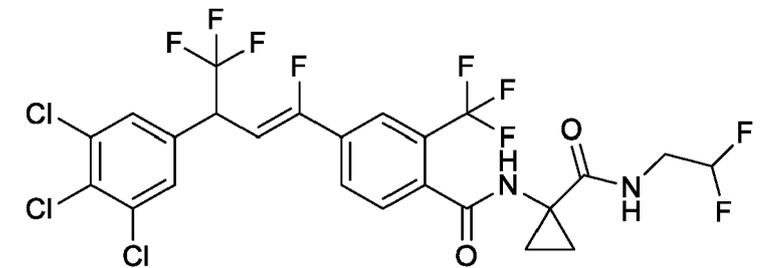
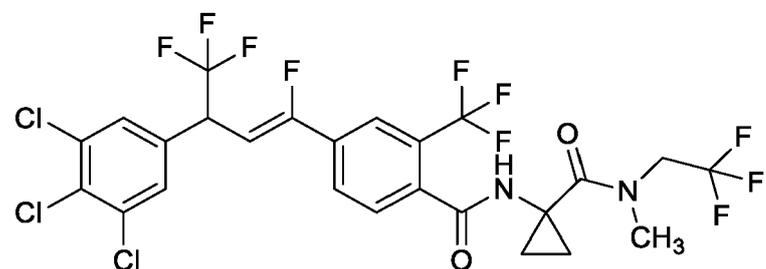
(continued)

No.	Structure
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F78	
F79	
F80	
F81	

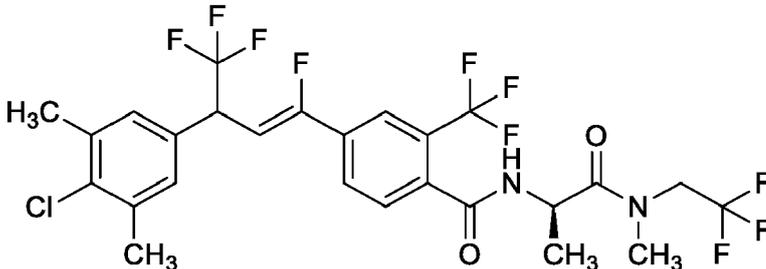
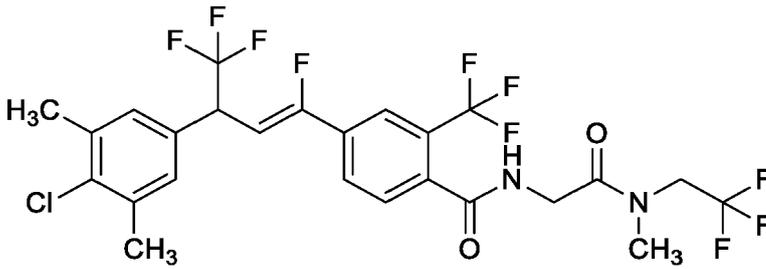
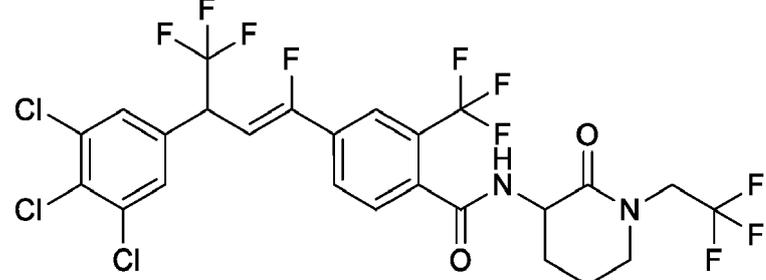
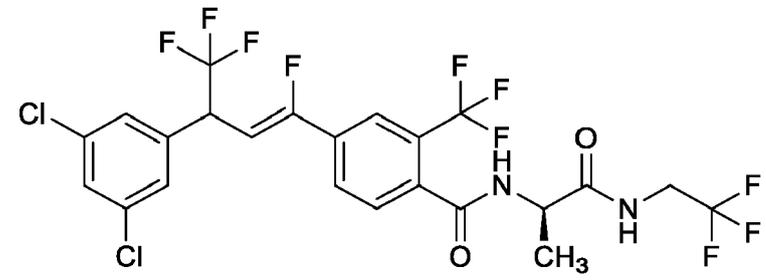
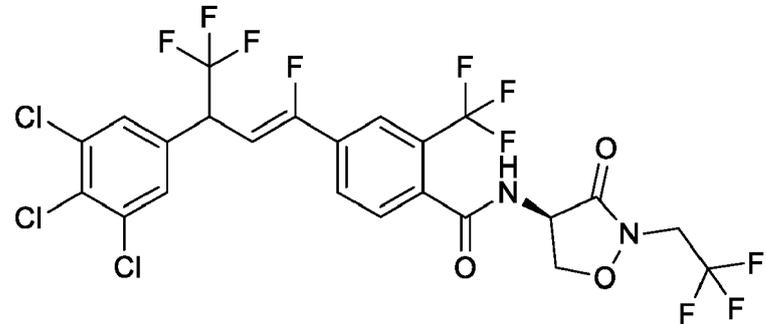
(continued)

No.	Structure
F87	 <chem>CC(F)(F)C1=CC=C(C=C1)N(F)FCC(=O)NCC(F)(F)F</chem>
F88	 <chem>CC(F)(F)C1=CC=C(C=C1)N(F)FCC(=O)N1CC1CC(F)(F)F</chem>
F89	 <chem>CC(F)(F)C1=CC=C(C=C1)N(F)FCC(=O)N1CC1CCCC(F)(F)F</chem>
F90	 <chem>CC(F)(F)C1=CC=C(C=C1)N(F)FCC(=O)N1CC1CC(F)(F)F</chem>
F91	 <chem>CC(F)(F)C1=CC=C(C=C1)N(F)FCC(=O)N1CC1CC(C)C</chem>

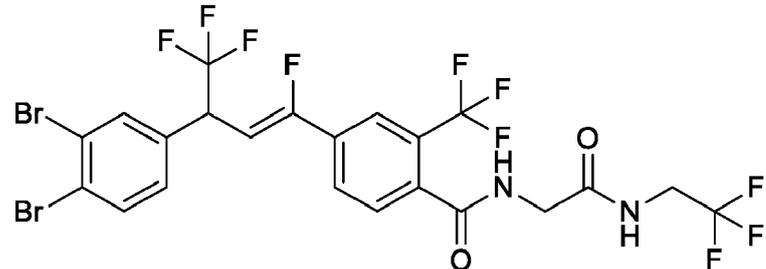
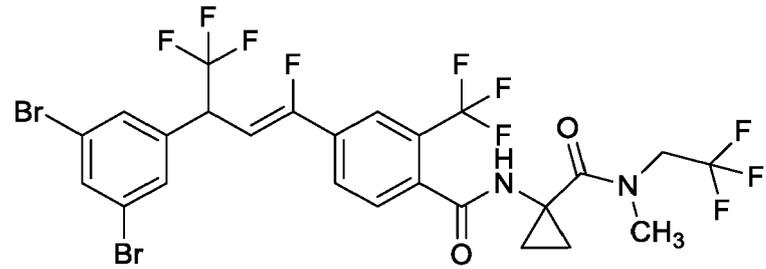
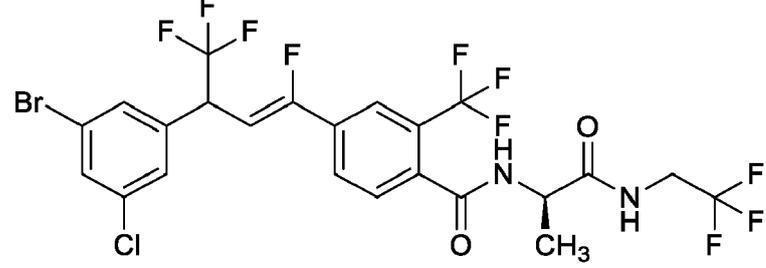
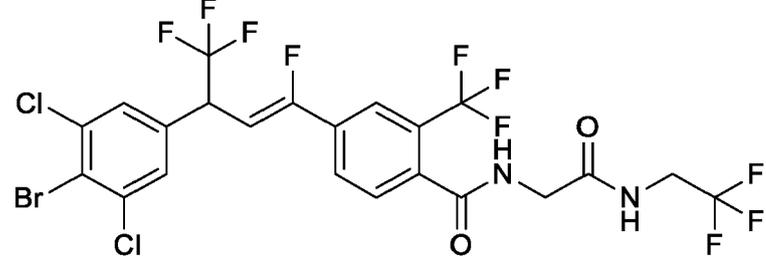
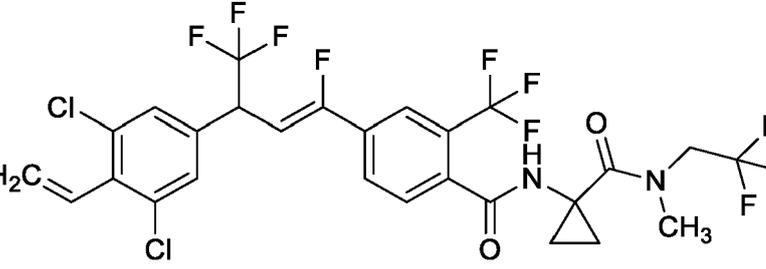
(continued)

No.	Structure
F92	 <chem>CC(F)FNC(=O)C1CC1Nc2c(F)c(F)c(C=C(C(F)(F)F)c3cc(Cl)c(Cl)c(Cl)c3)c4ccc(F)c(F)c4=O</chem>
F93	 <chem>C1CCC1CNc2c(F)c(F)c(C=C(C(F)(F)F)c3cc(Cl)c(Cl)c(Cl)c3)c4ccc(F)c(F)c4=O</chem>
F94	 <chem>C#CCNc2c(F)c(F)c(C=C(C(F)(F)F)c3cc(Cl)c(Cl)c(Cl)c3)c4ccc(F)c(F)c4=O</chem>
F95	 <chem>CC(F)FNC(=O)C1CC1Nc2c(F)c(F)c(C=C(C(F)(F)F)c3cc(Cl)c(Cl)c(Cl)c3)c4ccc(F)c(F)c4=O</chem>
F96	 <chem>CC(F)(F)CNC(=O)C1CC1Nc2c(F)c(F)c(C=C(C(F)(F)F)c3cc(Cl)c(Cl)c(Cl)c3)c4ccc(F)c(F)c4=O</chem>

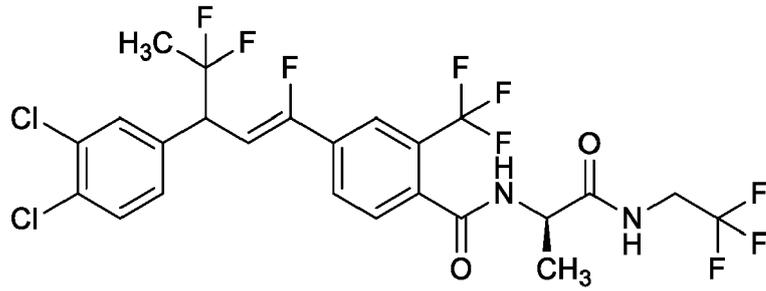
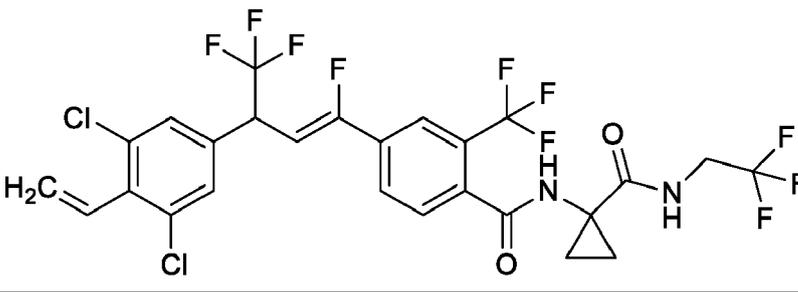
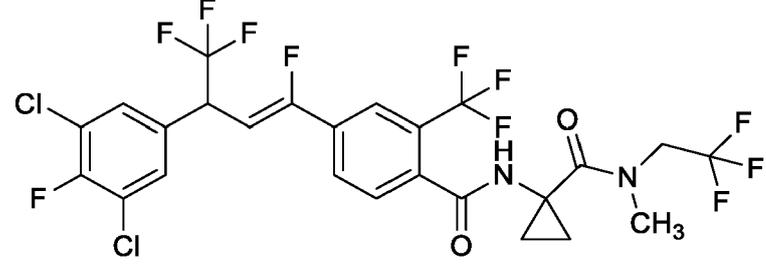
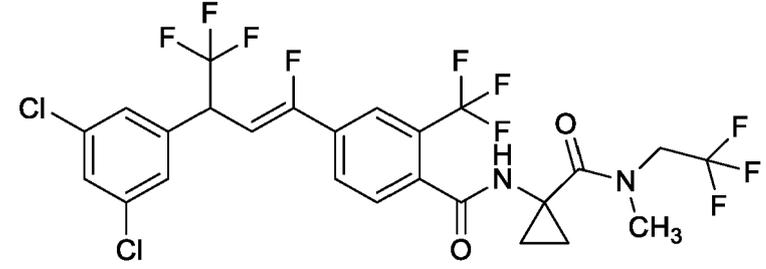
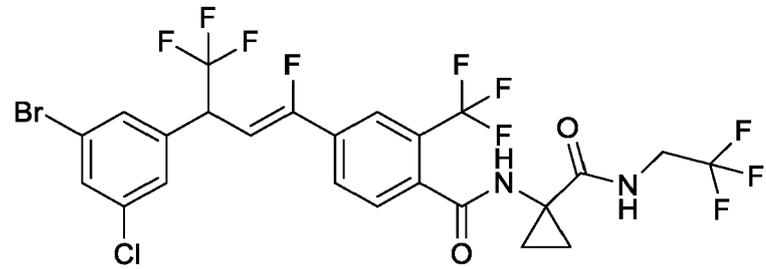
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No.	Structure
F102	 <chem>Cc1cc(Cl)c(C)c1C(C(F)(F)F)CC=Cc1ccc(cc1)C(F)(F)Nc2cc(F)c(F)cc2C(F)(F)N(C)C(F)(F)F</chem>
F103	 <chem>Cc1cc(Cl)c(C)c1C(C(F)(F)F)CC=Cc1ccc(cc1)C(F)(F)Nc2cc(F)c(F)cc2C(F)(F)N(C)C(F)(F)F</chem>
F104	 <chem>Clc1cc(Cl)c(Cl)c1C(C(F)(F)F)CC=Cc1ccc(cc1)C(F)(F)Nc2cc(F)c(F)cc2C(F)(F)N(C)C(F)(F)F</chem>
F105	 <chem>Cc1cc(Cl)c(Cl)c1C(C(F)(F)F)CC=Cc1ccc(cc1)C(F)(F)Nc2cc(F)c(F)cc2C(F)(F)N(C)C(F)(F)F</chem>
F106	 <chem>Clc1cc(Cl)c(Cl)c1C(C(F)(F)F)CC=Cc1ccc(cc1)C(F)(F)Nc2cc(F)c(F)cc2C(F)(F)N(C)C(F)(F)F</chem>

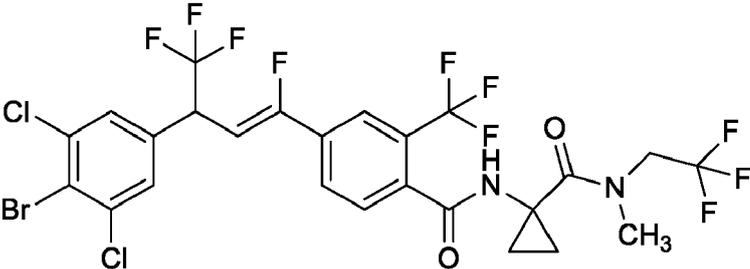
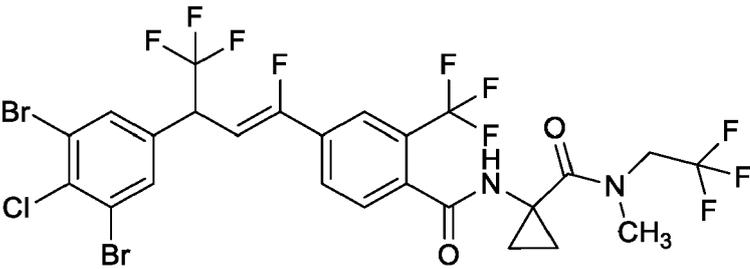
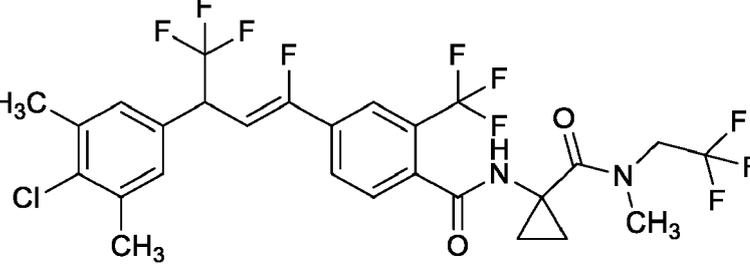
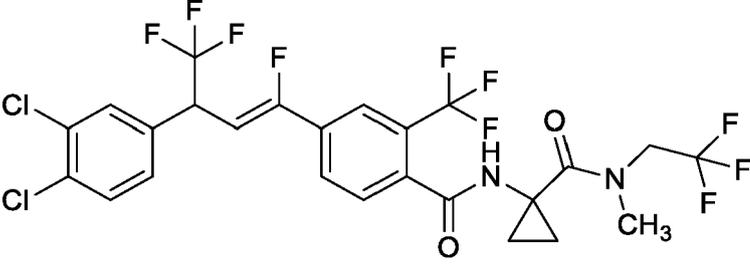
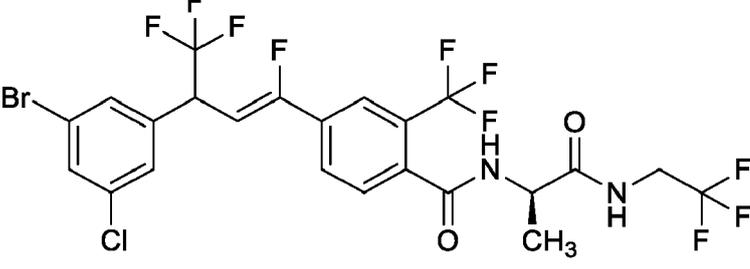
(continued)

No.	Structure
F107	
F108	
F109	
F110	
F111	

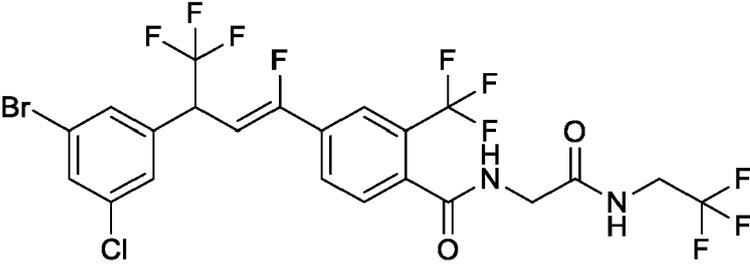
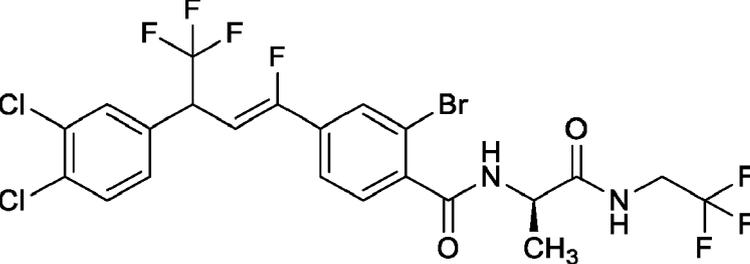
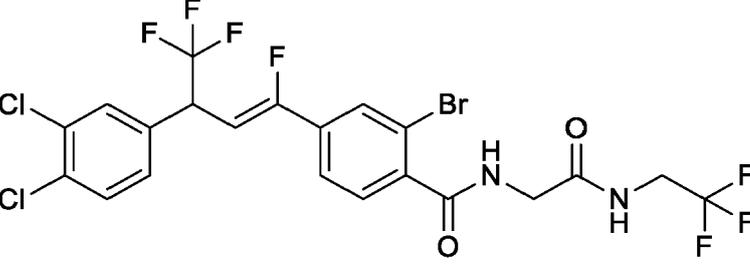
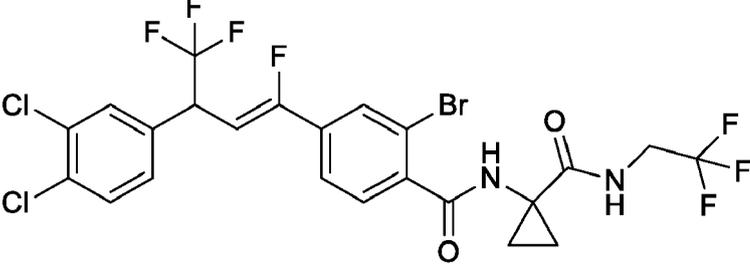
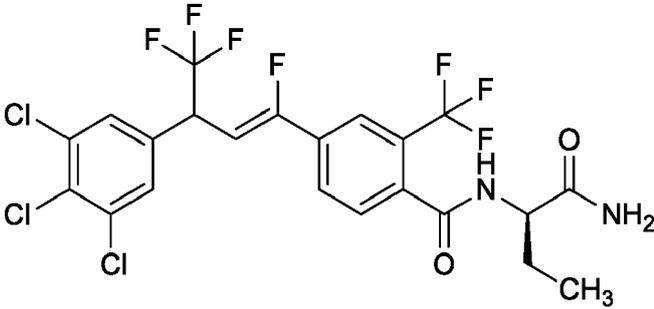
(continued)

No.	Structure
F112	
F113	
F114	
F115	
F116	

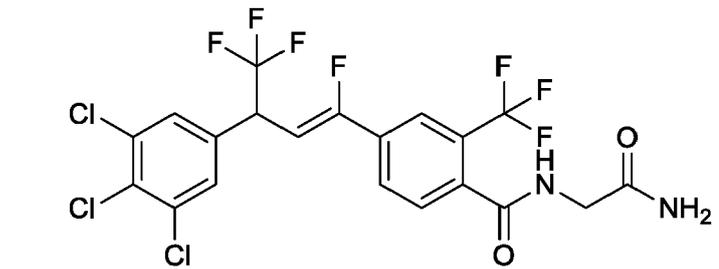
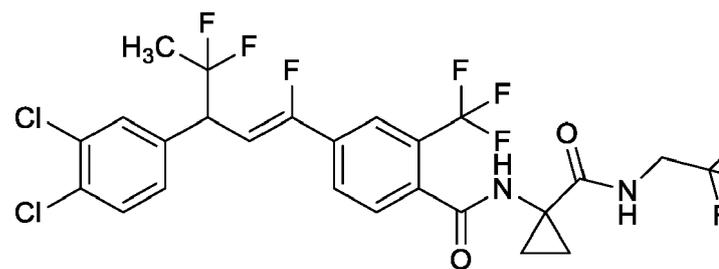
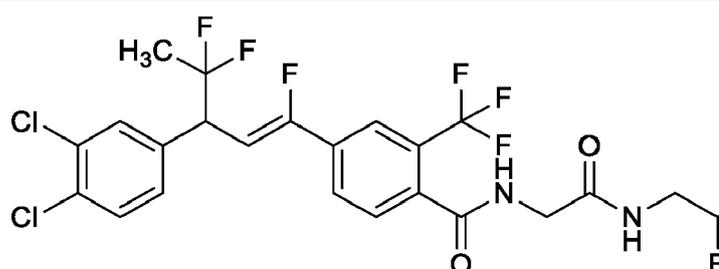
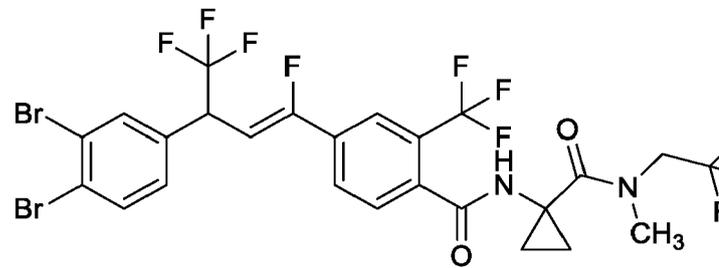
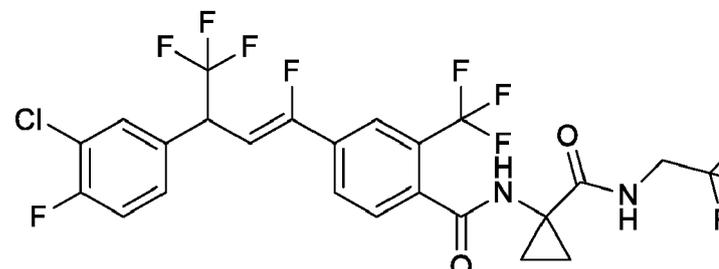
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No.	Structure
F117	
F118	
F119	
F120	
F121	

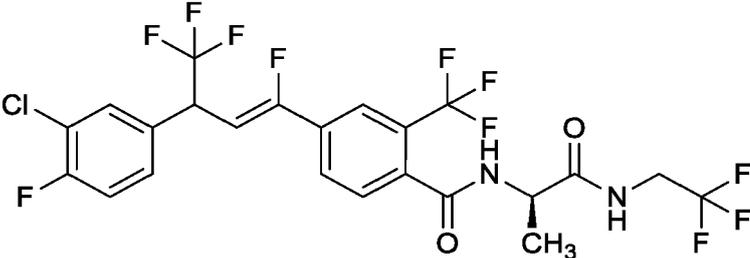
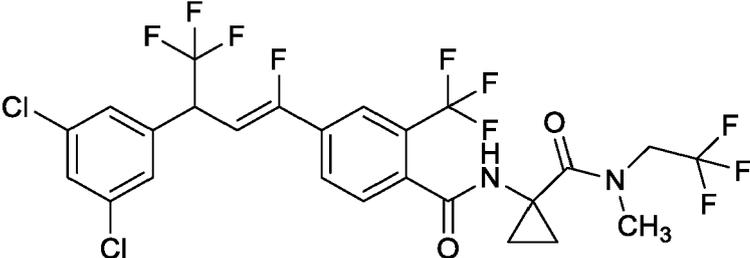
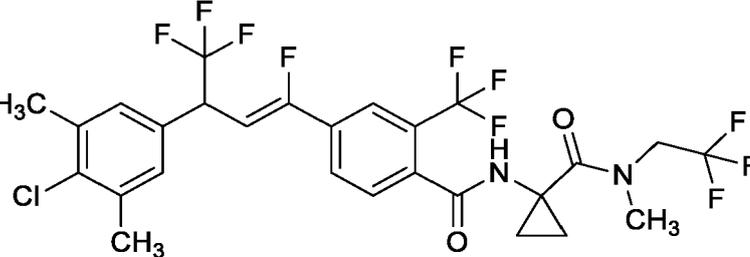
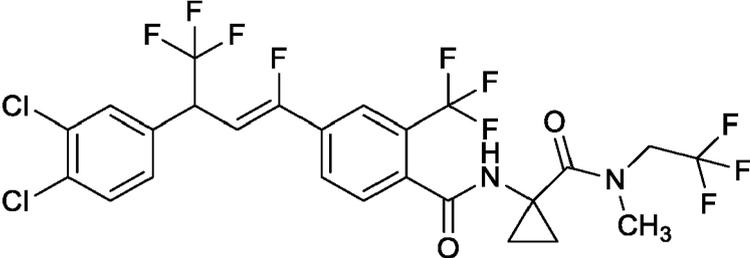
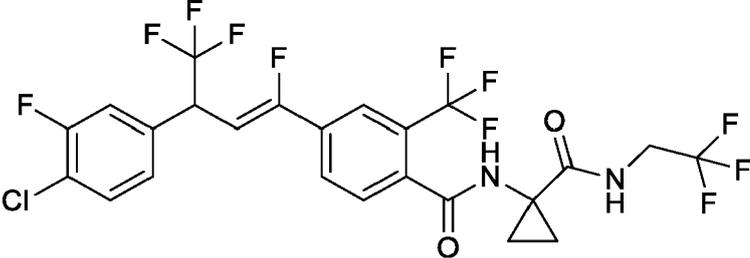
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No.	Structure
F122	
F123	
F124	
F125	
F126	

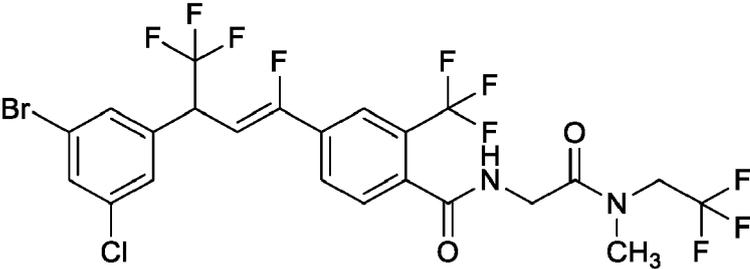
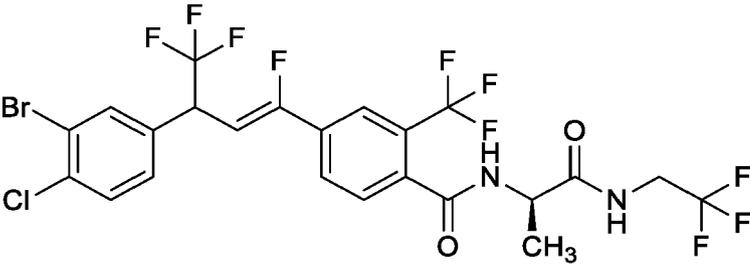
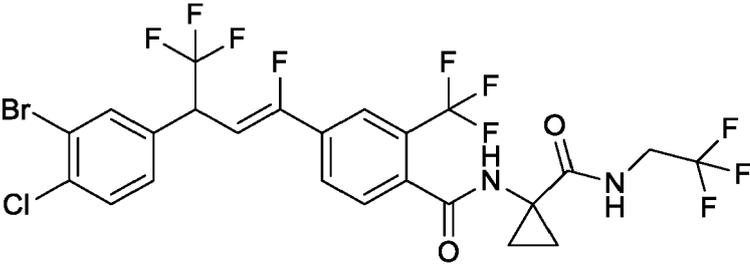
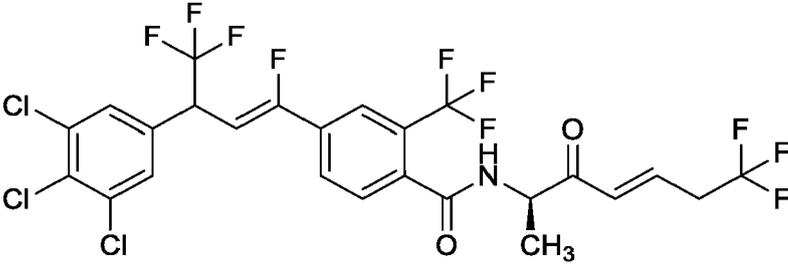
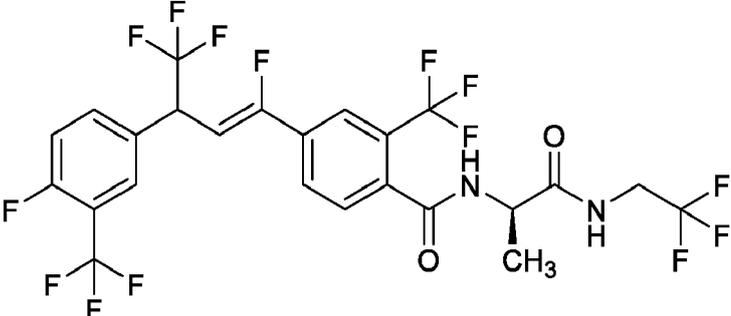
(continued)

No.	Structure
F127	 <chem>NC(=O)CNc1c(F)c(F)cc(C=C(C(F)(F)F)c2cc(Cl)c(Cl)c(Cl)c2)c1</chem>
F128	 <chem>NC(=O)N(C1CC1)c2c(F)c(F)cc(C=C(C(F)F)C3=CC(Cl)C(Cl)=C3)c2</chem>
F129	 <chem>NC(=O)NCCc2c(F)c(F)cc(C=C(C(F)F)C3=CC(Cl)C(Cl)=C3)c2</chem>
F130	 <chem>CN(C1CC1)C(=O)NCCc2c(F)c(F)cc(C=C(C(F)F)C3=CC(Br)C(Br)=C3)c2</chem>
F131	 <chem>NC(=O)N(C1CC1)c2c(F)c(F)cc(C=C(C(F)F)C3=CC(Cl)C(F)=C3)c2</chem>

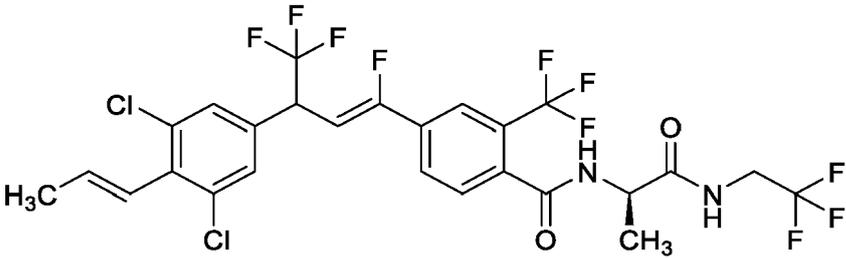
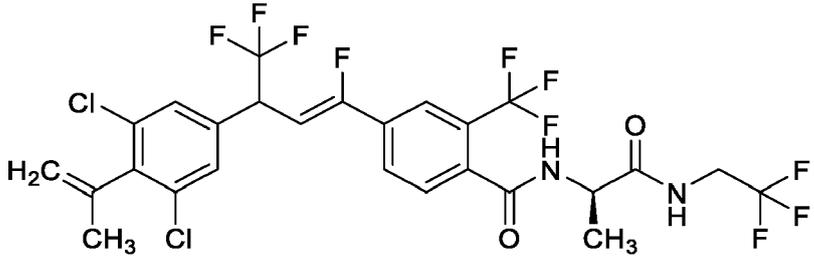
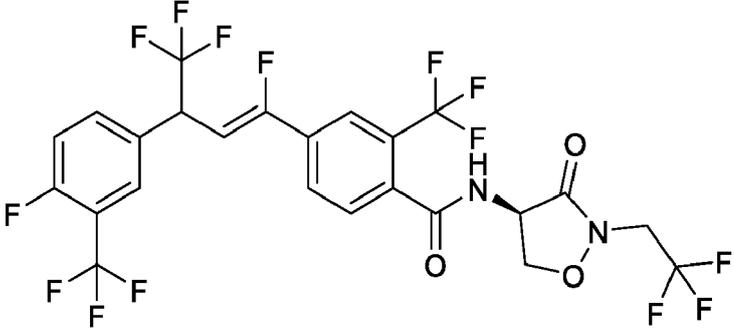
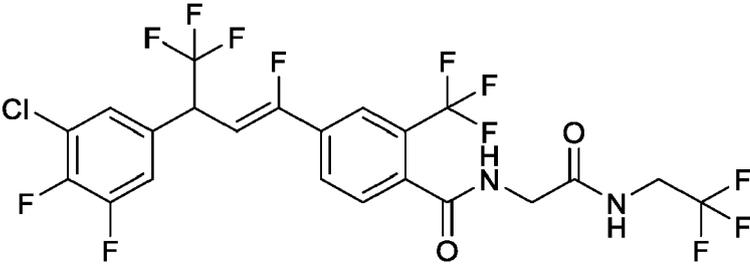
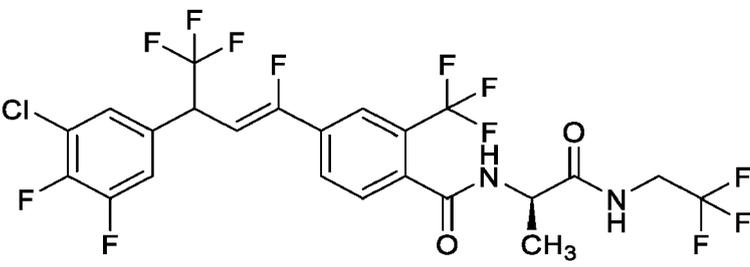
(continued)

No.	Structure
F132	
F133	
F134	
F135	
F136	

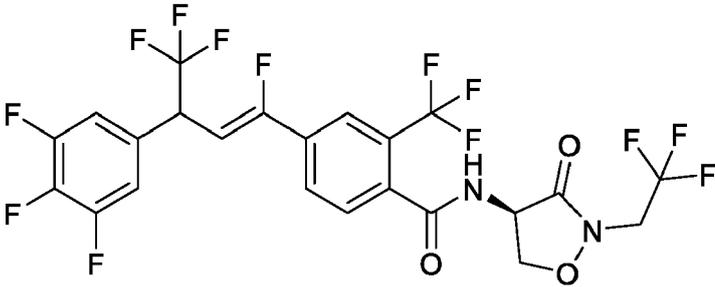
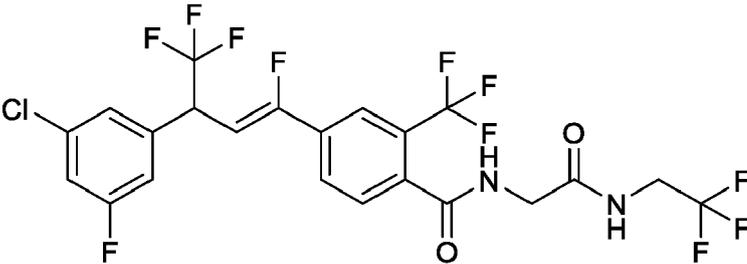
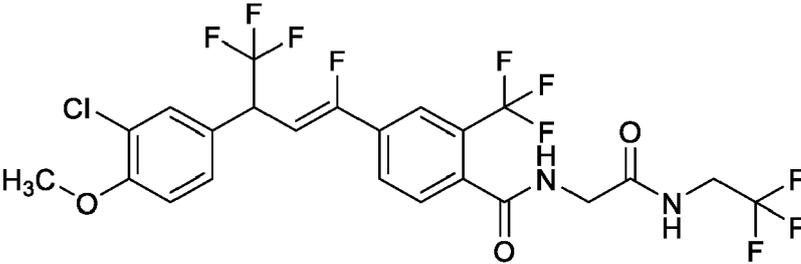
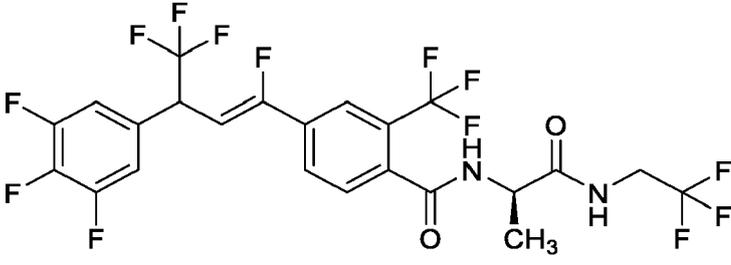
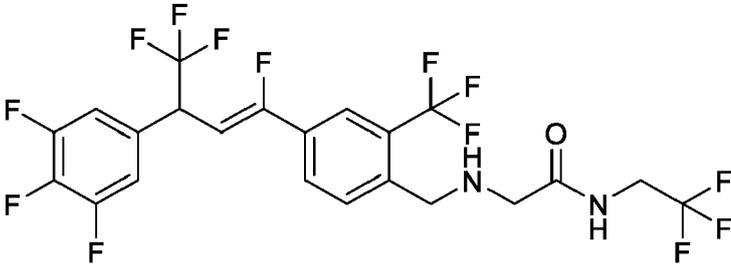
(continued)

No.	Structure
F137	
F138	
F139	
F140	
F141	

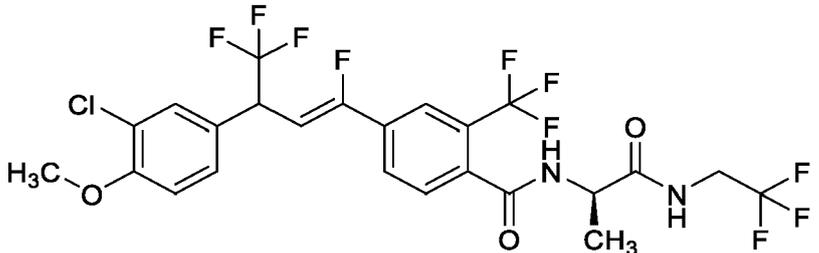
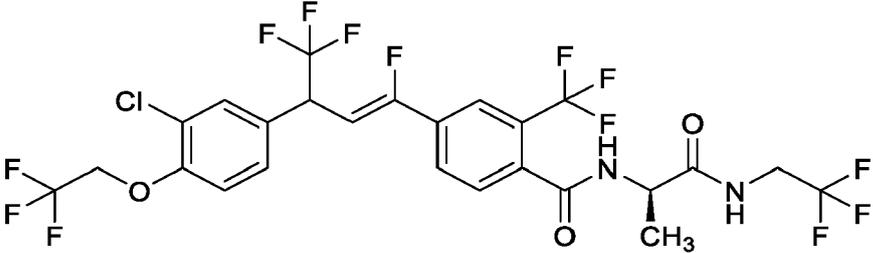
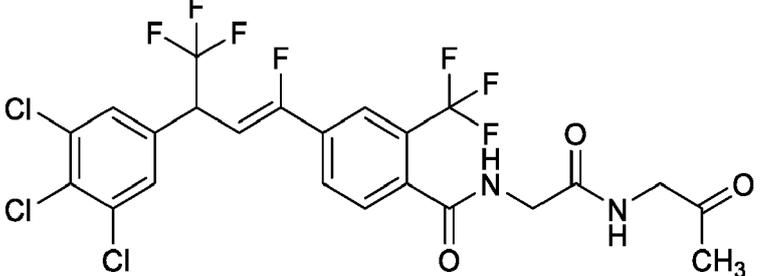
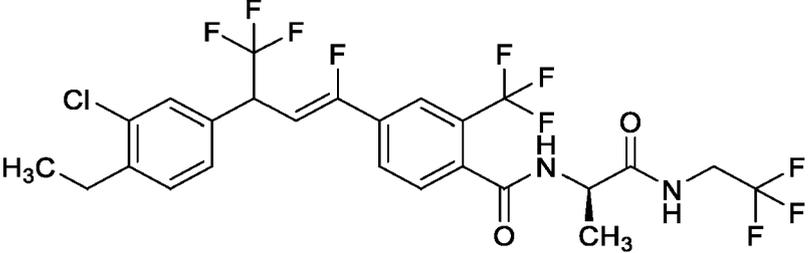
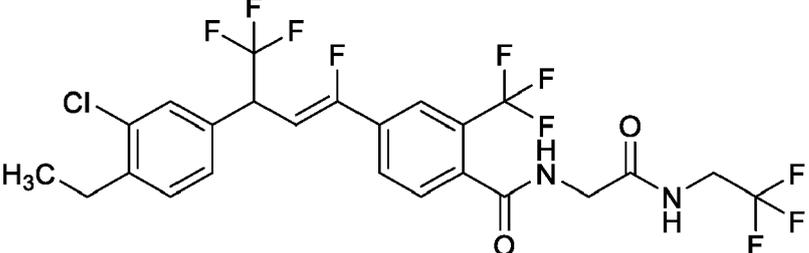
(continued)

No.	Structure
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F148	
F150	
F153	
F154	

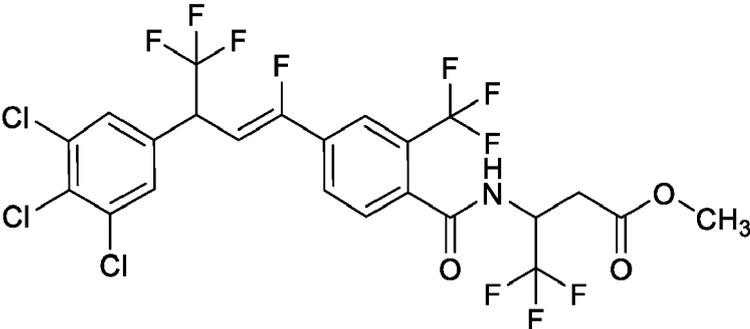
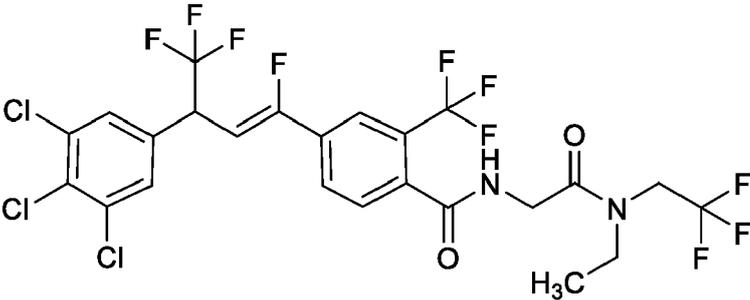
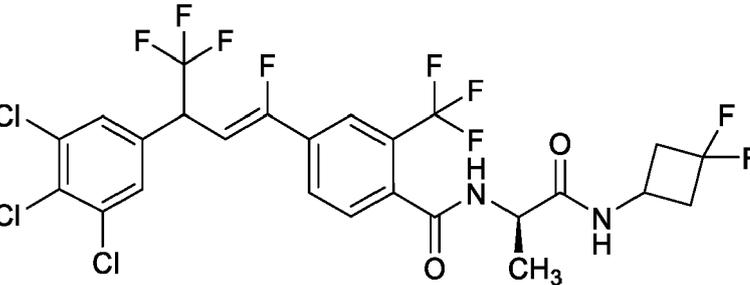
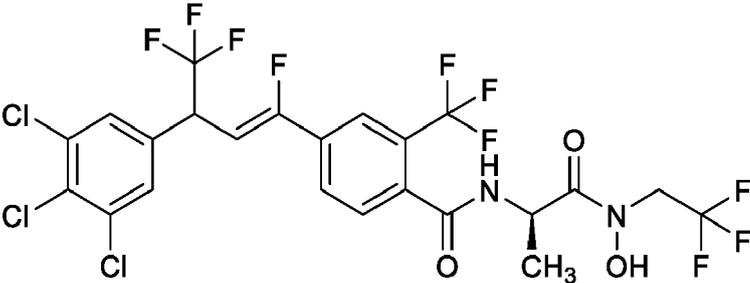
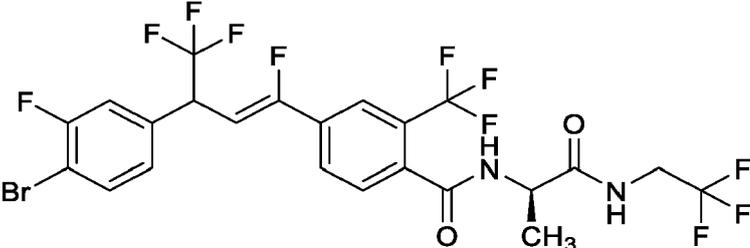
(continued)

No.	Structure
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F157	
F158	
F159	
F160	

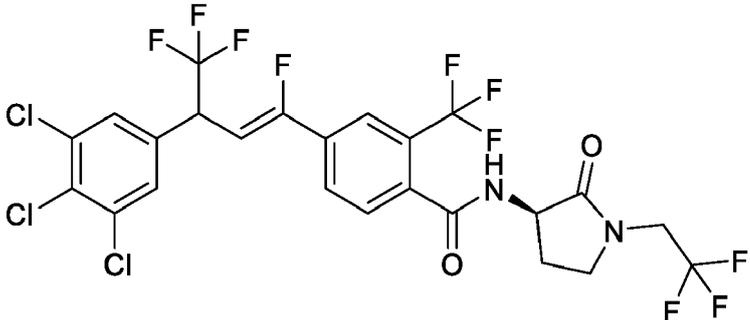
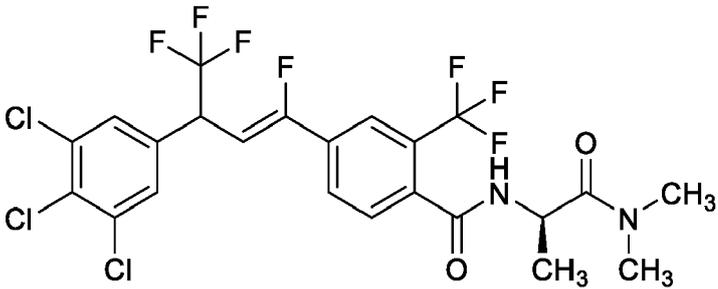
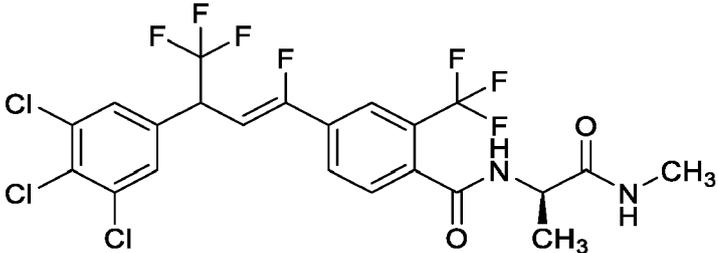
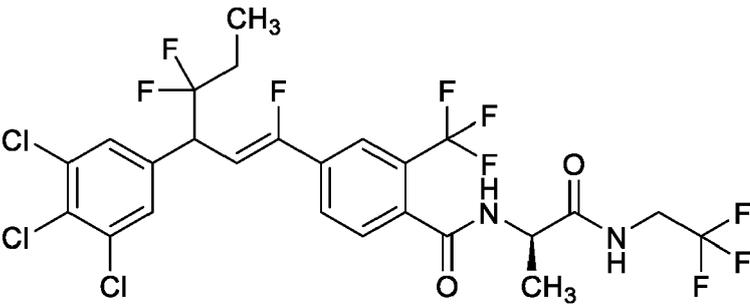
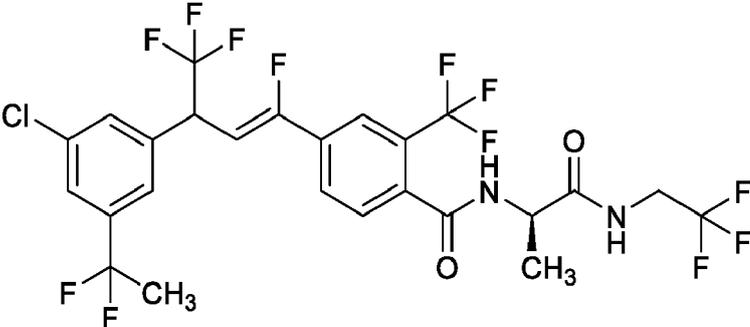
(continued)

No.	Structure
F161	
F162	
F163	
F164	
F165	

(continued)

No.	Structure
F166	
F167	
F168	
F169	
F170	

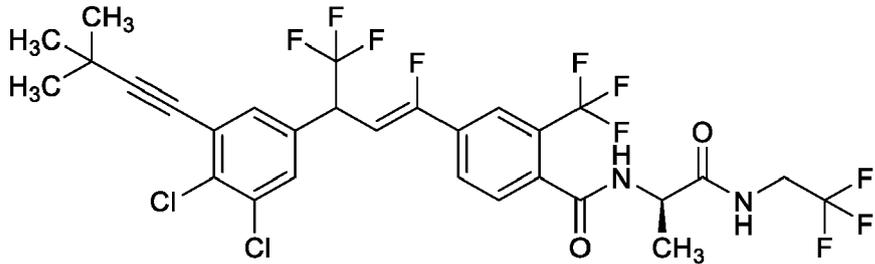
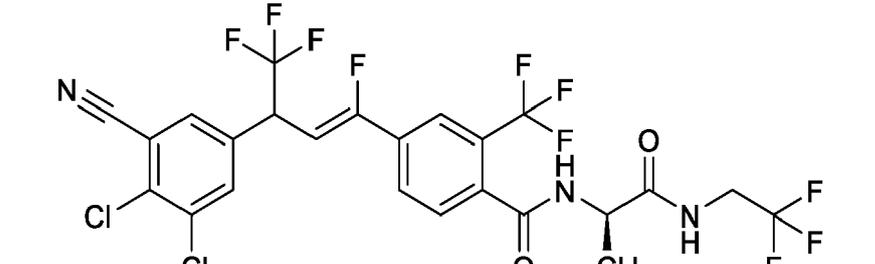
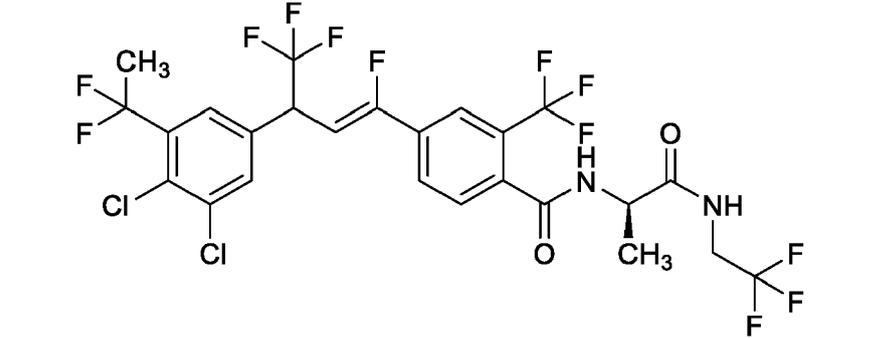
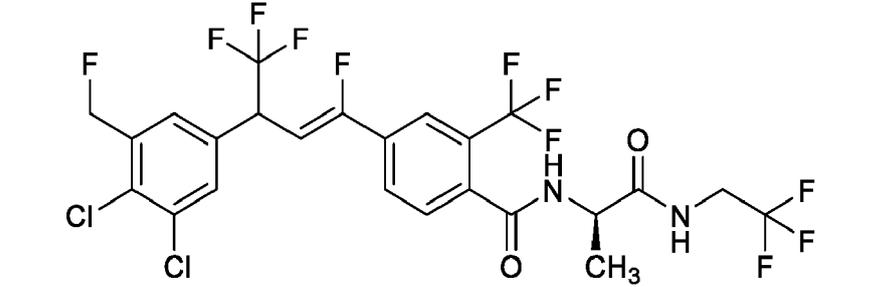
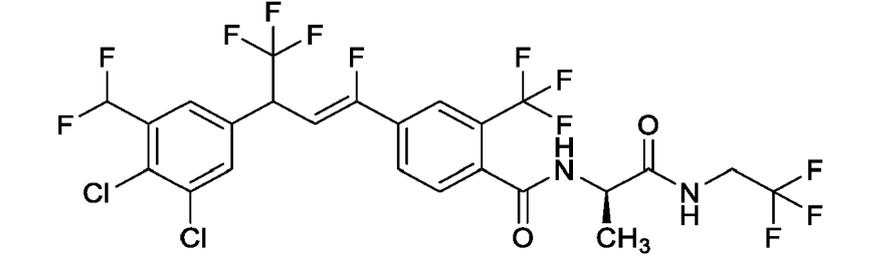
(continued)

No.	Structure
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F172	
F173	
F174	
F175	

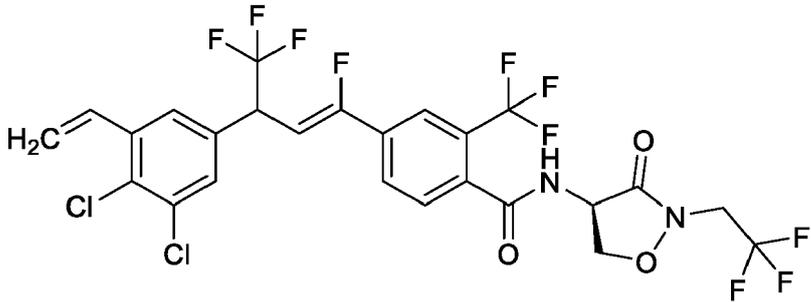
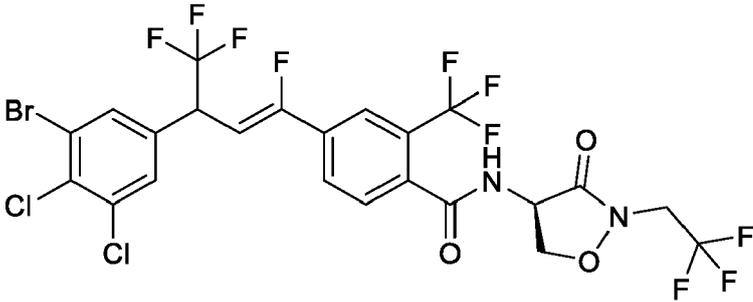
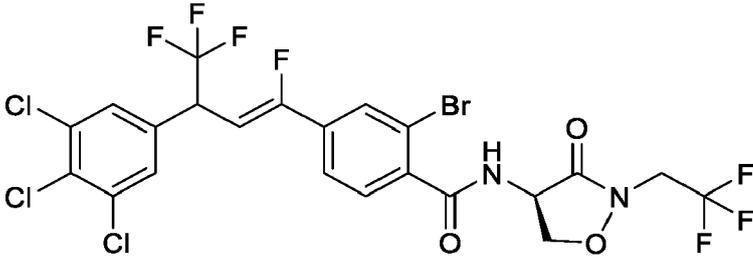
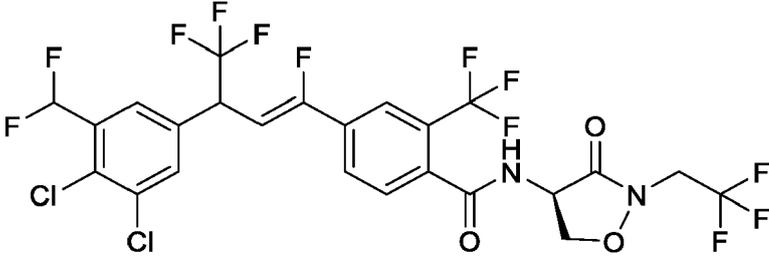
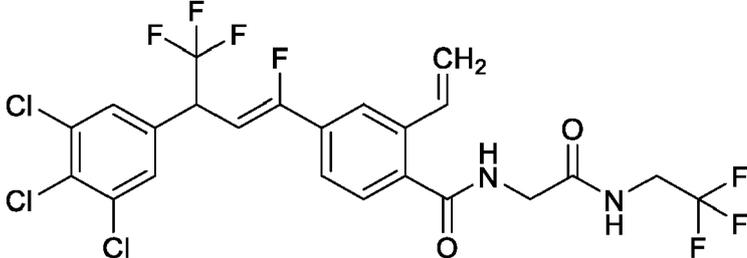
(continued)

No.	Structure
F176	<chem>Clc1ccc(cc1C(F)(F)F)/C=C/c2ccc(cc2C(F)(F)F)NC(=O)C(C)NCC(F)(F)F</chem>
F177	<chem>Clc1cc(Cl)c(Cl)cc1C(F)(F)F/C=C/c2ccc(cc2C(F)(F)F)NC(=O)C1OC(C1)NCC(F)(F)F</chem>
F178	<chem>Clc1ccc(cc1C(F)(F)F)/C=C/c2ccc(cc2C(F)(F)F)NC(=O)C1OC(C1)NCC(F)(F)F</chem>
F180	<chem>Clc1ccc(cc1C(F)(F)F)/C=C/c2ccc(cc2C(F)(F)F)NC(=O)C1OC(C1)NCC(F)(F)F</chem>

(continued)

No.	Structure
F191	
F192	
F193	
F194	
F195	

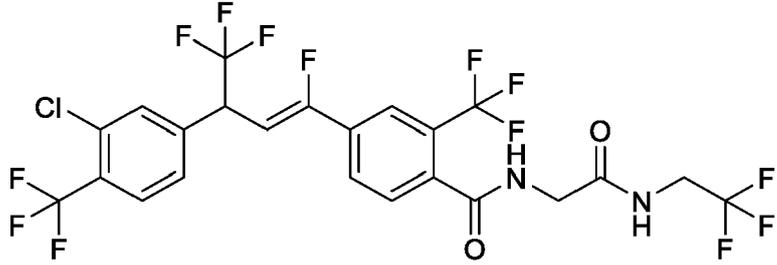
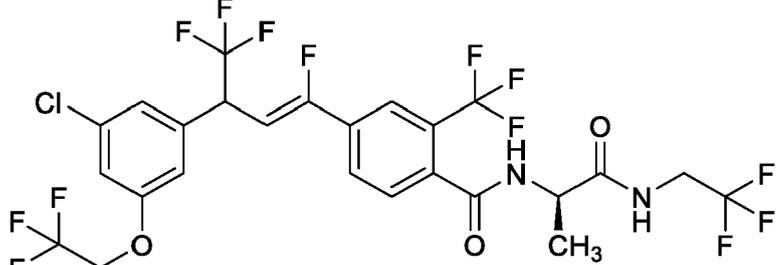
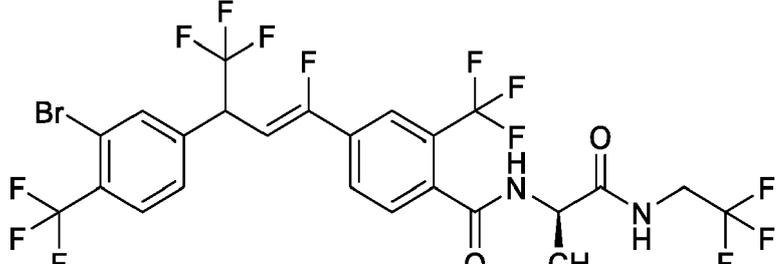
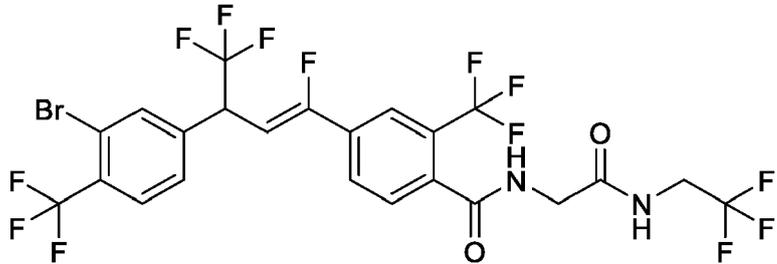
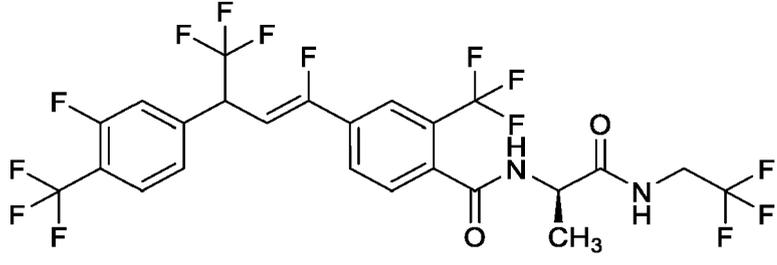
(continued)

No.	Structure
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F197	
F198	
F199	
F200	

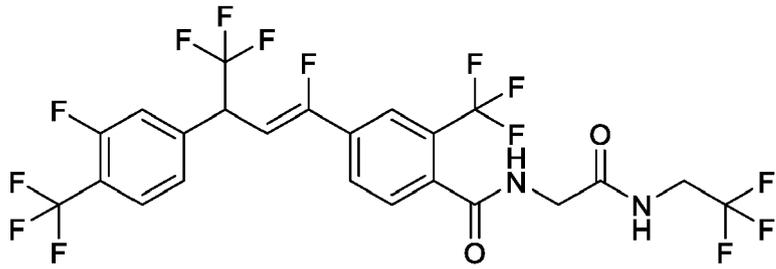
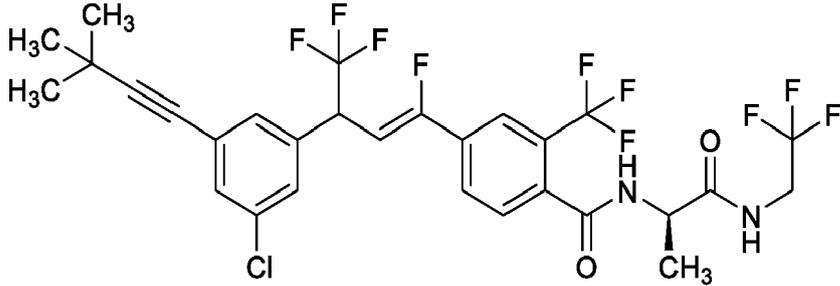
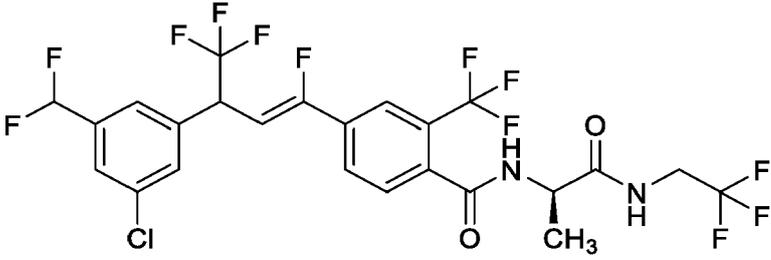
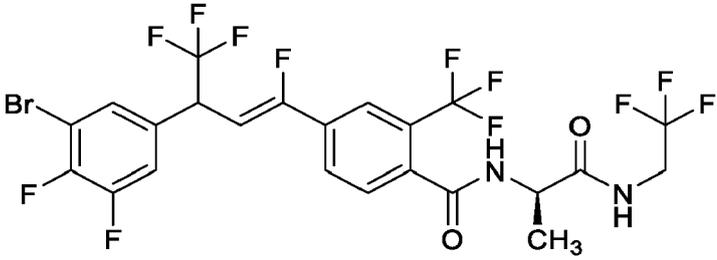
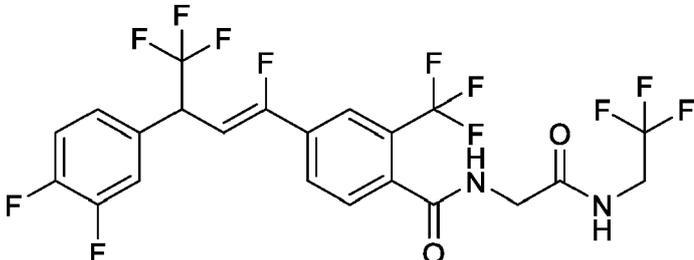
(continued)

No.	Structure
F201	
F202	
F203	
F204	
F205	

(continued)

No.	Structure
F206	 <chem>Clc1ccc(cc1C(F)(F)F)/C=C/c2ccc(cc2C(F)(F)F)NC(=O)CNCC(F)(F)F</chem>
F207	 <chem>Clc1ccc(cc1C(F)(F)F)OC(F)(F)F/C=C/c2ccc(cc2C(F)(F)F)NC(=O)CNCC(F)(F)F</chem>
F208	 <chem>Brc1ccc(cc1C(F)(F)F)/C=C/c2ccc(cc2C(F)(F)F)NC(=O)CNCC(F)(F)F</chem>
F209	 <chem>Brc1ccc(cc1C(F)(F)F)/C=C/c2ccc(cc2C(F)(F)F)NC(=O)CNCC(F)(F)F</chem>
F210	 <chem>Fc1ccc(cc1C(F)(F)F)/C=C/c2ccc(cc2C(F)(F)F)NC(=O)CNCC(F)(F)F</chem>

(continued)

No.	Structure
F211	
F212	
F213	
F214	
F215	

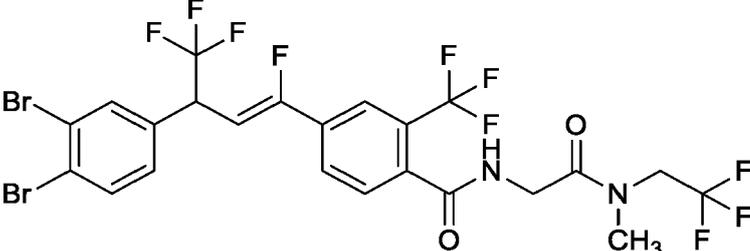
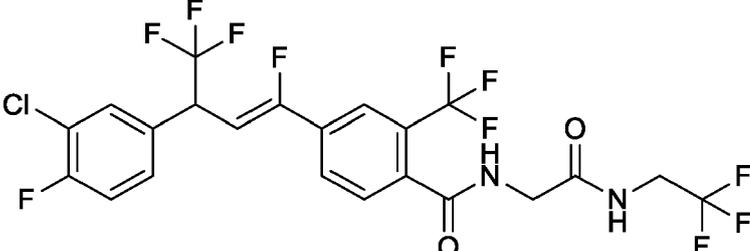
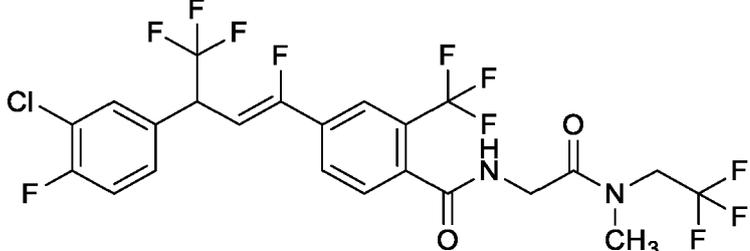
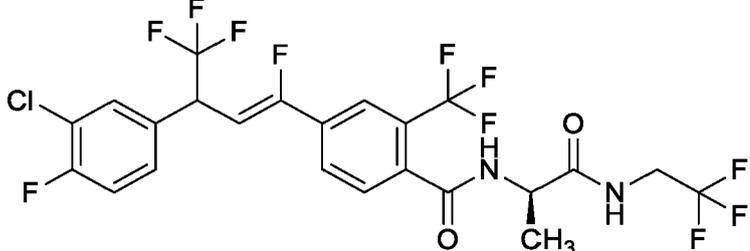
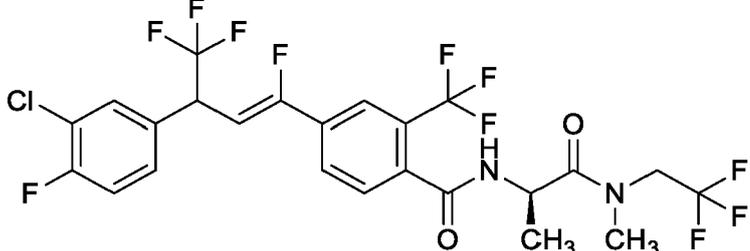
(continued)

No.	Structure
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F217	

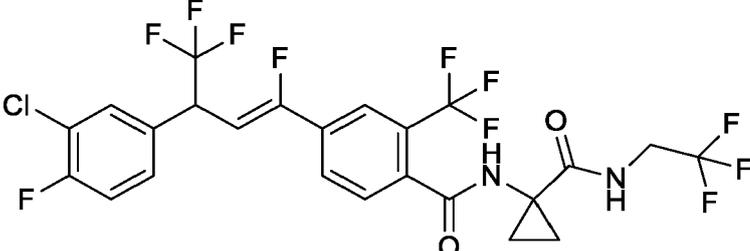
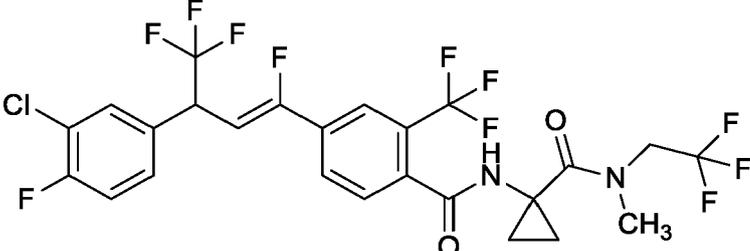
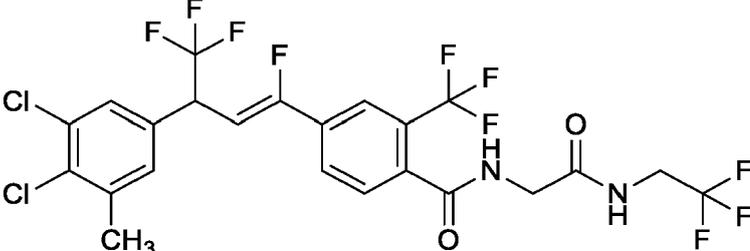
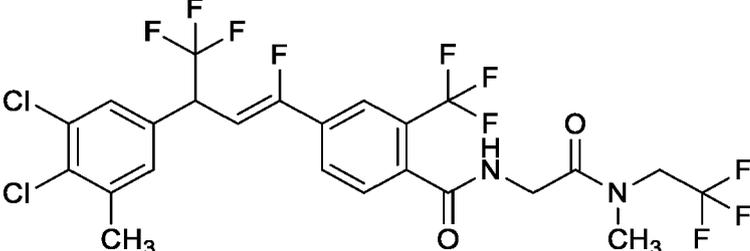
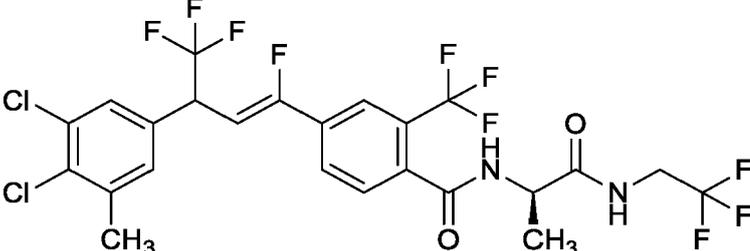
4. A molecule according to claim 1 wherein said molecule is selected from one of the molecules from the following table:

No.	Structure
P1	
P2	
P3	

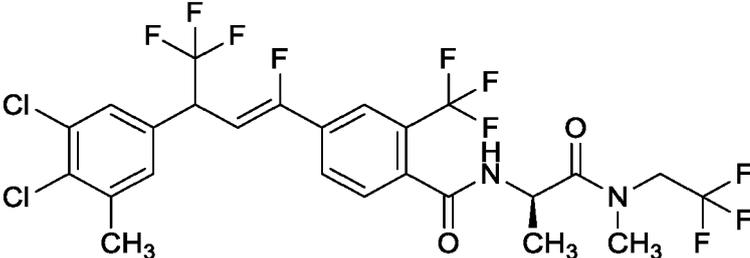
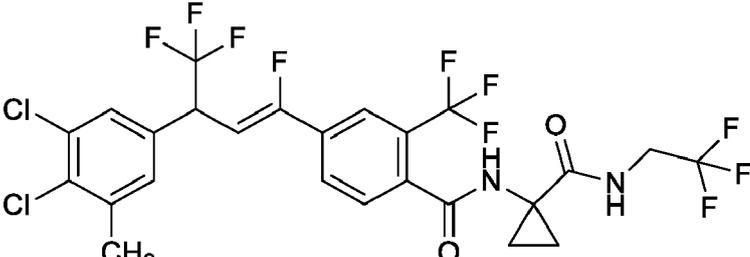
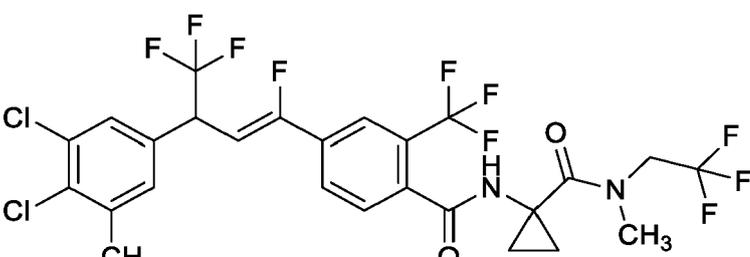
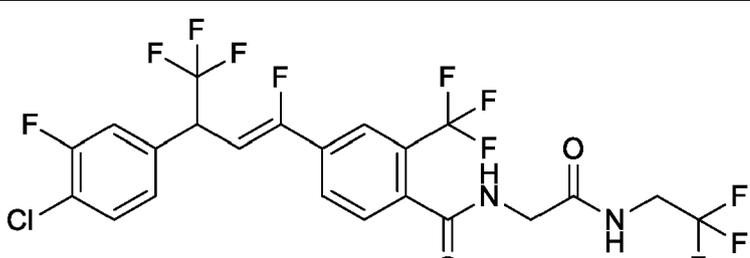
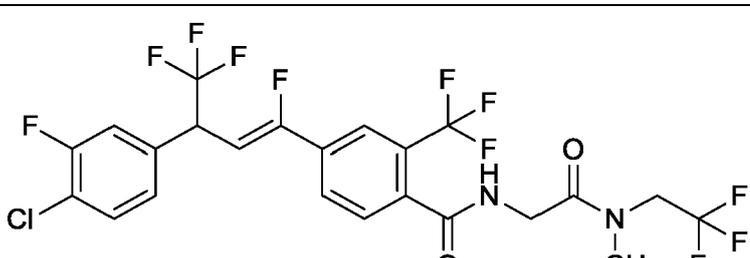
(continued)

No.	Structure
P4	
P5	
P6	
P7	
P8	

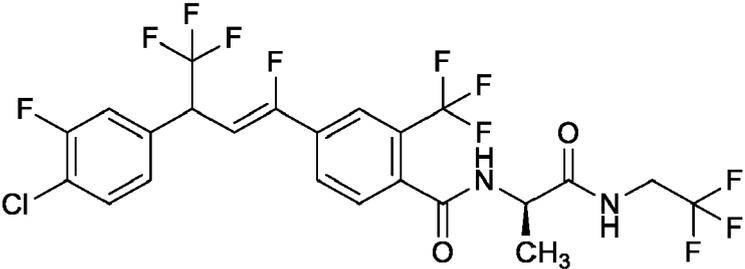
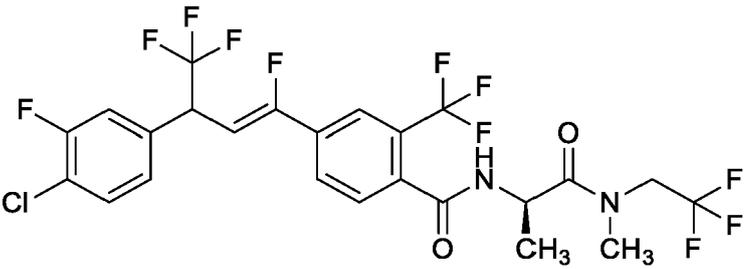
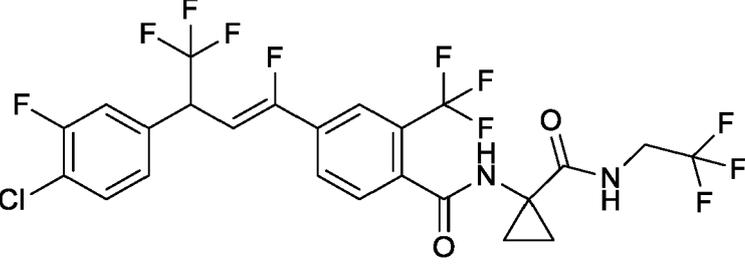
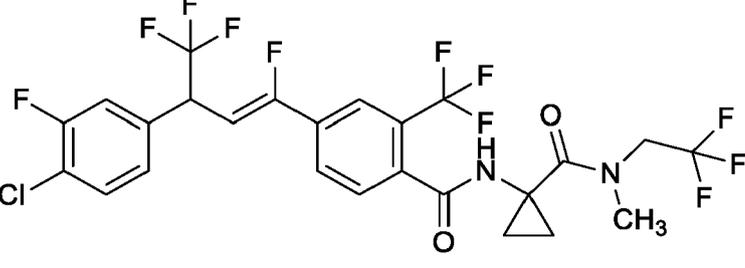
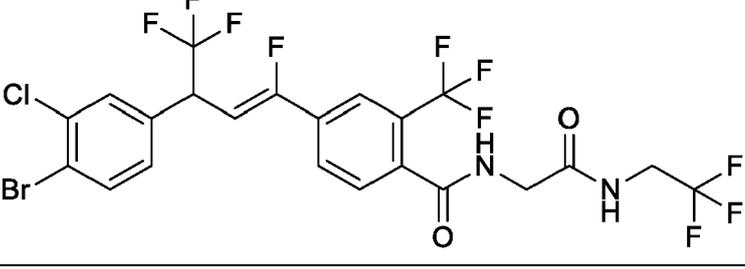
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No.	Structure
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P11	
P12	
P13	

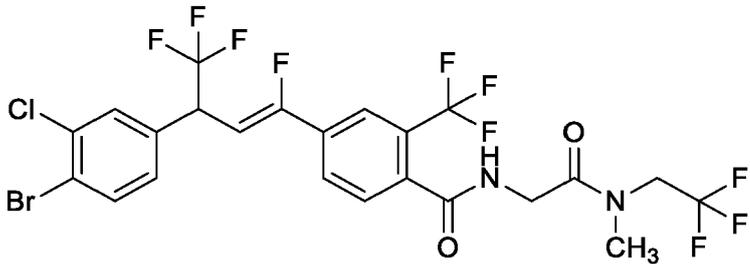
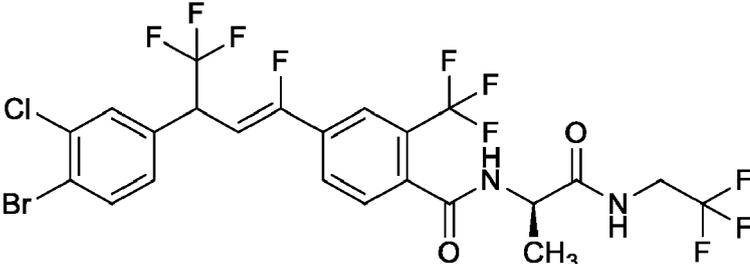
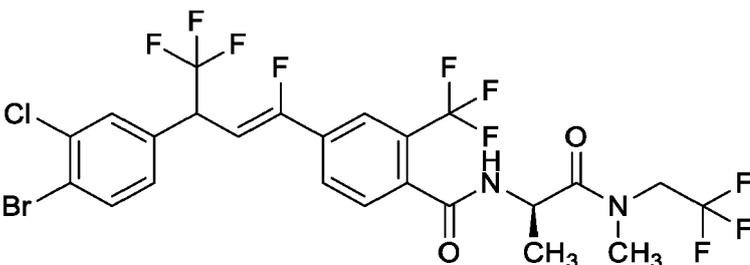
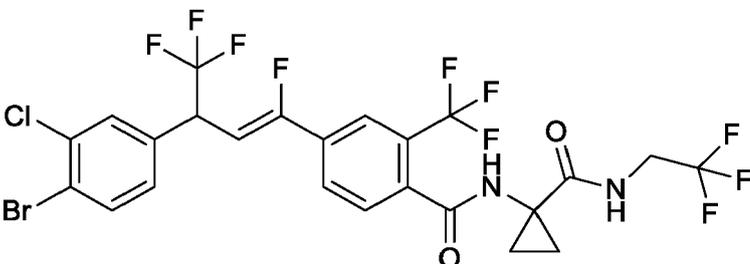
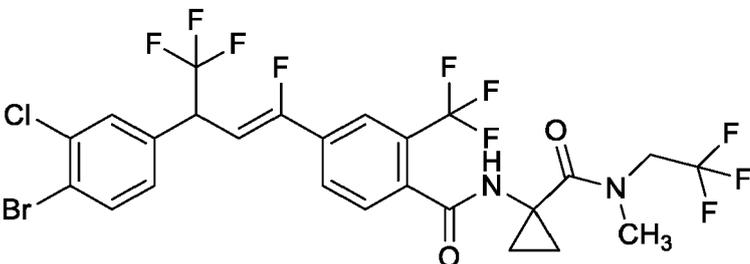
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No.	Structure
P14	 <chem>CC1=CC=C(C=C1C(F)=C(C(F)F)C(F)F)C(F)FNC(=O)C[C@H](C)CNC(F)C(F)F</chem>
P15	 <chem>CC1=CC=C(C=C1C(F)=C(C(F)F)C(F)F)C(F)FNC(=O)C2CCNC2C(F)C(F)F</chem>
P16	 <chem>CC1=CC=C(C=C1C(F)=C(C(F)F)C(F)F)C(F)FNC(=O)C2CCNC2C(F)C(F)F</chem>
P17	 <chem>CC1=CC=C(C=C1C(F)=C(C(F)F)C(F)F)C(F)FNC(=O)CCNC(F)C(F)F</chem>
P18	 <chem>CC1=CC=C(C=C1C(F)=C(C(F)F)C(F)F)C(F)FNC(=O)CCNC(F)C(F)F</chem>

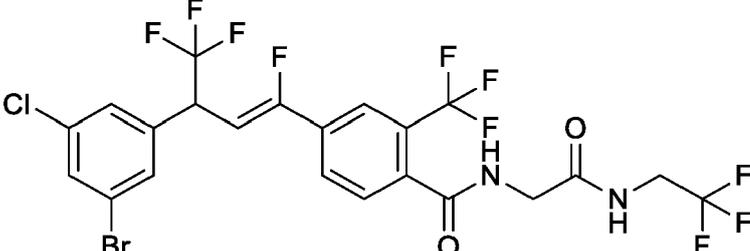
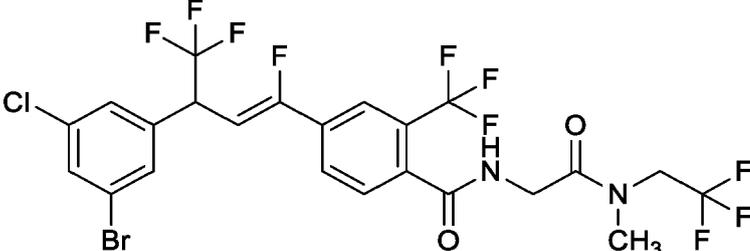
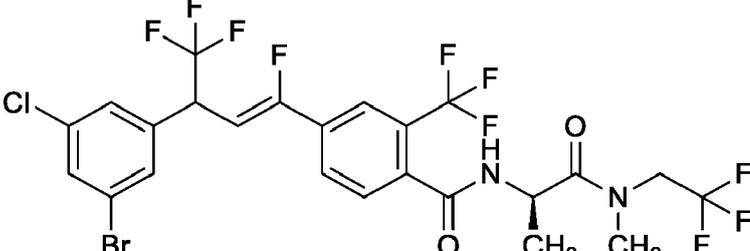
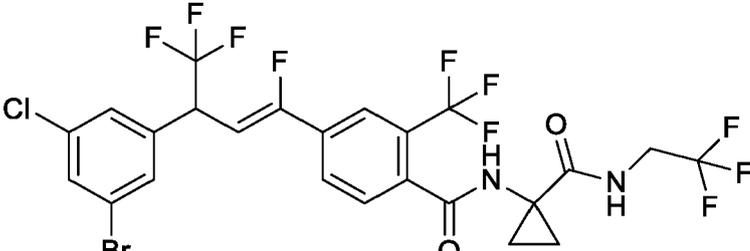
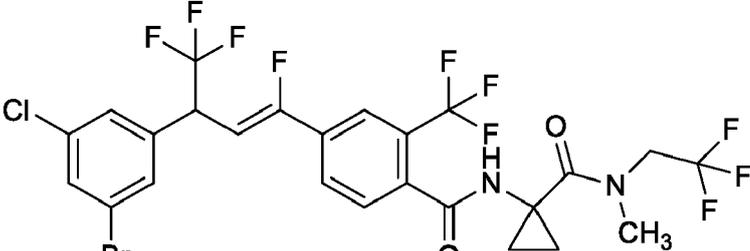
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No.	Structure
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P20	
P21	
P22	
P23	

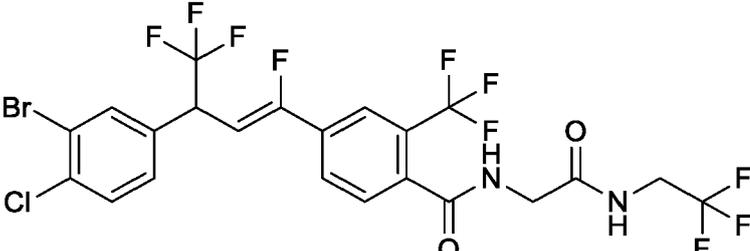
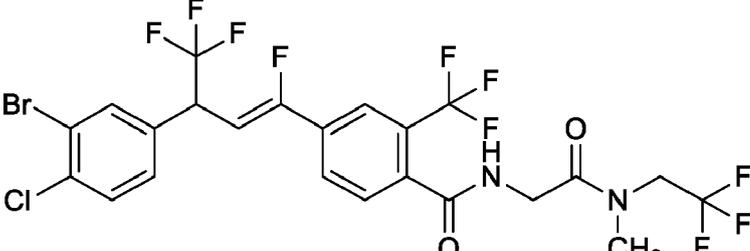
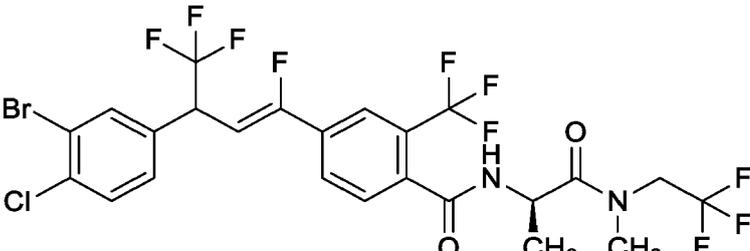
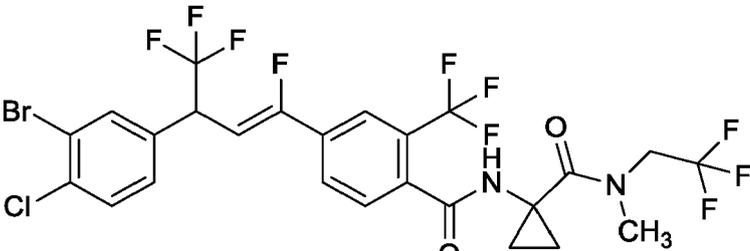
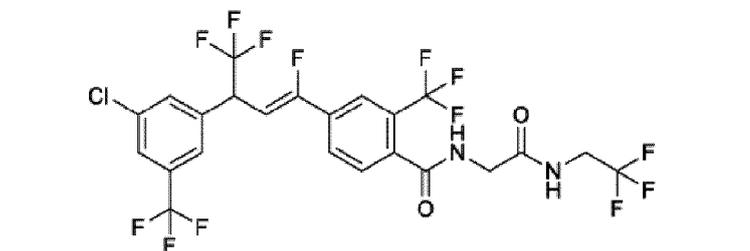
(continued)

No.	Structure
P24	
P25	
P26	
P27	
P28	

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No.	Structure
P29	
P30	
P31	
P32	
P33	

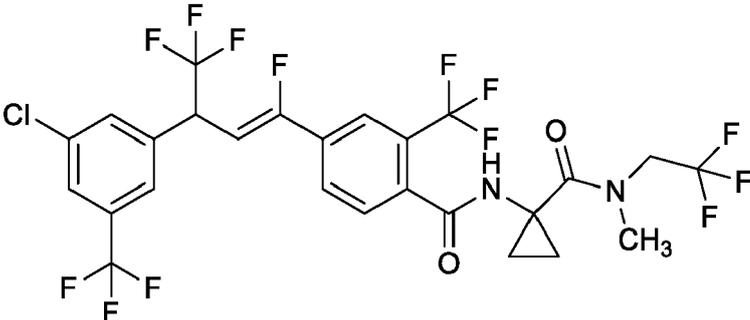
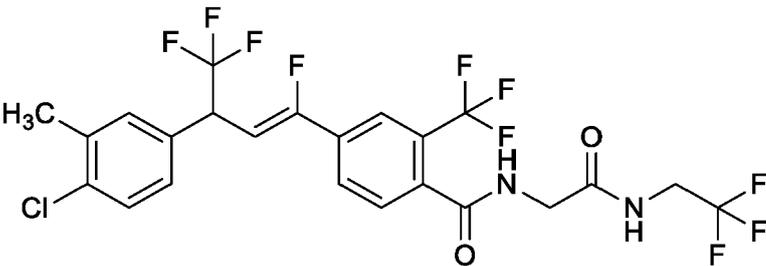
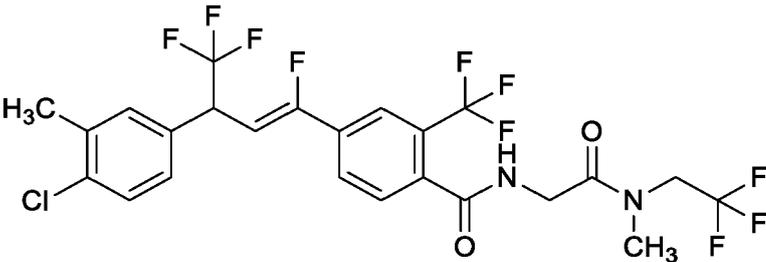
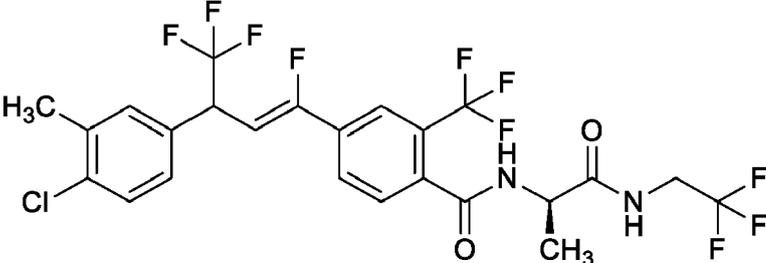
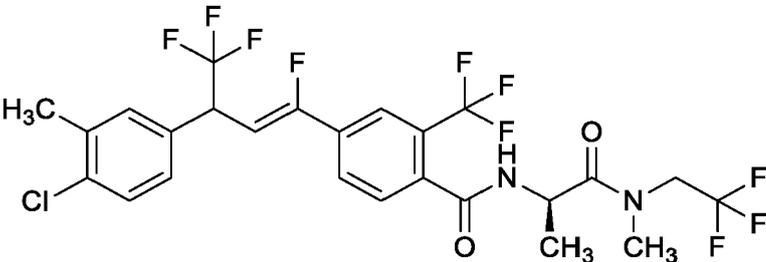
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No.	Structure
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P35	
P37	
P39	
P40	

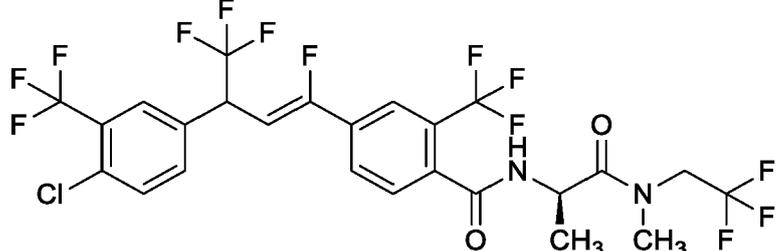
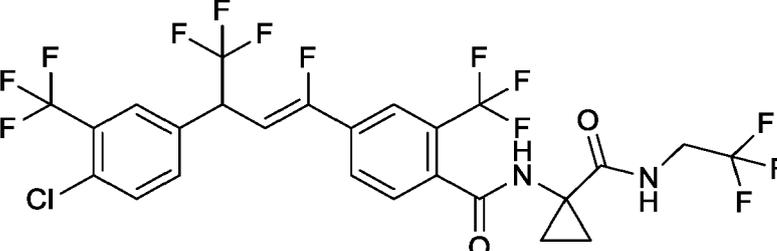
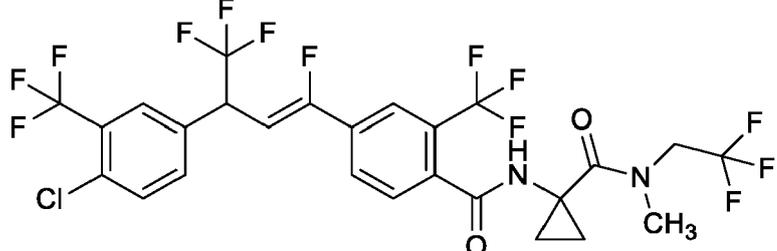
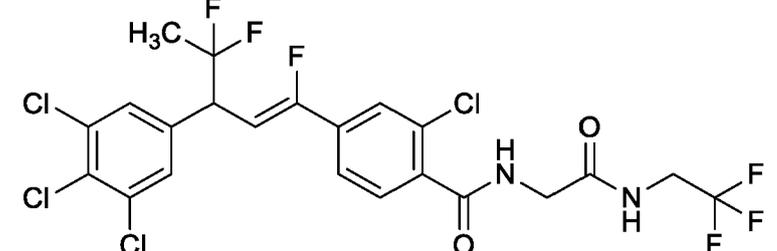
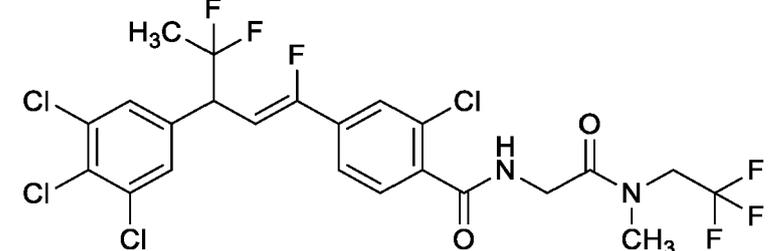
(continued)

No.	Structure
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P42	<chem>Clc1ccc(cc1C(F)(F)F)/C=C/c2ccc(cc2C(F)(F)F)NC(=O)C(C)NC(F)(F)F</chem>
P43	<chem>Clc1ccc(cc1C(F)(F)F)/C=C/c2ccc(cc2C(F)(F)F)NC(=O)C(C)NC(F)(F)F</chem>
P44	<chem>Clc1ccc(cc1C(F)(F)F)/C=C/c2ccc(cc2C(F)(F)F)NC(=O)C1CC1NC(F)(F)F</chem>

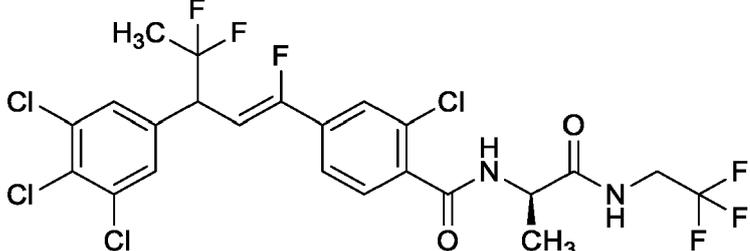
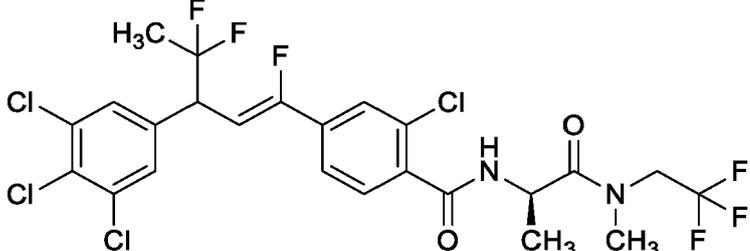
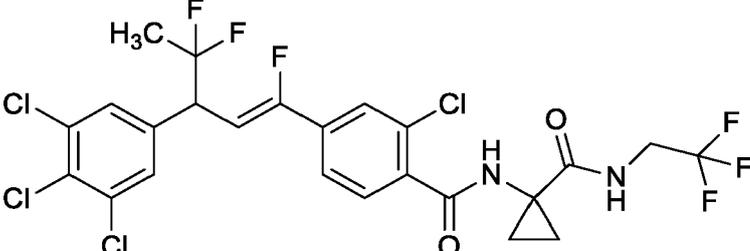
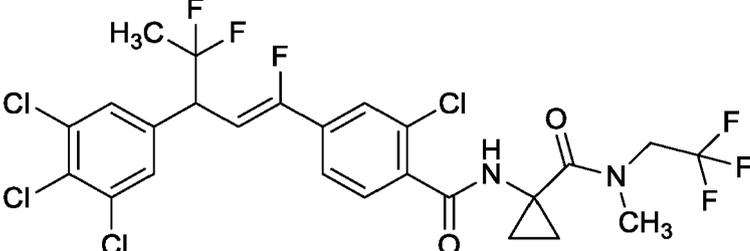
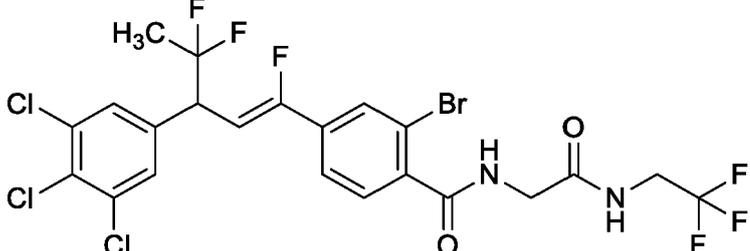
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No.	Structure
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P46	
P47	
P48	
P49	

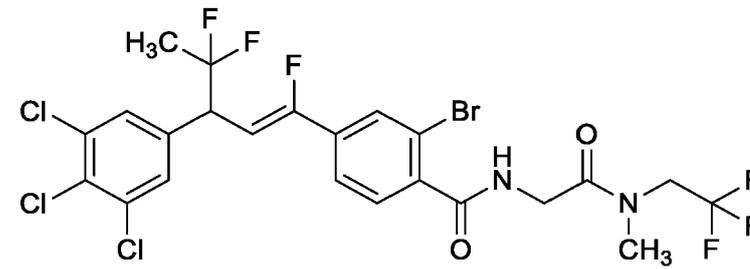
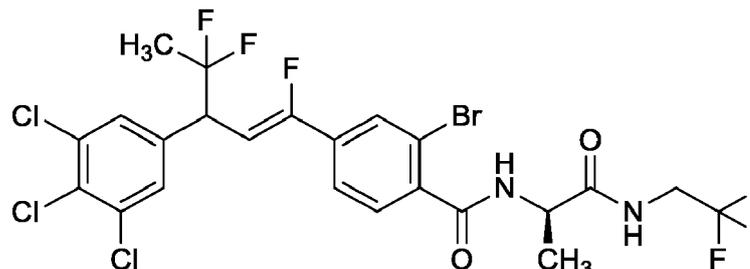
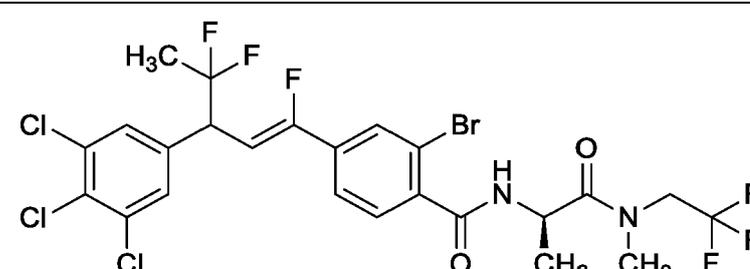
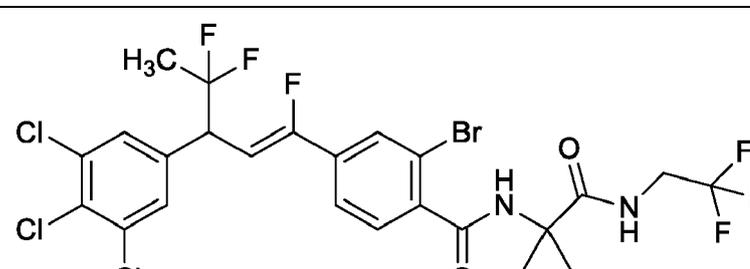
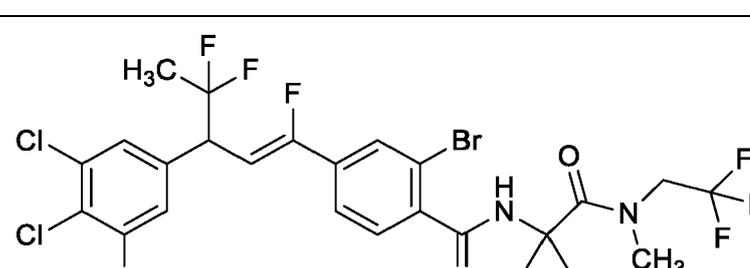
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No.	Structure
P55	
P56	
P57	
P58	
P59	

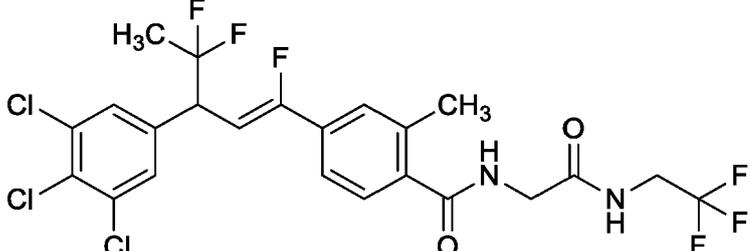
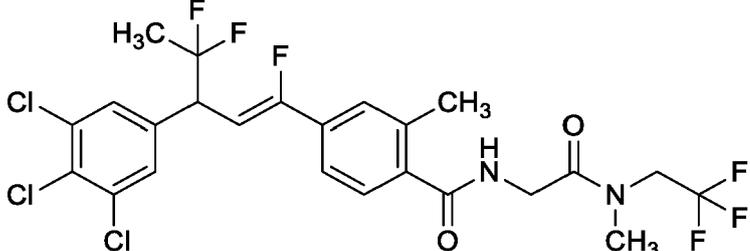
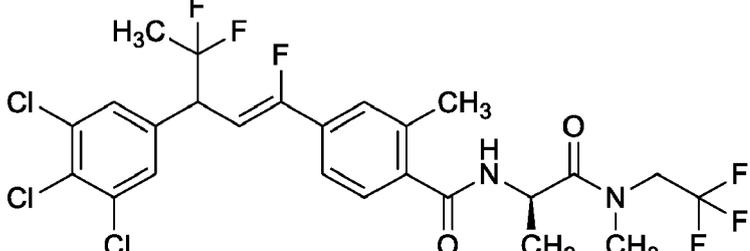
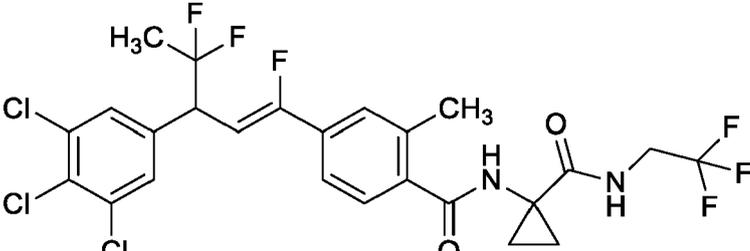
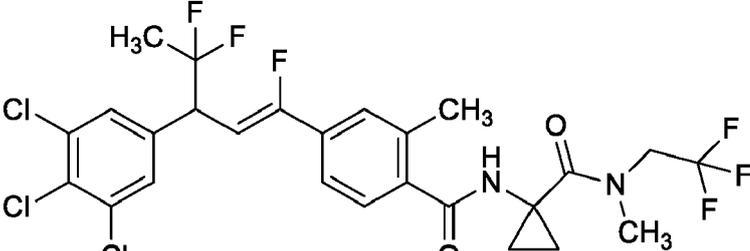
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No.	Structure
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P61	
P62	
P63	
P64	

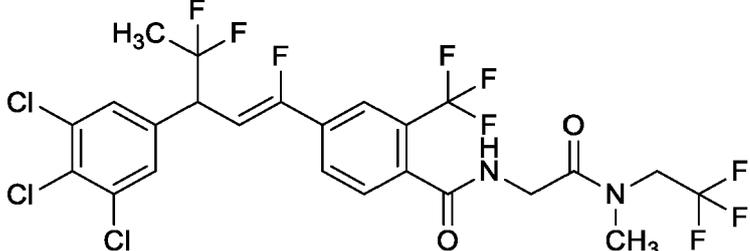
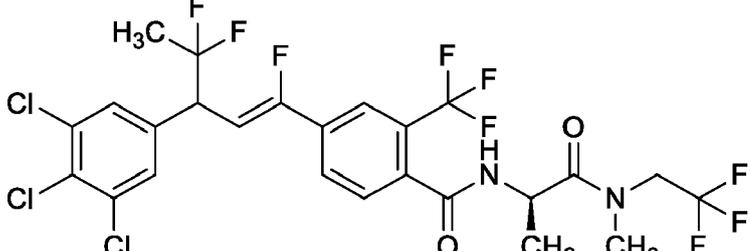
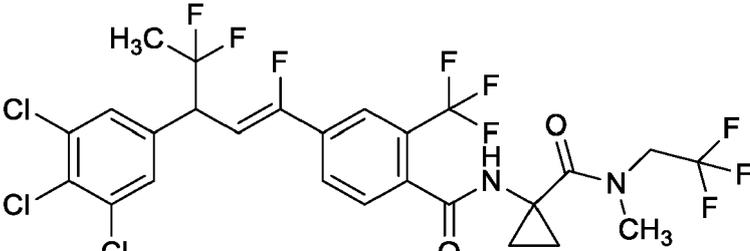
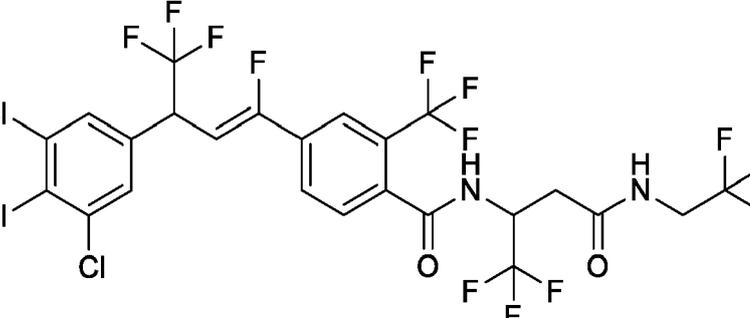
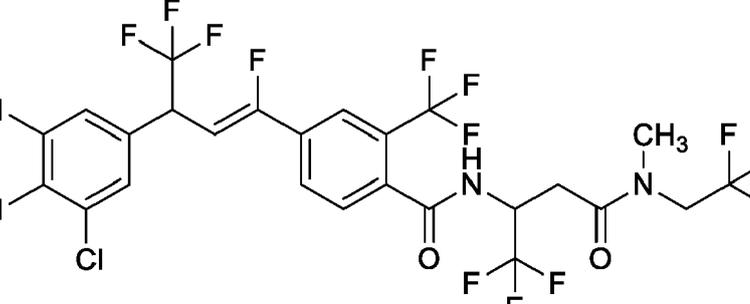
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No.	Structure
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P66	
P67	
P68	
P69	

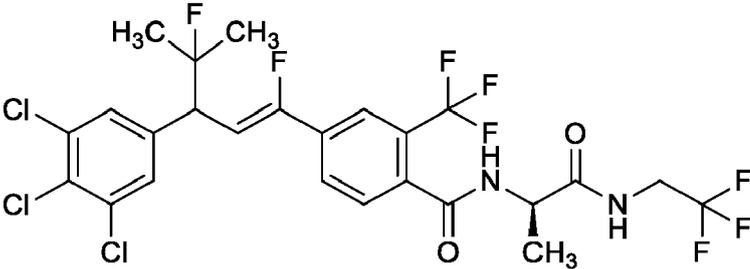
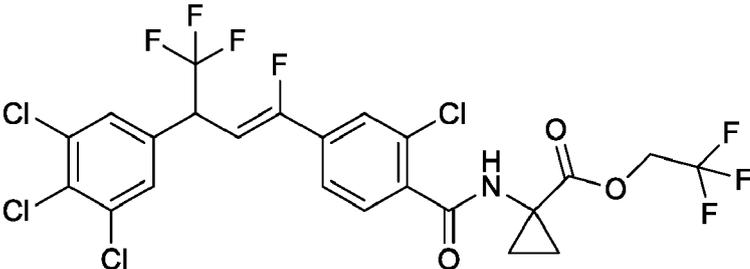
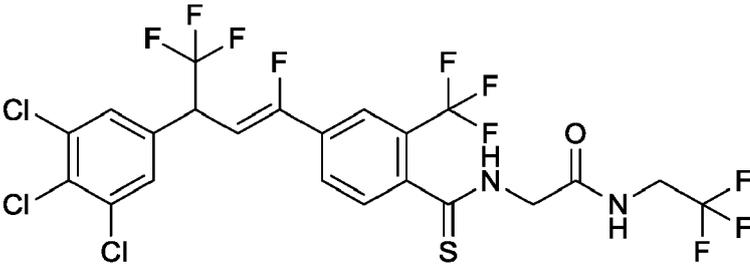
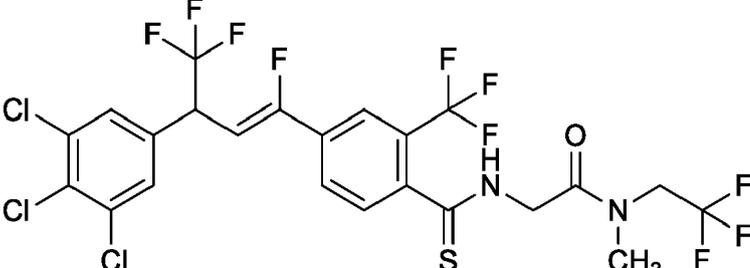
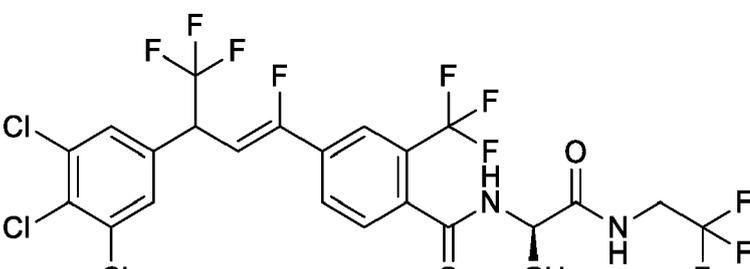
(continued)

No.	Structure
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P71	
P72	
P73	
P74	

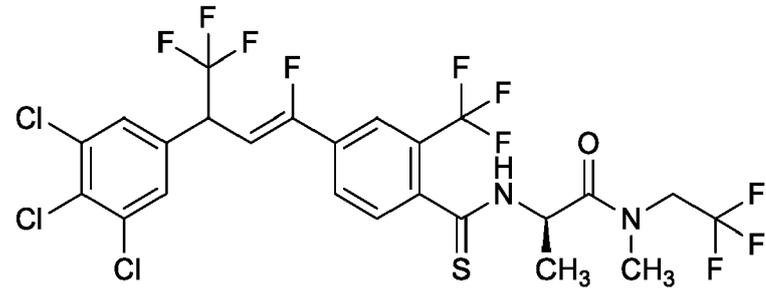
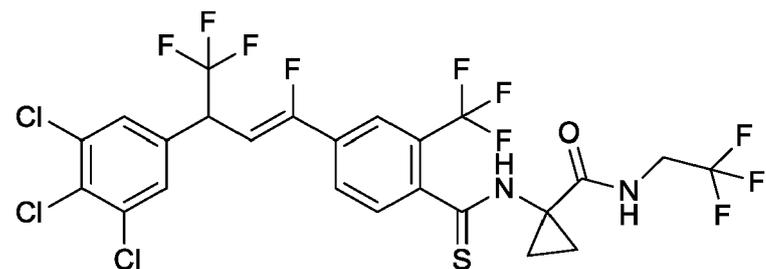
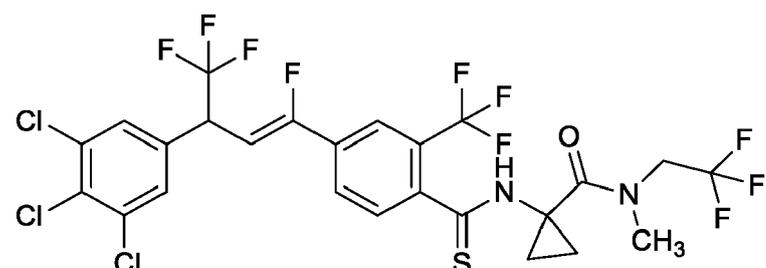
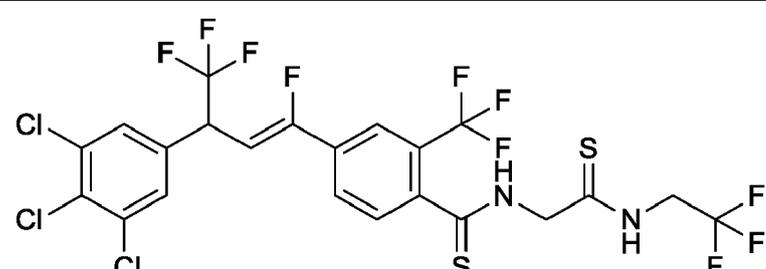
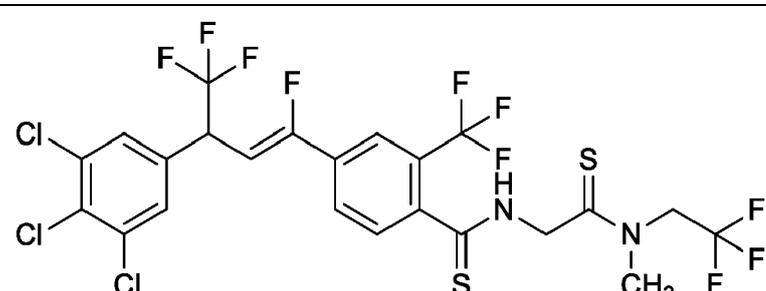
(continued)

No.	Structure
P75	
P76	
P77	
P78	
P79	

(continued)

No.	Structure
P80	 <chem>CC(F)(C)C(=C)C1=CC=C(C(F)(F)N(C)C(=O)NCC(F)(F)F)C1=CC=C(Cl)C(Cl)=C1</chem>
P81	 <chem>CC(F)(C)C(=C)C1=CC=C(C(F)(F)N(C1)C(=O)NCC(F)(F)F)C1=CC=C(Cl)C(Cl)=C1</chem>
P82	 <chem>CC(F)(C)C(=C)C1=CC=C(C(F)(F)N(C)C(=O)NCC(F)(F)F)C1=CC=C(Cl)C(Cl)=C1</chem>
P83	 <chem>CC(F)(C)C(=C)C1=CC=C(C(F)(F)N(C)C(=O)NCC(F)(F)F)C1=CC=C(Cl)C(Cl)=C1</chem>
P84	 <chem>CC(F)(C)C(=C)C1=CC=C(C(F)(F)N(C)C(=O)NCC(F)(F)F)C1=CC=C(Cl)C(Cl)=C1</chem>

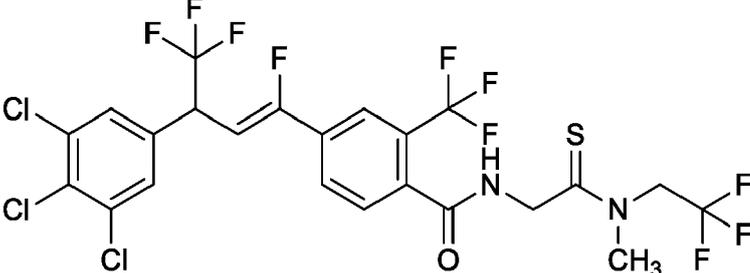
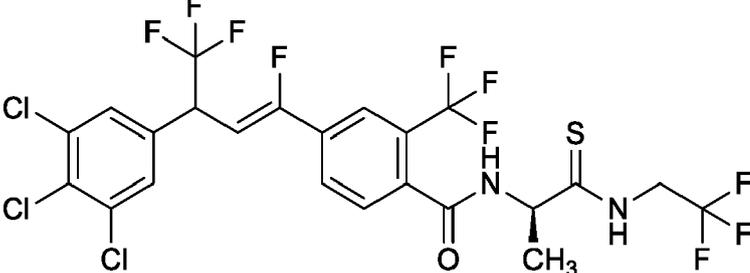
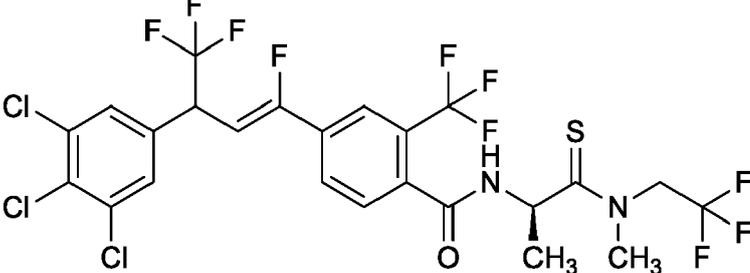
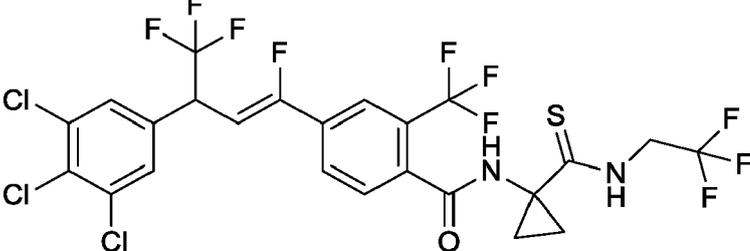
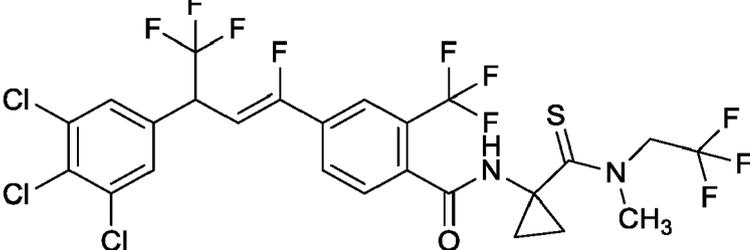
(continued)

No.	Structure
P85	 <chem>CC(F)(F)FNC(=S)c1ccc(cc1C(F)(F)F)C(F)=C(C(F)(F)F)c2cc(Cl)c(Cl)c(Cl)c2C(F)(F)FNC(=O)C(F)(F)F</chem>
P86	 <chem>CC(F)(F)FNC(=S)c1ccc(cc1C(F)(F)F)C(F)=C(C(F)(F)F)c2cc(Cl)c(Cl)c(Cl)c2C(F)(F)FNC(=O)C1CC1C(F)(F)F</chem>
P87	 <chem>CC(F)(F)FNC(=S)c1ccc(cc1C(F)(F)F)C(F)=C(C(F)(F)F)c2cc(Cl)c(Cl)c(Cl)c2C(F)(F)FNC(=O)C(F)(F)F</chem>
P88	 <chem>CC(F)(F)FNC(=S)c1ccc(cc1C(F)(F)F)C(F)=C(C(F)(F)F)c2cc(Cl)c(Cl)c(Cl)c2C(F)(F)FNC(=S)C(F)(F)F</chem>
P89	 <chem>CC(F)(F)FNC(=S)c1ccc(cc1C(F)(F)F)C(F)=C(C(F)(F)F)c2cc(Cl)c(Cl)c(Cl)c2C(F)(F)FNC(=S)C(F)(F)F</chem>

(continued)

No.	Structure
P90	<p>Chemical structure P90: A thiazine ring substituted with a 2,4,6-trichlorophenyl group, a trifluoromethyl group, and a thioamide group. The thioamide nitrogen is attached to a chiral center with a methyl group and a 2,2,2-trifluoroethyl group.</p>
P91	<p>Chemical structure P91: Similar to P90, but the thioamide nitrogen is attached to a dimethylamino group.</p>
P92	<p>Chemical structure P92: Similar to P90, but the thioamide nitrogen is attached to a cyclopropyl group.</p>
P93	<p>Chemical structure P93: Similar to P92, but the thioamide nitrogen is attached to a dimethylamino group.</p>
P94	<p>Chemical structure P94: Similar to P90, but the thiazine ring has a carbonyl group at the 4-position.</p>

(continued)

No.	Structure
P95	
P96	
P97	
P98	
P99	

(continued)

No.	Structure
P100	
P101	
P102	
P103	
P104	

5. A pesticidal composition comprising a molecule according to any one of claims 1, 2, 3, or 4, further comprising one or more active ingredients.

6. A pesticidal composition according to claim 5 wherein said active ingredient is from the following materials:

(1) (3-ethoxypropyl)mercury bromide, 1,2-dibromoethane, 1,2-dichloroethane, 1,2-dichloropropane, 1,3-dichloropropane, 1-MCP, 1-methylcyclopropene, 1-naphthol, 2-(octylthio)ethanol, 2,3,3-TPA, 2,3,5-tri-iodobenzoic acid, 2,3,6-TBA, 2,4,5-T, 2,4,5-TB, 2,4,5-TP, 2,4-D, 2,4-DB, 2,4-DEB, 2,4-DEP, 2,4-DES, 2,4-DP, 2,4-MCPA, 2,4-MCPB, 2iP, 2-methoxyethylmercury chloride, 2-phenylphenol, 3,4-DA, 3,4-DB, 3,4-DP, 3,6-dichloropicolinic acid, 4-aminopyridine, 4-CPA, 4-CPB, 4-CPP, 4-hydroxyphenethyl alcohol, 8-hydroxyquinoline sulfate, 8-phenylmercurioxyquinoline, abamectin, abamectin-aminomethyl, abscisic acid, ACC, acephate, acequinocyl, acetamiprid, acethion, acetochlor, acetofenate, acetophos, acetoprole, acibenzolar, acifluorfen, aclonifen, ACN, acrep, acrinathrin, acrolein, acrylonitrile, acypetacs, afidopyropen, afoxolaner, alachlor, alanap, alanycarb, al-bendazole, aldicarb, aldicarb sulfone, aldimorph, aldoxycarb, aldrin, allethrin, allacin, alldochlor, allosamidin, alloxymid, allyl alcohol, allylcarb, alorac, alpha-cypermethrin, alpha-endosulfan, alphamethrin, altretamine, aluminium phosphide, aluminum phosphide, ametocradin, ametridione, ametryn, ametryne, amibuzin, amicarbazone, amicarbazol, amidithion, amidoflumet, amidosulfuron, aminocarb, aminocyclopyrachlor, aminopyralid, aminotriazole, amiprofos-methyl, amiprofos, amiprofos-methyl, amisulbrom, amiton, amitraz, amitrole, ammonium sulfamate, amobam, amorphous silica gel, amorphous silicon dioxide, ampropylfos, AMS, anabasine, ancymidol, anilazine, anilofos, anisuron, anthraquinone, antu, apholate, aramite, arprocarb, arsenous oxide, asomate, aspirin, asulam, athidathion, atraton, atrazine, aureofungin, avermectin B1, AVG, aviglycine, azac-nazole, azadirachtin, azafenidin, azamethiphos, azidithion, azimsulfuron, azinphosethyl, azinphos-ethyl, azin-phosmethyl, azinphosmethyl, aziprotryn, aziprotryne, azithiram, azobenzene, azocyclotin, azothoate, azoxys-trobin, bachmedesh, barban, barbanate, barium hexafluorosilicate, barium polysulfide, barium silicofluoride, barthrin, basic copper carbonate, basic copper chloride, basic copper sulfate, BCPC, beflubutamid, benalaxyl, benalaxyl-M, benzazolin, bencarbazon, benclothiaz, bendaqingbingzhi, bendiocarb, bendioxide, benefin, ben-fluralin, benfuracarb, benfuresate, benmihuangcaoan, benodanil, benomyl, benoxacor, benoxafos, benquinox, bensulfuron, bensulide, bensultap, bentaluron, bentazon, bentazone, benthiavalicarb, benthiazole, benthio carb, bentranil, benzadox, benzalkonium chloride, benzamacril, benzamizole, benzamorf, benzene hexachloride, benzfendizone, benzimine, benzipram, benzobicyclon, benzoepin, benzofenap, benzofluor, benzohydroxamic acid, benzomate, benzophosphate, benzothiadiazole, benzovindiflupyr, benzoximate, benzoylprop, benzthia-zuron, benzuocaotong, benzyl benzoate, benzyladenine, berberine, beta-cyfluthrin, beta-cypermethrin, bethox-azin, BHC, bialaphos, bicycloprrone, bifenazate, bifenox, bifenthrin, bifujunzhi, bilanafos, binapacryl, bingqingx-iao, bioallethrin, bioethanomethrin, biopermethrin, bioresmethrin, biphenyl, bisazir, bismethiazol, bismethiazol-copper, bisphenylmercury methylenedi(x-naphthalene-y-sulphonate), bispyribac, bistrifluron, bisultap, biterta-nol, bithionol, bixafen, blasticidin-S, borax, Bordeaux mixture, boric acid, boscalid, BPPS, brassinolide, brassi-nolide-ethyl, brevicomin, brodifacoum, brofenprox, brofenvalerate, broflanilide, brofluthrin, bromacil, bromadiolone, bromchlophos, bromethalin, bromethrin, bromfenvinfos, bromoacetamide, bromobonil, bromobutide, bromociclen, bromocyclen, bromo-DDT, bromofenoxim, bromofos, bromomethane, bromophos, bromophos-ethyl, bromopropylate, bromothalonil, bromoxynil, brompyrazon, bromuconazole, bronopol, BRP, BTH, bucar-polate, bufencarb, buminafos, bupirimate, buprofezin, Burgundy mixture, busulfan, busulphan, butacarb, butachlor, butafenacil, butam, butamifos, butane-fipronil, butathiofos, butenachlor, butene-fipronil, butethrin, buthidazole, buthiobate, buthiuron, butifos, butocarboxim, butonate, butopyronoxyl, butoxycarboxim, butralin, butrizol, butroxydim, buturon, butylamine, butylate, butylchlorophos, butylene-fipronil, cacodylic acid, cadusafos, cafenstrole, calciferol, calcium arsenate, calcium chlorate, calcium cyanamide, calcium cyanide, calcium polysulfide, calvinphos, cambendichlor, camphechlor, camphor, captafol, captan, carbam, carbamorph, carb-anolate, carbaril, carbaryl, carbasulam, carbathion, carbendazim, carbendazol, carbetamide, carbofenotion, carbofuran, carbon disulfide, carbon tetrachloride, carbonyl sulfide, carbophenothion, carbophos, carbosulfan, carboxazole, carboxide, carboxin, carfentrazone, carpropamid, cartap, carvacrol, carvone, CAVP, CDAA, CDEA, CDEC, cellocidin, CEPC, ceralure, cerenox, cevadilla, Cheshunt mixture, chinalphos, chinalphos-méthyl, chinomethionat, chinomethionate, chiralaxyl, chitosan, chlobenthiazone, chlomethoxyfen, chloralose, chloram-ben, chloramine phosphorus, chloramphenicol, chloraniformethan, chloranil, chloranocryl, chlorantranilprole, chlorazifop, chlorazine, chlorbenside, chlorbenzuron, chlorbicyclen, chlorbromuron, chlorbufam, chlordane, chlordecone, chlordimeform, chlorempenthrin, chloretazate, chlorethephon, chlorethoxyfos, chloreturon, chlo-rfenac, chlorfenapyr, chlorfenazole, chlorfenethol, chlorfenidim, chlorfenprop, chlorfenson, chlorfensulphide, chlorfenvinphos, chlorfenvinphos-methyl, chlorfluazuron, chlorflurazole, chlorflurecol, chlorfluren, chlorflurenol, chloridazon, chlorimuron, chlorinate, chlor-IPC, chlormephos, chlormequat, chlormesulone, chlormethoxynil, chlornidine, chlornitrofen, chloroacetic acid, chlorobenzilate, chlorodinitronaphthalenes, chlorofénizon, chloro-form, chloromebuform, chloromethiuron, chloroneb, chlorophacinone, chlorophos, chloropicrin, chloropon, chlo-ropropylate, chlorothalonil, chlorotoluron, chloroxifenidim, chloroxuron, chloroxynil, chlorphonium, chlorphoxim, chlorprazophos, chlorprocarb, chlorpropham, chlorpyrifos, chlorpyrifos-methyl, chlorquinox, chlorsulfuron, chlo-rthal, chlorthiamid, chlorthiophos, chlortoluron, chlozolate, chltosan, cholecalciferol, choline chloride, chroma-fenozide, cicloheximide, cimectacarb, cimeta carb, cinerin I, cinerin II, cinerins, cinidon-ethyl, cinmethylin, cino-

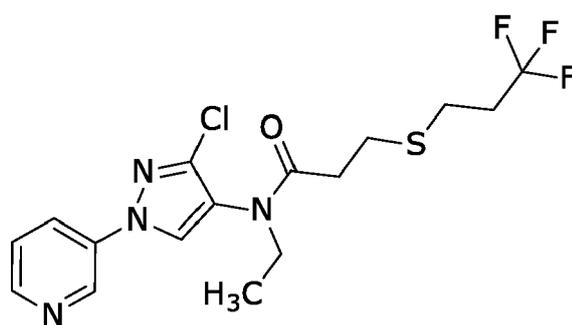
sulfuron, cintofen, ciobutide, cisanilide, cismethrin, clacyfos, clefoxydim, clenpirin, clenpyrin, clethodim,
 climbazole, clidinate, clodinafop, cloethocarb, clofencet, clofenotane, clofentezine, clofenvinfos, clofibrac acid,
 clofop, clomazone, clomeprop, clonitralid, cloprop, cloproxydim, clopyralid, cloquintocet, cloransulam, closantel,
 clothianidin, clotrimazole, cloxyfonac, cloxylacon, clozylacon, CMA, CMMP, CMP, CMU, codlelure, colecalcif-
 5 erol, colophonate, copper 8-quinolinolate, copper acetate, copper acetoarsenite, copper arsenate, copper car-
 bonate, basic, copper hydroxide, copper naphthenate, copper oleate, copper oxychloride, copper silicate, copper
 sulfate, copper sulfate, basic, copper zinc chromate, coumachlor, coumafène, coumafos, coumafuryl, cou-
 maphos, coumatetralyl, coumethoxystrobin, coumithoate, coumoxystrobin, CPMC, CPMF, CPPC, credazine,
 10 cresol, cresylic acid, crimidine, crotamiton, crotoxyfos, crotoxyphos, crufomate, cryolite, cue-lure, cufraneb,
 cumyleron, cumyluron, cuprobam, cuprous oxide, curcumenol, CVMP, cyanamide, cyanatryn, cyanazine, cy-
 anofenphos, cyanogen, cyanophos, cyanthoate, cyantraniliprole, cyanuric acid, cyazofamid, cybutryne, cycla-
 furamid, cyclanilide, cyclaniliprole, cyclethrin, cycloate, cycloheximide, cycloprate, cycloprothrin, cyclopyrimor-
 15 ate, cyclosulfamuron, cycloxydim, cycluron, cyenopyrafen, cyflufenamid, cyflumetofen, cyfluthrin, cyhalofop,
 cyhalothrin, cyhexatin, cymiazole, cymoxanil, cyometrinil, cypendazole, cypermethrin, cyperquat, cyphenothrin,
 cyprazine, cyprazole, cyproconazole, cyprodinil, cyprofuram, cypromid, cyprosulfamide, cyromazine, cythioate,
 cytrex, daimuron, dalapon, daminozide, dayoutong, dazomet, DBCP, *d*-camphor, DCB, DCIP, DCPA, DCPTA,
 DCU, DDD, DDPP, DDT, DDVP, debacarb, decafentin, decamethrin, decarbofuran, deet, dehydroacetic acid,
 20 deiquat, delachlor, delnav, deltamethrin, demephion, demephion-O, demephion-S, demeton, demeton-methyl,
 demeton-O, demeton-O-methyl, demeton-S, demeton-S-methyl, demeton-S-methyl sulphone, demeton-S-
 methylsulphon, DEP, depalléthrine, derris, desmedipham, desmetryn, desmetryne, *d*-fanshiluquebingjuzhi, di-
 afenthion, dialifor, dialifos, diallate, diamidafos, dianat, diatomaceous earth, diatomite, diazinon, dibrom, dib-
 25 utyl phthalate, dibutyl succinate, dicamba, dicaphon, dichlobenil, dichlofenthion, dichlofluand, dichlone, dichlo-
 ralurea, dichlorbenzuron, dichlorfenidim, dichlorflurecol, dichlorflurenol, dichlormate, dichlormid, dichlorometh-
 ane, dicloromezotiaz, dichlorophen, dichlorprop, dichlorprop-P, dichlorvos, dichlozolin, dichlozoline, diclobutra-
 30 zol, diclocymet, diclofop, diclomezine, dicloran, diclosulam, dicofol, dicophane, dicoumarol, dicresyl, dicroto-
 phos, dicryl, dicumarol, dicyclanil, dicyclonon, dieldrin, dienochlor, diethamquat, diethatyl, diethion, diéthion,
 diethofencarb, dietholate, diéthon, diethyl pyrocarbonate, diethyltoluamide, difenacoum, difenoconazole,
 difenopenten, difenoxuron, difenzoquat, difethialone, diflovidazin, diflubenzuron, diflufenican, diflufenicanil, di-
 35 flufenzopyr, diflumetorim, dikegulac, dilor, dimatif, dimefluthrin, dimefox, dimefuron, dimehypo, dimepiperate,
 dimetachlone, dimetan, dimethacarb, dimethachlone, dimethachlor, dimethametryn, dimethenamid, dimethen-
 amid-P, dimethipin, dimethirimol, dimethoate, dimethomorph, dimethrin, dimethyl carbate, dimethyl disulfide,
 dimethyl phthalate, dimethylvinphos, dimetilan, dimexano, dimidazon, dimoxystrobin, dimpylate, dimuron, dinex,
 40 dingjunezuo, diniconazole, diniconazole-M, dinitramine, dinitrophenols, dinobuton, dinocap, dinocap-4, dinocap-
 6, dinocxon, dinofenate, dinopenton, dinoprop, dinosam, dinoseb, dinosulfon, dinotefuran, dinoterb, dinoterbon,
 diofenolan, dioxabenzofos, dioxacarb, dioxathion, dioxation, diphacin, diphacinone, diphenadione, diphenamid,
 diphenamide, diphenyl sulfone, diphenylamine, diphenylsulphide, diprogulic acid, dipropalin, dipropetryn, dip-
 45 terex, dipymetitron, dipyrithione, diquat, disodium tetraborate, disosultap, disparlure, disugran, disul, disulfiram,
 disulfoton, ditalimfos, dithianon, dithicrofos, dithioether, dithiométon, dithiopyr, diuron, dixanthogen, *d*-limonene,
 DMDS, DMPA, DNOC, dodemorph, dodicin, dodine, dofenapyn, doguadine, dominicalure, doramectin, DPC,
 50 drazoxolon, DSMA, *d-trans*-allethrin, *d-trans*-resmethrin, dufulin, dymron, EBEP, EBP, ebufos, ecdysterone,
 echlomezol, EDB, EDC, EDDP, edifenphos, eglinazine, emamectin, EMPC, empenthrin, enadenine, endosulfan,
 endothal, endothall, endothion, endrin, enestroburin, enilconazole, enoxastrobin, ephirsulfonate, EPN, epoc-
 holeone, epofenonane, epoxiconazole, eprinomectin, epronaz, EPTC, erbon, ergocalciferol, erlujixiancaoan,
 55 esdépalléthrine, esfenvalerate, ESP, esprocarb, etacelasil, etaconazole, etaphos, etem, ethaboxam, ethachlor,
 ethalfuralin, ethametsulfuron, ethaprochlor, ethephon, ethidimuron, ethiofencarb, ethiolate, ethion, ethiozin,
 ethiprole, ethirimol, ethoate-methyl, ethobenzanid, ethofumesate, ethohexadiol, ethoprop, ethoprophos, ethox-
 yfen, ethoxyquin, ethoxysulfuron, ethychlozate, ethyl formate, ethyl pyrophosphate, ethylan, ethyl-DDD, ethyl-
 60 ene, ethylene dibromide, ethylene dichloride, ethylene oxide, ethylicin, ethylmercury 2,3-dihydroxypropyl mer-
 captide, ethylmercury acetate, ethylmercury bromide, ethylmercury chloride, ethylmercury phosphate, etinofen,
 ETM, etnipromid, etobenzanid, etofenprox, etoxazole, etridiazole, etrimfos, étrimphos, eugenol, EXD, famoxa-
 done, famphur, fenac, fenamidone, fenaminosulf, fenaminstrobin, fenamiphos, fenapanil, fenarimol, fenasulam,
 fenazaflor, fenazaquin, fenbuconazole, fenbutatin oxide, fenchlorazole, fenchlorphos, fenclofos, fencloirim,
 fenethacarb, fenfluthrin, fenfuram, fenhexamid, fenidin, fenitropan, fenitrothion, fénizon, fenjuntong, fenobucarb,
 65 fenolovo, fenoprop, fenothiocarab, fenoxacrim, fenoxanil, fenoxaprop, fenoxaprop-P, fenoxasulfone, fenoxycarb,
 fempiclonil, fenpirithrin, fenpropathrin, fenpropidin, fenpropimorph, fenpyrazamine, fenpyroximate, fenquinotri-
 one, fenridazon, fenson, fensulfothion, fenteracol, fenthiaaprop, fenthion, fenthion-ethyl, fentiaaprop, fentin, fen-
 trazamide, fentrifanil, fenuron, fenuron-TCA, fenvalerate, ferbam, ferimzone, ferric phosphate, ferrous sulfate,
 70 fipronil, flamprop, flamprop-M, flazasulfuron, flocoumafen, flometoquin, flonicamid, florasulam, fluacrypyrim,

fluazifop, fluazifop-P, fluazinam, fluazolate, fluazuron, flubendiamide, flubenzimine, flubrocyclotrin, flucarba-
 zone, flucetosulfuron, fluchloralin, flucofuron, flucycloxonil, flucytrinate, fludioxonil, fluénéthyl, fluenetil, fluen-
 sulfone, flufenacet, flufenerim, flufenican, flufenoxuron, flufenoxystrobin, flufenprox, flufenpyr, flufenzine, flufip-
 role, fluhexafon, flumethrin, flumetover, flumetralin, flumetsulam, flumezin, flumiclorac, flumioxazin, flumipropyn,
 5 flumorph, fluometuron, fluopicolide, fluopyram, fluorbenseide, fluoridamid, fluoroacetamide, fluoroacetic acid,
 fluorochloridone, fluorodifen, fluoroglycofen, fluoroimide, fluoromide, fluoromidine, fluoronitrofen, fluoroxyppy,
 fluothiuron, fluotrimazole, fluoxastrobin, flupoxam, flupropacil, flupropadine, flupropanate, flupyradifurone,
 flupyrasulfuron, fluquinconazole, fluralaner, flurazole, flurecol, flurenol, fluridone, flurochloridone, fluromidine,
 fluropyryl, flurprimidol, flursulamid, flurtamone, flusilazole, flusulfamide, flutenzine, fluthiacet, fluthiamide, flu-
 tianil, flutolanil, flutriafol, flualinate, fluxapyroxad, fluxofenim, folpel, folpet, fomesafen, fonofos, foramsulfuron,
 10 forchlorfenuron, formaldehyde, formetanate, formothion, formparanate, fosamine, fosetyl, fosmethilan, fospir-
 ate, fosthiazate, fosthietan, frontalin, fthalide, fuberidazole, fucaojing, fucaomi, fujunmanzhi, fulumi, fumarin,
 funaihecaoling, fuphenthioiurea, furalane, furalaxyl, furamethrin, furametpyr, furan tebufenozide, furathiocarb,
 furcarbanil, furconazole, furconazole-cis, furethrin, furfural, furilazole, furmecycloz, furophanate, furyloxyfen,
 15 *gamma*-BHC, *gamma*-cyhalothrin, *gamma*-HCH, genit, gibberellic acid, gibberellin A3, gibberellins, gliftor, glitor,
 glucochloralose, glufosinate, glufosinate-P, glyodin, glyoxime, glyphosate, glyphosine, gossypure, grandlure,
 griseofulvin, guanocotine, guazatine, halacrinat, halauxifen, halfenprox, halofenozide, halosafen, halosulfuron,
 haloxydine, haloxyfop, haloxyfop-P, haloxyfop-R, HCA, HCB, HCH, hemel, hempa, HEOD, heptachlor, heptachlor,
 heptachloracetone, hexachlorobenzene, hexachlorobutadiene, hexachlorophene, hexaconazole, hexaflumuron, hex-
 20 afluoramin, hexaflurate, hexalure, hexamide, hexazinone, hexylthiofos, hexythiazox, HHDN, holosulf, homo-
 brassinolide, huancaiwo, huanchongjing, huangcaoling, huanjunzuo, hydramethylnon, hydrargaphen, hydrated
 lime, hydrogen cyanamide, hydrogen cyanide, hydroprene, hydroxyisoxazole, hymexazol, hyquincarb, IAA,
 IBA, IBP, icaridin, imazalil, imazamethabenz, imazamox, imazapic, imazapyr, imazaquin, imazethapyr, imazo-
 25 sulfuron, imibenconazole, imicyafos, imidacloprid, imidaclothiz, iminoctadine, imiprothrin, inabenfide, indanofan,
 indaziflam, indoxacarb, inezin, infusorial earth, iodobonil, iodocarb, iodofenphos, iodomethane, iodosulfuron,
 iofensulfuron, ioxynil, ipazine, IPC, ipconazole, ipfencarbazone, iprobenfos, iprodione, iprovalicarb, iprymidam,
 ipsdienol, ipsenol, IPSP, IPX, isamidofos, isazofos, isobenzan, isocarbamid, isocarbamide, isocarbophos, isocil,
 isodrin, isofenphos, isofenphos-methyl, isofetamid, isolan, isomethiozin, isonoruron, isopamphos, isopolinate,
 30 isoprocab, isoprocil, isopropalin, isopropazol, isoprothiolane, isotroturon, isopyrazam, isopyrimol, isothioate,
 isotianil, isouron, isovaledione, isoxaben, isoxachlortole, isoxadifen, isoxaflutole, isoxapyrifop, isoxathion,
 isuron, ivermectin, ixoxaben, izopamfos, izopamphos, japonilure, japothrins, jasmolin I, jasmolin II, jasmonic
 acid, jiahuangchongzong, jiajizengxiaolin, jiaxiangjunzhi, jiecaowan, jiecaoxi, Jinganmycin A, jodfenphos, juve-
 35 nile hormone I, juvenile hormone II, juvenile hormone III, kadethrin, *kappa*-bifenthrin, *kappa*-tefluthrin, karbutilate,
 karectazan, kasugamycin, kejunlin, kelevan, ketospiradox, kieselguhr, kinetin, kinoprene, kiralaxyl, kresoxim-
 methyl, kuicaoxi, lactofen, *lambda*-cyhalothrin, latilure, lead arsenate, lenacil, lepimectin, leptophos, lianbenjin-
 gzhi, lime sulfur, lindane, lineatin, linuron, lirimfos, litlure, looplure, lufenuron, lüxiancaolin, lvdngjunzhi, lvfum-
 40 ijvzhi, lvxiancaolin, lythidathion, M-74, M-81, MAA, magnesium phosphide, malathion, maldison, maleic hy-
 drazide, malonoben, maltodextrin, MAMA, mancopper, mancozeb, mandestrobin, mandipropamid, maneb,
 matrine, mazidox, MCC, MCP, MCPA, MCPA-thioethyl, MCPB, MCPP, mebenil, mecarbam, mecarbinzid,
 mecarphon, mecoprop, mecoprop-P, medimeform, medinoterb, medlure, mefenacet, mefenoxam, mefenpyr,
 mefluidide, megatomoic acid, melissyl alcohol, melitoxin, MEMC, menazon, MEP, mepaniprym, meperfluthrin,
 mephenate, mephosfolan, mepiquat, mepronil, meptyldinocap, mercaptodimethur, mercaptophos, mercapto-
 45 phos thiol, mercaptothion, mercuric chloride, mercuric oxide, mercurous chloride, merphos, merphos oxide,
 mesoprazine, mesosulfuron, mesotrione, mesulfen, mesulfenfos, mesulphen, metacresol, metaflumizone, met-
 alaxyl, metalaxyl-M, metaldehyde, metam, metamifop, metamitron, metaphos, metaxon, metazachlor, metazo-
 sulfuron, metazoxolon, metconazole, metepa, metflurazon, methabenzthiazuron, methacrifos, methalpropalin,
 metham, methamidophos, methasulfocarb, methazole, methfuroxam, methibenzuron, methidathion, me-
 50 thiobencarb, methiocarb, methiopyrisulfuron, methiotepa, methiozolin, methiuron, methocrotophos, métholcarb,
 methometon, methomyl, methoprene, methoprotryn, methoprotryne, methoquin-butyl, methothrin, methoxy-
 chlor, methoxyfenozide, methoxyphenone, methyl apholate, methyl bromide, methyl eugenol, methyl iodide,
 methyl isothiocyanate, methyl parathion, methylacetophos, methylchloroform, methylidithiocarbamic acid, meth-
 yldymron, methylene chloride, methyl-isofenphos, methylmercaptophos, methylmercaptophos oxide, methyl-
 55 mercaptophos thiol, methylmercury benzoate, methylmercury dicyandiamide, methylmercury pentachlorophe-
 noxide, methylneodecanamide, methylnitrofos, methyltriazothion, metiozolin, metiram, metiram-zinc, meto-
 benzuron, metobromuron, metofluthrin, metolachlor, metolcarb, metometuron, metominostrobin, metosulam,
 metoxadiazone, metoxuron, metrafenone, metriam, metribuzin, metrifonate, metriphonate, metsulfovax, met-
 sulfuron, mevinphos, mexacarbate, miechuwei, mieshuan, miewenjuzhi, milbemectin, milbemycin oxime, mil-

neb, mima2nan, mipafox, MIPC, mirex, MNAF, moguchun, molinate, molosultap, momfluorothrin, monalide,
 monisuron, monoamitraz, monochloroacetic acid, monocrotophos, monolinuron, monomehypo, monosulfiram,
 monosulfuron, monosultap, monuron, monuron-TCA, morfamquat, moroxydine, morphothion, morzid, moxid-
 ectin, MPMC, MSMA, MTMC, muscalure, myclobutanil, myclozolin, myricyl alcohol, *N*-(ethylmercury)-*p*-tolue-
 nesulphonanilide, NAA, NAAm, nabam, naftalofos, naled, naphthalene, naphthaleneacetamide, naphthalic an-
 hydride, naphthalophos, naphthoxyacetic acids, naphthylacetic acids, naphthylindane-1,3-diones, naphthylxy-
 acetic acids, naproanilide, napropamide, napropamide-M, naptalam, natamycin, NBPOS, neburea, neburon,
 nendrin, neonicotine, nichlorfos, niclofen, niclosamide, nicobifen, nicosulfuron, nicotine, nicotine sulfate, niflu-
 ridide, nikkomycins, NIP, nipyraclufen, nipyralofen, nitenpyram, nithiazine, nitralin, nitrapyrin, nitrilacarb, nitrofen,
 nitrofluorfen, nitrostyrene, nitrothal-isopropyl, nobormide, nonanol, norbormide, norea, norflurazon, normicotine,
 noruron, novaluron, noviflumuron, NPA, nuarimol, nuranone, OCH, octachlorodipropyl ether, octhilineone, o-
 dichlorobenzene, ofurace, omethoate, *o*-phenylphenol, orbencarb, orfralure, orthobencarb, *ortho*-dichloroben-
 zene, orthosulfamuron, oryctalure, orysastrobin, oryzalin, osthol, osthole, ostramone, ovatron, ovex, oxabetrinil,
 oxadiargyl, oxadiazon, oxadixyl, oxamate, oxamyl, oxapyrazon, oxapyrazone, oxasulfuron, oxathiapiprolin, ox-
 aziclomefone, oxine-copper, oxine-Cu, oxolinic acid, oxpoconazole, oxycarboxin, oxydemeton-methyl, oxyde-
 profos, oxydisulfoton, oxenadenine, oxyfluorfen, oxymatine, oxytetracycline, oxythioquinox, PAC, paclobutra-
 zol, paichongding, palléthrine, PAP, *para*-dichlorobenzene, parafluron, paraquat, parathion, parathion-methyl,
 parinol, Paris green, PCNB, PCP, PCP-Na, *p*-dichlorobenzene, PDJ, pebulate, pédinex, pefurazoate, pelargonic
 acid, penconazole, pencycuron, pendimethalin, penfenate, penflufen, penfluron, penoxalin, penoxsulam, pen-
 tachlorophenol, pentachlorophenyl laurate, pentanochlor, penthiopyrad, pentmethrin, pentoxazone, perchlo-
 rdecone, perfluidone, permethrin, pethoxamid, PHC, phenamacril, phenamacril-ethyl, phénaminosulf, phen-
 azine oxide, phénétacarbe, phenisopham, phenkapton, phenmedipham, phenmedipham-ethyl, phenobenzuron,
 phenothiol, phenothrin, phenproxide, phenthoate, phenylmercuriurea, phenylmercury acetate, phenylmercury
 chloride, phenylmercury derivative of pyrocatechol, phenylmercury nitrate, phenylmercury salicylate, phorate,
 phosacetim, phosalone, phosametine, phosazetim, phosazetin, phoscyclotin, phosdiphen, phosethyl, phosfo-
 lan, phosfolan-methyl, phosglycin, phosmet, phosnichlor, phosphamide, phosphamidon, phosphine, phosphi-
 nothricin, phosphocarb, phosphorus, phostin, phoxim, phoxim-methyl, phthalide, phthalophos, phthalthrin, picar-
 butrazox, picaridin, picloram, picolinafen, picoxystrobin, pimaricin, pindone, pinoxaden, piperalin, piperazine,
 piperonyl butoxide, piperonyl cyclonene, piperophos, piproctanly, piproctanyl, piprotal, pirimetaphos, pirimicarb,
 piriminil, pirimioxyphos, pirimiphos-ethyl, pirimiphos-methyl, pival, pivaldione, plifenate, PMA, PMP, poly-
 butenes, polycarbamate, polychlorcamphene, polyethoxyquinoline, polyoxin D, polyoxins, polyoxorim, polythi-
 alan, potassium arsenite, potassium azide, potassium cyanate, potassium ethylxanthate, potassium naphthen-
 ate, potassium polysulfide, potassium thiocyanate, pp'-DDT, prallethrin, precocene I, precocene II, precocene
 III, pretilachlor, primidophos, primisulfuron, probenazole, prochloraz, proclonol, procyazine, procymidone, pro-
 diamine, profenofos, profluazol, profluralin, profluthrin, profoxydim, profurite-aminium, proglinazine, prohexadi-
 one, prohydrojasmon, promacyl, promecarb, prometon, prometryn, prometryne, promurit, pronamide,
 propachlor, propafos, propamidine, propamocarb, propanil, propaphos, propaquizafop, propargite, proparthrin,
 propazine, propetamphos, propham, propiconazole, propidine, propineb, propisochlor, propoxur, propoxycar-
 bazine, propyl isome, propyrisulfuron, propyzamide, proquinazid, prosuler, prosulfalin, prosulfocarb, prosul-
 furon, prothidathion, prothiocarb, prothioconazole, prothiofos, prothoate, protrifenbute, proxan, prymidophos,
 prynachlor, psoralen, psoralene, pydanon, pyflubumide, pymetrozine, pyracarbolid, pyraclofos, pyraclonil, pyr-
 aclostrobin, pyraflufen, pyrafluprole, pyramat, pyrametostrobin, pyraoxystrobin, pyrasulfotole, pyraziflumid,
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 ributicarb, pyriclor, pyridaben, pyridafol, pyridalyl, pyridaphenthion, pyridaphenthione, pyridate, pyridinitril, py-
 rifenox, pyrifluquinazon, pyrifitalid, pyrimétaphos, pyrimethanil, pyrimicarbe, pyrimidifen, pyriminobac, pyrimi-
 nostrobin, pyrimiphos-éthyl, pyrimiphos-méthyl, pyrimisulfan, pyrimitate, pyrinuron, pyriofenone, pyriprole, py-
 ripropanol, pyriproxifen, pyrisoxazole, pyrithiobac, pyrolan, pyroquilon, pyroxasulfone, pyroxsulam, pyroxychlor,
 pyroxyfur, qincaosuan, qingkuling, quassia, quinacetol, quinalphos, quinalphos-methyl, quinazamid, quinclozac,
 quinconazole, quinmerac, quinochloramine, quinomethionate, quinonamid, quinothion, quinoxyfen, quintiofos,
 quintozene, quizalofop, quizalofop-P, quwenzhi, quyingding, rabenzazole, rafoxanide, R-diniconazole,
 rebemide, reglone, renriduron, rescalure, resmethrin, rhodethanil, rhodojaponin-III, ribavirin, rimsulfuron, riza-
 zole, R-metalaxyl, rodéthanil, ronnel, rotenone, ryania, sabadilla, saflufenacil, saijunmao, saisentong, salicy-
 lanilide, salifluofen, sanguinarine, santonin, S-bioallethrin, schradan, scilliroside, sebuthylazine, secbumeton,
 sedaxane, selamectin, semiamitraz, sesamex, sesamolin, sesone, sethoxymid, sevin, shuangjiaancaoilin, sh-
 uangjiaancaoilin, S-hydroprene, siduron, sifumijvzhi, siglure, silafluofen, silatrane, silica aerogel, silica gel,
 silthiofam, silthiopham, silthiophan, silvex, simazine, simeconazole, simeton, simetryn, simetryne, sintofen, S-
 kinoprene, slaked lime, SMA, S-methoprene, S-metolachlor, sodium arsenite, sodium azide, sodium chlorate,

sodium cyanide, sodium fluoride, sodium fluoroacetate, sodium hexafluorosilicate, sodium naphthenate, sodium o-phenylphenoxide, sodium orthophenylphenoxide, sodium pentachlorophenate, sodium pentachlorophenoxide, sodium polysulfide, sodium silicofluoride, sodium tetrathiocarbonate, sodium thiocyanate, solan, sophamide, spinetoram, spinosad, spirodiclofen, spiromesifen, spirotetramat, spiroxamine, stirofos, streptomycin, strychnine, sulcatol, sulcofuron, sulcotrione, sulfallate, sulfentrazone, sulfiram, sulfluramid, sulfodiazole, sulfometuron, sulfosate, sulfosulfuron, sulfotep, sulfotepp, sulfoxaflor, sulfoxide, sulfoxime, sulfur, sulfuric acid, sulfuryl fluoride, sulglycapin, sulphosate, sulprofos, sultropen, swep, tau-fluvalinate, tavrion, tazimcarb, TBTO, TBZ, TCA, TCBA, TCMTB, TCNB, TDE, tebuconazole, tebufenozide, tebufenpyrad, tebufloquin, tebupirimfos, tebutam, tebuthiuron, tecloftalam, tecnazene, tecoram, tedion, teflubenzuron, tefluthrin, tefuryltrione, tembotrione, temefos, temephos, tepa, TEPP, tepraloxymid, teproloxydim, terallethrin, terbacil, terbucarb, terbutylchlor, terbufos, terbumeton, terbutylazine, terbutol, terbutryn, terbutryne, terraclor, terramicin, terramycin, tetcyclacis, tetrachloroethane, tetrachlorvinphos, tetraconazole, tetradifon, tetradisul, tetrafluron, tetramethrin, tetramethylfluthrin, tetramine, tetranactin, tetraniliprole, tetrapion, tetrasul, thallium sulfate, thallosulfate, thenylchlor, *theta*-cypermethrin, thiabendazole, thiachlorid, thiadiazine, thiadifluor, thiamethoxam, thiameturon, thiapronil, thiazafurion, thiazfluron, thiazone, thiazopyr, thicofos, thicyofen, thidiazimin, thidiazuron, thiencarbazone, thifensulfuron, thifluzamide, thimerosal, thimet, thiobencarb, thiocarboxime, thiochlorfenphim, thiochlorphenphime, thiocyanatodinitrobenzenes, thiocyclam, thiodan, thiodiazole-copper, thiodicarb, thiofanocarb, thiofanox, thiofluoximate, thiohempa, thiomersal, thiometon, thionazin, thiophanate, thiophanate-ethyl, thiophanate-methyl, thiophos, thioquinox, thiosemicarbazide, thiosultap, thiotepa, thioxamyl, thiram, thiuram, thuringiensin, tiabendazole, tiadinil, tiafenacil, tiaojiean, TIBA, tifatol, tiocarbazil, tioclorim, tioazafen, tioxyimid, tirpate, TMTD, tolclofos-methyl, tolfenpyrad, tolprocarb, tolpyralate, tolyfluanid, tolyfluanid, tolylmercury acetate, tomarin, topramezone, toxaphene, TPN, tralkoxydim, tralocyttrin, tralomethrin, tralopyril, transfluthrin, transpermethrin, tretamine, triacantanol, triadimefon, triadimenol, triafamone, triallate, tri-allate, triamiphos, triapenthenol, triarathene, triarimol, triasulfuron, triazamate, triazbutil, triaziflam, triazophos, triazothion, triazoxide, tribasic copper chloride, tribasic copper sulfate, tribenuron, tribufos, tributyltin oxide, tricamba, trichlamide, trichlopyr, trichlorfon, trichlormetaphos-3, trichloronat, trichloronate, trichlorotrinitrobenzenes, trichlorophon, triclopyr, triclopyricarb, tricresol, tricyclazole, tricyclohexyltin hydroxide, tridemorph, tridiphane, trietazine, trifenmorph, trifenofos, trifloxystrobin, trifloxysulfuron, trifludimoxazin, triflumezopyrim, triflumizole, triflumuron, trifluralin, triflusulfuron, trifop, trifopsime, triforine, trihydroxytriazine, trimedlure, trimethacarb, trimeturon, trinexapac, triphenyltin, triprene, tripropindan, triptolide, tritac, trithialan, triticonazole, tritosulfuron, trunc-call, tuoyelin, uniconazole, uniconazole-P, urbacide, uredepa, valerate, validamycin, validamycin A, valifenalate, valone, vamiidothion, vangard, vaniliprole, vernolate, vinclozolin, vitamin D3, warfarin, xiaochongliulin, xinjunan, xiwojunan, xiwojunzhi, XMC, xylachlor, xylenols, xylylcarb, xymiazole, yishijing, zarilamid, zeatin, zengxiaolan, zengxiaolin, *zeta*-cypermethrin, zinc naphthenate, zinc phosphide, zinc thiazole, zinc thiozole, zinc trichlorophenate, zinc trichlorophenoxide, zineb, ziram, zolaprofos, zoocoumarin, zoxamide, zuoanjunzhi, zuocaoan, zuojunzhi, zuomihuanglong, α -chlorohydrin, α -ecdysone, α -multistriatin, α -naphthaleneacetic acids, and β -ecdysone;

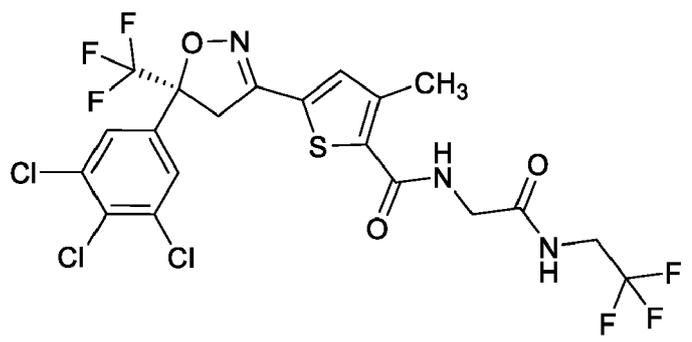
(2)



N-(3-chloro-1-(pyridin-3-yl)-1*H*-pyrazol-4-yl)-*N*-ethyl-3-((3,3,3-trifluoropropyl)thio)propanamide ;
(3) the molecule known as Lotilaner which has the following structure

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and
(4) the molecules in the following table:

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Name	Structure
M1	<p>R = CH, N R₁ = H, Me</p>
M2	<p>X = F, Cl R = H, F</p>
M3	

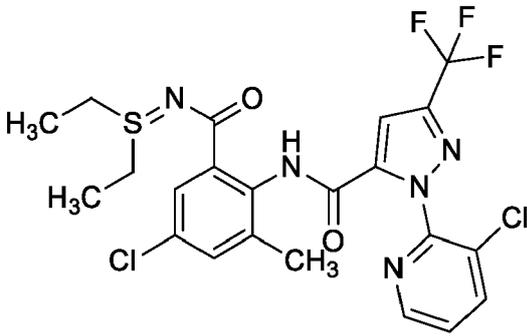
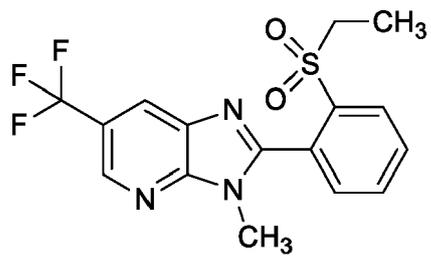
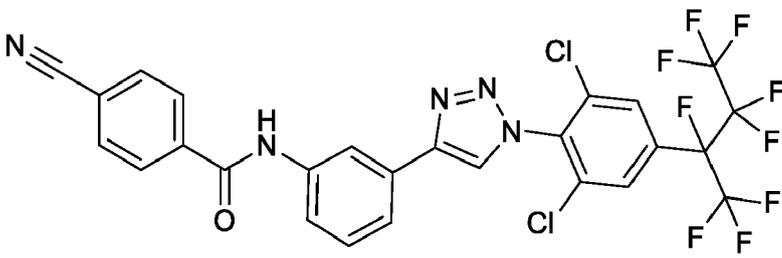
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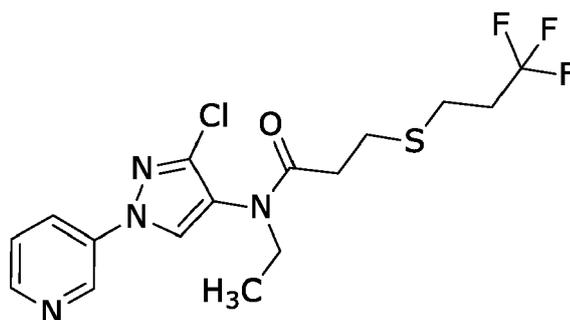
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(continued)

Name	Structure
M4	
M5	
M6	

7. A pesticidal composition according to claim 5 wherein said active ingredient is selected from



N-(3-chloro-1-(pyridin-3-yl)-1H-pyrazol-4-yl)-*N*-ethyl-3-((3,3,3-trifluoropropyl)thio)propanamide, 1,3-dichloropropene, chlorpyrifos, chlorpyrifos-methyl, hexaflumuron, methoxyfenozide, noviflumuron, spinetoram, spinosad, sulfoxaflor, and sulfuryl fluoride.

8. A pesticidal composition according to claim 5, comprising a material having a mode of action (MoA Material) selected from:

- (1) Acetylcholinesterase (AChE) inhibitors;
- (2) GABA-gated chloride channel antagonists;
- (3) Sodium channel modulators;

- (4) Nicotinic acetylcholine receptor (nAChR) agonists;
 (5) Nicotinic acetylcholine receptor (nAChR) allosteric activators;
 (6) Chloride channel activators;
 (7) Juvenile hormone mimics;
 5 (8) Miscellaneous nonspecific (multi-site) inhibitors;
 (9) Modulators of Chordotonal Organs;
 (10) Mite growth inhibitors;
 (11) Microbial disruptors of insect midgut membranes;
 (12) Inhibitors of mitochondrial ATP synthase;
 10 (13) Uncouplers of oxidative phosphorylation via disruption of the proton gradient;
 (14) Nicotinic acetylcholine receptor (nAChR) channel blockers;
 (15) Inhibitors of chitin biosynthesis, type 0;
 (16) Inhibitors of chitin biosynthesis, type 1;
 (17) Moulting disruptor, Dipteran;
 15 (18) Ecdysone receptor agonists;
 (19) Octopamine receptor agonists;
 (20) Mitochondrial complex III electron transport inhibitors;
 (21) Mitochondrial complex I electron transport inhibitors;
 (22) Voltage-dependent sodium channel blockers;
 20 (23) Inhibitors of acetyl CoA carboxylase;
 (24) Mitochondrial complex IV electron transport inhibitors;
 (25) Mitochondrial complex II electron transport inhibitors; and
 (28) Ryanodine receptor modulators.
- 25 9. A pesticidal composition according to claim 8 wherein said **MoA Material** is from the following materials: abamectin, acephate, acequinocyl, acetamiprid, acrinathrin, alanycarb, aldicarb, allethrin, *alpha*-cypermethrin, aluminium phosphide, amitraz, azamethiphos, azinphos-ethyl, azinphos-methyl, azocyclotin, bendiocarb, benfuracarb, bensultap, *beta*-cyfluthrin, *beta*-cypermethrin, bifenthrin, bioallethrin, bioallethrin S-cyclopentenyl isomer, bioresmethrin, bis-trifluron, borax, buprofezin, butocarboxim, butoxycarboxim, cadusafos, calcium phosphide, carbaryl, carbofuran, carbosulfan, cartap hydrochloride, chlorantraniliprole, chlordane, chlorethoxyfos, chlorfenapyr, chlorfenvinphos, chlorfluazuron, chlormephos, chloropicrin, chlorpyrifos, chlorpyrifos-methyl, chromafenozide, clofentezine, clothianidin, coumaphos, cyanide, cyanophos, cyantraniliprole, cycloprothrin, cyenopyrafen, cyflumetofen, cyfluthrin, cyhalothrin, cyhexatin, cypermethrin, cyphenothrin, cyromazine, *d-cis-trans*-allethrin, DDT, deltamethrin, demeton-S-methyl, diafenthiuron, diazinon, dichlorvos/DDVP, dicrotophos, diflovidazin, diflubenzuron, dimethoate, dimethylvinphos, dinotefuran, disulfoton, DNOC, *d-trans*-allethrin, emamectin benzoate, empenthrin, endosulfan, EPN, esfenvalerate, ethiofencarb, ethion, ethoprophos, etofenprox, etoxazole, famphur, fenamiphos, fenazaquin, fenbutatin oxide, fenitrothion, fenobucarb, fenoxycarb, fenpropathrin, fenpyroximate, fenthion, fenvalerate, flonicamid, fluacrypyrim, flubendiamide, flucycloxuron, flucythrinate, flufenoxuron, flumethrin, flupyradifurone, formetanate, fos-thiazate, furathiocarb, *gamma*-cyhalothrin, halfenprox, halofenozide, heptenophos, hexaflumuron, hexythiazox, hydrodramethylnon, hydroprene, imicyafos, imidacloprid, imiprothrin, indoxacarb, isofenphos, isoprocarb, isoxathion, kadethrin, kinoprene, *lambda*-cyhalothrin, lepimectin, lufenuron, malathion, mecarbam, metaflumizone, methamidophos, methidathion, methiocarb, methomyl, methoprene, (methoxyaminothio-phosphoryl) salicylate, methoxychlor, methoxyfenozide, methyl bromide, metolcarb, mevinphos, milbemectin, monocrotophos, naled, nicotine, nitenpyram, novaluron, noviflumuron, oxamyl, oxydemeton-methyl, parathion, parathion-methyl, permethrin, phenothrin, phenthoate, phorate, phosalone, phosmet, phosphamidon, phosphine, phoxim, pirimicarb, pirimiphos-methyl, prallethrin, profenofos, propargite, propetamphos, propoxur, prothiofos, pymetrozine, pyraclofos, pyrethrin, pyridaben, pyridaphenthion, pyrimidifen, pyriproxyfen, quinalphos, resmethrin, rotenone, silafluofen, spinetoram, spinosad, spirodiclofen, spiromesifen, spirotetramat, sulfuramid, sulfotep, sulfoxaflo, sulfuryl fluoride, tartar emetic, *tau*-fluvallinate, tebufenozide, tebufenpyrad, tebufirimfos, teflubenzuron, tefluthrin, temephos, terbufos, tetrachlorvinphos, tetradifon, tetramethrin, tetramethrin, *theta*-cypermethrin, thiocloprid, thiamethoxam, thiocyclam, thiodicarb, thiofanox, thiometon, thiosultap-sodium, tolfenpyrad, tralomethrin, transfluthrin, triazamate, triazophos, trichlorfon, triflumuron, trimethacarb, vamidothion, XMC, xylylcarb, *zeta*-cypermethrin, and zinc phosphide.
- 30 10. A pesticidal composition according to any one of claims 5, 6, 7, 8, or 9, wherein the weight ratio of the molecule according to Formula One to said active ingredient is
- 35 (a) 100:1 to 1:100;
 (b) 50:1 to 1:50;
- 40
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- (c) 20:1 to 1:20;
 (d) 10:1 to 1:10;
 (e) 5:1 to 1:5;
 (f) 3:1 to 1:3;
 (g) 2:1 to 1:2; or
 (h) 1:1.

11. A non-therapeutic process to control a pest said process comprising applying to a locus, a pesticidally effective amount of a molecule according to any one of the claims 1, 2, 3, or 4.

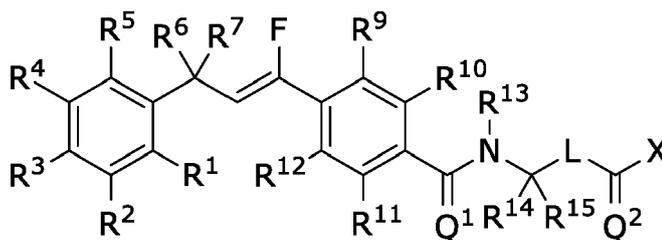
12. A non-therapeutic process to control a pest, said process comprising applying to a locus, a pesticidally effective amount of a pesticidal composition according to any one of the claims 5, 6, 7, 8, 9, or 10.

13. A process to produce a pesticidal composition, said process comprising mixing a molecule according to any one of claims 1, 2, 3, or 4, with one or more active ingredients.

14. A molecule according to any one of claims 1, 2, 3, or 4 for use in controlling endoparasites and ectoparasites in the veterinary medicine sector and in the field of non-human-animal keeping or in therapeutic methods for human health care.

Patentansprüche

1. Ein Molekül mit der folgenden Formel:



Formel Eins

wobei:

(A) **R¹**, **R⁵**, **R⁶**, **R¹¹** und **R¹²** jeweils unabhängig voneinander aus H, F, Cl, Br, I, CN, (C₁-C₆)-Alkyl, (C₁-C₆)-Halogenalkyl, (C₁-C₆)-Alkoxy und (C₁-C₄)-Halogenalkoxy ausgewählt sind;

(B) **R²**, **R³** und **R⁴** jeweils unabhängig voneinander aus H, F, Cl, Br, I, CN, (C₁-C₆)-Alkyl, (C₂-C₆)-Alkenyl, (C₂-C₆)-Alkyl, (C₁-C₆)-Halogenalkyl, (C₁-C₆)-Alkoxy und (C₁-C₆)-Halogenalkoxy ausgewählt sind;

(C) **R⁷** (C₁-C₆)-Halogenalkyl ist;

(D) **R⁹** aus (F), H, F, Cl, Br, I, CN, (C₁-C₄)-Alkyl, (C₁-C₄)-Halogenalkyl, (C₁-C₄)-Alkoxy und (C₁-C₄)-Halogenalkoxy ausgewählt ist;

(E) **R¹⁰** aus (F), F, Cl, Br, I, CN, (C₁-C₆)-Alkyl, (C₂-C₆)-Alkenyl, (C₂-C₆)-Alkyl, (C₁-C₆)-Halogenalkyl, (C₁-C₆)-Alkoxy und (C₁-C₆)-Halogenalkoxy ausgewählt ist;

(F) **R⁹** und **R¹⁰** zusammengenommen wahlweise einen 3- bis 5-gliedrigen, gesättigten oder ungesättigten Kohlenwasserstofflinker bilden können, wobei dieser Kohlenwasserstofflinker wahlweise mit einem oder mehreren Substituenten, unabhängig voneinander ausgewählt aus F, Cl, Br, I, CN, OH und Oxo, substituiert sein kann;

(G) **Q¹** und **Q²** jeweils unabhängig voneinander O oder S sind;

(H) **R¹³** aus H, (C₁-C₆)-Alkyl, (C₂-C₆)-Alkenyl, (C₁-C₆)-Halogenalkyl, (C₁-C₆)-Alkoxy und (C₁-C₆)-Halogenalkoxy ausgewählt ist;

(I) **R¹⁴** aus (K), (O), H, (C₁-C₄)-Alkyl, (C₂-C₆)-Alkenyl, (C₁-C₆)-Halogenalkyl, (C₁-C₆)-Alkoxy und (C₁-C₆)-Halogenalkoxy ausgewählt ist;

(J) **R¹⁵** aus (K), H, (C₁-C₆)-Alkyl, (C₂-C₆)-Alkenyl, (C₁-C₆)-Halogenalkyl, (C₁-C₆)-Alkoxy und (C₁-C₆)-Halogenalkoxy ausgewählt ist;

(K) **R¹⁴** und **R¹⁵** zusammengenommen wahlweise einen 2- bis 5-gliedrigen, gesättigten Kohlenwasserstofflinker

bilden können, wobei dieser Kohlenwasserstofflinker wahlweise mit einem oder mehreren Substituenten, unabhängig voneinander ausgewählt aus F, Cl, Br, I und CN, substituiert sein kann;

(L) L ausgewählt ist aus

- 5 (1) einer Bindung und
 (2) einem (C₁-C₆)-Alkyl, wobei dieses Alkyl wahlweise mit einem oder mehreren Substituenten, unabhängig voneinander ausgewählt aus F, Cl, CN, OH und Oxo, substituiert sein kann;

(M) X ausgewählt ist aus

- 10 (1) R¹⁷,
 (2) NR¹⁶R¹⁷,
 (3) OR¹⁷ und
 (4) SR¹⁷;

(N) R¹⁶ aus (O), (Q), H, (C₁-C₆)-Alkyl, (C₂-C₆)-Alkenyl, (C₁-C₆)-Halogenalkyl, (C₁-C₆)-Alkoxy, (C₂-C₆)-Alkenyloxy, (C₁-C₆)-Halogenalkoxy, Amino und NHC(O)O(C₁-C₆)-Alkyl ausgewählt ist;

(O) R¹⁴ und R¹⁶ zusammengenommen wahlweise einen 2- bis 4-gliedrigen, gesättigten Linker bilden können, der entweder (1) ein Kohlenwasserstofflinker oder (2) ein Heterokohlenwasserstofflinker, der ein oder mehrere Heteroatome ausgewählt aus Stickstoff, Schwefel und Sauerstoff enthält, sein kann, wobei dieser Linker wahlweise mit einem oder mehreren Substituenten, unabhängig voneinander ausgewählt aus F, Cl, Br, I, CN, OH und Oxo, substituiert sein kann;

(P) R¹⁷ aus (Q), H, (C₁-C₆)-Alkyl, (C₂-C₆)-Alkenyl, (C₂-C₆)-Alkyl, (C₁-C₆)-Halogenalkyl, (C₂-C₆)-Halogenalkenyl, (C₃-C₆)-Halogenacycloalkyl, (C₁-C₆)-Alkoxy, (C₃-C₆)-Cycloalkyl, (C₂-C₆)-Alkenyloxy, (C₁-C₆)-Halogenalkoxy und (C₁-C₆)-Alkyl-(C₃-C₆)-cycloalkyl ausgewählt ist;

(Q) R¹⁶ und R¹⁷ zusammengenommen wahlweise einen 2- bis 6-gliedrigen, gesättigten Linker bilden können, der entweder (1) ein Kohlenwasserstofflinker oder (2) ein Heterokohlenwasserstofflinker, der ein oder mehrere Heteroatome ausgewählt aus Stickstoff, Schwefel und Sauerstoff enthält, sein kann, wobei dieser Linker wahlweise mit einem oder mehreren Substituenten, unabhängig voneinander ausgewählt aus F, Cl, Br, I, CN, OH und Oxo substituiert sein kann; und landwirtschaftlich akzeptable Säureadditionssalze, Salzderivate, Solvate, Esterderivate, Kristallpolymorphe, Isotope, getrennte Stereoisomere und Tautomere der Moleküle der Formel Eins.

2. Ein Molekül gemäß Anspruch 1, wobei:

- 35 (A) R¹, R⁵, R⁶, R¹¹ und R¹² H sind;
 (B) R², R³ und R⁴ jeweils unabhängig voneinander aus H, F, Cl, Br, (C₁-C₆)-Alkyl und (C₂-C₆)-Alkenyl ausgewählt sind;
 (C) R⁷ (C₁-C₆)-Halogenalkyl ist;
 (D) R⁹ H ist;
 (E) R¹⁰ aus Cl, Br, I, (C₁-C₆)-Alkyl und (C₁-C₆)-Halogenalkyl ausgewählt ist;
 (G) Q¹ und Q² O sind;
 (H) R¹³ aus H und (C₁-C₆)-Alkyl ausgewählt ist;
 (I) R¹⁴ aus (K), (O), H und (C₁-C₄)-Alkyl ausgewählt ist;
 (J) R¹⁵ aus (K), H und (C₁-C₆)-Alkyl ausgewählt ist;
 (K) R¹⁴ und R¹⁵ zusammengenommen wahlweise einen 2- bis 5-gliedrigen, gesättigten Kohlenwasserstofflinker bilden können;
 (L) L eine Bindung ist;
 (M) X aus

- 50 (1) R¹⁷ und
 (2) NR¹⁶R¹⁷

ausgewählt ist;

(N) R¹⁶ aus (O), H, (C₁-C₆)-Alkyl, (C₁-C₆)-Alkoxy, (C₂-C₆)-Alkenyloxy, Amino und NHC(O)O(C₁-C₆)-Alkyl ausgewählt ist;

(O) R¹⁴ und R¹⁶ zusammengenommen wahlweise einen 2- bis 4-gliedrigen, gesättigten Linker bilden können, der entweder (1) ein Kohlenwasserstofflinker oder (2) ein Heterokohlenwasserstofflinker, der ein oder mehrere

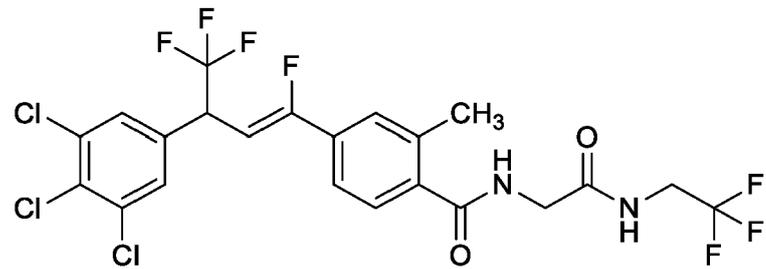
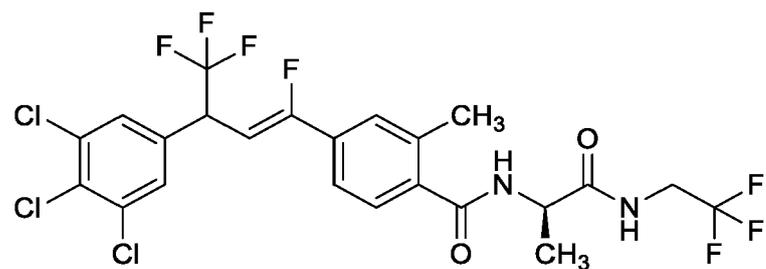
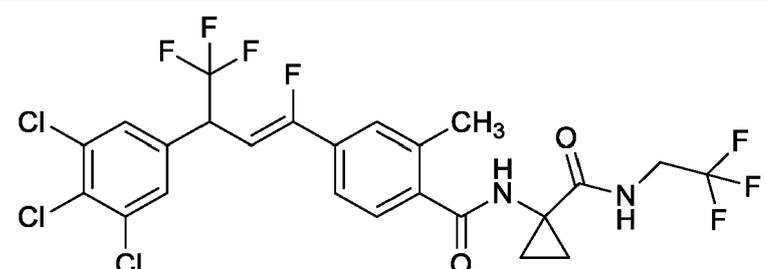
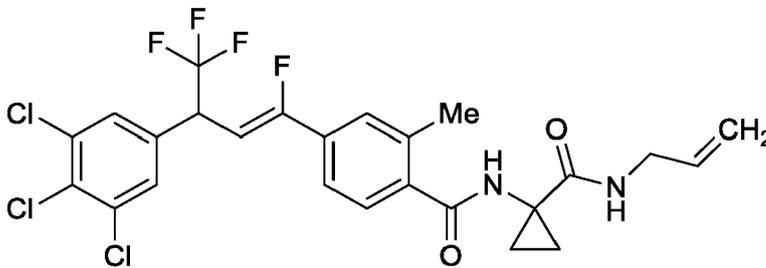
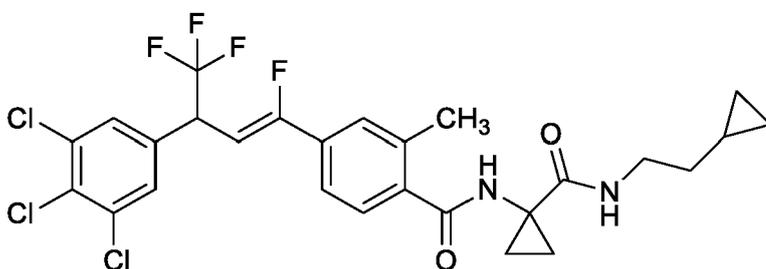
Sauerstoffatome beinhaltet, sein kann;

(P) R¹⁷ aus H, (C₁-C₆)-Alkyl, (C₂-C₆)-Alkenyl, (C₂-C₆)-Alkynyl, (C₁-C₆)-Halogenalkyl, (C₂-C₆)-Halogenalkenyl, (C₃-C₆)-Halogenacycloalkyl und (C₁-C₆)-Alkyl-(C₃-C₆)-cycloalkyl ausgewählt ist.

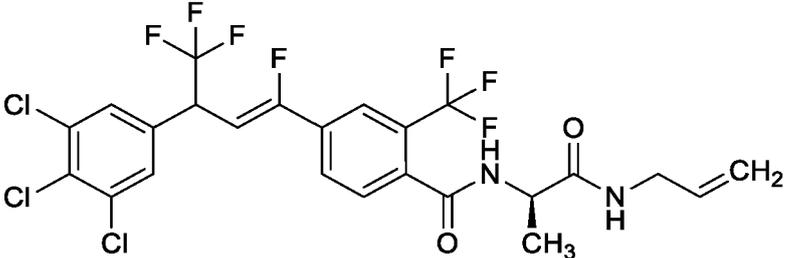
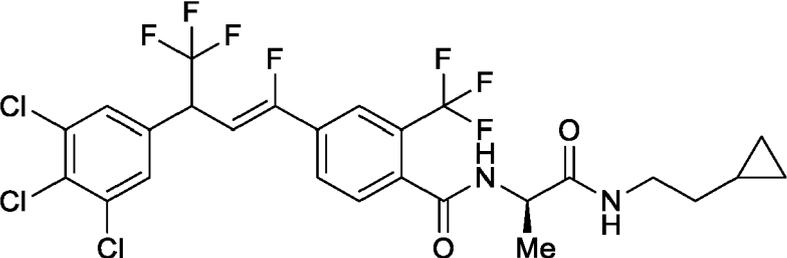
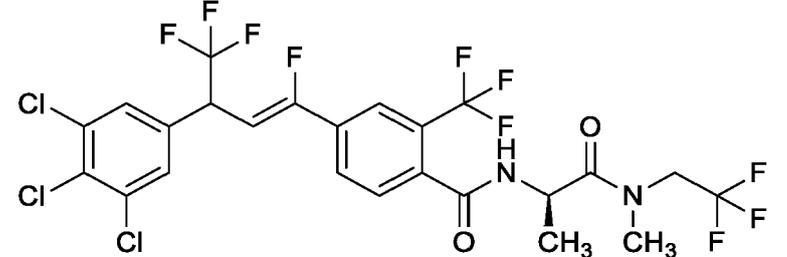
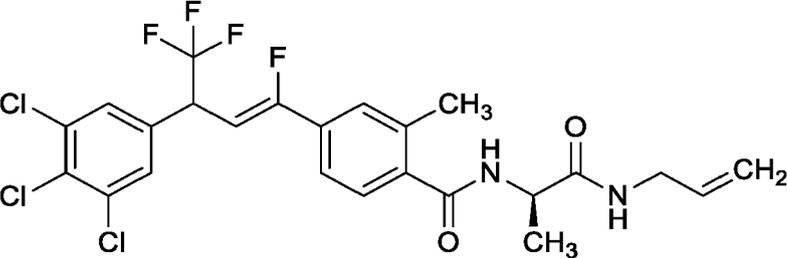
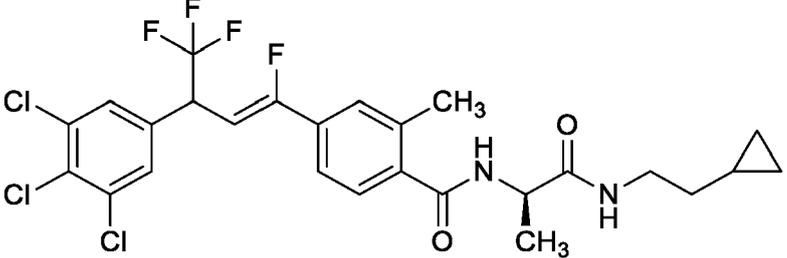
- 5 3. Ein Molekül gemäß Anspruch 1, wobei dieses Molekül aus einem der Moleküle aus der nachfolgenden Tabelle ausgewählt ist:

Nr.	Struktur
F1	
F2	
F3	
F4	
F5	

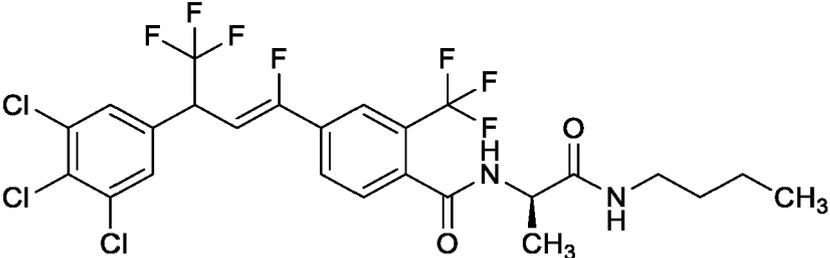
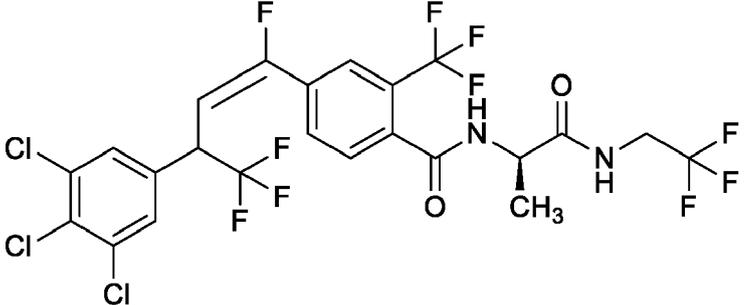
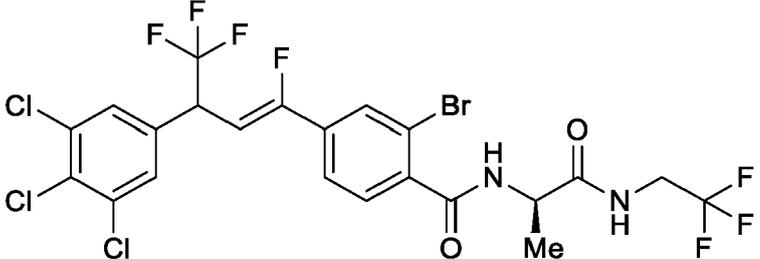
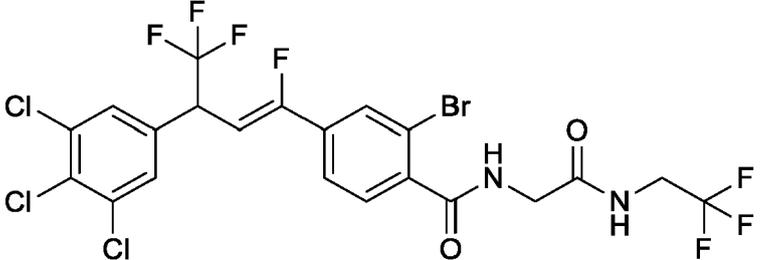
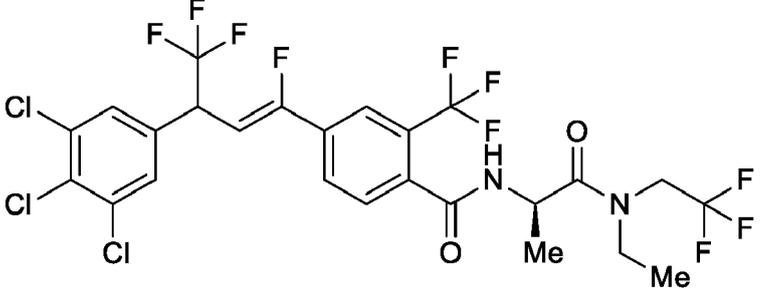
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Nr.	Struktur
F6	
F7	
F8	
F9	
F10	

(fortgesetzt)

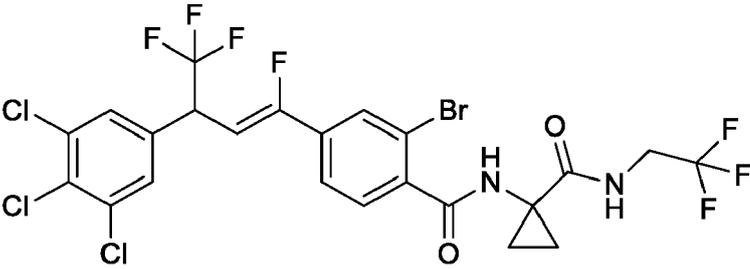
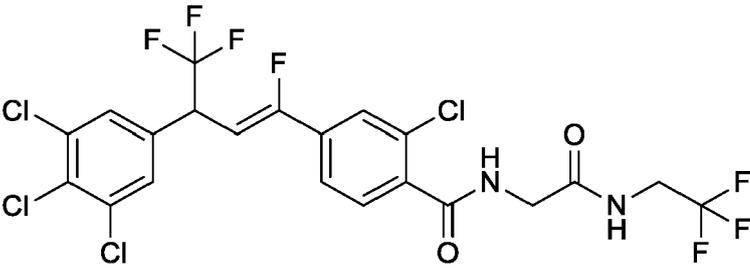
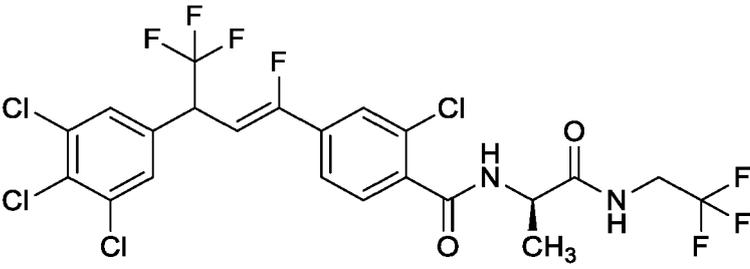
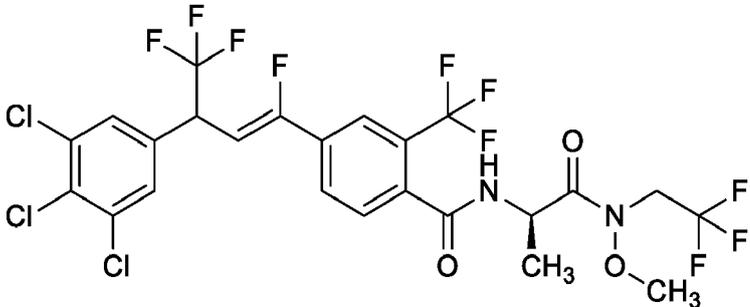
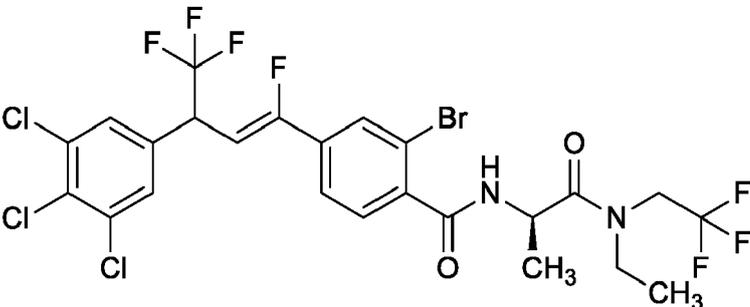
Nr.	Struktur
F11	 <chem>CC(C(=O)NCC=C)Nc1c(F)c(F)ccc1C=C(C(F)(F)F)c2cc(Cl)c(Cl)c(Cl)c2</chem>
F12	 <chem>CC(C(=O)NCCC1CC1)Nc1c(F)c(F)ccc1C=C(C(F)(F)F)c2cc(Cl)c(Cl)c(Cl)c2</chem>
F13	 <chem>CC(C(=O)N(C)CC(F)(F)F)Nc1c(F)c(F)ccc1C=C(C(F)(F)F)c2cc(Cl)c(Cl)c(Cl)c2</chem>
F14	 <chem>CC(C(=O)NCC=C)Nc1c(C)cccc1C=C(C(F)(F)F)c2cc(Cl)c(Cl)c(Cl)c2</chem>
F15	 <chem>CC(C(=O)NCCC1CC1)Nc1c(C)cccc1C=C(C(F)(F)F)c2cc(Cl)c(Cl)c(Cl)c2</chem>

(fortgesetzt)

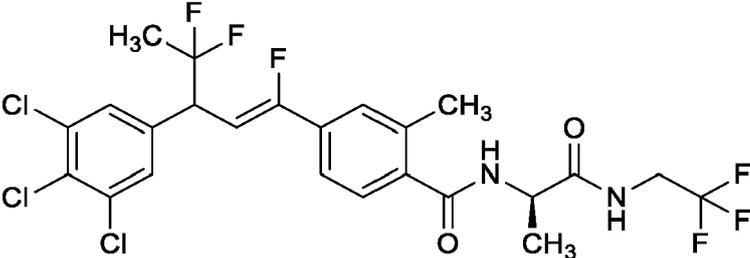
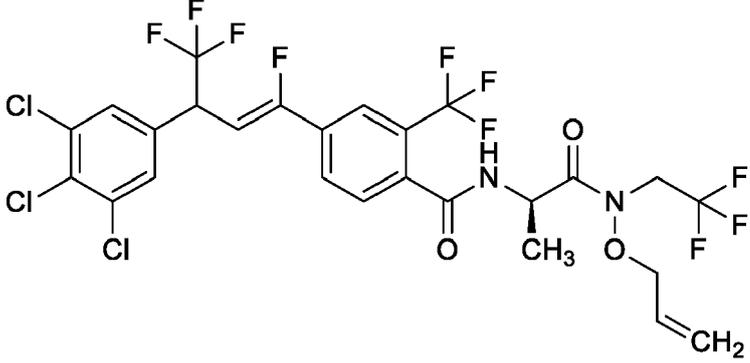
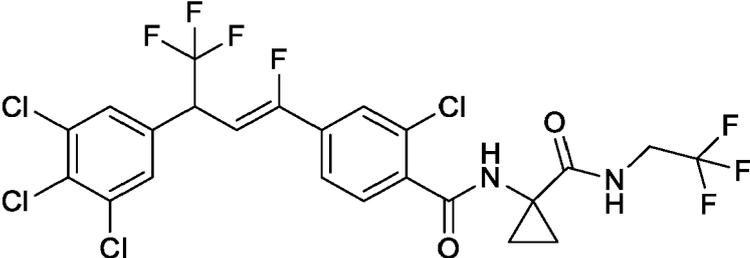
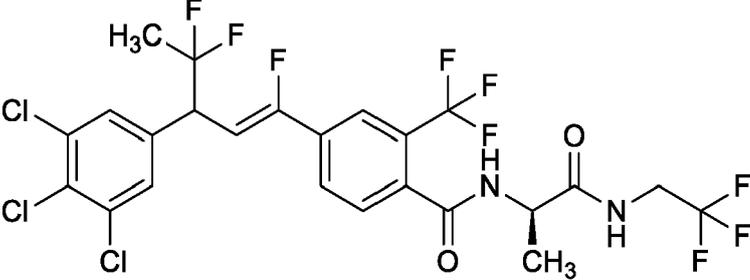
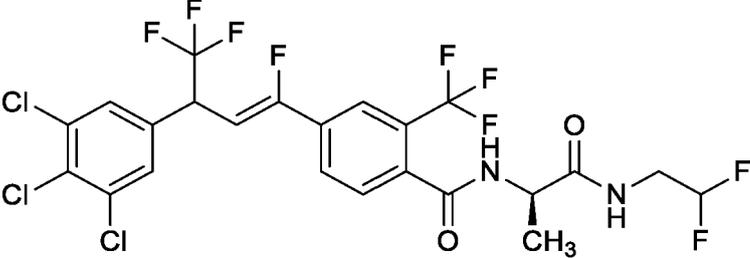
Nr.	Struktur
F16	
F17	
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F19	
F20	

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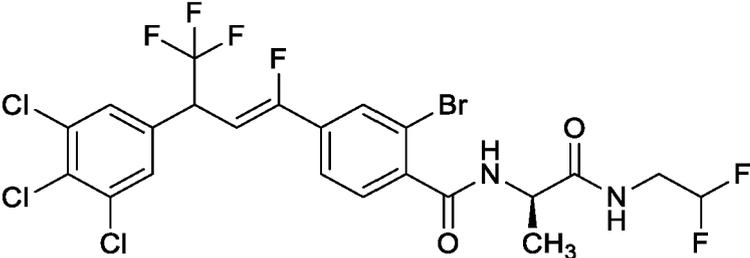
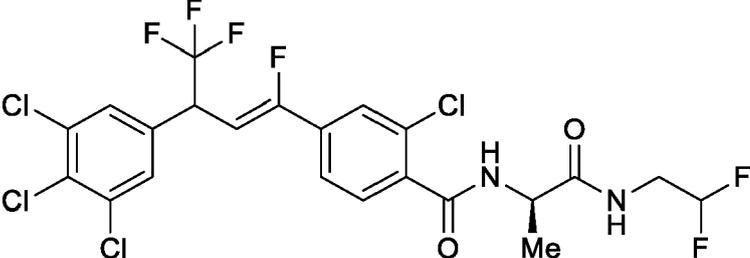
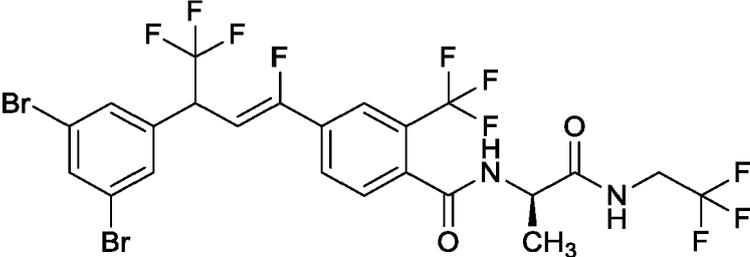
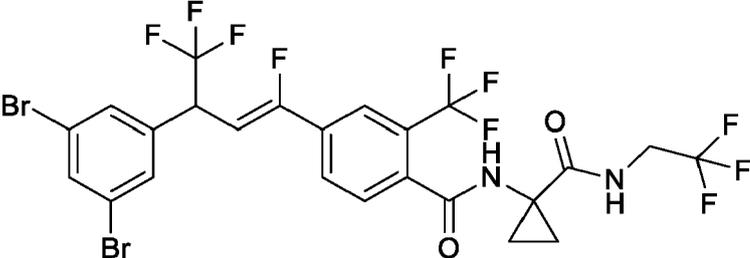
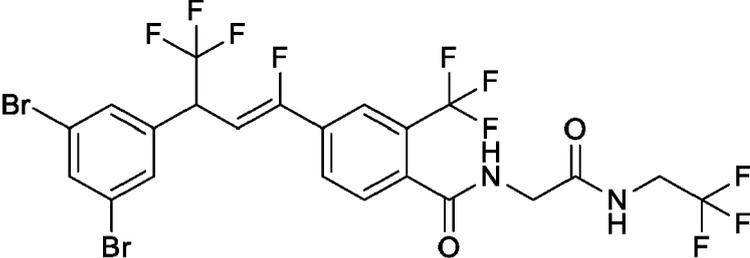
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Nr.	Struktur
F21	
F22	
F23	
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F25	

(fortgesetzt)

Nr.	Struktur
F26	
F27	
F28	
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F30	

(fortgesetzt)

Nr.	Struktur
F31	
F32	
F33	
F34	
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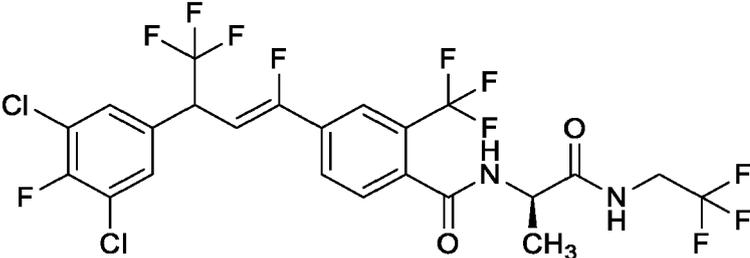
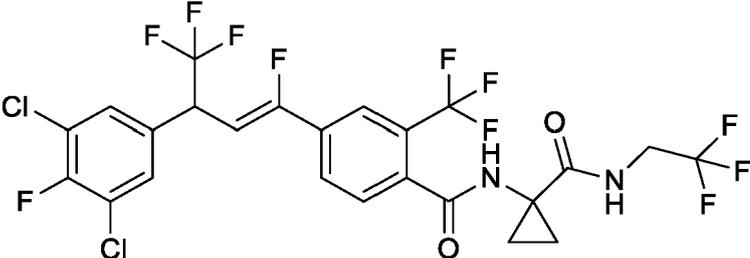
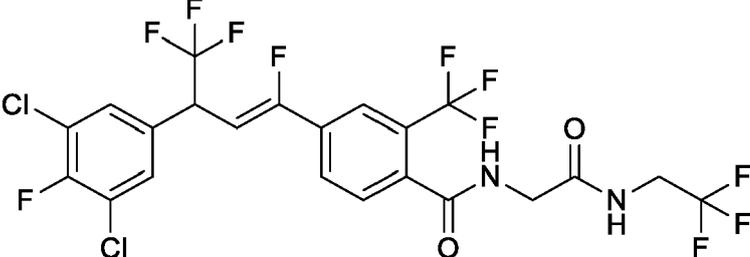
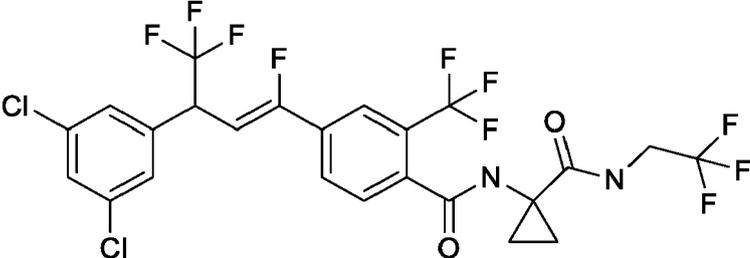
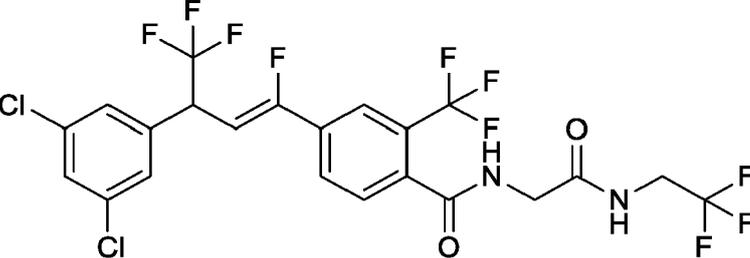
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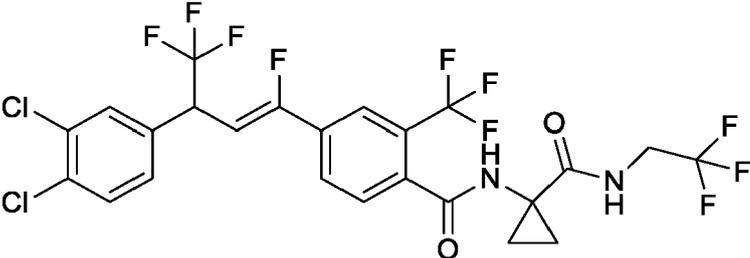
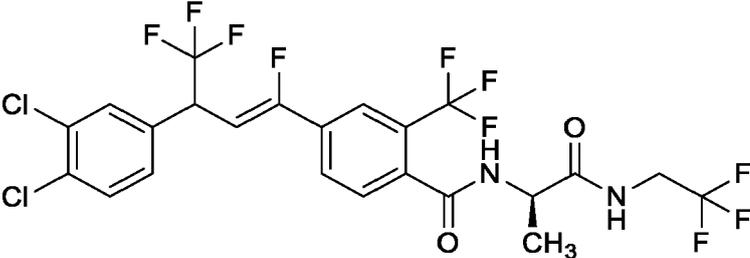
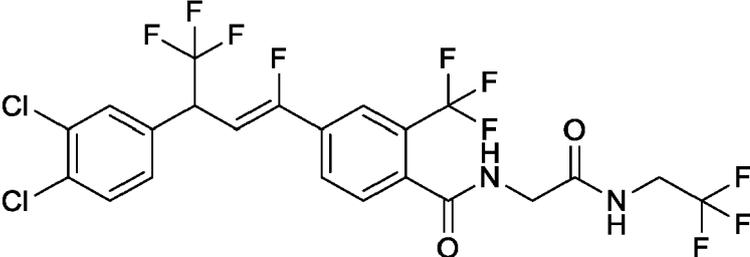
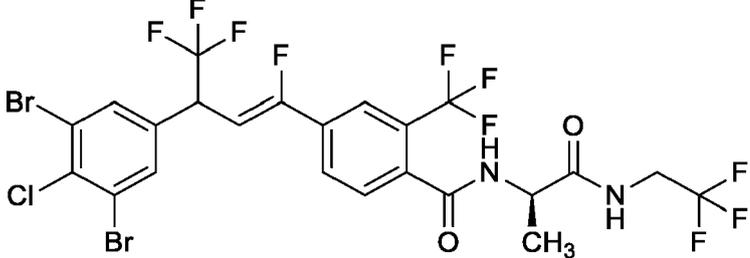
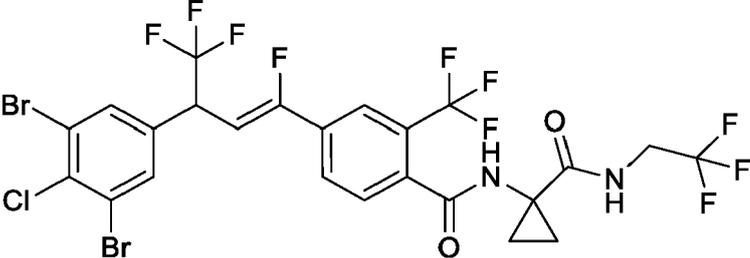
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Nr.	Struktur
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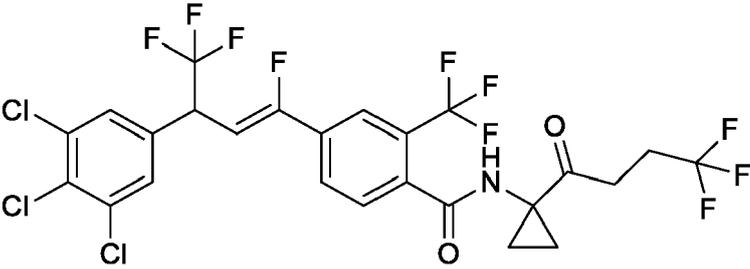
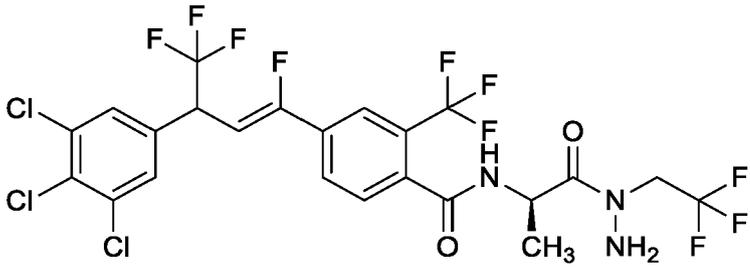
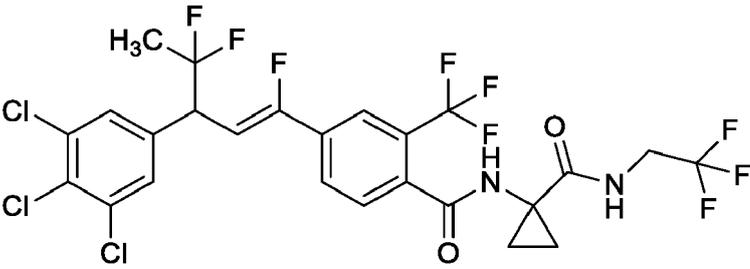
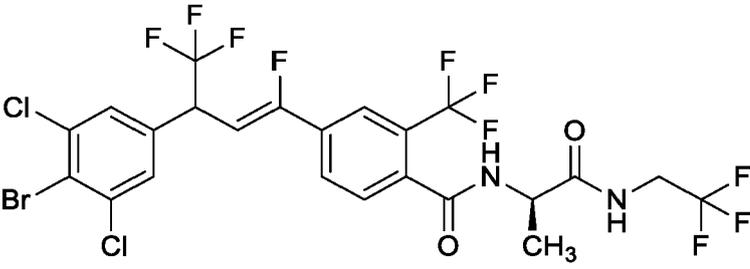
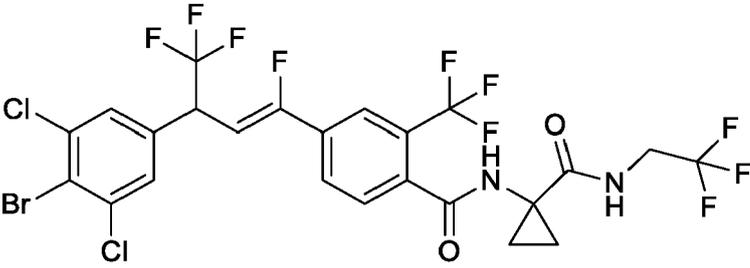
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Nr.	Struktur
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F42	
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F44	
F45	

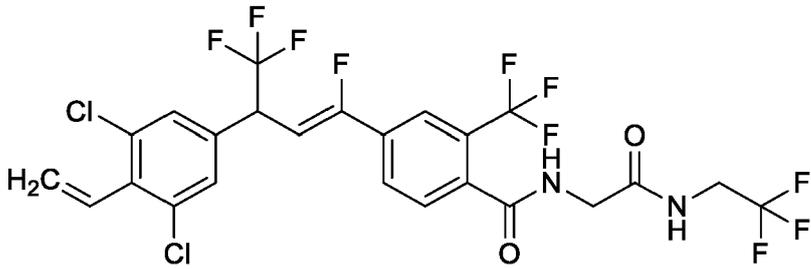
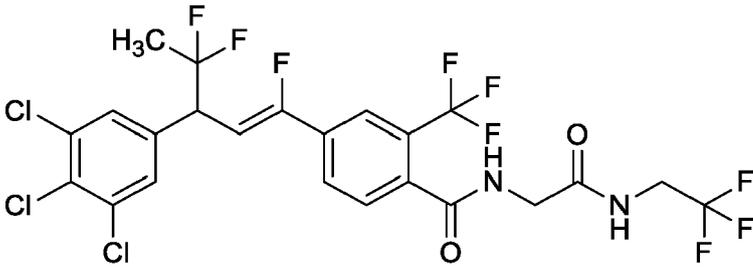
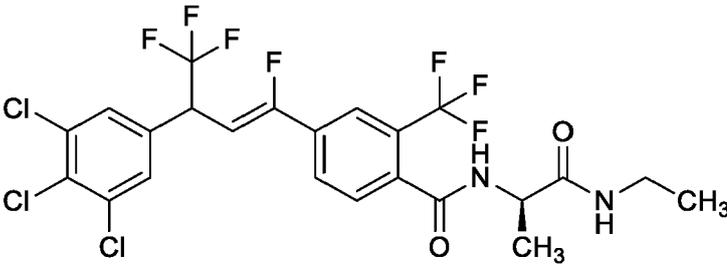
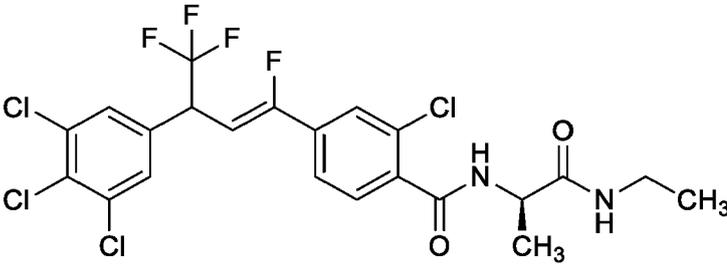
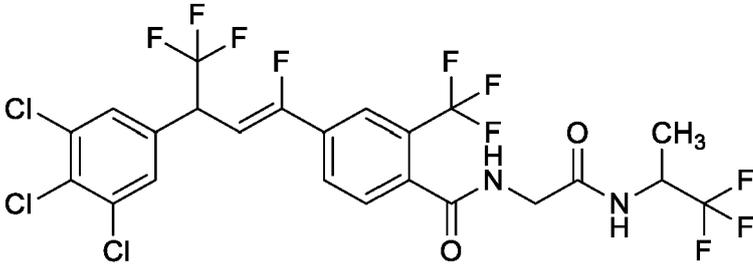
(fortgesetzt)

Nr.	Struktur
F51	
F52	
F53	
F54	
F55	

(fortgesetzt)

Nr.	Struktur
F56	
F57	
F58	
F59	
F60	

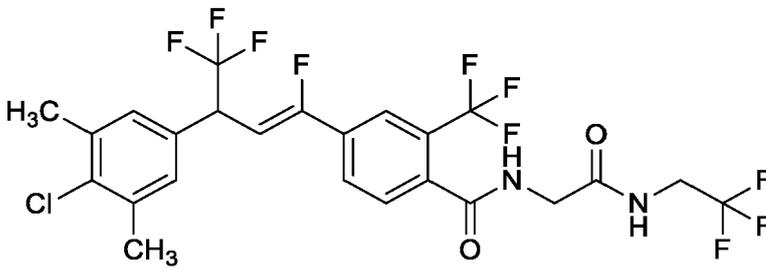
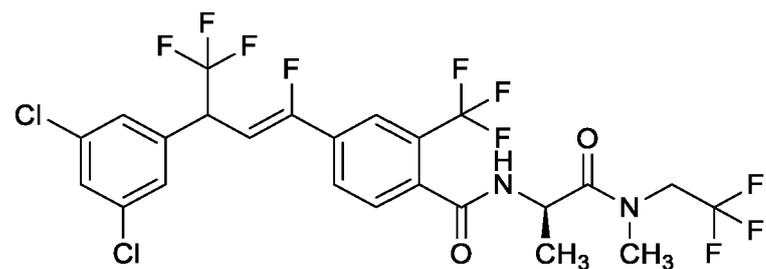
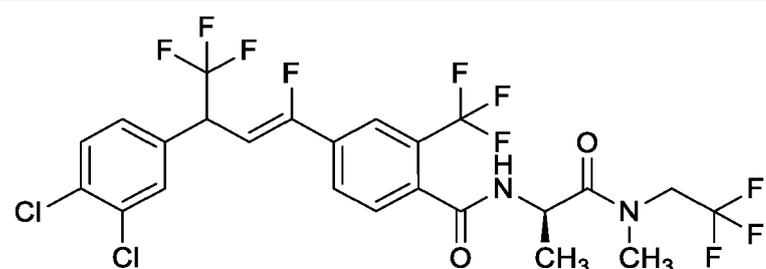
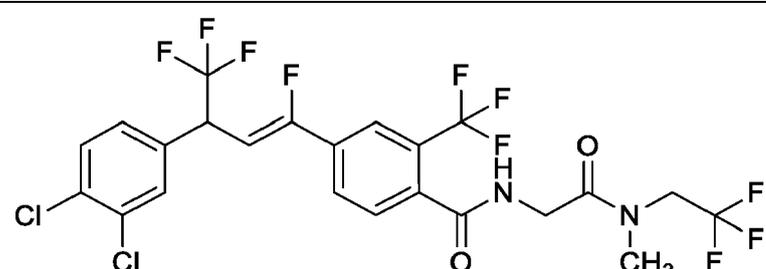
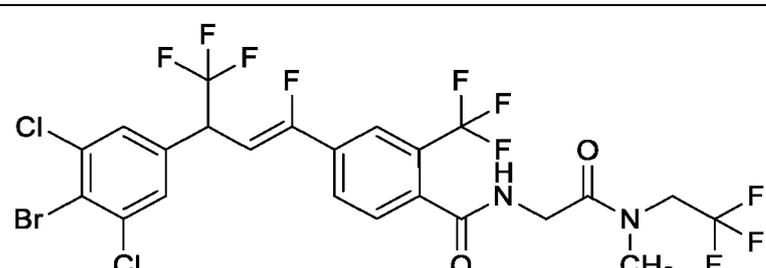
(fortgesetzt)

Nr.	Struktur
F61	 <chem>C=CC1=CC(Cl)=C(C(F)(F)F)C1=C(F)C2=CC=C(C(F)(F)F)C2N(F)FCC(=O)NCC(F)(F)F</chem>
F62	 <chem>CC(F)(F)F1=CC(Cl)=C(C(F)(F)F)C1=C(F)C2=CC=C(C(F)(F)F)C2N(F)FCC(=O)NCC(F)(F)F</chem>
F63	 <chem>C=CC1=CC(Cl)=C(C(F)(F)F)C1=C(F)C2=CC=C(C(F)(F)F)C2N(F)F[C@H](C)C(=O)NCC</chem>
F64	 <chem>C=CC1=CC(Cl)=C(C(F)(F)F)C1=C(F)C2=CC(Cl)=C(C2)N(F)F[C@H](C)C(=O)NCC</chem>
F65	 <chem>C=CC1=CC(Cl)=C(C(F)(F)F)C1=C(F)C2=CC=C(C(F)(F)F)C2N(F)F[C@H](C)C(=O)NCC(F)(F)F</chem>

(fortgesetzt)

Nr.	Struktur
F66	
F67	
F68	
F69	
F70	

(fortgesetzt)

Nr.	Struktur
F71	
F72	
F73	
F74	
F75	

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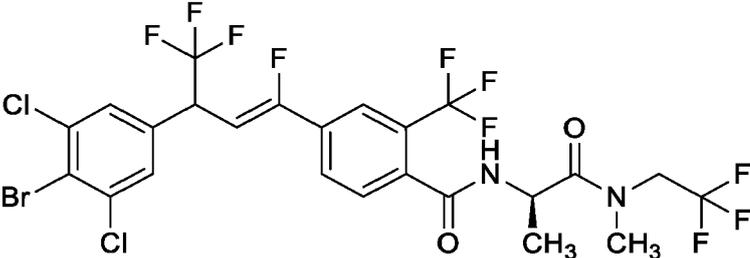
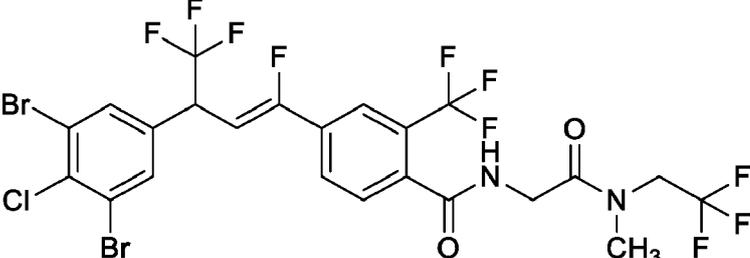
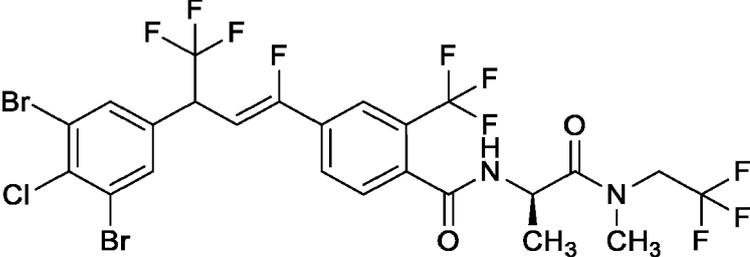
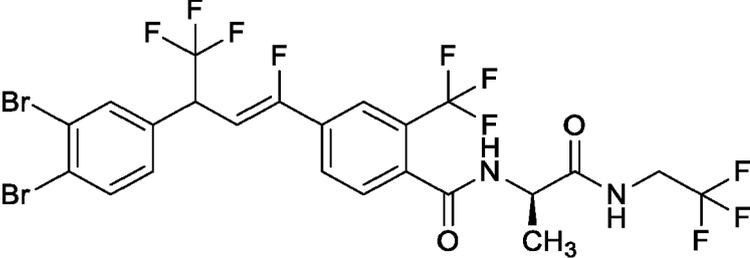
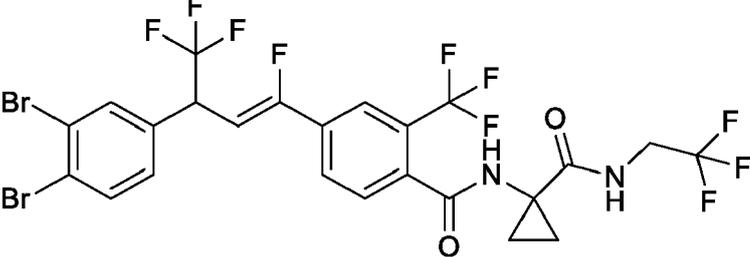
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(fortgesetzt)

Nr.	Struktur
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F77	
F78	
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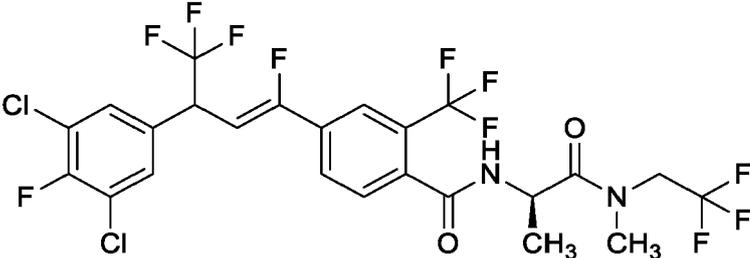
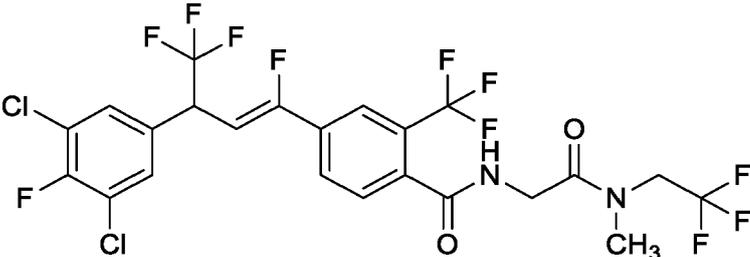
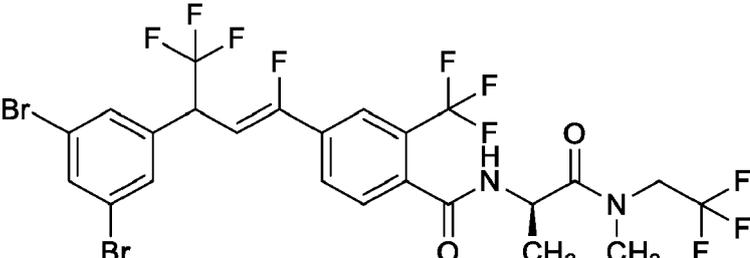
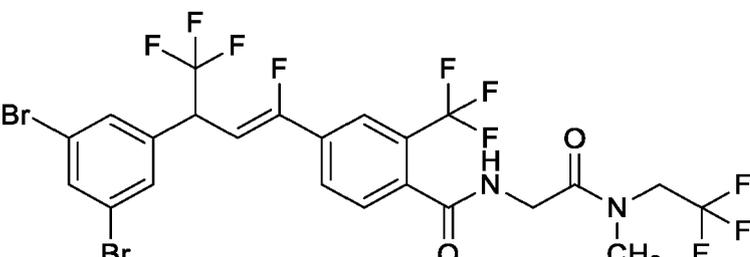
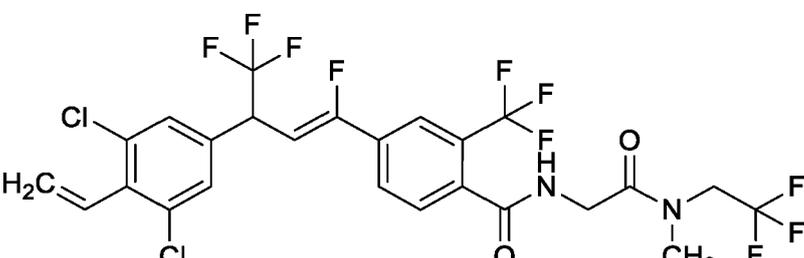
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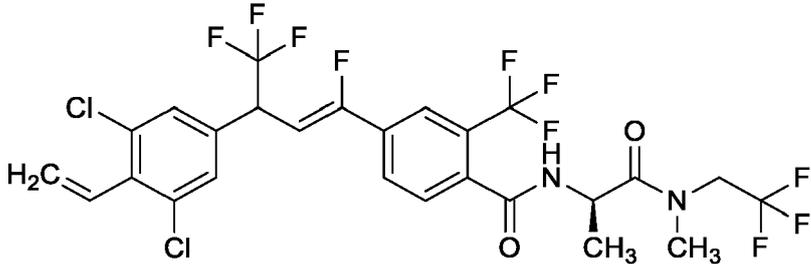
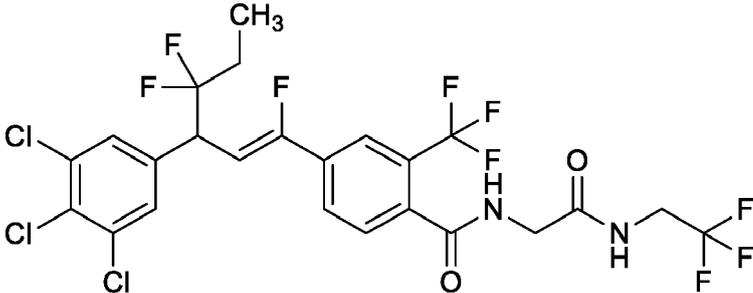
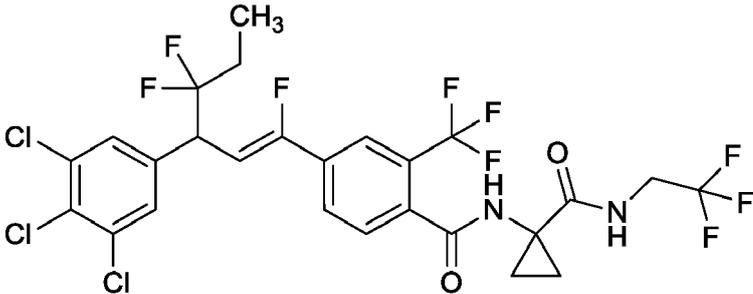
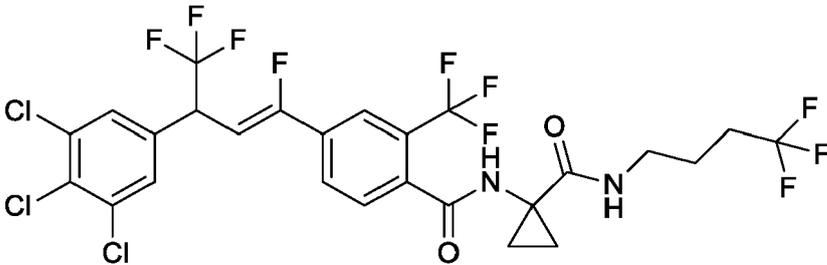
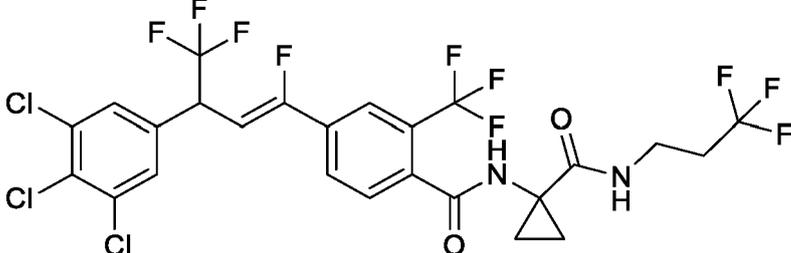
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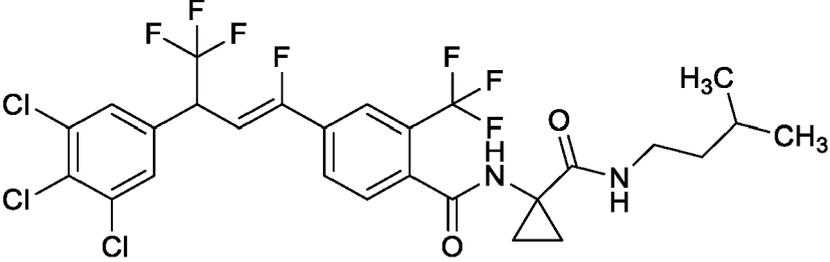
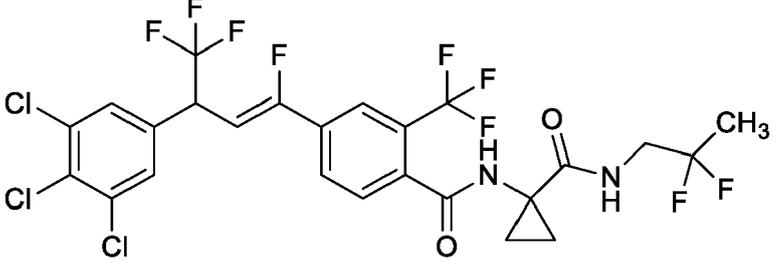
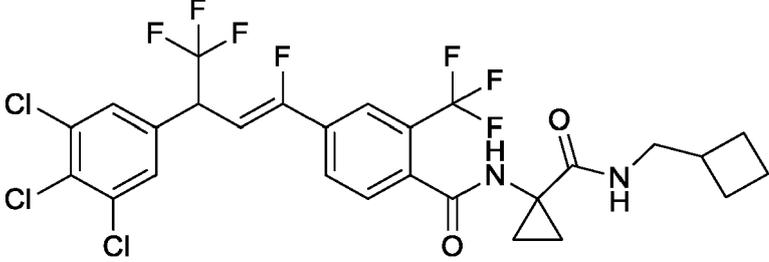
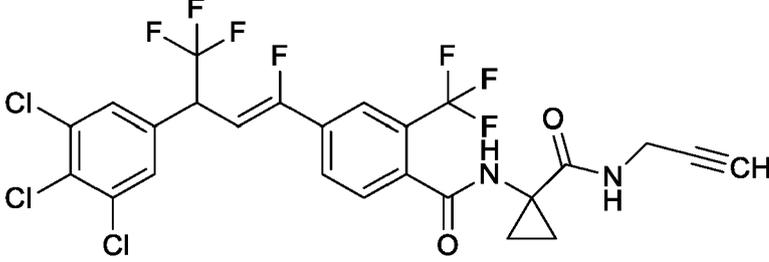
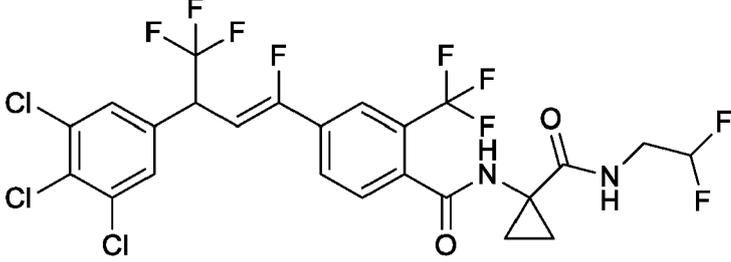
Nr.	Struktur
5 F81	
15 F82	
25 F83	
35 F84	
45 F85	

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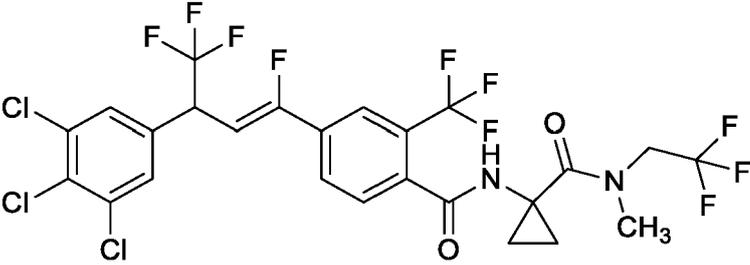
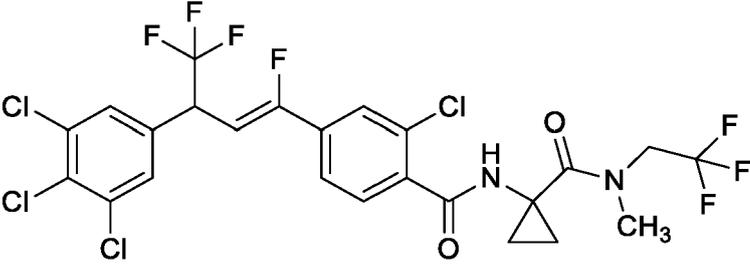
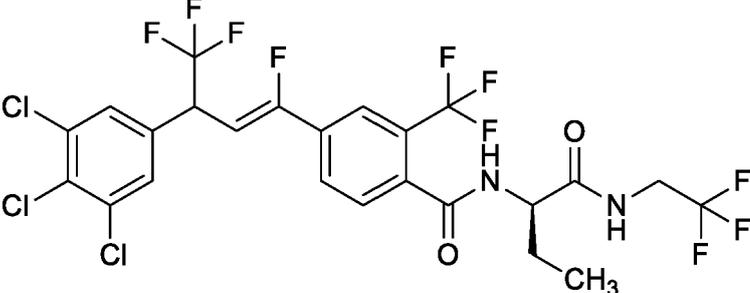
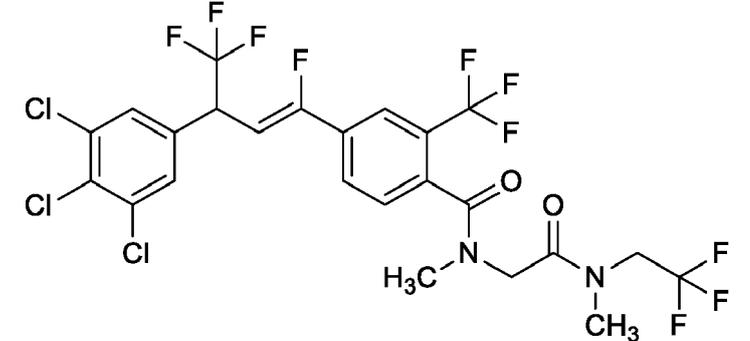
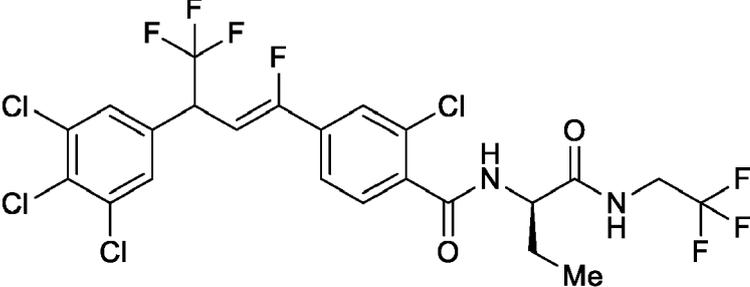
(fortgesetzt)

Nr.	Struktur
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F87	
F88	
F89	
F90	

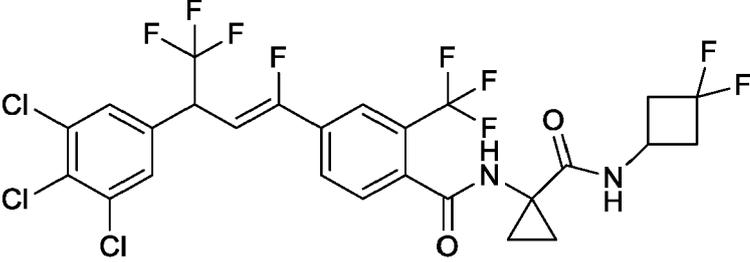
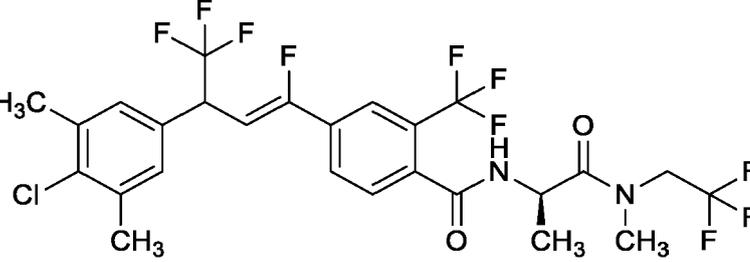
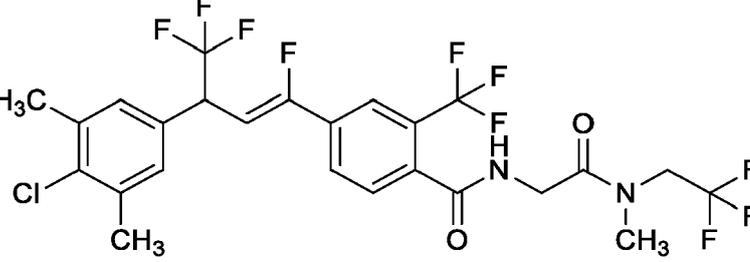
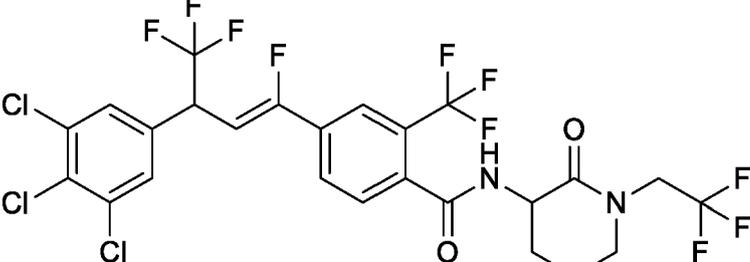
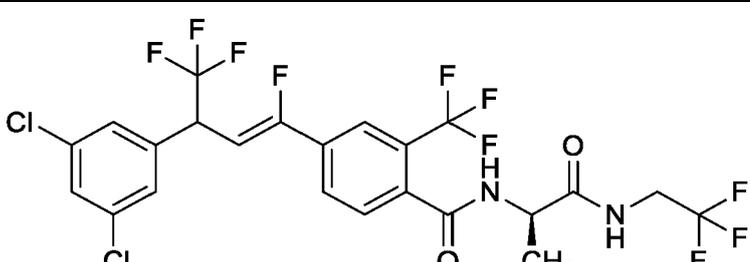
(fortgesetzt)

Nr.	Struktur
F91	 <chem>CC(C)CCNC(=O)N1CC1C(=O)N2C(F)F2c3ccc(cc3C=C(C(F)F)c4cc(Cl)c(Cl)cc4)C(F)F</chem>
F92	 <chem>CC(F)FCCNC(=O)N1CC1C(=O)N2C(F)F2c3ccc(cc3C=C(C(F)F)c4cc(Cl)c(Cl)cc4)C(F)F</chem>
F93	 <chem>C1CCC1CNCC(=O)N2C(F)F2c3ccc(cc3C=C(C(F)F)c4cc(Cl)c(Cl)cc4)C(F)F</chem>
F94	 <chem>C#CCNC(=O)N1CC1C(=O)N2C(F)F2c3ccc(cc3C=C(C(F)F)c4cc(Cl)c(Cl)cc4)C(F)F</chem>
F95	 <chem>CC(F)FCCNC(=O)N1CC1C(=O)N2C(F)F2c3ccc(cc3C=C(C(F)F)c4cc(Cl)c(Cl)cc4)C(F)F</chem>

(fortgesetzt)

Nr.	Struktur
F96	
F97	
F98	
F99	
F100	

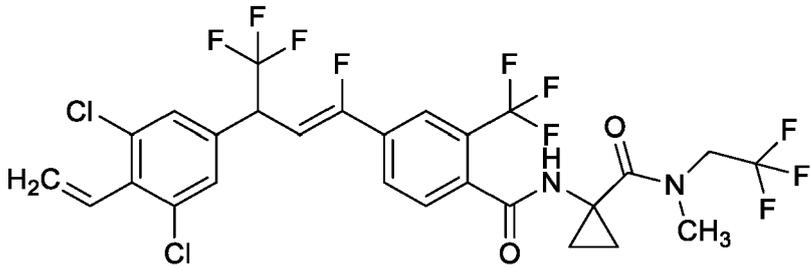
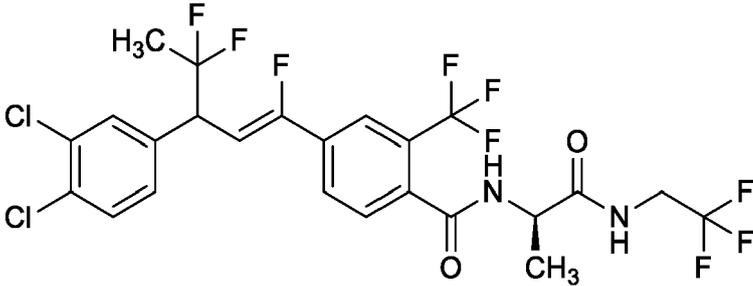
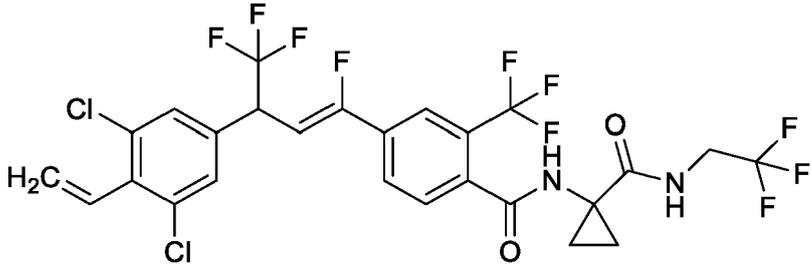
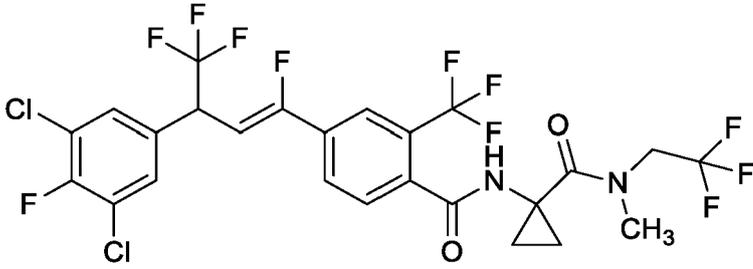
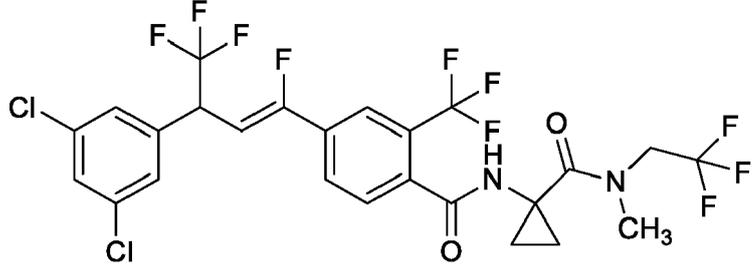
(fortgesetzt)

Nr.	Struktur
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F102	
F103	
F104	
F105	

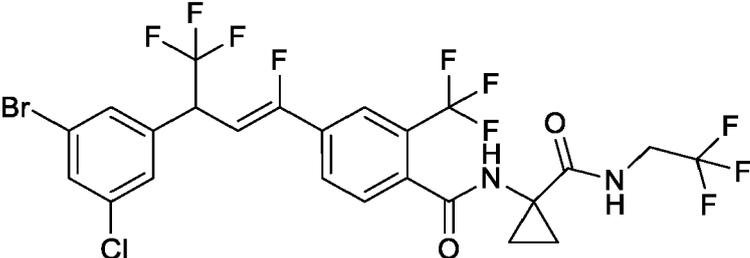
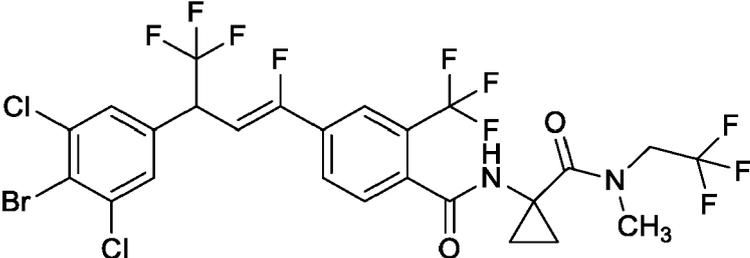
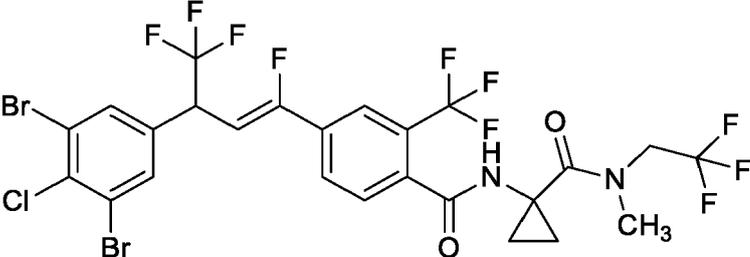
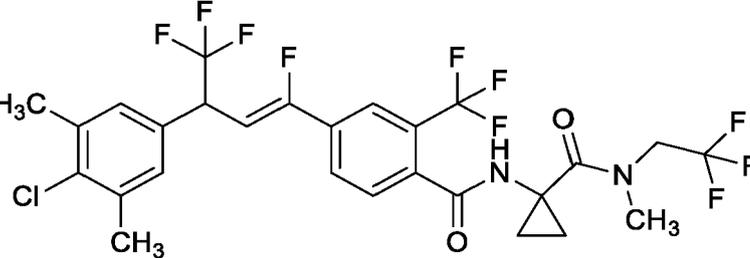
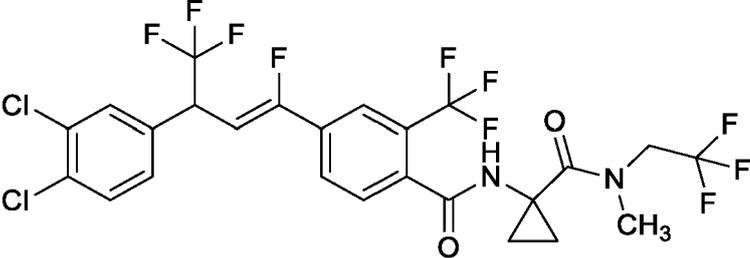
(fortgesetzt)

Nr.	Struktur
F106	<chem>Clc1cc(Cl)c(Cl)cc1C(F)(F)F/C=C/Fc2ccc3c(c2)c(F)c(F)c3N[C@@H](C(F)(F)F)C(=O)NCC(F)(F)F</chem>
F107	<chem>Brc1cc(Br)ccc1C(F)(F)F/C=C/Fc2ccc3c(c2)c(F)c(F)c3N[C@@H](C(F)(F)F)C(=O)NCC(F)(F)F</chem>
F108	<chem>Brc1cc(Br)ccc1C(F)(F)F/C=C/Fc2ccc3c(c2)c(F)c(F)c3N[C@@H](C(F)(F)F)C(=O)N1CC1C(F)(F)F</chem>
F109	<chem>Brc1cc(Cl)ccc1C(F)(F)F/C=C/Fc2ccc3c(c2)c(F)c(F)c3N[C@@H](C(F)(F)F)C(=O)N[C@@H](C)CC(F)(F)F</chem>
F110	<chem>Clc1cc(Cl)c(Br)cc1C(F)(F)F/C=C/Fc2ccc3c(c2)c(F)c(F)c3N[C@@H](C(F)(F)F)C(=O)NCC(F)(F)F</chem>

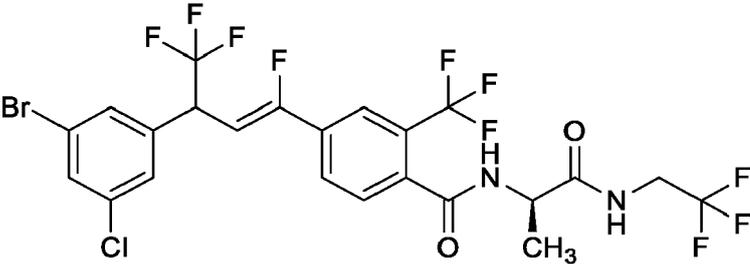
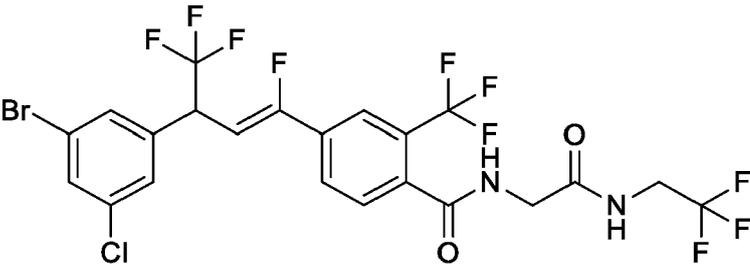
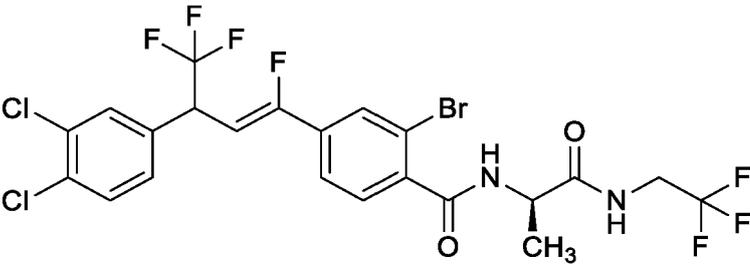
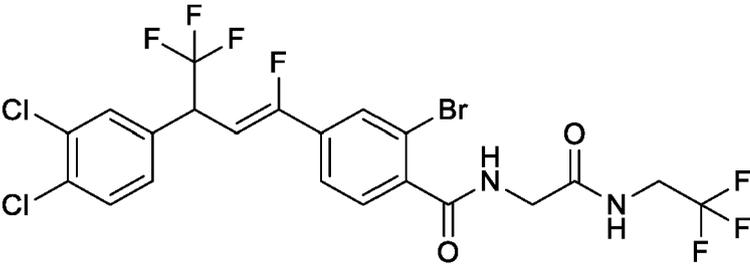
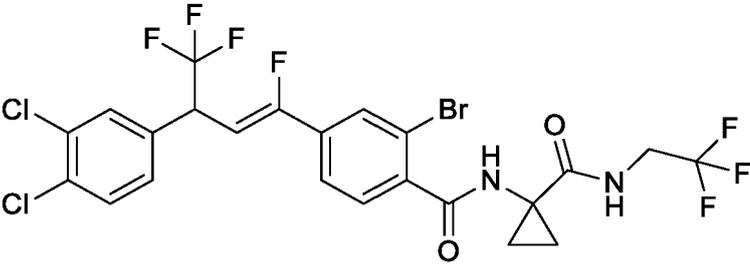
(fortgesetzt)

Nr.	Struktur
F111	
F112	
F113	
F114	
F115	

(fortgesetzt)

Nr.	Struktur
F116	
F117	
F118	
F119	
F120	

(fortgesetzt)

Nr.	Struktur
F121	
F122	
F123	
F124	
F125	

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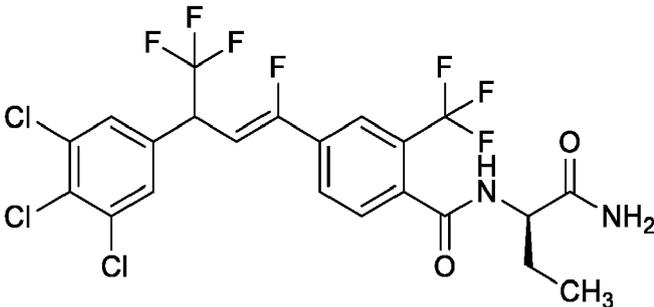
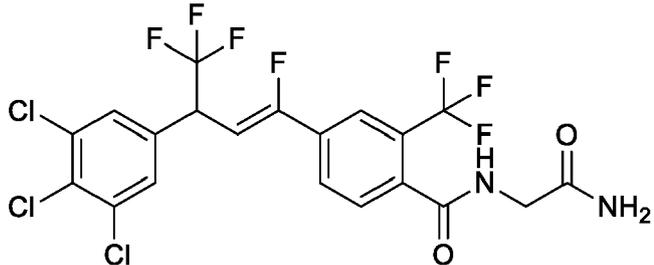
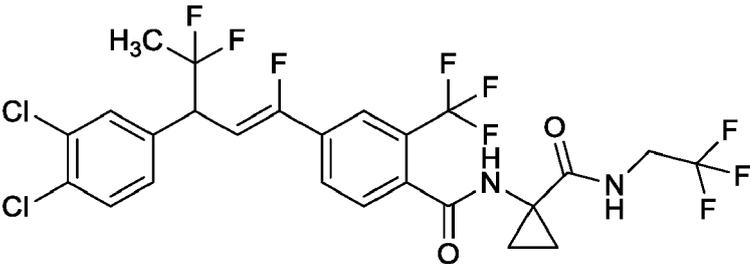
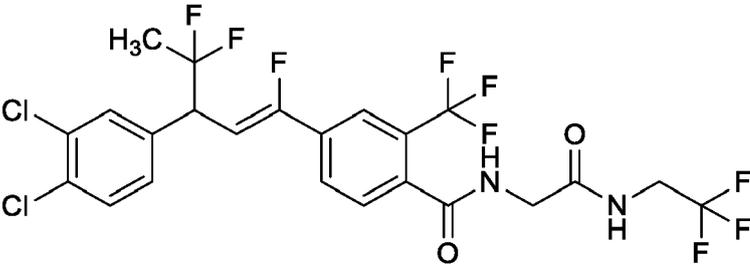
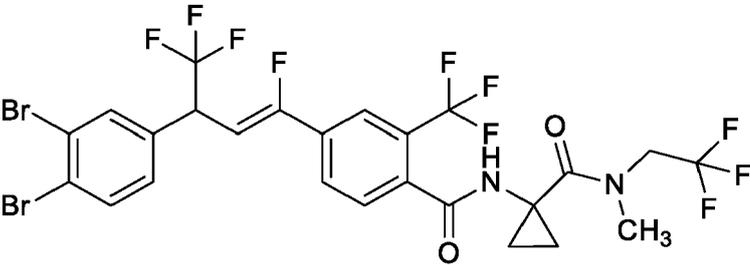
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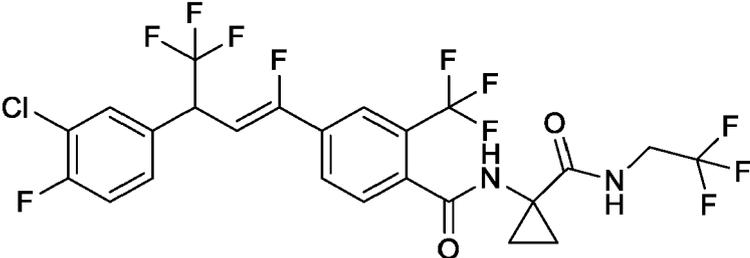
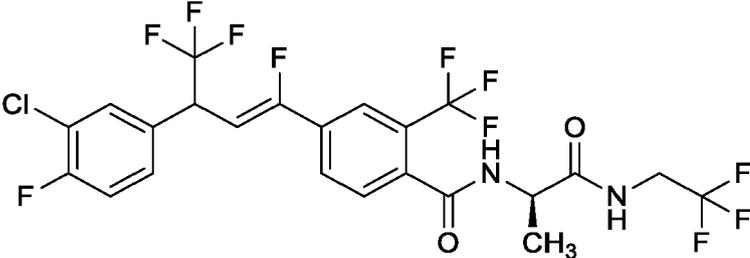
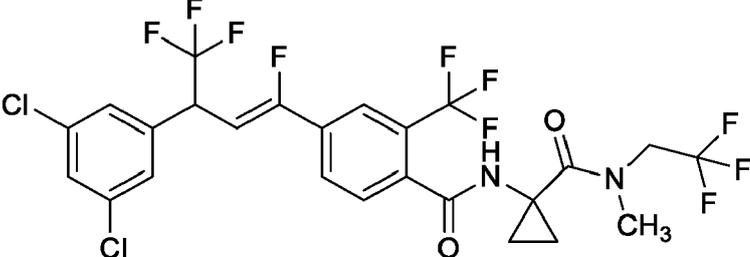
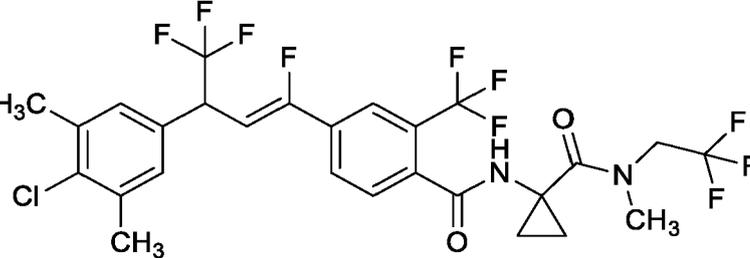
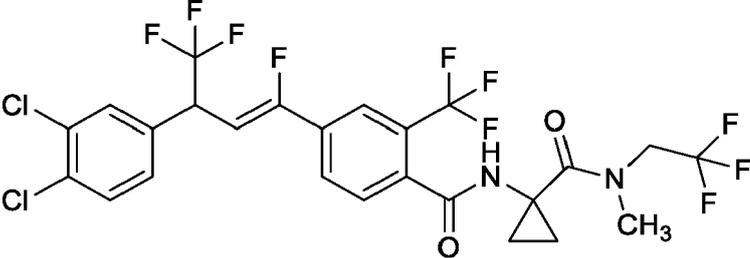
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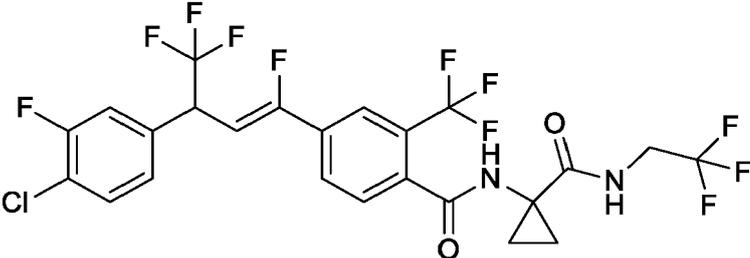
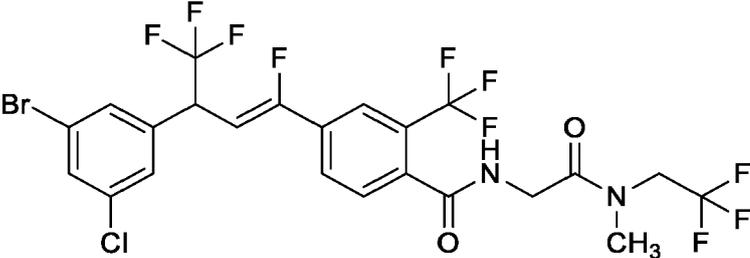
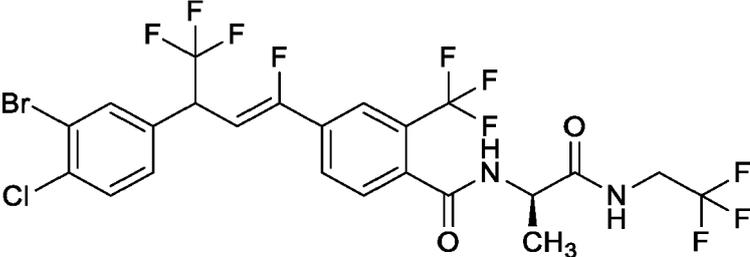
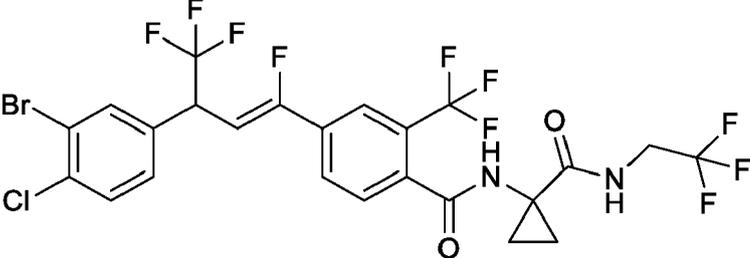
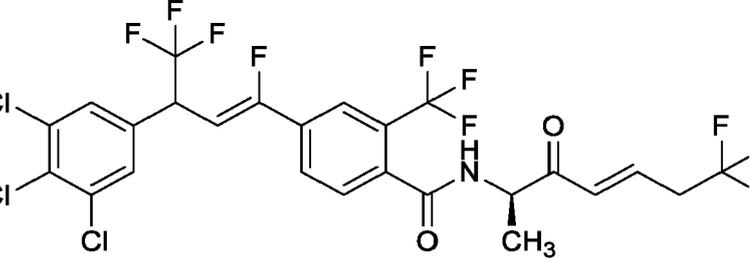
(fortgesetzt)

Nr.	Struktur
F126	
F127	
F128	
F129	
F130	

(fortgesetzt)

Nr.	Struktur
F131	
F132	
F133	
F134	
F135	

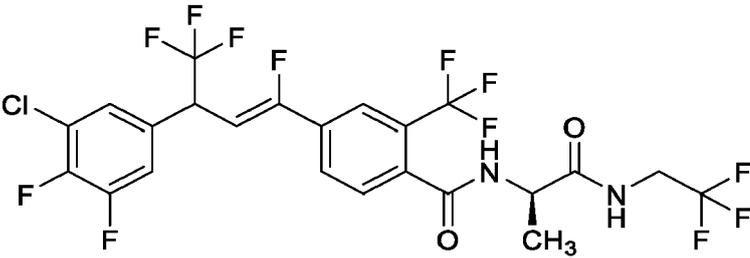
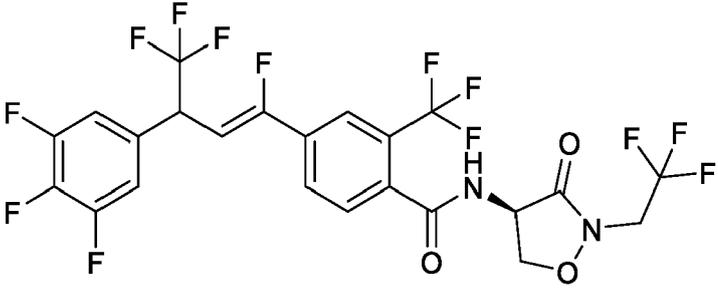
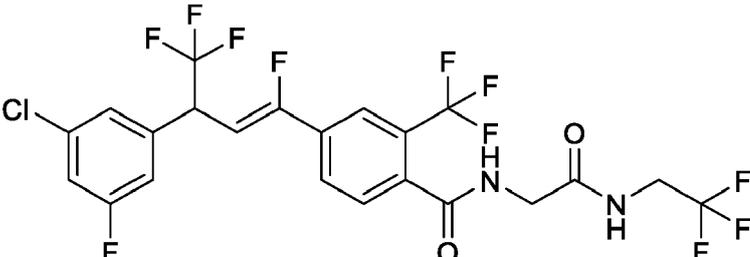
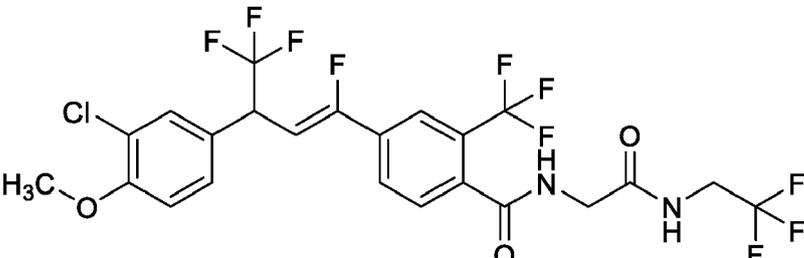
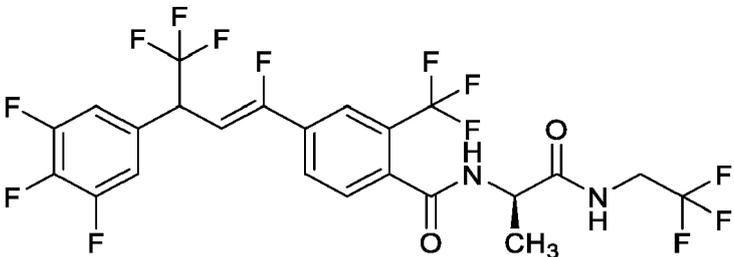
(fortgesetzt)

Nr.	Struktur
F136	
F137	
F138	
F139	
F140	

(fortgesetzt)

Nr.	Struktur
F146	
F147	
F148	
F150	
F153	

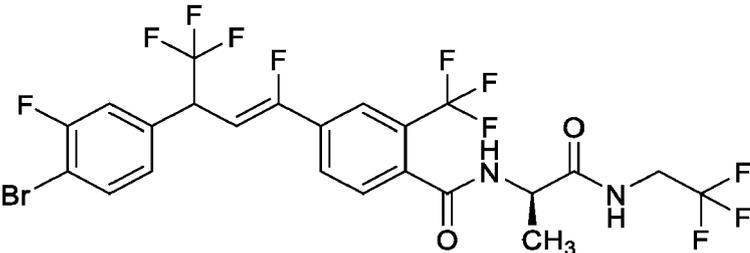
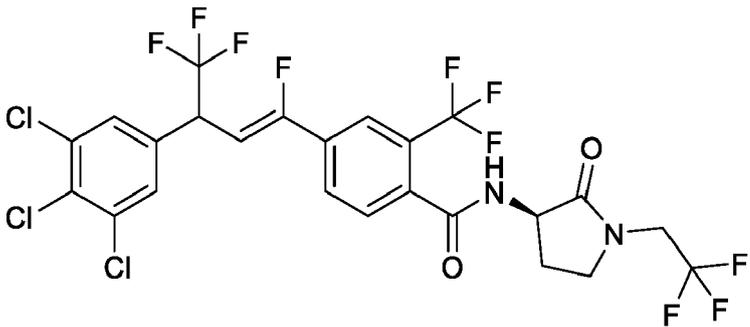
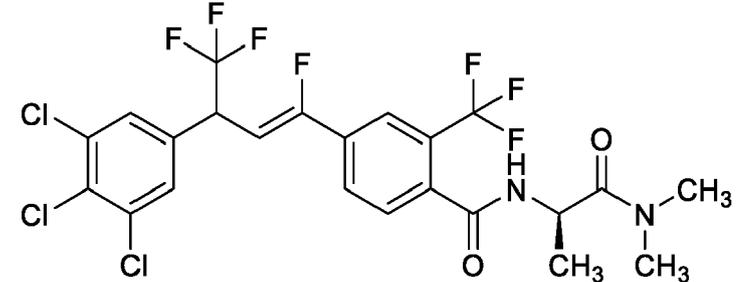
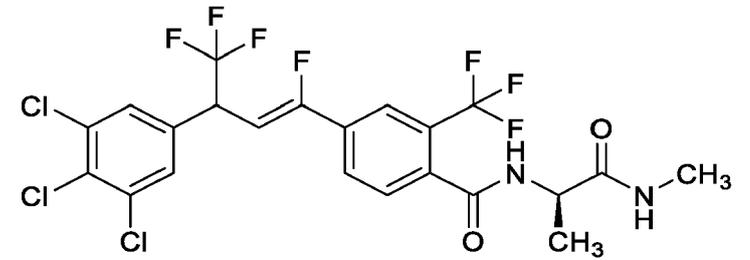
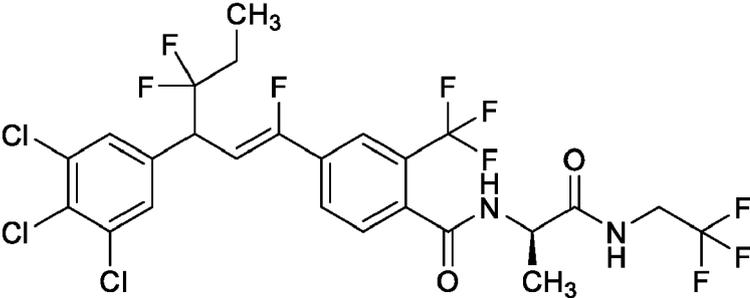
(fortgesetzt)

Nr.	Struktur
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F155	
F157	
F158	
F159	

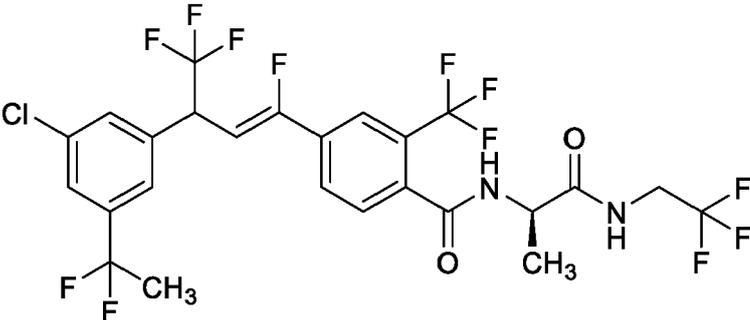
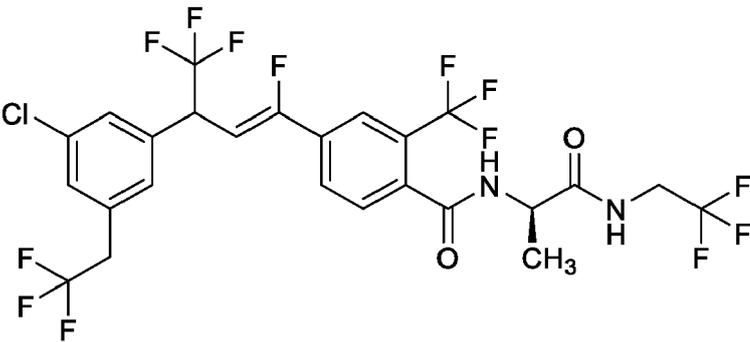
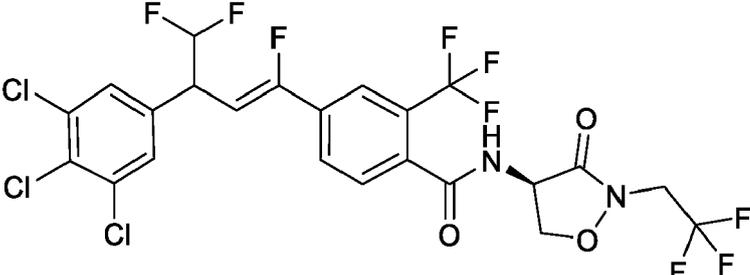
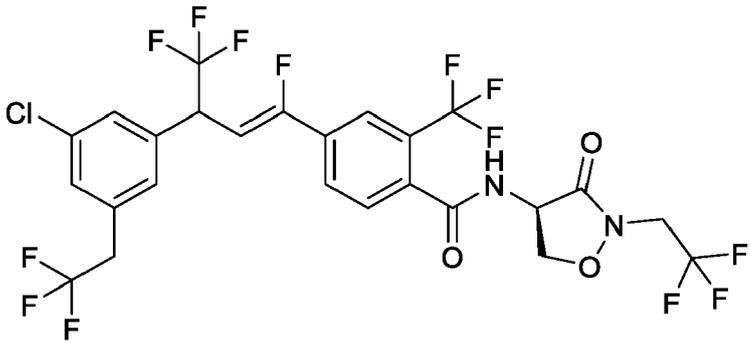
(fortgesetzt)

Nr.	Struktur
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F166	
F167	
F168	
F169	

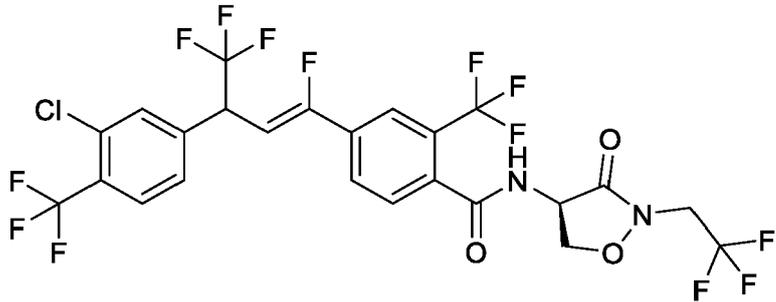
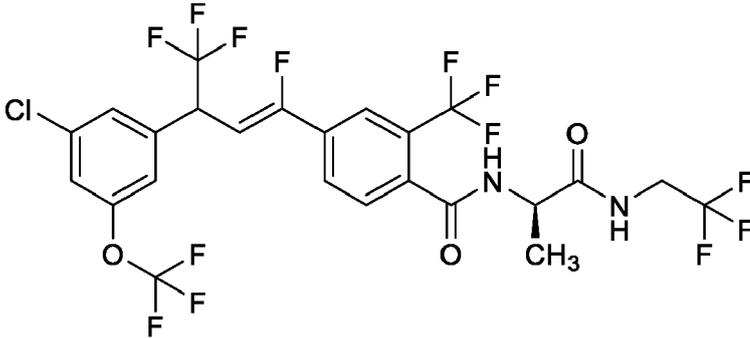
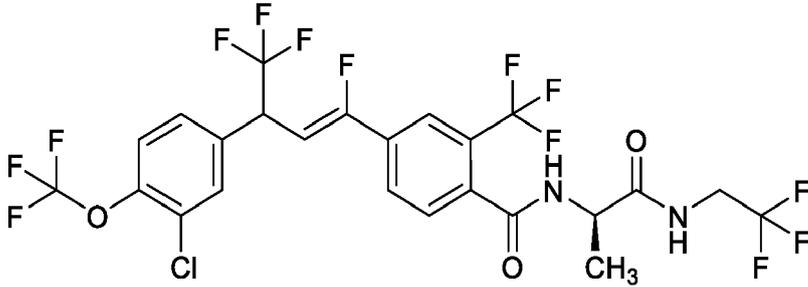
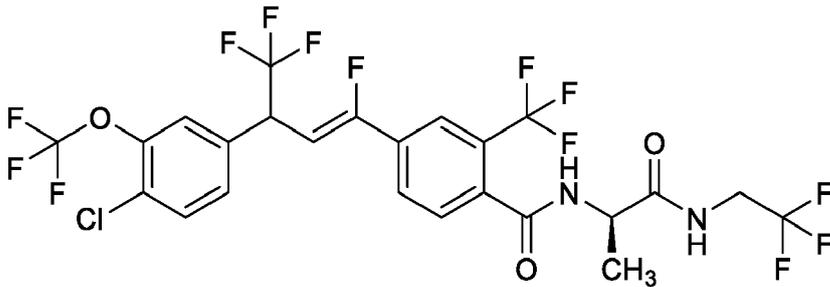
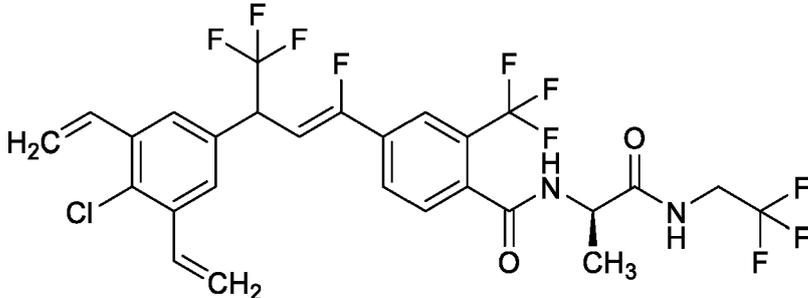
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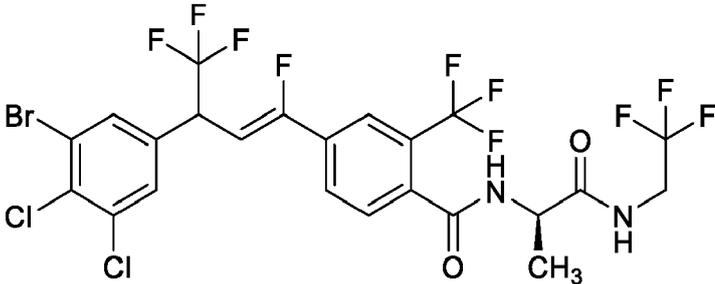
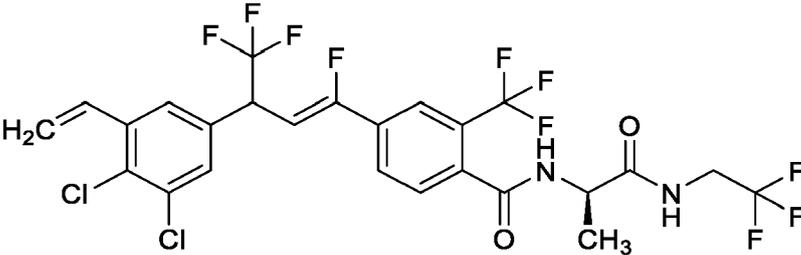
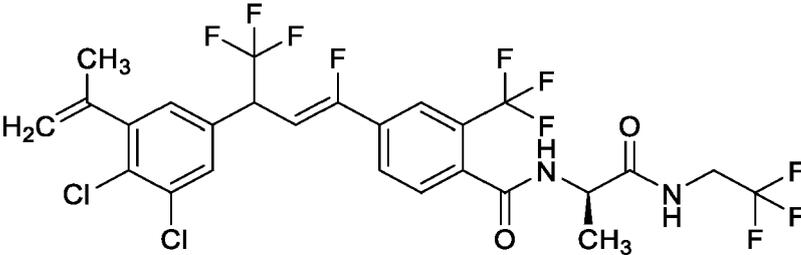
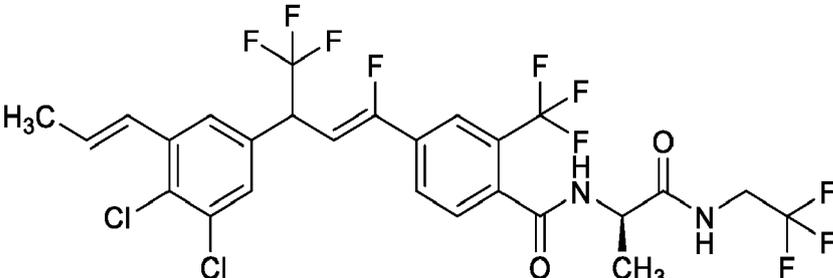
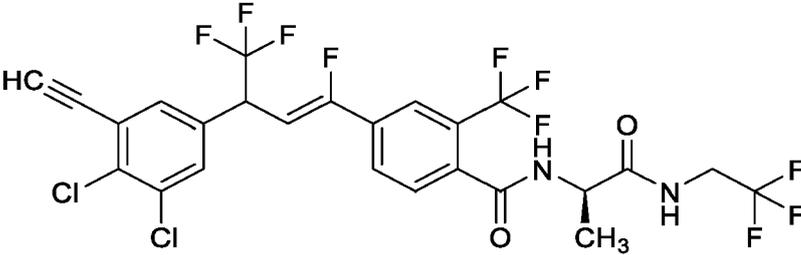
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Nr.	Struktur
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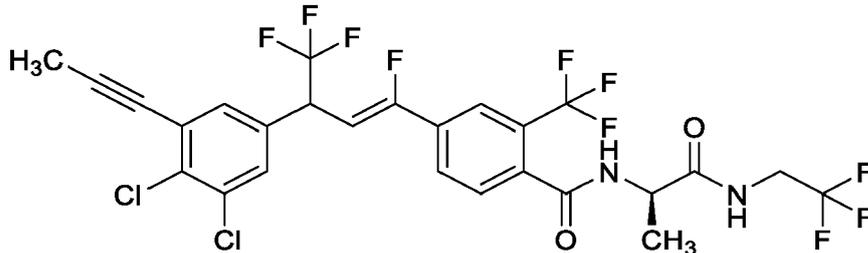
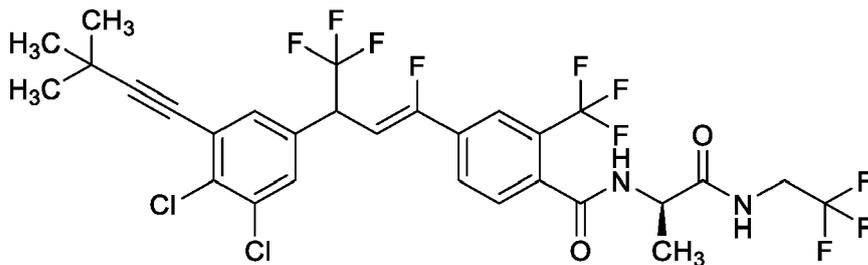
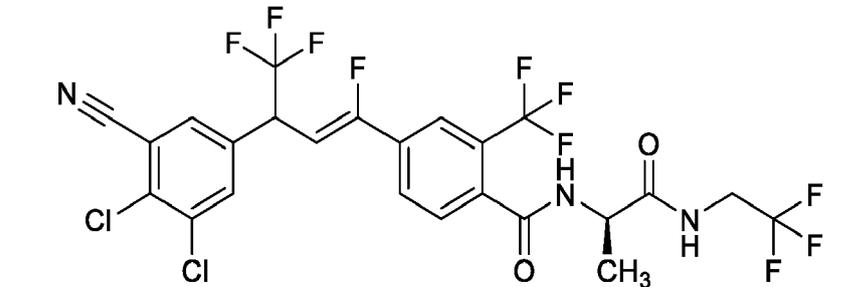
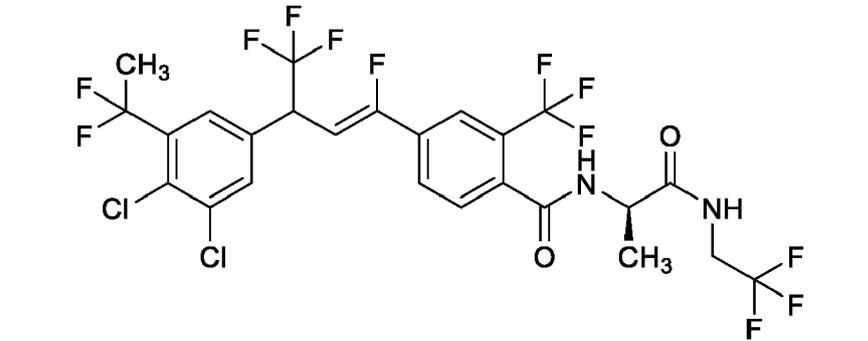
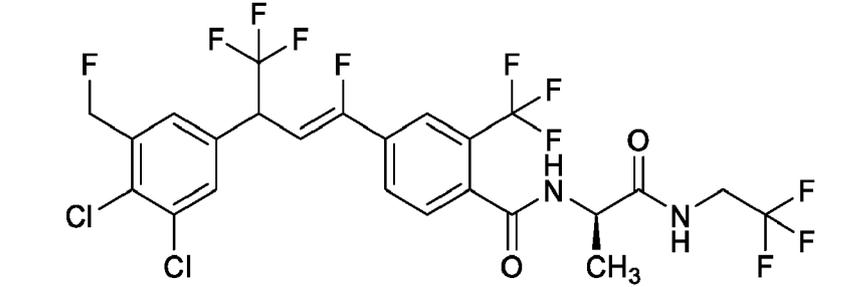
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Nr.	Struktur
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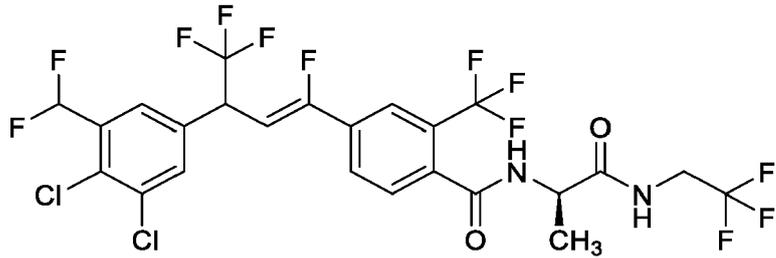
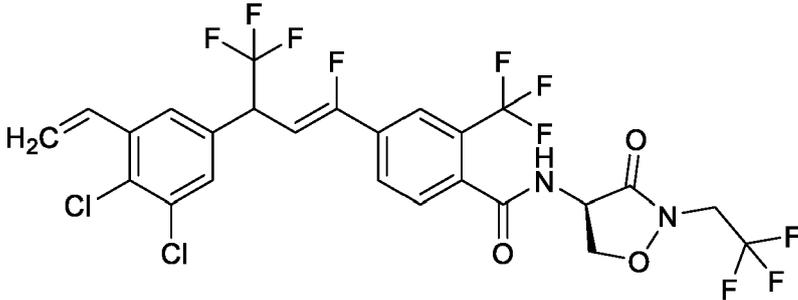
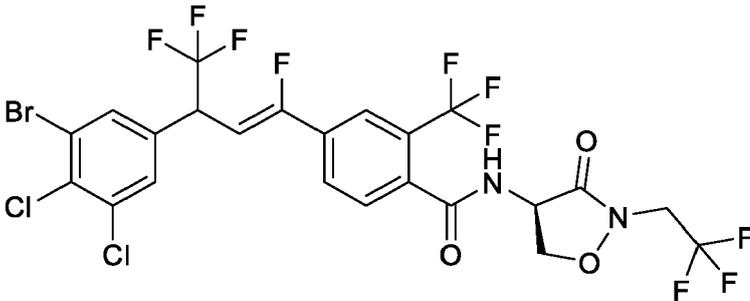
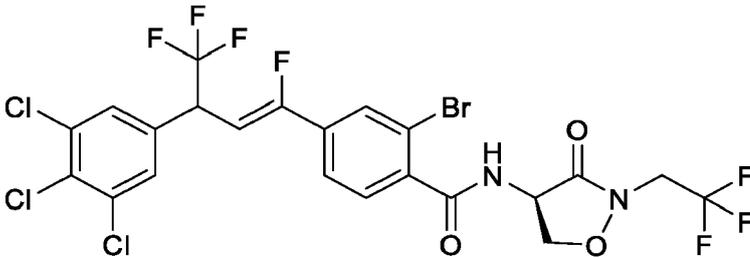
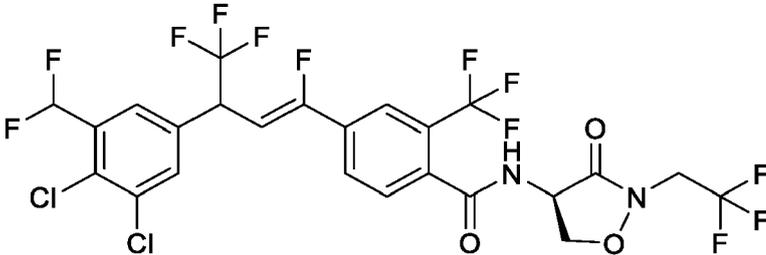
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Nr.	Struktur
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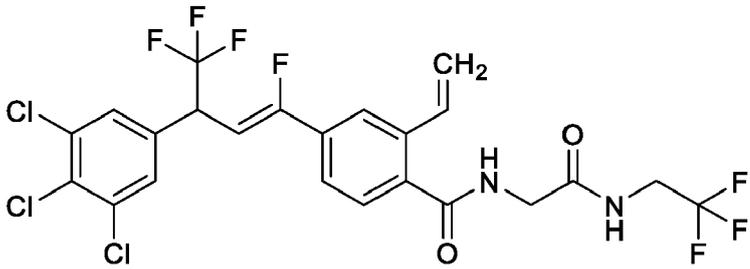
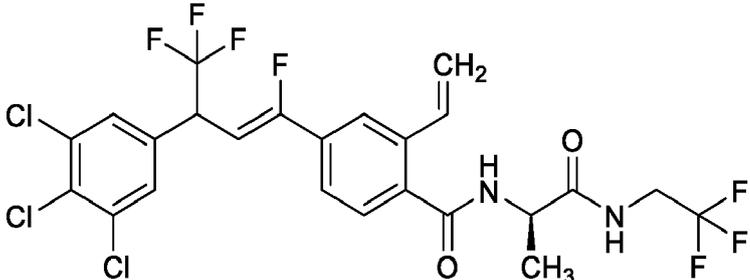
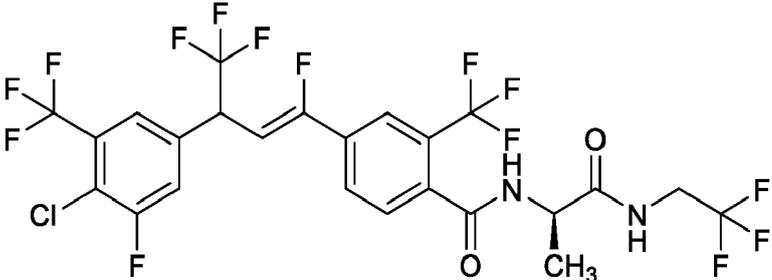
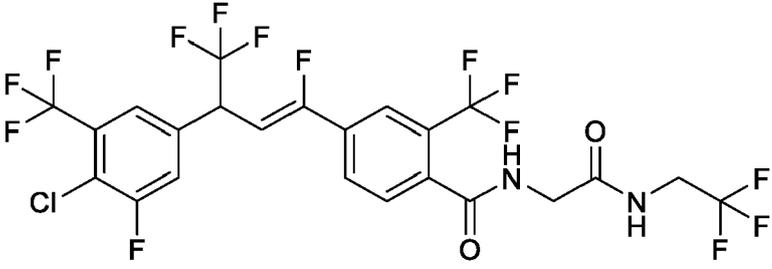
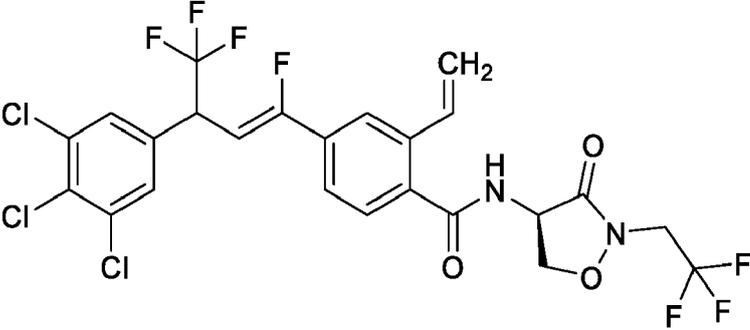
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Nr.	Struktur
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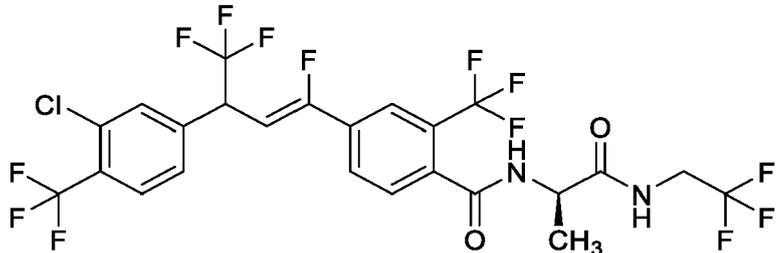
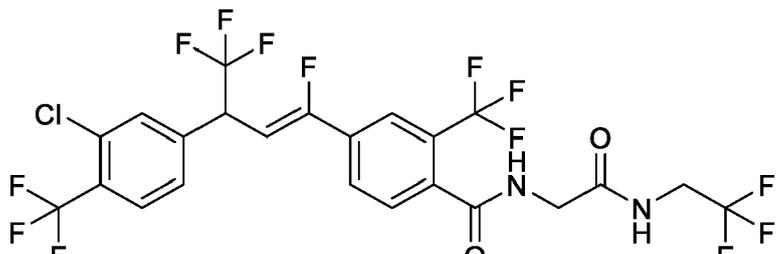
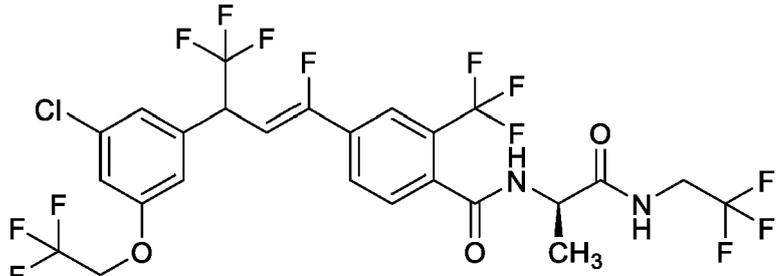
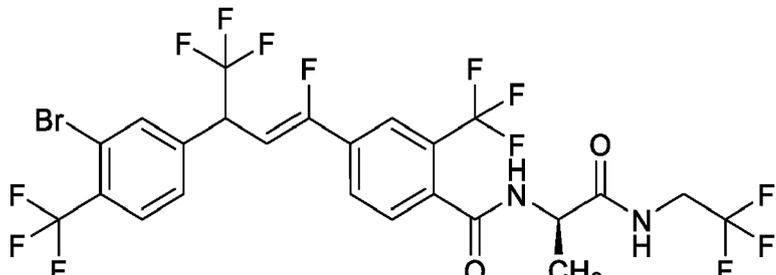
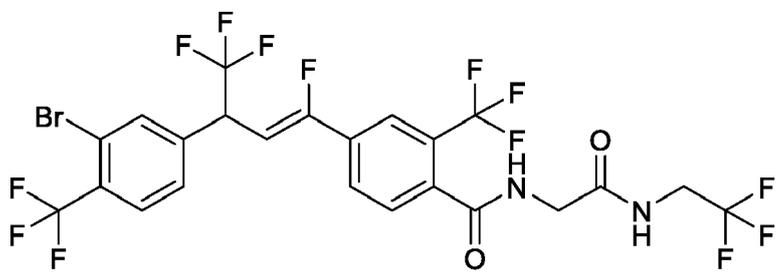
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Nr.	Struktur
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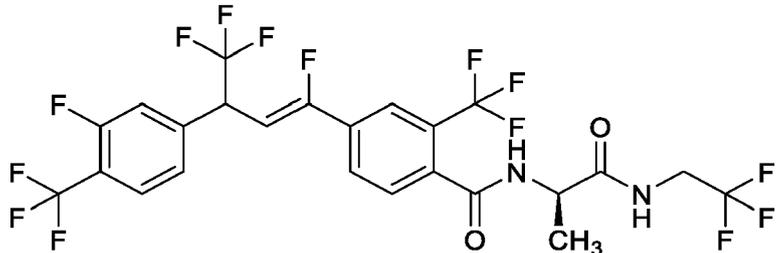
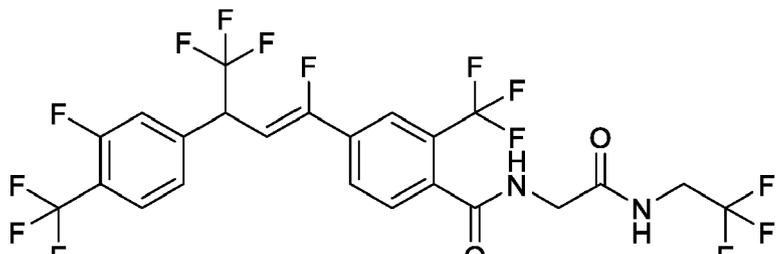
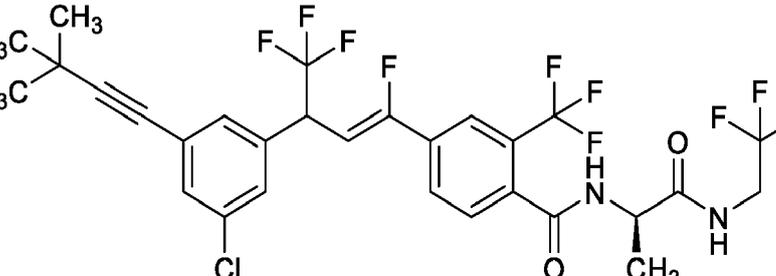
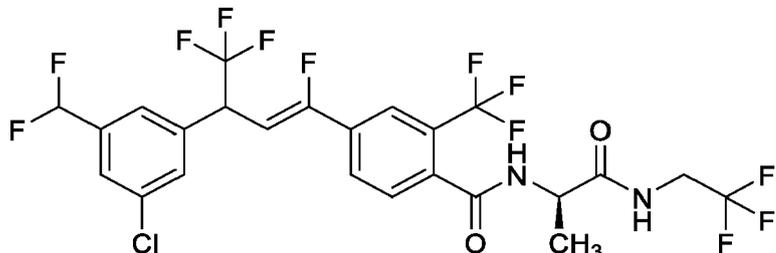
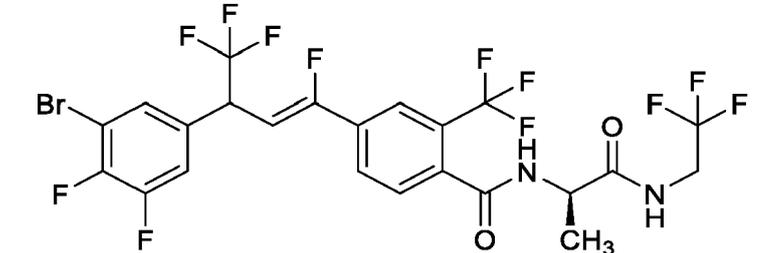
(fortgesetzt)

Nr.	Struktur
F200	
F201	
F202	
F203	
F204	

(fortgesetzt)

Nr.	Struktur
F205	
F206	
F207	
F208	
F209	

(fortgesetzt)

Nr.	Struktur
F210	
F211	
F212	
F213	
F214	

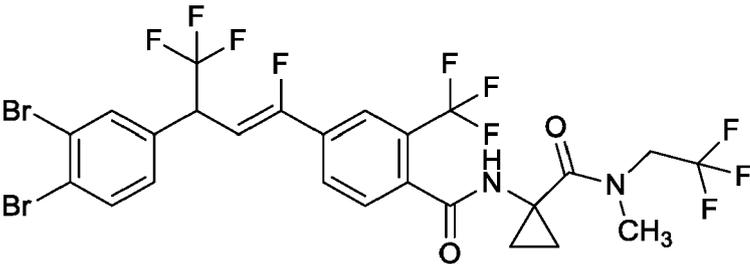
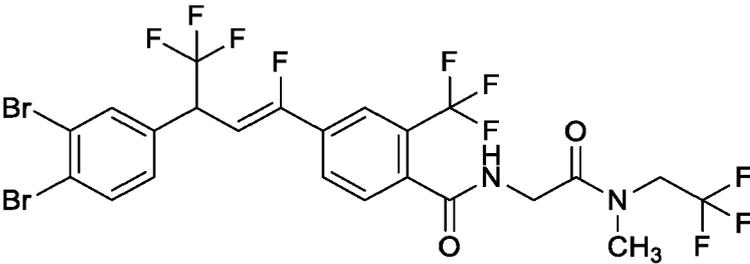
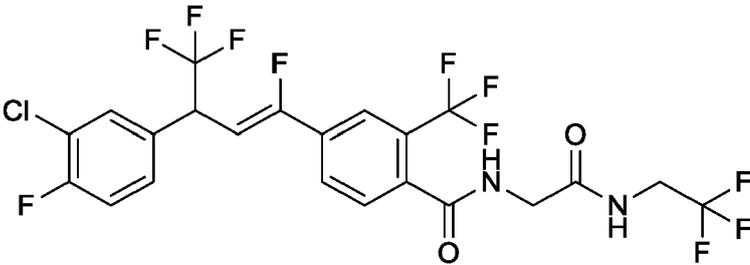
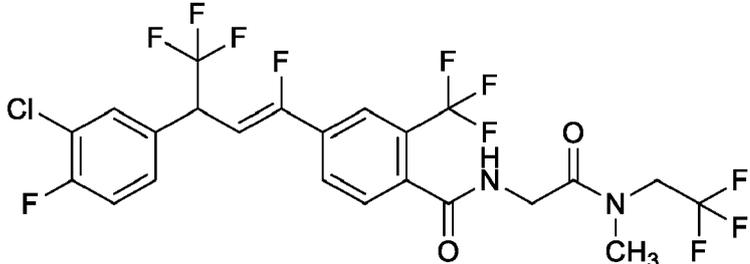
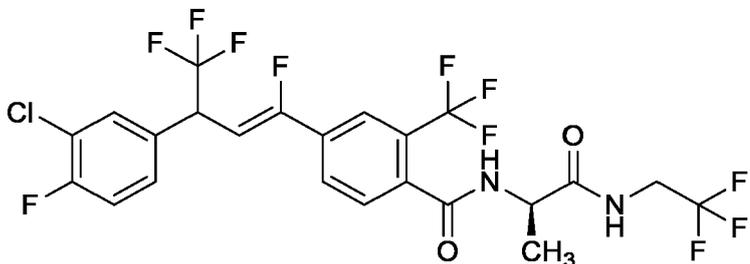
(fortgesetzt)

Nr.	Struktur
F215	
F216	
F217	

4. Ein Molekül gemäß Anspruch 1, wobei dieses Molekül aus einem der Moleküle aus der nachfolgenden Tabelle ausgewählt ist:

Nr.	Struktur
P1	
P2	

(fortgesetzt)

Nr.	Struktur
P3	
P4	
P5	
P6	
P7	

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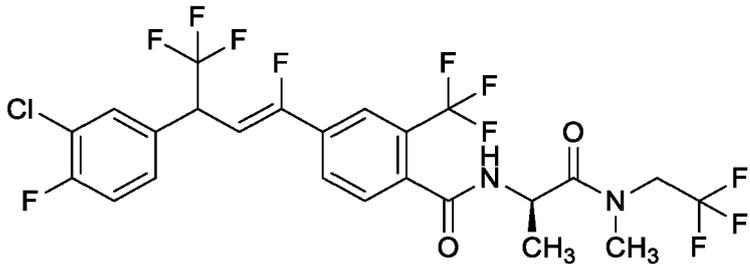
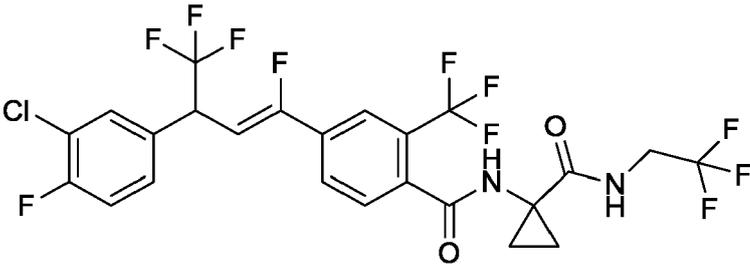
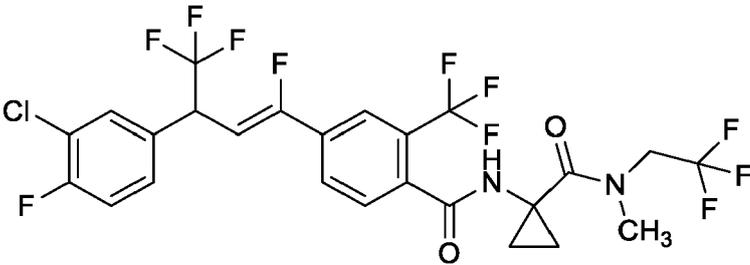
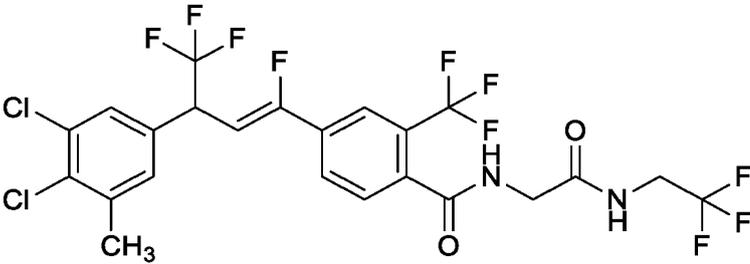
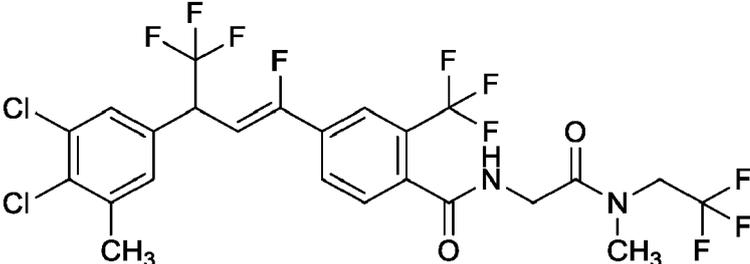
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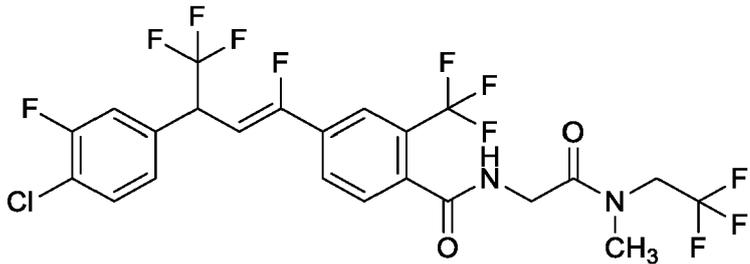
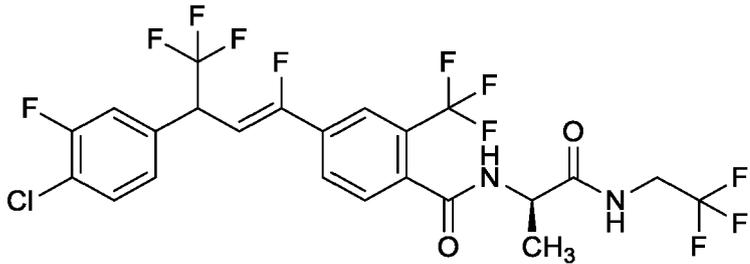
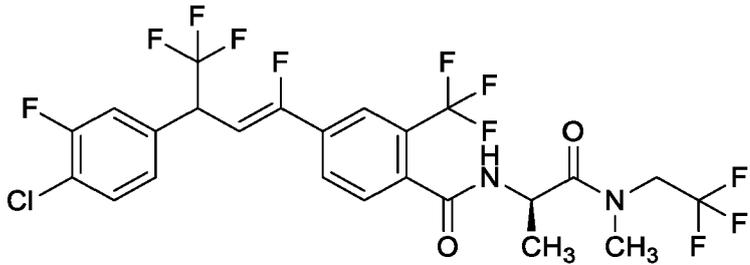
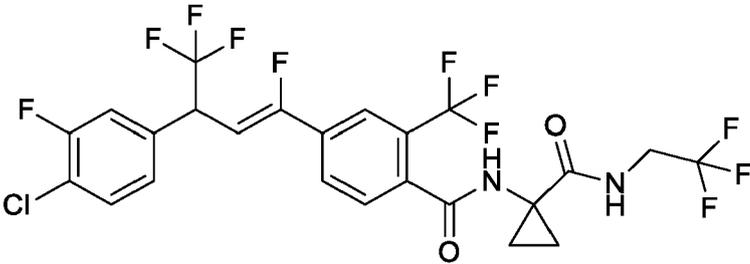
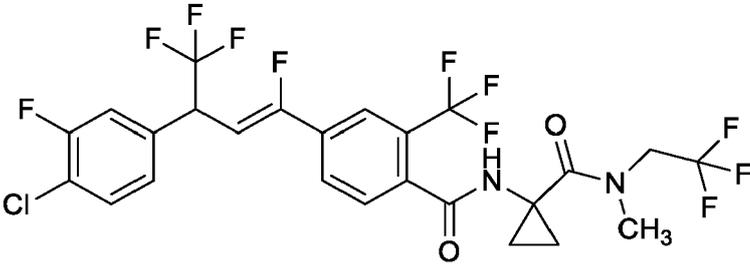
(fortgesetzt)

Nr.	Struktur
P8	
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P12	

(fortgesetzt)

Nr.	Struktur
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P15	
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P17	

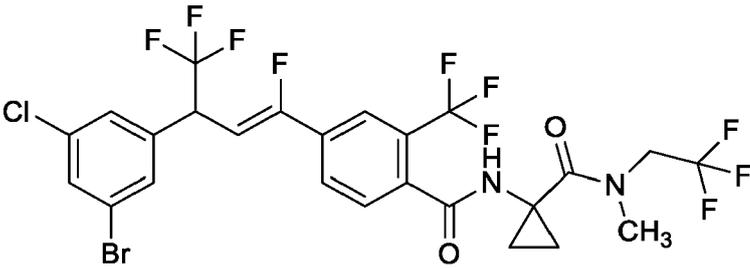
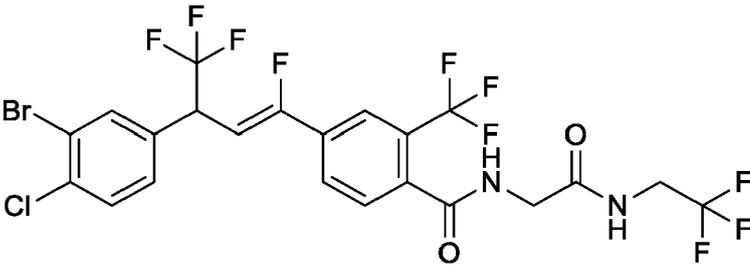
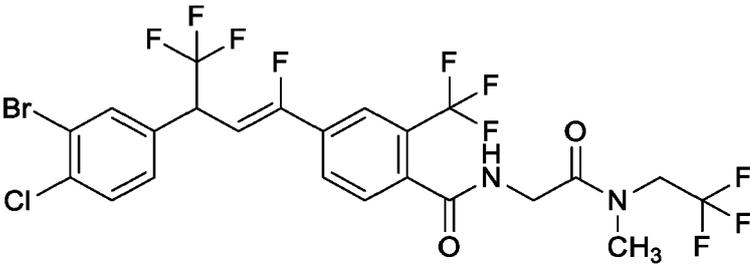
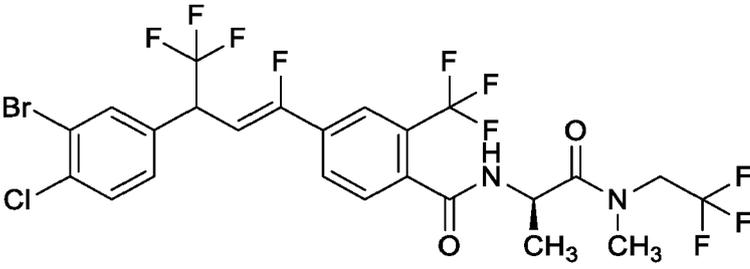
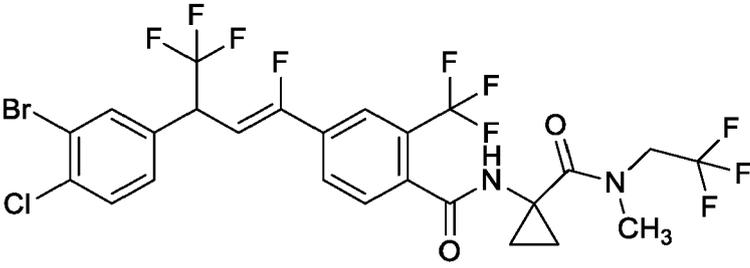
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Nr.	Struktur
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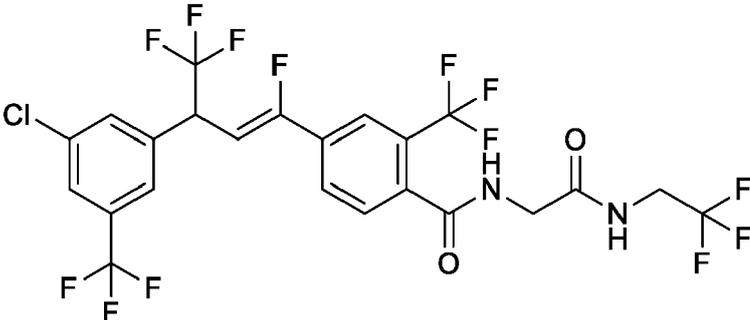
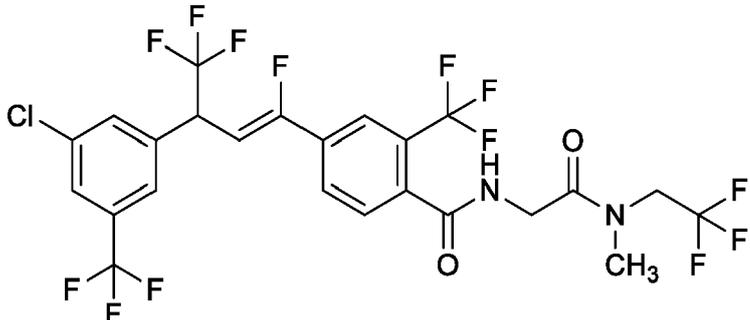
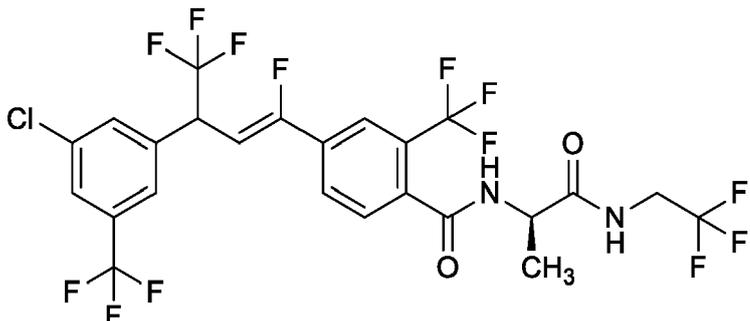
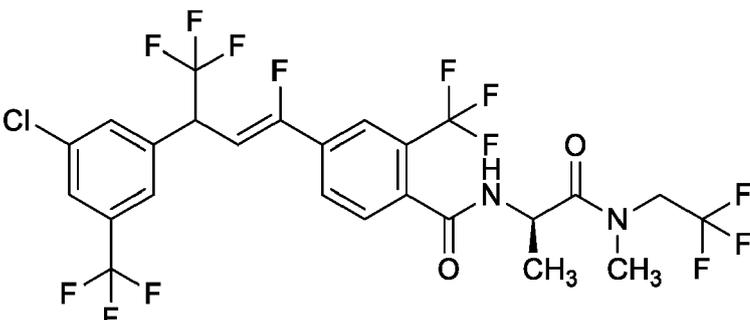
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Nr.	Struktur
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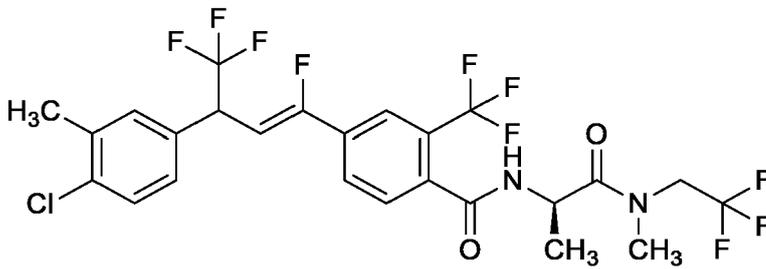
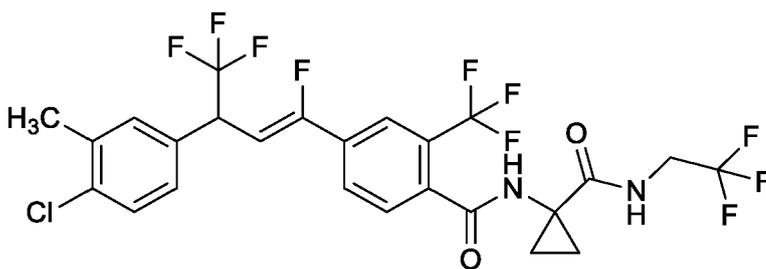
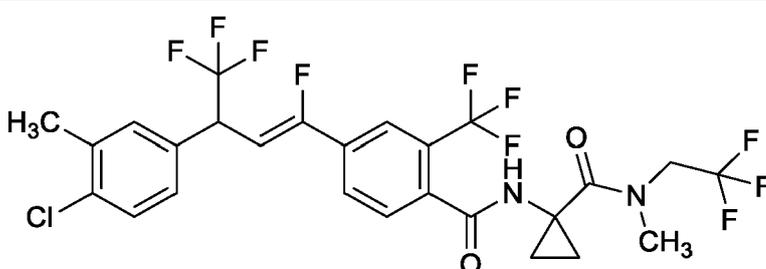
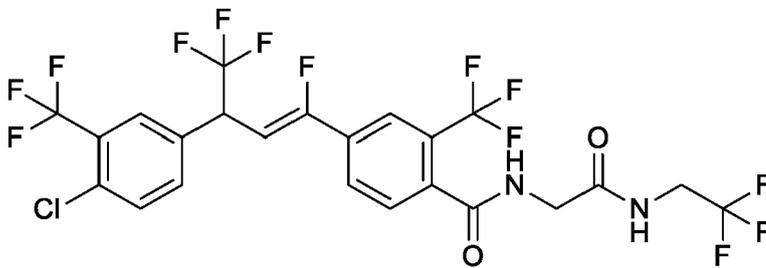
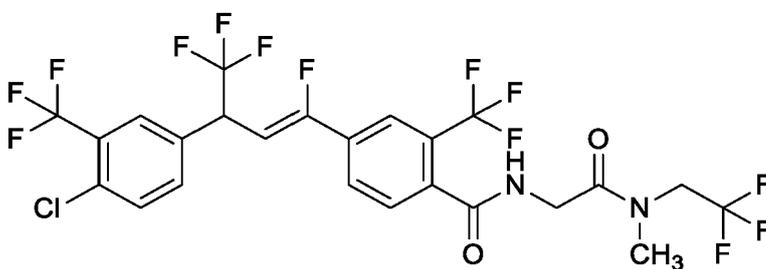
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Nr.	Struktur
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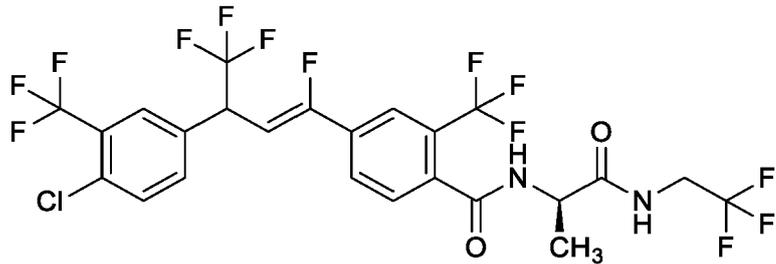
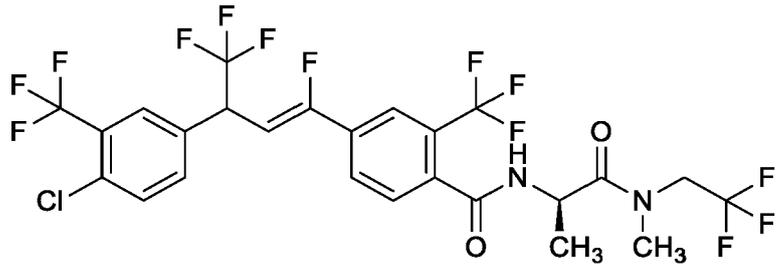
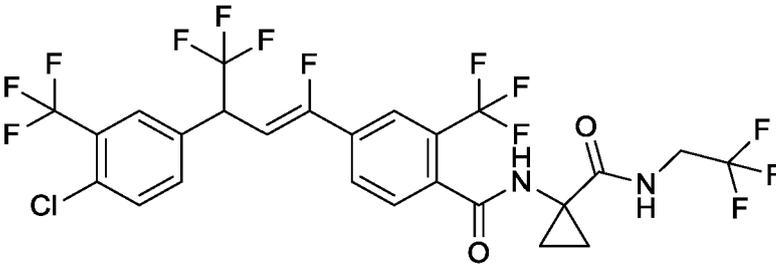
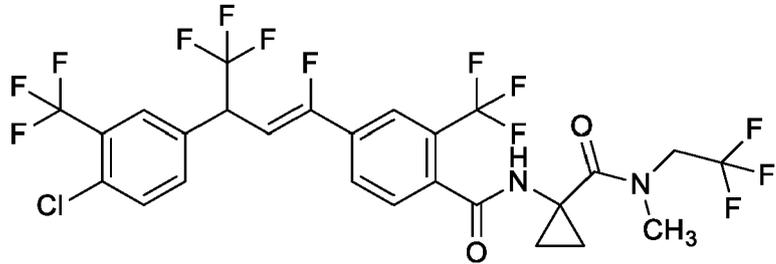
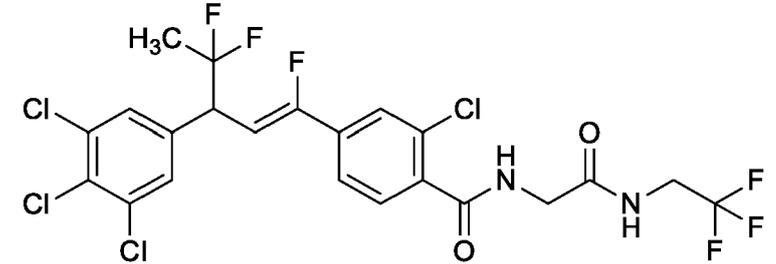
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Nr.	Struktur
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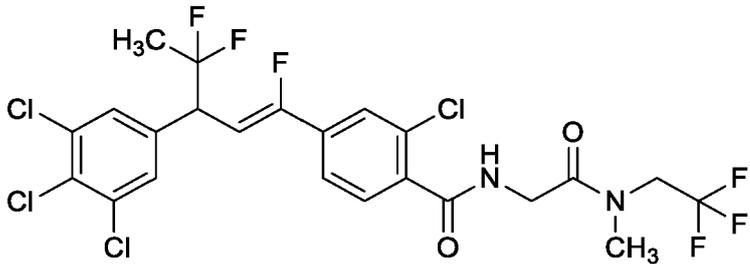
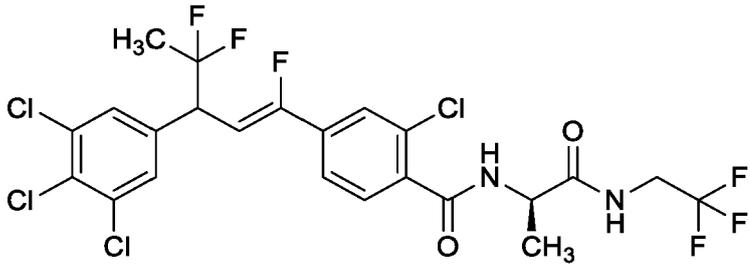
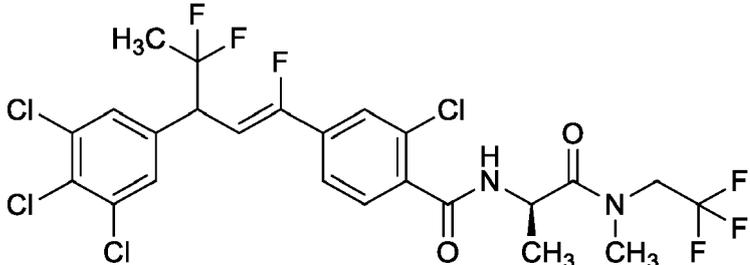
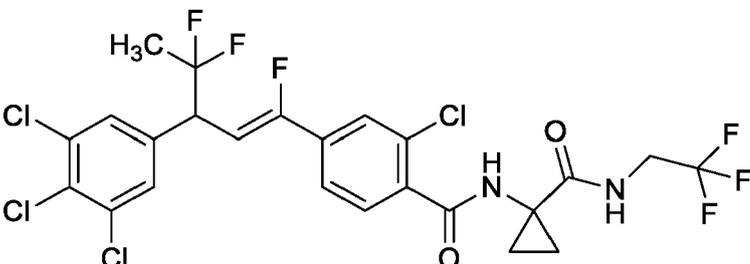
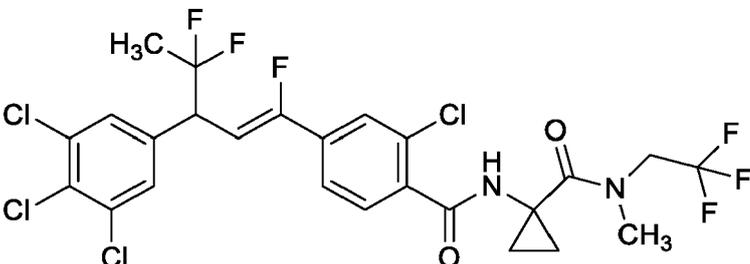
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Nr.	Struktur
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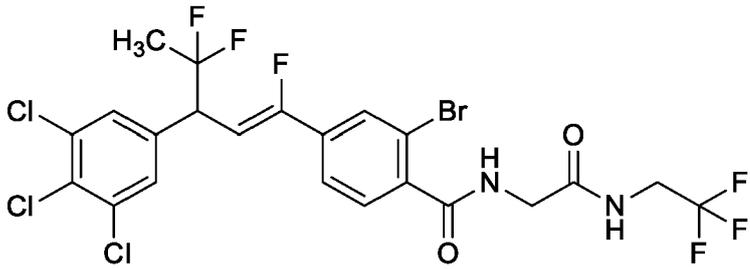
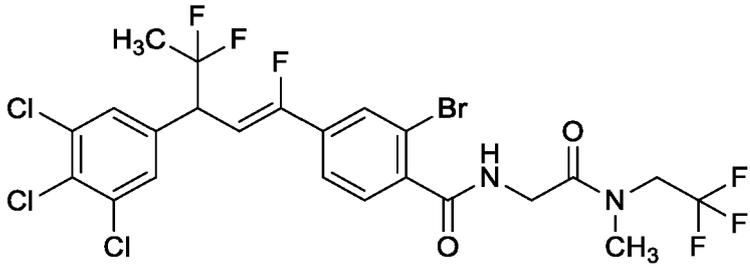
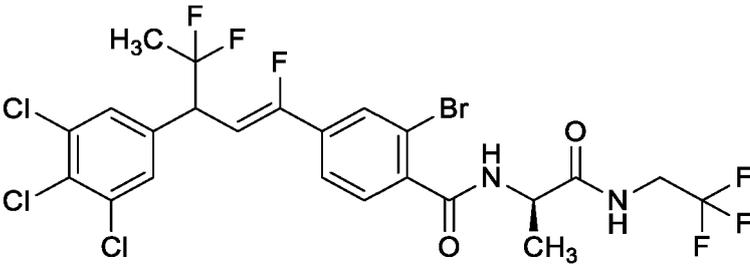
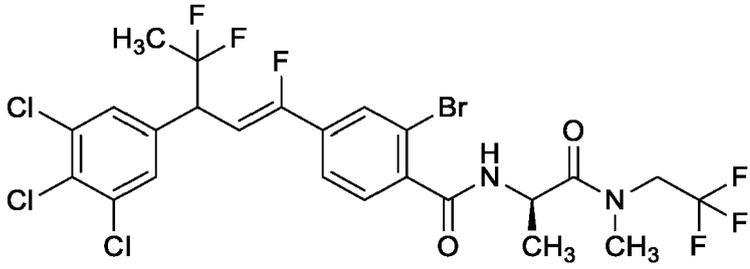
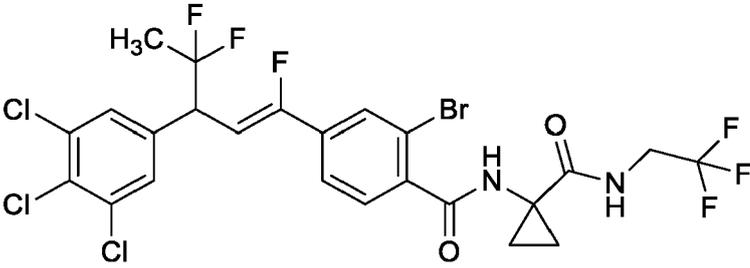
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Nr.	Struktur
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P57	
P58	

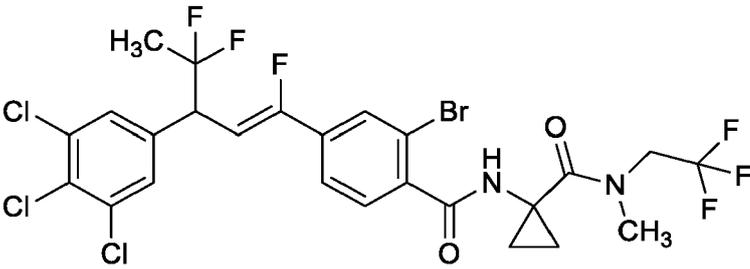
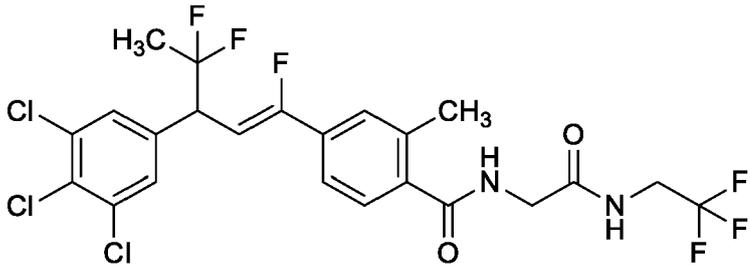
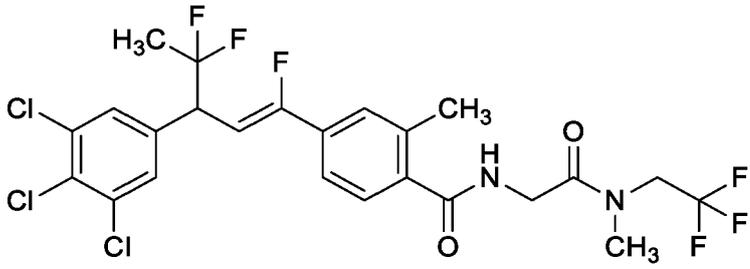
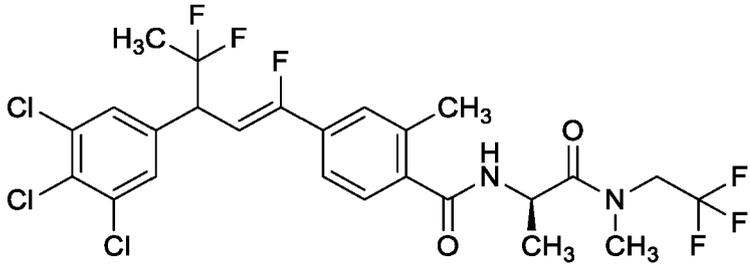
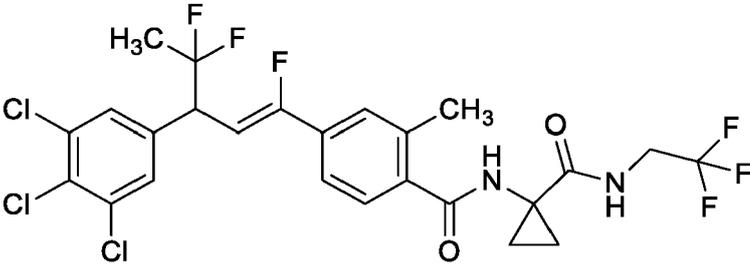
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Nr.	Struktur
P59	
P60	
P61	
P62	
P63	

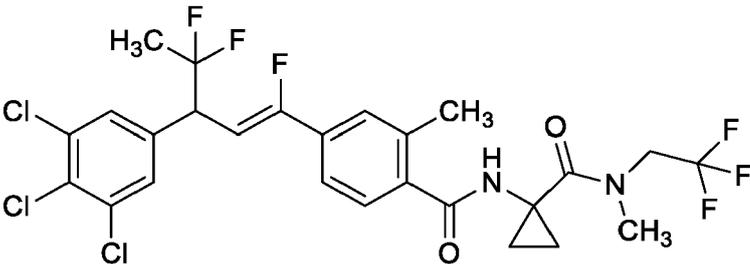
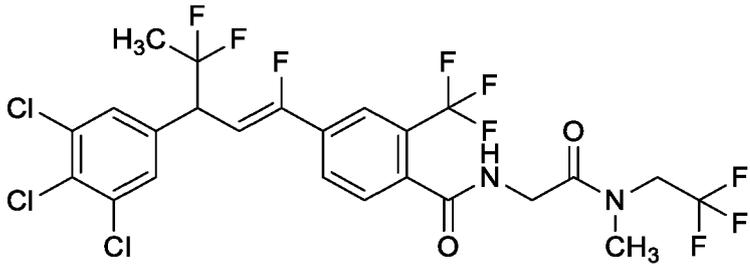
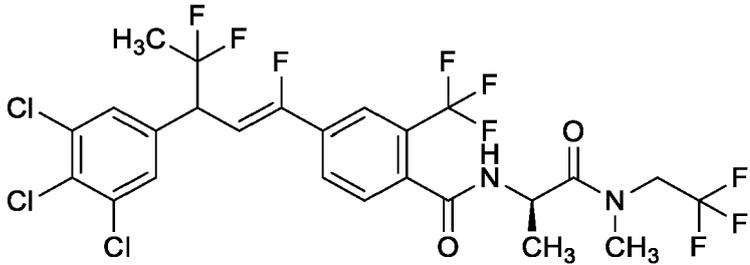
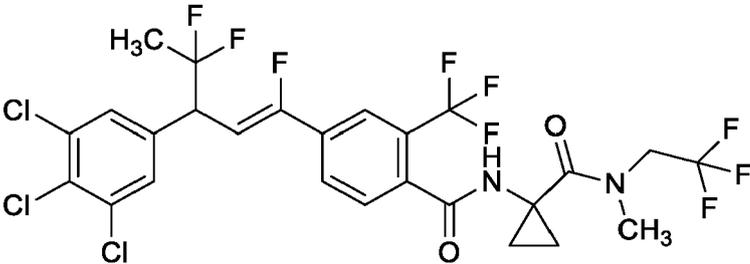
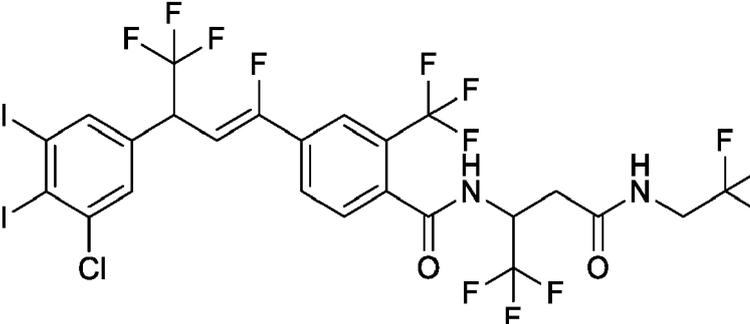
(fortgesetzt)

Nr.	Struktur
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P65	
P66	
P67	
P68	

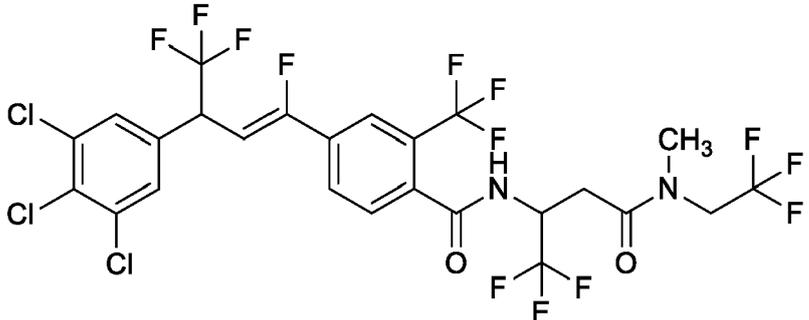
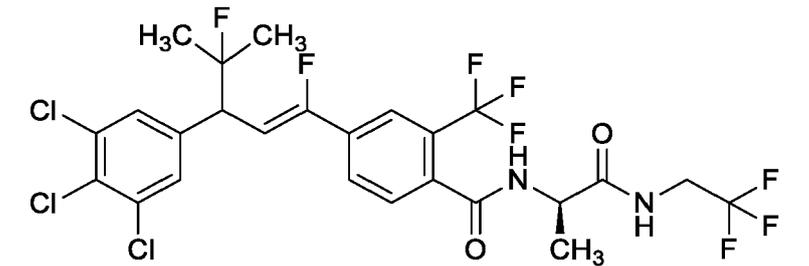
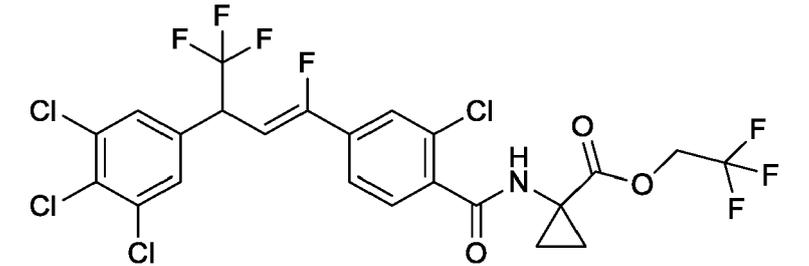
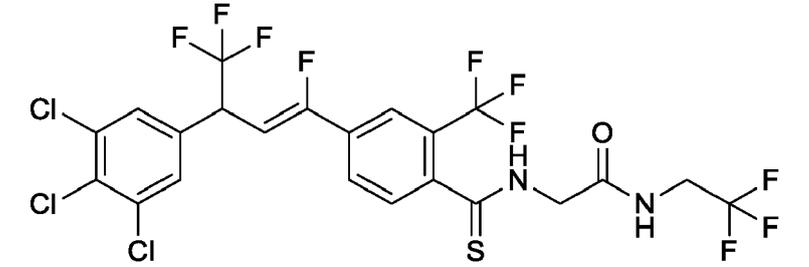
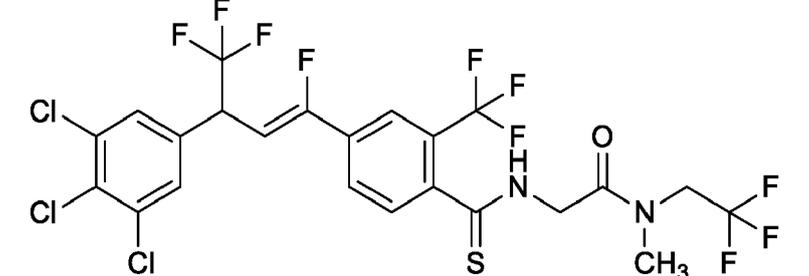
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Nr.	Struktur
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P70	
P71	
P72	
P73	

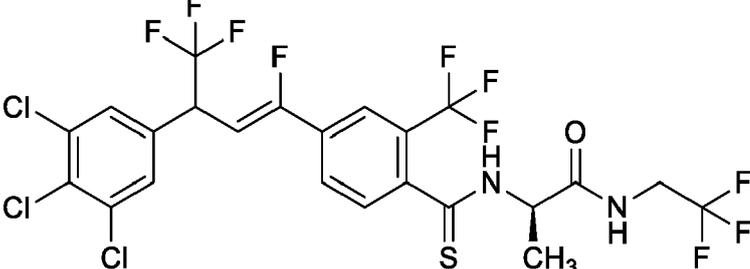
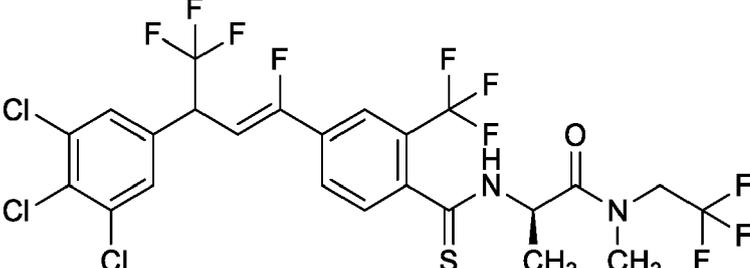
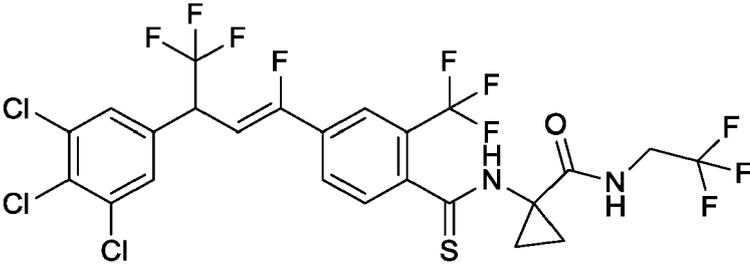
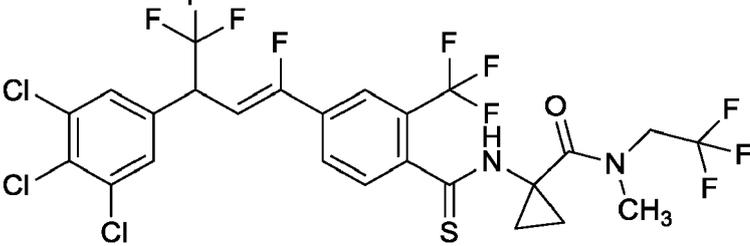
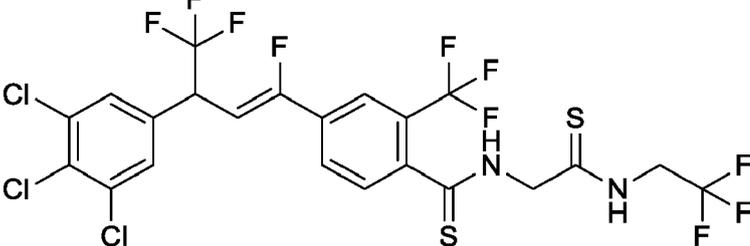
(fortgesetzt)

Nr.	Struktur
P74	
P75	
P76	
P77	
P78	

(fortgesetzt)

Nr.	Struktur
P79	
P80	
P81	
P82	
P83	

(fortgesetzt)

Nr.	Struktur
P84	 <p>Chemical structure P84: A thiazine derivative with a 2,4,6-trichlorophenyl group, a trifluoromethyl group, and a (S)-1-(2,2,2-trifluoroethyl)amino group.</p>
P85	 <p>Chemical structure P85: A thiazine derivative with a 2,4,6-trichlorophenyl group, a trifluoromethyl group, and a (S)-1-(2,2,2-trifluoroethyl)amino group with a methyl group on the nitrogen.</p>
P86	 <p>Chemical structure P86: A thiazine derivative with a 2,4,6-trichlorophenyl group, a trifluoromethyl group, and a (S)-1-(cyclopropylamino) group with a 2,2,2-trifluoroethyl group on the nitrogen.</p>
P87	 <p>Chemical structure P87: A thiazine derivative with a 2,4,6-trichlorophenyl group, a trifluoromethyl group, and a (S)-1-(cyclopropylamino) group with a methyl group on the nitrogen and a 2,2,2-trifluoroethyl group on the nitrogen.</p>
P88	 <p>Chemical structure P88: A thiazine derivative with a 2,4,6-trichlorophenyl group, a trifluoromethyl group, and a (S)-1-(2,2,2-trifluoroethyl)amino group with a sulfur atom on the nitrogen.</p>

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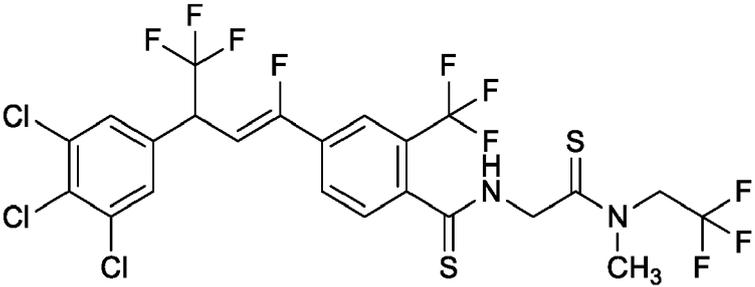
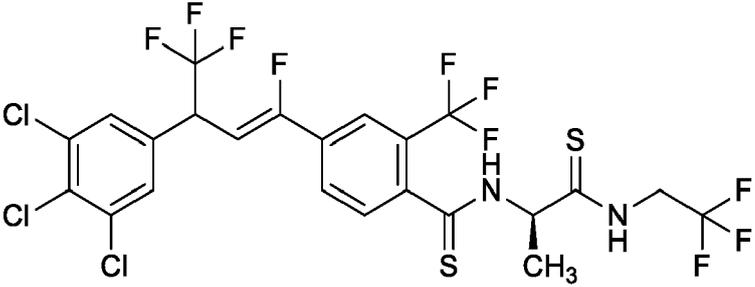
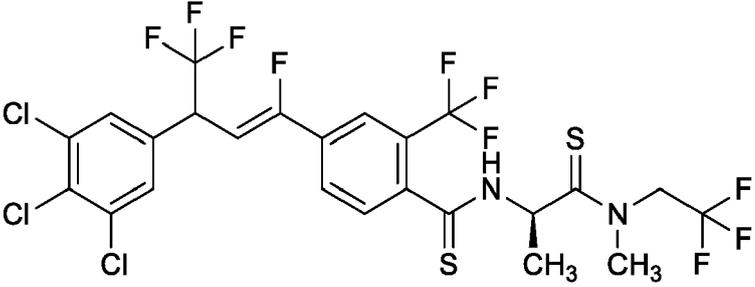
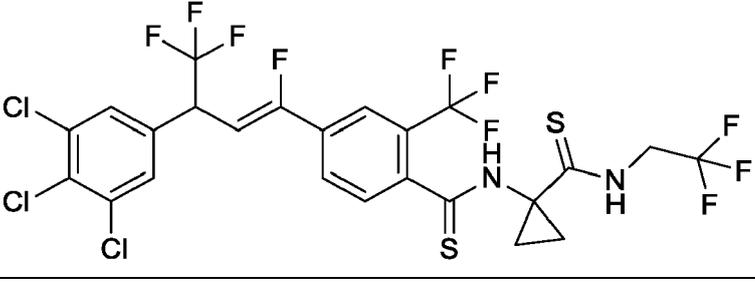
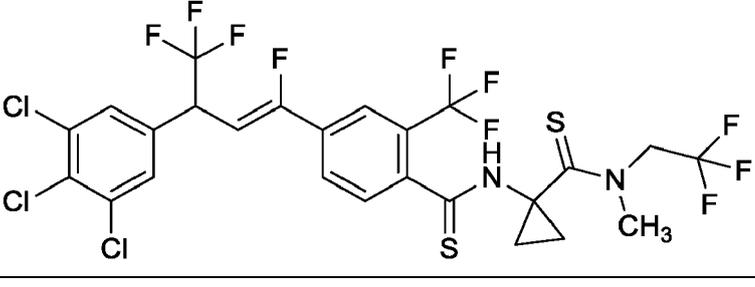
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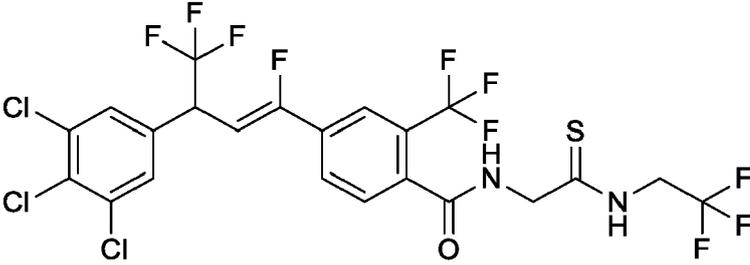
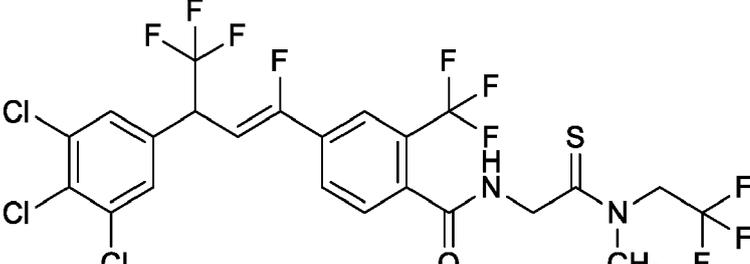
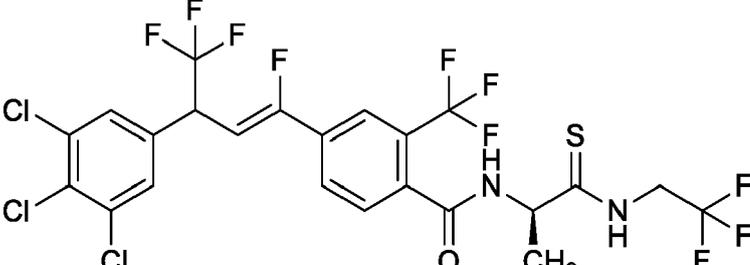
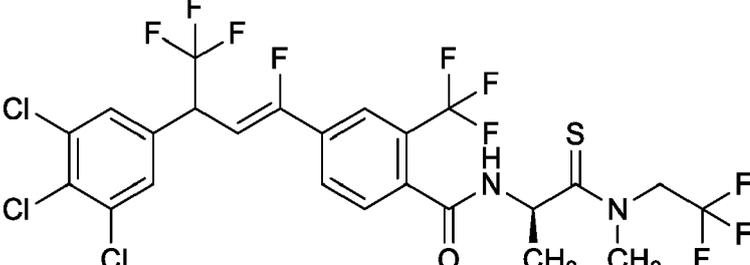
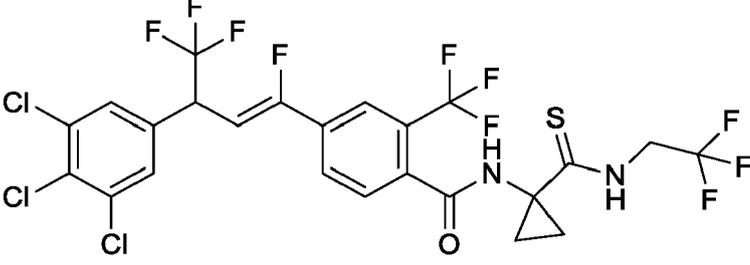
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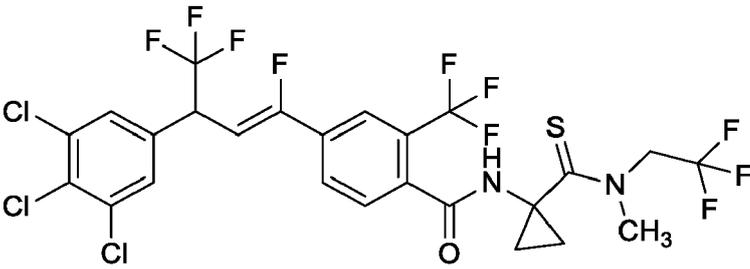
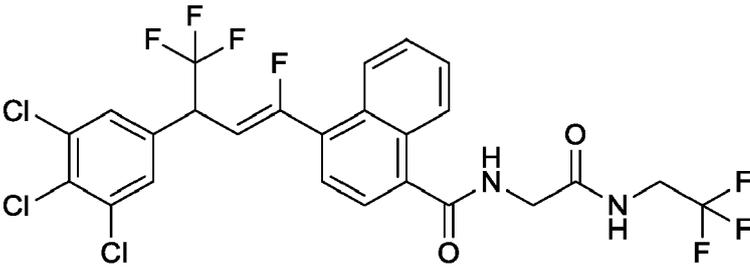
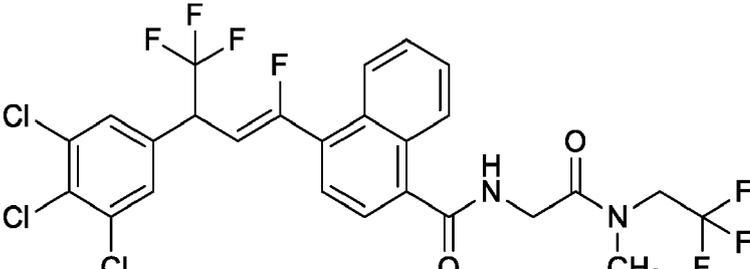
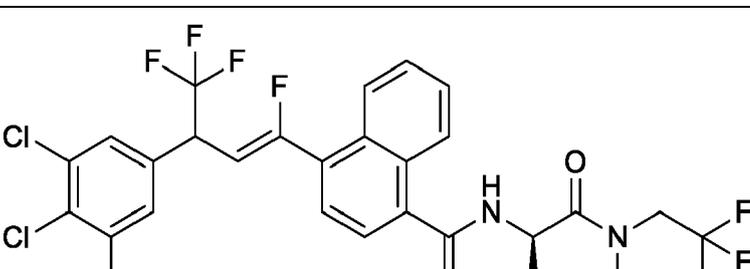
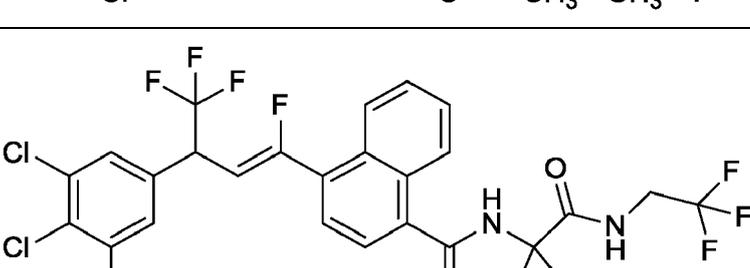
(fortgesetzt)

Nr.	Struktur
P89	 <p>Chemical structure P89: A thiazine derivative. The thiazine ring is substituted with a 2,4,6-trichlorophenyl group at the 4-position, a trifluoromethyl group at the 5-position, and a 2,2,2-trifluoroethylamino group at the 2-position. The thiazine ring also has a sulfur atom at the 6-position.</p>
P90	 <p>Chemical structure P90: A thiazine derivative. The thiazine ring is substituted with a 2,4,6-trichlorophenyl group at the 4-position, a trifluoromethyl group at the 5-position, and a 1-(2,2,2-trifluoroethyl)amino group at the 2-position. The thiazine ring also has a sulfur atom at the 6-position.</p>
P91	 <p>Chemical structure P91: A thiazine derivative. The thiazine ring is substituted with a 2,4,6-trichlorophenyl group at the 4-position, a trifluoromethyl group at the 5-position, and a 1-(2,2,2-trifluoroethyl)amino group at the 2-position. The thiazine ring also has a sulfur atom at the 6-position. A methyl group is attached to the carbon atom adjacent to the amino group.</p>
P92	 <p>Chemical structure P92: A thiazine derivative. The thiazine ring is substituted with a 2,4,6-trichlorophenyl group at the 4-position, a trifluoromethyl group at the 5-position, and a 1-(cyclopropylamino) group at the 2-position. The thiazine ring also has a sulfur atom at the 6-position.</p>
P93	 <p>Chemical structure P93: A thiazine derivative. The thiazine ring is substituted with a 2,4,6-trichlorophenyl group at the 4-position, a trifluoromethyl group at the 5-position, and a 1-(cyclopropylamino) group at the 2-position. The thiazine ring also has a sulfur atom at the 6-position. A methyl group is attached to the nitrogen atom of the cyclopropylamino group.</p>

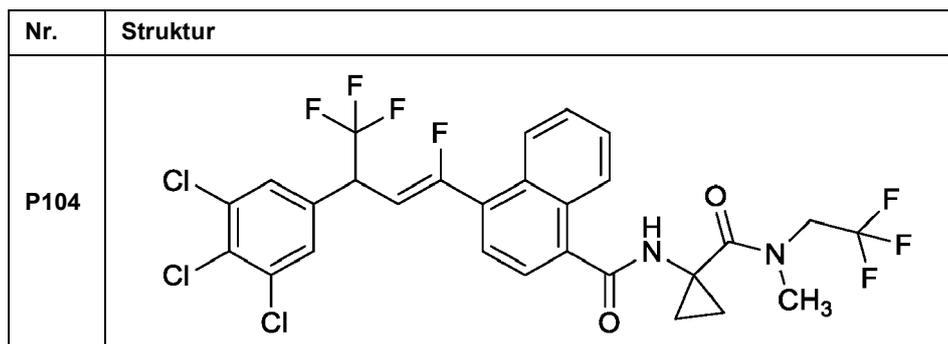
(fortgesetzt)

Nr.	Struktur
P94	
P95	
P96	
P97	
P98	

(fortgesetzt)

Nr.	Struktur
P99	
P100	
P101	
P102	
P103	

(fortgesetzt)



5. Eine pestizide Zusammensetzung umfassend das Molekül gemäß irgendeinem der Ansprüche 1, 2, 3 oder 4, des Weiteren umfassend einen oder mehrere Wirkstoffe.
6. Eine pestizide Zusammensetzung gemäß Anspruch 5, wobei dieser Wirkstoff eine der folgenden Substanzen ist:

(1) (3-Ethoxypropyl)quecksilberbromid, 1,2-Dibromethan, 1,2-Dichlorethan, 1,2-Dichlorpropan, 1,3-Dichlorpropan, 1-MCP, 1-Methylcyclopropan, 1-Naphthol, 2-(Octylthio)ethanol, 2,3,3-TPA, 2,3,5-Triiodbenzoesäure, 2,3,6-TBA, 2,4,5-T, 2,4,5-TB, 2,4,5-TP, 2,4-D, 2,4-DB, 2,4-DEB, 2,4-DEP, 2,4-DES, 2,4-DP, 2,4-MCPA, 2,4-MCPB, 2iP, 2-Methoxyethylquecksilberchlorid, 2-Phenylphenol, 3,4-DA, 3,4-DB, 3,4-DP, 3,6-Dichlorpicolinsäure, 4-Aminopyridin, 4-CPA, 4-CPB, 4-CPP, 4-Hydroxyphenethylalkohol, 8-Hydroxychinolinsulfat, 8-Phenylquecksilberoxychinolin, Abamectin, Abamectin-Aminomethyl, Abscisinsäure, ACC, Acephat, Acequinocyl, Acetamidiprid, Acethion, Acetochlor, Acetofenat, Acetophos, Acetoprol, Acibenzolar, Acifluorfen, Aclonifen, ACN, Acrep, Acrinathrin, Acrolein, Acrylnitril, Acypetacs, Afidopypropan, Afoxolaner, Alachlor, Alanap, Alanycarb, Albendazol, Aldicarb, Aldicarb-Sulfon, Aldimorph, Aldoxycarb, Aldrin, Allethrin, Allicin, Allidochlor, Allosamidin, Alloxymid, Allylalkohol, Allylcarb, Alorac, *alpha*-Cypermethrin, *alpha*-Endosulfan, Alphamethrin, Altretamin, Aluminiumphosphid, Aluminiumphosphid, Ametocetrin, Ametridion, Ametryn, Ametryn, Amibuzin, Amicarbazon, Amicarbazol, Amidithion, Amidoflumet, Amidosulfuron, Aminocarb, Aminocyclopyrachlor, Aminopyralid, Aminotriazol, Amiprofos-Methyl, Amiprofos, Amiprofos-Methyl, Amisulbrom, Amiton, Amitraz, Amitrol, Ammoniumsulfamat, Amobam, amorphes Kieselgel, amorphes Siliciumdioxid, Ampropylfos, AMS, Anabasin, Ancyimidol, Anilazin, Anilofos, Anisuron, Anthrachinon, Antu, Apholat, Aramit, Arprocarb, Arsen(III)oxid, Asomat, Aspirin, Asulam, Athidathion, Atraton, Atrazin, Aureofungin, Avermectin B1, AVG, Aviglycin, Azaconazol, Azadirachtin, Azafenidin, Azamethiphos, Azidithion, Azimsulfuron, Azinphosethyl, Azinphos-Ethyl, Azinphosmethyl, Azinphos-Methyl, Aziprotryn, Aziprotryn, Azithiram, Azobenzol, Azocyclotin, Azothoat, Azoxystrobin, Bachmedesh, Barban, Barbanat, Bariumhexafluorsilikat, Bariumpolysulfid, Bariumsilicofluorid, Barthrin, basisches Kupfercarbonat, basisches Kupferchlorid, basisches Kupfersulfat, BCPC, Bflubutamid, Benalaxyl, Benalaxyl-M, Benazolin, Bencarbazon, Benclouthiaz, Bendaqingbingzhi, Bendiocarb, Bendioxid, Benefin, Benfluralin, Benfuracarb, Benfuresat, Benmihuangcaoan, Benodanil, Benomyl, Benoxacor, Benoxafos, Benchinox, Bensulfuron, Bensulid, Bensultap, Bentaluron, Bentazon, Bentazon, Benthiavalicarb, Benthiazol, Benthicarb, Bentranil, Benzadox, Benzalkoniumchlorid, Benzamacril, Benzamizol, Benzamorf, Benzohexachlorid, Benzfendizon, Benzimin, Benzipram, Benzobicyclon, Benzoepin, Benzofenap, Benzofluor, Benzohydroxamsäure, Benzomat, Benzophosphat, Benzothiadiazol, Benzovindiflupyr, Benzoximat, Benzoylprop, Benzthiazuron, Benzuocaotong, Benzylbenzoat, Benzyladenin, Berberin, *beta*-Cyfluthrin, *beta*-Cypermethrin, Bethoxazin, BHC, Bialaphos, Bicyclopyron, Bifenazat, Bifenox, Bifenthrin, Bifujunzhi, Bilanafos, Binapacryl, Bingqingxiao, Bioallethrin, Bioethanmethrin, Biopermethrin, Bioresmethrin, Biphenyl, Bisazir, Bismethiazol, Bismethiazol-Kupfer, Bisphenylquecksilbermethylendi(x-naphthalin-y-sulphonat), Bispyribac, Bistrifluron, Bisultap, Bitertanol, Bithionol, Bixafen, Blastidicin-S, Borax, Bordeaux-Mischung, Borsäure, Boscalid, BPPS, Brassinolid, Brassinolid-Ethyl, Brevicomin, Brodifacoum, Brofenprox, Brofenvalerat, Broflanilid, Brofluthrinat, Bromacil, Bromadiolon, Bromchlorphos, Bromethalin, Bromethrin, Bromfenvinfos, Bromacetamid, Bromobonil, Bromobutid, Bromocyclen, Bromocyclen, Brom-DDT, Bromofenoxim, Bromofos, Brommethan, Bromophos, Bromophos-Ethyl, Brompropylat, Bromthalonil, Bromoxynil, Brompyrazon, Bromuconazol, Bronopol, BRP, BTH, Bucarpolat, Bufencarb, Buminafos, Bupirimat, Buprofezin, Burgunder-Mischung, Busulfan, Busulphan, Butacarab, Butachlor, Butafenacil, Butam, Butamifos, Butan-Fipronil, Butathiofos, Butenachlor, Buten-Fipronil, Butethrin, Buthidazol, Buthiobat, Butiuron, Butifos, Butocarboxim, Butonat, Butopyronoxyl, Butoxycarboxim, Butralin, Butrizol, Butroxydim, Buturon, Butylamin, Butylat, Butylchlorophos, Butylen-Fipronil, Dimethylarsinsäure, Cadusafos, Cafenstrol, Calciferol,

Calciumarsenat, Calciumchlorat, Calciumcyanamid, Calciumcyanid, Calciumpolysulfid, Calvinphos, Camben-
 dichlor, Camphechlor, Kampher, Captafol, Captan, Carbam, Carbamorph, Carbanolat, Carbaril, Carbaryl, Car-
 basulam, Carbathion, Carbendazim, Carbendazol, Carbetamid, Carbofenotion, Carbofuran, Kohlenstoffdisulfid,
 Kohlenstofftetrachlorid, Carbonylsulfid, Carbophenothion, Carbophos, Carbosulfan, Carboxazol, Carboxid, Car-
 boxin, Carfentrazon, Carpropamid, Cartap, Carvacrol, Carvon, CAVP, CDAA, CDEA, CDEC, Cellocidin, CEPC,
 5 Ceralure, Cerenox, Cevadilla, Cheshunt-Mischung, Chinalphos, Chinalphos-Methyl, Chinomethionat, Chinome-
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 Delnav, Deltamethrin, Demephion, Demephion-O, Demephion-S, Demeton, Demeton-Methyl, Demeton-O, De-
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 DEP, Depallethrin, Derris, Desmedipham, Desmetryn, Desmetryn, d-Fanshiliuquebingjuzhi, Diafenthuron, Di-
 alifor, Dialifos, Diallat, Diamidafos, Dianat, Diatomeenerde, Diatomit, Diazinon, Dibrom, Phthalsäuredibutylester,
 40 Bernsteinäuredibutylester, Dicamba, Dicapthon, Dichlobenil, Dichlofenthion, Dichlofluamid, Dichlon, Dichloral-
 harnstoff, Dichlorbenzuron, Dichlorfenidim, Dichlorflurecol, Dichlorflurenol, Dichlormat, Dichlormid, Dichlorme-
 than, Diclormezotiaz, Dichlorphen, Dichlorprop, Dichlorprop-P, Dichlorvos, Dichlozolin, Dichlozolin, Diclobutra-
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 phos, Dicryl, Dicumarol, Dicyclanil, Dicyclonon, Dieldrin, Dienochlor, Diethamquat, Diethatyl, Diethion, Diethion,
 45 Diethofencarb, Dietholat, Diethon, Diethylpyrocarbonat, Diethyltoluamid, Difenacoum, Difenoconazol, Difeno-
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 zopyr, Diflumetorim, Dikegulac, Dilor, Dimatif, Dimefluthrin, Dimefox, Dimefuron, Dimehypo, Dimepiperat, Di-
 metachlon, Dimetan, Dimethacarb, Dimethachlon, Dimethachlor, Dimethametryn, Dimethenamid, Dimethena-
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 50 Phthalsäuredimethylester, Dimethylvinphos, Dimetilan, Dimexano, Dimidazon, Dimoxystrobin, Dimpylat, Dimu-
 ron, Dinex, Dingjunezuo, Diniconazol, Diniconazol-M, Dinitramin, Dinitrophenole, Dinobuton, Dinocap, Dinocap-
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 Dinoterbon, Diofenolan, Dioxabenzofos, Dioxacarb, Dioxathion, Dioxathion, Diphacin, Diphacinon, Diphenadi-
 on, Diphenamid, Diphenamid, Diphenylsulfon, Diphenylamin, Diphenylsulfid, Diaceton-2-ketogulonsäure, Di-
 propalin, Dipropetryn, Dipterex, Dipymetiton, Dipyrithion, Diquat, Dinatriumtetraborat, Disosultap, Disparlur,
 Disugran, Disul, Disulfiram, Disulfoton, Ditalimfos, Dithianon, Dithicrofos, Dithioether, Dithiometon, Dithiopyr,
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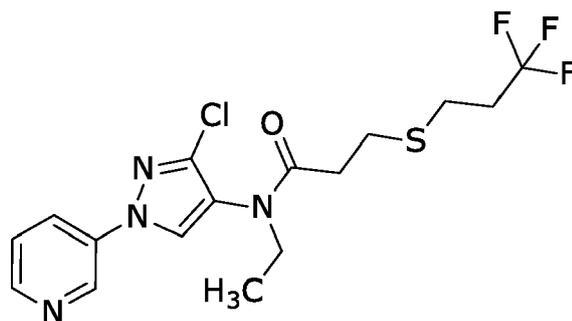
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Ethylquecksilberacetat, Ethylquecksilberbromid, Ethylquecksilberchlorid, Ethylquecksilberphosphat, Etinofen, ETM, Etnipromid, Etobenzanid, Etofenprox, Etoxazol, Etridiazol, Etrimfos, Etrimfos, Eugenol, EXD, Famoxadon, Famphur, Fenac, Fenamidon, Fenaminosulf, Fenaminstrobin, Fenamiphos, Fenapanil, Fenarimol, Fenasulam, Fenazaflor, Fenazaquin, Fenbuconazol, Fenbutatinoxid, Fenchlorazol, Fenchlorphos, Fenclofos, Fenclorim, Fenethacarb, Fenfluthrin, Fenfuram, Fenhexamid, Fenidin, Fenitropan, Fenitrothion, Fenizon, Fenjuntong, Fenobucarb, Fenolovo, Fenoprop, Fenothiocarb, Fenoxacrim, Fenoxanil, Fenoxaprop, Fenoxaprop-P, Fenoxasulfon, Fenoxycarb, Fencpiclonil, Fenpirithrin, Fenpropathrin, Fenpropidin, Fenpropimorph, Fenpyrazamin, Fenpyroximant, Fenquinotriol, Fenridazon, Fenson, Fensulfothion, Fenteracol, Fenthion, Fenthion-Ethyl, Fentiaprop, Fentin, Fentrazamid, Fentrifanil, Fenuron, Fenuron-TCA, Fenvalerat, Ferbam, Ferimzon, Eisen(III)phosphat, Eisen(II)sulfat, Fipronil, Flamprop, 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Haloxydin, Haloxyfop, Haloxyfop-P, Haloxyfop-R, HCA, HCB, HCH, Hemel, Hempa, HEOD, Heptachlor, Heptafluthrin, Heptenophos, Heptopargil, Herbimycin, Herbimycin A, Heterophos, Hexachlor, Hexachloran, He-xachloracetone, Hexachlorbenzol, Hexachlorbutadien, Hexachlorphen, Hexaconazol, Hexaflumuron, Hexaflu-ramin, Hexaflurat, Hexalur, Hexamid, Hexazinon, Hexylthiofos, Hexythiazox, HDDN, Holosulf, Homobrassinolid, Huancaiwo, Huanhongjing, Huangcaoling, Huanjunzuo, Hydramethylnon, Hydrargaphen, Kalkhydrat, Cyana-mid, Cyanwasserstoff, Hydropren, Hydroxyisoxazol, Hymexazol, Hyquincarb, IAA, IBA, IBP, Icaridin, Imazalil, Imazamethabenz, Imazamox, Imazapic, Imazapyr, Imazaquin, Imazethapyr, Imazosulfuron, Imibenconazol, Imicyafos, Imidacloprid, Imidaclothiz, Iminoctadin, Imiprothrin, Inabenfid, Indanofan, Indaziflam, Indoxacarb, Inezin, Kieselsäure, Iodobonil, Iodocarb, Iodofenphos, Iodmethan, Iodsulfuron, Iofensulfuron, Ioxynil, Ipazin, IPC, Ipconazol, Ipfencarbazon, Iprobenfos, Iprodion, 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Leptophos, Lianbenjingzhi, Schwefelkalk, Lindan, Line-atin, Linuron, Lirimfos, Litlure, Looplure, Lufenuron, Lüxiancaolin, Lvdingjunzhi, Lvfumijvzhi, Lvxiankaolin, Ly-thidathion, M-74, M-81, MAA, Magnesiumphosphid, Malathion, Maldison, Maleinsäurehydrazid, Malonoben,

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 15 parathion, Methylacetophos, Methylchloroform, Methyledithiocarbaminsäure, Methylidymron, Methylenchlorid,
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 30 ram, Nithiazin, Nitralin, Nitrapyrim, Nitrilcarb, Nitrofen, Nitrofluorfen, Nitrostyrol, Nitrothal-Isopropyl, Norbormid,
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 35 Oxpoconazol, Oxycarboxin, Oxydemeton-Methyl, Oxydeprofos, Oxydisulfoton, Oxyadenin, Oxyfluorfen, Oxy-
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 50 Ethyl, Pirmiphos-Methyl, Pival, Pivaldion, Plifenat, PMA, PMP, Polybutene, Polycarbamat, Polychlorcamphen,
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 25 sad, Spirodiclofen, Spiromesifen, Spirotetramat, Spiroxamin, Stirofos, Streptomycin, Strychnin, Sulcatol, Sul-
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 chlor, *theta*-Cypermethrin, Thiabendazol, Thiachlopid, Thiadiazin, Thiadifluor, Thiamethoxam, Thiameturon, Thi-
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 carbazon, Thifensulfuron, Thifluzamid, Thimerosal, Thimet, Thiobencarb, Thiocarboxim, Thiochlorfenphim, Thi-
 ochlorfenphim, Thiocyanatodinitrobenzole, Thiocyclam, Thiodan, Thiodiazol-Kupfer, Thiodicarb, Thiofanocarb,
 Thiofanox, Thiofluoximat, Thiohempa, Thiomersal, Thiometon, Thionazin, Thiophanat, Thiophanat-Ethyl, Thi-
 ophanat-Methyl, Thiophos, Thiochinox, Thiosemicarbazid, Thiosultap, Thiotepa, Thioxamyl, Thiram, Thiuram,
 45 Thuringiensin, Tiabendazol, Tiadinil, Tiafenacil, Tiaojiean, TIBA, Tifatol, Tiocarbazil, Tioclorim, Tioxazafen, Ti-
 oxymid, Tirpat, TMTD, Tolclofos-Methyl, Tolfenpyrad, Tolprocarb, Tolpyralat, Tolyfluamid, Tolyfluamid, Toly-
 quecksilberacetat, Tomarin, Topramezon, Toxaphen, TPN, Tralkoxydim, Tralocythrin, Tralomethrin, Tralopyril,
 Transfluthrin, Transpermethrin, Tretamin, Triaccontanol, Triadimefon, Triadimenol, Triafamon, Triallat, Triallat,
 50 Triamiphos, Triapenthenol, Triarathen, Triarimol, Triasulfuron, Triazamat, Triazbutil, Triaziflam, Triazophos,
 Triazothion, Triazoxid, tribasisches Kupferchlorid, tribasisches Kupfersulfat, Tribenuron, Tribufos, Tributylzinn-
 oxid, Tricamba, Trichlamid, Trichlopyr, Trichlorfon, Trichlormetaphos-3, Trichloronat, Trichloronat, Trichlortrini-
 trobenzole, Trichlorphon, Triclopyr, Triclopyricarb, Trikesol, Tricyclazol, Tricyclohexylzinnhydroxid, Tride-
 45 morph, Tridiphan, Trietazin, Trifenmorph, Trifenofos, Trifloxystrobin, Trifloxysulfuron, Trifludimoxazin, Triflume-
 zopyrim, Triflumizol, Triflumuron, Trifluralin, Triflursulfuron, Trifop, Trifopsim, Triforin, Trihydroxytriazin, Trimed-
 lure, Trimethacarb, Trimeturon, Trinexapac, Triphenylzinn, Tripren, Tripropindan, Triptolid, Tritac, Trithialan,
 55 Triticonazol, Tritosulfuron, Trunc-Call, Tuoyelin, Uniconazol, Uniconazol-P, Urbacid, Urededpa, Valerat, Valida-
 mycin, Validamycin A, Valifenalat, Valon, Vamidotion, Vangard, Vaniliprol, Vernolat, Vinclozolin, Vitamin D3,
 Warfarin, Xiaochongliulin, Xinjunan, Xiwojunan, Xiwojunzhi, XMC, Xylachlor, Xylenole, Xylylcarb, Xymiazol,
 Yishijing, Zarilamid, Zeatin, Zengxiaoan, Zengxiaolin, *zeta*-Cypermethrin, Zinknaphthenat, Zinkphosphid,
 Zinkthiazol, Zinkthiazol, Zinktrichlorphenat, Zinktrichlorphenoxid, Zineb, Ziram, Zolaprofos, Zoocoumarin, Zo-
 xamid, Zuojunzhi, Zuocaoan, Zuojunzhi, Zuomihuanglong, α -Chlorhydrin, α -Ecdyson, α -Multistriatin, α -
 Naphthalinessigsäuren und β -Ecdyson;
 (2)

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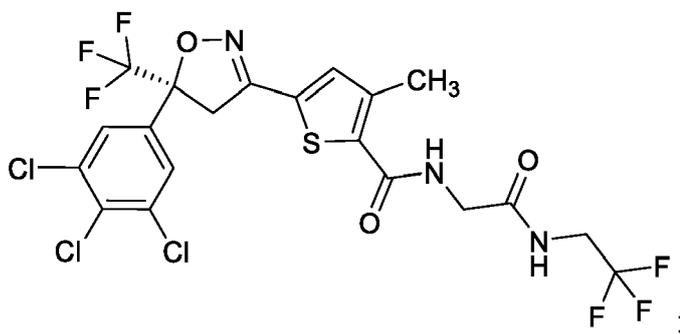


N-(3-Chlor-1(pyridin-3-yl)-1*H*-pyrazol-4-yl)-*N*-ethyl-3-((3,3,3-trifluorpropyl)thio)propanamid;
 (3) das als Lotilaner bekannte Molekül, das die nachfolgende Struktur aufweist:

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und

(4) die Moleküle in der nachfolgenden Tabelle:

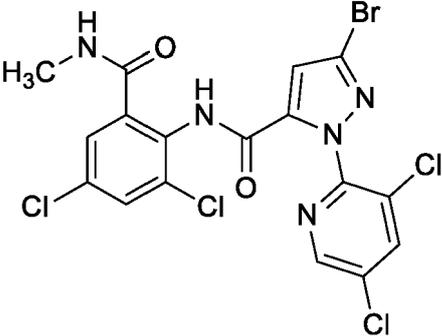
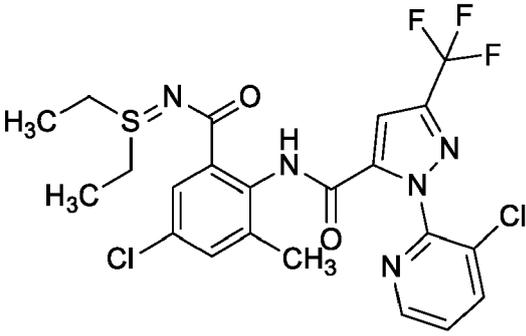
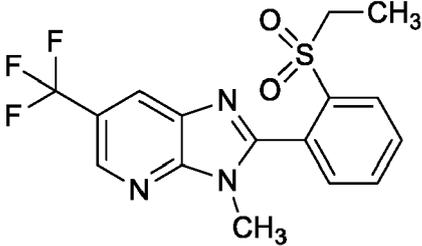
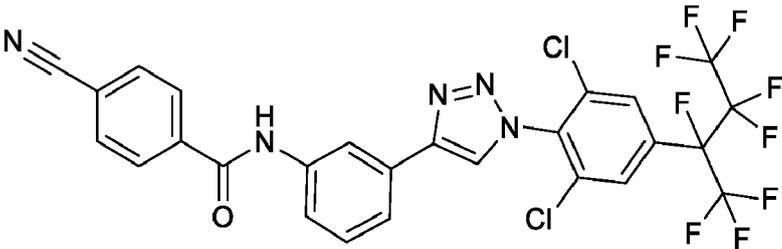
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Name	Struktur
M1	<p>$R = \text{CH}, \text{N}$ $R_1 = \text{H}, \text{Me}$</p>
M2	<p>$X = \text{F}, \text{Cl}$ $R = \text{H}, \text{F}$</p>

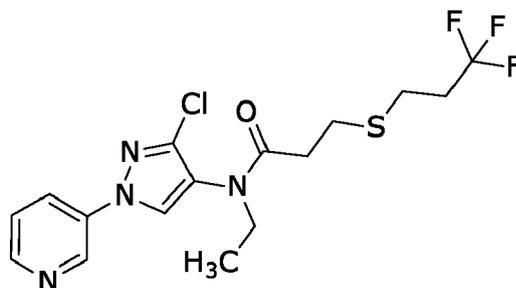
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(fortgesetzt)

Name	Struktur
M3	
M4	
M5	
M6	

7. Eine pestizide Zusammensetzung gemäß Anspruch 5, wobei der Wirkstoff aus



N-(3-Chlor-1-(pyridin-3-yl)-1*H*-pyrazol-4-yl)-*N*-ethyl-3-((3,3,3-trifluorpropyl)thio)propanamid, 1,3-Dichlorpropen, Chlorpyrifos, Chlorpyrifos-Methyl, Hexaflumuron, Methoxyfenozid, Noviflumuron, Spinetoram, Spinosad, Sulfoxaflor und Sulfurylfluorid ausgewählt ist.

- 5 **8.** Eine pestizide Zusammensetzung gemäß Anspruch 5, umfassend eine Substanz mit einer Wirkungsweise (MoA-Substanz) ausgewählt aus:

- (1) Acetylcholinesterase-(AChE)-Hemmern;
 (2) GABA-gesteuerte Chloridionen-Kanal-Antagonisten;
 10 (3) Natrium-Kanal-Modulatoren;
 (4) Agonisten des nikotinischen Acetylcholin-Rezeptors (nAChR);
 (5) allosterische Aktivatoren des nikotinischen Acetylcholin-Rezeptors (nAChR);
 (6) Aktivatoren des Chloridionen-Kanals;
 (7) Juvenilhormon-Mimetika;
 15 (8) verschiedenen nichtspezifischen (multi-site) Hemmern;
 (9) Modulatoren der chordotonalen Organe;
 (10) Milbenwachstumshemmern;
 (11) Mikrobielle Zerstörern von Insektenmitteldarm-Membranen;
 (12) Hemmern der mitochondrialen ATP-Synthase;
 20 (13) Entkopplern der oxidativen Phosphorylierung durch Störung des Protonengradienten;
 (14) Blockern des nikotinischen Acetylcholin-Rezeptorkanals (nAChR);
 (15) Hemmern der Chitin-Biosynthese, Typ 0;
 (16) Hemmern der Chitin-Biosynthese, Typ 1;
 (17) Häutungsunterbrechern, Zweiflügler;
 25 (18) Ecdyson-Rezeptor-Agonisten;
 (19) Octopamin-Rezeptor-Agonisten;
 (20) Hemmern des mitochondrialen Komplex-III-Elektronentransports;
 (21) Hemmern des mitochondrialen Komplex-I-Elektronentransports;
 (22) spannungsabhängigen Blockern des Natriumkanals;
 30 (23) Hemmern der Acetyl-CoA-Carboxylase;
 (24) Hemmern des mitochondrialen Komplex-IV-Elektronentransports;
 (25) Hemmern des mitochondrialen Komplex-II-Elektronentransports; und
 (28) Modulatoren des Ryanodin-Rezeptors.

- 35 **9.** Eine pestizide Zusammensetzung gemäß Anspruch 8, wobei die MoA-Substanz eine der folgenden Substanzen ist: Abamectin, Acephat, Acequinocyl, Acetamiprid, Acrinathrin, Alanycarb, Aldicarb, Allethrin, alpha-Cypermethrin, Aluminiumphosphid, Amitraz, Azamethiphos, Azinphos-Ethyl, Azinphos-Methyl, Azocyclostin, Bendiocarb, Benfuracarb, Bensultap, *beta*-Cyfluthrin, *beta*-Cypermethrin, Bifenthrin, Bioallethrin, Bioallethrin-S-Cyclopentenyl-Isomer, Bioresmethrin, Bistrifluron, Borax, Buprofezin, Butocarboxim, Butoxycarboxim, Cadusafos, Calciumphosphid, Carbaryl, Carbofuran, Carbosulfan, Cartaphydrochlorid, Chlorantraniliprol, Chlordan, Chlorethoxyfos, Chlorfenapyr, Chlorfenvinphos, Chlorfluazuron, Chlormephos, Chlorpikrin, Chlorpyrifos, Chlorpyrifos-Methyl, Chromafenozid, Clifentezin, Clothianidin, Coumaphos, Cyanid, Cyanophos, Cyantraniliprol, Cycloprothrin, Cyenopyrafen, Cyflumetofen, Cyfluthrin, Cyhalothrin, Cyhexatin, Cypermethrin, Cyphenothrin, Cyromazin, *d-cis-trans*-Allethrin, DDT, Deltamethrin, Demeton-S-Methyl, Diafenthiuron, Diazinon, Dichlorvos/DDVP, Dicrotophos, Diflovidazin, Diflubenzuron, Dimethoat, Dimethylvinphos, Dinotefuran, Disulfoton, DNOC, *d-trans*-Allethrin, Emamectinbenzoat, Empenthrin, Endosulfan, EPN, Esfenvalerat, Ethiofencarb, Ethion, Ethoprophos, Etofenprox, Etoxazol, Famphur, Fenamiphos, Fenazaquin, Fenbutatinoxid, Fenitrothion, Fenobucarb, Fenoxycarb, Fenpropathrin, Fenpyroximat, Fenthion, Fenvalerat, Flonicamid, Fluacrypyrim, Flubendiamid, Flucycloxuron, Flucythrinat, Flufenoxuron, Flumethrin, Flupyradifuron, Formetanat, Fosthiazat, Furathiocarb, *gamma*-Cyhalothrin, Halfenprox, Halofenozid, Heptenophos, Hexaflumuron, Hexythiazox, Hydramethylnon, Hydropren, Imicyafos, Imidaclopid, Imiprothrin, Indoxacarb, Isofenphos, Isoprocarb, Isoxathion, Kadethrin, Kinopren, *lambda*-Cyhalothrin, Lepimectin, Lufenuron, Malathion, Mecarbam, Metaflumizon, Methamidophos, Methidathion, Methiocarb, Methomyl, Methopren, (Meth-oxyaminothiophosphoryl)salicylsäureester, Methoxychlor, Methoxyfenozid, Methylbromid, Metolcarb, Mevinphos, Milbemectin, Monocrotophos, Naled, Nikotin, Nitenpyram, Novaluron, Noviflumuron, Oxamyl, Oxydemeton-Methyl, Parathion, Parathion-Methyl, Permethrin, Phenothrin, Phenthoat, Phorat, Phosalon, Phosmet, Phosphamidon, Phosphin, Phoxim, Pirimicarb, Pirimiphos-Methyl, Prallethrin, Profenofos, Propargit, Propetamphos, Propoxur, Prothiofos, Pymetrozin, Pyraclofos, Pyrethrin, Pyridaben, Pyridaphenthion, Pyrimidifen, Pyriproxyfen, Chinalphos, Resmethrin, Rotenon, Silafluofen, Spinetoram, Spinosad, Spirodiclofen, Spiromesifen, Spirotetramat, Schwefelamid, Sulfotep, Sulfoxaflor,

Sulfurylfluorid, Tartarus emeticus, tau-Fluvalinat, Tebufenozid, Tebufenpyrad, Tebupirimfos, Teflubenzuron, Tefluthrin, Temephos, Terbufos, Tetrachlorvinphos, Tetradifon, Tetramethrin, Tetramethrin, *theta*-Cypermethrin, Thiachloprid, Thiamethoxam, Thiocyclam, Thiodicarb, Thiofanox, Thiometon, Thiosultap-Natrium, Tolfenpyrad, Tralome-thrin, Transfluthrin, Triazamat, Triazophos, Trichlorfon, Triflumuron, Trimethacarb, Vamidothion, XMC, Xylylcarb, *zeta*-Cypermethrin und Zinkphosphid.

10. Eine pestizide Zusammensetzung gemäß irgendeinem der Ansprüche 5, 6, 7, 8 oder 9, wobei das Gewichtsverhältnis des Moleküls gemäß Formel Eins zu dem Wirkstoff

- (a) 100:1 bis 1:100;
 (b) 50:1 bis 1:50;
 (c) 20:1 bis 1:20;
 (d) 10:1 bis 1:10;
 (e) 5:1 bis 1:5;
 (f) 3:1 bis 1:3;
 (g) 2:1 bis 1:2; oder
 (h) 1:1

beträgt.

11. Ein nicht-therapeutisches Verfahren zur Bekämpfung eines Schädling, wobei dieses Verfahren die Anwendung einer pestizid wirksamen Menge eines Moleküls gemäß irgendeinem der Ansprüche 1, 2, 3 oder 4 auf einen Ort umfasst.

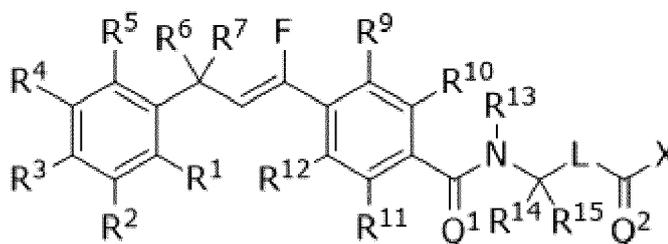
12. Ein nicht-therapeutisches Verfahren zur Bekämpfung eines Schädling, wobei dieses Verfahren die Anwendung einer pestizid wirksamen Menge einer pestiziden Zusammensetzung gemäß irgendeinem der Ansprüche 5, 6, 7, 8, 9 oder 10 auf einen Ort umfasst.

13. Ein Verfahren zur Herstellung einer pestiziden Zusammensetzung, wobei dieses Verfahren das Vermischen eines Moleküls gemäß irgendeinem der Ansprüche 1, 2, 3 oder 4 mit einem oder mehreren Wirkstoffen umfasst.

14. Ein Molekül gemäß irgendeinem der Ansprüche 1, 2, 3 oder 4, zur Verwendung bei der Bekämpfung von Endoparasiten und Ectoparasiten im Bereich der Veterinärmedizin und im Bereich der Haltung nicht-menschlicher Tiere oder bei therapeutischen Verfahren zur menschlichen Gesundheitsfürsorge.

Revendications

1. Molécule de formule suivante :



Formule I

dans laquelle

A) les symboles R¹, R⁵, R⁶, R¹¹ et R¹² représentent chacun, indépendamment, une entité choisie parmi les atomes d'hydrogène, de fluor, de chlore, de brome ou d'iode et les groupes cyano, alkyle en C₁-C₆, halogéno-alkyle en C₁-C₆, alcoxy en C₁-C₆ et halogéno-alcoxy en C₁-C₄,

B) les symboles R², R³ et R⁴ représentent chacun, indépendamment, une entité choisie parmi les atomes d'hydrogène, de fluor, de chlore, de brome ou d'iode et les groupes cyano, alkyle en C₁-C₆, alcényle en C₂-C₆,

alcynyle en C₂-C₆, halogéno-alkyle en C₁-C₆, alcoxy en C₁-C₆ et halogéno-alcoxy en C₁-C₆,

C) R⁷ représente un groupe halogéno-alkyle en C₁-C₆,

D) R⁹ représente une entité choisie parmi celles indiquées en (F), les atomes d'hydrogène, de fluor, de chlore, de brome ou d'iode et les groupes cyano, alkyle en C₁-C₄, halogéno-alkyle en C₁-C₄, alcoxy en C₁-C₄ et halogéno-alcoxy en C₁-C₄,

E) R¹⁰ représente une entité choisie parmi celles indiquées en (F), les atomes de fluor, de chlore, de brome ou d'iode et les groupes cyano, alkyle en C₁-C₆, alcényle en C₂-C₆, alcynyle en C₂-C₆, halogéno-alkyle en C₁-C₆, alcoxy en C₁-C₆ et halogéno-alcoxy en C₁-C₆,

F) étant entendu que R⁹ et R¹⁰ peuvent, en option, représenter des entités qui forment conjointement un raccord hydrocarboné, saturé ou insaturé, comportant de 3 à 5 chaînons,

lequel raccord hydrocarboné peut, en option, porter un ou plusieurs substituant(s) choisi(s), indépendamment, parmi les atomes de fluor, de chlore, de brome ou d'iode, les groupes cyano et hydroxyle et le substituant oxo, G) Q¹ et Q² représentent chacun, indépendamment, un atome d'oxygène ou de soufre,

H) R¹³ représente une entité choisie parmi un atome d'hydrogène et les groupes alkyle en C₁-C₆, alcényle en C₂-C₆, halogéno-alkyle en C₁-C₆, alcoxy en C₁-C₆ et halogéno-alcoxy en C₁-C₆,

I) R¹⁴ représente une entité choisie parmi celles indiquées en (K) et en (O), un atome d'hydrogène et les groupes alkyle en C₁-C₄, alcényle en C₂-C₆, halogéno-alkyle en C₁-C₆, alcoxy en C₁-C₆ et halogéno-alcoxy en C₁-C₆,

J) R¹⁵ représente une entité choisie parmi celles indiquées en (K), un atome d'hydrogène et les groupes alkyle en C₁-C₆, alcényle en C₂-C₆, halogéno-alkyle en C₁-C₆, alcoxy en C₁-C₆ et halogéno-alcoxy en C₁-C₆,

K) étant entendu que R¹⁴ et R¹⁵ peuvent, en option, représenter des entités qui forment conjointement un raccord hydrocarboné saturé, comportant de 2 à 5 chaînons,

lequel raccord hydrocarboné peut, en option, porter un ou plusieurs substituant(s) choisi(s), indépendamment, parmi les atomes de fluor, de chlore, de brome ou d'iode et le groupe cyano,

L) L représente une entité choisie parmi

- 1) une liaison,
- 2) et un groupe alkyle en C₁-C₆,

lequel groupe alkyle, en option, porte un ou plusieurs substituant(s) choisi(s), indépendamment, parmi les atomes de fluor, de chlore, de brome ou d'iode, les groupes cyano et hydroxyle et le substituant oxo,

M) X représente une entité choisie parmi celles symbolisées par

- 1) R¹⁷,
- 2) NR¹⁶R¹⁷,
- 3) OR¹⁷,
- 4) ou SR¹⁷,

N) R¹⁶ représente une entité choisie parmi celles indiquées en (O) et en (Q), un atome d'hydrogène et les groupes alkyle en C₁-C₆, alcényle en C₂-C₆, halogéno-alkyle en C₁-C₆, alcoxy en C₁-C₆, alcényl-oxy en C₂-C₆, halogéno-alcoxy en C₁-C₆, amino, et -NHC(O)O-alkyle en C₁-C₆,

O) étant entendu que R¹⁴ et R¹⁶ peuvent, en option, représenter des entités qui forment conjointement un raccord saturé, comportant de 2 à 4 chaînons, qui est

- 1) soit un raccord hydrocarboné,
- 2) soit un raccord hétéro-hydrocarboné comportant un ou plusieurs hétéroatome(s) choisi(s) parmi les atomes d'azote, de soufre et d'oxygène,

lequel raccord peut, en option, porter un ou plusieurs substituant(s) choisi(s), indépendamment, parmi les atomes de fluor, de chlore, de brome ou d'iode, les groupes cyano et hydroxyle et le substituant oxo,

P) R¹⁷ représente une entité choisie parmi celles indiquées en (Q), un atome d'hydrogène et les groupes alkyle en C₁-C₆, alcényle en C₂-C₆, alcynyle en C₂-C₆, halogéno-alkyle en C₁-C₆, halogéno-alcényle en C₂-C₆, halogéno-cycloalkyle en C₃-C₆, alcoxy en C₁-C₆, cycloalkyle en C₃-C₆, alcényl-oxy en C₂-C₆, halogéno-alcoxy en C₁-C₆, et (alkyle en C₁-C₆)-cycloalkyle en C₃-C₆,

Q) étant entendu que R¹⁶ et R¹⁷ peuvent, en option, représenter des entités qui forment conjointement un raccord saturé, comportant de 2 à 6 chaînons, qui est

- 1) soit un raccord hydrocarboné,
- 2) soit un raccord hétéro-hydrocarboné comportant un ou plusieurs hétéroatome(s) choisi(s) parmi les

atomes d'azote, de soufre et d'oxygène,

lequel raccord peut, en option, porter un ou plusieurs substituant(s) choisi(s), indépendamment, parmi les atomes de fluor, de chlore, de brome ou d'iode, les groupes cyano et hydroxyle et le substituant oxo,

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et sels d'addition d'acide, dérivés de type sel, produits d'addition de solvant, dérivés de type ester, formes cristallines polymorphes, dérivés isotopiques, stéréoisomères résolus et tautomères, tous admissibles en agriculture, des molécules de formule I.

10 **2.** Molécule conforme à la revendication 1, dans laquelle

A) les symboles R¹, R⁵, R⁶, R¹¹ et R¹² représentent des atomes d'hydrogène,

B) les symboles R², R³ et R⁴ représentent chacun, indépendamment, une entité choisie parmi les atomes d'hydrogène, de fluor, de chlore ou de brome et les groupes alkyle en C₁-C₆ et alcényle en C₂-C₆,

15 C) R⁷ représente un groupe halogéno-alkyle en C₁-C₆,

D) R⁹ représente un atome d'hydrogène,

E) R¹⁰ représente une entité choisie parmi les atomes de chlore, de brome ou d'iode et les groupes alkyle en C₁-C₆ et halogéno-alkyle en C₁-C₆,

G) Q¹ et Q² représentent des atomes d'oxygène,

20 H) R¹³ représente une entité choisie parmi un atome d'hydrogène et les groupes alkyle en C₁-C₆,

I) R¹⁴ représente une entité choisie parmi celles indiquées en (K) et en (O), un atome d'hydrogène et les groupes alkyle en C₁-C₄,

J) R¹⁵ représente une entité choisie parmi celles indiquées en (K), un atome d'hydrogène et les groupes alkyle en C₁-C₆,

25 K) étant entendu que R¹⁴ et R¹⁵ peuvent, en option, représenter des entités qui forment conjointement un raccord hydrocarboné saturé, comportant de 2 à 5 chaînons,

L) L représente une liaison,

M) X représente une entité choisie parmi celles symbolisées par

30 1)R¹⁷,

2) et NR¹⁶R¹⁷,

N) R¹⁶ représente une entité choisie parmi celles indiquées en (O), un atome d'hydrogène et les groupes alkyle en C₁-C₆, alcoxy en C₁-C₆, alcényl-oxy en C₂-C₆, amino et -NHC(O)O-alkyle en C₁-C₆,

35 O) étant entendu que R¹⁴ et R¹⁶ peuvent, en option, représenter des entités qui forment conjointement un raccord saturé, comportant de 2 à 4 chaînons, qui est

1) soit un raccord hydrocarboné,

2) soit un raccord hétéro-hydrocarboné comportant un ou plusieurs atome(s) d'oxygène,

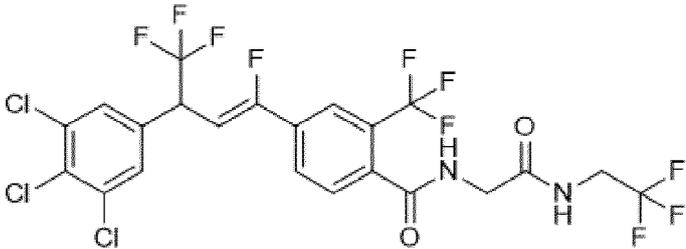
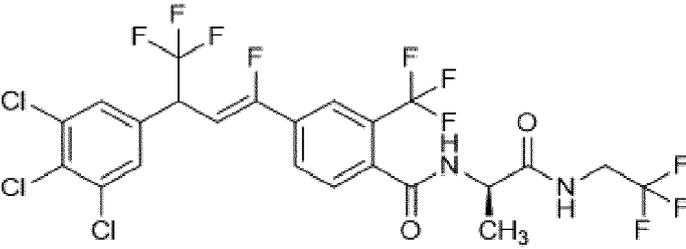
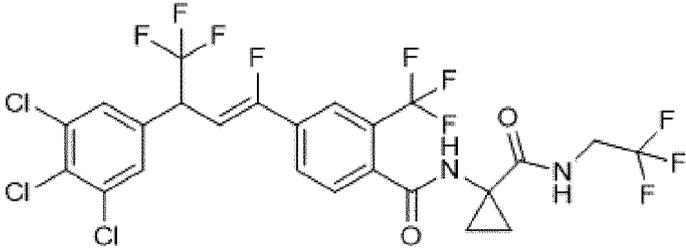
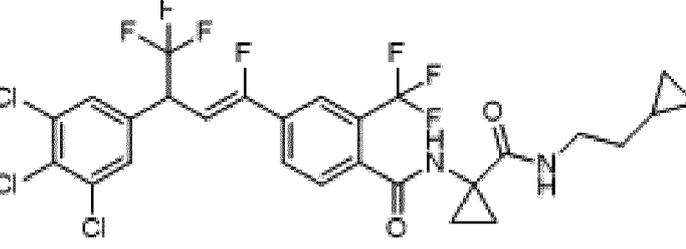
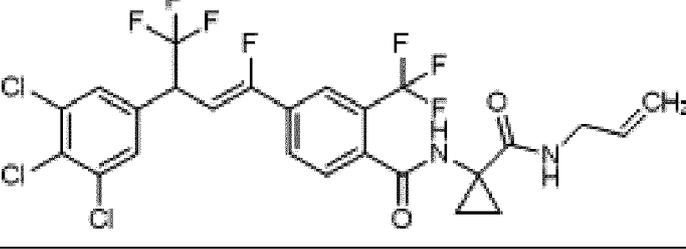
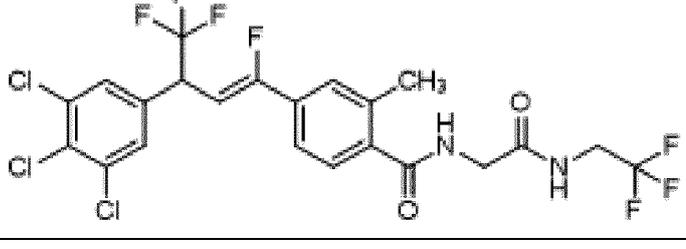
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P) R¹⁷ représente une entité choisie parmi un atome d'hydrogène et les groupes alkyle en C₁-C₆, alcényle en C₂-C₆, alcynyle en C₂-C₆, halogéno-alkyle en C₁-C₆, halogéno-alcényle en C₂-C₆, halogéno-cycloalkyle en C₃-C₆, et (alkyle en C₁-C₆)-cycloalkyle en C₃-C₆.

45 **3.** Molécule conforme à la revendication 1, laquelle molécule est choisie parmi les molécules présentées dans le tableau suivant :

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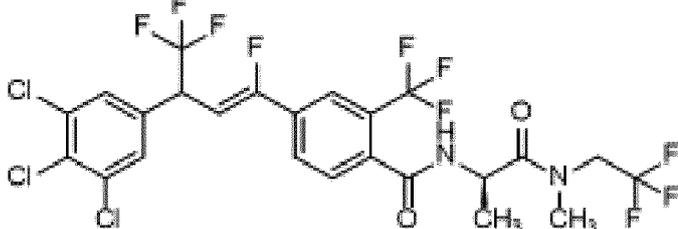
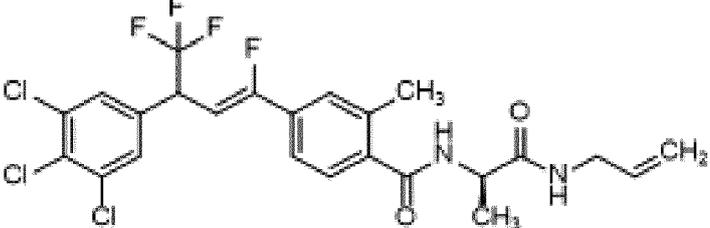
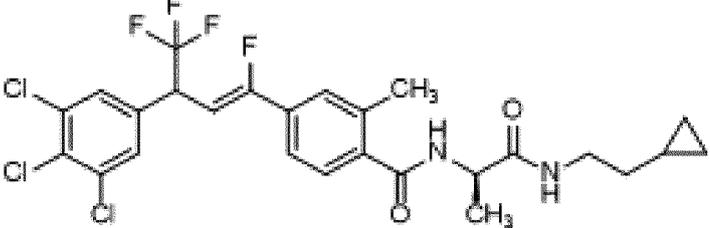
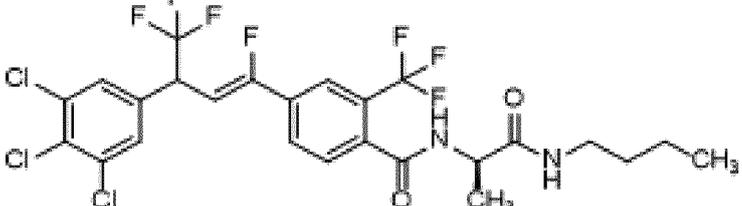
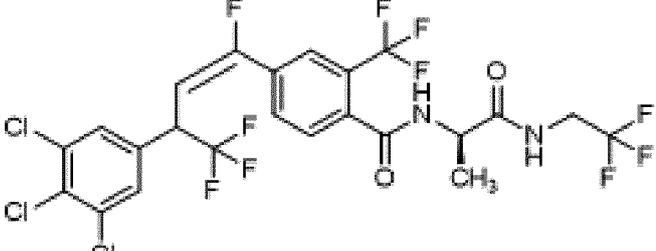
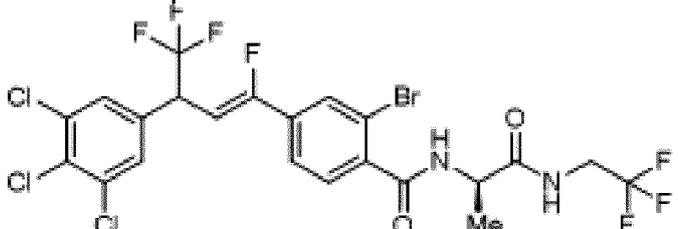
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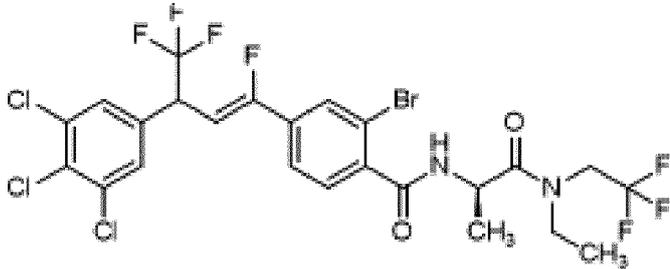
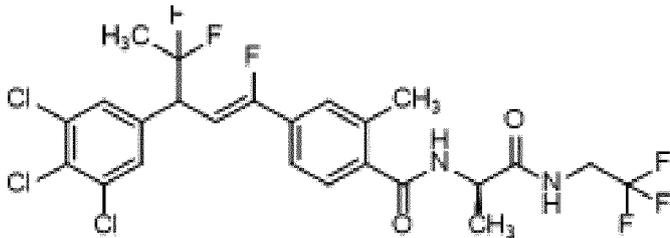
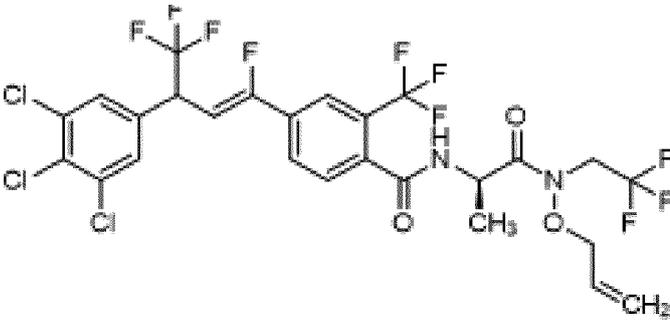
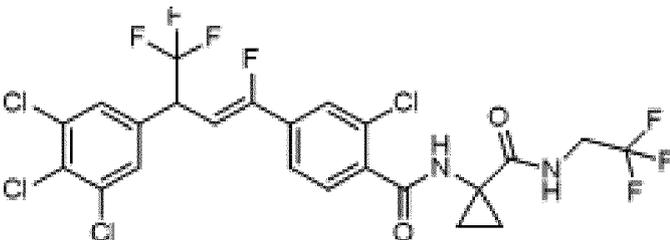
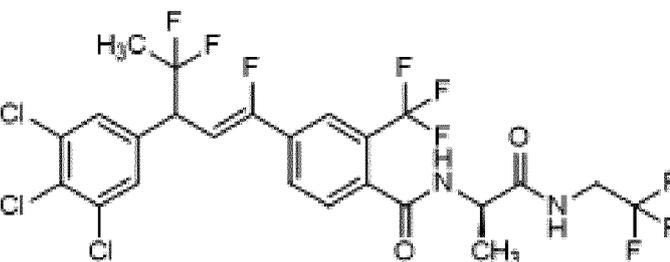
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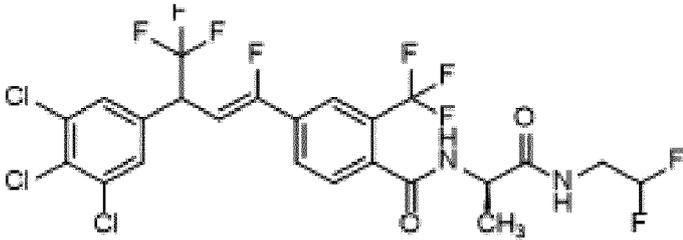
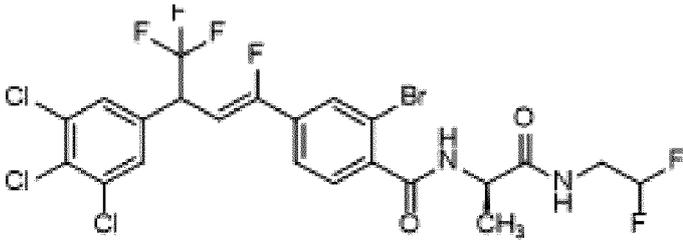
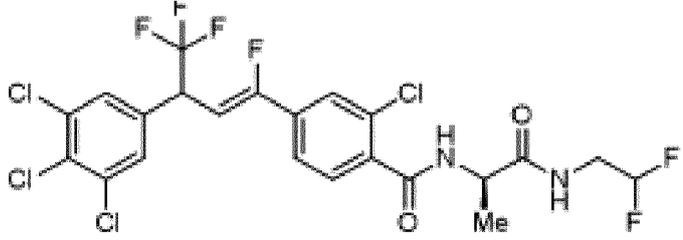
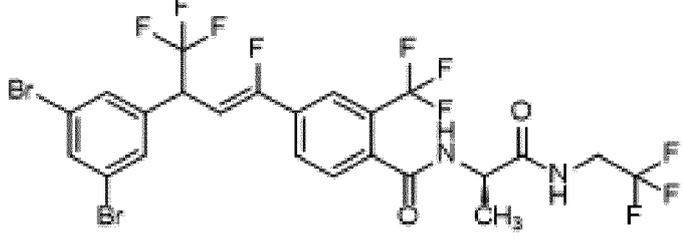
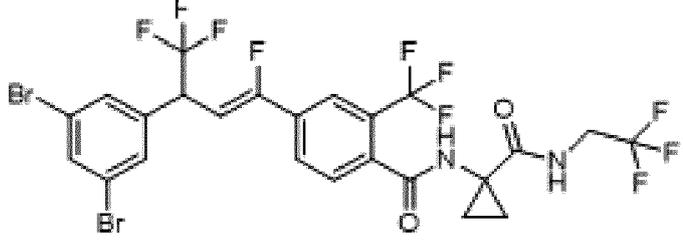
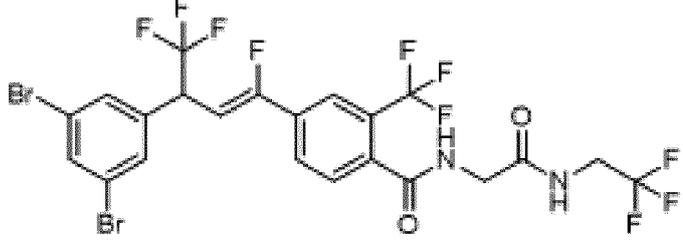
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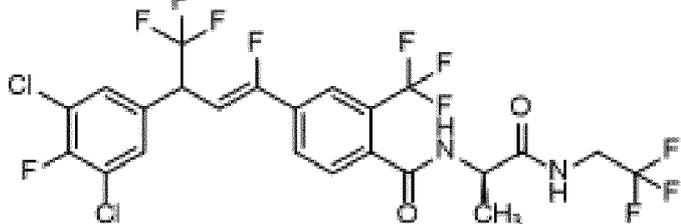
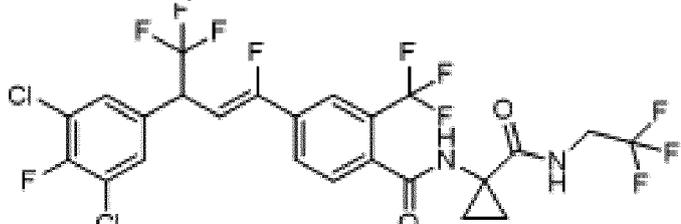
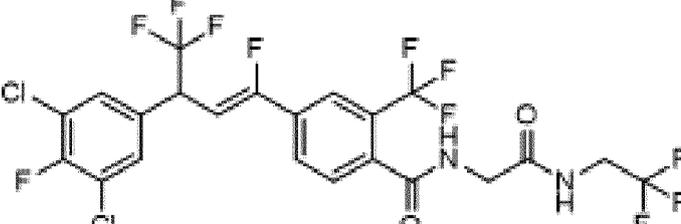
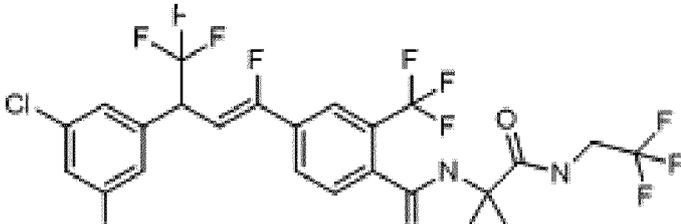
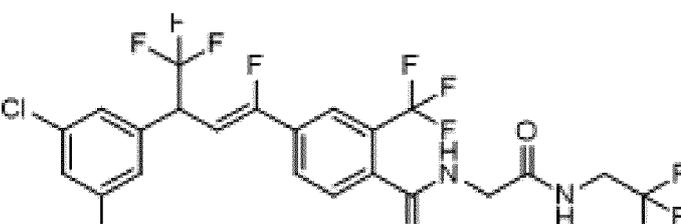
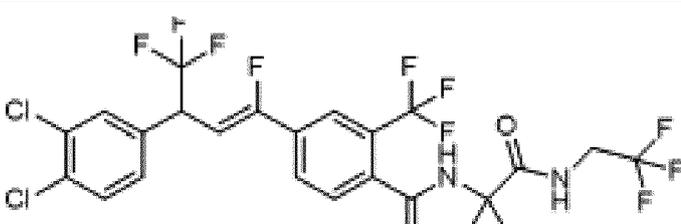
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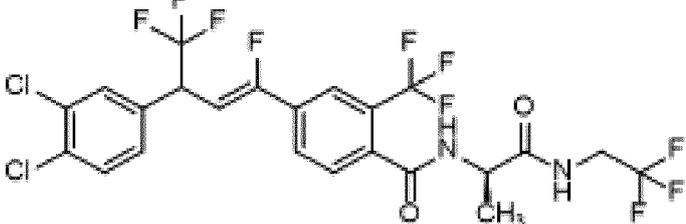
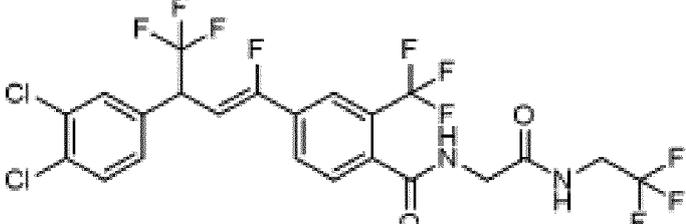
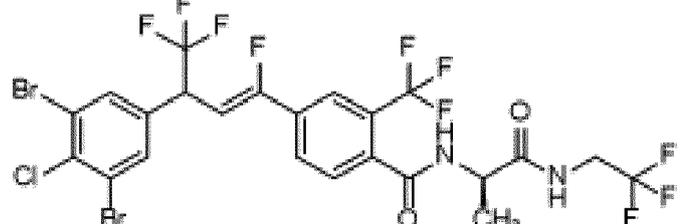
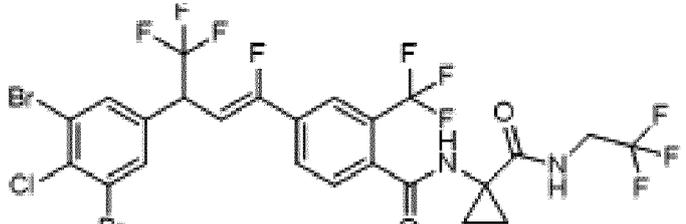
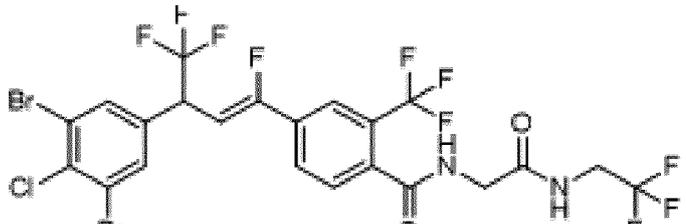
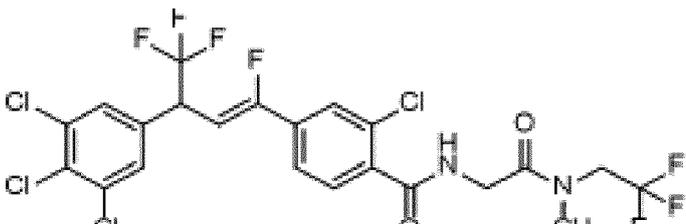
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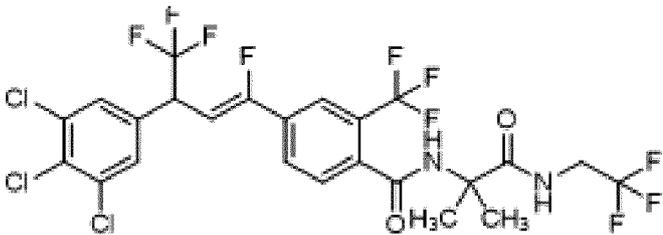
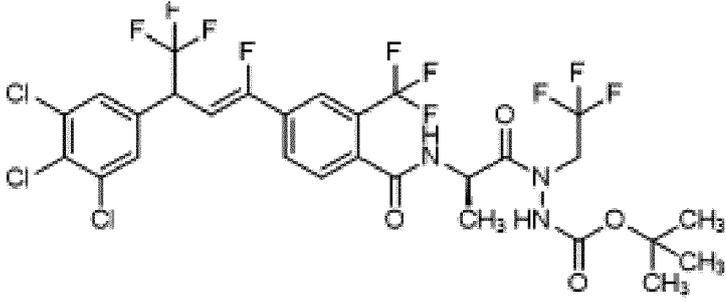
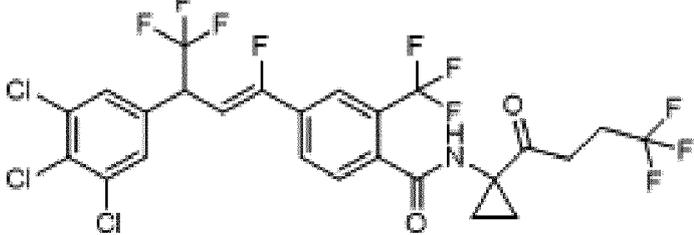
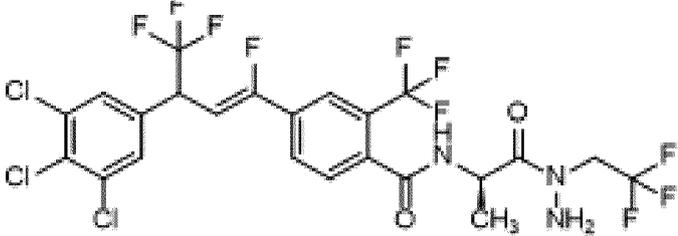
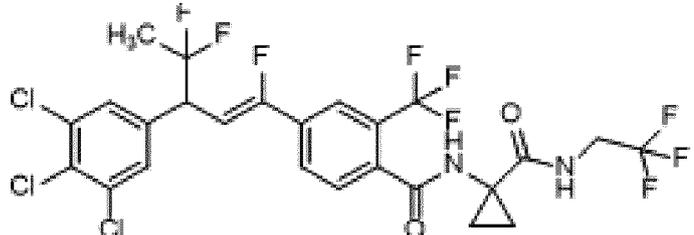
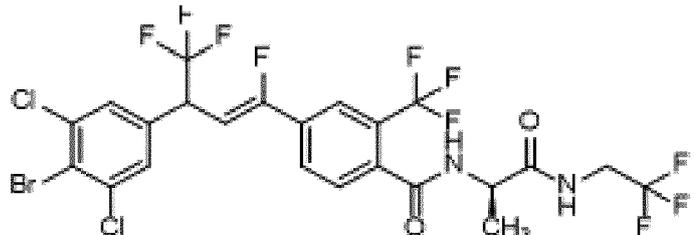
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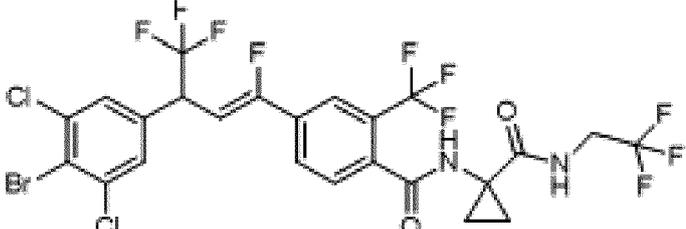
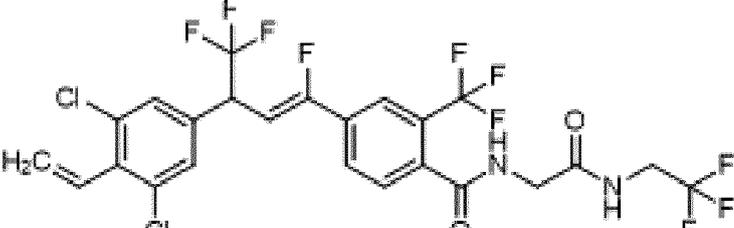
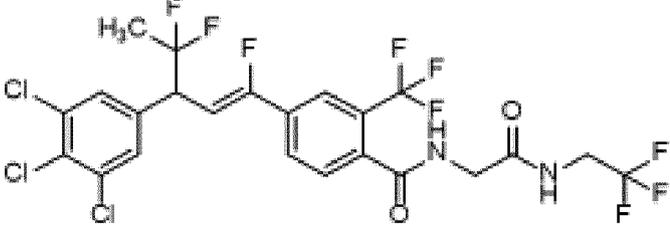
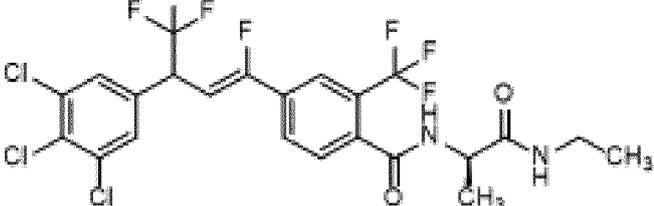
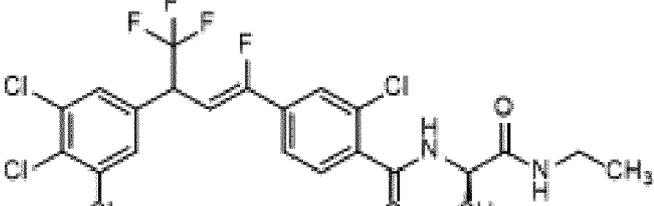
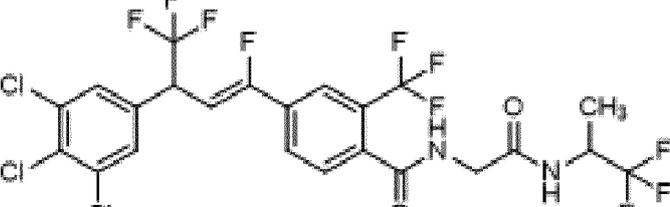
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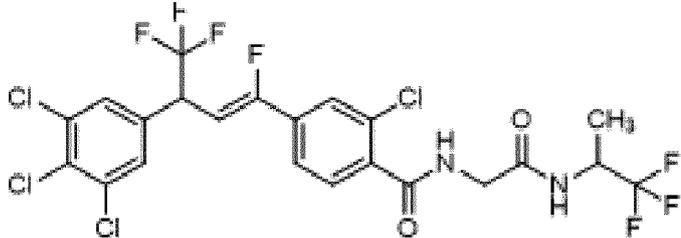
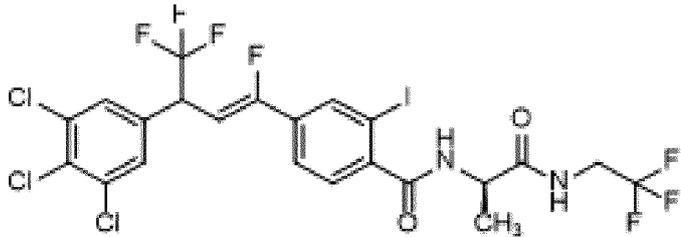
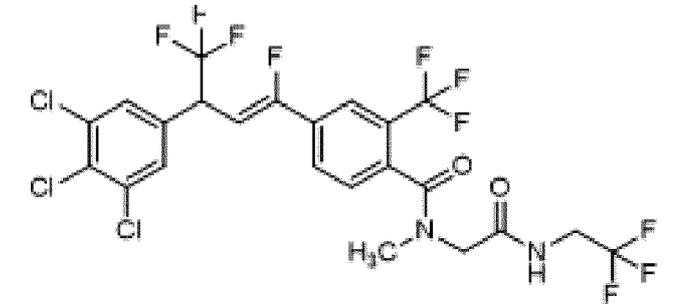
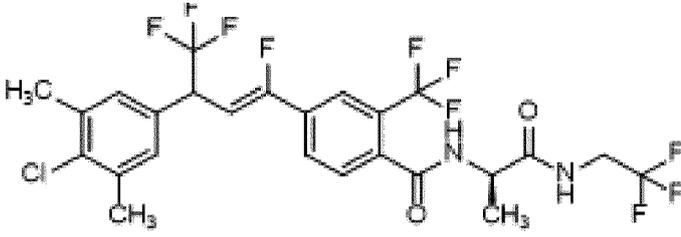
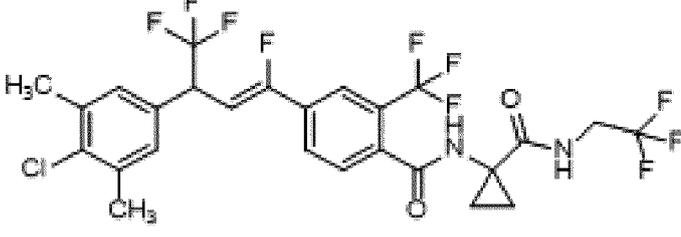
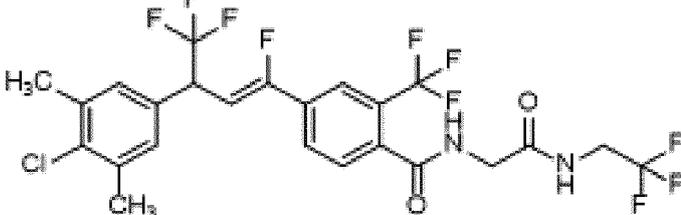
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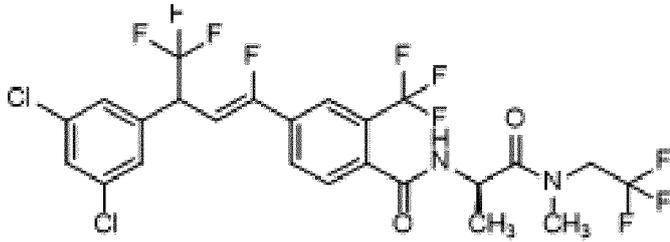
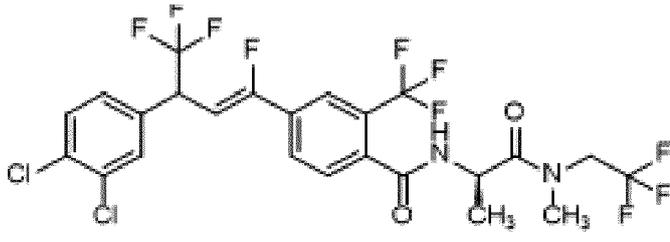
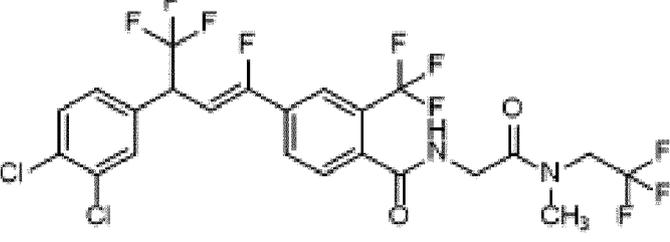
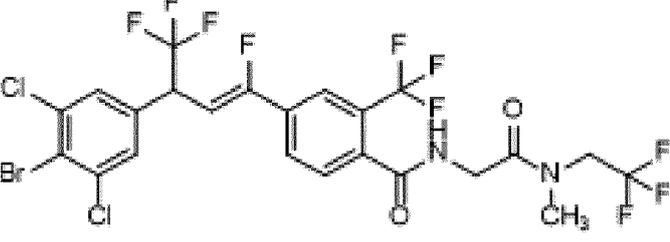
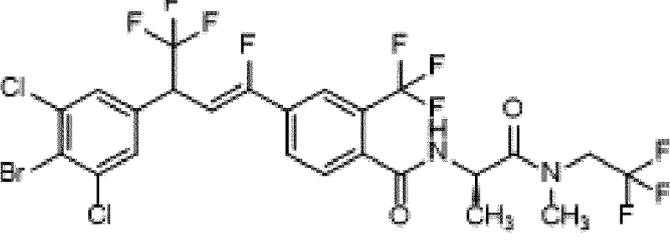
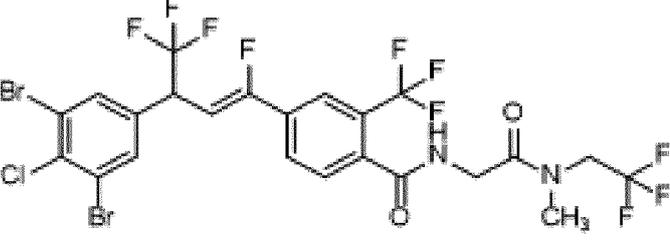
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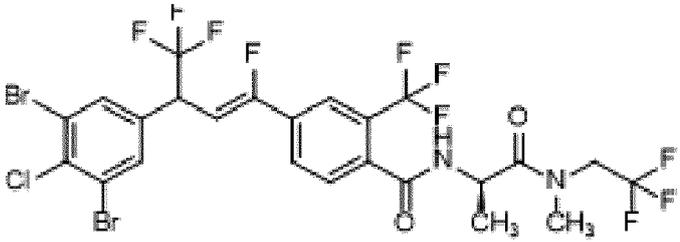
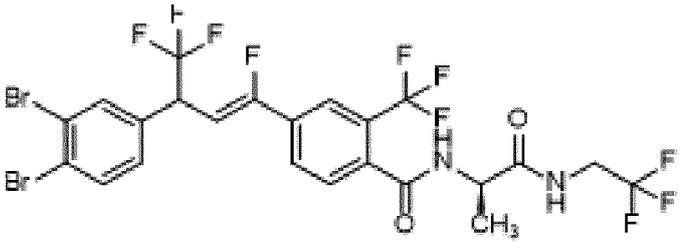
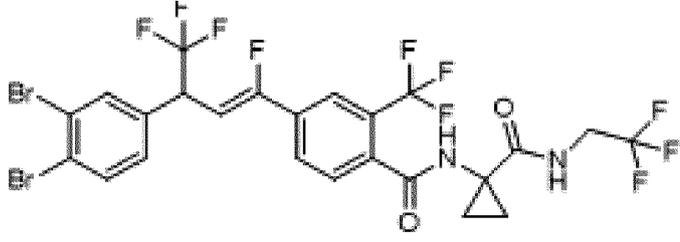
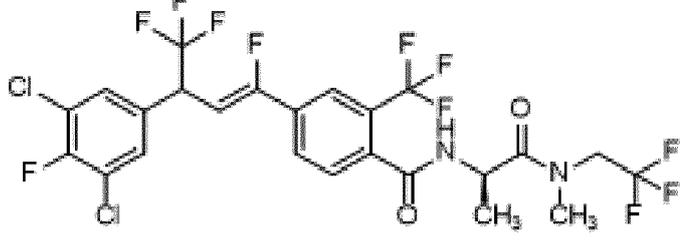
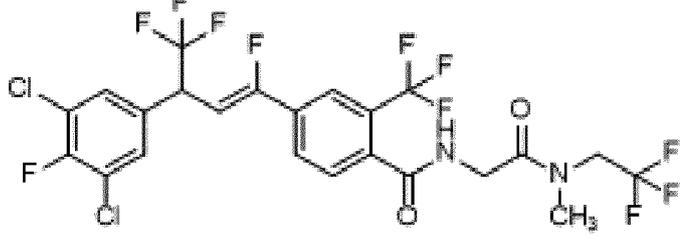
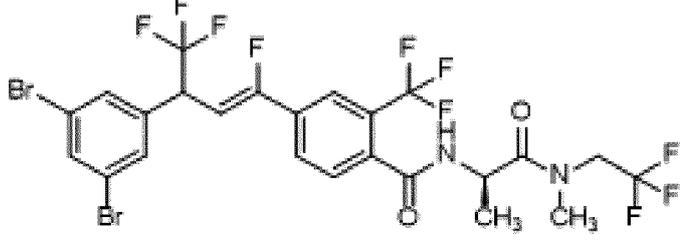
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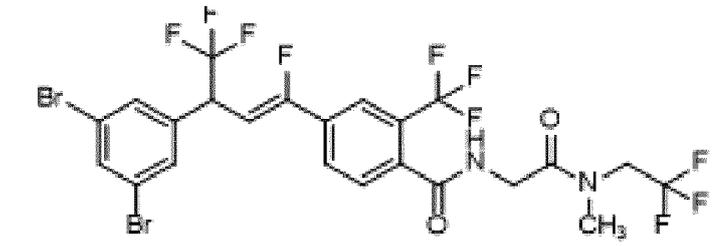
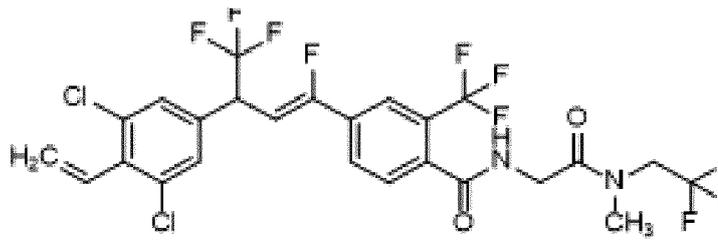
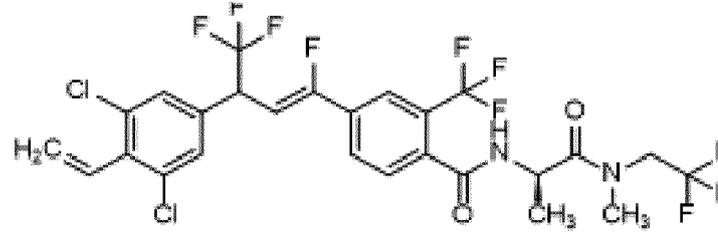
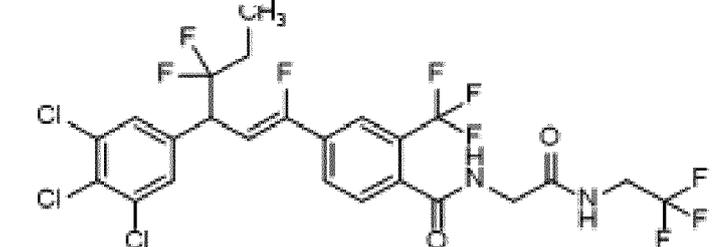
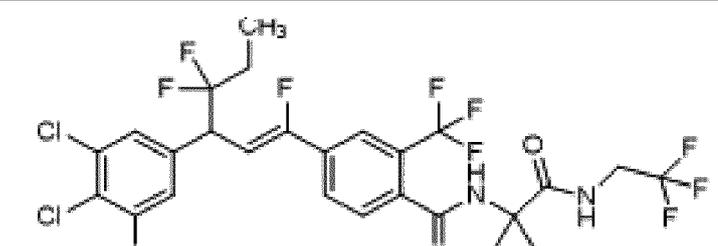
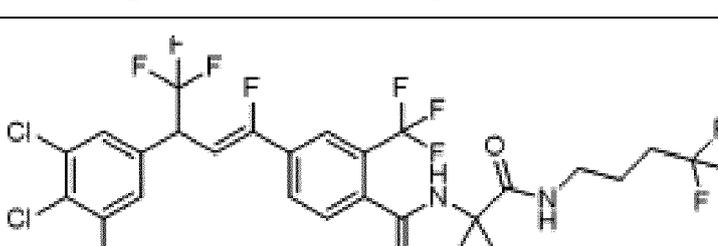
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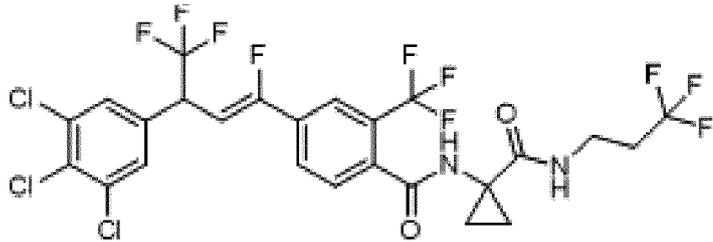
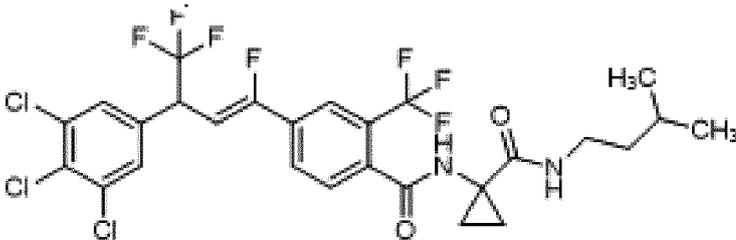
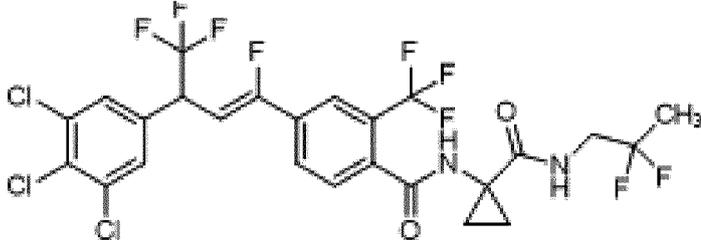
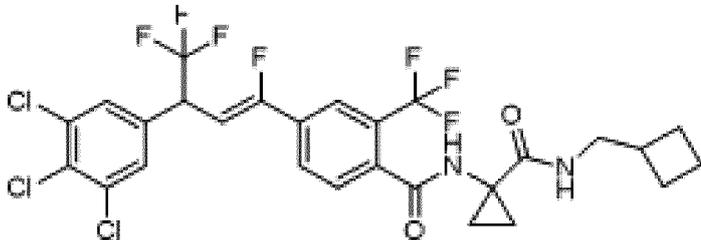
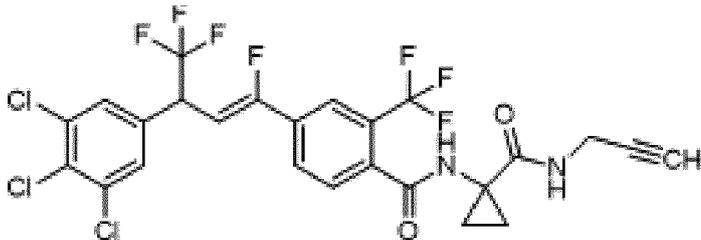
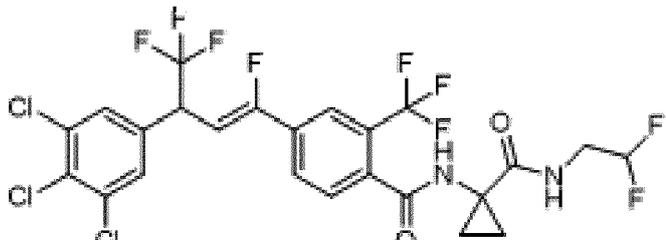
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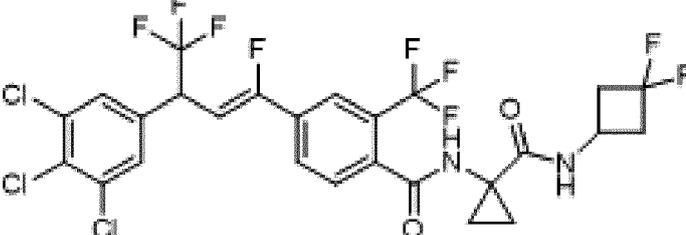
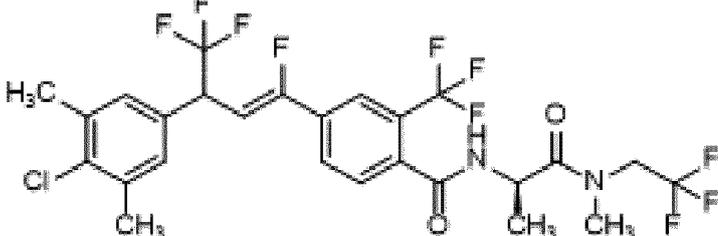
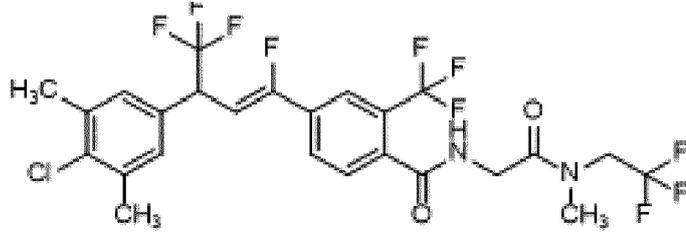
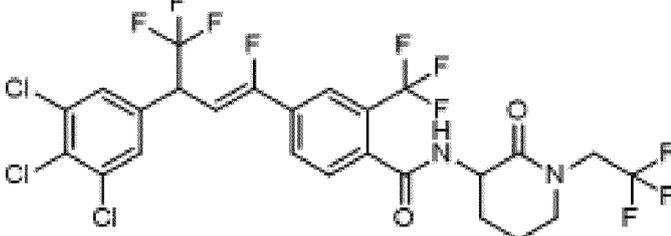
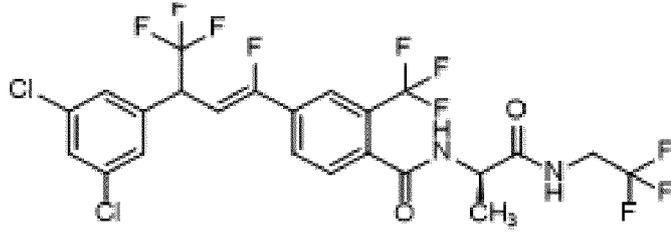
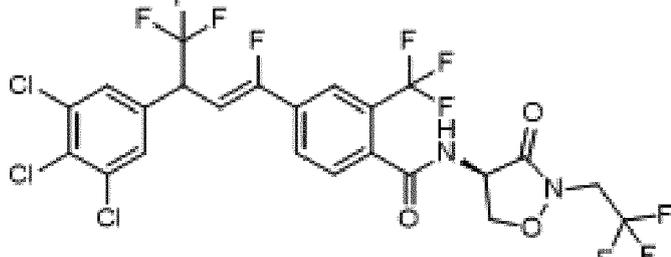
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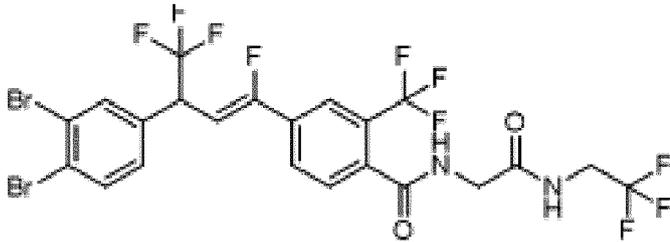
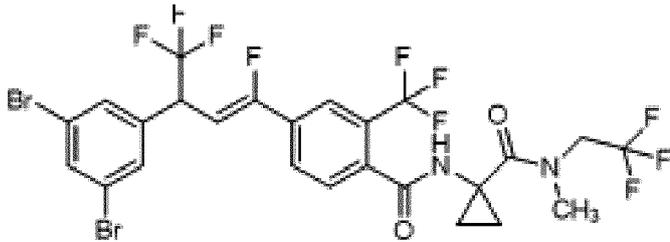
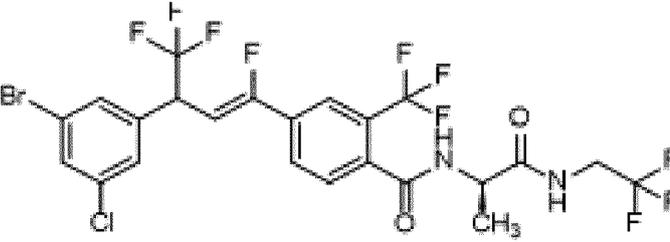
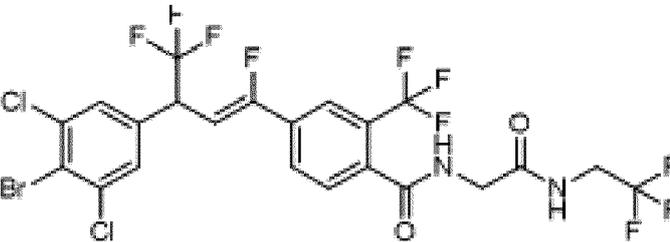
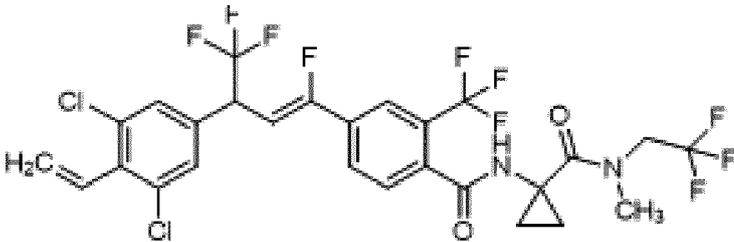
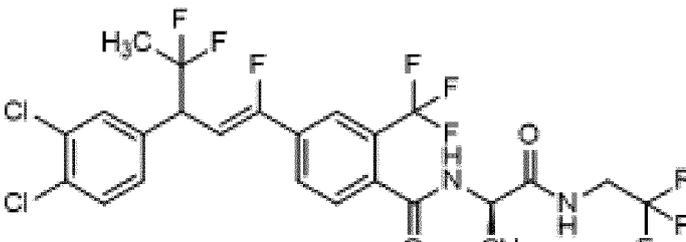
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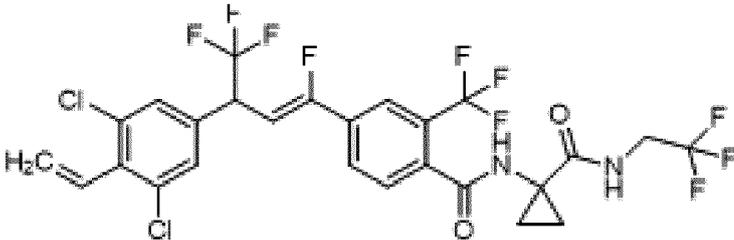
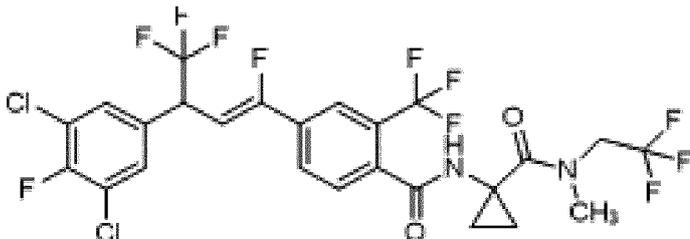
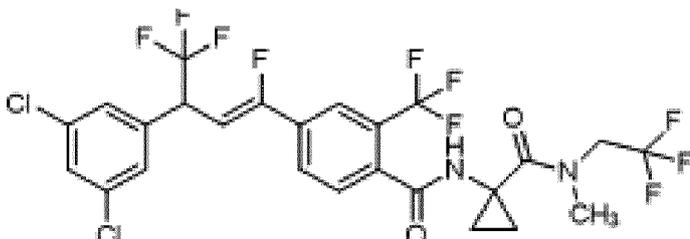
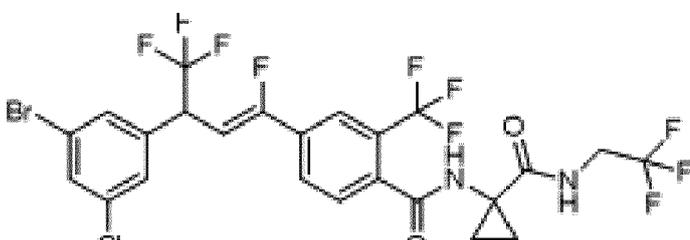
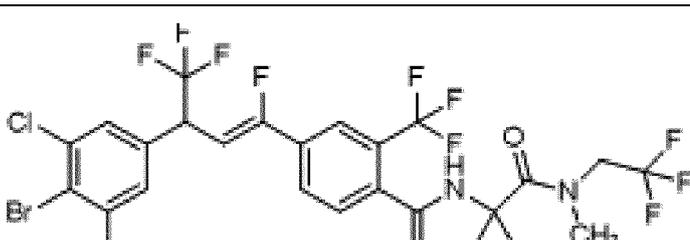
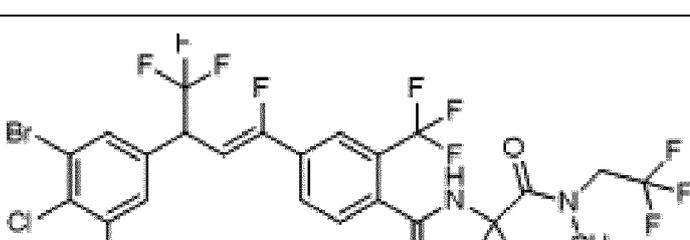
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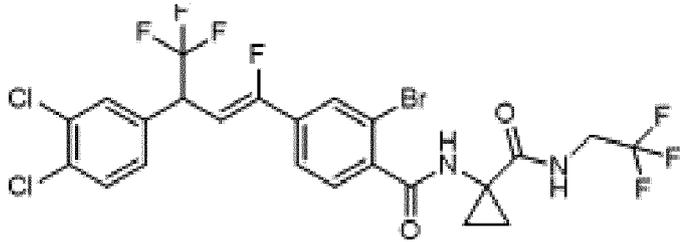
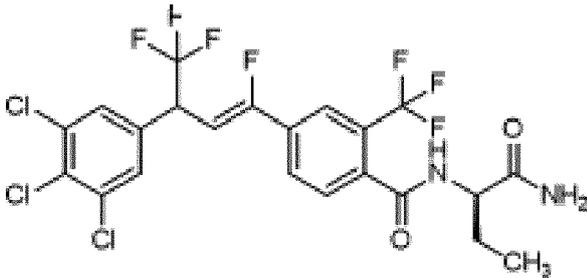
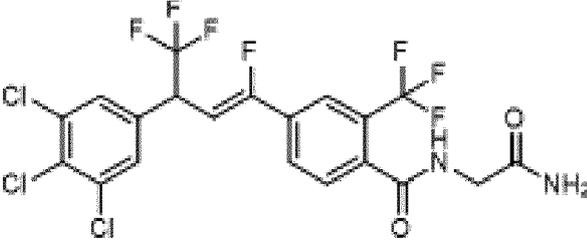
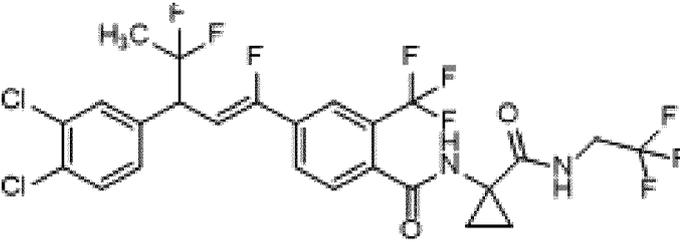
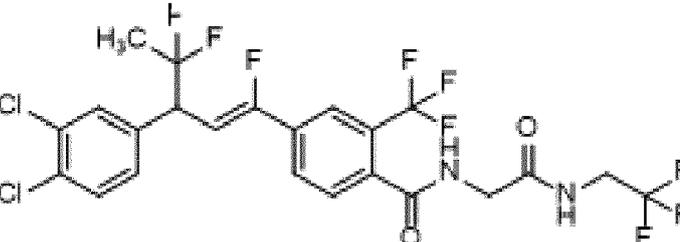
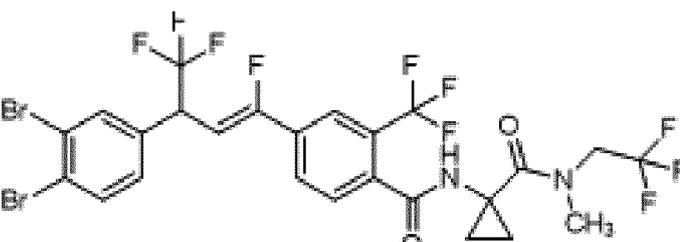
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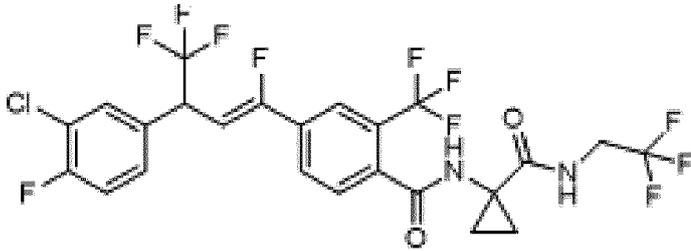
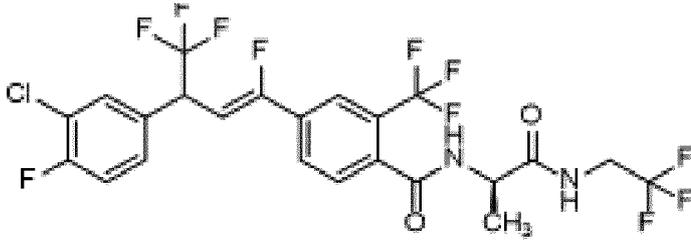
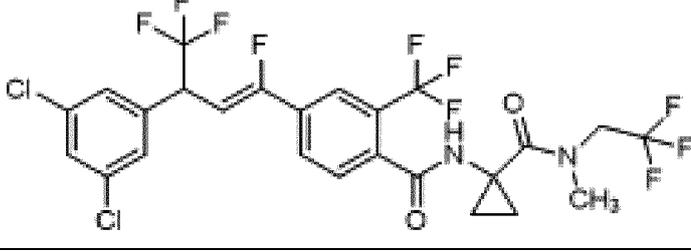
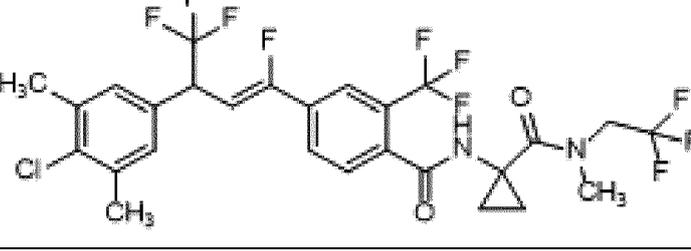
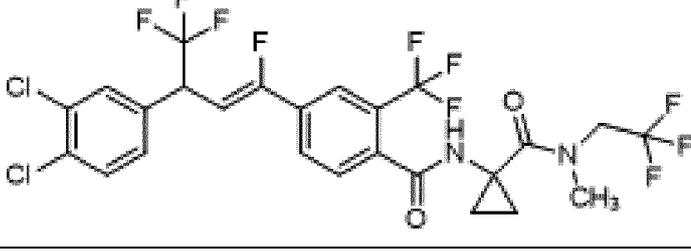
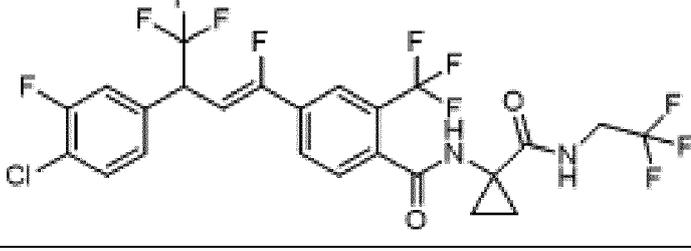
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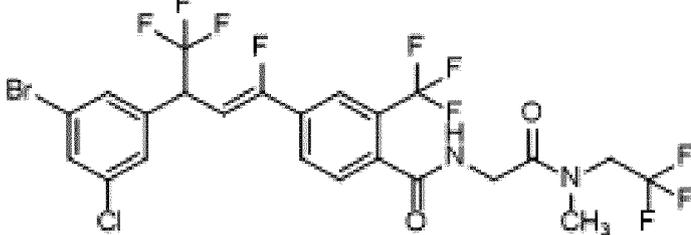
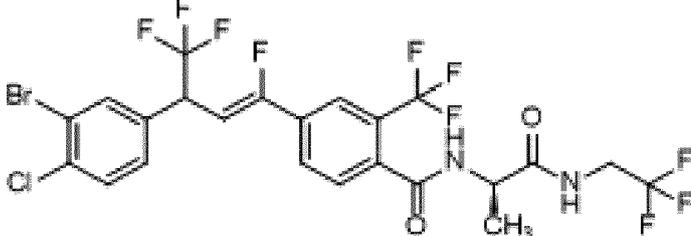
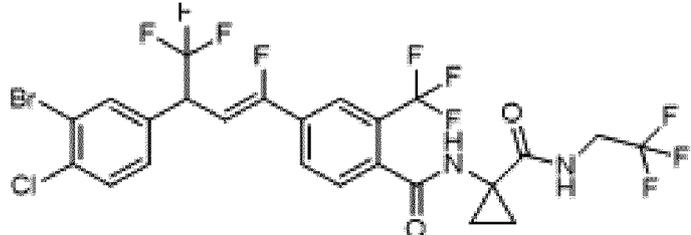
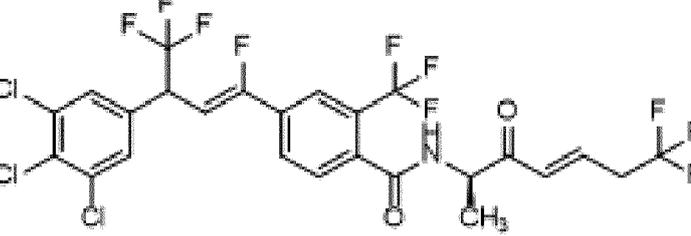
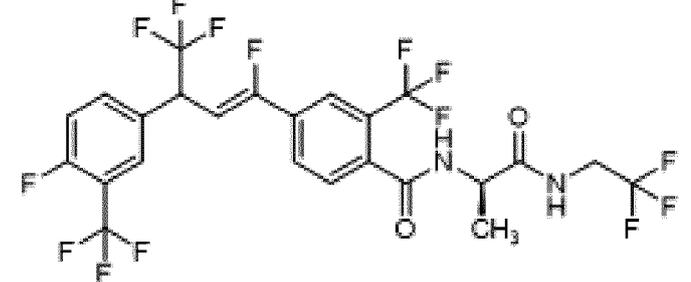
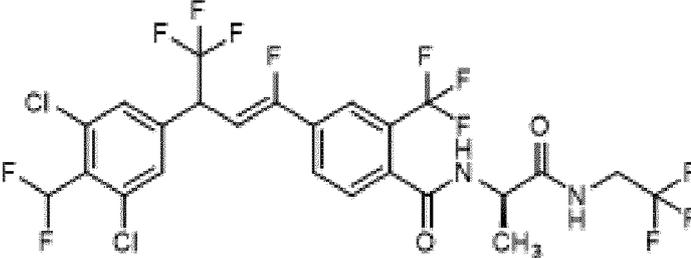
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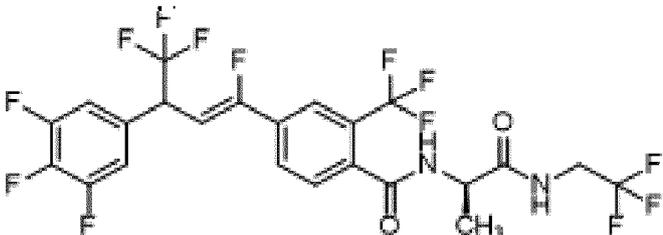
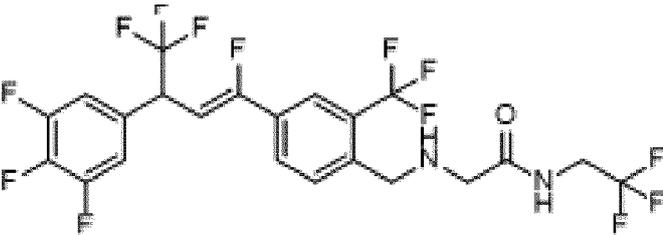
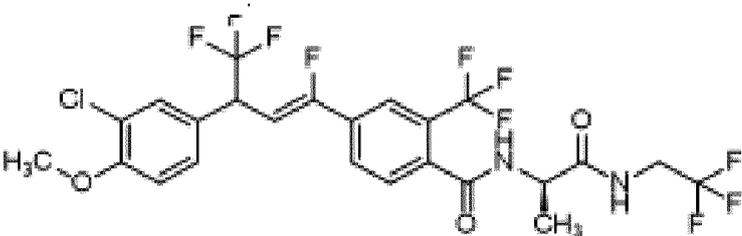
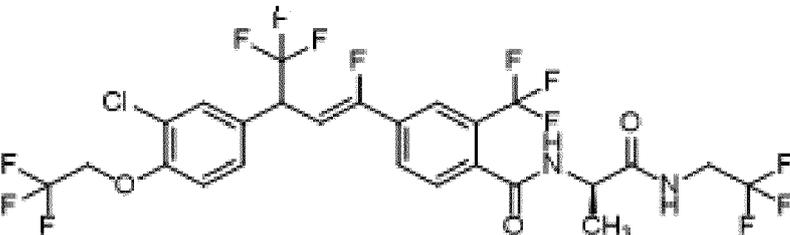
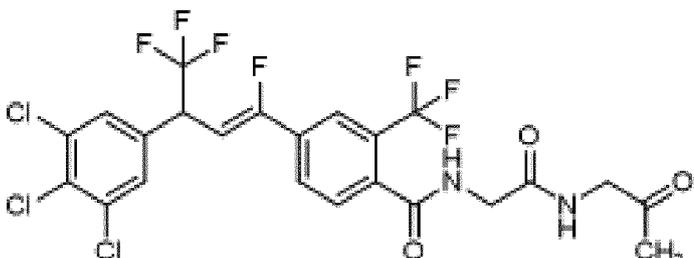
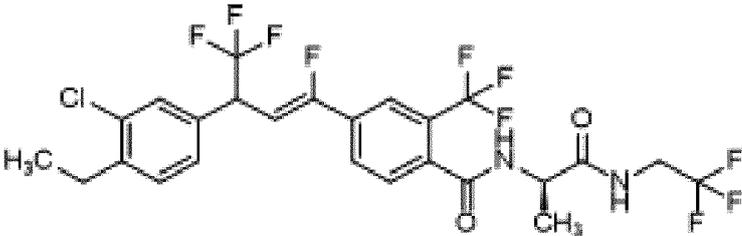
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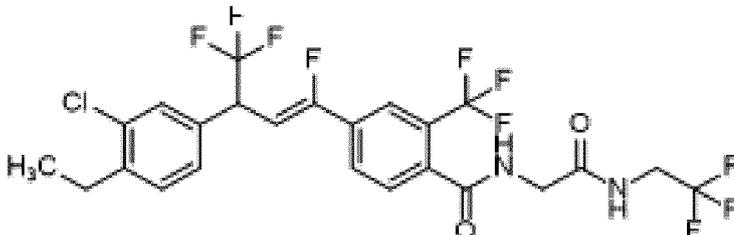
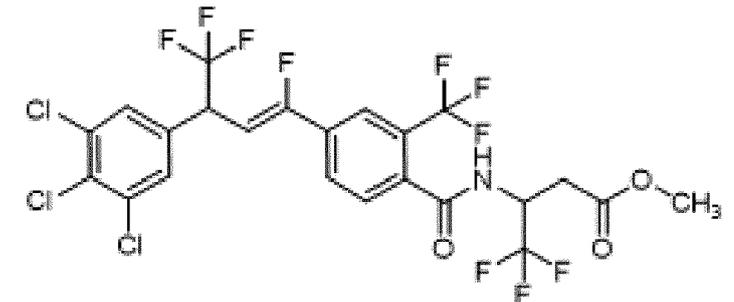
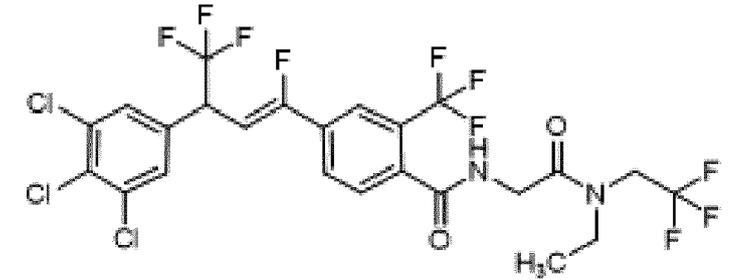
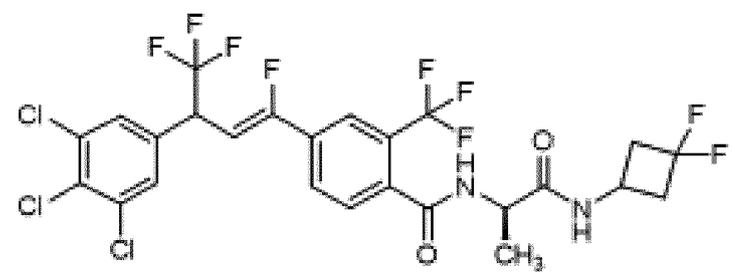
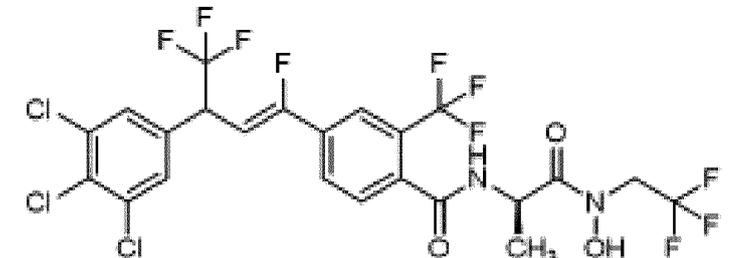
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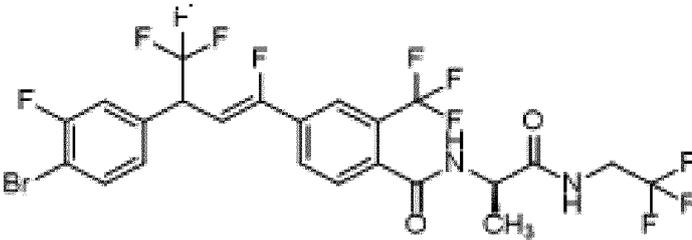
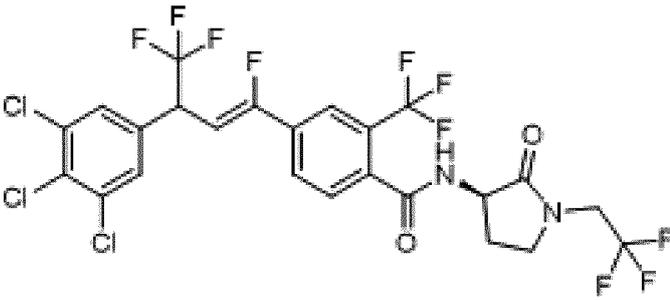
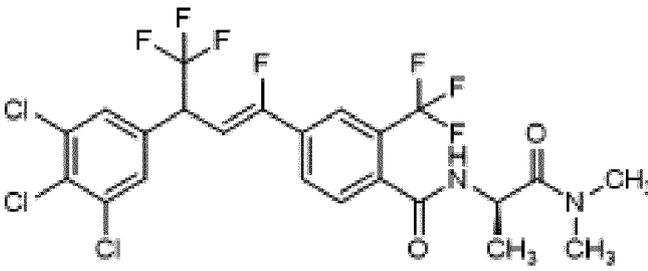
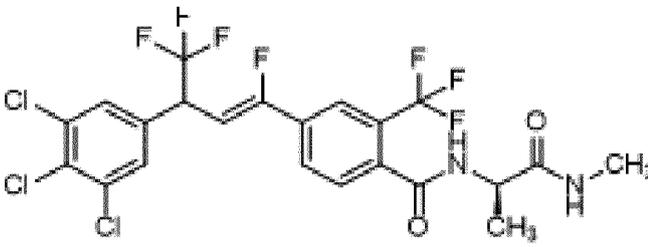
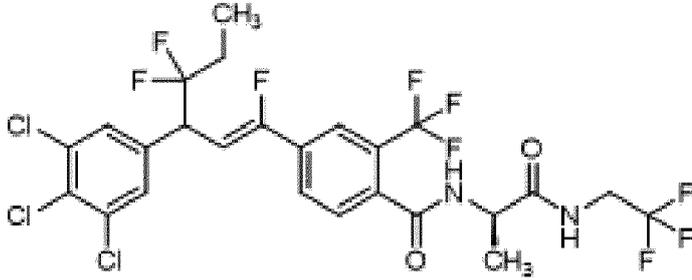
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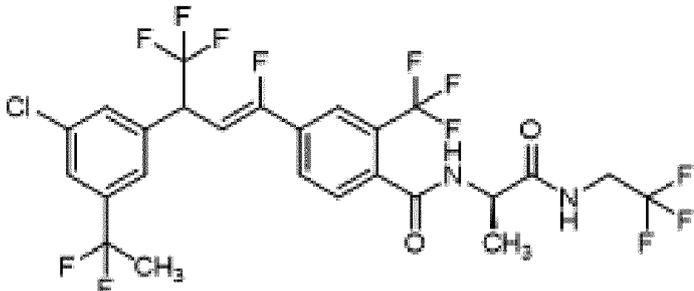
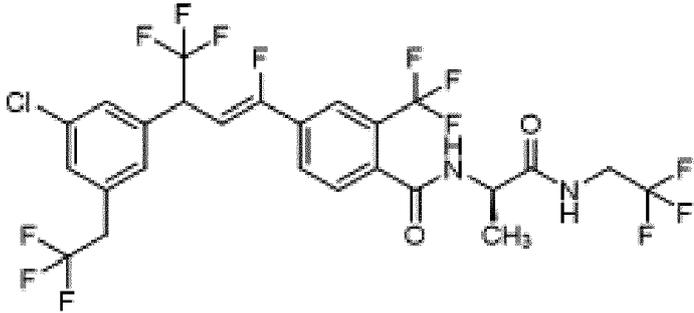
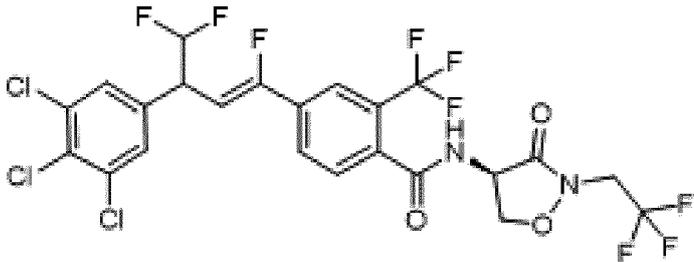
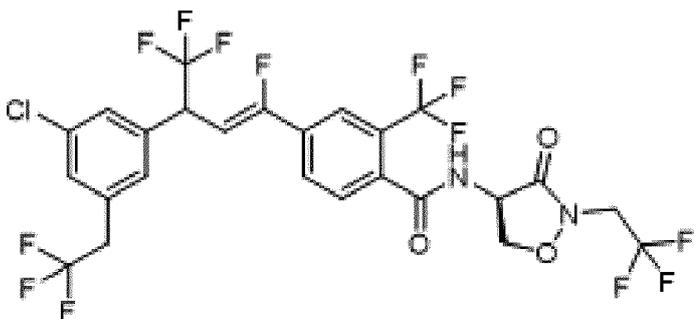
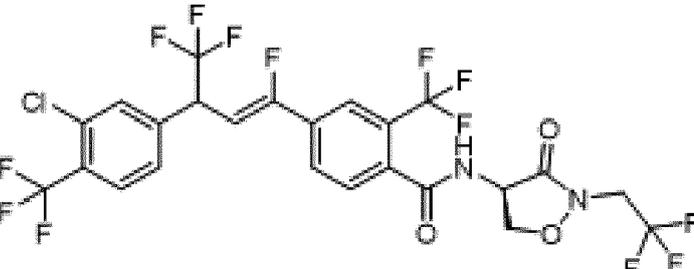
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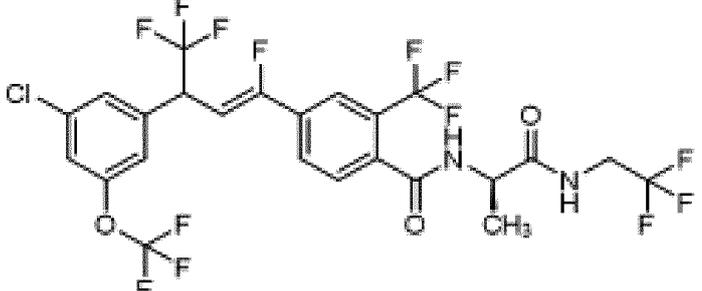
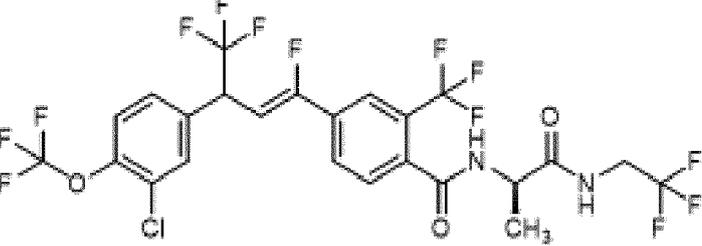
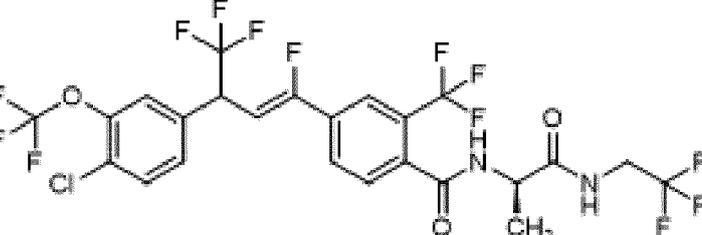
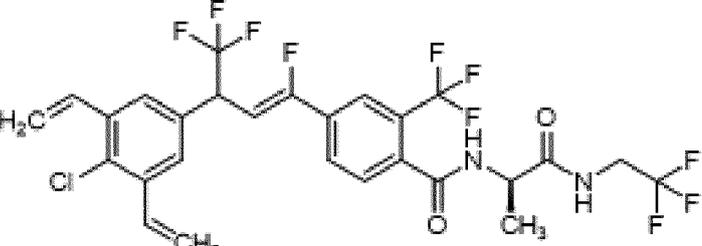
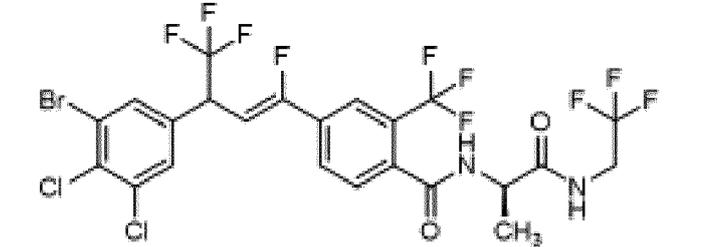
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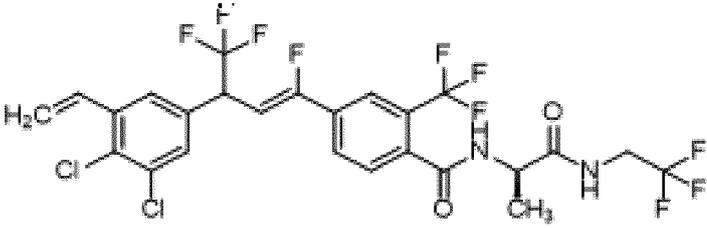
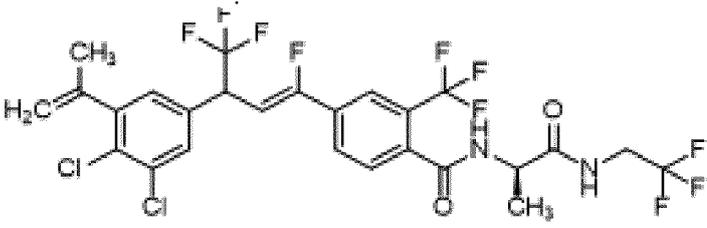
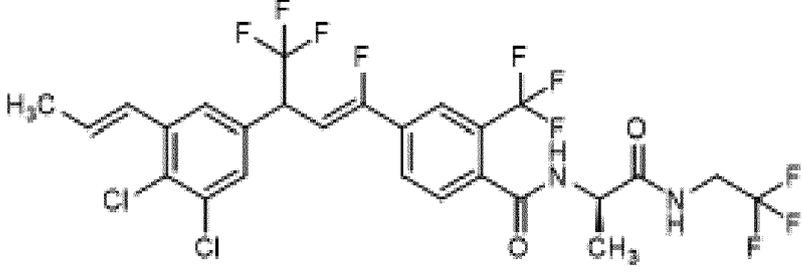
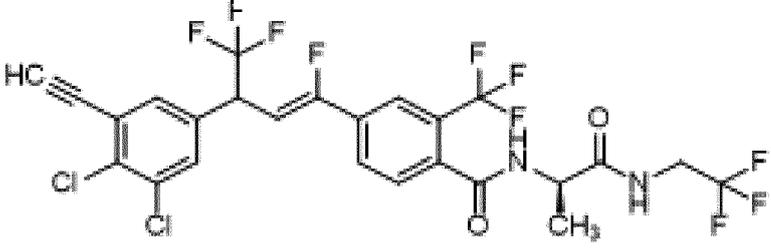
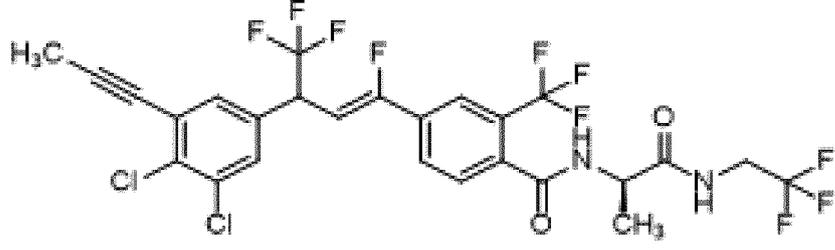
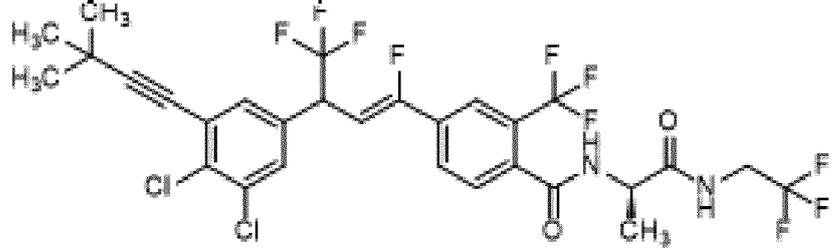
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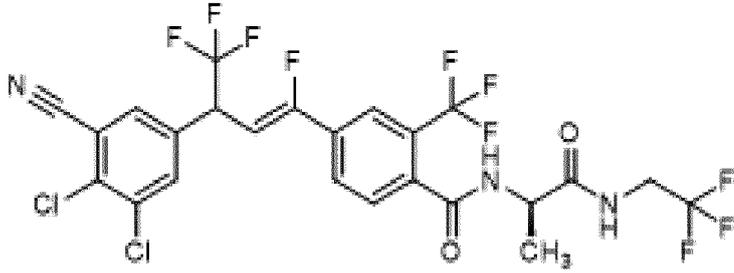
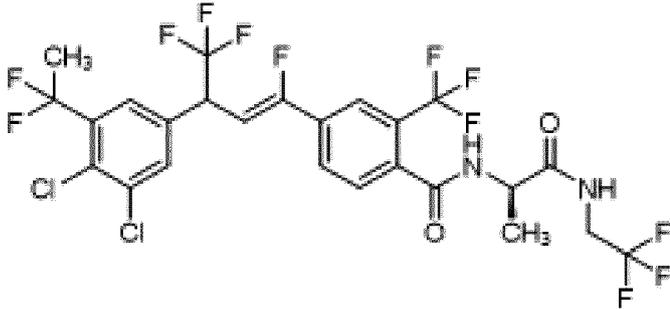
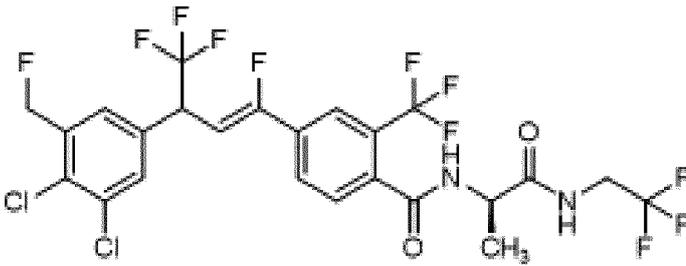
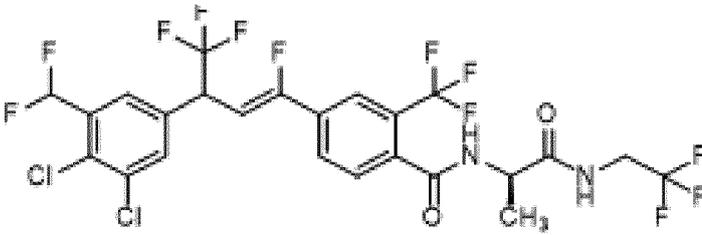
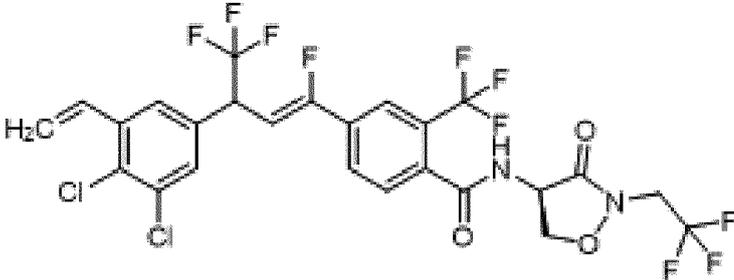
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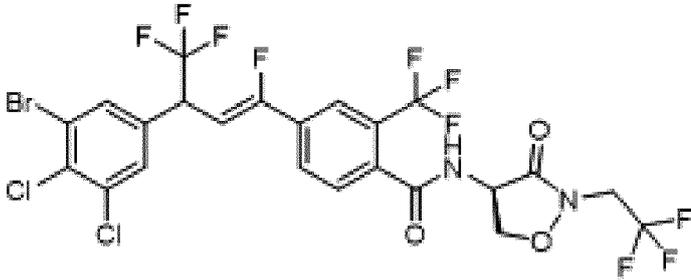
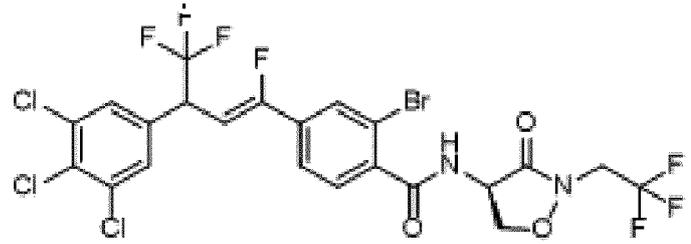
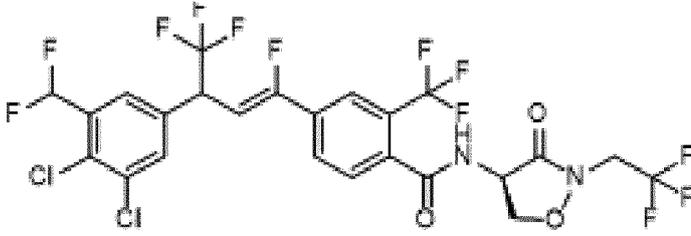
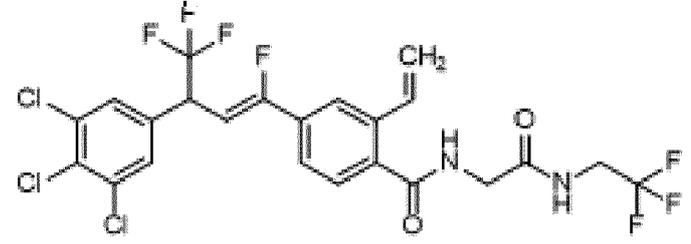
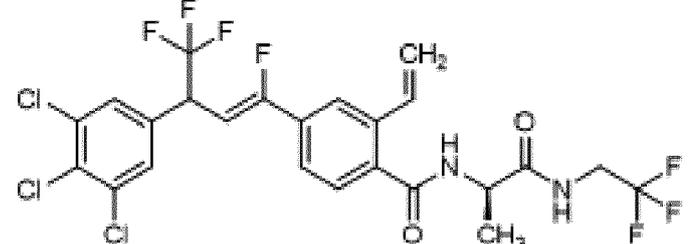
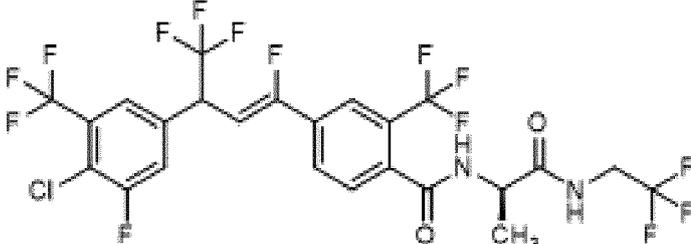
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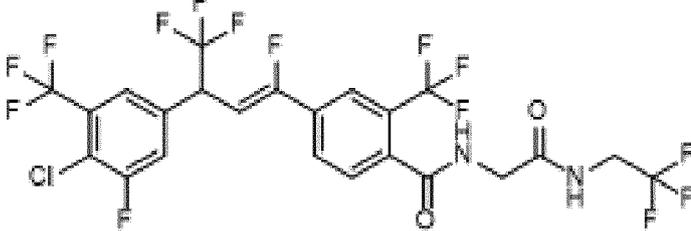
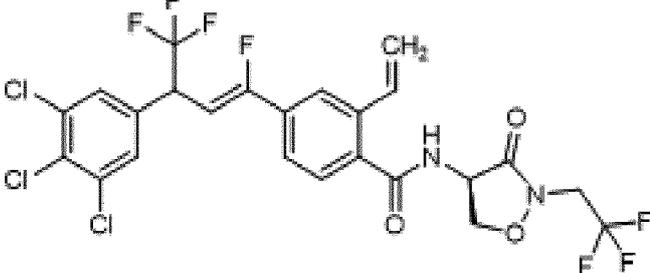
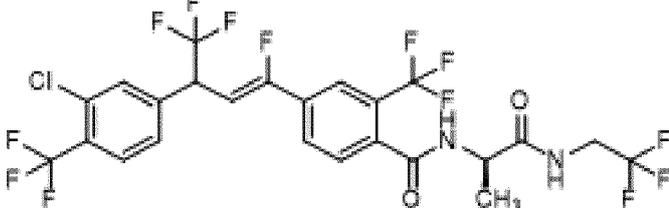
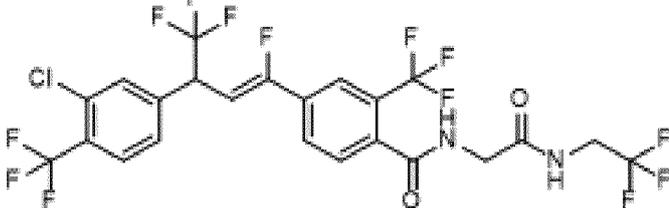
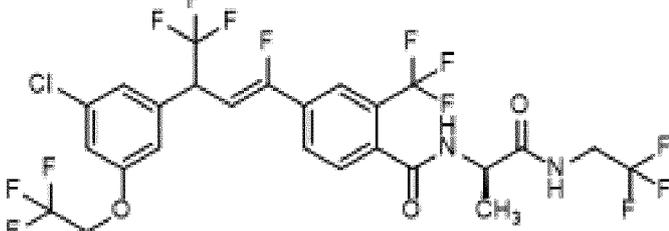
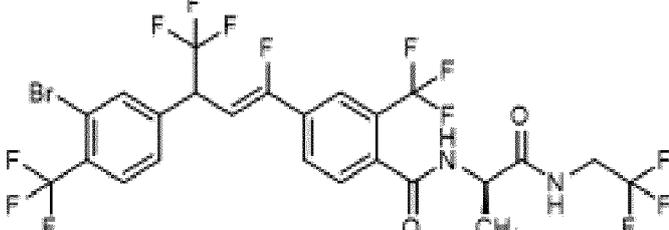
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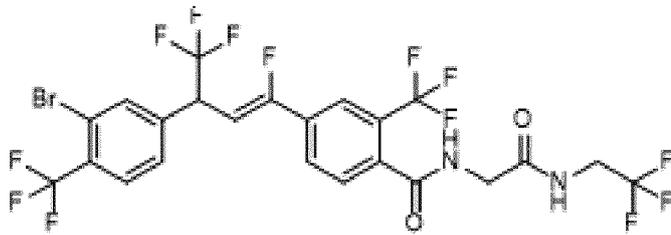
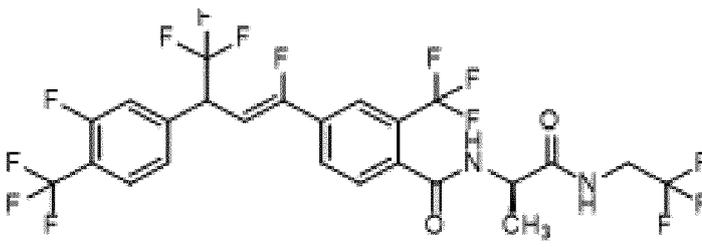
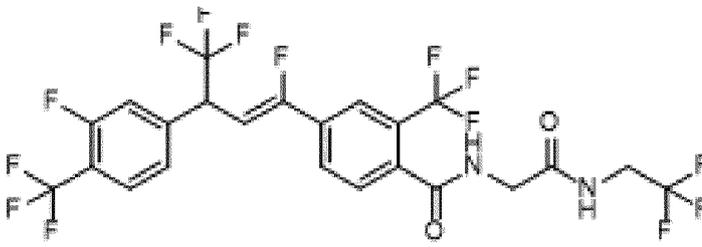
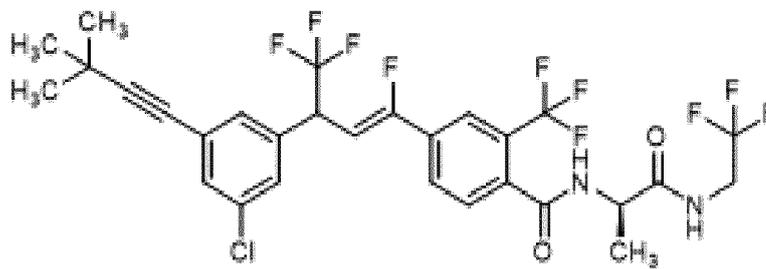
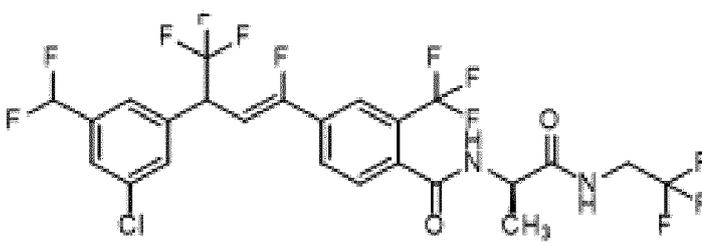
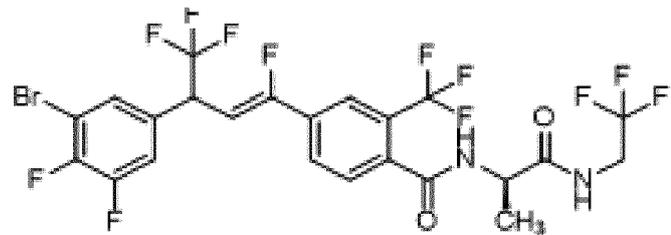
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No.	Structure
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No.	Structure
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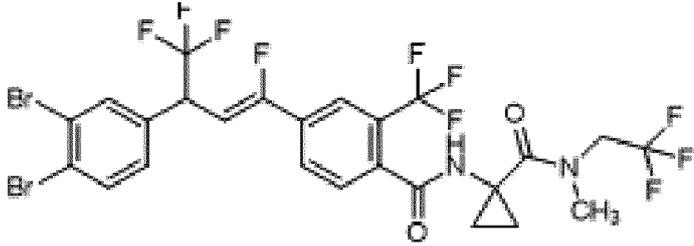
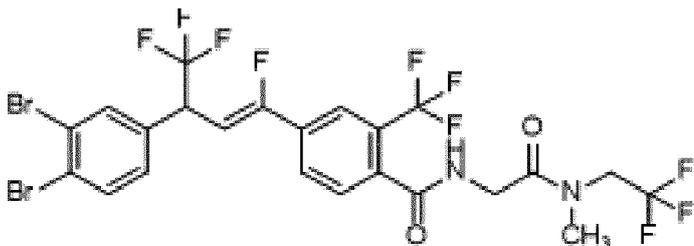
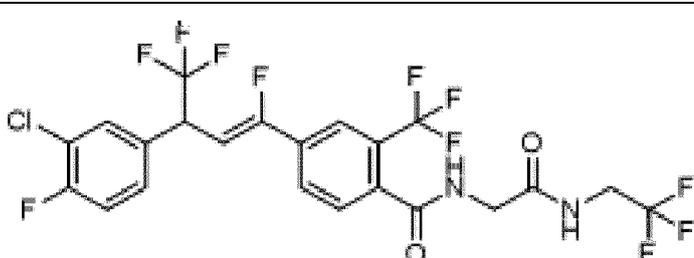
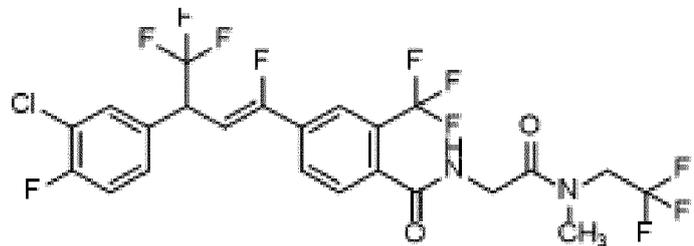
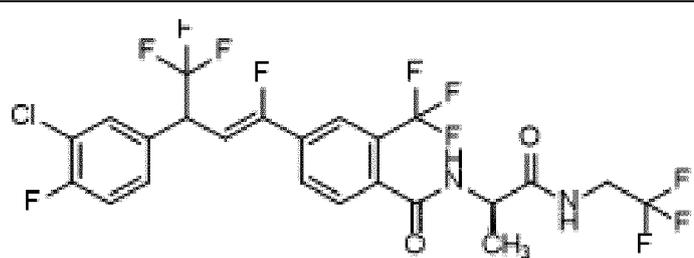
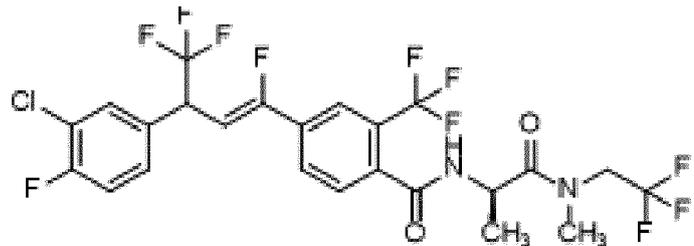
(suite)

No.	Structure
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F216	
F217	

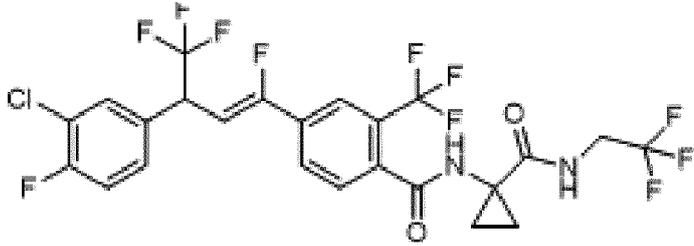
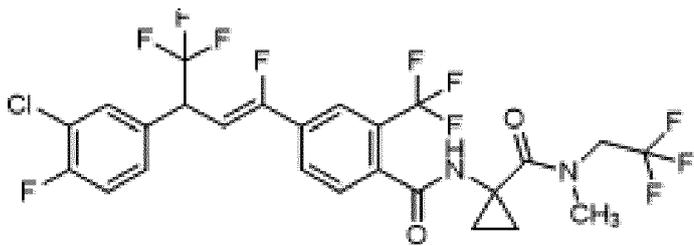
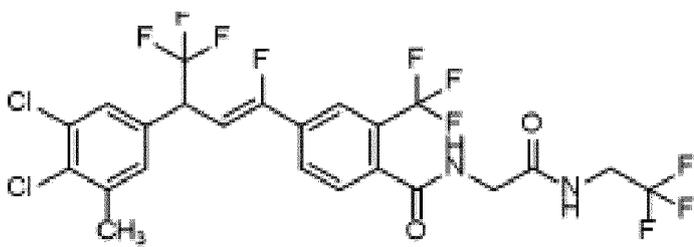
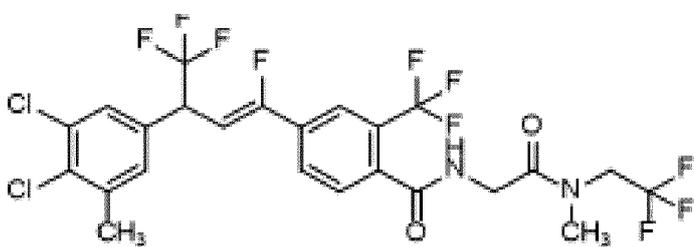
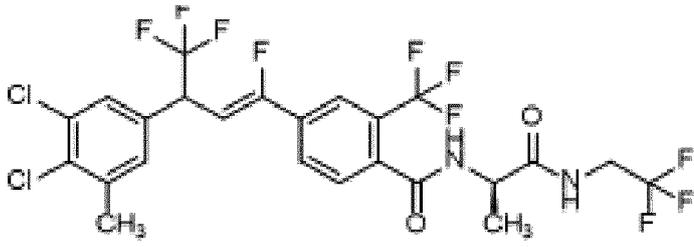
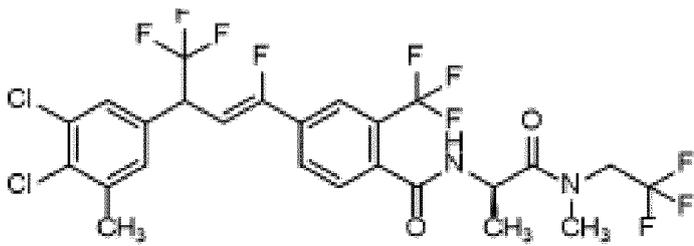
4. Molécule conforme à la revendication 1, laquelle molécule est choisie parmi les molécules représentées dans le tableau suivant :

No.	Structure
P1	
P2	

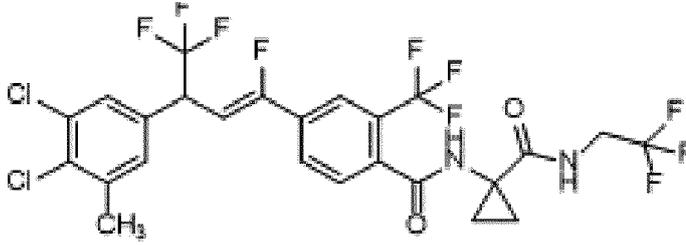
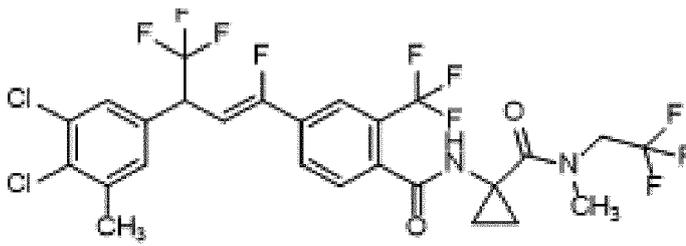
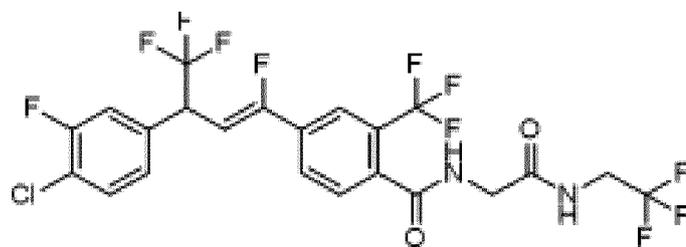
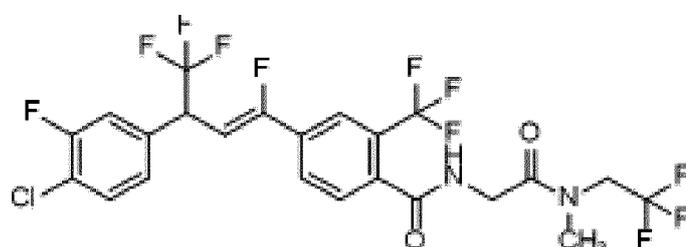
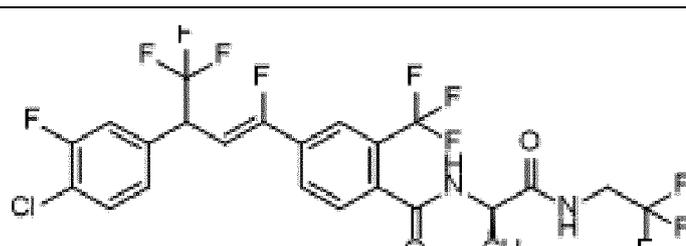
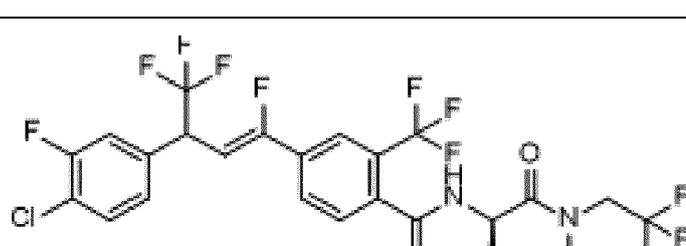
(suite)

No.	Structure
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P6	
P7	
P8	

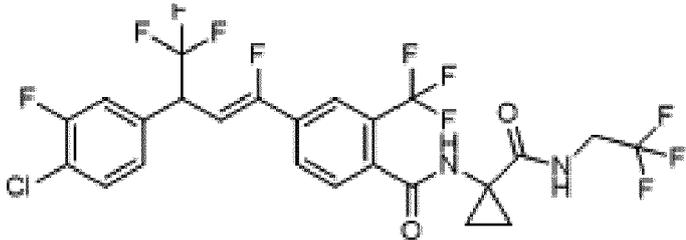
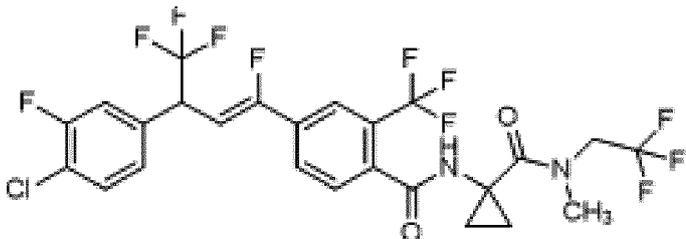
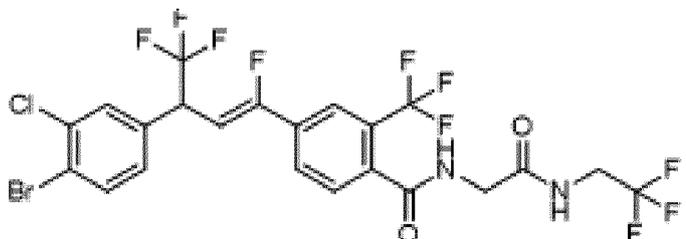
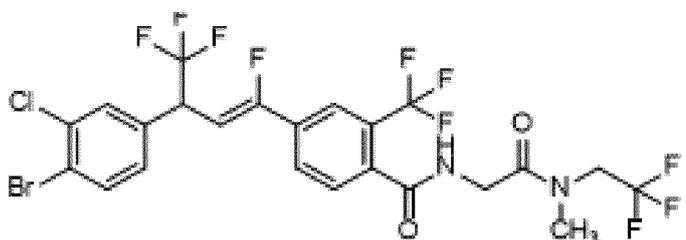
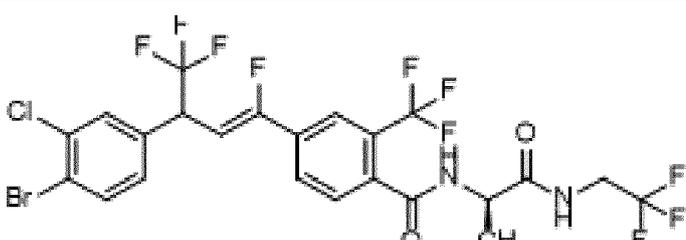
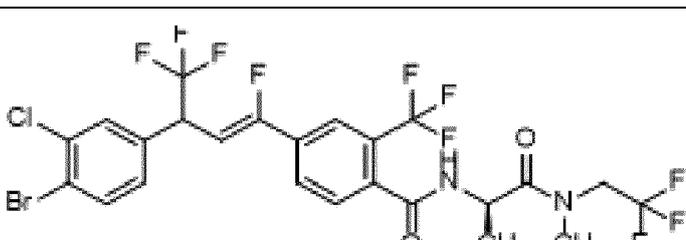
(suite)

No.	Structure
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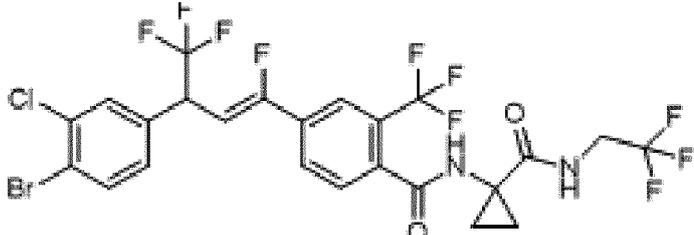
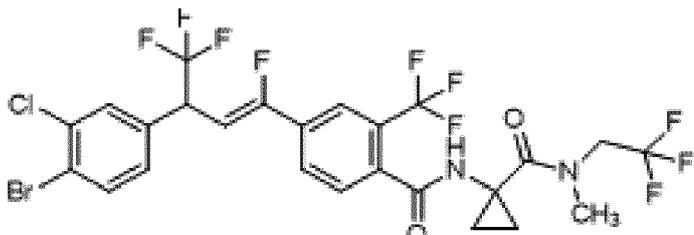
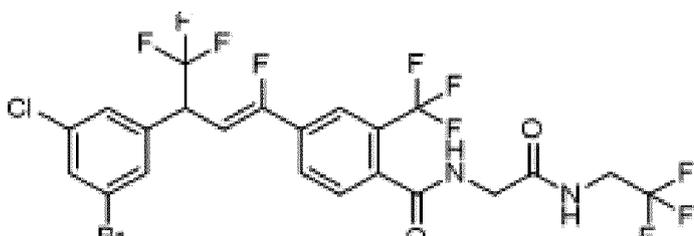
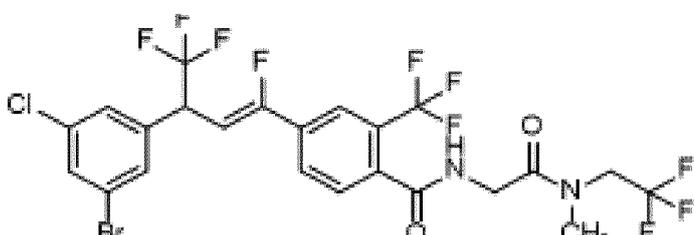
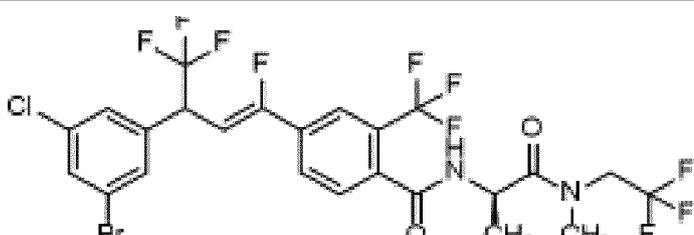
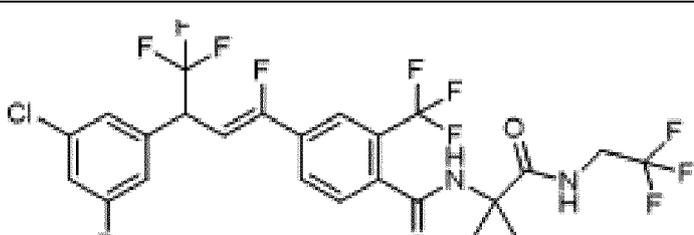
(suite)

No.	Structure
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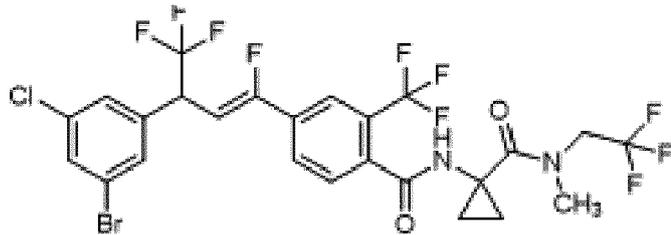
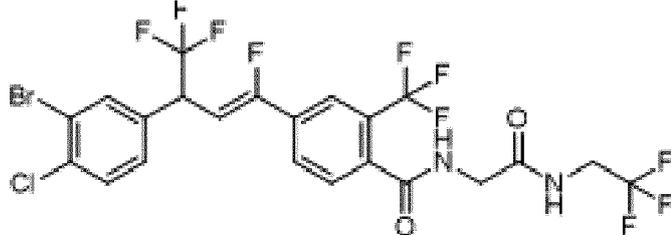
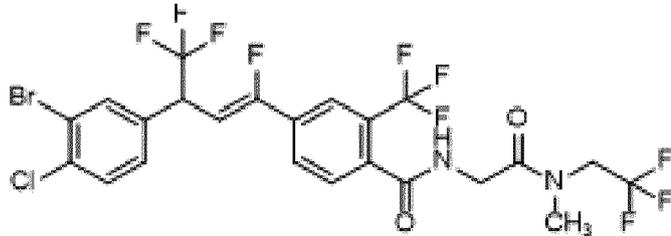
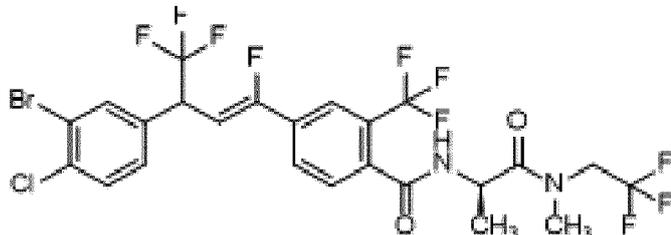
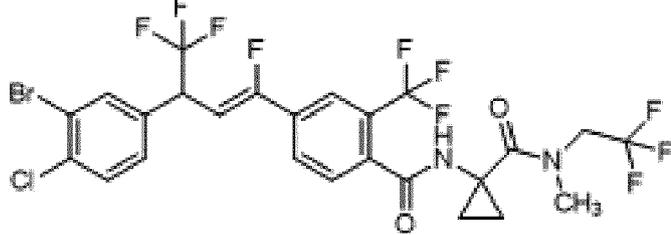
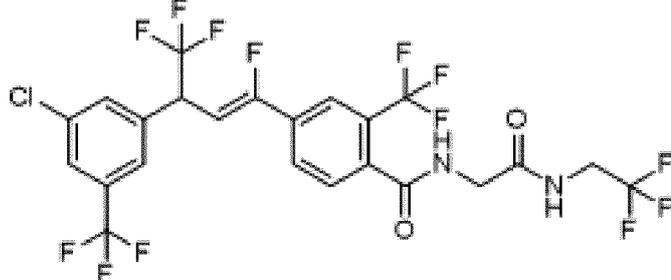
(suite)

No.	Structure
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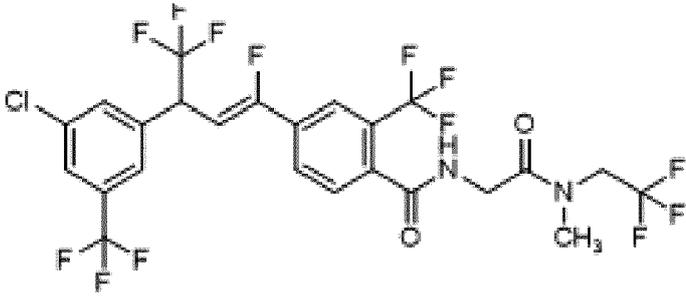
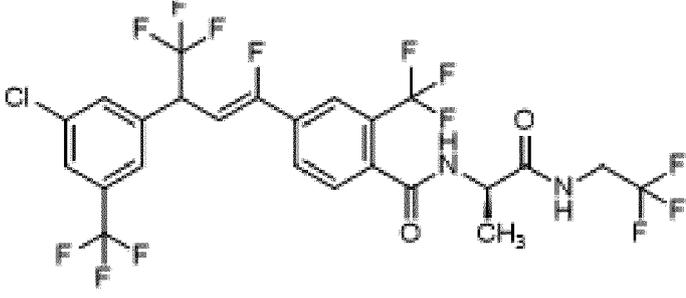
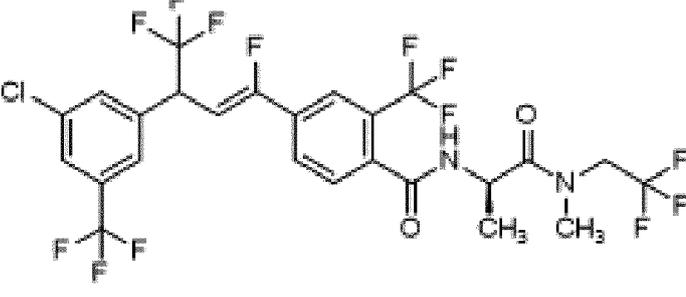
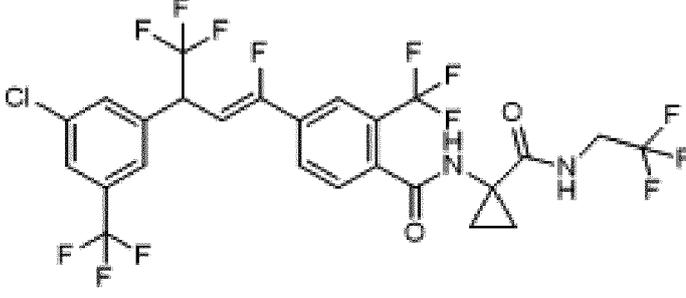
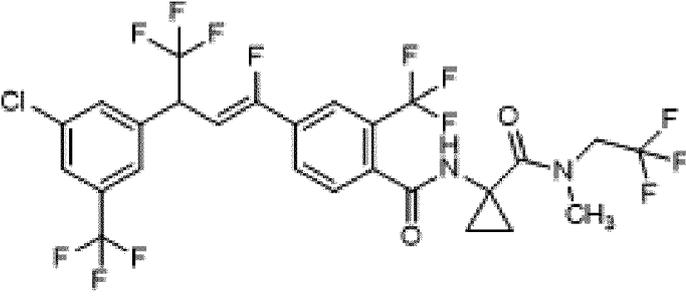
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No.	Structure
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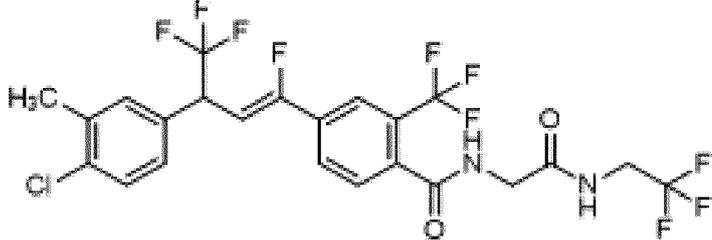
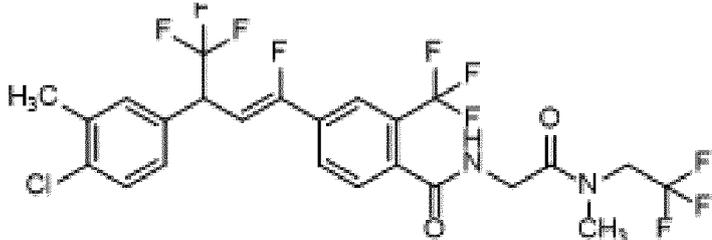
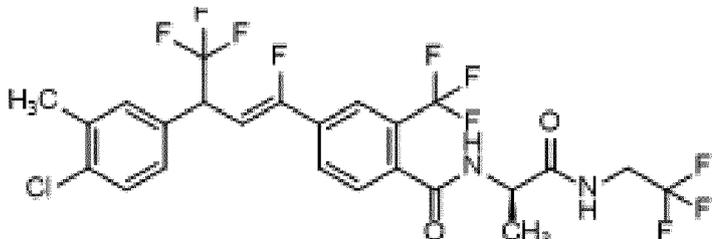
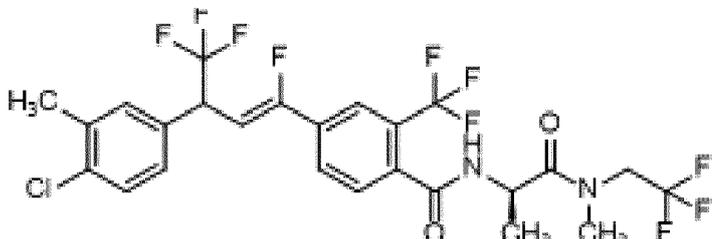
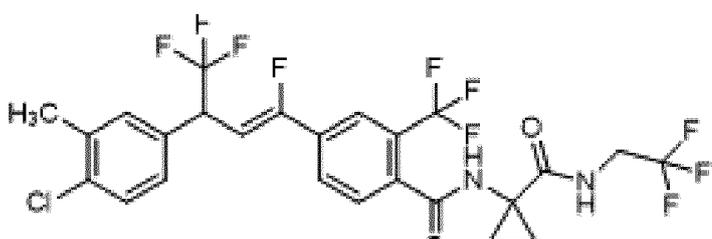
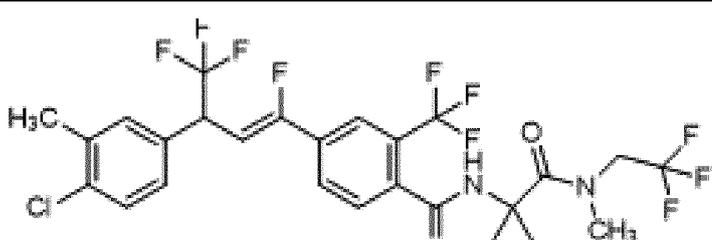
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No.	Structure
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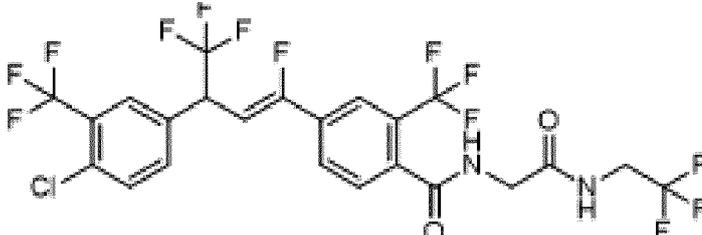
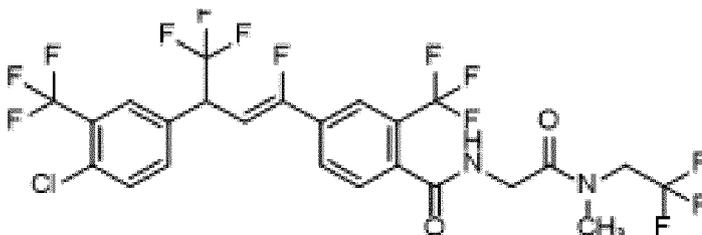
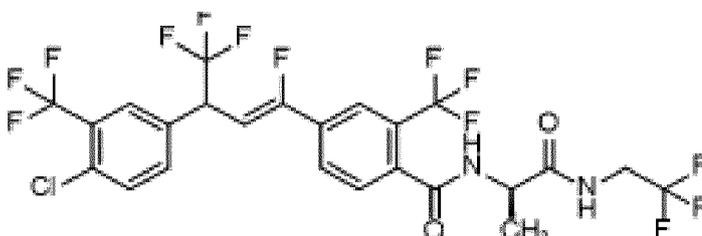
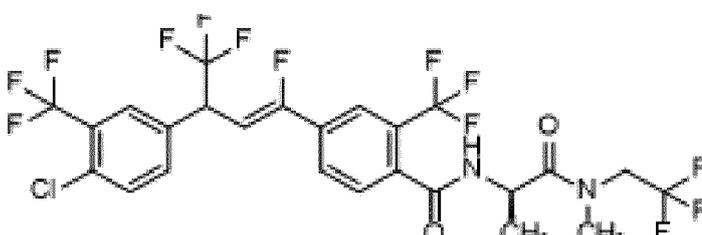
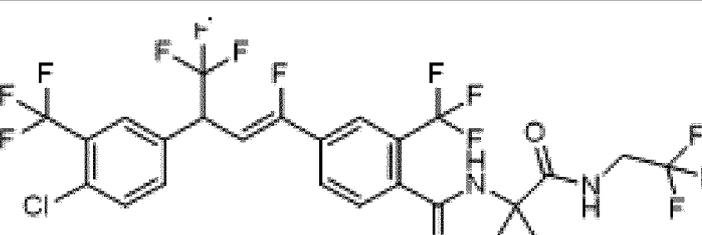
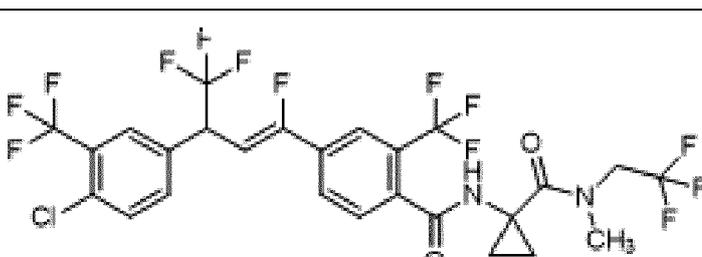
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No.	Structure
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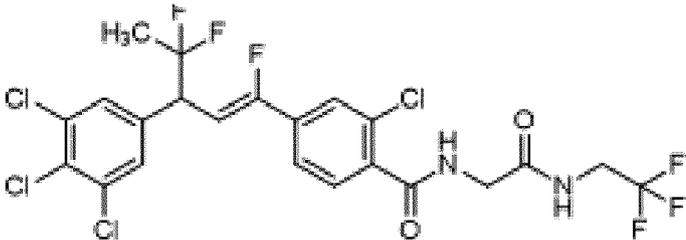
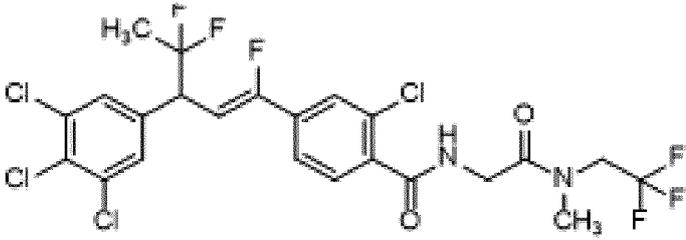
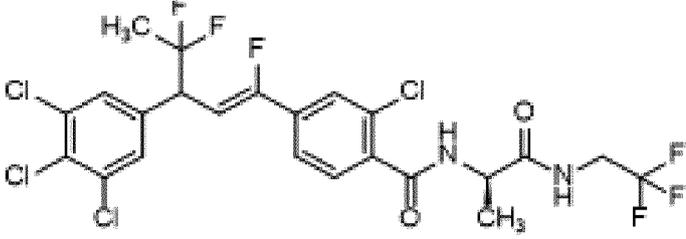
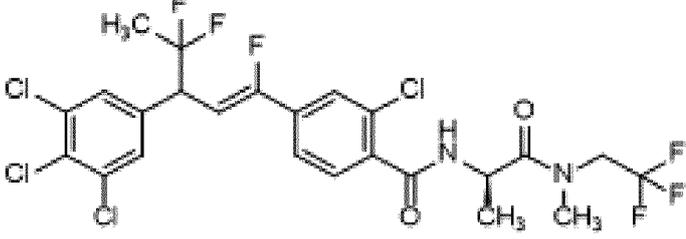
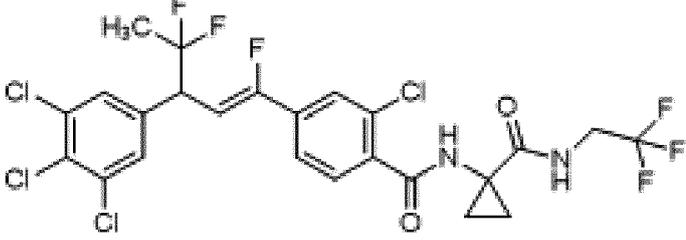
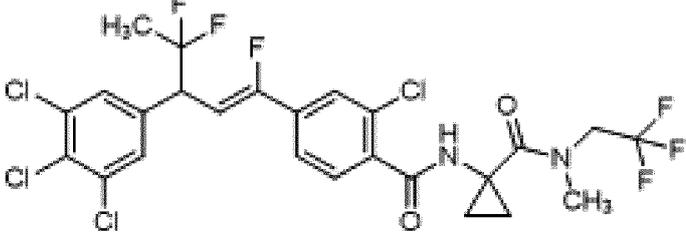
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No.	Structure
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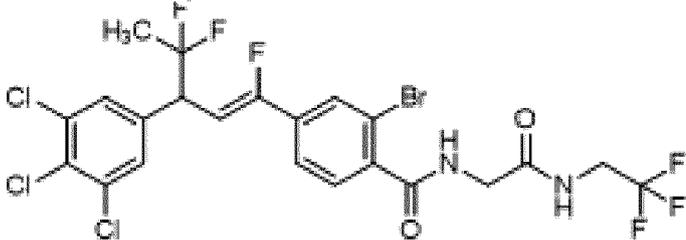
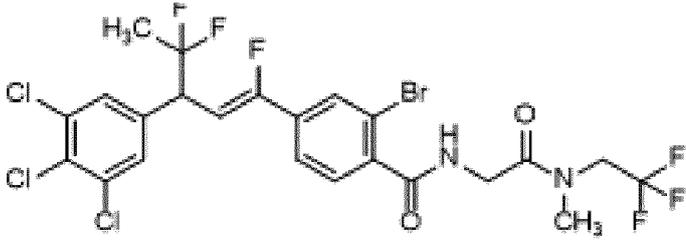
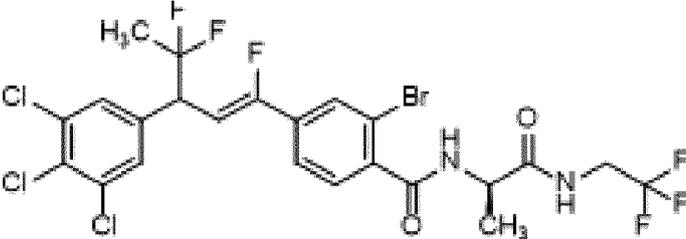
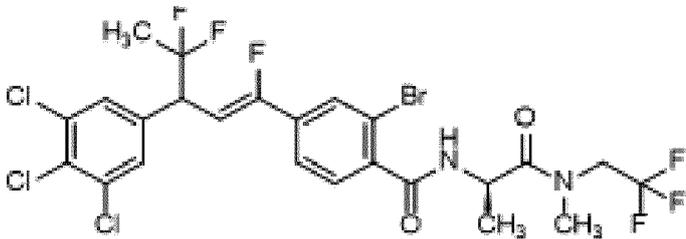
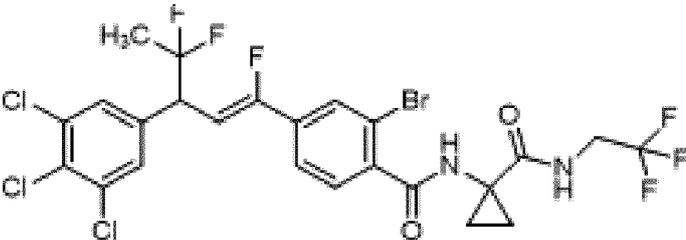
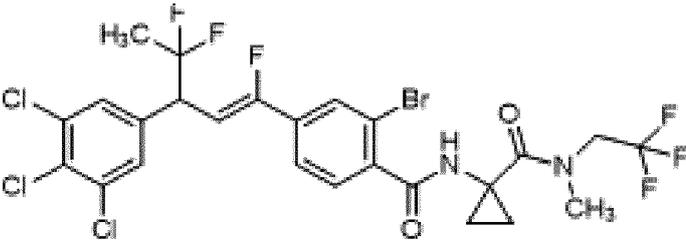
(suite)

No.	Structure
P52	
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P57	

(suite)

No.	Structure
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P59	
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P61	
P62	
P63	

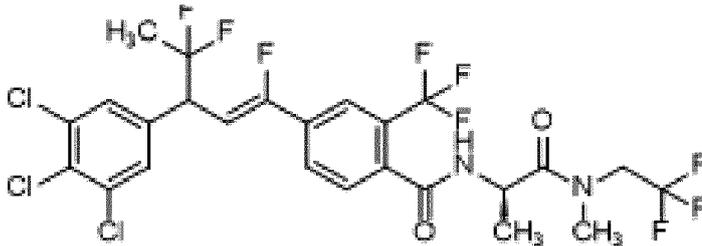
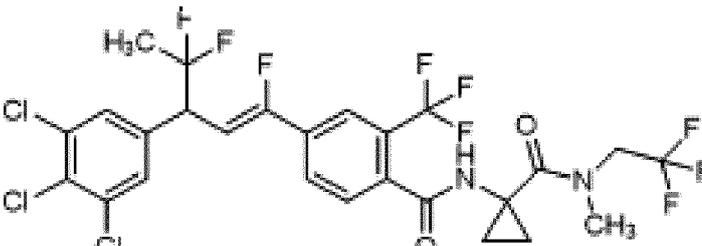
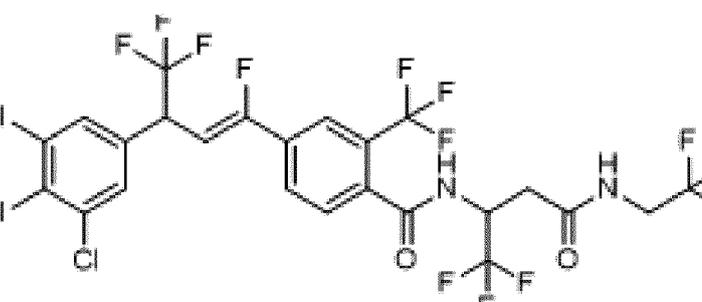
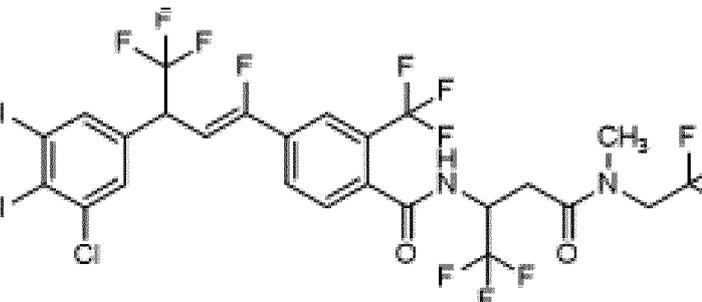
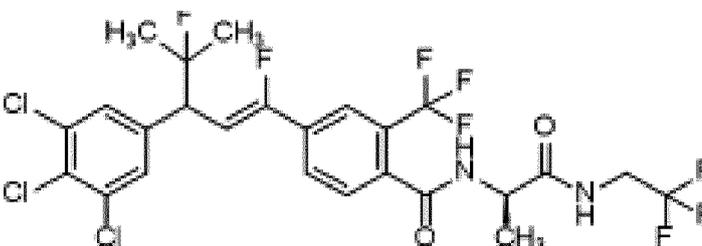
(suite)

No.	Structure
P64	
P65	
P66	
P67	
P68	
P69	

(suite)

No.	Structure
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P71	<chem>CC(F)(F)C(C(F)F)C=C(F)C1=CC=C(C)C(=O)NCC(=O)N(C)CC(F)(F)F</chem>
P72	<chem>CC(F)(F)C(C(F)F)C=C(F)C1=CC=C(C)C(=O)NCC(=O)N(C)C(F)(F)F</chem>
P73	<chem>CC(F)(F)C(C(F)F)C=C(F)C1=CC=C(C)C(=O)NCC(=O)N1CC1CC(F)(F)F</chem>
P74	<chem>CC(F)(F)C(C(F)F)C=C(F)C1=CC=C(C)C(=O)NCC(=O)N(C)C1CC1CC(F)(F)F</chem>
P75	<chem>CC(F)(F)C(C(F)F)C=C(F)C1=CC=C(C)C(=O)NCC(=O)NCC(F)(F)F</chem>

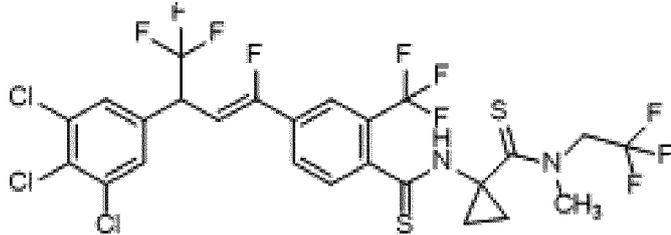
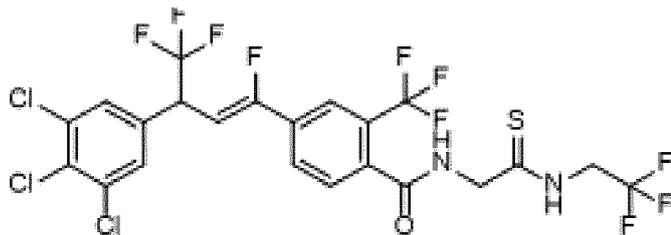
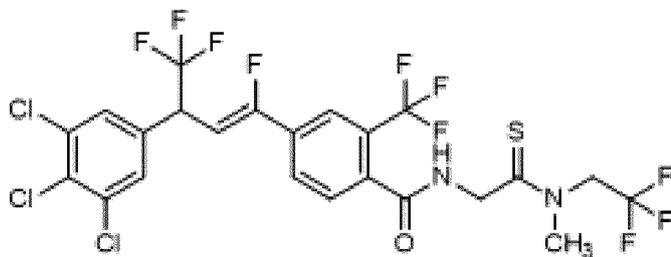
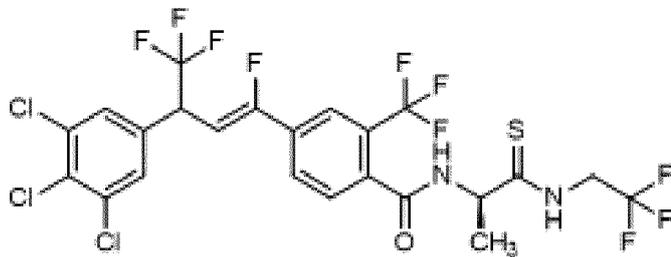
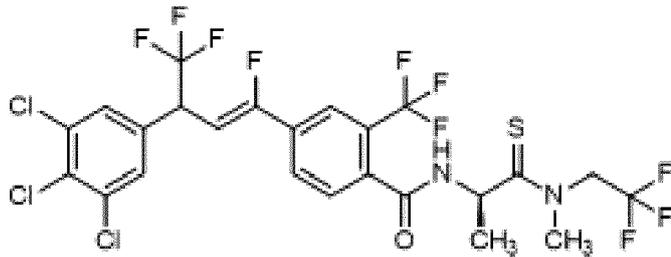
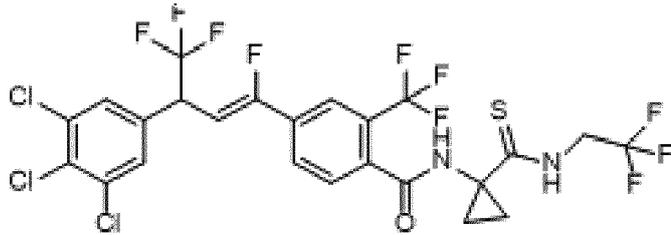
(suite)

No.	Structure
P76	
P77	
P78	
P79	
P80	

(suite)

No.	Structure
P87	<chem>Clc1cc(Cl)c(Cl)cc1C(C(F)(F)F)/C=C/c1ccc2c(c1)nc(=S)c(=S)n2C(F)(F)FCC(F)(F)F</chem>
P88	<chem>Clc1cc(Cl)c(Cl)cc1C(C(F)(F)F)/C=C/c1ccc2c(c1)nc(=S)c(=S)n2CC(=S)NCC(F)(F)F</chem>
P89	<chem>Clc1cc(Cl)c(Cl)cc1C(C(F)(F)F)/C=C/c1ccc2c(c1)nc(=S)c(=S)n2CC(=S)N(C)CC(F)(F)F</chem>
P90	<chem>Clc1cc(Cl)c(Cl)cc1C(C(F)(F)F)/C=C/c1ccc2c(c1)nc(=S)c(=S)n2C(C)C(=S)NCC(F)(F)F</chem>
P91	<chem>Clc1cc(Cl)c(Cl)cc1C(C(F)(F)F)/C=C/c1ccc2c(c1)nc(=S)c(=S)n2C(C)C(=S)N(C)CC(F)(F)F</chem>
P92	<chem>Clc1cc(Cl)c(Cl)cc1C(C(F)(F)F)/C=C/c1ccc2c(c1)nc(=S)c(=S)n2C1CC1C(=S)NCC(F)(F)F</chem>

(suite)

No.	Structure
P93	
P94	
P95	
P96	
P97	
P98	

(suite)

No.	Structure
P99	
P100	
P101	
P102	
P103	
P104	

5. Composition pesticide, comprenant une molécule conforme à l'une des revendications 1, 2, 3 et 4, et qui comprend en outre un ou plusieurs ingrédient(s) actif(s).

6. Composition pesticide conforme à la revendication 5, dans laquelle ledit ingrédient actif est choisi parmi les suivants :

1) bromure de (3-éthoxypropyl)mercure, 1,2-dibromoéthane, 1,2-dichloroéthane, 1,2-dichloropropane, 1,3-dichloropropène, 1-MCP, 1-méthylcyclopropène, 1-naphtol, 2-(octylthio)-éthanol, 2,3,3-TPA, acide 2,3,5-triiodobenzoïque, 2,3,6-TBA, 2,4,5-T, 2,4,5-TB, 2,4,5-TP, 2,4-D, 2,4-DB, 2,4-DEB, 2,4-DEP, 2,4-DES, 2,4-DP, 2,4-MCPA, 2,4-MCPB, 2iP, chlorure de (2-méthoxy-éthyl)-mercure, 2-phénylphénol, 3,4-DA, 3,4-DB, 3,4-DP, acide 3,6-dichloropicolinique, 4-amino-pyridine, 4-CPA, 4-CPB, 4-CPP, alcool (4-hydroxy-phényl)-éthylrique, sulfate de 8-hydroxyquinoline, 8-(phényl-mercurioxy)-quinoléine, abamectine, abamectine-aminométhyl, acide abscisique, ACC, acéphate, acéquinocyle, acétamipride, acéthion, acétochlore, acétofénate, acétophos, acétoprole, acibenzolar, acifluorène, aclonifène, ACN, acrep, acrinathrine, acroléine, acrylonitrile, acypétacs, afidopyropène, afoxolaner,alachlore, alanap, alanycarbe, albendazole, aldicarbe, aldicarbe sulfone, aldimorphe, aldoxycarbe, aldrine, alléthrine, allicine, allidochlore, allosamidine, alloxidime, alcool allylique, allyxycarbe, alorac, alpha-cyperméthrine, alpha-endosulfan, alphaméthrine, altrétamine, phosphure d'aluminium, amétoctradine, amétridione, amétryne, amibuzine, amicarbazone, amicarbazol, amidithion, amidoflomet, amidosulfuron, aminocarbe, aminocyclopyrachlore, aminopyralide, aminotriazole, amiprofos, amiprofosméthyl, amisulbrom, amiton, amitraze, amitrole, sulfamate d'ammonium, amobame, gel de silice amorphe, dioxyde de silicium amorphe, ampropylfos, AMS, anabesine, ancymidole, anilazine, anilofos, anisuron, anthraquinone, antu, apholate, aramite, arprocarbe, oxyde arsénieux, asomate, aspirine, asulame, athidathion, atraton, atrazine, auréofongine, avermectine B1, AVG, aviglycine, azaconazole, azadirachtine, azafénidine, azaméthiophos, azidithion, azimsulfuron, azinphos-éthyl, azinphos-méthyl, aziprotryne, azithirame, azobenzène, azocyclotin, azotoate, azoxystrobine, bachmédesh, barbane, fluorosilicate de baryum, polysulfure de baryum, silicofluorure de baryum, barthrine, carbonate de cuivre basique, chlorure de cuivre basique, sulfate de cuivre basique, BCPC, béflubutamide, béalaxyl, béalaxyl-M, bénazoline, bencarbazone, benclothiaz, fenridazon-propyl, bendiocarbe, bendioxide, benfluraline, benfuracarb, benfurésate, saflufénacile, bénodanil, bénomyl, bénoxacore, bénoxafos, benquinox, bensulfuron, bensulide, bensultap, bentaluron, bentazone, benthiavalicarbe, benthiazole, benthio-carbe, bentranil, benzadox, chlorure de benzalkonium, benzamacril, benzamizole, benzamorphe, hexachlorure de benzène, benzfendizone, benzimine, benziprame, benzobicyclone, benzoépine, benzofénap, benzofluor, acide benzohydroxamique, benzomate, benzophosphate, acibenzolar-S-méthyle, benzovindiflupyr, benzoximate, benzoylprop, benzthiazuron, topamézone, benzoate de benzyle, benzyladénine, berbérine, bêta-cyfluthrine, bêta-cyperméthrine, bêthoxazine, BHC, bialaphos, bicyclopypyrone, bifénazate, bifénox, bifenthrine, bifujunzhi, bilanafos, binapacryl, bingqingxiao, bioalléthrine, bioéthanométhrine, bioperméthrine, bioesméthrine, biphényle, bisazir, bisméthiazol, bisméthiazol-cuivre, méthylène-di(x-naphtalène-y-sulfonate) de bis(phényl-mercure), bispyribac, bistrifluron, thiosultap disodique, bitertanol, bithionol, bixafène, blasticide-S, borax, bouillie bordelaise, acide borique, boscalide, BPPS, brassinolide, brassinolide-éthyl, brévicomine, brodifacoum, brofenprox, brofenvalérate, broflanilide, brofluthrinat, bromacil, bromadiolone, bromchlophos, brométhaline, brométhrine, bromfenvinfos, bromoacétamide, bromobonil, bromobutide, bromocyclène, bromo-DDT, bromophénoxime, bromométhane, bromophos, bromophos-éthyl, bromopropylate, bromothalonil, bromoxynil, brompyrazone, bromuconazole, bronopol, BRP, BTH, bucarpolate, bufencarbe, buminafos, bupirimate, buprofézin, bouillie bourguignonne, busulfan, butacarbe, butachlor, butafénacile, butame, butamifos, butathiofos, buténachlore, butène-fipronil, butéthrine, buthidazole, buthiobate, buthiuron, butifos, butocarboxime, butonate, butopyronoxyl, butoxycarboxime, butraline, butrizol, butroxydime, buturon, butylamine, butilate, butylchlorophos, butylene-fipronil, acide cacodylique, cadusafos, cafenstrole, calciférol, arséniate de calcium, chlorate de calcium, cyanamide calcique, cyanure de calcium, polysulfure de calcium, calvinphos, cambendichlore, camphé-chlore, camphre, captafol, captane, carbam, carbamorphe, carbanolate, carbaryl, carbasulam, carbathion, carbendazime, carbétamide, carbofénation, carbofuran, sulfure de carbone, tétrachlorure de carbone, sulfure de carbonyle, carbophénothion, carbophos, carbosulfan, carboxazole, carboxyde, carboxine, carfentrazone, carpropamide, cartap, carvacrol, carvone, CAVP, CDAA, CDEA, CDEC, cellocidine, CEPC, céralure, cérénox, cévadilla, bouillie de Cheshunt, chinalphos, chinalphos-méthyl, chinométhionate, chiralaxyl, chitosane, chlo-benthiazone, chlométoxyfène, chloralose, chlorambène, chloramine phosphore, chloramphénicol, chloraniforméthane, chloranile, chloranocryl, chlorantranilprole, chlorazifop, chlorazine, chlorbenside, chlorbenzuron, chlorbicyclène, chlorbromuron, chlorbufame, chlordane, chlordécone, chlordiméforme, chlorempenthrine, chlorétazate, chloréthéphon, chloréthoxyfos, chloréturon, chlorfénac, chlorfénapyr, chlorfénazole, chlorfénéthol, chlorfénidim, chlorfenprop, chlorfenson, chlorfensulfide, chlorfenvinphos, chlorfenvinphos-méthyl, chlorfluazuron, chlorflurazole, chlorflurène, chlorfluréol, chloridazone, chlorimuron, chlorinate, chlor-IPC, chlorméphos, chlorméquat, chlormésulone, chlorméthoxynil, chlornidine, chlornitroféne, acide chloroacétique, chlorobenzilate, chlorodinitronaphtalènes, chlorofénizon, chloroforme, chloromébuforme, chlorométhiuron, chloronèbe, chlorophacinone, chlorophos, chloropicrine, chloropon, chloropropylate, chlorothalonil, chloroxuron, chloroxynil, chlorphonium, chlorphoxime, chlorprazophos, chlorprocarbe, chlorprophame, chlorpyrifos, chlorpyrifos-méthyl,

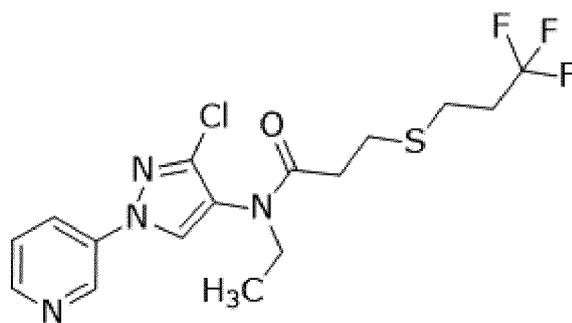
chlorquinox, chlorsulfuron, chlorthal, chlorthiamide, chlorthiophos, chlortoluron, chlozolate, cholécalférol,
 chlorure de choline, chromafénozide, cycloheximide, cimétacarb, cinérine I, cinérine II, cinérines, cinidon-éthyl,
 cinméthylène, cinosulfuron, cintofène, ciobutide, cisanilide, cisméthrine, clacyfos, cléfoxydime, clenpirine, clé-
 5 thodime, climbazole, cliodinate, clodinafop, cloéthocarbe, clofencet, clofénotane, clofentézine, clofenvinfos,
 acide clofibrique, clofop, clomazone, cloméprop, clonitralide, cloprop, cloproxydime, clopyralide, cloquintocet,
 cloransulame, closantel, clothianidine, clotrimazole, cloxyfonac, cloxylacon, clozylacon, CMA, CMMP, CMP,
 CMU, codléure, colophonate, 8-quinoléinolate de cuivre, acétate de cuivre, acétoarsénite de cuivre, arséniate
 de cuivre, carbonate de cuivre basique, hydroxyde de cuivre, napténate de cuivre, oléate de cuivre, oxychlorure
 de cuivre, silicate de cuivre, sulfate de cuivre, sulfate de cuivre basique, chromate double de cuivre et de zinc,
 10 coumachlore, coumafène, coumafuryl, coumaphos, coumatétralyl, couméthoxystrobine, coumithoate, cou-
 moxystrobine, CPMC, CPMF, CPPC, crédazine, crésol, acide crésylique, crimidine, crotamiton, crotoxyphos,
 crufomate, cryolithe, cue-lure, cufranèbe, cumyluron, cuprobame, oxyde cuivreux, curcuménol, CVMP, cyana-
 mide, cyanatryne, cyanazine, cyanofenphos, cyanogène, cyanophos, cyanthoate, cyantraniliprole, acide cya-
 15 nurique, cyazofamide, cybutryne, cyclafuramide, cyclanilide, cyclaniliprole, cycléthrine, cycloate, cycloheximide,
 cycloprate, cycloprothrine, cyclopyrimorate, cyclosulfamuron, cycloxydime, cycluron, cyenopyrafène, cyflufé-
 namide, cyflumétofen, cyfluthrine, cyhalofop, cyhalothrine, cyhéxatin, cymiazole, cymoxanil, cyométrinil, cypen-
 dazole, cyperméthrine, cyperquat, cyphénothrine, cyprazine, cyprazole, cyproconazole, cyprodinil, cyprofuram,
 cypromide, cyrosulfamide, cyromazine, cythioate, cytrex, daimuron, dalapon, daminozide, dayoutong, dazom-
 20 et, DBCP, d-camphre, DCB, DCIP, DCPA, DCPTA, DCU, DDD, DDPP, DDT, DDVP, débacarbe, décafentin,
 décarbofuran, diéthyltoluamide, acide déhydroacétique, deiquat, délachlore, dioxathion, deltaméthrine, démé-
 phion, déméphion-O, déméphion-S, déméton, déméton-méthyl, déméton-O, déméton-O-méthyl, déméton-S,
 déméton-S-méthyl, déméton-S-méthylsulfone, DEP, dépalléthrine, roténone, desmédiphame, desmétryne,
d-fanshiluquebingjuzhi, diafenthuron, dialiphos, diallate, diamidafos, terre de diatomées ou diatomite, diazinon,
 25 dibrom, phtalate de dibutyle, succinate de dibutyle, dicamba, dicapthon, dichlobénil, dichlofenthion, dichloflua-
 nide, dichlone, dichloralurée, dichlorbenzuron, dichlorfénidime, dichlorflurénol, dichlormate, dichlormide, dichlo-
 rométhane, dicloroméztiaz, dichlorophène, dichlorprop, dichlorprop-P, dichlorvos, dichlozoline, diclobutrazol,
 diclocymet, diclofop, diclomézine, dicloran, diclosulame, dicofol, dicophane, dicoumarol, dicrésyle, dicrotophos,
 chloranocryl, dicyclanil, dicyclonone, dieldrine, diénochlorure, diéthamquat, diéthatyl, diéthion, diéthofencarbe,
 diétholate, éthion, pyrocarbonate de diéthyle, diéthyltoluamide, difénacoum, difénoconazole, difénoentène,
 30 difénoxuron, difenzoquat, diféthialone, diflovidazine, diflubenzuron, diflufénican, diflufenzopyr, diflumétorime,
 dikégulac, dilor, dimatif, diméfluthrin, diméfox, diméfuron, diméhypo, dimépipérate, dimétachlone, dimétan,
 diméthacarb, diméthachlore, diméthamétryne, diméthénamide, diméthénamide-P, diméthipin, diméthirimol, di-
 méthoate, diméthomorphe, diméthrine, carbate de diméthyle, disulfure de diméthyle, phtalate de diméthyle,
 diméthylvinphos, dimétilan, diméxano, dimidazole, dimoxystrobine, dimpylate, dimuron, dinex, pyrisoxazole,
 35 diniconazole, diniconazole-M, dinitramine, dinitrophénols, dinobuton, dinocap, dinocap-4, dinocap-6, dinocion,
 dinofénate, dinopenton, dinoprop, dinosame, dinosèbe, dinosulfon, dinotéfurane, dinoterbe, dinoterbon, diofé-
 nolane, dioxabenzofos, dioxacarbe, dioxathion, diphacinone, difénamide, diphénylsulfone, diphénylamine, di-
 phénylsulfure, acide diprogulique, dipropaline, dipropétryne, trichlorfon, dipymétritron, dipyrithione, diquat, té-
 40 traborate disodique, thiosultap disodique, disparture, dicamba, disul, disulfirame, disulfoton, ditalimfos, dithia-
 non, dithicrofos, disulfure de diméthyle, dithiométon, dithiopyr, diuron, dixanthogène, *d-limonène*, DMPA, DNOC,
 dodémorphe, dodicine, dodine, dofénapyne, dominicalure, doramectine, dinocap, drazoxolon, DSMA, *d-trans-*
alléthrine, *d-trans-resméthrine*, dufulin, daimuron, EBEP, EBP, ébufos, ecdystérone, étridiazole, EDB, EDC,
 EDDP, edifenphos, églinazine, emamectine, EMPC, empenthrine, 2iP, endosulfan, endothal, endothion, endri-
 45 ne, imazalil, énoxastrobine, chlorfenson, EPN, épocholéone, épofénonane, époxiconazole, éprinomectine,
 épronaz, EPTC, erbon, ergocalciférol, erlujixiancaoan, esdépalléthrine, esfenvalérate, oxydéprofos, esprocarb,
 étacélasil, étaconazole, étaphos, etem, éthaboxame, éthachlore, éthalfuraline, éthamétsulfuron, éthaprochlore,
 éthéphon, éthidimuron, éthiophencarbe, éthiolate, éthion, éthiozine, éthiprole, éthirimol, éthoate-méthyl, éto-
 benzanide, éthofumesate, éthohexadiol, éthoprophos, éthoxyfène, éthoxyquine, éthoxysulfuron, éthychlozate,
 formiate d'éthyle, pyrophosphate d'éthyle, éthyl-DDD, éthylène, dibromure d'éthylène, dichlorure d'éthylène,
 50 oxyde d'éthylène, éthylcine, 2,3-dihydroxypropanethiolate d'éthylmercure, acétate d'éthylmercure, bromure
 d'éthylmercure, chlorure d'éthylmercure, phosphate d'éthylmercure, étinofène, étem, etnipromide, étobenzani-
 de, étopenprox, étoxazole, étridiazole, étrimfos, eugénol, dixanthogène, famoxadone, famphur, chlorfénac, fé-
 namidone, phénaminosulf, fénaminstrobine, phénamiphos, fénapanil, fénarimol, fénasulame, fénazaflo, féna-
 55 zaquine, fenbuconazole, fenbutatin-oxyde, fenchlorazole, fenchlorphos, fenclorime, fénéthacarbe, fenfluthrine,
 fenfurame, fenhexamide, fénuron, fénitropane, fénitrothion, fénizon, fenjuntong, fénobucarb, fentine, féno-
 prop, fénothiocarb, fénoxacrim, fénoxanil, fénoxaprop, fénoxaprop-P, fénoxasulfone, fénoxycarb, fempiclonil, femp-
 irithrine, fenpropathrine, fenpropidine, fenpropimorphe, fenpyrazamine, fenpyroximate, fenquinotrione, fenrida-
 zon, fenson, fensulfothion, fentéracol, fenthion, fenthion-éthyl, fentiaprop, fentine, fentrazamide, fentrifanil, fé-

nuron, fénuron-TCA, fenvalérate, ferbame, férimzone, phosphate ferrique, sulfate ferreux, fipronil, flamprop,
 flamprop-M, flzasulfuron, flocoumafène, flométoquine, flonicamide, florasulame, fluacrypyrime, fluazifop, flua-
 zifop-P, fluaziname, fluazolate, fluazuron, flubendiamide, flubenzimine, flubrocycloxythrinat, flucarbazon, flucéto-
 sulfuron, fluchloralidine, flucofuron, flucycloxyuron, flucythrinate, fludioxonil, fluénétile, fluensulfone, flufénacet, flu-
 fénérime, flufénican, flufénoxuron, flufénoxytrobine, flufenprox, flufenpyr, flufenzine, flufiprole, fluhexafone,
 fluméthrine, flumétovère, flumétraline, flumétsulame, flumézine, flumiclorac, flumioxazin, flumipropyne, flumorph-
 5 ph, fluométuron, fluopicolide, fluopyram, fluorbenside, fluoridamide, fluoroacétamide, acide fluoroacétique, fluo-
 rodifène, fluoroglycofène, fluoroimide, fluoromidine, fluoronitrofène, fluothiuron, fluotrimazole, fluoxastrobine,
 flupoxame, flupropacil, flupropadine, flupropanate, flupyradifurone, flupyrsulfuron, fluquinconazole, fluralaner,
 10 flurazole, flurénol, fluridone, flurochloridone, fluromidine, fluroxypry, flurprimidol, flursulamidine, flurtamone, flu-
 silazole, flusulfamide, diflovidazine, fluthiacet, flufénacet, flutianile, flutolanil, flutriafol, fluvalinate, fluxapyroxade,
 fluxofénime, folpet, fomésafène, fonofos, foramsulfuron, forchlorfénuron, formaldéhyde, formétanate, formo-
 thion, formparanate, fosamine, fosétyl, fosméthilane, fospirate, fosthiazate, fosthiétan, frontaline, phtalide, fubé-
 ridazole, fucaojing, fucaomi, flufénoxytrobine, éthoxyfène-éthyl, coumafuryl, funaihecaoling, fuphenthionurée,
 15 furalane, furalaxyl, furaméthrine, furametpyr, furane tébufénozide, furathiocarb, furcarbanil, furconazole, furco-
 nazole-cis, furéthrine, furfural, furilazole, furmécycloxy, furophanate, furoxyfène, *gamma*-cyhalothrine, *gamma*-
 HCH, genite, acide gibberellique, gibberelline A3, gibberellines, glifto, glucochloralose, glufosinate, glufosinate-
 P, glyodin, glyoxime, glyphosate, glyphosine, gossypure, grandlure, griséofulvine, guanoctine, guazatine, ha-
 lacrinat, halauxifène, halfenprox, halofénozide, halosafène, halosulfuron, haloxyfop, haloxyfop-P,
 20 HCH, altétramine, hempa, HEOD, heptachlore, heptafluthrin, hepténophos, heptopargil, herbimycine, hétéro-
 phos, hexachlore, hexachloroacétone, hexachlorobenzène, hexachlorobutadiène, hexachlorophène, hexaco-
 nazole, hexaflumuron, fentripanil, hexaflurate, hexalure, hexamide, hexazinone, hexylthiofos, hexythiazox,
 HHDN, holosulf, brassinolide-éthyl, huancaiwo, dicyclanil, huangcaoling, huanjunzuo, hydraméthylnone, hy-
 drargaphène, chaux hydratée, cyanamide, acide cyanhydrique, hydroprène, hymexazol, hyquincarb, AIA, AIB,
 25 iprobenfos, icaridine, imazalil, imazaméthabenz, imazamox, imazapic, imazapyr, imazaquine, imazéthapyr,
 imazosulfuron, imibenconazole, imicyafos, imidaclopride, imidaclothiz, iminoctadine, imiprothrine, inabenfide,
 indanofan, indaziflame, indoxacarbe, inézin, terre d'infusoires, iodobonil, iodocarbe, iodofenphos, iodure de
 méthyle, iodosulfuron, iofensulfuron, ioxynil, ipazine, IPC, ipconazole, ipfencarbazon, iprobenfos, iprodione,
 30 iprovalicarbe, iprymidam, ipsdiénol, ipsénol, IPSP, IPX, isamidofos, isazofos, isobenzan, isocarbamide, isocar-
 bophos, isocil, isodrine, isophenphos, isophenphos-méthyl, isofétamide, isolane, isométhiozine, isonoruron,
 isopamphos, isopolinate, isoprocarbe, isopropaline, isopropazol, isoprothiolane, isotroturon, isopyrazam, iso-
 pyrimitol, isothioate, isotianil, isovalédione, isoxabène, isoxachlortole, isoxadifène, isoxaflutole, isoxapyrifop,
 isoxathion, isuron, ivermectine, izopamfos, japonilure, japothrins, jasmoline I, jasmoline II, acide jasmonique,
 35 jiahuangchongzong, jiajizengxiaolin, jiaxiangjunzhi, jiecaowan, jiecaoxi, validamycine, hormone juvénile I, hor-
 mone juvénile II, hormone juvénile III, kadéthrine, *kappa*-bifenthrine, *kappa*téthfluthrine, karbutilate, karétazan,
 kasugamycine, kejunlin, kélévane, kétospiradox, kieselguhr, kinétine, kinoprène, béalaxyl-M, krésoximémé-
 thyle, kuicaoxi, lactofène, *lambda*-cyhalothrine, latilure, arséniate de plomb, lénacile, lépimectine, leptophos,
 bifénazate, sulfure de chaux, lindane, linéatine, linuron, lirimfos, litlure, looplure, lufénuron, tricopyricarbe, mé-
 perfluthrine, clacyfos, lythidathion, M-74, M-81, MAA, phosphore de magnésium, malathion, hydrazide maléique,
 40 malonobène, maltodextrine, MAMA, mancopper, mancozèbe, mandestrobine, mandipropamid, manèbe, ma-
 trine, mazidox, swep, 1-méthylcyclopropène, MCPA, MCPA-thioéthyl, MCPB, mébénil, mécarbame, mécarbini-
 zide, mécarphon, mécoprop, mécoprop-P, médiméforme, médinoterbe, medlure, méfénacet, métalaxyl-M, mé-
 fenpyr, méfluidide, acide mégatomoïque, alcool méliisylle, mélitoxine, chlorure de 2-méthoxyéthylmercure,
 ménazon, fénitrothion, mépanipyrimine, méperfluthrine, méphénate, méphospholan, mépiquat, mépronil, mép-
 45 tyldinocap, méthiocarbe, déméton-O, déméton-S, malathion, chlorure mercurique, oxyde de mercure, chlorure
 mercurieux, merphos, tribufos, mésoprazine, mésosulfuron, mésotrione, mésulfène, mésulfenfos, métacrésol,
 métaflumizone, métalaxyl, métalaxyl-M, métaldéhyde, métam, métamifop, métamitron, parathion-méthyl, MC-
 PA, métazachlore, métazosulfuron, métazoxolon, métconazole, métépa, metflurazone, méthabenzthiazuron,
 méthacrifos, méthalpropaline, méthamidophos, méthasulfocarb, méthazole, méthfuroxame, méthidathion, mé-
 50 thiobencarbe, méthiocarbe, méthiopyrisulfuron, méthiotépa, méthiozoline, méthiuron, méthocrotophos, métol-
 carb, méthométon, méthomyl, méthoprène, méthoprotryne, méthoquine-butyl, méthothrine, méthoxychlore, mé-
 thoxyfénoside, méthoxyphénone, apholate de méthyle, bromure de méthyle, méthyleugénol, iodure de méthyle,
 isothiocyanate de méthyle, parathion-méthyl, méthylacétophos, méthylchloroforme, métam, méthylidymron,
 chlorure de méthylène, isophenphos-méthyl, déméton-O-méthyl, oxydéméton-méthyl, déméton-S-méthyl, ben-
 55 zoate de méthylmercure, méthylmercure dicyandiamide, pentachlorophénate de méthylmercure, méthylnéo-
 décanamide, fénitrothion, azinphos-méthyl, méthiozoline, métirame, méto benzuron, méto bromuron, méto fluth-
 rine, méto lachlore, méto lcarb, tribénuron, métominostrobine, métosulame, méto xadiazone, méto xuron, métra-
 fénone, métribuzine, trichlorfon, metsulfovax, metsulfuron, mévinphos, méxcarbate, XMC, mieshuan, pent-

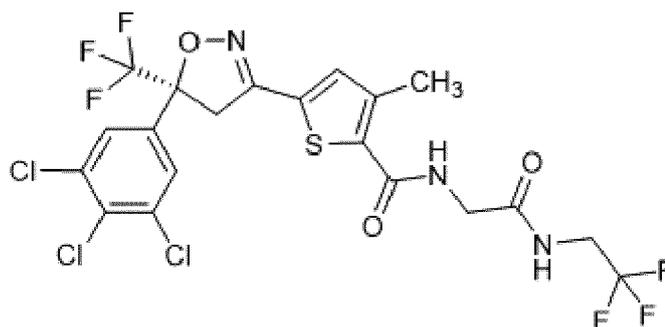
méthrine, milbémecline, oxime de milbémycine, milnèbe, pyriminostrobin, mipafox, isoprocarb, mirex, MNAF, moguchun, molinate, momfluorothrine, monalide, monisuron, semiamitraze, acide chloroacétique, monocrotophos, monolinuron, sulfiram, monosulfuron, thiosultap-monosodium, monuron, monuron-TCA, morfamquat, moroxydine, morphothion, morzid, moxidecine, xylylcarb, MSMA, métolcarb, muscalure, myclobutanil, myclozoline, triacontanol, *N*-(éthylmercuro)-*p*-toluènesulfonanilide, nabame, naftalofos, naled, naphtalène, naphtalène-acétamide, anhydride naphtalique, acides naphtylacétiques, naphtylindane-1,3-diones, acides naphtyloxyacétiques, naproanilide, napropamide, napropamide-M, naptalame, natamycine, flursulamide, néburon, endrine, néonicotine, nichlorfos, niclosamide, boscalide, nicosulfuron, nicotine, sulfate de nicotine, nifluridide, nikkomycines, nipyraclofène, nitenpyrame, nithiazine, nitralin, nitrapyrin, nitrilacarbe, nitrofène, nitrofluorène, nitrostyrène, nitrothal-isopropyl, nonanol, norbormide, norflurazone, normicotine, noruron, novaluron, noviflururon, naptalame, nuarimol, nuranone, OCH, oxyde de bis(2,3,3,3-tétrachloropropyle), octhiline, ofurace, ométhoate, o-phénylphénol, orbencarb, orfralure, ortho-dichlorobenzène, orthosulfamuron, oryctalure, orysastrobine, oryzalin, osthole, ostramone, chlorfenson, oxabétrinil, oxadiargyle, oxadiazon, oxadixyl, oxamate, oxamyl, oxapyrazone, oxasulfuron, oxathiapiprolone, oxazicloméfone, oxine-cuivre, acide oxolinique, oxpoconazole, oxycarboxine, oxydéméton-méthyl, oxydéprofos, oxydisulfoton, zéatine, oxyfluorène, oxymatrine, oxytétracycline, chinométhionate, chloridazon, paclobutrazol, paichongding, alléthrine, phenthoate, *para*-dichlorobenzène, parafluron, paraquat, parathion, parathion-méthyl, parinol, vert de Paris, quinzozène, pentachlorophénol, pentachlorophénate de sodium, *p*-dichlorobenzène, prohydrojasmon, pébulate, pédinex, pefurazolate, acide pélargonique, penconazole, pencycuron, pendiméthaline, penfénate, penflufène, penfluron, pénoxsulame, laureate de pentachlorophényle, pentanochlore, penthiopyrade, pentméthrine, pentoxazone, perchlordécone, perfluidone, perméthrine, péthoxamide, propoxur, phénamacril, phénamacril-éthyl, phénaminosulf, oxyde de phénazine, phénéta-carbe, phénisophame, phenkapton, phenmédi-phame, phenmédi-pham-éthyl, phénobenzuron, MCPA-thioéthyl, phénothrine, phenproxiol, phenthoate, phénylmercuri-urée, acétate de phénylmercure, chlorure de phénylmercure, pyrocatécolate de phénylmercure, nitrate de phénylmercure, salicylate de phénylmercure, phorate, phosacétime, phosalone, phosamétine, phosdiphène, fosétyl, phospholan, phospholanméthyl, phosglycine, phosmet, nichlorfos, diméthoate, phosphamidon, phosphine, glufosinate-P, phosphocarbe, phosphore, phostin, phoxime, phoxime-méthyl, phtalide, tétraméthrine, picarbutrazox, icaridine, piclorame, picolinafène, picoxystrobine, natamycine, pindone, pinxadène, pipéraline, pipérazine, butoxyde de pipéronyle, pipéronyl cyclonène, pipérophos, piproctanyl, piprotal, pirimétaphos, pirimicarbe, pyrinuron, pirimioxyphos, pirimiphos-éthyl, pirimiphos-méthyl, plifénate, polybutènes, polycarbamate, camphéchlor, éthoxyquine, polyoxines, polyoxorime, polythialan, arsénite de potassium, azide de potassium, cyanate de potassium, éthylxanthate de potassium, naphténate de potassium, polysulfure de potassium, thiocyanate de potassium, pp'-DDT, praléthrine, précocène I, précocène II, précocène III, prétilachlore, primidophos, primisulfuron, probénazole, prochloraze, proclonol, procyzazine, procymidone, prodiamine, profénofos, profluazol, profluraline, profluthrine, profoxydime, thiosultap-diammonium, proglinazine, prohexadione, prohydrojasmon, promacyle, promécarbe, prométone, prométryne, prometryne, promurit, propyzamide, propachlore, propafos, propamidine, propamocarbe, propanil, propaquizafop, propargite, proparthrine, propazine, propétamphos, prophame, propiconazole, icaridine, propinèbe, propisochlore, propoxur, propoxycarbazone, propyl isome, propyrisulfuron, propyzamide, proquinazide, prosulfaline, prosulfocarbe, prosulfuron, prothidathion, prothiocarbe, prothioconazole, prothiofos, prothoate, protrifenbute, proxane, primidophos, prynachlore, psoralène, pydanon, pyflubumide, pymétrozine, pyracarbolide, pyraclafos, pyraclonile, pyraclostrobine, pyraflufène, pyrafluprole, pyramat, pyramétostrobine, pyraoxystrobine, pyrasulfotole, pyraziflumid, pyrazolynate, chloridazone, pyrazophos, pyrazosulfuron, pyrazothion, pyrazoxyfène, pyresméthrine, pyréthrine I, pyréthrine II, pyréthrines, pyribambenz-isopropyle, pyribambenz-propyle, pyribencarb, pyribenzoxime, pyributicarbe, pyriclor, pyridabène, pyridafol, pyridalyle, pyridaphenthion, pyridate, pyridinitrile, pyrifénox, pyrifluquinazone, pyrifitalide, pirimétaphos, pyriméthanal, pirimicarbe, pyrimidifène, pyriminobac, pyriminostrobine, pyrimiphos-éthyl, pyrimiphos-méthyl, pyrimisulfan, pyrimite, pyrinuron, pyriofénone, pyriprole, pyripropanol, pyriproxifène, pyrisoxazole, pyriithiobac, pyrolan, pyroquilone, pyroxasulfone, pyroxsulame, pyroxychlore, pyroxyfur, fluthiacet, qingkuling, quassia, quinacétole, quinalphos, quinalphosméthyl, quinazamide, quinclorac, quinconazole, quinmérac, quinoclamine, chinométhionate, quinonamide, quinothion, quinoxyfène, quinzofos, quinzozène, quizalofop, quizalofop-P, quwenzhi, quyingding, rabezazole, rafoxanide, diniconazole-M, rébéme, diquat, rescalure, resméthrine, rhodojaponine-III, ribavirine, rimsulfuron, flupiprole, métalaxyl-M, rodéthanal, fenclorophos, roténone, ryania, sabadilla, saflufenacil, saijunmao, saientong, salicylanilide, sanguinarine, santonine, esdépalléthrine, schradane, scilliroside, sébutylazine, secbuméton, sédaxane, sélamecine, semiamitraze, sésamex, sésamoline, disul, séthoxydime, carbaryl, shuangjiaancaoilin, hydroprène, siduron, tétraméthylfluthrine, siglure, silafluofène, silatrane, gel de silice, silthiofame, fénoprop, simazine, siméconazole, simétone, simétryne, sintofène, kinoprène, chaux hydratée, SMA, méthoprène, S-métolachlore, arsénite de sodium, azide de sodium, chlorate de sodium, cyanure de sodium, fluorure de sodium, fluoroacétate de sodium, fluorosilicate de sodium, naphténate de sodium, orthophénylphénate de

sodium, pentachlorophénate de sodium, polysulfure de sodium, tétrathiocarbonate de sodium, thiocyanate de sodium, pentanochlore, sophamide, spinétorame, spinosad, spirodiclofène, spiromésifène, spirotétramate, spiroxamine, tétrachlorvinphos, streptomycine, strychnine, sulcatol, sulcofuron, sulcotrione, sulfallate, sulfentrazone, sulfiram, sulfluramide, éthidimuron, sulfométuron, glyphosate-trimésium, sulfosulfuron, sulfotep, sulfoxafloré, sulfoxide, sulfoxime, soufre, acide sulfurique, fluorure de sulfuryle, sulglycapin, sulprofos, sultropène, swep, tau-fluvalinate, tavrone, tazimcarbe, oxyde de tributylétain, thiabendazole, TCA, 2,3,6-TBA, benthiazole, TDE, tébuconazole, tébufénozide, tébufenpyrade, tébufloquine, tébupirimfos, tébutame, tébuthiuron, técloftalame, tecnazène, técoram, téflubenzuron, téfluthrine, téfuryltrione, tembotrione, téméphos, tepa, TEPP, tépraloxydime, téraléthrine, terbacil, terbucarbe, terbuchlore, terbufos, terbuméton, terbuthylazine, terbutryne, quinzolène, oxytétracycline, tetcyclacis, tétrachloroéthane, tétrachlorvinphos, tétraconazole, tétradifon, tétrafluron, tétraméthrine, tétraméthylfluthrine, tétramine, tétranactine, tétraniliprole, flupropanate-sodium, tétrasul, sulfate de thallium, thénylchlore, *théta*-cyperméthrine, thiabendazole, thiachlopride, milnèbe, thiadifluor, thiaméthoxame, thiapronil, thiazafuron, dazomet, thiazopyr, thicofos, thicyofène, thidiazimine, thidiazuron, thiencarbazone, thifensulfuron, thifluzamide, phorate, thiobencarbe, thiocarboxime, thiochlorphenphime, thiocyanatodinitrobenzènes, thiocyclame, endosulfan, thiodiazole-cuivre, thiodicarb, thiofanox, thiofluoximate, thiohempa, thiomersal, thiométon, thionazine, thiophanate, thiophanate-méthyl, parathion, thioquinox, thiosemicarbazide, thiosultap, thiotépa, oxamyl, thirame, thuringiensine, tiadinil, tiafénaclil, tiaojiean, acide 2,3,5-triiodobenzoïque, cymiazole, tiocarbazil, tioclorime, tiozazafen, tioxyimide, tirpate, tolclofos-méthyl, tolfenpyrade, tolprocarbe, tolpyralate, tolyfluanide, acétate de tolylmercure, coumafuryl, topramézone, camphéchloré, chlorothalonil, tralkoxydime, tralocylthrine, tralométhrine, tralopyril, transluthrine, transperméthrine, trétamine, triacontol, triadiméfone, triadiménol, triafamone, triallate, triamiphos, triapenthénol, triarathène, triarimol, triasulfuron, triazamate, triazbutil, triaziflame, triazophos, azinphos-éthyl, triazoxide, oxychlorure de cuivre, sulfate de cuivre basique, tribénuron, tribufos, oxyde de tributylétain, tricamba, trichlamide, trichlorfon, trichlormétaphos-3, trichloronat, trichlorotrinitrobenzènes, triclopyr, triclopyricarbe, crésol, tricyclazole, cyhéxatin, tridémorphe, tridiphane, triétazine, triphenmorphe, trifénofos, trifloxystrobine, trifloxysulfuron, trifludimoxazine, triflumezopyrim, triflumizole, triflumuron, trifluraline, triflusulfuron, trifop, trifopsime, triforine, trioxytriazine, trimedlure, trimétacarb, triméturon, trinéxapac, fentine, triprène, tripropindane, triptolide, tritac, chlorhydrate de thiocyclame, triticonazole, tritosulfuron, truncall, uniconazole, uniconazole-P, urbacide, urédépa, valérate, validamycine, valifénalate, valone, vamidothion, vangard, vaniliprole, vernolate, vinclozoline, cholécalficérol, warfarine, xiaochongliulin, xinjunan, fénaminstrobine, énoxastrobine, XMC, xylachlore, xylénols, xylilcarb, cymiazole, yishijing, zarilamide, zéatine, zengxiaoan, diétholate, zéta-cyperméthrine, naphténate de zinc, phosphure de zinc, zinc thiazole, trichlorophénate de zinc, zinèbe, zirame, zolaprofos, zoxamide, pyramétostrobine, cafenstrole, pyraoxystrobine, zuomihuanglong, alpha-chlorhydrine, alpha-ecdysone, alpha-multistriatine, acides alpha-naphtalèneacétiques, et bêta-ecdysone ;

2)

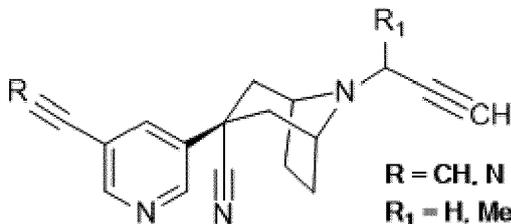
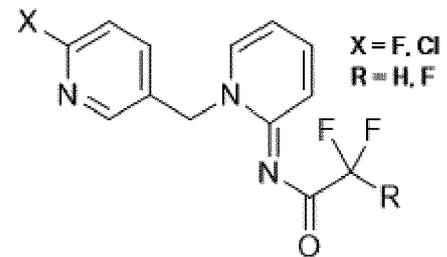
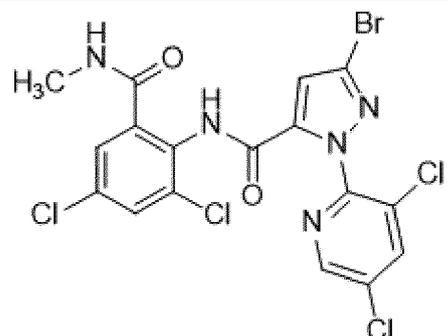
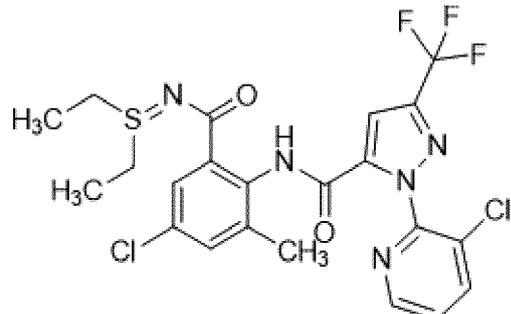


N-(3-chloro-1-(pyridin-3-yl)-1*H*-pyrazol-4-yl)-*N*-éthyl-3-(3,3,3-trifluoro-propyl)-thio)-propanamide
 3) la molécule connue sous le nom de lotilanère, dont la structure est la suivante :



4) et les molécules figurant dans le tableau suivant :

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Nom	Structure
M1	 <p>$R = \text{CH}, \text{N}$ $R_1 = \text{H}, \text{Me}$</p>
M2	 <p>$X = \text{F}, \text{Cl}$ $R = \text{H}, \text{F}$</p>
M3	
M4	

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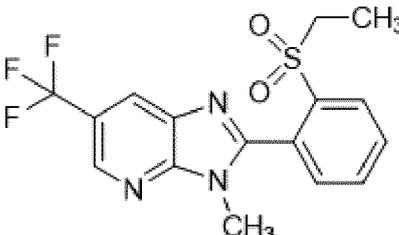
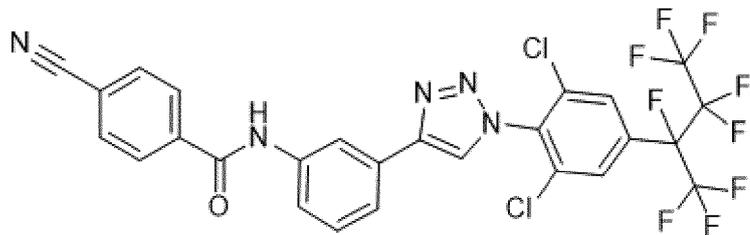
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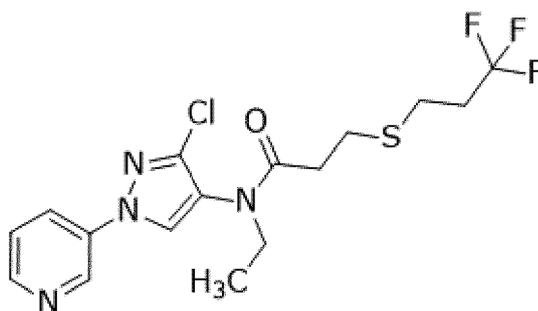
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(suite)

Nom	Structure
M5	
M6	

7. Composition pesticide conforme à la revendication 5, dans laquelle ledit ingrédient actif est choisi parmi les suivants :



N-(3-chloro-1-(pyridin-3-yl)-1*H*-pyrazol-4-yl)-*N*-éthyl-3-(3,3,3-trifluoro-propyl)-thio)-propanamide
1,3-dichloropropène, chlorpyrifos, chlorpyrifos-méthyl, hexaflumuron, méthoxyfénozide, noviflumuron, spinétorame, spinosad, sulfoxaflure et fluorure de sulfuryle.

8. Composition pesticide conforme à la revendication 5, comprenant une substance dont le mode d'action (substance MoA) est choisi parmi les suivants :

- 1) inhibiteurs de l'acétylcholine estérase (AChE),
- 2) antagonistes des canaux chlorure GABA-dépendants,
- 3) modulateurs des canaux sodiques,
- 4) agonistes des récepteurs nicotiques de l'acétylcholine (nAChR),
- 5) activateurs allostériques des récepteurs nicotiques de l'acétylcholine (nAChR),
- 6) activateurs des canaux chlorure,
- 7) mimétiques de l'hormone juvénile,
- 8) divers inhibiteurs non spécifiques (à sites multiples),
- 9) modulateurs des organes chordotonaux,
- 10) inhibiteurs de croissance des acariens,
- 11) perturbateurs microbiens des membranes de l'intestin moyen des insectes,
- 12) inhibiteurs de l'ATP synthétase mitochondriale,
- 13) découpleurs de la phosphorylation oxydative par perturbation du gradient de protons,
- 14) inhibiteurs des canaux récepteurs nicotiques de l'acétylcholine (nAChR),
- 15) inhibiteurs de la biosynthèse de chitine de type 0,

- 16) inhibiteurs de la biosynthèse de chitine de type 1,
- 17) perturbateurs de la mue (diptères),
- 18) agonistes des récepteurs d'ecdysone,
- 19) agonistes des récepteurs d'octopamine,
- 20) inhibiteurs du transport d'électrons par le complexe III dans les mitochondries,
- 21) inhibiteurs du transport d'électrons par le complexe I dans les mitochondries,
- 22) inhibiteurs des canaux sodiques dépendants du potentiel,
- 23) inhibiteurs de l'acétyl-CoA carboxylase,
- 24) inhibiteurs du transport d'électrons par le complexe IV dans les mitochondries,
- 25) inhibiteurs du transport d'électrons par le complexe II dans les mitochondries,
- 28) et modulateurs des récepteurs de ryanodine.

9. Composition pesticide conforme à la revendication 8, dans laquelle ladite substance MoA est choisie parmi les suivantes :

abamectine, acéphate, acéquinocyle, acétamipride, acrinathrine, alanycarbe, aldicarbe, alléthrine, alpha-cyperméthrine, phosphore d'aluminium, amitraze, azaméthiphos, azinphos-éthyl, azinphos-méthyl, azocyclotin, bendiocarbe, benfuracarb, bensultap, bêta-cyfluthrine, bêta-cyperméthrine, bifenthrine, bioalléthrine, isomère S-cyclopentényle de bioalléthrine, bioresméthrine, bistrifluron, borax, buprofézin, butoxycarboxime, cadusafos, phosphore de calcium, carbaryl, carbofuran, carbosulfan, chlorhydrate de cartap, chlorantraniliprole, chlordane, chloréthoxyfos, chlorfénapyr, chlorfenvinphos, chlorfluzuron, chlorméphos, chloropicrine, chlorpyrifos, chlorpyrifos-méthyl, chromafénozide, clofentézine, clothianidine, coumaphos, cyanure, cyanophos, cyantraniliprole, cycloprothrine, cyenopyrafène, cyflumétofen, cyfluthrine, cyhalothrine, cyhexasin, cyperméthrine, cyphénothrine, cyromazine, d-cis-trans-alléthrine, DDT, deltaméthrine, déméton-S-méthyl, diafenthiuron, diazinon, dichlorvos, dicrotophos, diflovidazine, diflubenzuron, diméthoate, diméthylvinphos, dinotéfurane, disulfoton, DNOC, bioalléthrine, benzoate d'emamectine, empenhrine, endosulfan, EPN, esfenvalérate, éthiophencarbe, éthion, éthoprophos, étofenprox, étoxazole, famphur, phénamiphos, fénazaquine, fenbutatin-oxyde, fénitrothion, fénobucarb, fénoxycarb, fenpropathrine, fenpyroximate, fenthion, fenvalérate, flonicamide, fluacrypyrime, flubendiamide, flucycloxuron, flucythrinate, flufénoxuron, fluméthrine, flupyradifurone, formétanate, fosthiazate, furathiocarb, gamma-cyhalothrine, halfenprox, halofénozide, hepténophos, hexaflumuron, hexythiazox, hydraméthylnone, hydroprène, imicyafos, imidaclopride, imiprothrine, indoxacarbe, isophenphos, isoprocarbe, isoxathion, kadéthrine, kinoprène, lambda-cyhalothrine, lépimectine, lufénuron, malathion, mécarbame, métaflumizone, méthamidophos, méthidathion, méthiocarbe, méthomyl, méthoprène, salicylate de méthoxyaminothiophosphoryle, méthoxychlore, méthoxyfénozide, bromure de méthyle, métolcarb, mévinphos, milbémectine, monocrotophos, naled, nicotine, nitenpyrame, novaluron, noviflumuron, oxamyl, oxydéméton-méthyl, parathion, parathion-méthyl, perméthrine, phénothrine, phenthoate, phorate, phosalone, phosmet, phosphamidon, phosphine, phoxime, pirimicarbe, pyrimiphosméthyl, pralléthrine, profénofos, propargite, propétamphos, propoxur, prothiofos, pymétozine, pyraclofos, pyréthrine, pyridabène, pyridaphenthion, pyrimidifène, pyriproxifène, quinalphos, resméthrine, roténone, silafluofène, spinétorame, spinosad, spirodiclofène, spiromésifène, spirotétramate, sulfluramide, sulfotep, sulfoxaflor, fluorure de sulfuryle, tartre émétique, tau-fluvalinate, tébufénozide, tébufenpyrade, tébupirimfos, téflubenzuron, téfluthrine, téméphos, terbufos, tétrachlorvinphos, tétradifon, tétraméthrine, thêta-cyperméthrine, thioclopride, thiaméthoxame, thiocyclame, thiodicarb, thiofanox, thiométon, thiosultap-sodium, tolfenpyrade, tralométhrine, transfluthrine, triazamate, triazophos, trichlorfon, triflumuron, trimétacarb, vamidothion, XMC, xylylcarb, zêta-cyperméthrine, et phosphore de zinc.

10. Composition pesticide conforme à l'une des revendications 5, 6, 7, 8 et 9, dans laquelle le rapport pondéral de la molécule de formule I audit ingrédient actif vaut :

- a) de 100/1 à 1/100,
- b) de 50/1 à 1/50,
- c) de 20/1 à 1/20,
- d) de 10/1 à 1/10,
- e) de 5/1 à 1/5,
- f) de 3/1 à 1/3,
- g) de 2/1 à 1/2,
- h) ou 1/1.

11. Procédé non-thérapeutique de lutte contre un nuisible, lequel procédé comporte le fait d'appliquer, en un lieu de fréquentation du nuisible et en une quantité à effet pesticide, une molécule conforme à l'une des revendications 1, 2, 3 et 4.

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12. Procédé non-thérapeutique de lutte contre un nuisible, lequel procédé comporte le fait d'appliquer, en un lieu de fréquentation du nuisible et en une quantité à effet pesticide, une composition pesticide conforme à l'une des revendications 5, 6, 7, 8, 9 et 10.

5 13. Procédé de production d'une composition pesticide, lequel procédé comporte le fait de mélanger une molécule conforme à l'une des revendications 1, 2, 3 et 4 avec un ou plusieurs ingrédient(s) actif(s).

10 14. Molécule conforme à l'une des revendications 1, 2, 3 et 4, pour utilisation dans la lutte contre des endoparasites ou des ectoparasites en médecine vétérinaire et dans le domaine de la garde d'animaux non-humains, ou dans des procédés thérapeutiques de soins de santé humaine.

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REFERENCES CITED IN THE DESCRIPTION

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Patent documents cited in the description

- US 62286702 [0001]
- US 62286708 B [0001]
- US 20020068838 A [0009]
- US 20140171312 A1 [0010]

Non-patent literature cited in the description

- **RIVERO**. *Many of the most dangerous human diseases are transmitted by insect vectors* [0003]
- The Cost of New Agrochemical Product Discovery. Development & Registration, and Research & Development predictions for the Future. CropLife America, 2010 [0011]
- **GUBLER, D.** Resurgent Vector-Borne Diseases as a Global Health Problem. *Emerging Infectious Diseases*, 1998, vol. 4 (3), 442-450 [0012]
- **KORB, J.** *Termites*, *Current Biology*, 2007, vol. 17 (23) [0013]
- **MATTHEWS, G.** Integrated Vector Management: Controlling Vectors of Malaria and Other Insect Vector Borne Diseases. 1-2011 [0014]
- **NICOL, J. ; TURNER S. ; COYNE, L. ; DEN NIJS, L. ; HOCKSLAND, L. ; TAHNA-MAAFI, Z.** Current Nematode Threats to World Agriculture. *Genomic and Molecular Genetics of Plant - Nematode Interactions*, 2011, 21-43 [0015]
- **PIMENTAL, D.** Pest Control in World Agriculture. *Agricultural Sciences*, 2009, vol. II [0016]
- **RIVERO, A. ; VEZILIER, J. ; WEILL, M. ; READ, A. ; GANDON, S.** Insect Control of Vector-Borne Diseases: When is Insect Resistance a Problem?. *Public Library of Science Pathogens*, 2010, vol. 6 (8), 1-9 [0017]
- **SPEISER, B.** Molluscicides, *Encyclopedia of Pest Management*. 2002, 506-508 [0018]
- Analysis of Global Pesticide Resistance in Arthropods. **WHALON, M. ; MOTA-SANCHEZ, D. ; HOLLINGWORTH, R.** Global Pesticide Resistance in Arthropods. 2008, 5-33 [0019]
- Methods for the Design and Optimization of New Active Ingredients. *Modern Methods in Crop Protection Research*. 2012, 1-20 [1030]
- **W.S. ABBOTT.** A Method of Computing the Effectiveness of an Insecticide. *J. Econ. Entomol.*, 1925, vol. 18, 265-267 [1040]