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(54) **USING PI3K AND MEK MODULATORS IN TREATMENTS OF CANCER**

VERWENDUNG VON PI3K- UND MEK-MODULATOREN BEI DER KREBSBEHANDLUNG

PROCÉDÉS D'UTILISATION DE MODULATEURS PI3K ET MEK

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Description**BACKGROUND OF THE INVENTION****Field of the Invention**

[0001] This invention relates to a combination of compounds that modulate protein kinase enzymatic activities and the resultant modulation of cellular activities (such as proliferation, differentiation, programmed cell death, migration, chemoinvasion and metabolism) for use in the treatment of cancer. In particular, this invention relates to a compound that inhibits mitogen activated protein kinase (MEK) used in combination with a compound that inhibits phosphatidylinositol 3-kinase (PI3K) signaling pathways.

State of the Art

[0002] Improvements in the specificity of agents used to treat cancer is of considerable interest because of the therapeutic benefits which would be realized if the side effects associated with the administration of these agents could be reduced. Traditionally, dramatic improvements in the treatment of cancer are associated with identification of therapeutic agents acting through novel mechanisms.

[0003] Protein kinases are enzymes that catalyze the phosphorylation of proteins, in particular, hydroxy groups on tyrosine, serine and threonine residues of proteins. The consequences of this seemingly simple activity are staggering; cell differentiation and proliferation; i.e., virtually all aspects of cell life in one-way or another depend on protein kinase activity. Furthermore, abnormal protein kinase activity has been related to a host of disorders, ranging from relatively non-life threatening diseases such as psoriasis to extremely virulent diseases such as glioblastoma (brain cancer).

[0004] Protein kinases can be categorized as receptor type or non-receptor type. Receptor-type tyrosine kinases have an extracellular, a transmembrane, and an intracellular portion, while non-receptor type tyrosine kinases are wholly intracellular. They are comprised of a large number of transmembrane receptors with diverse biological activity. In fact, about 20 different subfamilies of receptor-type tyrosine kinases have been identified. One tyrosine kinase subfamily, designated the HER subfamily, is comprised of EGFR (HER1), HER2, HER3, and HER4. Ligands of this subfamily of receptors identified so far include epithelial growth factor, TGF- α , amphiregulin, HB-EGF, betacellulin and heregulin. Another subfamily of these receptor-type tyrosine kinases is the insulin subfamily, which includes INS-R, IGF-IR, and IR-R. The PDGF subfamily includes the PDGF- α and beta receptors, CSFIR, c-kit and FLK-II. In addition, there is the FLK family, which is comprised of the kinase insert domain receptor (KDR), fetal liver kinase-1 (FLK-1), fetal liver kinase-4 (FLK-4) and the fms-like tyrosine kinase-1 (flt-1). The PDGF and FLK families are usually considered together due to the similarities of the two groups. For a detailed discussion of the receptor-type tyrosine kinases, see Plowman et al., DN&P 7(6): 334-339, 1994.

[0005] The non-receptor type of tyrosine kinases is also comprised of numerous subfamilies, including Src, Frk, Btk, Csk, Abl, Zap70, Fes/Fps, Fak, Jak, Ack, and LIMK. Each of these subfamilies is further sub-divided into varying receptors. For example, the Src subfamily is one of the largest and includes Src, Yes, Fyn, Lyn, Lck, Blk, Hck, Fgr, and Yrk. The Src subfamily of enzymes has been linked to oncogenesis. For a more detailed discussion of the non-receptor type of tyrosine kinases, see Bolen, Oncogene, 8:2025-2031 (1993).

[0006] Since protein kinases and their ligands play critical roles in various cellular activities, deregulation of protein kinase enzymatic activity can lead to altered cellular properties, such as uncontrolled cell growth associated with cancer. In addition to oncological indications, altered kinase signaling is implicated in numerous other pathological diseases. These include, but are not limited to: immunological disorders, cardiovascular diseases, inflammatory diseases, and degenerative diseases. Therefore, both receptor and non-receptor protein kinases are attractive targets for small molecule drug discovery.

[0007] One particularly attractive target for small-molecule modulation, with respect to antiangiogenic and antiproliferative activity is MEK. The MEK-ERK signal transduction cascade is a conserved pathway which regulates cell growth, proliferation, differentiation, and apoptosis in response to growth factors, cytokines, and hormones. This pathway operates downstream of Ras which is often upregulated or mutated in human tumors. It has been demonstrated that MEK is a critical effector of Ras function. A large portion of human cancers, including 80% pancreatic, 50% colorectal, and 40% lung cancers, harbor activating Ras mutations. It has been shown that inhibition of the ERK pathway, and in particular inhibition of MEK kinase activity, results in anti-metastatic and anti-angiogenic effects largely due to a reduction of cell-cell contact and motility as well as downregulation of vascular endothelial growth factor (VEGF) expression. Furthermore, expression of dominant negative MEK, or ERK reduced the transforming ability of mutant Ras as seen in cell culture and in primary and metastatic growth of human tumor xenografts *in vivo*. Therefore, the MEK-ERK signal transduction pathway is an appropriate pathway to target for therapeutic intervention.

[0008] Accordingly, the identification of small-molecule compounds that specifically inhibit, regulate and/or modulate

the signal transduction of kinases, particularly MEK, is desirable as a means for use in the treatment of disease states associated with cancer and is an object of this invention

[0009] Phosphatidylinositol 3-kinase (PI3K α), a dual specificity protein kinase, is composed of an 85 kDa regulatory subunit and a 110 kDa catalytic subunit. The protein encoded by this gene represents the catalytic subunit, which uses ATP to phosphorylate PtdIns, PtdIns4P and PtdIns(4,5)P2. PI3K α has been implicated in the control of cytoskeletal reorganization, apoptosis, vesicular trafficking, proliferation and differentiation processes. Increased copy number and expression of PIK3CA is associated with a number of malignancies such as ovarian cancer, cervical cancer, breast cancer, colorectal cancer, and glioblastomas, among others. The tumor suppressor PTEN inhibits cell growth through multiple mechanisms. PTEN can dephosphorylate PIP3, the major product of PIK3CA. PIP3, in turn, is required for translocation of protein kinase B (AKT1, PKB) to the cell membrane, where it is phosphorylated and activated by upstream kinases. The effect of PTEN on cell death is mediated through the PIK3CA/AKT1 pathway.

[0010] Thus, an object of this invention is the identification of small-molecule compounds that specifically inhibit, regulate and/or modulate the signal transduction of kinases, particularly phosphatidylinositol 3-kinase for use in the treatment of diseases and conditions associated with cancers.

[0011] Combination therapy has been commonly utilized to overcome drug resistance. Clinical trials of dasatinib or nilotinib (AMN-107) in combination with the current standard CML therapy, ie., imatinib (Gleevec®), are ongoing (ClinicalTrials.gov). Dasatinib in combination with Gleevec® has shown improved efficacy against various Abl mutants except for T3151 in preclinical studies (O'Hare T, Walters DK, Stoffregen EP, et al., "Combined Abl inhibitor therapy for minimizing drug resistance in chronic myeloid leukemia: Src/Abl inhibitors are compatible with imatinib", Clin Cancer Res. 11, 6987-6993 (2005)). Recently, specific mutations in B-Raf have been shown to confer reduced sensitivity to treatment of cells and tumors with compounds that inhibit MEK (Solit et al. *Nature* online, pgs 1-5 November 6, 2005). Combination therapies treating multiple kinases pathways should eliminate this reduced sensitivity.

[0012] WO 2006/045514 relates to substituted 3-arylamino pyridine compounds which are MEK inhibitors and useful in the treatment of hyperproliferative diseases, such as cancer, restenosis and inflammation.

[0013] US 2004/009993 relates to pyrido[2,3-d]pyrimidin-7(8H)-ones derivatives active as telomerase inhibitors, the use of the derivatives as therapeutic agents, such as antitumoral agents, processes for preparation of the derivatives, and to pharmaceutical compositions comprising the derivatives.

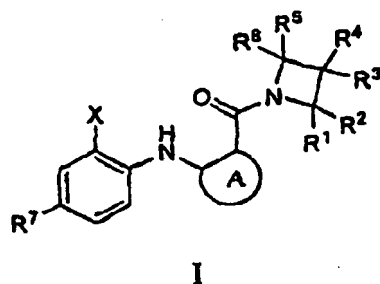
[0014] WO 2005/105801 relates to pyrimidine compounds which are useful as agents in the treatment of diseases, including inflammatory diseases, cardiovascular diseases, and cancers.

[0015] WO 98/33798 relates to pyridopyrimidines and 4-aminopyrimidines that are useful for treating cell proliferative disorders, such as cancer and restenosis.

SUMMARY OF THE INVENTION

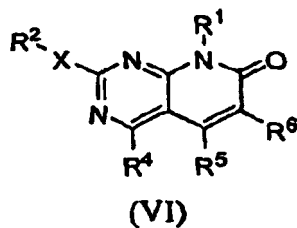
[0016] This invention provides a MEK inhibitor of Formula Ia, Ic, Id, II or V in combination with a PI3K inhibitor of Formula VIa, VIb, VII, VIIIa, VIIIb, and other specific compounds as described in Claim 1 for use in the treatment of cancers.

[0017] Also disclosed herein in section I is a MEK inhibitor of Formula I as follows:



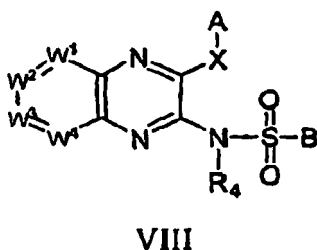
and optionally a pharmaceutically acceptable salt or solvate thereof, wherein the A ring, X, R¹, R², R⁴, R⁵, R⁶, and R⁷ are as defined below in Section I.

[0018] Also disclosed herein, in section I1 is a PI3K inhibitor of Formula VI as follows:



and optionally a pharmaceutically acceptable salt hydrate or solvate thereof, wherein X, R¹, R², R⁴, R⁵, and R⁶ are as defined below in Section II.

[0019] Also disclosed herein, in section III is a PI3K inhibitor of Formula VIII as follows:



and optionally a pharmaceutically acceptable salt hydrate or solvate thereof, wherein W¹, W², W³, W⁴, A, X, R⁴, and B are as defined in Section III.

[0020] The invention encompasses using the MEK inhibitor disclosed in claim 1 in combination with the PI3K inhibitor of claim 1 for use in the treatment of cancers.

DETAILED DESCRIPTION OF THE INVENTION

[0021] The following applies to all three sections.

[0022] "Yield" for each of the reactions described herein is expressed as a percentage of the theoretical yield.

[0023] Some of the compounds of the invention may have imino, amino, oxo or hydroxy substituents off aromatic heterocycl systems. For purposes of this disclosure, it is understood that such imino, amino, oxo or hydroxy substituents may exist in their corresponding tautomeric form, i.e., amino, imino, hydroxy or oxo, respectively.

[0024] Compounds of the invention are named according to systematic application of the nomenclature rules agreed upon by the International Union of Pure and Applied Chemistry (IUPAC), International Union of Biochemistry and Molecular Biology (IUBMB), and the Chemical Abstracts Service (CAS).

[0025] The compounds of the invention, or their pharmaceutically acceptable salts, may have asymmetric carbon atoms, oxidized sulfur atoms or quaternized nitrogen atoms in their structure.

[0026] The compounds of the invention and their pharmaceutically acceptable salts may exist as single stereoisomers, racemates, and as mixtures of enantiomers and diastereomers. The compounds may also exist as geometric isomers. All such single stereoisomers, racemates and mixtures thereof, and geometric isomers are intended to be within the scope of this invention.

[0027] It is assumed that when considering generic descriptions of compounds of the invention for the purpose of constructing a compound, such construction results in the creation of a stable structure. That is, one of ordinary skill in the art would recognize that there can theoretically be some constructs which would not normally be considered as stable compounds (that is, sterically practical and/or synthetically feasible, supra).

[0028] When a particular group with its bonding structure is denoted as being bonded to two partners; that is, a divalent group, for example, -OCH₂-, then it is understood that either of the two partners may be bound to the particular group at one end, and the other partner is necessarily bound to the other end of the particular group, unless stated explicitly otherwise. Stated another way, divalent groups are not to be construed as limited to the depicted orientation, for example "-OCH₂-" is meant to mean not only "-OCH₂-" as drawn, but also "-CH₂O-".

[0029] In addition to the preferred embodiments recited hereinabove, also preferred are embodiments comprising combinations of preferred embodiments.

[0030] Methods for the preparation and/or separation and isolation of single stereoisomers from racemic mixtures or non-racemic mixtures of stereoisomers are well known in the art. For example, optically active (R)- and (S)- isomers may be prepared using chiral synthons or chiral reagents, or resolved using conventional techniques. Enantiomers (R-

and S-isomers) may be resolved by methods known to one of ordinary skill in the art, for example by: formation of diastereoisomeric salts or complexes which may be separated, for example, by crystallization; via formation of diastereoisomeric derivatives which may be separated, for example, by crystallization, selective reaction of one enantiomer with an enantiomer-specific reagent, for example enzymatic oxidation or reduction, followed by separation of the modified and unmodified enantiomers; or gas-liquid or liquid chromatography in a chiral environment, for example on a chiral support, such as silica with a bound chiral ligand or in the presence of a chiral solvent. It will be appreciated that where a desired enantiomer is converted into another chemical entity by one of the separation procedures described above, a further step may be required to liberate the desired enantiomeric form. Alternatively, a specific enantiomer may be synthesized by asymmetric synthesis using optically active reagents, substrates, catalysts or solvents or by converting on enantiomer to the other by asymmetric transformation. For a mixture of enantiomers, enriched in a particular enantiomer, the major component enantiomer may be further enriched (with concomitant loss in yield) by recrystallization.

[0031] "Patient" for the purposes of the present disclosure includes humans and other animals, particularly mammals, and other organisms. Thus the embodiments described herein are applicable to both human therapy and veterinary applications. Preferably the patient is a mammal, and most preferred is human.

[0032] "Kinase-dependent diseases or conditions" refer to pathologic conditions that depend on the activity of one or more protein kinases. Kinases either directly or indirectly participate in the signal transduction pathways of a variety of cellular activities including proliferation, adhesion, migration, differentiation and invasion. Diseases associated with kinase activities include tumor growth, the pathologic neovascularization that supports solid tumor growth, and associated with other diseases where excessive local vascularization is involved such as ocular diseases (diabetic retinopathy, age-related macular degeneration) and inflammation (psoriasis, rheumatoid arthritis).

[0033] While not wishing to be bound to theory, phosphatases can also play a role in "kinase-dependent diseases or conditions" as cognates of kinases; that is, kinases phosphorylate and phosphatases dephosphorylate, for example protein substrates. Therefore compounds of the invention, while modulating kinase activity as described herein, may also modulate, either directly or indirectly, phosphatase activity. This additional modulation, if present, may be synergistic (or not) to activity of compounds of the invention toward a related or otherwise interdependent kinase or kinase family. In any case, as stated previously, the compounds of the invention are useful for treating diseases characterized in part by abnormal levels of cell proliferation (*i.e.* tumor growth), programmed cell death (apoptosis), cell migration and invasion and angiogenesis associated with tumor growth.

[0034] "Therapeutically effective amount" is an amount of a compound of the invention, that when administered to a patient, ameliorates a symptom of the disease. The amount of a compound of the invention which constitutes a "therapeutically effective amount" will vary depending on the compound, the disease state and its severity, the age of the patient to be treated. The therapeutically effective amount can be determined routinely by one of ordinary skill in the art having regard to their knowledge and to this disclosure.

[0035] "Cancer" refers to cellular-proliferative disease states, including to: Cardiac: sarcoma (angiosarcoma, fibrosarcoma, rhabdomyosarcoma, liposarcoma), myxoma, rhabdomyoma, fibroma, lipoma and teratoma; Lung: bronchogenic carcinoma (squamous cell, undifferentiated small cell, undifferentiated large cell, adenocarcinoma), alveolar (bronchiolar) carcinoma, bronchial adenoma, sarcoma, lymphoma, chondromatous hamartoma, mesothelioma; Gastrointestinal: esophagus (squamous cell carcinoma, adenocarcinoma, leiomyosarcoma, lymphoma), stomach (carcinoma, lymphoma, leiomyosarcoma), pancreas (ductal adenocarcinoma, insulinoma, glucagonoma, gastrinoma, carcinoid tumors, vipoma), small bowel (adenocarcinoma, lymphoma, carcinoid tumors, Kaposi's sarcoma, leiomyoma, hemangioma, lipoma, neurofibroma, fibroma), large bowel (adenocarcinoma, tubular adenoma, villous adenoma, hamartoma, leiomyoma); Genitourinary tract: kidney (adenocarcinoma, Wilms' tumor [nephroblastoma], lymphoma, leukemia), bladder and urethra (squamous cell carcinoma, transitional cell carcinoma, adenocarcinoma), prostate (adenocarcinoma, sarcoma), testis (seminoma, teratoma, embryonal carcinoma, teratocarcinoma, choriocarcinoma, sarcoma, interstitial cell carcinoma, fibroma, fibroadenoma, adenomatoid tumors, lipoma); Liver: hepatoma (hepatocellular carcinoma), cholangiocarcinoma, hepatoblastoma, angiosarcoma, hepatocellular adenoma, hemangioma; Bone: osteogenic sarcoma (osteosarcoma), fibrosarcoma, malignant fibrous histiocytoma, chondrosarcoma, Ewing's sarcoma, malignant lymphoma (reticulum cell sarcoma), multiple myeloma, malignant giant cell tumor chordoma, osteochondroma (osteochondrogenous exostoses), benign chondroma, chondroblastoma, chondromyxofibroma, osteoid osteoma and giant cell tumors; Nervous system: skull (osteoma, hemangioma, granuloma, xanthoma, osteitis deformans), meninges (meningioma, meningiosarcoma, gliomatosis), brain (astrocytoma, medulloblastoma, glioma, ependymoma, germinoma [pinealoma], glioblastoma multiform, oligodendroglioma, schwannoma, retinoblastoma, congenital tumors), spinal cord neurofibroma, meningioma, glioma, sarcoma); Gynecological: uterus (endometrial carcinoma), cervix (cervical carcinoma, pre-tumor cervical dysplasia), ovaries (ovarian carcinoma [serous cystadenocarcinoma, mucinous cystadenocarcinoma, unclassified carcinoma], granulosa-thecal cell tumors, Sertoli-Leydig cell tumors, dysgerminoma, malignant teratoma), vulva (squamous cell carcinoma, intraepithelial carcinoma, adenocarcinoma, fibrosarcoma, melanoma); vagina (clear cell carcinoma, squamous cell carcinoma, botryoid sarcoma (embryonal rhabdomyosarcoma), fallopian tubes (carcinoma); Hematologic: blood (myeloid leukemia [acute and chronic], acute lymphoblastic leukemia, chronic lymphocytic leukemia, myelopro-

liferative diseases, multiple myeloma, myelodysplastic syndrome), Hodgkin's disease, non-Hodgkin's lymphoma [malignant lymphoma]; Skin: malignant melanoma, basal cell carcinoma, squamous cell carcinoma, Kaposi's sarcoma, moles dysplastic nevi, lipoma, angioma, dermatofibroma, keloids, psoriasis; Adrenal Glands: neuroblastoma; and breast cancer. Thus, the term "cancerous cell" as provided herein, includes a cell afflicted by any one of the above-identified conditions.

[0036] "Pharmaceutically acceptable acid addition salt" refers to those salts that retain the biological effectiveness of the free bases and that are not biologically or otherwise undesirable, formed with inorganic acids such as hydrochloric acid, hydrobromic acid, sulfuric acid, nitric acid, phosphoric acid, as well as organic acids such as acetic acid, trifluoroacetic acid, propionic acid, glycolic acid, pyruvic acid, oxalic acid, maleic acid, malonic acid, succinic acid, fumaric acid, tartaric acid, citric acid, benzoic acid, cinnamic acid, mandelic acid, methanesulfonic acid, ethanesulfonic acid, p-toluenesulfonic acid, salicylic acid.

[0037] "Pharmaceutically acceptable base addition salts" include those derived from inorganic bases such as sodium, potassium, lithium, ammonium, calcium, magnesium, iron, zinc, copper, manganese, aluminum salts. Exemplary salts are the ammonium, potassium, sodium, calcium, and magnesium salts. Salts derived from pharmaceutically acceptable organic non-toxic bases include salts of primary, secondary, and tertiary amines, substituted amines including naturally occurring substituted amines, cyclic amines and basic ion exchange resins, such as isopropylamine, trimethylamine, diethylamine, triethylamine, tripropylamine, ethanolamine, 2-dimethylaminoethanol, 2-diethylaminoethanol, dicyclohexylamine, lysine, arginine, histidine, caffeine, procaine, hydrabamine, choline, betaine, ethylenediamine, glucosamine, methylglucamine, theobromine, purines, piperazine, piperidine, N-ethylpiperidine, polyamine resins. Exemplary organic bases are isopropylamine, diethylamine, ethanolamine, trimethylamine, dicyclohexylamine, choline, and caffeine. (See, for example, S. M. Berge, et al., "pharmaceutical Salts," J. Pharm. Sci., 1977;66:1-19).

[0038] "Metabolite" refers to the break-down or end product of a compound or its salt produced by metabolism or biotransformation in the animal or human body; for example, biotransformation to a more polar molecule such as by oxidation, reduction, or hydrolysis, or to a conjugate (see Goodman and Gilman, "The Pharmacological Basis of Therapeutics" 8th Ed., Pergamon Press, Gilman et al. (eds), 1990 for a discussion of biotransformation). As used herein, the metabolite of a compound of the invention or its salt may be the biologically active form of the compound in the body. In one example, a prodrug may be used such that the biologically active form, a metabolite, is released *in vivo*. In another example, a biologically active metabolite is discovered serendipitously, that is, no prodrug design *per se* was undertaken. An assay for activity of a metabolite of a compound of the present invention is known to one of skill in the art in light of the present disclosure.

[0039] In addition, the compounds of the present invention can exist in unsolvated as well as solvated forms with pharmaceutically acceptable solvents such as water, ethanol. In general, the solvated forms are considered equivalent to the unsolvated forms for the purposes of the present invention.

[0040] In addition, it is intended that the present invention cover compounds made either using standard organic synthetic techniques, including combinatorial chemistry or by biological methods, such as bacterial digestion, metabolism, enzymatic conversion.

[0041] "Treating" or "treatment" as used herein covers the treatment of a disease-state in a human, which disease-state is characterized by abnormal cellular proliferation, and invasion and includes at least one of: (i) preventing the disease-state from occurring in a human, in particular, when such human is predisposed to the disease-state but has not yet been diagnosed as having it; (ii) inhibiting the disease-state, *i.e.*, arresting its development; and (iii) relieving the disease-state, *i.e.*, causing regression of the disease-state. As is known in the art, adjustments for systemic versus localized delivery, age, body weight, general health, sex, diet, time of administration, drug interaction and the severity of the condition may be necessary, and will be ascertainable with routine experimentation by one of ordinary skill in the art.

[0042] One of ordinary skill in the art would understand that certain crystallized, protein-ligand complexes, in particular IRK, IGF1R, c-Met, c-Kit, KDR, flt-3, or flt-4-ligand complexes, and their corresponding x-ray structure coordinates can be used to reveal new structural information useful for understanding the biological activity of kinases as described herein. As well, the key structural features of the aforementioned proteins, particularly, the shape of the ligand binding site, are useful in methods for designing or identifying selective modulators of kinases and in solving the structures of other proteins with similar features. Such protein-ligand complexes, having compounds of the invention as their ligand component, are an embodiment of the invention.

[0043] As well, one of ordinary skill in the art would appreciate that such suitable x-ray quality crystals can be used as part of a method of identifying a candidate agent capable of binding to and modulating the activity of kinases. Such methods may be characterized by the following embodiments: a) introducing into a suitable computer program, information defining a ligand binding domain of a kinase in a conformation (*e.g.* as defined by x-ray structure coordinates obtained from suitable x-ray quality crystals as described above) wherein the computer program creates a model of the three dimensional structures of the ligand binding domain, b) introducing a model of the three dimensional structure of a candidate agent in the computer program, c) superimposing the model of the candidate agent on the model of the ligand binding domain, and d) assessing whether the candidate agent model fits spatially into the ligand binding domain.

Embodiments a-d are not necessarily carried out in the aforementioned order. Such methods may further entail: performing rational drug design with the model of the three-dimensional structure, and selecting a potential candidate agent in conjunction with computer modeling.

[0044] Additionally, one skilled in the art would appreciate that such methods may further entail: employing a candidate agent, so-determined to fit spatially into the ligand binding domain, in a biological activity assay for kinase modulation, and determining whether said candidate agent modulates kinase activity in the assay. Uses of the candidate agents may also include administering the candidate agent, determined to modulate kinase activity, to a mammal suffering from a condition treatable by kinase modulation, such as those described above.

[0045] Also, one skilled in the art would appreciate that compounds of the invention can be used in a method of evaluating the ability of a test agent to associate with a molecule or molecular complex comprising a ligand binding domain of a kinase. Such a method may be characterized by the following embodiments: a) creating a computer model of a kinase binding pocket using structure coordinates obtained from suitable x-ray quality crystals of the kinase, b) employing computational algorithms to perform a fitting operation between the test agent and the computer model of the binding pocket, and c) analyzing the results of the fitting operation to quantify the association between the test agent and the computer model of the binding pocket.

General Information on Administration

[0046] Administration of the compounds of the invention, or their pharmaceutically acceptable salts, in pure form or in an appropriate pharmaceutical composition, can be carried out via any of the accepted modes of administration or agents for serving similar utilities. Thus, administration can be, for example, orally, nasally, parenterally (intravenous, intramuscular, or subcutaneous), topically, transdermally, intravaginally, intravesically, intracisternally, or rectally, in the form of solid, semi-solid, lyophilized powder, or liquid dosage forms, such as for example, tablets, suppositories, pills, soft elastic and hard gelatin capsules, powders, solutions, suspensions, or aerosols, preferably in unit dosage forms suitable for simple administration of precise dosages.

[0047] The compositions will include a conventional pharmaceutical carrier or excipient and a compound of the invention as the/an active agent, and, in addition, may include other medicinal agents, pharmaceutical agents, carriers, adjuvants, etc. Compositions of the invention may be used in combination with anticancer or other agents that are generally administered to a patient being treated for cancer. Adjuvants include preserving, wetting, suspending, sweetening, flavoring, perfuming, emulsifying, and dispensing agents. Prevention of the action of microorganisms can be ensured by various antibacterial and antifungal agents, for example, parabens, chlorobutanol, phenol, sorbic acid. It may also be desirable to include isotonic agents, for example sugars, sodium chloride. Prolonged absorption of the injectable pharmaceutical form can be brought about by the use of agents delaying absorption, for example, aluminum monostearate and gelatin.

[0048] If desired, a pharmaceutical composition of the invention may also contain minor amounts of auxiliary substances such as wetting or emulsifying agents, pH buffering agents, antioxidants, such as, for example, citric acid, sorbitan monolaurate, triethanolamine oleate, butylated hydroxytoluene, etc.

[0049] Compositions suitable for parenteral injection may comprise physiologically acceptable sterile aqueous or nonaqueous solutions, dispersions, suspensions or emulsions, and sterile powders for reconstitution into sterile injectable solutions or dispersions. Examples of suitable aqueous and nonaqueous carriers, diluents, solvents or vehicles include water, ethanol, polyols (propyleneglycol, polyethyleneglycol, glycerol), suitable mixtures thereof, vegetable oils (such as olive oil) and injectable organic esters such as ethyl oleate. Proper fluidity can be maintained, for example, by the use of a coating such as lecithin, by the maintenance of the required particle size in the case of dispersions and by the use of surfactants.

[0050] One preferable route of administration is oral, using a convenient daily dosage regimen that can be adjusted according to the degree of severity of the disease-state to be treated.

[0051] Solid dosage forms for oral administration include capsules, tablets, pills, powders, and granules. In such solid dosage forms, the active compound is admixed with at least one inert customary excipient (or carrier) such as sodium citrate or dicalcium phosphate or (a) fillers or extenders, as for example, starches, lactose, sucrose, glucose, mannitol, and silicic acid, (b) binders, as for example, cellulose derivatives, starch, alginates, gelatin, polyvinylpyrrolidone, sucrose, and gum acacia, (c) humectants, as for example, glycerol, (d) disintegrating agents, as for example, agar-agar, calcium carbonate, potato or tapioca starch, alginic acid, croscarmellose sodium, complex silicates, and sodium carbonate, (e) solution retarders, as for example paraffin, (f) absorption accelerators, as for example, quaternary ammonium compounds, (g) wetting agents, as for example, cetyl alcohol, and glycerol monostearate, magnesium stearate (h) adsorbents, as for example, kaolin and bentonite, and (i) lubricants, as for example, talc, calcium stearate, magnesium stearate, solid polyethylene glycols, sodium lauryl sulfate, or mixtures thereof. In the case of capsules, tablets, and pills, the dosage forms may also comprise buffering agents.

[0052] Solid dosage forms as described above can be prepared with coatings and shells, such as enteric coatings

and others well known in the art. They may contain pacifying agents, and can also be of such composition that they release the active compound or compounds in a certain part of the intestinal tract in a delayed manner. Examples of embedded compositions that can be used are polymeric substances and waxes. The active compounds can also be in microencapsulated form, if appropriate, with one or more of the above-mentioned excipients.

[0053] Liquid dosage forms for oral administration include pharmaceutically acceptable emulsions, solutions, suspensions, syrups, and elixirs. Such dosage forms are prepared, for example, by dissolving, dispersing, etc., a compound (s) of the invention, or a pharmaceutically acceptable salt thereof, and optional pharmaceutical adjuvants in a carrier, such as, for example, water, saline, aqueous dextrose, glycerol, ethanol; solubilizing agents and emulsifiers, as for example, ethyl alcohol, isopropyl alcohol, ethyl carbonate, ethyl acetate, benzyl alcohol, benzyl benzoate, propyleneglycol, 1,3-butyleneglycol, dimethylformamide; oils, in particular, cottonseed oil, groundnut oil, corn germ oil, olive oil, castor oil and sesame oil, glycerol, tetrahydrofurfuryl alcohol, polyethyleneglycols and fatty acid esters of sorbitan; or mixtures of these substances, to thereby form a solution or suspension.

[0054] Suspensions, in addition to the active compounds, may contain suspending agents, as for example, ethoxylated isostearyl alcohols, polyoxyethylene sorbitol and sorbitan esters, microcrystalline cellulose, aluminum metahydroxide, bentonite, agar-agar and tragacanth, or mixtures of these substances.

[0055] Compositions for rectal administrations are, for example, suppositories that can be prepared by mixing the compounds of the present invention with for example suitable nonirritating excipients or carriers such as cocoa butter, polyethyleneglycol or a suppository wax, which are solid at ordinary temperatures but liquid at body temperature and therefore, melt while in a suitable body cavity and release the active component therein.

[0056] Dosage forms for topical administration of a compound of this invention include ointments, powders, sprays, and inhalants. The active component is admixed under sterile conditions with a physiologically acceptable carrier and any preservatives, buffers, or propellants as may be required. Ophthalmic Formulations, eye ointments, powders, and solutions are also contemplated as being within the scope of this invention.

[0057] Generally, depending on the intended mode of administration, the pharmaceutically acceptable compositions will contain about 1% to about 99% by weight of a compound(s) of the invention, or a pharmaceutically acceptable salt thereof, and 99% to 1% by weight of a suitable pharmaceutical excipient. In one example, the composition will be between about 5% and about 75% by weight of a compound(s) of the invention, or a pharmaceutically acceptable salt thereof, with the rest being suitable pharmaceutical excipients.

[0058] Actual methods of preparing such dosage forms are known, or will be apparent, to those skilled in this art; for example, see Remington's Pharmaceutical Sciences, 18th Ed., (Mack Publishing Company, Easton, Pa., 1990). The composition to be administered will, in any event, contain a therapeutically effective amount of a compound of the invention, or a pharmaceutically acceptable salt thereof, for treatment of a disease-state in accordance with the teachings of this invention.

[0059] The compounds of the invention, or their pharmaceutically acceptable salts, can be administered in a therapeutically effective amount which will vary depending upon a variety of factors including the activity of the specific compound employed, the metabolic stability and length of action of the compound, the age, body weight, general health, sex, diet, mode and time of administration, rate of excretion, drug combination, the severity of the particular disease-states, and the host undergoing therapy. The compounds of the present invention can be administered to a patient at dosage levels in the range of about 0.1 to about 1,000 mg per day. For a normal human adult having a body weight of about 70 kilograms, a dosage in the range of about 0.01 to about 100 mg per kilogram of body weight per day is an example. The specific dosage used, however, can vary. For example, the dosage can depend on a number of factors including the requirements of the patient, the severity of the condition being treated, and the pharmacological activity of the compound being used. The determination of optimum dosages for a particular patient is well known to one of ordinary skill in the art.

General Synthetic Section

[0060] The compounds of the invention, or their pharmaceutically acceptable salts, may have asymmetric carbon atoms or quaternized nitrogen atoms in their structure.

[0061] It is assumed that when considering generic descriptions of compounds of the invention for the purpose of constructing a compound, such construction results in the creation of a stable structure. That is, one of ordinary skill in the art would recognize that theoretically some constructs which would not normally be considered as stable compounds (that is, sterically practical and/or synthetically feasible, *supra*).

[0062] The compounds of the invention and their pharmaceutically acceptable salts may exist as single stereoisomers, racemates, and as mixtures of enantiomers and diastereomers. The compounds may also exist as geometric isomers. All such single stereoisomers, racemates and mixtures thereof, and geometric isomers are intended to be within the scope of this invention.

[0063] Methods for the preparation and/or separation and isolation of single stereoisomers from racemic mixtures or

non-racemic mixtures of stereoisomers are well known in the art. For example, optically active (R)- and (S)- isomers may be prepared using chiral synthons or chiral reagents, or resolved using conventional techniques. Enantiomers (R- and S-isomers) may be resolved by methods known to one of ordinary skill in the art, for example by: formation of diastereoisomeric salts or complexes which may be separated, for example, by crystallization; via formation of diastereoisomeric derivatives which may be separated, for example, by crystallization, selective reaction of one enantiomer with an enantiomer-specific reagent, for example enzymatic oxidation or reduction, followed by separation of the modified and unmodified enantiomers; or gas-liquid or liquid chromatography in a chiral environment, for example on a chiral support, such as silica with a bound chiral ligand or in the presence of a chiral solvent. It will be appreciated that where a desired enantiomer is converted into another chemical entity by one of the separation procedures described above, a further step may be required to liberate the desired enantiomeric form. Alternatively, specific enantiomer may be synthesized by asymmetric synthesis using optically active reagents, substrates, catalysts or solvents or by converting one enantiomer to the other by asymmetric transformation. For a mixture of enantiomers, enriched in a particular enantiomer, the major component enantiomer may be further enriched (with concomitant loss in yield) by recrystallization.

[0064] In addition, the compounds of the present invention can exist in unsolvated as well as solvated forms with pharmaceutically acceptable solvents such as water, ethanol. In general, the solvated forms are considered equivalent to the unsolvated forms for the purposes of the present invention.

[0065] In addition, it is intended that the present invention cover compounds made either using standard organic synthetic techniques, including combinatorial chemistry or by biological methods, such as bacterial digestion, metabolism, enzymatic conversion.

Abbreviations and their Definitions

[0066] The following abbreviations and terms have the indicated meanings throughout:

Abbreviation	Meaning
Ac	acetyl
br	broad
°C	degrees Celsius
c-	cyclo
CBZ	CarboBenZoxy = benzyloxycarbonyl
d	doublet
dd	doublet of doublet
dt	doublet of triplet
DIPEA	<i>N,N</i> -diisopropylethylamine
DMF	<i>N,N</i> -dimethylformamide
DMSO	dimethyl sulfoxide
E1	Electron Impact ionization
Et	Ethyl
g	gram(s)
GC	gas chromatography
h or hr	hour(s)
HOAc	acetic acid
HOBt	Hydroxybenzotriazole
HPLC	high pressure liquid chromatography
L	liter(s)
M	molar or molarity
m	Multiplet

(continued)

Abbreviation	Meaning
Me	Methyl
mesyl	Methanesulfonyl
mg	milligram(s)
MHz	megahertz (frequency)
Min	minute(s)
mL	milliliter(s)
mM	Millimolar
mmol	millimole(s)
mol	mole(s)
MS	mass spectral analysis
MTBE	methyl t-butyl ether
N	normal or normality
NBS	<i>N</i> -bromosuccinimide
NCS	<i>N</i> -chlorosuccinimide
nM	Nanomolar
NMO	<i>N</i> -methylmorpholine oxide
NMR	nuclear magnetic resonance spectroscopy
PEG	polyethylene glycol
pEY	poly-glutamine, tyrosine
Ph	Phenyl
PhOH	Phenol
PfP	Pentafluorophenol
PfPy	Pentafluoropyridine
PPTS	Pyridinium p-toluenesulfonate
Py	Pyridine
PyBroP	bromo-tris-pyrrolidino-phosphonium hexafluorophosphate
q	Quartet
RT	Room temperature
Sat'd	Saturated
s	Singlet
s-	Secondary
t-	Tertiary
t or tr	Triplet
TBDMS	<i>t</i> -butyldimethylsilyl
TES	Triethylsilyl
TFA	trifluoroacetic acid
THF	Tetrahydrofuran
TMOF	trimethyl orthoformate

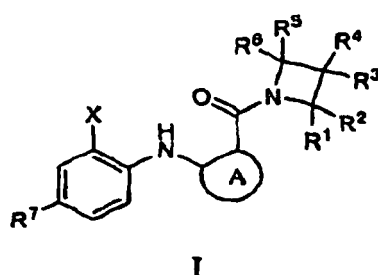
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Abbreviation	Meaning
TMS	methylsilyl
tosyl	<i>p</i> -toluenesulfonyl
Trt	triphenylmethyl
uL	microliter(s)
uM	Micromole(s) or micromolar

Section I

[0067] As noted above, this invention relates to compounds which inhibit MEK.

[0068] In section I there is disclosed a compound of Formula I below:



and optionally a pharmaceutically acceptable salt or solvate thereof, wherein the A ring represents an arylene or heteroarylene group and the A ring is optionally substituted with one, two, three or four groups selected from R¹⁰, R¹², R¹⁴, and R¹⁶ where R¹⁰, R¹², R¹⁴, and R¹⁶ are independently hydrogen, lower alkanyl, lower alkenyl, lower alkynyl, halo, haloalkoxy, hydroxy, lower alkoxy, amino, alkylamino, dialkylamino, haloalkyl, -NHS(O)₂R⁸, -CN, -C(O)R⁸, -C(O)OR⁸, -C(O)NR⁸R^{8'} or -NR⁸C(O)R^{8'};

X is lower alkyl, halo, haloalkyl, or haloalkoxy;

R¹, R², R³, R⁴, R⁵ and R⁶ are independently hydrogen, halo, nitro, -NR⁸R^{8'}, -OR⁸, -NHS(O)₂R⁸, -CN, -S(O)_mR⁸, -S(O)₂NR⁸R^{8'}, -C(O)R⁸, -C(O)OR⁸, -C(O)NR⁸R^{8'}, -NR⁸C(O)OR^{8'}, -NR⁸C(O)NR⁸R^{8''}, -NR⁸C(O)OR^{8'}, or -NR⁸C(O)R^{8'};

or

R¹, R², R³, R⁴, R⁵ and R⁶ are independently lower alkanyl, lower alkenyl, lower alkynyl, cycloalkyl, heteroaryl, or heterocycloalkyl; where the lower alkanyl, lower alkenyl, lower alkynyl, cycloalkyl, heteroaryl, and heterocycloalkyl are optionally substituted with one, two, three, four, five, six or seven groups independently selected from halo, lower alkanyl, haloalkyl, nitro, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted heteroaryl, -OR⁸, -NR⁸R^{8'}, -NHS(O)₂R⁸, -CN, -S(O)_mR⁸, -C(O)R⁸, -C(O)OR⁸, -C(O)NR⁸R^{8'}, -NR⁸C(O)NR⁸R^{8''}, -NR⁸C(O)OR^{8'}, and -NR⁸C(O)R^{8'}, or one of R¹ and R² together with the carbon to which they are attached, R³ and R⁴ together with the carbon to which they are attached, and R⁵ and R⁶ together with the carbon to which they are attached form C(O) or C(=NOH);

m is 1 or 2;

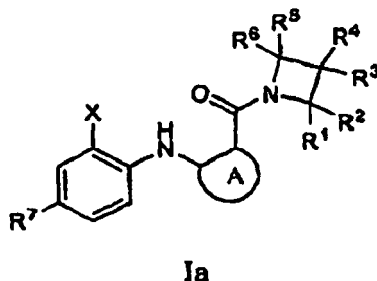
R⁷ is hydrogen, halo or lower alkyl; and

R⁸, R^{8'} and R^{8''} are independently hydrogen, hydroxy, alkoxy, substituted alkoxy, lower alkanyl, haloalkyl, lower alkenyl, lower alkynyl, aryl, cycloalkyl, heteroaryl, or heterocycloalkyl; where the lower alkanyl, lower alkenyl, lower alkynyl, aryl, cycloalkyl, heteroaryl, and heterocycloalkyl are independently optionally substituted with one, two three, four, or five groups independently selected from lower alkanyl, halo, hydroxy, hydroxyalkyl, lower alkoxy, substituted alkoxy, alkoxyalkyl, haloalkyl, carboxy, carboxy ester, nitro, cyano, -S(O)_nR³¹ (where n is 0, 1, or 2 and R³¹ is alkyl, substituted alkyl, optionally substituted aryl, optionally substituted heterocycloalkyl, or optionally substituted heteroaryl), -NR³⁴SO₂R^{34a} (where R³⁴ is hydrogen or lower alkyl and R^{34a} is lower alkyl, lower alkenyl, optionally substituted aryl, optionally substituted heterocycloalkyl, optionally substituted cycloalkyl, or optionally substituted heteroaryl),

-SO₂NR³⁵R^{35a} (where R³⁵ is hydrogen or alkyl and R^{35a} is lower alkyl, lower alkenyl, optionally substituted aryl,

optionally substituted heterocycloalkyl, optionally substituted cycloalkyl, or optionally substituted heteroaryl), optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, aryloxy, arylalkyloxy, optionally substituted heteroaryl, -NHC(O)R³² (where R³² is lower alkanyl, lower alkenyl, alkoxy, or cycloalkyl) and -NR³⁰R^{30'} (where R³⁰ and R^{30'} are independently hydrogen, lower alkyl, or hydroxyalkyl), and -C(O)NHR³³ (where R³³ is lower alkanyl, lower alkenyl, lower alkynyl, or cycloalkyl).

[0069] In one embodiment, in section I the invention provides a compound of Formula Ia below:



and optionally as a pharmaceutically acceptable salt or solvate thereof, wherein

the A ring represents an arylene or heteroarylene group and the A ring is optionally substituted with one, two, three or four groups selected from R¹⁰, R¹², R¹⁴, and R¹⁶ where R¹⁰, R¹², R¹⁴, and R¹⁶ are independently hydrogen, C₁-C₆ alkanyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, halo, haloalkoxy, hydroxy, C₁-C₆ alkoxy, amino, alkylamino, dialkylamino, haloalkyl, -NHS(O)₂R⁸, -CN, -C(O)R⁸, -C(O)OR⁸, -C(O)NR⁸R^{8'} or -NR⁸C(O)R^{8'};

X is C₁-C₂₀ alkyl, halo, haloalkyl, or haloalkoxy;

R¹, R², R³, R⁴, R⁵ and R⁶ are independently hydrogen, halo, nitro, -NR⁸R^{8'}, -OR⁸, -NHS(O)₂R⁸, -CN, -S(O)_mR⁸, -S(O)₂NR⁸R^{8'}, -C(O)R⁸, -C(O)OR⁸, -C(O)NR⁸R^{8'}, -NR⁸C(O)OR^{8'}, -NR⁸C(O)NR^{8'}R^{8''}, -NR⁸C(O)OR^{8'}, -NR⁸C(O)R^{8'}, C₁-C₆ alkanyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, cycloalkyl, heteroaryl, or heterocycloalkyl; where the C₁-C₆ alkanyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, cycloalkyl, heteroaryl, and heterocycloalkyl are optionally substituted with one, two, three, four, five, six or seven groups independently selected from halo, C₁-C₆ alkanyl, haloalkyl, nitro, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted heteroaryl, -OR⁸, -NR⁸R^{8'},

-NHS(O)₂R⁹, -CN, -S(O)_mR⁹, -C(O)R⁸, -C(O)OR⁸, -C(O)NR⁸R^{8'}, -NR⁸C(O)NR^{8'}R^{8''}, -NR⁸C(O)OR^{8'}, and -NR⁸C(O)R^{8'}; or

one of R¹ and R² together with the carbon to which they are attached, R³ and R⁴ together with the carbon to which they are attached, and R⁵ and R⁶ together with the carbon to which they are attached form C(O) or C(=NOH); m is 1 or 2;

R⁷ is hydrogen, halo or C₁-C₂₀ alkyl;

R⁸, R^{8'} and R^{8''} are independently hydrogen, hydroxy, C₁-C₆ alkoxy, substituted C₁-C₆ alkoxy, C₁-C₆ alkanyl, haloalkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, aryl, cycloalkyl, heteroaryl, or heterocycloalkyl; where the C₁-C₆ alkanyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, aryl, cycloalkyl, heteroaryl, and heterocycloalkyl are independently optionally substituted with one, two three, four, or five groups independently selected from C₁-C₆ alkanyl, halo, hydroxy, hydroxyalkyl, C₁-C₆ alkoxy, substituted C₁-C₆ alkoxy, alkoxyalkyl, haloalkyl, carboxy, carboxy ester, nitro, cyano, -S(O)_nR³¹ (where n is 0, 1, or 2 and R³¹ is alkyl, substituted alkyl, optionally substituted aryl, optionally substituted heterocycloalkyl, or optionally substituted heteroaryl), -NR³⁴SO₂R^{34a} (where R³⁴ is hydrogen or C₁-C₂₀ alkyl and R^{34a} is C₁-C₂₀ alkyl, C₂-C₆ alkenyl, optionally substituted aryl, optionally substituted heterocycloalkyl, optionally substituted cycloalkyl, or optionally substituted heteroaryl),

-SO₂NR³⁵R^{35a} (where R³⁵ is hydrogen or alkyl and R^{35a} is C₁-C₂₀ alkyl, C₂-C₆ alkenyl, optionally substituted aryl, optionally substituted heterocycloalkyl, optionally substituted cycloalkyl, or optionally substituted heteroaryl), optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, aryloxy, arylalkyloxy, optionally substituted heteroaryl, -NHC(O)R³² (where R³² is C₁-C₆ alkanyl, C₂-C₆ alkenyl, alkoxy, or cycloalkyl) and -NR³⁰R^{30'} (where R³⁰ and R^{30'} are independently hydrogen, C₁-C₂₀ alkyl, or hydroxyalkyl), and -C(O)NHR³³ (where R³³ is C₁-C₆ alkanyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, or cycloalkyl); and R⁹ is C₁-C₆ alkanyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, aryl, cycloalkyl, heteroaryl, or heterocycloalkyl; where the C₁-C₆ alkanyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, aryl, cycloalkyl, heteroaryl, and heterocycloalkyl are independently optionally substituted with one, two, three, four, or five groups selected from C₁-C₆ alkanyl, halo, hydroxy, haloalkoxy, haloalkyl, amino, alkylamino and dialkylamino.

[0070] Optionally, there is disclosed a compound of Formula I or Ia where R⁷ is halo and all other groups are as defined for a Compound of Formula I or Ia, respectively. Optionally R⁷ is iodo or bromo. Optionally, R⁷ is iodo. Optionally, the compound is that where R⁷ is iodo or bromo; the A ring is phenylene optionally substituted with one, two, three, or four groups selected from R¹⁰, R¹², R¹⁴, and R¹⁶; and all other groups are as defined for a Compound of Formula I or Ia, respectively.

[0071] Optionally, there is disclosed a compound of Formula I or Ia where X is halo and all other groups are as defined for a Compound of Formula I or Ia, respectively. Optionally, X is fluoro or chloro. Optionally, X is fluoro. Optionally, the compound is that where X is fluoro or chloro; the A ring is phenylene optionally substituted with one, two, three, or four groups selected from R¹⁰, R¹², R¹⁴, and R¹⁶; and all other groups are as defined for a Compound of Formula I or Ia, respectively.

[0072] Optionally, there is disclosed a compound of Formula I or Ia where R¹, R², R⁵, and R⁶ are hydrogen and all other groups are as defined for a Compound of Formula I or Ia, respectively. Optionally, R¹, R², R⁵, and R⁶ are hydrogen; the A ring is phenylene optionally substituted with one, two, three, or four groups selected from R¹⁰, R¹², R¹⁴, and R¹⁶; and all other groups are as defined for a Compound of Formula I or Ia, respectively.

[0073] Optionally, there is disclosed a compound of Formula I or Ia where the A ring is a phenylene ring optionally substituted with one, two, three, or four groups selected from R¹⁰, R¹², R¹⁴, and R¹⁶ where R¹⁰, R¹², R¹⁴, R¹⁶, and all groups are as defined for a Compound of Formula I or Ia, respectively.

[0074] Optionally, there is disclosed a compound of Formula I or Ia where R⁷ and X are halo and all other groups are as defined for a Compound of Formula I or Ia, respectively. Optionally, R⁷ is iodo and X is fluoro.

[0075] Optionally (A1), the compound of Formula I or Ia is that where R⁷ and X are halo; the A ring is phenylene optionally substituted with one, two, three, or four groups selected from R¹⁰, R¹², R¹⁴, and R¹⁶; and all other groups are as defined for a Compound of Formula I or Ia, respectively. Optionally, R⁷ is iodo and X is fluoro; the A ring is phenylene optionally substituted with one, two, three, or four groups selected from R¹⁰, R¹², R¹⁴, and R¹⁶; and all other groups are as defined for a Compound of Formula I or Ia, respectively.

[0076] Optionally in (A2), there is disclosed a Compound of Formula I or Ia where the A ring is phenylene; R¹⁴ and R¹⁶ are hydrogen; R¹⁰ and R¹² are independently hydrogen or halo; and all other groups are as defined for a Compound of Formula I or Ia, respectively. Optionally, R¹⁰ and R¹² are independently hydrogen or fluoro. Optionally, R¹⁰ is 3-fluoro and R¹² is hydrogen. Optionally, R¹⁰ and R¹² are fluoro. Optionally, R¹⁰ and R¹² are 3-fluoro and 4-fluoro, 4-fluoro and 5-fluoro, or 4-fluoro and 6-fluoro.

[0077] Optionally in (A3), the compound of Formula I or Ia is that where R¹, R², R⁵ and R⁶ are hydrogen; the A ring is phenylene optionally substituted with one, two, three, or four groups selected from R¹⁰, R¹², R¹⁴, and R¹⁶; and all other groups are as defined for a Compound of Formula I or Ia, respectively.

[0078] In another embodiment (A4), the invention provides a Compound of Formula Ia where the A ring is phenylene optionally substituted with one, two, three, or four groups selected from R¹⁰, R¹², R¹⁴, and R¹⁶; X, R⁷, R¹⁰, R¹², R¹⁴, and R¹⁶ are as defined for a Compound of Formula Ia; and

one of R¹, R², R³, R⁴, R⁵, and R⁶ is halo, nitro, NR⁸R^{8'}, -OR⁸, -NHS(O)₂R⁸, -CN, -S(O)_mR⁸, -S(O)₂NR⁸R^{8'}, -C(O)R⁸, -C(O)OR⁸, -C(O)NR⁸R^{8'}, -NR⁸C(O)OR^{8'}, -NR⁸C(O)NR^{8'}R^{8''}, -NR⁸C(O)OR^{8'}, -NR⁸C(O)R^{8'}, C₁-C₆ alkanyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, cycloalkyl, heteroaryl, or heterocycloalkyl; where the C₁-C₆ alkanyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, cycloalkyl, heteroaryl, and heterocycloalkyl are independently optionally substituted with one, two, three, four, five, six or seven groups independently selected from halo, C₁-C₆ alkanyl, haloalkyl, nitro, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted heteroaryl, -OR⁸, -NR⁸R^{8'}, NHS(O)₂R⁹, -CN, -S(O)_mR⁹, -C(O)R⁸, -C(O)OR⁸, -C(O)NR⁸R^{8'}, NR⁸C(O)NR^{8'}R^{8''}, -NR⁸C(O)OR^{8'} and -NR⁸C(O)R^{8'}; and the others of R¹, R², R³, R⁴, R⁵, and R⁶ are as defined for a Compound of Formula Ia; or

one of R¹ and R² together with the carbon to which they are attached, R³ and R⁴ together with the carbon to which they are attached, and R⁵ and R⁶ together with the carbon to which they are attached forms C(O) or C(=NOH); the others of R¹, R², R³, R⁴, R⁵, and R⁶ are as defined for a Compound of Formula Ia; and all other groups are as defined in Formula Ia.

[0079] In another embodiment (A5), the invention provides a Compound of Formula Ia where the A ring is phenylene optionally substituted with one, two, three, or four groups selected from R¹⁰, R¹², R¹⁴, and R¹⁶; X, R⁷, R¹⁰, R¹², R¹⁴, and R¹⁶ are as defined for a Compound of Formula Ia; and

R³ is halo, nitro, -NR⁸R^{8'}, -OR⁸, NHS(O)₂R⁸, -CN, -S(O)_mR⁸, -S(O)₂NR⁸R^{8'}, -C(O)R⁸, -C(O)OR⁸, -C(O)NR⁸R^{8'}, -NR⁸C(O)OR^{8'}, -NR⁸C(O)NR^{8'}R^{8''}, -NR⁸C(O)OR^{8'}, -NR⁸C(O)R^{8'}, C₁-C₆ alkanyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, cycloalkyl, heteroaryl, or heterocycloalkyl; where the C₁-C₆ alkanyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, cycloalkyl, heteroaryl, and heterocycloalkyl are independently optionally substituted with one, two, three, four, five, six or seven groups independently selected from halo, C₁-C₆ alkanyl, haloalkyl, nitro, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted heteroaryl, -OR⁸, -NR⁸R^{8'}, -NHS(O)₂R⁹, -CN, -S(O)_mR⁹, -C(O)R⁸, -C(O)OR⁸, -C(O)NR⁸R^{8'}, -NR⁸C(O)NR^{8'}R^{8''}, -NR⁸C(O)OR^{8'} and -NR⁸C(O)R^{8'}; and R⁴ is as defined in Formula Ia; or R³ and R⁴ together with the carbon to which they are attached form C(O) or C

(=NOH); and all other groups are as defined in Formula Ia.

[0080] Another embodiment of embodiment A5 is that where R^1 , R^2 , R^5 and R^6 are hydrogen.

[0081] In another embodiment (A6), the Compound of Formula Ia where the A ring is phenylene optionally substituted with one, two, three, or four groups selected from R^{10} , R^{12} , R^{14} , and R^{16} ; X , R^7 , R^{10} , R^{12} , R^{14} , and R^{16} are as defined

for a Compound of Formula Ia; and R^3 and R^4 are independently halo, nitro, $-NR^8R^8$, $-OR^8$, $-NHS(O)_2R^8$, $-CN$, $-S(O)_mR^8$, $-S(O)_2NR^8R^8$, $-C(O)R^8$, $-C(O)OR^2$, $-C(O)NR^8R^8$, $-NR^8C(O)OR^8$, $-NR^8C(O)NR^8R^8$, $-NR^8C(O)OR^8$, $-NR^8C(O)R^8$, C_1-C_6 alkanyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, cycloalkyl, heteroaryl, or heterocycloalkyl; where the C_1-C_6 alkanyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, cycloalkyl, heteroaryl, and heterocycloalkyl are independently optionally substituted with one, two, three, four, five, six or seven groups independently selected from halo, C_1-C_6 alkanyl, haloalkyl, nitro, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted heteroaryl, $-OR^8$, NR^8R^8 , $-NR^8S(O)_2R^9$, $-CN$, $-S(O)_mR^9$, $-C(O)R^8$, $-C(O)OR^8$, $-C(O)NR^8R^8$, $-NR^8C(O)NR^8R^8$, $-NR^8C(O)OR^8$ and $-NR^8C(O)R^8$; or

R^3 and R^4 together with the carbon to which they are attached form $C(O)$ or $C(=NOH)$; and all other groups are as defined in Formula Ia.

[0082] Another embodiment of embodiment A6 is that where R^1 , R^2 , R^5 and R^6 are hydrogen.

[0083] In another embodiment (A7), the invention provides a Compound of Formula Ia where the A ring is phenylene optionally substituted with R^{10} , R^{12} , R^{14} , and R^{16} where R^{14} and R^{16} are hydrogen and where R^{10} and R^{12} are independently hydrogen or halo; X and R^7 are halo; R^1 , R^2 , R^5 and R^6 are hydrogen; and

R^3 is hydrogen and R^4 is $-NR^8R^8$ (where R^8 is hydrogen, hydroxy, C_1-C_6 alkanyl, alkoxy, aryl, cycloalkyl, heteroaryl, or heterocycloalkyl and R^8 is hydroxy, alkoxy, aryl, cycloalkyl, heteroaryl, or heterocycloalkyl), $-NHS(O)_2R^8$, $-CN$, $-S(O)_mR^8$, $-S(O)_2NR^8R^8$, $-C(O)R^8$, $-C(O)OR^8$, $-C(O)NR^8R^8$, $-NR^8C(O)OR^8$, $-NR^8C(O)NR^8R^8$, $-NR^8C(O)OR^8$, $-NR^8C(O)R^8$, C_2-C_6 alkenyl, and C_2-C_6 alkynyl; where the alkenyl and alkynyl are optionally substituted with one, two, three, four, five, six or seven groups independently selected from halo, C_1-C_6 alkanyl, haloalkyl, nitro, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted heteroaryl, $-OR^8$, $-NR^8R^8$, $-NHS(O)_2R^9$, $-CN$, $-S(O)_mR^9$, $-C(O)R^8$, $-C(O)OR^8$, $-C(O)NR^8R^8$, $-NR^8C(O)NR^8R^8$, $-NR^8C(O)OR^8$ and $-NR^8C(O)R^8$; or

R^3 and R^4 together with the carbon to which they are attached form $C(O)$ or $C(=NOH)$;

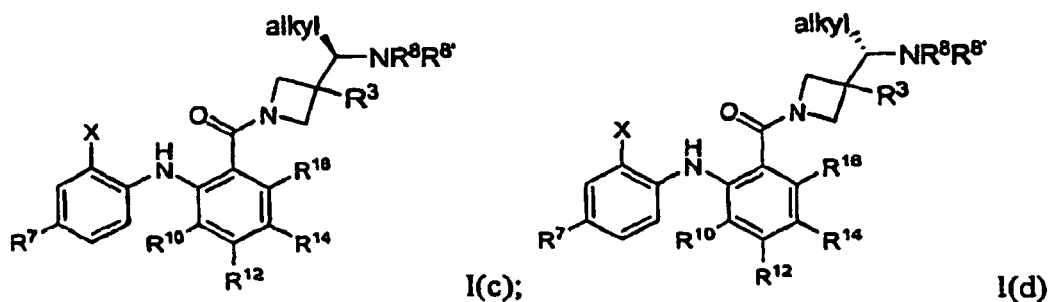
m , R^8 , and R^9 are as defined for a Compound of Formula Ia; and unless otherwise specified in this embodiment, R^8 and R^8 , and all other groups, are as defined in the Summary of the Invention for a Compound of Formula Ia.

[0084] Optionally in (A8), there is disclosed a Compound of Formula I or Ia where the A ring is phenylene optionally substituted with one, two, three, or four groups selected from R^{10} , R^{12} , R^{14} , and R^{16} ; R^3 is hydrogen, halo, hydroxy, alkoxy, or amino; and all other groups are as defined in Formula I or Ia, respectively. Optionally, R^3 is hydrogen, fluoro, hydroxy, methoxy, or amino. Optionally, R^3 is hydrogen or hydroxy. Optionally, R^3 is hydroxy.

[0085] Optionally in A8, X and R^7 are halo; A is phenylene optionally substituted with R^{10} , R^{12} , R^{14} , and R^{16} where R^{14} and R^{16} are hydrogen and where R^{10} and R^{12} are independently hydrogen or halo; R^1 , R^2 , R^5 and R^6 are hydrogen; and R^4 is as defined in Formula I or Ia, respectively.

[0086] In another embodiment of the Invention (A9), the invention provides a Compound of Formula Ia where the A ring is phenylene optionally substituted with one, two, three, or four groups selected from R^{10} , R^{12} , R^{14} , and R^{16} ; R^1 , R^2 , R^5 and R^6 are hydrogen; R^3 is hydrogen, halo, hydroxy, alkoxy, or amino; and R^4 is heterocycloalkyl, heteroaryl, or alkyl substituted with $-NR^8R^8$ where R^8 and R^8 and all other groups are as defined in Formula Ia.

[0087] Another embodiment of embodiment A9 is that where R^4 is alkyl substituted with $-NR^8R^8$ where R^8 and R^8 and all other groups are as defined in Formula Ia. In another embodiment, the compound is of Formula I(c) or I(d):



where R^3 is as defined in A9; X , R^7 , R^8 , R^8 , R^{10} , R^{12} , R^{14} , and R^{16} are as defined in Formula Ia.

[0088] Another embodiment of embodiment A9 is that where R^4 is heterocycloalkyl.

[0089] In another embodiment of embodiment A9, the compound is that where X and R⁷ are halo; A is phenylene optionally substituted with R¹⁰, R¹², R¹⁴, and R¹⁶ where R¹⁴ and R¹⁶ are hydrogen and where R¹⁰ and R¹² are independently hydrogen or halo; R³ is hydroxy; and R⁴ is alkyl substituted with -NR⁸R^{8'} or R⁴ is heterocycloalkyl optionally substituted with one, two, or three groups independently selected from halo, C₁-C₆ alkanyl, haloalkyl, nitro, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted heteroaryl, -OR⁸, -NR⁸R^{8'}, -NHS(O)₂R⁹, -CN, -S(O)_mR⁹, -C(O)R⁸, -C(O)OR⁸, -C(O)NR⁸R^{8'}, -NR⁸C(O)NR^{8'}R^{8''}, -NR⁸C(O)OR^{8'} and -NR⁸C(O)R^{8'}; and where m, R³, R⁸, R^{8'}, R^{8''}, and R⁹ are as defined in Formula Ia.

[0090] In another embodiment of the Invention (A10), the invention provides a Compound of Formula Ia where the A ring is phenylene optionally substituted with one, two, three, or four groups selected from R¹⁰, R¹², R¹⁴, and R¹⁶; R⁴ is

- a) hydrogen;
- b) C₁-C₆ alkanyl;
- c) C₁-C₆ alkanyl substituted with one or two -OR⁸ where R⁸ is hydrogen, aryl, or C₁-C₆ alkanyl where the C₁-C₆ alkanyl in R⁸ is substituted with one or two hydroxy;
- d) C₁-C₆ alkanyl substituted with one, two, or three halo;
- e) C₁-C₆ alkanyl substituted with nitro;
- f) C₁-C₆ alkanyl substituted with -S(O)_mR⁹ (where m is 0 and R⁹ is aryl);
- g) C₁-C₆ alkanyl substituted with optionally substituted heterocycloalkyl;
- h) C₂-C₆ alkenyl;
- i) -NR⁸R^{8'} (where R⁸ and R^{8'} are independently hydrogen; C₁-C₆ alkanyl; C₂-C₆ alkenyl; C₁-C₆ alkanyl substituted with one or two hydroxy; C₁-C₆ alkanyl substituted with one or two -NR³⁰R^{30'} where R³⁰ and R^{30'} are independently hydrogen, alkyl, or hydroxyalkyl; C₁-C₆ alkanyl substituted with optionally substituted heteroaryl; or C₁-C₆ alkanyl substituted with optionally substituted cycloalkyl);
- j) -C(O)NR⁸R^{8'} (where R⁸ is hydrogen, C₁-C₆ alkanyl, or C₂-C₆ alkenyl; and R^{8'} is hydrogen; hydroxy; C₁-C₆ alkanyl; C₂-C₆ alkenyl; C₁-C₆ alkanyl substituted with one or two hydroxy; C₁-C₆ alkanyl substituted with optionally substituted heterocycloalkyl; C₁-C₆ alkanyl substituted with -NR³⁰R^{30'} where R³⁰ and R^{30'} are independently hydrogen, alkyl, or hydroxyalkyl; or alkoxy);
- k) -NR⁸C(O)OR^{8'} (where R⁸ and R^{8'} are independently hydrogen, C₁-C₆ alkanyl, or C₂-C₆ alkenyl);
- l) lower alkanyl substituted with -NR⁸R^{8'} (where R⁸ is hydrogen, C₁-C₆ alkanyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, or C₁-C₆ alkanyl substituted with one or two hydroxy; and R^{8'} is hydrogen; hydroxy; alkoxy; C₁-C₆ alkanyl; C₂-C₆ alkenyl; C₂-C₆ alkynyl; alkoxy; C₁-C₆ alkanyl substituted with one or two hydroxy; C₁-C₆ alkanyl substituted with one or two alkoxy; C₁-C₆ alkanyl substituted with -NR³⁰R^{30'} where R³⁰ and R^{30'} are independently hydrogen, C₁-C₆ alkanyl, or hydroxyalkyl; C₁-C₆ alkanyl substituted with one or two hydroxy and one or two -NR³⁰R^{30'} where R³⁰ and R^{30'} are independently hydrogen, C₁-C₆ alkanyl, or hydroxyalkyl; C₁-C₆ alkanyl substituted with one, two, three, four, or five halo; C₁-C₆ alkanyl substituted with optionally substituted cycloalkyl; C₁-C₆ alkanyl substituted with optionally substituted aryl; C₁-C₆ alkanyl substituted with one or two hydroxy and one optionally substituted aryl; C₁-C₆ alkanyl substituted with optionally substituted heterocycloalkyl; C₁-C₆ alkanyl substituted with optionally substituted heteroaryl; heteroaryl; aryl; aryl substituted with one or two hydroxy; aryl substituted with one or two alkoxy; aryl substituted with one or two halo; aryl substituted with one or two -NR³²C(O)R^{32a} where R³² is hydrogen or C₁-C₆ alkanyl and R^{32a} is C₁-C₆ alkanyl, C₂-C₆ alkenyl, alkoxy, or cycloalkyl; aryl substituted with -NR³⁴SO₂R^{34a} where R³⁴ is hydrogen or C₁-C₆ alkanyl and R^{34a} is C₁-C₆ alkanyl, C₂-C₆ alkenyl, cycloalkyl, aryl, heteroaryl, or heterocycloalkyl; cycloalkyl; cycloalkyl substituted with one or two hydroxy; cycloalkyl substituted with one or two hydroxy and one or two hydroxyalkyl; cycloalkyl substituted with one or two alkoxy; cycloalkyl substituted with carboxy; cycloalkyl substituted with -C(O)NR³³R^{33a} where R³³ is hydrogen or C₁-C₆ alkanyl and R^{33a} is C₁-C₆ alkanyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, or cycloalkyl; C₁-C₆ alkanyl substituted with -C(O)NR³³R^{33a} where R³³ is hydrogen or C₁-C₆ alkanyl and R^{33a} is C₁-C₆ alkanyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, or cycloalkyl; cycloalkyl substituted with optionally substituted cycloalkyl; heterocycloalkyl; heterocycloalkyl substituted with C₁-C₆ alkanyl; heterocycloalkyl substituted with alkoxy; heterocycloalkyl substituted with optionally substituted arylalkyl; heterocycloalkyl substituted with one or two hydroxy; heterocycloalkyl substituted with one or two alkoxy; heterocycloalkyl substituted with one or two hydroxyalkyl; heterocycloalkyl substituted with one or two hydroxy, one or two alkoxy, and one or two hydroxyalkyl; C₁-C₆ alkanyl substituted with optionally substituted aryloxy; C₁-C₆ alkanyl substituted with -S(O)_nR³¹ where n is 0 and R³¹ is C₁-C₆ alkanyl; C₁-C₆ alkanyl substituted with carboxy; C₁-C₆ alkanyl substituted with alkoxy; C₁-C₆ alkanyl substituted with -NR³²C(O)R^{32a} where R³² is hydrogen or C₁-C₆ alkanyl and R^{32a} is C₁-C₆ alkanyl, C₂-C₆ alkenyl, alkoxy, or cycloalkyl);
- m) -NR⁸C(O)R^{8'} (where R⁸ is hydrogen, C₁-C₆ alkanyl, or C₂-C₆ alkenyl; and R^{8'} is hydrogen; C₁-C₆ alkanyl; C₁-C₆ alkanyl substituted with one or two hydroxy; C₁-C₆ alkanyl substituted with optionally substituted heterocycloalkyl;

C₁-C₆ alkanyl substituted with -NR³⁰R^{30'} where R³⁰ and R^{30'} are independently hydrogen, C₁-C₆ alkanyl, hydroxyalkyl, or C₂-C₆ alkenyl);

n) cycloalkyl;

o) cycloalkyl substituted with -NR⁸R^{8'} where R⁸ and R^{8'} are independently hydrogen, C₁-C₆ alkanyl, or C₂-C₆ alkenyl;

p) heterocycloalkyl;

q) heterocycloalkyl substituted with -NR⁸R^{8'} where R⁸ and R^{8'} are independently hydrogen, C₁-C₆ alkanyl, or C₂-C₆ alkenyl;

r) heterocycloalkyl substituted with one or two C₁-C₆ alkanyl;

s) heterocycloalkyl substituted with -C(O)OR⁸ where R⁸ is C₁-C₆ alkanyl or C₂-C₆ alkenyl;

t) C₁-C₆ alkanyl substituted with -NR⁸C(O)R^{8'} (where R⁸ is hydrogen, C₁-C₆ alkanyl, or C₂-C₆ alkenyl and R^{3'} is C₁-C₆ alkanyl; C₂-C₆ alkenyl; or lower alkanyl substituted with alkoxy, aryl, and one, two, or three halo);

u) heteroaryl;

v) heteroaryl substituted with -NR⁸R^{8'} where R⁸ and R^{8'} are independently hydrogen, C₁-C₆ alkanyl, or C₂-C₆ alkenyl; C₁-C₆ alkanyl substituted with optionally substituted heteroaryl;

w) C₁-C₆ alkanyl substituted with -NR⁸S(O)₂R⁹ where R⁸ is hydrogen, C₁-C₆ alkanyl, or C₂-C₆ alkenyl and R⁹ is C₁-C₆ alkanyl or C₂-C₆ alkenyl;

x) C₁-C₆ alkanyl substituted with -NR⁸C(O)OR^{8'} where R⁸ and R^{8'} are independently hydrogen, C₁-C₆ alkanyl, or C₂-C₆ alkenyl;

y) C₁-C₆ alkanyl substituted with one aryl and one -NR⁸R^{8'} where R⁸ and R^{8'} are independently hydrogen, C₁-C₆ alkanyl, or C₂-C₆ alkenyl; or

z) C₁-C₆ alkanyl substituted with one or two -OR⁸ (where R⁸ is hydrogen) and one or two -NR⁸R^{8'} where R⁸ and R^{8'} are independently hydrogen, C₁-C₆ alkanyl, or C₂-C₆ alkenyl; and

all other groups are as defined for a Compound of Formula Ia..

[0091] Another embodiment of embodiment A10 is that wherein X and R⁷ are halo; A is phenylene optionally substituted with R¹⁰, R¹², R¹⁴, and R¹⁶ where R¹⁴ and R¹⁶ are hydrogen and where R¹⁰ and R¹² are independently hydrogen or halo; R¹, R², R⁵ and R⁶ are hydrogen; and R³ is hydrogen, halo, hydroxy, alkoxy, or amino.

[0092] Another embodiment of embodiment A10 is that where R³ is hydrogen and R⁴ is

a) hydrogen;

b) -NR⁸R^{8'} (where R⁸ and R^{8'} are independently hydrogen; C₁-C₆ alkanyl; C₂-C₆ alkenyl; C₁-C₆ alkanyl substituted with one or two hydroxy; C₁-C₆ alkanyl substituted with one or two -NR³⁰R^{30'} where R³⁰ and R^{30'} are independently hydrogen, C₁-C₆ alkanyl, or hydroxyalkyl; C₁-C₆ alkanyl substituted with optionally substituted heteroaryl; or C₁-C₆ alkanyl substituted with optionally substituted cycloalkyl);

c) -C(O)NR⁸R^{8'} (where R⁸ is hydrogen, C₁-C₆ alkanyl, or C₂-C₆ alkenyl; and R^{8'} is hydrogen; hydroxy; C₁-C₆ alkanyl; C₂-C₆ alkenyl; C₁-C₆ alkanyl substituted with one or two hydroxy; C₁-C₆ alkanyl substituted with heterocycloalkyl; C₁-C₆ alkanyl substituted with -NR³⁰R^{30'} where R³⁰ and R^{30'} are independently hydrogen, C₁-C₆ alkanyl, or hydroxyalkyl; alkoxy; or substituted alkoxy);

d) -NR⁸C(O)OR^{8'} (where R⁸ and R^{8'} are independently hydrogen, C₁-C₆ alkanyl, or C₂-C₆ alkenyl);

e) -NR⁸C(O)R^{8'} (where R⁸ is hydrogen, C₁-C₆ alkanyl, or C₂-C₆ alkenyl; and R^{8'} is hydrogen; C₁-C₆ alkanyl; C₁-C₆ alkanyl substituted with one or two hydroxy; C₁-C₆ alkanyl substituted with optionally substituted heterocycloalkyl; C₁-C₆ alkanyl substituted with -NR³⁰R^{30'} where R³⁰ and R^{30'} are independently hydrogen, C₁-C₆ alkanyl, hydroxyalkyl, or C₂-C₆;

f) C₁-C₆ alkanyl;

g) C₁-C₆ alkanyl substituted with one or two -OR⁸ (where R⁸ is hydrogen);

h) C₁-C₆ alkanyl substituted with -NR⁸R^{8'} (where R⁸ is hydrogen, C₁-C₆ alkanyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, or C₁-C₆ alkanyl substituted with one or two hydroxy; and R^{8'} is hydrogen; C₁-C₆ alkanyl; C₂-C₆ alkenyl; C₂-C₆ alkynyl; C₁-C₆ alkanyl substituted with one or two hydroxy; heterocycloalkyl substituted with C₁-C₆ alkanyl; or C₁-C₆ alkanyl substituted with -NR³⁰R^{30'} where R³⁰ and R^{30'} are independently hydrogen, C₁-C₆ alkanyl, or hydroxyalkyl);

i) heterocycloalkyl; or

j) heterocycloalkyl substituted with -NR⁸R^{8'} (where R⁸ and R^{8'} are independently hydrogen, C₁-C₆ alkanyl, or C₂-C₆ alkenyl).

[0093] Another embodiment of embodiment A10 is that where R³ is alkoxy and R⁴ is C₁-C₆ alkanyl substituted with -NR⁸R^{8'} (where R⁸ and R^{8'} are independently hydrogen, C₁-C₆ alkanyl, or C₂-C₆ alkenyl). In another embodiment, R³ is methoxy and R⁴ is C₁-C₆ alkanyl substituted with -NR⁸R^{8'} (where R⁸ and R^{8'} are independently hydrogen, C₁-C₆ alkanyl, or C₂-C₆ alkenyl).

[0094] Another embodiment of embodiment A10 is that where R³ is halo and R⁴ is C₁-C₆ alkanyl substituted with

-NR⁸R^{8'} (where R⁸ and R^{8'} are independently hydrogen, C₁-C₆ alkanyl, or C₂-C₆ alkenyl). In another embodiment, R³ is fluoro and R⁴ is C₁-C₆ alkanyl substituted with -NR⁸R^{8'} (where R⁸ and R^{8'} are independently hydrogen, C₁-C₆ alkanyl, or C₂-C₆ alkenyl).

[0095] Another embodiment of embodiment A10 is that where R³ is amino and R⁴ is C₁-C₆ alkanyl substituted with -NR⁸R^{8'} (where R⁸ and R^{8'} are independently hydrogen, C₁-C₆ alkanyl, or C₂-C₆ alkenyl).

[0096] Another embodiment of embodiment A10 is that where R³ is hydroxy and R⁴ is

- a) hydrogen;
- b) C₁-C₆ alkanyl;
- c) C₂-C₆ alkenyl;
- d) C₁-C₆ alkanyl substituted with one or two -OR⁸ where R⁸ is hydrogen, aryl, or C₁-C₆ alkanyl where the alkanyl in R⁸ is substituted with one or two hydroxy;
- e) C₁-C₆ alkanyl substituted with one, two, or three halo;
- f) C₁-C₆ alkanyl substituted with nitro;
- g) C₁-C₆ alkanyl, substituted with -S(O)_mR⁹ (where m is 0 and R⁹ is aryl);
- h) C₁-C₆ alkanyl substituted with optionally substituted heterocycloalkyl;
- i) C₁-C₆ alkanyl substituted with -NR⁸R^{8'} (where R⁸ is hydrogen, C₁-C₆ alkanyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, or C₁-C₆ alkanyl substituted with one or two hydroxy; and R^{8'} is hydrogen; hydroxy; alkoxy; C₁-C₆ alkanyl; C₂-C₆ alkenyl; C₂-C₆ alkynyl; alkoxy; substituted alkoxy; C₁-C₆ alkanyl substituted with one or two hydroxy; C₁-C₆ alkanyl substituted with -NR³⁰R^{30'} where R³⁰ and R^{30'} are independently hydrogen, C₁-C₆ alkanyl, or hydroxyalkyl; C₁-C₆ alkanyl substituted with one or two hydroxy and one or two NR³⁰R^{30'} where R³⁰ and R^{30'} are independently hydrogen, C₁-C₆ alkanyl, or hydroxyalkyl; heterocycloalkyl substituted with C₁-C₆ alkanyl, alkoxycarbonyl, or optionally substituted arylalkyl; C₁-C₆ alkanyl substituted with one, two, three, four, or five halo; C₁-C₆ alkanyl substituted with optionally substituted cycloalkyl; C₁-C₆ C₁-C₆ substituted with optionally substituted aryl; C₁-C₆ alkanyl substituted with one or two hydroxy and one optionally substituted aryl; C₁-C₆ alkanyl substituted with optionally substituted heterocycloalkyl; C₁-C₆ alkanyl substituted with optionally substituted heteroaryl; heteroaryl; aryl; aryl substituted with one or two hydroxy; aryl substituted with one or two alkoxy; aryl substituted with one or two halo; aryl substituted with one or two -NR³²C(O)R^{32a} where R³² is hydrogen or C₁-C₆ alkanyl and R^{32a} is C₁-C₆ alkanyl, C₂-C₆ alkenyl, alkoxy, or cycloalkyl; aryl substituted with -NR³⁴SO₂R^{34a} where R¹⁴ is hydrogen or C₁-C₆ alkanyl and R^{34a} is C₁-C₆ alkanyl, C₂-C₆ alkenyl, cycloalkyl, aryl, heteroaryl, or heterocycloalkyl; cycloalkyl; cycloalkyl substituted with one or two hydroxy; cycloalkyl substituted with one or two hydroxy and one or two hydroxyalkyl; cycloalkyl substituted with one or two alkoxy; cycloalkyl substituted with carboxy; cycloalkyl substituted with -C(O)NR³³R^{33a} where R³³ is hydrogen or alkyl and R^{33a} is C₁-C₆ alkanyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, or cycloalkyl; cycloalkyl substituted with optionally substituted cycloalkyl; heterocycloalkyl; heterocycloalkyl substituted with one or two hydroxy; heterocycloalkyl substituted with one or two alkoxy; heterocycloalkyl substituted with one or two hydroxyalkyl; heterocycloalkyl substituted with one or two hydroxy, one or two alkoxy, and one or two hydroxyalkyl; C₁-C₆ alkanyl substituted with -C(O)NR³³R^{33a} where R³³ is hydrogen or alkyl and R^{33a} is C₁-C₆ alkanyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, or cycloalkyl; C₁-C₆ alkanyl substituted with optionally substituted aryloxy; C₁-C₆ alkanyl substituted with -S(O)_nR³¹ where n is 0 and R³¹ is C₁-C₆ alkanyl; C₁-C₆ alkanyl substituted with carboxy; C₁-C₆ alkanyl substituted with alkoxycarbonyl; or C₁-C₆ alkanyl substituted with -NR³²C(O)R^{32a} where R³² is hydrogen or C₁-C₆ alkanyl and R^{32a} is C₁-C₆ alkanyl, C₂-C₆ alkenyl, alkoxy, or cycloalkyl);
- j) heterocycloalkyl;
- k) -C(O)NR⁸R^{8'} (where R⁸ is hydrogen, C₁-C₆ alkanyl, or C₂-C₆ alkenyl; and R^{8'} is hydrogen; C₁-C₆ alkanyl; C₂-C₆ alkenyl; or substituted with one or two hydroxy);
- l) C₁-C₆ alkanyl substituted with -NR⁸C(O)R^{8'} (where R⁸ is hydrogen, C₁-C₆ alkanyl, or C₂-C₆ alkenyl and R^{8'} is C₁-C₆ alkanyl; C₂-C₆ alkenyl; or C₁-C₆ alkanyl substituted with alkoxy, aryl, and one, two, or three halo);
- m) cycloalkyl;
- n) cycloalkyl substituted with -NR⁸R^{8'} where R⁸ and R^{8'} are independently hydrogen, C₁-C₆ alkanyl, or C₂-C₆ alkenyl;
- o) cycloalkyl substituted with -C(O)NR³³R^{33a} where R³³ is hydrogen or C₁-C₆ alkanyl and R^{33a} is C₁-C₆ alkanyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, or cycloalkyl;
- p) heterocycloalkyl;
- q) heterocycloalkyl substituted with one or two C₁-C₆ alkanyl;
- r) heterocycloalkyl substituted with -C(O)OR⁸ where R⁸ is C₁-C₆ alkanyl or C₂-C₆ alkenyl;
- s) heteroaryl;
- t) heteroaryl optionally substituted with -NR⁸R^{8'} where R⁸ and R^{8'} are independently hydrogen, C₁-C₆ alkanyl, or C₂-C₆ alkenyl;
- u) C₁-C₆ alkanyl substituted with optionally substituted heteroaryl;
- v) C₁-C₆ alkanyl substituted with -NR⁸S(O)₂R⁹ where R⁸ is hydrogen, C₁-C₆ alkanyl, or C₂-C₆ alkenyl and R⁹ is

C₁-C₆ alkanyl or C₂-C₆ alkenyl;

w) C₁-C₆ alkanyl substituted with -NR⁸C(O)OR^{8'} where R⁸ and R^{8'} are independently hydrogen, C₁-C₆ alkanyl, or C₂-C₆ alkenyl;

x) C₁-C₆ alkanyl substituted with one aryl and one -NR⁸R^{8'} where R⁸ and R^{8'} are independently hydrogen, C₁-C₆ alkanyl, or C₂-C₆ alkenyl; or

y) C₁-C₆ alkanyl substituted with one or two -OR⁸ (where R⁸ is hydrogen) and one or two -NR⁸R^{8'} where R⁸ and R^{8'} are independently hydrogen, C₁-C₆ alkanyl, or C₂-C₆ alkenyl.

[0097] Optionally in (A11), there is disclosed compound of Formula I or Ia where the A ring is phenylene optionally substituted with R¹⁰, R¹², R¹⁴, and R¹⁶; R³ and R⁴ together with the carbon to which they are attached form C(O) or C(=NOH); and all other groups are as defined for a Compound of Formula I or Ia, respectively. Optionally X and R⁷ are halo; A is phenylene optionally substituted with R¹⁰, R¹², R¹⁴, and R¹⁶ where R¹⁴ and R¹⁶ are hydrogen and where R¹⁰ and R¹² are independently hydrogen or halo; R¹, R², R⁵ and R⁶ are hydrogen; and R³ and R⁴ together with the carbon to which they are attached form C(O) or C(=NOH)

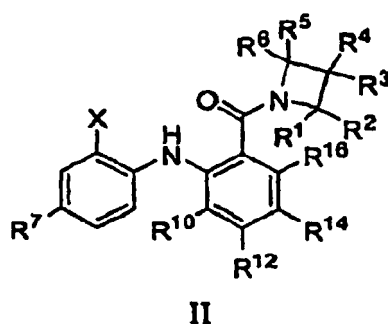
[0098] Optionally in (A12), there is disclosed a Compound of Formula I or Ia where the A ring is phenylene optionally substituted with R¹⁰, R¹², R¹⁴, and R¹⁶ where R¹⁴ and R¹⁶ are hydrogen and where R¹⁰ and R¹² are independently hydrogen or halo; X and R⁷ are halo; and R¹, R², R⁴, R⁵ and R⁶ are hydrogen; and all other groups are as defined in Formula I or Ia, respectively.

[0099] Optionally in (A14), there is disclosed a Compound of Formula I or Ia where the A ring is phenylene optionally substituted with R¹⁰, R¹², R¹⁴, and R¹⁶; R¹ is hydrogen; and R² is alkyl substituted with -NR⁸R^{8'}; where R⁸ and R^{8'} and all other groups are as defined in Formula I or Ia, respectively.

[0100] Optionally in (A15), there is disclosed a Compound Formula I or Ia where the A ring is phenylene optionally substituted with R¹⁰, R¹², R¹⁴, and R¹⁶; R⁷ is iodo or bromo; X is fluoro or chloro; R¹, R², R⁵, and R⁶ are hydrogen; and R¹⁰, R¹², R¹⁴, and R¹⁶ are independently hydrogen or fluoro; and all other groups are as defined in Formula I or Ia, respectively. In another embodiment, R¹⁰ is 3-fluoro and R¹², R¹⁴, and R¹⁶ are hydrogen or halo; R¹⁰ is 3-fluoro, R¹² is 4-fluoro, and R¹⁴ and R¹⁶ are hydrogen; R¹⁰ is 4-fluoro, R¹² is 5-fluoro, and R¹⁴ and R¹⁶ are hydrogen; R¹⁰ is 4-fluoro, R¹² is 6-fluoro, and R¹⁴ and R¹⁶ are hydrogen; or R¹² is 4-fluoro and R¹⁰, R¹⁴ and R¹⁶ are hydrogen.

[0101] Optionally, there is disclosed a compound of Formula I or Ia where the A ring is phenylene optionally substituted with R¹⁰, R¹², R¹⁴, and R¹⁶; R³ is hydroxy and R⁴ is heterocycloalkyl, C₁-C₆ alkanyl, or heteroaryl, where the C₁-C₆ alkanyl is optionally substituted with -NR⁸R^{8'} (where R⁸ is hydrogen or C₁-C₆ alkanyl and R^{8'} is hydrogen, C₁-C₆ alkanyl, or cycloalkyl where the cycloalkyl is optionally substituted with groups independently selected from hydroxy and C₁-C₆ alkanyl) and the heteroaryl is optionally substituted with C₁-C₆ alkanyl; and all other groups are as defined in Formula I or Ia, respectively. Optionally, R³ is hydroxy and R⁴ is heterocycloalkyl or C₁-C₆ alkanyl, where the C₁-C₆ alkanyl is optionally substituted with -NR⁸R^{8'} (where R⁸ is hydrogen or C₁-C₆ alkanyl and R^{8'} is hydrogen, C₁-C₆ alkanyl, or cycloalkyl where the cycloalkyl is optionally substituted with groups independently selected from hydroxy and C₁-C₆ alkanyl).

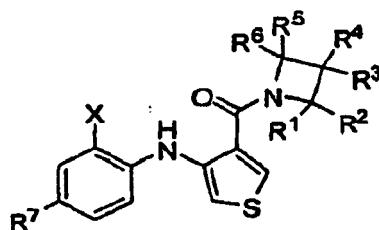
[0102] Another embodiment of the invention is a compound of Formula II:



and optionally as a pharmaceutically acceptable salt or solvate thereof, wherein X, R¹, R², R³, R⁴, R⁵, R⁶, and R⁷ are as defined above for Formula Ia, and R¹⁰, R¹², R¹⁴ and R¹⁶ are independently selected from hydrogen, C₁-C₆ alkanyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, halo, haloalkoxy, hydroxy, C₁-C₆ alkoxy, amino, alkylamino, dialkylamino, haloalkyl, -NHS(O)₂R⁸, -CN, -C(O)R⁸, -C(O)OR⁸, -C(O)NR⁸R^{8'} and -NR⁸C(O)R^{8'}.

[0103] In another embodiment of Formula II, R⁷ and X are halo and R¹⁰, R¹², R¹⁴ and R¹⁶ are independently selected from hydrogen and halo.

[0104] Also disclosed herein is a Compound of Formula III:



III

and optionally as a pharmaceutically acceptable salt or solvate thereof, wherein X, R¹, R², R³, R⁴, R⁵, R⁶, and R⁷ are as defined above for a Compound of Formula I.

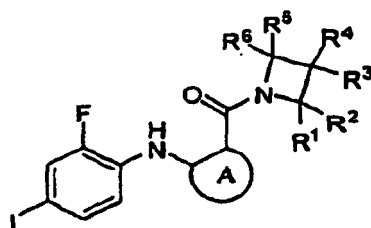
[0105] Optionally in Formula III, X and R⁷ are halo. Optionally, X is fluoro or chloro and R⁷ is iodo or bromo.

[0106] Optionally in Formula III, R³ is halo, nitro, -NR⁸R^{8'}, -OR⁸, -NHS(O)₂R⁸, -CN, -S(O)_mR⁸, -S(O)₂NR⁸R^{8'}, -C(O)R⁸, -C(O)OR⁸, -C(O)NR⁸R^{8'}, -NR⁸C(O)OR^{8'}, -NR⁸C(O)NR^{8'}R^{8''}, -NR⁸C(O)OR^{8'}, -NR⁸C(O)R^{8'}, lower alkanyl, lower alkenyl, lower alkynyl, cycloalkyl, heteroaryl, or heterocycloalkyl; where the lower alkanyl, lower alkenyl, lower alkynyl, cycloalkyl, heteroaryl, and heterocycloalkyl are independently optionally substituted with one, two, three, four, five, six or seven groups independently selected from halo, lower alkanyl, haloalkyl, nitro, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted heteroaryl, -OR⁸, -NR⁸R^{8'}, NR⁸S(O)₂R⁹, -CN, -S(O)_mR⁹, -C(O)R⁸, -C(O)OR⁸, -C(O)NR⁸R^{8'}, -NR⁸C(O)NR^{8'}R^{8''}, -NR⁸C(O)OR^{8'} and -NR⁸C(O)R^{8'} and R⁴ is as defined in Formula III; or R³ and R⁴ together with the carbon to which they are attached form C(O) or C(=NOH); and all other groups are as defined in Formula III. More specifically, R¹, R², R⁵ and R⁶ are hydrogen; and X and R⁷ are halo.

[0107] Optionally in Formula III, R³ and R⁴ are independently halo, nitro, -NR⁸R^{8'}, -OR⁸, -NHS(O)₂R⁸, -CN, -S(O)_mR⁸, -S(O)₂NR⁸R^{8'}, -C(O)R⁸, -C(O)OR⁸, -C(O)NR⁸R^{8'}, -NR⁸C(O)OR^{8'}, -NR⁸C(O)NR^{8'}R^{8''}, -NR⁸C(O)OR^{8'}, -NR⁸C(O)R^{8'}, lower alkanyl, lower alkenyl, lower alkynyl, cycloalkyl, heteroaryl, or heterocycloalkyl; where the lower alkanyl, lower alkenyl, lower alkynyl, cycloalkyl, heteroaryl, and heterocycloalkyl are independently optionally substituted with one, two, three, four, five, six or seven groups independently selected from halo, lower alkanyl, haloalkyl, nitro, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted heteroaryl, -OR⁸, -NR⁸R^{8'}, -NR⁸S(O)₂R⁹, -CN, -S(O)_mR⁹, -C(O)R⁸, -C(O)OR⁸, -C(O)NR⁸R^{8'}, -NR⁸C(O)NR^{8'}R^{8''}, -NR⁸C(O)OR^{8'} and -NR⁸C(O)R^{8'}; or R³ and R⁴ together with the carbon to which they are attached form C(O) or C(=NOH); and all other groups are as defined in Formula III. Optionally, R¹, R², R⁵ and R⁶ are hydrogen; and X and R⁷ are halo.

[0108] There is also disclosed herein a Compound of Formula I where the A ring is thien-diyl and X, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R¹⁰, and R¹² are as defined in Formula I. Optionally, the A ring is thien-3,4-diyl; R¹⁰ and R¹² are hydrogen; X and R⁷ are halo; and R¹, R², R⁵, and R⁶ are hydrogen. Optionally, X is fluoro or chloro; R⁷ is iodo or bromo; R³ is hydrogen or hydroxy; and R⁴ is -NR⁸R^{8'} (where R⁸ and R^{8'} are independently hydrogen or lower alkanyl), heterocycloalkyl, heteroaryl (optionally substituted with lower alkanyl), or lower alkanyl where the lower alkanyl is optionally substituted with -NR⁸R^{8'} (where R⁸ is hydrogen or lower alkanyl and R^{8'} is hydrogen, lower alkanyl, or cycloalkyl where the cycloalkyl is optionally substituted with one or two groups independently selected from hydroxy and lower alkanyl).

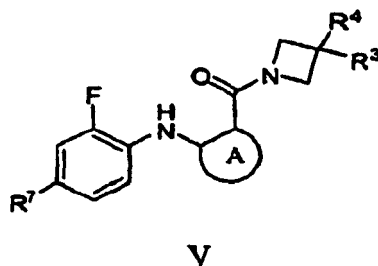
[0109] There is disclosed herein a compound of Formula IV:



IV

and optionally as a pharmaceutically acceptable salt or solvate thereof, wherein the A ring, R¹, R², R³, R⁴, R⁵, and R⁶ are as defined above for Formula I.

[0110] Another embodiment of the invention is a compound of Formula V:



and optionally as a pharmaceutically acceptable salt or solvate thereof, wherein the A ring, R³, R⁴, and R⁷ are as defined above for Formula Ia.

[0111] Another embodiment of the Invention (E) is directed to a Compound of Formula Ia where the A ring is phenylene optionally substituted with one or two groups selected from R¹⁰, R¹², R¹⁴, and R¹⁶ where R¹⁰, R¹², R¹⁴ and R¹⁶ are independently hydrogen or halo;

X is halo;

R¹, R², R⁵ and R⁶ are hydrogen;

R³ is hydrogen, halo, hydroxy, alkoxy, or amino;

R⁴ is hydrogen, halo, -NR⁸R^{8'}, -C(O)OR⁸, -C(O)NR⁸R^{8'}, -NR⁸C(O)OR^{8'}, -NR⁸C(O)R^{8'}, C₁-C₆ alkanyl, C₂-C₆ alkenyl, cycloalkyl, heterocycloalkyl, or heteroaryl; where the R⁴ C₁-C₆ alkanyl is optionally substituted with one, two, or three groups independently selected from -OR⁸, halo, nitro, -S(O)_mR⁹, optionally substituted heterocycloalkyl, -NR⁸R^{8'}, -NR⁸C(O)R^{8'}, -NR⁸S(O)₂R⁹, -NR⁸C(O)OR^{8'}, and aryl; where the R⁴ cycloalkyl is optionally substituted with one or two groups selected from -OR⁸ and -NR⁸R^{8'}; where the R⁴ heterocycloalkyl is optionally substituted with one or two groups independently selected from C₁-C₆ alkanyl and -C(O)OR⁸; and where the R⁴ heteroaryl is optionally substituted with -NR⁸R^{8'};

or

R³ and R⁴ together with the carbon to which they are attached form C(O) or C(=NOH);

m is 1 or 2;

R⁷ is halo;

[0112] R⁸ and R^{8'} are independently selected from hydrogen, hydroxy, C₁-C₆ alkanyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, aryl, heterocycloalkyl, heteroaryl, and cycloalkyl;

where the R⁸ and R^{8'} alkyl are independently optionally substituted with one, two, or three groups indendently selected from hydroxy, -NR³⁰R^{30'} (where R³⁰ and R^{30'} are independently hydrogen, C₁-C₆ alkanyl, or hydroxyalkyl), optionally substituted heteroaryl, optionally substituted cycloalkyl), alkoxy, substituted alkoxy, optionally substituted cycloalkyl, optionally substituted aryl, optionally substituted heterocycloalkyl, optionally substituted heteroaryl, -C(O)NR³³R^{33a} (where R³³ is hydrogen or alkyl and R^{33a} is C₁-C₆ alkanyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, or cycloalkyl), optionally substituted aryloxy, -S(O)_nR³¹ (where n is 0 and R³¹ is alkyl), carboxy, alkoxycarbonyl, and -NR³²C(O)R^{32a} (where R³² is hydrogen or alkyl and R^{32a} is C₁-C₆ alkanyl, C₂-C₆ alkenyl, alkoxy, or cycloalkyl); or where the C₁-C₆ alkanyl is optionally substituted with one, two, three, four, or five halo;

where the R⁸ and R^{8'} heteroaryl are independently optionally substituted with one or two groups indendently selected from amino and C₁-C₆ alkanyl;

where the R⁸ and R^{8'} heterocycloalkyl are independently optionally substituted with one, two, or three groups indendently selected from C₁-C₆ alkanyl, alkoxycarbonyl, optionally substituted arylalkyl, hydroxy, alkoxy, and hydroxyalkyl;

where the R⁸ and R^{8'} aryl are independently optionally substituted with one or two groups indendently selected from hydroxy, alkoxy, halo, NR³²C(O)R^{32a} (where R³² is hydrogen or C₁-C₆ alkanyl and R^{32a} is C₁-C₆ alkanyl, C₂-C₆ alkenyl, alkoxy, or cycloalkyl), and -NR³⁴SO₂R^{34a} (where R³⁴ is hydrogen or alkyl and R^{34a} is C₁-C₆ alkanyl, C₂-C₆ alkenyl, cycloalkyl, aryl, heteroaryl, or heterocycloalkyl); and

where the R⁸ and R^{8'} cycloalkyl are independently optionally substituted with one, two, or three groups indendently selected from hydroxy, hydroxyalkyl, alkoxy, carboxy, -C(O)NR³³R^{33a} (where R³³ is hydrogen or alkyl and R^{33a} is C₁-C₆ alkanyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, or cycloalkyl), and optionally substituted cycloalkyl; and

R⁹ is C₁-C₆ alkanyl or aryl.

[0113] Another embodiment of Embodiment (E) is directed to a Compound of Formula Ia where

R³ is hydrogen, halo, hydroxy, or alkoxy;

R⁴ is hydrogen, halo, -NR⁸R^{8'}, -NHS(O)₂R⁸, -C(O)OR⁸, -C(O)NR⁸R^{8'}, -NR⁸C(O)OR^{8'}, -NR⁸C(O)R^{8'}, C₁-C₆ alkanyl, C₂-C₆ alkenyl, or heterocycloalkyl; where the R⁴ C₁-C₆ alkanyl is optionally substituted with one, two, or three groups independently selected from -OR⁸, halo, optionally substituted heterocycloalkyl, and -NR⁸R^{8'}; and where the R⁴ heterocycloalkyl is optionally substituted with one or two groups independently selected from C₁-C₆ alkanyl and -C(O)OR⁸; or R³ and R⁴ together with the carbon to which they are attached form C(O) or C(=NOH);

m is 1 or 2;

R⁷ is halo;

R⁸ and R^{8'} are independently selected from hydrogen, hydroxy, C₁-C₆ alkanyl, and C₂-C₆ alkenyl; where the R⁸ and R^{8'} C₁-C₆ alkanyl are independently optionally substituted with one, two, or three groups independently selected from halo, hydroxy, and -NR³⁰R^{30'} (where R³⁰ and R^{30'} are independently hydrogen, C₁-C₆ alkanyl, or hydroxyalkyl); and

all other groups are as defined in Embodiment E.

[0114] Another embodiment (F) of the Invention is a Compound of Formula Ia where

the A ring is phenylene optionally substituted with one or two groups selected from R¹⁰, R¹², R¹⁴, and R¹⁶ where R¹⁰, R¹², R¹⁴ and R¹⁶ are independently hydrogen or halo;

X is halo;

R¹, R², R⁵ and R⁶ are hydrogen;

R³ is hydrogen, halo, hydroxy, or alkoxy;

R⁴ is -NR⁸R^{8'}, -NHS(O)₂R⁸, -C(O)OR⁸, -C(O)NR⁸R^{8'}, -NR⁸C(O)OR⁸, -NR⁸C(O)R^{8'}, C₁-C₆ alkanyl, C₂-C₆ alkenyl, or heterocycloalkyl; where the R⁴ C₁-C₆ alkanyl is optionally substituted with one, two, or three groups independently selected from -OR⁸, halo, optionally substituted heterocycloalkyl, and -NR⁸R^{8'}; and where the R⁴ heterocycloalkyl is

optionally substituted with one or two groups independently selected from lower alkanyl and -C(O)OR⁸; or

R³ and R⁴ together with the carbon to which they are attached form C(O) or C(=NOH); and

R⁸ and R^{8'} are independently selected from hydrogen, hydroxy, C₁-C₆ alkanyl, and C₂-C₆ alkenyl; where the R⁸ and R^{8'} C₁-C₆ alkanyl are independently optionally substituted with one, two, or three groups independently selected from halo, hydroxy, and -NR³⁰R^{30'} (where R³⁰ and R^{30'} are independently hydrogen, C₁-C₆ alkanyl, or hydroxyalkyl).

[0115] Another embodiment (G) of the Invention is directed to a Compound of Formula Ia where

the A ring is thien-3,4-diyl optionally substituted with one, two, or three groups independently selected from R¹⁰, R¹², R¹⁴, and R¹⁶ where R¹⁰, R¹², R¹⁴ and R¹⁶ are independently hydrogen, C₁-C₆ alkanyl, halo, or amino;

X is halo;

R¹, R², R⁵ and R⁶ are hydrogen;

R³ is hydrogen or hydroxy;

R⁴ is -OR⁸, NR⁸R^{8'}, heterocycloalkyl, heteroaryl, or C₁-C₆ alkanyl; where the C₁-C₆ alkanyl is optionally substituted with NR⁸R^{8'} and where the heteroaryl is optionally substituted with C₁-C₆ alkanyl;

R⁷ is halo;

R⁸ is hydrogen or C₁-C₆ alkanyl; and

R^{8'} is hydrogen, C₁-C₆ alkanyl, or cycloalkyl; where the cycloalkyl is optionally substituted with one or two groups independently selected from hydroxy and C₁-C₆ alkanyl.

[0116] Another embodiment of the Invention (H) is directed to a Compound of Formula Ia where

the A ring is thien-3,4-diyl;

X is halo;

R¹, R², R⁵ and R⁶ are hydrogen;

R³ is hydrogen or hydroxy;

R⁴ is -OR⁸, -NR⁸R^{8'}, heterocycloalkyl, or lower alkanyl; where the C₁-C₆ alkanyl is optionally substituted with -NR⁸R^{8'};

R⁷ is halo;

R⁸ is hydrogen or C₁-C₆ alkanyl;

R^{8'} is hydrogen or lower C₁-C₆; and

all other groups are as defined in Embodiment E.

[0117] There is disclosed herein a pharmaceutical composition comprising a compound according to any of Formulas I, Ia, Ic, Id, II, III, IV, and V or a compound as depicted in Table 1, and a pharmaceutically acceptable carrier. Optionally, the Compound is according to Formula Ia, according to Formula V, or according to Embodiment G.

Section I Definitions

[0118] As used in this section, the following words and phrases are generally intended to have the meanings as set forth below, except to the extent that the context in which they are used indicates otherwise or they are expressly defined to mean something different.

[0119] The symbol "-" means a single bond, "=" means a double bond, "≡" means a triple bond, and "___" means a single bond and optionally a double bond. When chemical structures are depicted or described, unless explicitly stated otherwise, all carbons are assumed to have hydrogen substitution to conform to a valence of four. Sometimes a particular atom in a structure is described in textual Formula as having a hydrogen or hydrogens as substitution (expressly defined hydrogen), for example, -CH₂CH₂-. It is understood by one of ordinary skill in the art that the aforementioned descriptive techniques are common in the chemical arts to provide brevity and simplicity to description of otherwise complex structures.

[0120] "Alkyl" or "lower alkyl" means a (C₁-C₂₀) linear, branched, or cyclic hydrocarbon group and combinations

thereof, inclusively. For example, "C₈ alkyl" refers to an n-octyl, iso-octyl, cyclohexylethyl, isobutenyl, and but-2-ynyl groups. Examples of lower alkyl groups include methyl, ethyl, propyl, isopropyl, butyl, s-butyl, t-butyl, isobutyl, pentyl, hexyl. Exemplary alkyl groups are those of C₂₀ or below.

[0121] In this application, alkyl includes alkanyl, alkenyl, alkynyl, and cycloalkyl residues (and combinations thereof); it is intended to include cyclohexylmethyl, vinyl, allyl, isoprenyl. Thus when an alkyl residue having a specific number of carbons is named, all geometric isomers having that number of carbons are intended to be encompassed; thus, for example, either "butyl" or "C₄ alkyl" is meant to include n-butyl, sec-butyl, isobutyl, t-butyl, isobutenyl and but-2-ynyl groups; and for example, "propyl" or "C₃ alkyl" each include n-propyl, propenyl, and isopropyl.

[0122] "Alkanyl" means a linear saturated monovalent hydrocarbon radical of one to twenty carbon atoms or a branched saturated monovalent hydrocarbon radical of three to 20 carbon atoms, e.g., methyl, ethyl, propyl, 2-propyl, butyl (including all isomeric forms), or pentyl (including all isomeric forms). "Lower alkanyl" means alkanyl having one to six carbons atoms.

[0123] The term "cycloalkyl" means a monocyclic or polycyclic hydrocarbon radical having three to thirteen carbon atoms. The cycloalkyl can be saturated or partially unsaturated, but cannot contain an aromatic ring. Cycloalkyl includes fused, bridged, and spiro ring systems. Examples of such radicals include cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl.

[0124] "Optionally substituted cycloalkyl" means a cycloalkyl radical, as defined herein, that is optionally substituted with one, two, three, or four groups independently selected from C₁-C₆ alkanyl, C₁-C₆ alkoxy, halo, haloalkyl, haloalkoxy, oxo, hydroxy, cyano, nitro, amino, mono(C₁-C₆)alkylamino, di(C₁-C₆)alkylamino, C₂-C₆alkenyl, C₂-C₆alkynyl, C₁-C₆ haloalkyl, C₁-C₆ haloalkoxy, amino(C₁-C₆)alkyl, mono(C₁-C₆)alkylamino(C₁-C₆)alkyl di(C₁-C₆)alkylamino(C₁-C₆)alkyl, carboxy, carboxy ester, cycloalkyl, hydroxyalkyl, -C(O)NR'R" (where R' is hydrogen, alkyl, hydroxy, or alkoxy and R" is hydrogen, alkyl, aryl, or heterocyclyl), optionally substituted heterocycloalkyl, optionally substituted heteroaryl, -NR'C(O)R" (where R' is hydrogen or alkyl and R" is alkyl, aryl, or heterocyclyl), and -NHS(O)₂R' (where R' is alkyl, aryl, or heterocyclyl).

[0125] "Alkenyl" means a straight or branched hydrocarbon radical having from 2 to 20 carbon atoms and at least one double bond and includes ethenyl, propenyl, 1-but-3-enyl, 1-pent-3-enyl, 1-hex-5-enyl. "Lower alkenyl" is alkenyl having 2-6 carbon atoms.

[0126] "Alkynyl" means a straight or branched hydrocarbon radical having from 2 to 20 carbon atoms and at least one triple bond and includes ethynyl, propynyl, butynyl, pentyn-2-yl. "Lower alkynyl" is alkynyl having 2-6 carbon atoms.

[0127] "Alkylene" means a straight or branched divalent group consisting solely of carbon and hydrogen atoms, containing no unsaturation and having from one to ten carbon atoms, for example, methylene, ethylene, propylene, *n*-butylene. Alkylene is a subset of alkyl, referring to the same residues as alkyl, but having two points of attachment and, specifically, fully saturated. Examples of alkylene include ethylene (-CH₂CH₂-), propylene (-CH₂CH₂CH₂-), dimethylpropylene (-CH₂C(CH₃)₂CH₂-), and cyclohexylpropylene (-CH₂CH₂CH(C₆H₁₃)).

[0128] "Alkylidene" means a straight or branched, divalent group consisting solely of carbon and hydrogen atoms, having from two to ten carbon atoms, and containing at least one double bond. Representative examples include ethylidene, propylidene, *n*-butylidene.

[0129] "Alkylidyne" means a straight or branched chain divalent group consisting solely of carbon and hydrogen atoms having from two to ten carbon atoms, and containing at least one triple bond, for example, propylid-2-ynyl, *n*-butylid-1-ynyl.

[0130] "Alkoxy" or "alkoxy" means -O-alkyl, where the alkyl group includes from one to eight carbon atoms of a straight, branched, cyclic configuration, unsaturated chains, and combinations thereof attached to the parent structure through an oxygen atom. Examples include methoxy, ethoxy, propoxy, isopropoxy, cyclopropyloxy, cyclohexyloxy. Lower-alkoxy refers to groups containing one to six carbons.

[0131] "Substituted alkoxy" means an -OR radical where R is substituted alkyl as defined herein. Representative examples include groups such as -OCH₂CH₂OCH₃, and glycol ethers such as polyethyleneglycol and -O(CH₂CH₂O)_xCH₃, (where x is an integer of between two and twenty, preferable, between two and ten, and more preferably, between two and five). Another exemplary substituted alkoxy group is hydroxyalkoxy or -OCH₂(CH₂)_yOH (where y is an integer of between one and ten, in another example y is an integer of between one and four).

[0132] "Alkoxyalkyl" means a lower alkyl group, as defined herein, substituted with at least one, preferably one, two, or three, alkoxy groups as defined herein. Representative examples include methoxymethyl.

[0133] "Alkoxy-carbonylamino" means a -NR'C(O)OR" group where R' is hydrogen, alkyl, hydroxy, or alkoxy and R" is alkyl.

[0134] "Alkylcarbonyloxy" means an -OC(O)R group where R is alkyl, as defined herein.

[0135] "Acyl" means a -C(O)R radical where R is alkyl (i.e., one to ten carbon atoms of a straight, branched, or cyclic configuration, and is saturated or unsaturated) or R is optionally substituted aryl or optionally substituted heteroaryl. One or more carbons in the R residue may be replaced by nitrogen, oxygen or sulfur. Examples include acetyl, benzoyl, propionyl, isobutyryl, *t*-butoxycarbonyl, benzyloxycarbonyl, and pyridinylcarbonyl. Lower-acyl refers to groups containing one to six carbons.

[0136] "Acylamino" means a -NRR' group where R is acyl, as defined herein, and R' is hydrogen or alkyl.

[0137] "Alkylamino" means a -NHR radical where R is alkyl as defined herein, or an N-oxide derivative, or a protected derivative thereof, e.g., methylamino, ethylamino, *n*-, *iso*-propylamino, *n*-, *iso*-, *tert*-butylamino, or methylamino-N-oxide.

[0138] "Alkylaminoalkyl" means an alkyl group substituted with one or two alkylamino groups, as defined herein.

[0139] "Alkylaminocarbonyl" means a -C(O)NHR radical where R is alkyl, as defined herein.

[0140] "Aryl" means a monovalent six- to fourteen-membered, mono- or bi-carbocyclic ring, wherein the monocyclic ring is aromatic and at least one of the rings in the bicyclic ring is aromatic. Representative examples include phenyl, naphthyl, and indanyl.

[0141] "Optionally substituted aryl" means an aryl group, as defined herein, which is optionally substituted with one, two, three, four, or five groups selected from halo, haloalkyl, haloalkoxy, hydroxy, lower alkanyl, lower alkenyl, lower alkynyl, alkoxy, carboxy, carboxy ester, amino, alkylamino, dialkylamino, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted heteroaryl, -C(O)NR'R" (where R' is hydrogen or alkyl and R" is hydrogen, alkyl, aryl, or heterocyclyl), -NR'C(O)R" (where R' is hydrogen or alkyl and R" is alkyl, aryl, or heterocyclyl), and -NHS(O)₂R' (where R' is alkyl, aryl, or heteroaryl).

[0142] "Arylalkyl" means a residue in which an aryl moiety is attached to a parent structure via one of an alkylene, alkylidene, or alkylidyne group. Examples include benzyl, phenethyl, phenylvinyl, phenylallyl. "Lower arylalkyl" refers to an arylalkyl where the "alkyl" portion of the group has one to six carbons; this can also be referred to as C₁₋₆ arylalkyl.

[0143] "Optionally substituted arylalkyl" means an alkyl group substituted with one or two optionally substituted aryl group(s) as defined herein. In addition the alkyl group may itself be substituted as described under "substituted alkyl".

[0144] "Arylalkyloxy" means an -OR group where R is arylalkyl, as defined herein.

[0145] "Carboxy ester" means a -C(O)OR group where R is lower alkanyl, lower alkenyl, lower alkynyl, cycloalkyl, aryl or arylalkyl, each of which is defined herein. Representative examples include methoxycarbonyl, ethoxycarbonyl, and benzyloxycarbonyl.

[0146] "Dialkylamino" means a -NRR' radical where R and R' are independently alkyl as defined herein, or an N-oxide derivative, or a protected derivative thereof, e.g., dimethylamino, diethylamino, *N,N*-methylpropylamino or *N,N*-methyl-ethylamino.

[0147] "Dialkylaminoalkyl" means an alkyl group substituted with one or two dialkylamino groups, as defined herein.

[0148] "Dialkylaminocarbonyl" means a -C(O)NRR' group where R and R' are alkyl.

[0149] "Exo-alkenyl" refers to a double bond that emanates from an annular carbon, and is not within the ring system.

[0150] In some examples, as appreciated by one of ordinary skill in the art, two adjacent groups on an aromatic system may be fused together to form a ring structure. The fused ring structure may contain heteroatoms and may be optionally substituted with one or more groups. It should additionally be noted that saturated carbons of such fused groups (*i.e.* saturated ring structures) can contain two substitution groups.

[0151] "Fused-polycyclic" or "fused ring system" means a polycyclic ring system that contains bridged or fused rings; that is, where two rings have more than one shared atom in their ring structures. In this application, fused-polycyclics and fused ring systems are not necessarily all aromatic ring systems. Typically, but not necessarily, fused-polycyclics share a vicinal set of atoms, for example naphthalene or 1,2,3,4-tetrahydro-naphthalene. A spiro ring system is not a fused-polycyclic by this definition, but fused polycyclic ring systems of the invention may themselves have spiro rings attached thereto via a single ring atom of the fused-polycyclic.

[0152] "Haloalkoxy" means an -OR' group where R' is haloalkyl as defined herein, e.g., trifluoromethoxy or 2,2,2-trifluoroethoxy.

[0153] "Halogen" or "halo" means fluoro, chloro, bromo or iodo.

[0154] "Haloalkyl" and "haloaryl" mean an alkyl and an aryl group, respectively, that are substituted with one or more halogens, preferably one to five halo atoms. Thus, "dihaloaryl," "dihaloalkyl," and "trihaloaryl" etc. refer to aryl and alkyl substituted with a plurality of halogens, but not necessarily a plurality of the same halogen; thus 4-chloro-3-fluorophenyl is within the scope of dihaloaryl.

[0155] "Heteroatom" refers to O, S, N, or P.

[0156] "Heterocyclyl" means a stable three- to fifteen-membered ring substituent that consists of carbon atoms and from one to five heteroatoms selected from the group consisting of nitrogen, phosphorus, oxygen and sulfur. For purposes of this invention, the heterocyclyl substituent may be a monocyclic, bicyclic or tricyclic ring system, which may include fused or bridged ring systems as well as spirocyclic systems. The terms "heterocycloalkyl" and "heteroaryl" are groups that are encompassed by the broader term "heterocyclyl." The nitrogen, phosphorus, carbon and sulfur atoms in the heterocyclyl group may be optionally oxidized to various oxidation states. In a specific example, the group -S(O)₀₋₂-, refers to -S- (sulfide), -S(O)- (sulfoxide), and -SO₂- (sulfone). For convenience, nitrogens, those defined as annular aromatic nitrogens, are meant to include their corresponding *N*-oxide form, although not explicitly defined as such in a particular example. Thus, for a compound of the invention having, for example, a pyridyl ring; the corresponding pyridyl-*N*-oxide is meant to be included as another compound of the invention. In addition, annular nitrogen atoms may be optionally quaternized; and the ring substituent may be partially or fully saturated or aromatic. Examples of heterocyclyl

groups include, azetidiny, acridiny, benzodioxoly, benzodioxanyl, benzofuranyl, carbazoyl, cinnoliny, dioxolanyl, indoliziny, naphthyridiny, perhydroazepiny, phenaziny, phenothiaziny, phenoxaziny, phthalaziny, pteridiny, puriny, quinazolinyl, quinoxaliny, quinoliny, isoquinoliny, tetrazoyl, tetrahydroisoquinolyl, piperidiny, piperaziny, 2-oxopiperaziny, 2-oxopiperidiny, 2-oxopyrrolidiny, 2-oxoazepiny, azepiny, pyrroly, 4-piperidonyl, pyrrolidiny, pyrazoly, pyrazolidiny, imidazoly, imidazoliny, imidazolidiny, dihydropyridiny, tetrahydropyridiny, pyridiny, pyraziny, pyrimidiny, pyridaziny, oxazoly, oxazoliny, oxazolidiny, triazoly, isoxazoly, isoxazolidiny, morpholiny, thiazoly, thiazoliny, thiazolidiny, isothiazoly, quinuclidiny, isothiazolidiny, indolyl, isoindolyl, indoliny, isoindoliny, octahydroindolyl, octahydroisoindolyl, quinolyl, isoquinolyl, decahydroisoquinolyl, benzimidazolyl, thiadiazolyl, benzopyranyl, benzothiazolyl, benzoxazolyl, furyl, tetrahydrofuryl, tetrahydropyranyl, thienyl, benzothienyl, thiamorpholiny, thiamorpholiny sulfoxide, thiamorpholiny sulfone, dioxaphospholanyl, and oxadiazolyl.

[0157] "Optionally substituted heterocyclyl" means a heterocyclyl group, as defined herein, optionally substituted with one, two, three, four, or five groups selected from halo, haloalkyl, haloalkoxy, hydroxy, oxo (valency rules permitting), lower alkanyl, lower alkenyl, lower alkynyl, alkoxy, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, alkylaminoalkyl, dialkylaminoalkyl, carboxy, carboxy ester, -C(O)NR'R" (where R' is hydrogen or alkyl and R" is hydrogen, alkyl, aryl, or heterocyclyl), -NR'C(O)R" (where R' is hydrogen or alkyl and R" is alkyl, aryl, or heterocyclyl), amino, alkylamino, dialkylamino, and -NHS(O)₂R' (where R' is alkyl, aryl, or heteroaryl).

[0158] "Heteroalicyclic" and "heterocycloalkyl" mean a non-aromatic heterocyclyl group, as defined herein. A "heteroalicyclic" or "heterocycloalkyl" may be fully saturated or may contain unsaturation, but is not aromatic. "Heteroalicyclic" or "heterocycloalkyl" may be monocyclic or bicyclic (including fused, bridged, and spiro ring systems).

[0159] "Optionally substituted heteroalicyclic" and "optionally substituted heterocycloalkyl" mean, respectively, a heteroalicyclic and heterocycloalkyl ring, each as defined herein, optionally substituted with one, two, three, four, or five groups selected from halo, haloalkyl, haloalkoxy, hydroxy, oxo, lower alkanyl, lower alkenyl, lower alkynyl, alkoxy, optionally substituted cycloalkyl, heterocycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, alkylaminoalkyl, dialkylaminoalkyl, carboxy, carboxy ester, -C(O)NR'R" (where R' is hydrogen or alkyl and R" is hydrogen, alkyl, aryl, or heterocyclyl), -NR'C(O)R" (where R' is hydrogen or alkyl and R" is alkyl, aryl, or heterocyclyl), amino, alkylamino, dialkylamino, and -NHS(O)₂R' (where R' is alkyl, aryl, or heteroaryl).

[0160] "Heteroaryl" means a 5- to 12-membered, monocyclic aromatic heterocyclyl (where heterocyclyl is defined herein) or bicyclic heterocyclyl ring system (where at least one of the rings in the bicyclic system is aromatic) where the monocyclic ring and at least one of the rings in the bicyclic ring system contains one, two, three, four, or five heteroatom(s) selected from nitrogen, oxygen, phosphorous, and sulfur. Representative examples include pyridiny, imidazolyl, pyrimidiny, pyrazoly, triazoly, pyraziny, tetrazoly, furyl, thienyl, isoxazoly, thiazoly, oxazoly, isothiazoly, pyrroly, quinoliny, isoquinoliny, indolyl, benzimidazolyl, benzofuranyl, cinnoliny, indazolyl, indoliziny, phthalaziny, pyridaziny, triaziny, isoindolyl, pteridiny, puriny, oxadiazolyl, triazoly, thiadiazolyl, thiadiazolyl, furazanyl, benzofurazanyl, benzothiophenyl, benzothiazolyl, benzoxazolyl, quinazolinyl, quinoxaliny, naphthyridiny, and furopyridiny. Fused, bridged, and spiro moieties are also included within the scope of this definition.

[0161] "Optionally substituted heteroaryl" means a heteroaryl group, as defined herein, optionally substituted with one, two, three, four, or five groups selected from halo, haloalkyl, haloalkoxy, lower alkanyl, lower alkenyl, lower alkynyl, alkoxy, hydroxy, oxo (valency rules permitting), carboxy, carboxy ester, amino, alkylamino, dialkylamino, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, heteroaryl, optionally substituted aryl, -C(O)NR'R" (where R' is hydrogen or alkyl and R" is hydrogen, alkyl, aryl, or heterocyclyl), -NR'C(O)R" (where R' is hydrogen or alkyl and R" is alkyl, aryl, or heterocyclyl), and -NHS(O)₂R' (where R' is alkyl, aryl, or heteroaryl).

[0162] "Optionally substituted heterocyclylalkyl" means an alkyl group substituted with an optionally substituted heterocyclyl group, as defined herein.. Examples include (4-methylpiperazin-1-yl) methyl, (morpholin-4-yl) methyl, (pyridin-4-yl) methyl, 2-(oxazolin-2-yl) ethyl, 4-(4-methylpiperazin-1-yl)-2-butenyl. In addition, the alkyl portion of a heterocyclylalkyl group may be substituted as described in the definition for "substituted". "Lower heterocyclylalkyl" means a heterocyclylalkyl where the "alkyl" portion of the group has one to six carbons. "Heteroalicycliclalkyl" or "lower heterocycloalkylalkyl" means a heterocyclylalkyl where the heterocyclyl portion of the group is non-aromatic; and "heteroarylalkyl" means a heterocyclylalkyl where the heterocyclyl portion of the group contains an aromatic ring. Such terms may be described in more than one way, for example, "lower heterocyclylalkyl" and "heterocyclyl C₁₋₆alkyl" are equivalent terms. Additionally, for simplicity, the number of annular atoms (including heteroatoms) in a heterocycle may be denoted as "C_x-C_y" (as in "C_x-C_y-heterocyclyl" and "C_x-C_y-heteroaryl"), where x and y are integers. So, for example, C₅-C₁₄-heterocyclyl refers to a 5 to 14 membered ring system having at least one heteroatom and not a ring system containing 5 to 14 annular carbon atoms.

[0163] Preferred heterocyclyls include, acridiny, azociny, benzimidazolyl, benzofuranyl, benzothiophenyl, benzoxazolyl, benzthiazolyl, benztriazolyl, pyridotriazolyl, benzisoxazolyl, benzisothiazolyl, benzimidazoliny, carbazolyl, 4aH-carbazolyl, carboliny, chromanyl, chromenyl, cinnoliny, decahydroquinoliny, 2H,6H-1,5,2-dithiaziny, dihydrofuro[2,3-b]tetrahydrofuran, furanyl, furazanyl, imidazolidiny, imidazoliny, imidazolyl, 1H-indazolyl, indolenyl, indoliny, indoliziny,

indolyl, 3*H*-indolyl, isobenzofuranyl, isochromanyl, isoindazolyl, isoindolinyl, isoindolyl, isoquinolinyl, isothiazolyl, isoxazolyl, methylenedioxyphenyl, morpholinyl, naphthyridinyl, octahydroisoquinolinyl, oxadiazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, oxazolidinyl, oxazolyl, oxazolidinyl, pyrimidinyl, phenanthridinyl, phenanthrolinyl, phenazinyl, phenothiazinyl, phenoxathiinyl, phenoxazinyl, phthalazinyl, piperazinyl, piperidinyl, piperidonyl, 4-piperidonyl, piperonyl, pteridinyl, purinyl, pyranyl, pyrazinyl, pyrazolidinyl, pyrazolinyl, pyrazolyl, pyridazinyl, pyridooxazole, pyridoimidazole, pyridothiazole, pyridinyl, pyridyl, pyrimidinyl, pyrrolidinyl, pyrrolinyl, 2*H*-pyrrolyl, pyrrolyl, quina-

zolinyl, quinolinyl, 4*H*-quinoliziny, quinoxalyl, quinuclidinyl, tetrahydrofuranyl, tetrahydroisoquinolinyl, tetrahydroquinolinyl, tetrazolyl, 6*H*-1,2,5-thiadiazinyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, thianthrenyl, thiazolyl, thienyl, thienothiazolyl, thienooxazolyl, thienoimidazolyl, thiophenyl, triazinyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,3,4-triazolyl, and xanthenyl.

[0164] "Hydroxyalkyl" means an alkanyl, alkenyl, or alkynyl radical, as defined herein, substituted with at least one, preferably one, two, or three, hydroxy group(s), provided that if two hydroxy groups are present they are not both on the same carbon atom. Representative examples include hydroxymethyl, 2-hydroxyethyl, 2-hydroxypropyl, 3-hydroxypropyl, 1-(hydroxymethyl)-2-methylpropyl, 2-hydroxybutyl, 3-hydroxybutyl, 4-hydroxybutyl, 2,3-dihydroxypropyl, 1-(hydroxymethyl)-2-hydroxyethyl, 2,3-dihydroxybutyl, 3,4-dihydroxybutyl and 2-(hydroxymethyl)-3-hydroxypropyl, preferably 2-hydroxyethyl, 2,3-dihydroxypropyl, or 1-(hydroxymethyl)-2-hydroxyethyl.

[0165] "Optional" or "optionally" means that the subsequently described event or circumstance may or may not occur, and that the description includes instances where said event or circumstance occurs and instances in which it does not. One of ordinary skill in the art would understand that with respect to any molecule described as containing one or more optional substituents, only sterically practical and/or synthetically feasible compounds are meant to be included. "Optionally substituted" refers to all subsequent modifiers in a term. So, for example, in the term "optionally substituted arylC₁₋₈ alkyl," both the "C₁₋₈ alkyl" portion and the "aryl" portion of the molecule may or may not be substituted. A list of exemplary optional substitutions is presented below in the definition of "substituted."

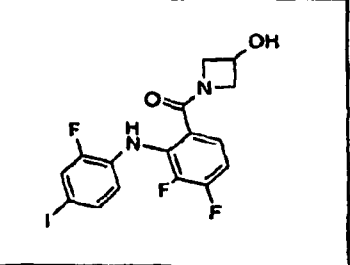
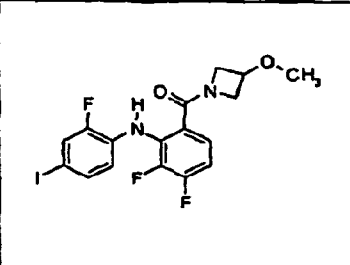
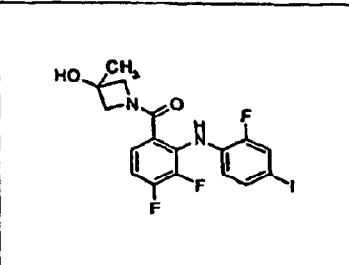
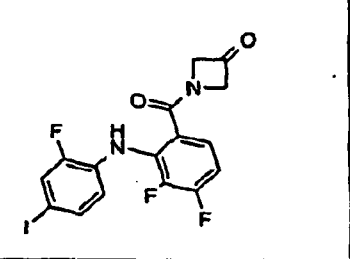
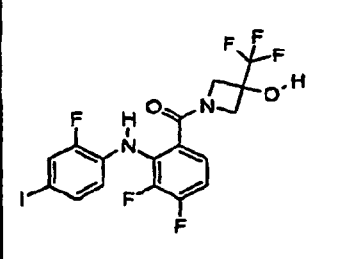
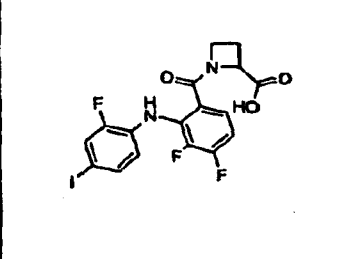
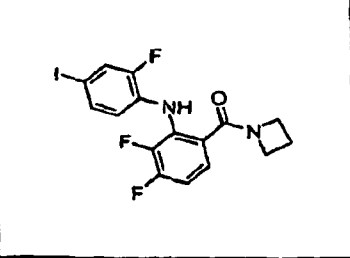
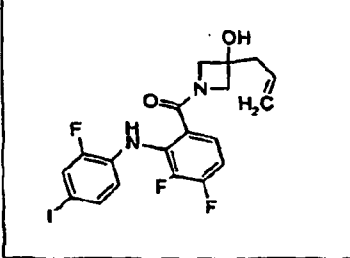
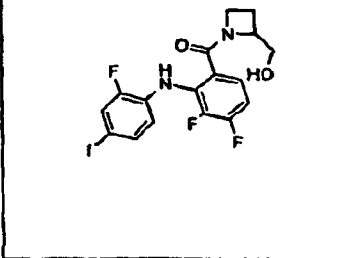
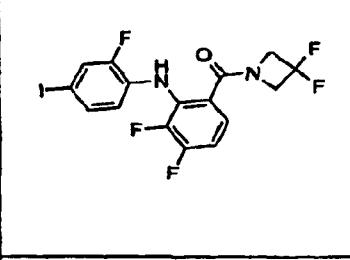
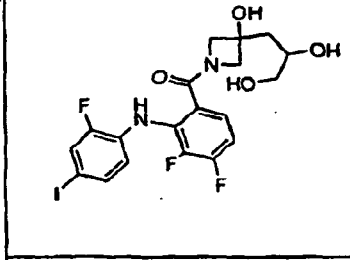
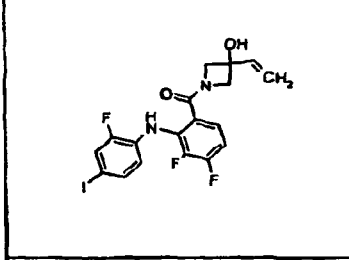
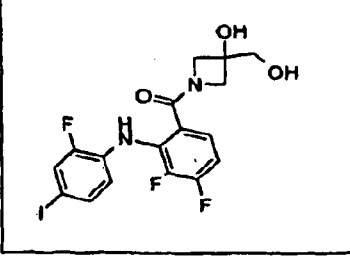
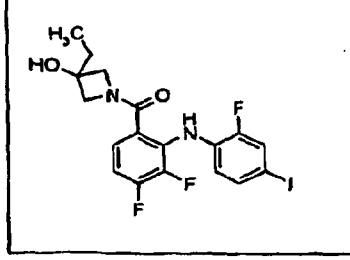
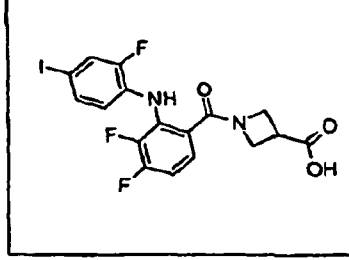
[0166] "Saturated bridged ring system" refers to a bicyclic or polycyclic ring system that is not aromatic. Such a system may contain isolated or conjugated unsaturation, but not aromatic or heteroaromatic rings in its core structure (but may have aromatic substitution thereon). For example, hexahydro-furo[3,2-*b*]furan, 2,3,3a,4,7,7a-hexahydro-1*H*-indene, 7-aza-bicyclo[2.2.1]heptane, and 1,2,3,4,4a,5,8,8a-octahydro-naphthalene are all included in the class "saturated bridged ring system."

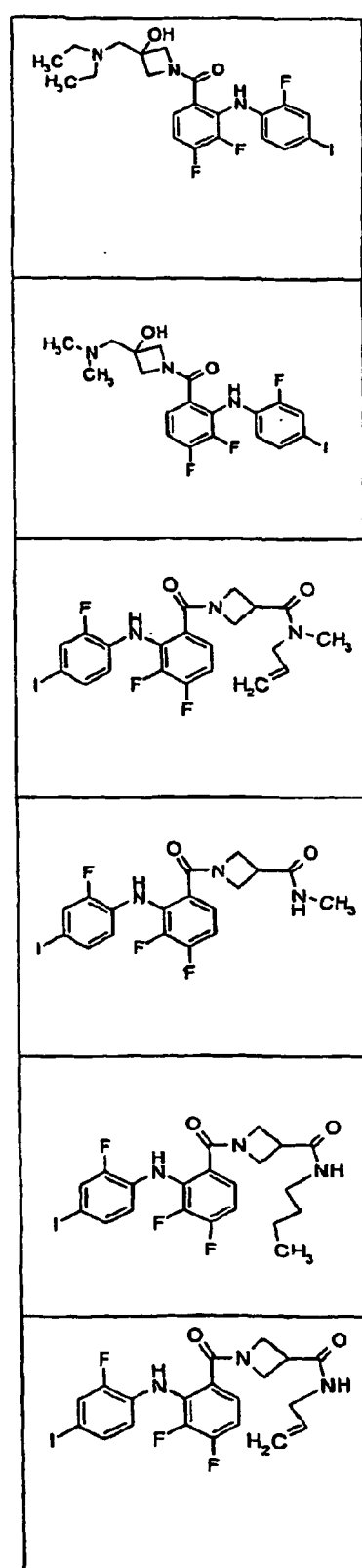
[0167] "Spiro", "Spirocyclyl" or "spiro ring" refers to a ring originating from a particular annular carbon of another ring. For example, as depicted below, a ring atom of a saturated bridged ring system (rings B and B'), but not a bridgehead atom, can be a shared atom between the saturated bridged ring system and a spirocyclyl (ring A) attached thereto. A spirocyclyl can be carbocyclic or heteroalicyclic.

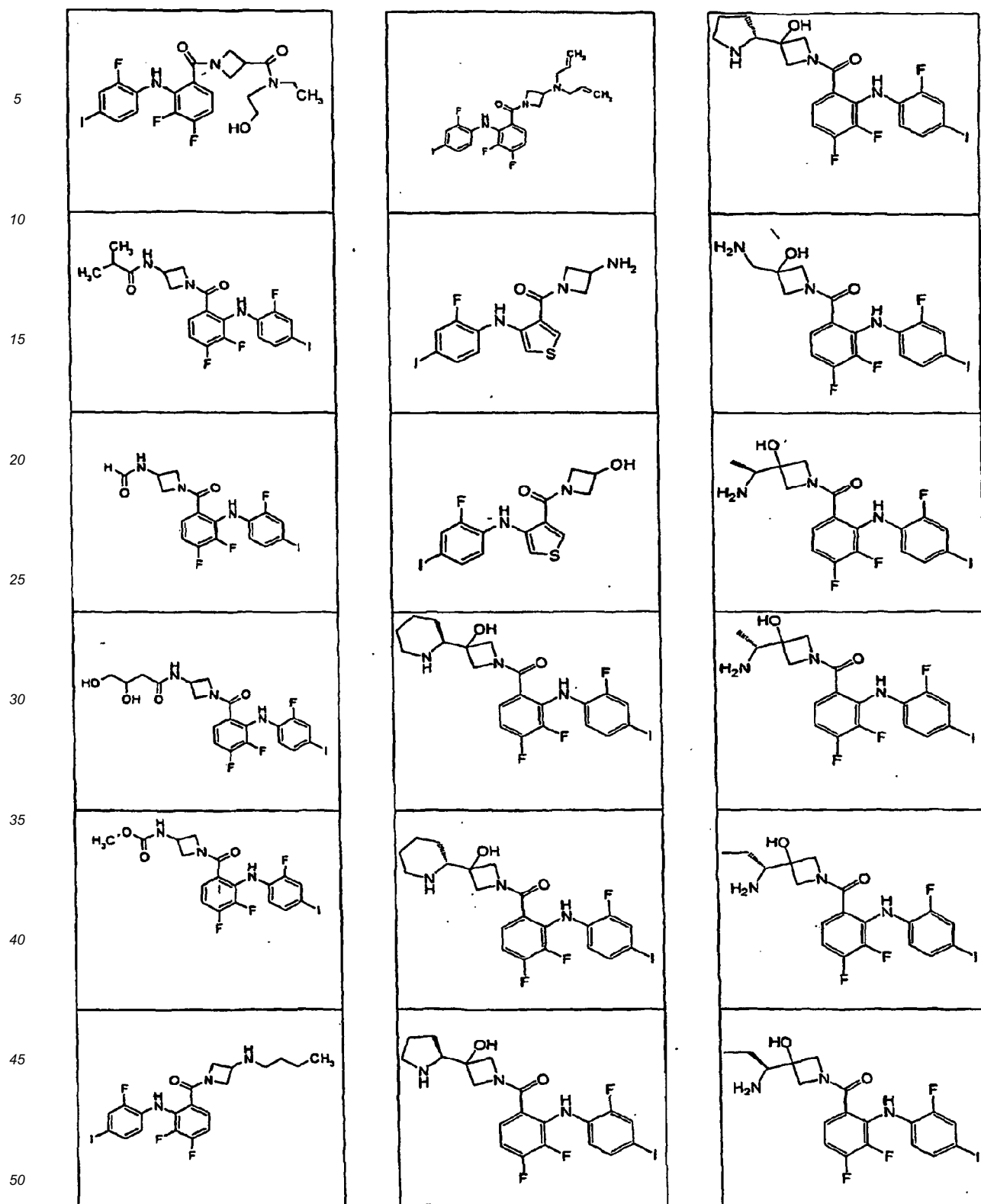
[0168] "Substituted" alkyl, alkylene, alkylidene, and alkylidyne refer respectively to alkyl, alkylene, alkylidene, and alkylidyne where one or more (for example up to about five, in another example, up to about three) hydrogen atoms are replaced by a substituent independently selected from halo, optionally substituted aryl, hydroxy, alkoxy, optionally substituted heterocyclyl, alkylenedioxy, amino, alkylamino, dialkylamino, amidino, aryloxy, arylalkyloxy, carboxy, carboxy ester, alkylcarbonyloxy, carbamyl, alkylaminocarbonyl, dialkylaminocarbonyl, benzyloxycarbonylamino (CBZ-amino), cyano, acyl, nitro, S(O)_{n1}R' (where n1 is 0, 1, or 2 and R' is alkyl, substituted alkyl, optionally substituted aryl, optionally substituted heterocycloalkyl, or optionally substituted heteroaryl), oxo, acylamino, and sulfonamido.

[0169] Table I depicts a representative example of the compounds of Section I.

Table 1





[0170] The MEK inhibitors described herein may be selected from the compounds in Table I having a MEK-binding affinity of about 4 μM or less. Optionally, the MEK inhibitor is selected from the compounds in Table I having a MEK-binding affinity of about 3 μM or less. Optionally, the MEK inhibitor is selected from the compounds in Table I having a MEK-binding affinity of about 2 μM or less. Optionally the MEK inhibitor is selected from the compounds in Table I having a MEK-binding affinity of about 1.6 μM or less. Optionally, the MEK inhibitor is selected from the compounds in Table I having a MEK-binding affinity of about 1 μM or less. Optionally, the MEK inhibitor is selected from the compounds in

Table 1 having a MEK-binding affinity of about 0.7 μ M or less. Optionally, the MEK inhibitor is selected from the compounds in Table I having a MEK-binding affinity of about 0.3 μ M or less. Optionally, the MEK inhibitor is selected from the compounds in Table I having a MEK-binding affinity of about 0.2 μ M or less. Optionally, the MEK inhibitor is selected from the compounds in Table I having a MEK-binding affinity of about 0.1 μ M or less.

Experimental

Preparation of Compounds

[0171] Generally, the compounds listed below were identified by LC-MS, and/or isolated, and characterized by ^1H -NMR (most typically 400 MHz). Liquid chromatography-mass spectral (LC-MS) analyses were performed using at least one of: a Hewlett-Packard Series 1100 MSD, an Agilent 1100 Series LC/MSD (available from Agilent Technologies Deutschland GmbH of Waldbronn Germany), or a Waters 8-Channel MUX System (available from Waters Corporation of Milford, Massachusetts). Compounds were identified according to either their observed mass $[\text{M}+1]$ or $[\text{M}+\text{Na}]$ ion (positive mode) or $[\text{M}-1]$ ion (negative mode). ^1H -NMR data for compounds was taken with a Varian AS400 Spectrometer (400MHz, available from Varian GmbH, Darmstadt, Germany).

[0172] Starting materials and intermediates used to prepare a compound of the invention are either commercially available or can be prepared by one of ordinary skill in the art.

EXAMPLE 1: 1-({3,4-Difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-ol:

[0173] 3,4-Difluoro-2-[(2-fluoro-4-iodophenyl)amino]benzoic acid (2.1 g, 5.3 mmol) was taken into DMF (10 mL) followed by addition of PyBOP (2.6 g, 5.3 mmol) and the mixture was allowed to stir at room temperature over 15 minutes. Azetidin-3-ol hydrochloride (870 mg, 8.0 mmol) and DIPEA (1.85 mL, 11.2 mmol) was then added and the mixture was allowed to stir an additional hour at room temperature. The mixture was then partitioned with ethyl acetate and 0.5 M aqueous sodium hydroxide solution. The organic layer was then washed with water (3x) then brine and dried over anhydrous sodium sulfate. Filtration and concentration followed by silica gel flash chromatography using ethyl acetate: hexanes (5:1) eluent afforded 1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-ol (2.09 g, 87% yield) as a colorless amorphous solid. ^1H NMR (400 MHz, CDCl_3): 8.47 (s, 1H), 7.39 (dd, 1H), 7.32 (d, 1H), 7.13-7.09 (m, 1H), 6.84-6.78 (m, 1H), 6.63-6.57 (m, 1H), 4.74-4.67 (m, 1H), 4.43-4.39 (m, 2H), 4.20-3.96 (br d, 2H), 2.50 (d, 1H).

EXAMPLE 2: 4-(2-Fluoro-4-iodo-phenylamino)-thiophene-3-carboxylic acid:

[0174] A solution of methyl-4-oxo-tetrahydro-thiophene-3-carboxylate (5.75 g, 35.9 mmol) and 2-fluoro-4-iodo-aniline (9.4 g, 40.9 mmol) were heated to reflux in a mixture of ethanol (25 mL) and acetic acid (0.3 mL) for 18 hours. The solution was cooled to room temperature, filtered and the solid product dried *in vacuo* to provide methyl-4-(2-fluoro-4-iodo-phenylamino)-2,3-dihydro-thiophene-3-carboxylate (5.70 g, 42% yield). MS (EI) for $\text{C}_{12}\text{H}_{11}\text{FINO}_2\text{S}$: 380 (MH^+).

[0175] A mixture of methyl-4-(2-fluoro-4-iodo-phenylamino)-2,3-dihydro-thiophene-3-carboxylate (5.7 g, 15.0 mmol) and chloranil (5.7 g, 15.0 mmol) in toluene (50 mL) was heated to reflux for 2 hours. The solution was cooled to room temperature and the solvent was evaporated. The resulting dark brown solid was recrystallized from methanol to provide methyl 4-(2-fluoro-4-iodo-phenylamino)-thiophene-3-carboxylate (2.8 g, 49.5% yield). MS (EI) for $\text{C}_{12}\text{H}_9\text{FINO}_2\text{S}$: 378 (MH^+).

[0176] Methyl 4-(2-Fluoro-4-iodo-phenylamino)-thiophene-3-carboxylate (270 mg, 0.72 mmol) was dissolved in a mixture of tetrahydrofuran:methanol (6:1, 3 mL) and a solution of lithium hydroxide (0.1 g, 4.2 mmol) in 1 mL of water was added. The solution was stirred at room temperature for 18 hours and the solvent was concentrated. The residue was dissolved in 5 mL of water and the solution was acidified to pH 1 with 1N HCl. The resulting solid was filtered and dried *in vacuo* to provide 210 mg (79% yield) of 4-(2-fluoro-4-iodo-phenylamino)-thiophene-3-carboxylic acid. MS (EI) for $\text{C}_{11}\text{H}_7\text{FINO}_2\text{S}$: 364 (MH^+).

EXAMPLE 3

[0177] Using the same or analogous synthetic techniques described in examples 1 and 2 and substituting with alternative reagents, the following compounds of the invention were prepared:

- a) 1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-ol: MS (EI) for $\text{C}_{16}\text{H}_{12}\text{F}_3\text{IN}_2\text{O}_2$: 449 (MH^+).
- b) 1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-one: MS (EI) for $\text{C}_{16}\text{H}_{10}\text{F}_3\text{IN}_2\text{O}_2$: 447 (MH^+).

- c) 6-(azetidin-1-ylcarbonyl)-2,3-difluoro-*N*-(2-fluoro-4-iodophenyl)aniline: MS (EI) for $C_{16}H_{12}F_3IN_2O$: 433 (MH⁺).
- d) 6-[(3,3-difluoroazetidin-1-yl)carbonyl]-2,3-difluoro-*N*-(2-fluoro-4-iodophenyl)aniline: MS (EI) for $C_{16}H_{10}F_5IN_2O$: 469 (MH⁺).
- e) 1-[(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]-3-(hydroxymethyl)azetidin-3-ol: MS (EI) for $C_{17}H_{14}F_3IN_2O_3$: 479 (MH⁺).
- f) 2,3-difluoro-*N*-(2-fluoro-4-iodophenyl)-6-[[3-(methyloxy)azetidin-1-yl]carbonyl]aniline: MS (EI) for $C_{17}H_{14}F_3IN_2O_2$: 463 (MH⁺).
- g) 1-[(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]-3-(trifluoromethyl)azetidin-3-ol: MS (EI) for $C_{17}H_{11}F_6IN_2O_2$: 517 (MH⁺).
- h) 1-[(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]-3-prop-2-en-1-ylazetidin-3-ol: MS (EI) for $C_{19}H_{16}F_3IN_2O_2$: 489 (MH⁺).
- i) 3-[1-[(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]-3-hydroxyazetidin-3-yl]propane-1,2-diol: MS (EI) for $C_{19}H_{18}F_3IN_2O_4$: 523 (MH⁺).
- j) 1-[(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]-3-ethylazetidin-3-ol: MS (EI) for $C_{18}H_{16}F_3IN_2O_2$: 477 (MH⁺).
- k) 1-[(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]-3-methylazetidin-3-ol: MS (EI) for $C_{17}H_{14}F_3IN_2O_2$: 463 (MH⁺).
- l) 1-[(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]azetidine-2-carboxylic acid: MS (EI) for $C_{17}H_{12}F_3IN_2O_3$: 477 (MH⁺).
- m) [1-[(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]azetidin-2-yl]methanol: MS (EI) for $C_{17}H_{14}F_3IN_2O_2$: 463 (MH⁺).
- n) 1-[(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]-3-ethenylazetidin-3-ol: MS (EI) for $C_{18}H_{14}F_3IN_2O_2$: 475 (MH⁺).
- o) 1-[(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]azetidine-3-carboxylic acid: MS (EI) for $C_{17}H_{12}F_3IN_2O_3$: 477 (MH⁺).
- p) 1-[(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]azetidin-3-one oxime: MS (EI) for $C_{16}H_{11}F_3IN_3O_2$: 462 (MH⁺).
- q) [1-[(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]azetidin-3-yl]methanol: MS (EI) for $C_{17}H_{14}F_3IN_2O_2$: 463 (MH⁺).
- r) 1-[1-[(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]-3-hydroxyazetidin-3-yl]ethane-1,2-diol: MS (EI) for $C_{18}H_{16}F_3IN_2O_4$: 509 (MH⁺).
- s) 1-[(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]azetidin-3-amine: MS (EI) for $C_{16}H_{13}F_3IN_3O$: 448 (MH⁺).
- t) 1-[(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]azetidine-3-carboxamide: MS (EI) for $C_{17}H_{13}F_3IN_3O_2$: 476 (MH⁺).
- u) 1-[(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]-*N*-hydroxyazetidine-3-carboxamide: MS (EI) for $C_{17}H_{13}F_3IN_3O_3$: 492 (MH⁺).
- v) 1-[(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]azetidine-2-carboxamide: MS (EI) for $C_{17}H_{13}F_3IN_3O_2$: 476 (MH⁺).
- w) 1-[(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]-*N*-hydroxyazetidine-2-carboxamide: MS (EI) for $C_{17}H_{13}F_3IN_3O_3$: 492 (MH⁺).
- x) *N*-[1-[(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]azetidin-3-yl]methanesulfonamide: MS (EI) for $C_{17}H_{15}F_3IN_3O_3S$: 526 (MH⁺).
- y) *N*-[1-[(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]azetidin-3-yl]acetamide: MS (EI) for $C_{18}H_{15}F_3IN_3O_2$: 490 (MH⁺).
- z) 1,1-dimethylethyl [1-[(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]azetidin-3-yl]carbamate: MS (EI) for $C_{21}H_{21}F_3IN_3O_3$: 548 (MH⁺).
- aa) 1-[(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]-3-(pyrrolidin-1-ylmethyl)azetidin-3-ol: MS (EI) for $C_{21}H_{21}F_3IN_3O_2$: 532 (MH⁺).
- bb) 3-[(diethylamino)methyl]-1-[(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]azetidin-3-ol: MS (EI) for $C_{21}H_{23}F_3IN_3O_2$: 534 (MH⁺).
- cc) 1-[(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]-3-[(dimethylamino)methyl]azetidin-3-ol: MS (EI) for $C_{19}H_{19}F_3IN_3O_2$: 506 (MH⁺).
- dd) 1-[(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]-*N*-methyl-*N*-prop-2-en-1-ylazetidine-3-carboxamide: MS (EI) for $C_{21}H_{19}F_3IN_3O_2$: 530 (MH⁺).
- ee) 1-[(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]-*N*-methylazetidine-3-carboxamide: MS (EI) for $C_{18}H_{15}F_3IN_3O_2$: 490 (MH⁺).
- ff) *N*-butyl-1-[(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl)carbonyl]azetidine-3-carboxamide: MS (EI) for

$C_{21}H_{21}F_3IN_3O_2$: 532 (MH^+).

gg) 1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-*N*-prop-2-en-1-ylazetidine-3-carboxamide: MS (EI) for $C_{20}H_{17}F_3IN_3O_2$: 516 (MH^+).

hh) 1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-*N*-ethyl-*N*-(2-hydroxyethyl)azetidine-3-carboxamide: MS (EI) for $C_{21}H_{21}F_3IN_3O_3$: 548 (MH^+).

ii) *N*-[1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-yl]-2-methylpropanamide: MS (EI) for $C_{20}H_{19}F_3IN_3O_2$: 518 (MH^+).

jj) *N*-[1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-yl]formamide: MS (EI) for $C_{17}H_{13}F_3IN_3O_2$: 476 (MH^+).

kk) *N*-[1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-yl]-3,4-dihydroxybutanamide: MS (EI) for $C_{20}H_{19}F_3IN_3O_4$: 550 (MH^+).

ll) methyl [1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-yl]carbamate: MS (EI) for $C_{18}H_{15}F_3IN_3O_3$: 550 (MH^+).

mm) *N*-butyl-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-amine: MS (EI) for $C_{20}H_{21}F_3IN_3O$: 504 (MH^+).

nn) 1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-*N,N*-diprop-2-en-1-ylazetidin-3-amine: MS (EI) for $C_{22}H_{21}F_3IN_3O$: 528 (MH^+).

oo) 1-({4-[(2-fluoro-4-iodophenyl)amino]-3-thienyl}carbonyl)azetidin-3-amine: MS (EI) for $C_{14}H_{13}FIN_3OS$: 418 (MH^+).

pp) 1-({4-[(2-fluoro-4-iodophenyl)amino]-3-thienyl}carbonyl)azetidin-3-ol: MS (EI) for $C_{14}H_{12}FIN_2O_2S$: 419 (MH^+).

qq) 1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-[(2*S*)-piperidin-2-yl]azetidin-3-ol: MS (EI) for $C_{21}H_{21}F_3IN_3O_2$: 532 (MH^+).

rr) 1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-[(2*R*)-piperidin-2-yl]azetidin-3-ol: MS (EI) for $C_{21}H_{21}F_3IN_3O_2$: 532 (MH^+).

ss) 1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-[2-pyrrolidin-2-yl]azetidin-3-ol: MS (EI) for $C_{20}H_{19}F_3IN_3O_2$: 518 (MH^+).

tt) 3-(aminomethyl)-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-ol: MS (EI) for $C_{17}H_{15}F_3IN_3O_2$: 478 (MH^+).

uu) 3-[1-aminoethyl]-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-ol: MS (EI) for $C_{18}H_{17}F_3IN_3O_2$: 492 (MH^+).

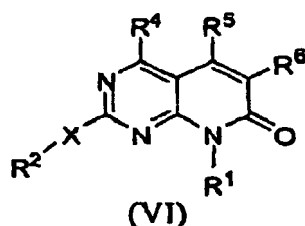
vv) 3-[1-aminopropyl]-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-ol: MS (EI) for $C_{19}H_{19}F_3IN_3O_2$: 506 (MH^+).

Assay

[0178] For a biochemical measurement of MEK1 inhibitory activity, compounds of the invention were screened in a triple coupled cRaf-MEK-ERK2 assay using ALPHASCREEN (Registered Trademark of Perkin Elmer) technology (Perkin Elmer). The compound of the invention, 0.5 μ L of 100% DMSO stock solution, is diluted into an assay buffer composed of 20 mM Tris (pH = 7.5), 10 mM magnesium chloride, 0.03% CHAPS and 1 mM DTT. Subsequently, 10 μ L of substrate mixture is added composed of inactive MEK1 (3 nM), ATP (50 μ M), inactive ERK2 (4 nM), biotinylated MBP peptide (b-FFKNIVTPRTPPPSQGK, 1 μ M) and antiphospho MBP peptide (0.5 nM). The mixture is then gently shaken for 30 minutes at room temperature followed by addition of active cRaf (5 μ L at 0.5 nM) to initiate reaction. The mixture is then shaken for 100 minutes at room temperature then quenched by addition of 10 μ L of a mixture of 5 μ g/mL streptavidin donor beads and 5 μ g/mL protein A acceptor beads in detection buffer (75 mM Hepes pH = 7.5, 300 mM sodium chloride, 120 mM EDTA, 0.3% BSA and 0.03% Tween), followed by incubation overnight and signal detection on an ALPHAQuest® (Registered Trademark of Perkin Elmer) plate reader (Perkin Elmer).

Section II

[0179] In section II there is disclosed compounds that are useful as inhibitors of PI3K that have the Formula VI:



and optionally as a pharmaceutically acceptable salt or hydrate thereof, wherein

R¹ is hydrogen, optionally substituted C₁-C₆ alkyl, optionally substituted C₃-C₇ cycloalkyl, optionally substituted aryl, optionally substituted heterocyclyl, optionally substituted heterocyclylalkyl, optionally substituted heteroaryl or optionally substituted heteroarylalkyl;

X is -NR³-;

R² is hydrogen, optionally substituted C₁-C₆ alkyl, optionally substituted C₃-C₇ cycloalkyl, optionally substituted aryl, optionally substituted aryl-C₁₋₆ alkyl, optionally substituted heterocyclyl, optionally substituted heterocyclylalkyl, optionally substituted heterocyclyl-aryl- or optionally substituted heteroaryl; R² is optionally further substituted with 1, 2, 3, or 4 R⁸ groups;

R³ is hydrogen;

R₄ is hydrogen or optionally substituted C₁-C₆ alkyl, optionally substituted C₁-C₆ alkoxy, C₁-C₆ alkoxyalkyl, aminoalkyl, optionally substituted C₃-C₇ cycloalkyl, optionally substituted aryl, or optionally substituted heteroaryl;

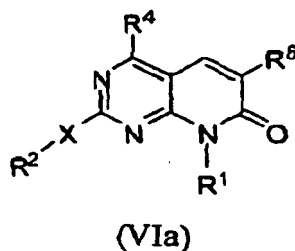
R⁵ is hydrogen or optionally substituted C₁-C₆ alkyl;

R⁶ is hydrogen, halo, haloalkyl, haloalkoxy, -NR³-, optionally substituted C₁-C₆ alkyl, optionally substituted C₁-C₆ alkoxy, C₁-C₆ alkoxyalkyl, acyl, aminoalkyl, optionally substituted C₃-C₇ cycloalkyl, optionally substituted aryl, optionally substituted aryl-C₁₋₆ alkyl, optionally substituted heterocyclyl, or optionally substituted heteroaryl; substitutable R⁶ groups are optionally further substituted with 1, 2, 3, or 4 R⁹ groups;

R⁸ at each occurrence is independently hydroxy, halo, haloalkyl, haloalkoxy, optionally substituted C₁-C₆ alkyl, optionally substituted C₁-C₆ alkoxy, C₁-C₆ alkoxyalkyl, C₁-C₆ alkoxyalkylaminoalkyl, C₁-C₆ alkylcarboxyheterocyclyl, -O-C₁-C₆ alkylheterocyclyl, aminoalkyl, optionally substituted C₃-C₇ cycloalkyl, optionally substituted aryl, optionally substituted aryl C₁-C₆ alkyl, optionally substituted heteroalicyclic, optionally substituted heteroalicyclicalkyl, optionally substituted heteroaryl or optionally substituted heteroarylalkyl; and

R⁹ at each occurrence is independently halo, haloalkyl, haloalkoxy, optionally substituted C₁-C₆ alkyl, optionally substituted C₁-C₆ alkoxy, C₁-C₆ alkoxyalkyl, C₁-C₆ carboxyalkyl, alkoxycarbonyl, aminoalkyl, optionally substituted C₃-C₇ cycloalkyl, optionally substituted aryl, optionally substituted aryl C₁-C₆ alkyl, optionally substituted aryloxy, optionally substituted heterocyclyl, or optionally substituted heteroaryl.

[0180] In one embodiment, the invention provides a PI3K inhibitor of formula VIa:



and optionally as a pharmaceutically acceptable salt or hydrate thereof, wherein

R¹ is hydrogen, optionally substituted C₁-C₆ alkyl, optionally substituted C₃-C₇ cycloalkyl, optionally substituted aryl, optionally substituted heteroalicyclic, optionally substituted heteroalicyclicalkyl, optionally substituted heteroaryl or optionally substituted heteroarylalkyl;

X is -NR³-;

R² is hydrogen, optionally substituted C₁-C₆ alkyl, C₃-C₇ cycloalkyl, aryl, aryl-C₁₋₆ alkyl, heteroalicyclic, heterocyclylalkyl, heterocyclyl-aryl- or heteroaryl; where the cycloalkyl, aryl, aryl-C₁₋₆ alkyl, heteroalicyclic, heterocyclylalkyl, heterocyclyl-aryl-, and heteroaryl groups in R² are optionally substituted with 1, 2, 3, or 4 R⁸ groups;

R³ is hydrogen;

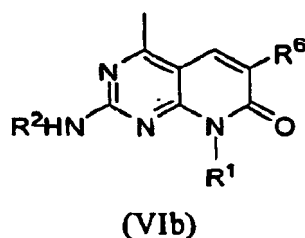
R⁴ is optionally substituted C₁-C₆ alkyl;

R⁶ is hydrogen, acyl, phenyl, heteroalicyclic, or heteroaryl; where the phenyl, heteroalicyclic, and heteroaryl in R⁶ are optionally substituted with 1, 2, 3, or 4 R⁹ groups;

R⁸ at each occurrence is independently hydroxy, halo, haloalkyl, C₁-C₆ alkyl, optionally substituted C₁-C₆ alkoxy, C₁-C₆ alkoxyalkyl, C₁-C₆ alkoxyalkylaminoalkyl, C₁-C₆ alkylcarboxyheterocyclyl, -O-C₁-C₆alkylheterocyclyl, aminoalkyl, optionally substituted C₃-C₇ cycloalkyl, optionally substituted aryl, optionally substituted aryl C₁-C₆ alkyl, optionally substituted heteroalicyclic, optionally substituted heteroalicyclicalkyl, optionally substituted heteroaryl or optionally substituted heteroarylalkyl); and

R⁹ at each occurrence is independently halo, haloalkyl, haloalkoxy, C₁-C₆ alkyl, C₁-C₆ alkoxy, C₁-C₆ alkoxyalkyl, C₁-C₆ carboxyalkyl, alkoxy-carbonyl, aminoalkyl, optionally substituted C₃-C₇ cycloalkyl, optionally substituted aryl, optionally substituted aryl C₁-C₆ alkyl, optionally substituted aryloxy, optionally substituted heteroalicyclic, or optionally substituted heteroaryl.

[0181] In one embodiment, the invention provides a PI3K inhibitor of formula VIb:



and optionally a pharmaceutically acceptable salt or solvate thereof, wherein

R¹ is hydrogen, optionally substituted C₁-C₆ alkyl, optionally substituted C₃-C₇ cycloalkyl, optionally substituted aryl, optionally substituted heteroalicyclic, optionally substituted heteroalicyclicalkyl, optionally substituted heteroaryl or optionally substituted heteroarylalkyl;

R⁶ is phenyl, acyl, or heteroaryl wherein the phenyl and heteroaryl are optionally substituted with 1, 2, 3, or 4 R⁹ groups; and

R⁹ at each occurrence is independently halo, haloalkyl, haloalkoxy, C₁-C₆ alkyl, C₁-C₆ alkoxy, C₁-C₆ alkoxyalkyl, C₁-C₆ carboxyalkyl, alkoxy-carbonyl, aminoalkyl, optionally substituted C₃-C₇ cycloalkyl, optionally substituted aryl, optionally substituted aryl C₁-C₆ alkyl, aryloxy, optionally substituted heteroalicyclic, or optionally substituted heteroaryl.

[0182] In another embodiment (A), the invention provides a compound of Formula VIa where R¹ is hydrogen, C₁-C₆ optionally substituted alkyl, optionally substituted C₃-C₇ cycloalkyl, optionally substituted cycloalkylalkyl, optionally substituted aryl, optionally substituted heteroalicyclic, optionally substituted heteroalicyclicalkyl, optionally substituted heteroaryl or optionally substituted heteroarylalkyl; and all other groups are as defined in Formula VIa. In another embodiment, R¹ is hydrogen, optionally substituted alkyl, optionally substituted cycloalkyl, or optionally substituted heteroalicyclicalkyl. In yet another embodiment, R¹ is hydrogen, alkyl, alkyl substituted with one or two hydroxy, alkyl substituted with alkoxy, alkyl substituted with aryl, C₃-C₇ cycloalkyl, or heteroalicyclicalkyl. In yet another embodiment, R¹ is hydrogen, methyl, ethyl, propyl, isopropyl, 2-hydroxypropyl, 3-hydroxypropyl, 2-ethoxyethyl, 3-methoxypropyl, 3-ethoxypropyl, 3-isopropoxypropyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, benzyl, or 2-piperidin-1-ylethyl. In yet another embodiment, R¹ is ethyl, isopropyl, cyclopentyl, or cyclohexyl. In yet another embodiment, R¹ is ethyl.

[0183] In another embodiment (B), the invention provides a compound of Formula VIa where R² is hydrogen or optionally substituted C₁-C₆ alkyl; and all other groups are as defined in Formula VIa. In another embodiment, R² is hydrogen or alkyl where the alkyl is optionally substituted with one, two, or three amino, alkylamino, dialkylamino, or halo. In another embodiment, R² is hydrogen, methyl, ethyl, propyl, isopropyl, *tert*-butyl, 3-aminopropyl, 3-(*N*-methylamino)-propyl, or 3-(*N,N*-dimethylamino)-propyl. In another embodiment, R² is hydrogen or ethyl. In another embodiment, R² is hydrogen.

[0184] In another embodiment, the invention provides a compound of Formula VIa or VIb where R² is hydrogen and all other groups are as defined for Formula VIa or VIb, respectively.

[0185] In another embodiment, the invention provides a compound of Formula VIa or VIb where R² is optionally substituted C₁-C₆ alkyl; and all other groups are as defined in Formula VIa or VIb, respectively. In another embodiment, R² is alkyl where the alkyl is optionally substituted with one, two, or three amino, alkylamino, dialkylamino, or halo. In

another embodiment, R² is methyl, ethyl, propyl, isopropyl, *tert*-butyl, 3-aminopropyl, 3-(*N*-methylamino)-propyl, or 3-(*N*,*N*-dimethylamino)-propyl. In another embodiment, R² is ethyl.

[0186] In another embodiment (C), the invention is directed to a Compound of Formula VIa where R⁴ is optionally substituted C₁-C₆ alkyl; and all other groups are as defined in Formula VIa. In another embodiment, R⁴ is methyl or ethyl. In another embodiment, R⁴ is methyl.

[0187] Another embodiment (D), the invention is directed to a Compound of Formula VIa or VIb where R² is hydrogen or optionally substituted C₁-C₆ alkyl and R⁶ is acyl; and all other groups are as defined in Formula VIa or VIb, respectively. In another embodiment, R⁶ is alkylcarbonyl. In another embodiment, R⁶ is acetyl.

[0188] Another embodiment (E), the invention is directed to a Compound of Formula VIa or VIb where R² is hydrogen or optionally substituted C₁-C₆ alkyl and R⁶ is phenyl optionally substituted with 1, 2, 3, or 4 R⁹ groups; and all other groups are as defined in Formula VIa or VIb, respectively. In another embodiment, R⁶ is phenyl optionally substituted with one or two R⁹ groups; and R⁹ at each instance is independently selected from aryl, halo, alkoxy, aryloxy, alkoxy-carbonyl, alkyl, and haloalkyl. In another embodiment, R⁶ is phenyl optionally substituted with one or two R⁹ groups; and each R⁹ at each instance is independently selected from phenyl, fluoro, chloro, methoxy, phenyloxy, methyl, methoxycarbonyl, and trifluoromethyl. In another embodiment, R⁶ is phenyl, phenyl substituted with phenyl, fluorophenyl, difluorophenyl, chlorophenyl, dichlorophenyl, phenyl substituted with chloro and fluoro, methoxyphenyl, dimethoxyphenyl, phenyloxyphenyl, or trifluoromethylphenyl. Yet even more specifically, R⁶ is phenyl, 2-phenyl-phenyl, 3-phenyl-phenyl, 4-phenyl-phenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,3-difluorophenyl, 2,4-difluorophenyl, 2,5-difluorophenyl, 2,6-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2,3-dichlorophenyl, 2,4-dichlorophenyl, 2,5-dichlorophenyl, 2,6-dichlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 3-chloro-4-fluoro-phenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2,3-dimethoxyphenyl, 2,4-dimethoxyphenyl, 2,5-dimethoxyphenyl, 2,6-dimethoxyphenyl, 3,4-dimethoxyphenyl, 3,5-dimethoxyphenyl, 4-phenyloxyphenyl, 2-trifluoromethylphenyl, or 3-trifluoromethylphenyl.

[0189] Another embodiment, the invention is directed to a Compound of Formula VIa or VIb where R⁶ is phenyl substituted with 1, 2, 3, or 4 R⁹ groups; and all other groups are as defined in Formula VIa or VIb, respectively.

[0190] Another embodiment, the invention is directed to a Compound of Formula VIa or VIb where R⁶ is heteroaryl optionally substituted with 1, 2, 3, 4, or 5 R⁹ groups; and all other groups are as defined in Formula VIa or VIb, respectively.

[0191] In another embodiment (G), the invention is directed to a Compound of Formula VIa or VIb where R² is hydrogen or optionally substituted C₁-C₆ alkyl and R⁶ is heteroaryl optionally substituted with 1, 2, 3, 4, or 5 R⁹ groups; and all other groups are as defined in Formula VIa or VIb, respectively.

[0192] In another embodiment (G1), the invention is directed to a Compound of Formula VIa or VIb where R² is hydrogen or ethyl and R⁶ is a 6-membered heteroaryl optionally substituted with one or two R⁹; and all other groups are as defined in Formula VIa or VIb, respectively. In another embodiment, R⁶ is pyridinyl, pyrazinyl, pyrimidinyl, or pyridazinyl each of which is optionally substituted with one R⁴ where R⁹ at each instance is halo. In another embodiment, R⁶ is pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, 3-fluoropyridin-4-yl, pyrazin-2-yl, pyrazin-3-yl, pyrimidin-2-yl, pyrimidin-4-yl, pyrimidin-5-yl, pyridazin-3-yl, or pyridazin-4-yl, each of which is optionally substituted with one or two R⁹.

[0193] In another embodiment (G2), the invention is directed to a Compound of Formula VIa or VIb where R² is hydrogen or ethyl and R⁶ is pyrazinyl, pyrimidinyl, or pyridazinyl each of which is optionally substituted with one R⁹ where R⁹ at each instance is halo; and all other groups are as defined in Formula VIa or VIb, respectively. In another embodiment, R⁶ is pyrazin-2-yl, pyrazin-3-yl, pyrimidin-2-yl, pyrimidin-4-yl, pyrimidin-5-yl, pyridazin-3-yl, or pyridazin-4-yl.

[0194] In another embodiment (G3), the invention is directed to a Compound of Formula VIa or VIb where R² is hydrogen or ethyl and R⁶ is 5-membered heteroaryl optionally substituted with one or two R⁹; and all other groups are as defined in Formula VIa or VIb, respectively. In another embodiment R⁶ is pyrazolyl, imidazolyl, thienyl, thiazolyl, oxazolyl, isoxazolyl, oxadiazolyl, furanyl, pyrrolyl, triazolyl, or tetrazolyl, each of which is optionally substituted with one R⁹ where R⁹ at each instance is alkyl, arylalkyl, cyano, aryl, alkoxy-carbonyl, or halo. In another embodiment R⁶ is pyrazolyl, thienyl, thiazolyl, oxazolyl, furanyl, or pyrrolyl, each of which is optionally substituted with one R⁹ where R⁹ at each instance is alkyl, alkoxy-carbonyl, or halo. In another embodiment, R⁶ is pyrazol-1-yl, pyrazol-3-yl, pyrazol-4-yl, pyrazol-5-yl, thien-2-yl, thien-3-yl, thiazol-2-yl, thiazol-4-yl, thiazol-5-yl, oxazol-2-yl, oxazol-4-yl, oxazol-5-yl, furan-2-yl, furan-3-yl, pyrrol-1-yl, pyrrol-2-yl, or pyrrol-3-yl; each of which is optionally substituted with one R⁹ where R⁹ at each instance, is methyl, *N*-*tert*-butoxycarbonyl, or chloro. In another embodiment, R⁶ is pyrazol-3-yl, pyrazol-4-yl, pyrazol-5-yl, thien-2-yl, thien-3-yl, thiazol-2-yl, thiazol-4-yl, thiazol-5-yl, oxazol-2-yl, oxazol-4-yl, oxazol-5-yl, furan-2-yl, furan-3-yl, pyrrol-2-yl, or pyrrol-3-yl; each of which is optionally substituted with one R⁹ where R⁹, when present, is methyl, *N*-*tert*-butoxycarbonyl, or chloro.

[0195] In another embodiment (G4), the invention is directed to a Compound of Formula VIa or VIb where R² is hydrogen or ethyl and R⁶ is thien-2-yl, thien-3-yl, pyrrol-2-yl, furan-2-yl, furan-3-yl, pyrazol-3-yl, pyrazol-4-yl, pyrazol-5-yl, thiazol-2-yl, thiazol-5-yl, isoxazol-4-yl, imidazol-5-yl, triazol-5-yl, or tetrazol-5-yl, each of which is optionally substituted with one R⁹ where R⁹, when present, is methyl, *N*-*tert*-butoxycarbonyl, or chloro; and all other groups are as defined in

Formula VIa or VIb, respectively.

[0196] In another embodiment (G5), the invention is directed to a Compound of Formula VIa or VIb where R² is hydrogen or ethyl and R⁶ is indolyl optionally substituted with 1, 2, 3, or 4 R⁹ groups; and all other groups are as defined in Formula VIa or VIb, respectively. In another embodiment R⁶ is indol-2-yl, indol-3-yl, indol-4-yl, indol-5-yl, indol-6-yl, or indol-7-yl; each of which is optionally substituted with 1, 2, 3, or 4 R⁹ groups. In another embodiment, R⁶ is indol-6-yl.

[0197] In another embodiment of the Invention (H), the invention is directed to a Compound of Formula VIa where R¹ is hydrogen, optionally substituted C₁-C₆ alkyl, optionally substituted C₃-C₇ cycloalkyl, or optionally substituted heteroalicyclicalkyl; R² is hydrogen or C₁-C₆ alkyl optionally substituted with amino, alkylamino, dialkylamino, or halo; R⁴ is alkyl; R⁶ is phenyl or heteroaryl wherein the phenyl and heteroaryl are optionally substituted with one, two, or three R⁹ groups; and each R⁹, when present, is independently alkyl, arylalkyl, cyano, aryl, alkoxy, carbonyl, or halo.

[0198] In another embodiment of the Invention (J), the invention is directed to a Compound of Formula VIa where R² is hydrogen or ethyl, R⁴ is methyl, and R⁶ is pyrazol-3-yl, pyrazol-4-yl, pyrazol-5-yl, thien-2-yl, thien-3-yl, thiazol-2-yl, thiazol-4-yl, thiazol-5-yl, oxazol-2-yl, oxazol-4-yl, oxazol-5-yl, furan-2-yl, furan-3-yl, pyrrol-2-yl, or pyrrol-3-yl; each of which is optionally substituted with 1, 2, 3, 4, or 5 R⁹ groups, and all other groups are as defined in Formula VIa.

[0199] In another embodiment of the Invention (K), the invention is directed to a Compound of Formula VIa where R¹ is alkyl or cycloalkyl; R⁴ is methyl; and R⁶ is heteroaryl optionally substituted with one or two R⁹ groups; and all other groups are as defined in Formula VIa. In another embodiment, each R⁹, when present, is independently alkyl, alkoxy, carbonyl, or halo. In another embodiment, R⁶ is pyrazol-3-yl, pyrazol-4-yl, pyrazol-5-yl, thien-2-yl, thien-3-yl, thiazol-2-yl, thiazol-4-yl, thiazol-5-yl, oxazol-2-yl, oxazol-4-yl, oxazol-5-yl, furan-2-yl, furan-3-yl, pyrrol-2-yl, or pyrrol-3-yl; each of which is optionally substituted with one R⁹ where R⁹, when present, is methyl or *N*-tert-butoxycarbonyl.

[0200] In another embodiment (K1) of embodiment K, the invention is directed to a Compound of Formula VIa where R² is hydrogen; and all other groups are as defined in Embodiment K.

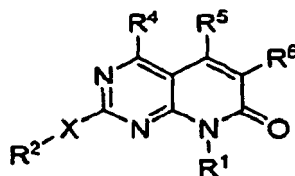
[0201] In another embodiment (K2) of embodiment K, the invention is directed to a Compound of Formula VIa where R² is methyl or ethyl; and all other groups are as defined in Embodiment K.

[0202] In another embodiment (L), the invention is directed to a Compound of Formula VIa where R¹ is alkyl or cycloalkyl; R⁴ is methyl; and R⁶ is phenyl optionally substituted with one or two R⁹ groups; and all other groups are as defined in Formula VIa. In another embodiment, each R⁹, when present, is independently halo, alkoxy, or haloalkyl.

[0203] In another embodiment (M), the invention is directed to a Compound of Formula VIa where R¹ is alkyl or cycloalkyl; R⁴ is methyl; and R² is hydrogen; and all other groups are as defined in Formula VIa.

[0204] In another embodiment (N), the invention is directed to a Compound of Formula VIa where R¹ is alkyl or cycloalkyl; R⁴ is methyl; and R² is optionally substituted alkyl; and all other groups are as defined in Formula VIa.

[0205] In another embodiment, the invention is directed to a Compound of Formula VII:



VII

R¹ is hydrogen, optionally substituted C₁-C₆ alkyl, optionally substituted C₃-C₇ cycloalkyl, optionally substituted aryl, optionally substituted heteroalicyclic, optionally substituted heteroalicyclicalkyl, optionally substituted heteroaryl or optionally substituted heteroarylalkyl;

X is -NR³-;

R³ is hydrogen;

R⁴ is optionally substituted C₁-C₆ alkyl;

R⁵ is hydrogen;

R⁶ is acyl and R² is heterocycl-aryl- optionally substituted with 1, 2, 3, or 4 R⁸ groups; or

R⁶ is halo and R² is optionally substituted C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl, aryl-C₁₋₆ alkyl, heteroalicyclicalkyl, or heterocycl-aryl-; where the C₃-C₇ cycloalkyl, phenyl, phenyl, aryl-C₁₋₆ alkyl, heteroalicyclicalkyl, and heterocycl-aryl- groups in R² are optionally substituted with 1, 2, 3, or 4 R⁸ groups; or

R⁶ is phenyl optionally substituted with 1, 2, or 3 halo; and R² is phenyl or heterocycl-aryl-; where the phenyl and heterocycl-aryl- groups in R² are optionally substituted with 1, 2, 3, or 4 R⁸ groups; or

R⁶ is heteroaryl optionally substituted with 1, 2, or 3 halo; and R² is heterocycl-aryl- optionally substituted with 1, 2, 3, 4, or 5 R⁸ groups;

each R⁸ at each instance is independently hydroxy, halo, C₁-C₆ alkyl, haloalkyl, optionally substituted C₁-C₆ alkoxy,

C₁-C₆ alkoxyalkyl, C₁-C₆ alkoxycarbonyl, C₁-C₆ alkoxyalkylaminoalkyl, -O-C₁-C₆alkylheterocyclyl, aminoalkyl, optionally substituted C₃-C₇ cycloalkyl, optionally substituted aryl, optionally substituted aryl C₁-C₆ alkyl, optionally substituted heteroalicyclic, optionally substituted heteroalicyclicalkyl, optionally substituted heteroaryl or optionally substituted heteroarylalkyl.

[0206] In another embodiment (A), the invention is directed to a Compound of Formula VII where R¹ is hydrogen, optionally substituted C₁-C₆ alkyl, optionally substituted C₃-C₇ cycloalkyl, optionally substituted aryl, optionally substituted heteroalicyclic, optionally substituted heteroalicyclicalkyl, optionally substituted heteroaryl or optionally substituted heteroarylalkyl; and all other groups are as defined in Formula VII. In another embodiment, R¹ is hydrogen, optionally substituted C₁-C₆ alkyl, or optionally substituted C₃-C₇ cycloalkyl. In another embodiment, R¹ is C₁-C₆ alkyl or C₃-C₇ cycloalkyl. In another embodiment, R¹ is methyl, ethyl, propyl, isopropyl, cyclopropyl, cyclobutyl, cyclopentyl, or cyclohexyl. In another embodiment, R¹ is ethyl, isopropyl, or cyclopentyl.

[0207] In another embodiment (B), the invention is directed to a Compound of Formula VII where R⁴ is optionally substituted C₁-C₆ alkyl; and all other groups are as defined in Formula VII. In another embodiment, R⁴ is methyl or ethyl. In another embodiment, R⁴ is methyl.

[0208] In another embodiment (C), the invention is directed to a Compound of Formula VII where R⁶ is acyl and R² is heterocyclyl-aryl- optionally substituted with 1, 2, 3, or 4 R⁸ groups; and all other groups are as defined in Formula VII. In another embodiment, R⁶ is alkylcarbonyl. In another embodiment, R⁶ is acetyl.

[0209] In another embodiment of embodiment C, the invention is directed to a Compound of Formula VII where R⁶ is acyl and R² is heteroalicyclic-phenyl- optionally substituted with 1, 2, 3, or 4 R⁸ groups; and all other groups are as defined in Formula VII. In another embodiment, R⁸, when R⁸ is present, is C₁-C₆ alkyl, C₁-C₆ alkoxycarbonyl, or aryl C₁-C₆ alkyl. In another embodiment, R² is piperazinyl-phenyl- where the piperazinyl is optionally substituted with one R⁸ where R⁸, when present, is methyl, ethyl, isopropyl, *tert*-butoxycarbonyl, or benzyl. In another embodiment, R² is piperazinyl-phenyl- where the piperazinyl is optionally substituted with C₁-C₆ alkyl.

[0210] In another embodiment (E), the invention is directed to a Compound of Formula VII where R⁶ is phenyl optionally substituted with 1, 2, 3, or 4 R⁹ groups; and R² is phenyl or heterocyclyl-aryl-; where the phenyl and heterocyclyl-aryl- groups in R² are optionally substituted with 1, 2, 3, or 4 R⁸ groups; and all other groups are as defined in Formula VII. In another embodiment, R⁶ is phenyl, phenyl substituted with one or two halo. In another embodiment, R⁶ is phenyl, fluorophenyl, difluorophenyl, chlorophenyl, or dichlorophenyl. In another embodiment, R⁶ is phenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,3-difluorophenyl, 2,4-difluorophenyl, 2,5-difluorophenyl, 2,6-difluorophenyl, 3,4-difluorophenyl, or 3,5-difluorophenyl.

[0211] In another embodiment (E1) of Embodiment E, the invention is directed to a Compound of Formula VII where R² is phenyl or heteroalicyclic-phenyl-; where the phenyl and heteroalicyclic-phenyl- groups in R² are optionally substituted with 1, 2, 3, or 4 R⁸ groups; and all other groups are as defined in Embodiment E.

[0212] In another embodiment of embodiment E1, the invention is directed to a Compound of Formula VII where R² is phenyl or heteroalicyclic-phenyl-; where the phenyl and heteroalicyclic-phenyl- groups in R² are optionally substituted with one or two R⁸ where each R⁸, when present, is independently hydroxy, C₁-C₆ alkyl, optionally substituted C₁-C₆ alkoxy, alkoxycarbonyl, or -O-C₁-C₆alkylheteroalicyclic; and all other groups are as defined in Embodiment E1.

[0213] In another embodiment of embodiment E1, R² is phenyl or phenyl substituted with one or two R⁸ where each R⁸, when R⁸ is present, is independently hydroxy, -O-C₁-C₆alkylheteroalicyclic, or C₁-C₆ alkoxy where the C₁-C₆ alkoxy is optionally substituted with amino, alkylamino or dialkylamino; and all other groups are as defined in Embodiment E1. In another embodiment, R² is phenyl, hydroxyphenyl, [(2-aminoethyl)-oxy]-phenyl, [(2-alkylamino-ethyl)-oxy]-phenyl, [(2-dialkylamino-ethyl)-oxy]-phenyl, (morpholinylalkyloxy)-phenyl, (piperidinylalkyloxy)-phenyl, (piperazinylalkyloxy)-phenyl, (N-alkyl-piperazinylalkyloxy)-phenyl, or (N-benzylpiperazinylalkyloxy)-phenyl. In another embodiment, R² is hydroxyphenyl, [(2-aminoethyl)-oxy]-phenyl, [(2-alkylamino-ethyl)-oxy]-phenyl, [(2-dialkylamino-ethyl)-oxy]-phenyl, (morpholinylalkyloxy)-phenyl, (piperidinylalkyloxy)-phenyl, (piperazinylalkyloxy)-phenyl, (N-alkyl-piperazinylalkyloxy)-phenyl, or (N-benzylpiperazinylalkyloxy)-phenyl. In another embodiment, 2-hydroxyphenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 4-[(2-dimethylamino-ethyl)-oxy]-phenyl, 4-[2-(morpholin-4-yl)-ethyloxy]-phenyl, 4-[2-(piperidinyl)-ethyloxy]-phenyl, 4-[2-(piperazin-4-yl)-ethyloxy]-phenyl, 4-[2-(N-methyl-piperazin-4-yl)-ethyloxy]-phenyl, or 4-[2-(N-ethyl-piperazin-4-yl)-ethyloxy]-phenyl.

[0214] In another embodiment of embodiment E1, R² is piperazinyl-phenyl- where the piperazinyl is optionally substituted with one R⁸ where R⁸, when present, is alkyl; and all other groups are as defined in Embodiment E1. In another embodiment, R² is morpholinylphenyl, piperazinylphenyl, or (N-alkyl-piperazinyl)-phenyl. In another embodiment, R² is 4-morpholin-4-ylphenyl, 4-piperazin-4-ylphenyl, 4-(N-methyl-piperazin-4-yl)-phenyl, or 4-(N-ethyl-piperazin-4-yl)-phenyl.

[0215] In another embodiment (F), the invention is directed to a Compound of Formula VII where R⁶ is heteroaryl optionally substituted with 1, 2, or 3 halo; and R² is heterocyclyl-aryl- optionally substituted with 1, 2, 3, 4, or 5 R⁸ groups.

[0216] In another embodiment (F1) of embodiment F, the invention is directed to a Compound of Formula VII where

R⁶ is a 5-membered heteroaryl optionally substituted with one or two halo; R² is heteroalicyclic-phenyl- where the heteroalicyclic and phenyl portions of R² are independently optionally substituted with one R⁸ where R⁸, when R⁸ is present is C₁-C₆ alkyl or aryl C₁-C₆ alkyl; and all other groups are as defined in embodiment F.

[0217] In another embodiment (F2) of embodiment F, the invention is directed to a Compound of Formula VII where R⁶ is pyrazolyl, thienyl, thiazolyl, oxazolyl, furanyl, or pyrrolyl, each of which is optionally substituted with one or two halo. In another embodiment, R⁶ is pyrazol-1-yl, pyrazol-3-yl, pyrazol-4-yl, pyrazol-5-yl, thien-2-yl, thien-3-yl, thiazol-2-yl, thiazol-4-yl, thiazol-5-yl, oxazol-2-yl, oxazol-4-yl, oxazol-5-yl, furan-2-yl, or furan-3-yl; each of which is optionally substituted with one chloro. In another embodiment, R⁶ is pyrazol-3-yl, pyrazol-4-yl, pyrazol-5-yl, thien-2-yl, thien-3-yl, thiazol-2-yl, thiazol-4-yl, thiazol-5-yl, oxazol-2-yl, oxazol-4-yl, oxazol-5-yl, furan-2-yl, or furan-3-yl.

[0218] In another embodiment (G), the invention is directed to a Compound of Formula VII where R⁶ is halo and R² is optionally substituted C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl, aryl-C₁₋₆ alkyl, heteroalicyclicalkyl, or heterocyclyl-aryl-; where the C₃-C₇ cycloalkyl, phenyl, phenyl, aryl-C₁₋₆ alkyl, heteroalicyclicalkyl, and heterocyclyl-aryl- groups in R² are optionally substituted with 1, 2, 3, or 4 R⁸ groups. In another embodiment, R⁶ is bromo and R² is C₃-C₇ cycloalkyl, C₁-C₆ alkyl optionally substituted with heteroalicyclic, dialkylamino, phenyl substituted with one or two halo, or heteroalicyclic-phenyl-; where the heteroalicyclic-phenyl- is optionally substituted with one or two R⁸ selected from C₁-C₆ alkyl and phenyl-C₁₋₆ alkyl. In another embodiment, R² is cyclopentyl, cyclohexyl, 2-(morpholinyl)-ethyl, 3-(morpholinyl)-propyl, 3-(dimethylamino)-propyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 4-[4-methyl-piperazinyl]-phenyl, 4-[4-ethyl-piperazinyl]-phenyl, 4-[4-benzyl-piperazinyl]-phenyl, or 4-(morpholinyl)-phenyl.

[0219] In another embodiment (H), the invention is directed to a Compound of Formula VII where R¹ is C₁-C₆ alkyl or C₃-C₇ cycloalkyl; R⁴ is methyl; and R⁶ is heteroaryl. In another embodiment, R⁶ is pyrazol-3-yl, pyrazol-4-yl, pyrazol-5-yl, thien-2-yl, thien-3-yl, thiazol-2-yl, thiazol-4-yl, thiazol-5-yl, oxazol-2-yl, oxazol-4-yl, oxazol-5-yl, furan-2-yl, or furan-3-yl.

[0220] In another embodiment (J), the invention is directed to a Compound of Formula VII where R¹ is C₁-C₆ alkyl or C₃-C₇ cycloalkyl; R⁴ is methyl; R⁵ is hydrogen and R⁶ is phenyl optionally substituted with 1, 2, or 3 halo.

[0221] In another embodiment (M), the invention is directed to a Compound of Formula VII where R⁶ is pyrazol-3-yl, pyrazol-4-yl, pyrazol-5-yl, thien-2-yl, thien-3-yl, thiazol-2-yl, thiazol-4-yl, thiazol-5-yl, oxazol-2-yl, oxazol-4-yl, oxazol-5-yl, furan-2-yl, or furan-3-yl.

[0222] There is disclosed herein a pharmaceutical composition comprising a compound of formula VI, VIa, VIb or VII, or a pharmaceutically acceptable salt or solvate thereof, in combination with a compound of formula I, Ia, Ic, Id, II, III, IV, or V and a pharmaceutically acceptable carrier.

[0223] Also disclosed herein is a method of inhibiting the *in vivo* activity of PI3K α , and MEK comprising the use of a compound of formula VI, VIa, VIb or VII, or a pharmaceutically acceptable salt or solvate thereof, in combination with a compound of formula I, Ia, Ic, Id, II, III, IV, or V or a pharmaceutical composition thereof.

[0224] Also disclosed herein is the treatment of diseases or disorders associated with uncontrolled, abnormal, and/or unwanted cellular activities effected directly or indirectly by PI3K α and MEK, comprising the use of a compound of any of formula VI, VIa, VIb or VII, or a pharmaceutically acceptable salt or solvate thereof, in combination with a compound of formula I, Ia, Ic, Id, II, III, IV, or V or a pharmaceutical composition thereof. In a particular embodiment, the MEK Compound is of Formula Ia and the PI3K Compound is of Formula VIa: In another embodiment, the MEK Compound is of Formula Ia and the PI3K Compound is of Formula VIb. In another embodiment, the MEK Compound is of Formula V and the PI3K Compound is of Formula VIa or VIb. In another embodiment, the MEK Compound is of Section I, Embodiment G and the PI3K Compound is of a compound from Section II, Formula VIa or VIb, Embodiment E. In another embodiment, the MEK Compound is of Section I, Embodiment G and the PI3K Compound is of a compound of Section II, Formula VIa or VIb, Embodiment G or G3. In another embodiment, the MEK Compound is of Formula Ia and the PI3K Compound is of Formula VII. In another embodiment, the MEK Compound is of Formula V and the PI3K Compound is of Formula VII. In another embodiment, the MEK Compound is of Section I, Embodiment G and the PI3K Compound is of a compound from Section II, Formula VII, Embodiment E. In another option, the MEK Compound is of Section I, Embodiment G and the PI3K Compound is of a compound of Section II, Formula VI, Embodiment F1 or F2. In another option, the MEK Compound is of Section I, Table 1 and the PI3K Compound is of Formula VI, VIa, VIb or VII.

[0225] There is also disclosed herein a method of inhibiting proliferative activity in a cell, the method comprising using an effective amount of a compound of formula VI, VIa, VIb or VII, or a pharmaceutically acceptable salt or solvate thereof, in combination with a compound of formula I, Ia, Ic, Id, II, III, IV, or V or pharmaceutical composition thereof.

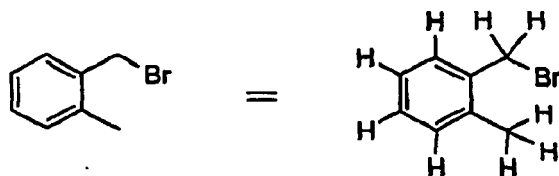
[0226] There is also disclosed herein the treatment of malignancies such as melanoma, ovarian cancer, cervical cancer, breast cancer, colorectal cancer, and glioblastomas, among others, in a patient in need of such treatment, by using a compound or salt of formula VI, VIa, VIb or VII, or a pharmaceutically acceptable salt or solvate thereof, in combination with a compound of formula I, Ia, Ic, Id, II, III, IV, or V or a pharmaceutical composition thereof.

Section II Definitions

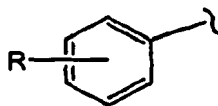
[0227] As used in the present specification, the following words and phrases are generally intended to have the meanings as set forth below, except to the extent that the context in which they are used indicates otherwise or they are expressly defined to mean something different.

[0228] The symbol "-" means a single bond, "=" means a double bond, "≡" means a triple bond, "" means a single or double bond. The symbol "" refers to a group on a double-bond as occupying either position on the terminus of a double bond to which the symbol is attached; that is, the geometry, E- or Z-, of the double bond is ambiguous. When a group is depicted removed from its parent formula, the "~" symbol will be used at the end of the bond which was theoretically cleaved in order to separate the group from its parent structural formula.

[0229] When chemical structures are depicted or described, unless explicitly stated otherwise, all carbons are assumed to have hydrogen substitution to conform to a valence of four. For example, in the structure on the left-hand side of the schematic below there are nine hydrogens implied. The nine hydrogens are depicted in the right-hand structure. Sometimes a particular atom in a structure is described in textual formula as having a hydrogen or hydrogens as substitution (expressly defined hydrogen), for example, -CH₂CH₂-. It is understood by one of ordinary skill in the art that the aforementioned descriptive techniques are common in the chemical arts to provide brevity and simplicity to description of otherwise complex structures.

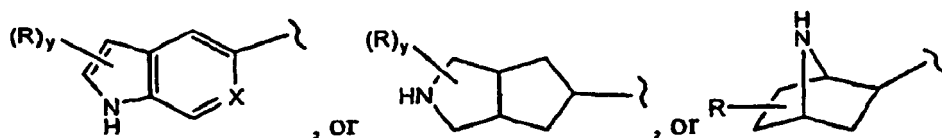


[0230] If a group "R" is depicted as "floating" on a ring system, as for example in the formula:



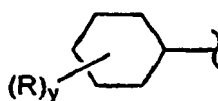
then, unless otherwise defined, a substituent "R" may reside on any atom of the ring system, assuming replacement of a depicted, implied, or expressly defined hydrogen from one of the ring atoms, so long as a stable structure is formed.

[0231] If a group "R" is depicted as floating on a fused ring system, as for example in the formulae:

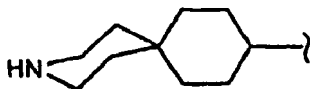


then, unless otherwise defined, a substituent "R" may reside on any atom of the fused ring system, assuming replacement of a depicted hydrogen (for example the -NH- in the formula above), implied hydrogen (for example as in the formula above, where the hydrogens are not shown but understood to be present), or expressly defined hydrogen (for example where in the formula above, "X" equals =CH-) from one of the ring atoms, so long as a stable structure is formed. In the example depicted, the "R" group may reside on either the 5-membered or the 6-membered ring of the fused ring system. In the formula depicted above, when y is 2 for example, then the two "R's" may reside on any two atoms of the ring system, again assuming each replaces a depicted, implied, or expressly defined hydrogen on the ring.

[0232] When a group "R" is depicted as existing on a ring system containing saturated carbons, as for example in the formula:



where, in this example, "y" can be more than one, assuming each replaces a currently depicted, implied, or expressly defined hydrogen on the ring; then, unless otherwise defined, where the resulting structure is stable, two "R's" may reside on the same carbon. A simple example is when R is a methyl group; there can exist a geminal dimethyl on a carbon of the depicted ring (an "annular" carbon). In another example, two R's on the same carbon, including that carbon, may form a ring, thus creating a spirocyclic ring (a "spirocyclyl" group) structure with the depicted ring as for example in the formula:



[0233] "Alkyl" is intended to include linear or branched hydrocarbon structures and combinations thereof, inclusively. For example, "C₈ alkyl" may refer to an *n*-octyl, *iso*-ctyl. Lower alkyl refers to alkyl groups of from one to six carbon atoms. Examples of lower alkyl groups include methyl, ethyl, propyl, isopropyl, butyl, *s*-butyl, *t*-utyl, isobutyl, pentyl. Higher alkyl refers to alkyl groups containing more than eight carbon atoms. A "C₀" alkyl (as in "C₀-C₆ alkyl") is a covalent bond. Exemplary alkyl groups are those of C₂₀ or below. In this application, alkyl refers to alkanyl, alkenyl, and alkynyl residues (and combinations thereof); it is intended to include vinyl, allyl, isoprenyl. Thus when an alkyl residue having a specific number of carbons is named, all geometric isomers having that number of carbons are intended to be encompassed; thus, for example, either "butyl" or "C₄ alkyl" is meant to include *n*-butyl, *sec*-butyl, isobutyl, *t*-butyl, isobutenyl and *but*-2-ynyl groups; and for example, "propyl" or "C₃ alkyl" each include *n*-propyl, propenyl, and isopropyl.

[0234] "Cycloalkyl" means a cyclic hydrocarbon groups of from three to thirteen carbon atoms. Examples of cycloalkyl groups include *c*-propyl, *c*-butyl, *c*-pentyl, norbornyl, adamantyl.

[0235] "Alkoxy" or "alkoxy" refers to the group -O-alkyl, for example including from one to eight carbon atoms of a straight, branched, cyclic configuration, unsaturated chains, and combinations thereof attached to the parent structure through an oxygen atom. Examples include methoxy, ethoxy, propoxy, isopropoxy, cyclopropyloxy, cyclohexyloxy. Lower-alkoxy refers to groups containing one to six carbons.

[0236] "Optionally substituted alkoxy" refers to the group -OR where R is optionally substituted alkyl, as defined herein. One exemplary substituted alkoxy group is "polyalkoxy" or -O-optionally substituted alkylene-optionally substituted alkoxy, and includes groups such as -OCH₂CH₂OCH₃, and glycol ethers such as polyethyleneglycol and -O(CH₂CH₂O)_xCH₃, where *x* is an integer of between about two and about twenty, in another example, between about two and about ten, and in a further example between about two and about five. Another exemplary substituted alkoxy group is hydroxyalkoxy or -OCH₂(CH₂)_yOH, where *y* is for example an integer of between about one and about ten, in another example *y* is an integer of between about one and about four.

[0237] "Acyl" refers to groups of from one to ten carbon atoms of a straight, branched, cyclic configuration, saturated, unsaturated and aromatic and combinations thereof, attached to the parent structure through a carbonyl functionality. One or more carbons in the acyl residue may be replaced by nitrogen, oxygen or sulfur as long as the point of attachment to the parent remains at the carbonyl. Examples include acetyl, benzoyl, propionyl, isobutyryl, *t*-butoxycarbonyl, benzylloxycarbonyl. Lower-acyl refers to groups containing one to six carbons.

[0238] "Acyloxy" means an -OR group where R is acyl as defined herein.

[0239] "Acylamino" means an -NHR group where R is acyl as defined herein.

[0240] "Amino" refers to the group -NH₂. "Substituted amino," refers to the group -N(H)R or -N(R)R where each R is independently selected from the group: optionally substituted alkyl, optionally substituted alkoxy, optionally substituted aryl, optionally substituted heterocyclyl, acyl, carboxy, alkoxycarbonyl, sulfanyl, sulfinyl and sulfonyl, for example, diethylamino, methylsulfonylamino, and furanyl-oxy-sulfonamino.

[0241] "Aryl" refers to aromatic six- to fourteen-membered carbocyclic ring, for example, benzene, naphthalene, indane, tetralin, fluorene, univalent substituents. As univalent substituents, the aforementioned ring examples are named, phenyl, naphthyl, indanyl, tetralinyl, and fluorenyl.

[0242] "Arylalkyl" refers to a residue in which an aryl moiety is attached to a parent structure via one of an alkylene, alkylidene, or alkylidyne group. Examples include benzyl, phenethyl, phenylvinyl, phenylallyl. Both the aryl and the corresponding alkylene, alkylidene, or alkylidyne group portion of an arylalkyl group may be optionally substituted. "Lower arylalkyl" refers to an arylalkyl where the "alkyl" portion of the group has one to six carbons; this can also be referred to as C₁₋₆ arylalkyl.

[0243] In some examples, as appreciated by one of ordinary skill in the art, two adjacent groups on an aromatic system may be fused together to form a ring structure. The fused ring structure may contain heteroatoms and may be optionally substituted with one or more groups. It should additionally be noted that saturated carbons of such fused groups (*i.e.* saturated ring structures) can contain two substitution groups.

[0244] "Halogen" or "halo" refers to fluorine, chlorine, bromine or iodine.

[0245] "Haloalkyl" and "haloaryl" refer generically to alkyl and aryl groups that are substituted with one or more halogens, respectively. Thus, "dihaloaryl," "dihaloalkyl," "trihaloaryl" etc. refer to aryl and alkyl substituted with a plurality of halogens, but not necessarily a plurality of the same halogen; thus 4-chloro-3-fluorophenyl is within the scope of dihaloaryl. Haloalkyl includes, for instance, mono- to per-haloC₁-C₆ alkyl.

[0246] "Heteroatom" refers to O, S, N, or P.

[0247] "Heterocyclyl" refers to a stable three- to fifteen-membered ring substituent that consists of carbon atoms and from one to five heteroatoms selected from the group consisting of nitrogen, phosphorus, oxygen and sulfur. For purposes of this invention, the heterocyclyl substituent may be a monocyclic, bicyclic or tricyclic ring system, which may include fused or bridged ring systems as well as spirocyclic systems; and the nitrogen, phosphorus, carbon or sulfur atoms in the heterocyclyl group may be optionally oxidized to various oxidation states. In a specific example, the group -S(O)₀₋₂-, refers to -S- (sulfide), -S(O)- (sulfoxide), and -SO₂- (sulfone). For convenience, nitrogens, particularly those defined as annular aromatic nitrogens, are meant to include their corresponding N-oxide form, although not explicitly defined as such in a particular example. Thus, for a compound of the invention having, for example, a pyridyl ring; the corresponding pyridyl-N-oxide is meant to be included as another compound of the invention. In addition, annular nitrogen atoms may be optionally quaternized; and the ring substituent may be partially or fully saturated or aromatic. Examples of heterocyclyl groups include, azetidiny, acridiny, benzodioxoly, benzodioxanyl, benzofuranyl, carbazoyl, cinnoliny, dioxolanyl, indoliziny, naphthyridiny, perhydroazepiny, phenaziny, phenothiaziny, phenoxaziny, phthalaziny, pteridiny, puriny, quinazoliny, quinoxaliny, quinoliny, isoquinoliny, tetrazoyl, tetrahydroisoquinoliny, piperidiny, piperaziny, 2-oxopiperaziny, 2-oxopiperidiny, 2-oxopyrrolidiny, 2-oxoazepiny, azepiny, pyrroly, 4-piperidony, pyrrolidiny, pyrazoly, pyrazolidiny, imidazoly, imidazoliny, imidazolidiny, dihydropyridiny, tetrahydropyridiny, pyridiny, pyraziny, pyrimidiny, pyridaziny, oxazoly, oxazoliny, oxazolidiny, triazoly, isoxazoly, isoxazolidiny, morpholiny, thiazoly, thiazoliny, thiazolidiny, isothiazoly, quinuclidiny, isothiazolidiny, indoly, isoindoly, indoliny, isoindoliny, octahydroindolony, octahydroisoindolony, quinolony, isoquinolony, decahydroisoquinolony, benzimidazolony, thiadiazoly, benzopyranyl, benzothiazoly, benzoxazolony, furyl, tetrahydrofuryl, tetrahydropyranyl, thienyl, benzothieryl, thiamorpholiny, thiamorpholiny sulfoxide, thiamorpholiny sulfone, dioxaphospholanyl, and oxadiazoly.

[0248] "Heteroalicyclic" refers specifically, to a non-aromatic heterocyclyl group. A heteroalicyclic may contain unsaturation, but is not aromatic.

[0249] "Heteroalicyclicalkyl" refers specifically to an alkyl group substituted with one or two non-aromatic heterocyclyl group. The heteroalicyclic ring portion of this group may contain unsaturation, but is not aromatic.

[0250] "Heteroaryl" refers specifically to an aromatic heterocyclyl group.

[0251] "Heterocyclylalkyl" refers to a residue in which a heterocyclyl is attached to a parent structure via one of an alkylene, alkylidene, or alkylidyne group. Examples include (4-methylpiperazin-1-yl) methyl, (morpholin-4-yl) methyl, (pyridine-4-yl) methyl, 2-(oxazolin-2-yl) ethyl, 4-(4-methylpiperazin-1-yl)-2-butenyl. Both the heterocyclyl and the corresponding alkylene, alkylidene, or alkylidyne portion of a heterocyclylalkyl group may be optionally substituted. "Lower heterocyclylalkyl" refers to a heterocyclylalkyl where the "alkyl" portion of the group has one to six carbons. "Heteroalicyclicalkyl" refers specifically to a heterocyclylalkyl where the heterocyclyl portion of the group is non-aromatic; and "heteroarylalkyl" refers specifically to a heterocyclylalkyl where the heterocyclyl portion of the group is aromatic. Such terms may be described in more than one way, for example, "lower heterocyclylalkyl" and "heterocyclyl C₁₋₆alkyl" are equivalent terms. Additionally, for simplicity, the number of annular atoms (including heteroatoms) in a heterocycle may be denoted as "C_x-C_y" (as in "C_x-C_y-heterocyclyl" and "C_x-C_y-heteroaryl"), where x and y are integers. So, for example, C₅-C₁₄-heterocyclyl refers to a 5 to 14 membered ring system having at least one heteroatom and not a ring system containing 5 to 14 annular carbon atoms.

[0252] Preferred heterocyclyls and heteroaryls include, acridiny, azociny, benzimidazolony, benzofuranyl, benzothiophenyl, benzoxazolony, benzthiazoly, benztriazoly, pyridotriazolony, benzisoxazolony, benzisothiazoly, benzimidazoliny, carbazoly, 4aH-carbazoly, carboliny, chromanyl, chromenyl, cinnoliny, decahydroquinoliny, 2H,6H-1,5,2-dithiaziny, dihydrofuro[2,3-b]tetrahydrofuran, furanyl, furazany, imidazolidiny, imidazoliny, imidazolony, 1H-indazolony, indoleny, indoliny, indoliziny, indoly, 3H-indoly, isobenzofuranyl, isochromanyl, isoindazolony, isoindoliny, isoindolony, isoquinoliny, isothiazoly, isoxazolony, methylenedioxyphenyl, morpholiny, naphthyridiny, octahydroisoquinoliny, oxadiazoly, 1,2,3-oxadiazoly, 1,2,4-oxadiazoly, 1,2,5-oxadiazoly, 1,3,4-oxadiazoly, oxazolidiny, oxazolony, oxazolidiny, pyrimidiny, phenanthridiny, phenanthroliny, phenaziny, phenothiaziny, phenoxathiiny, phenoxaziny, phthalaziny, piperaziny, piperidiny, piperidony, 4-piperidony, piperony, pteridiny, puriny, pyranly, pyraziny, pyrazolidiny, pyrazoliny, pyrazoly, pyridaziny, pyridooxazole, pyridoimidazole, pyridothiazole, pyridiny, pyridyl, pyrimidiny, pyrrolidiny, pyrroliny, 2H-pyrroly, pyrroly, quinazoliny, quinoliny, 4H-quinoliziny, quinoxaliny, quinuclidiny, tetrahydrofuranly, tetrahydroisoquinoliny, tetrahydroquinoliny, tetrazoly, 6H-1,2,5-thiadiazoly, 1,2,3-thiadiazoly, 1,2,4-thiadiazoly, 1,2,5-thiadiazoly, 1,3,4-thiadiazoly, thianthreny, thiazoly, thienyl, thienothiazoly, thienooxazolony, thienoimidazolony, thiophenyl, triaziny, 1,2,3-triazoly, 1,2,4-triazoly, 1,3,4-triazoly, and xantheny.

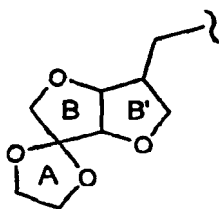
[0253] "Heterocyclyl-aryl-" means an aryl group substituted with at least one, specifically 1 or 2 heterocyclyl, as defined herein. "Optionally substituted heterocyclyl-aryl-" means that either or both the aryl and the heterocyclyl can be substi-

tuted as defined in "substituted."

[0254] "Optional" or "optionally" means that the subsequently described event or circumstance may or may not occur, and that the description includes instances where said event or circumstance occurs and instances in which it does not. One of ordinary skill in the art would understand that with respect to any molecule described as containing one or more optional substituents, only sterically practical and/or synthetically feasible compounds are meant to be included. "Optionally substituted" refers to all subsequent modifiers in a term. So, for example, in the term "optionally substituted arylC₁₋₈ alkyl," optional substitution may occur on both the "C₁₋₈ alkyl" portion and the "aryl" portion of the molecule may or may not be substituted. A list of exemplary optional substitutions is presented below in the definition of "substituted."

[0255] "Saturated bridged ring system" refers to a bicyclic or polycyclic ring system that is not aromatic. Such a system may contain isolated or conjugated unsaturation, but not aromatic or heteroaromatic rings in its core structure (but may have aromatic substitution thereon). For example, hexahydro-furo[3,2-b]furan, 2,3,3a,4,7,7a-hexahydro-1H-indene, 7-aza-bicyclo[2.2.1]heptane, and 1,2,3,4,4a,5,8,8a-octahydro-naphthalene are all included in the class "saturated bridged ring system."

[0256] "Spirocyclyl" or "spirocyclic ring" refers to a ring originating from a particular annular carbon of another ring. For example, as depicted below, a ring atom of a saturated bridged ring system (rings B and B'), but not a bridgehead atom, can be a shared atom between the saturated bridged ring system and a spirocyclyl (ring A) attached thereto. A spirocyclyl can be carbocyclic or heteroalicyclic.



[0257] "Substituted" alkyl, cycloalkyl, aryl, and heterocyclyl (including heteroalicyclic and heteroaryl), refer respectively to alkyl, aryl, and heterocyclyl, where one or more (for example up to about five, in another example, up to about three) hydrogen atoms are replaced by a substituent. The substituent(s) on alkyl, aryl, heteroaryl, and heterocyclyl (including when any of these groups are part of another group, such as the alkyl portion of alkoxy, or the aryl portion of aryloxy) include, for instance, one or more groups selected from alkylenedioxy (for example methylenedioxy), aryloxy (for example, phenoxy), carboxy, acyloxy, acylamino, benzyloxycarbonylamino, acyl, carbamyl, oxo, hydroxy, halo, nitro, cyano, -O-C₁-C₆ alkyl, haloalkyl, C₁-C₆ alkyl, cycloalkyl, -C(O)O-C₁-C₆ alkyl, -O-C₁-C₆ alkyl-aryl, -C₁-C₆ alkyl-aryl, -O-C₁-C₆ alkyl-O-C₁-C₆ alkyl, -N(R^a)(R^b), (R^a)(R^b)N-C₁-C₆ alkyl-, -O-C₁-C₆ alkyl-N(R^a)(R^b), -O-C₁-C₆ alkyl-heterocyclyl, C₀-C₆ alkyl-heterocyclyl, C₀-C₆ alkyl-aryl, C₀-C₆ alkyl-heteroaryl, -C(O)N(R^a)-C₁-C₆ alkyl-N(R^a)(R^b), sulfanyl, sulfinyl, sulfonyl, aryl, heteroaryl, heterocyclyl, arylalkyl-, heteroarylalkyl-, and heterocyclylalkyl, where R^a and R^b are independently hydrogen or alkyl, or R^a and R^b together with the nitrogen to which they are attached form a heterocyclyl group. Examples of heterocyclyl groups formed by R^a and R^b include morpholinyl and piperazinyl. Each substituent of a substituted group is optionally substituted, but these optional substituents themselves are not further substituted. Thus, an optionally substituted moiety is one that may or may not have one or more substituents, and each of the substituents may or may not have one or more substituents. But, the substituents of the substituents may not be substituted.

[0258] "Sulfanyl" refers to the groups: -S-(optionally substituted alkyl), -S-(optionally substituted aryl), and -S-(optionally substituted heterocyclyl).

[0259] "Sulfinyl" refers to the groups: -S(O)-H, -S(O)-(optionally substituted alkyl), -S(O)-(optionally substituted aryl), and -S(O)-(optionally substituted heterocyclyl).

[0260] "Sulfonyl" refers to the groups: -S(O₂)-H, -S(O₂)-(optionally substituted alkyl), -S(O₂)-(optionally substituted aryl), -S(O₂)-(optionally substituted heterocyclyl), -S(O₂)-(optionally substituted alkoxy), -S(O₂)-(optionally substituted aryloxy), and -S(O₂)-(optionally substituted heterocycliloxy).

Preparation of Compounds

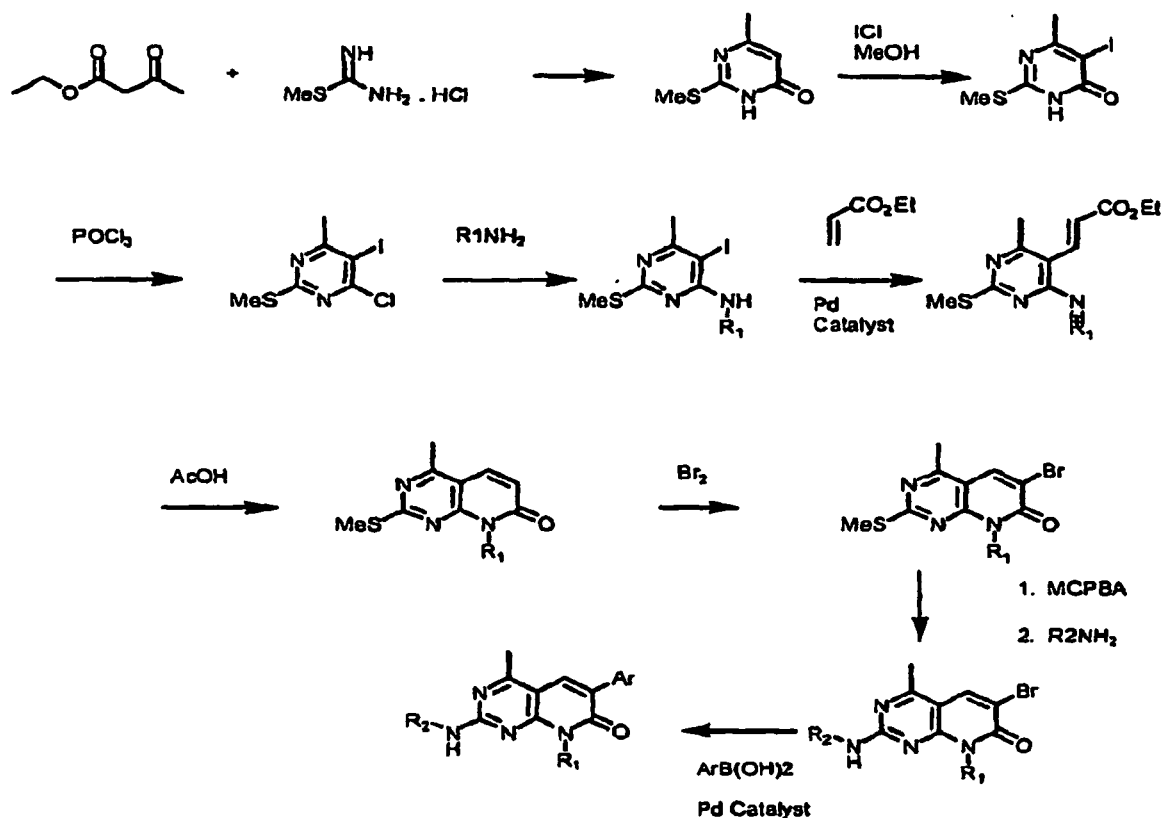
[0261] The compounds of Section II can be prepared by one skilled in the art based only on knowledge of the compound's chemical structure. The chemistry for the preparation of the compounds of this invention is known to those skilled in the art. In fact, there is more than one process to prepare the compounds. Specific examples of methods of preparation can be found in the art. For examples, see M. Barvian et al. J. Med. Chem. 2000, 43, 4606-4616; S. N. VanderWei et al. J. Med. Chem. 2005, 48, 2371-2387; P. L. Toogood et al. J. Med. Chem. 2005, 48, 2388-2406; J. Kaspavec et al. Tetrahedron Letters 2003, 44, 44567-4570; and references cited therein. See also U.S. Pre-grant publication US2004/0009993 A1 (M. Angiolini et al.), and references cited therein.

[0262] The following examples illustrate the invention.

EXAMPLES

[0263]

Experimental Scheme I



[0264] Using the same or analogous schemes described above and/or substituting with alternative reagents, the following compounds of the invention were prepared.

[0265] Illustrative compounds of Section II are shown in Table 1. Compounds of the invention are listed in the claims.

Tabel 1, Section II

Example	Structure	Name
1		6-bromo-8-ethyl-4-methyl-2-(methylamino)pyrido [2,3-d]pyrimidin-7(8H)-one
2		6-bromo-8-ethyl-4-methyl-2-[(phenylmethyl)amino]pyrido [2,3-d]pyrimidin-7(8H)-one

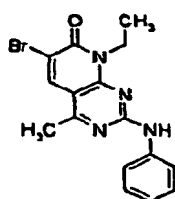
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Example

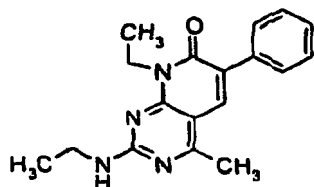
Structure

Name

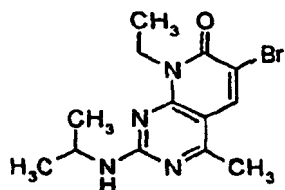
3

6-bromo-8-ethyl-4-methyl-2-(phenylamino)pyrido
[2,3-*d*]pyrimidin-7(8*H*)-one

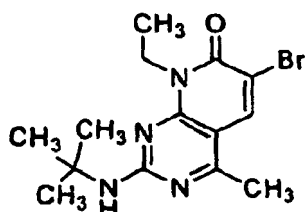
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8-ethyl-2-(ethylamino)-4-methyl-6-phenylpyrido
[2,3-*d*]pyrimidin-7(8*H*)-one

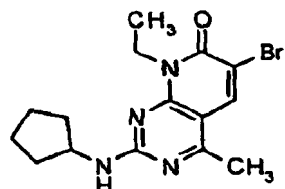
5

6-bromo-8-ethyl-4-methyl-2-[(1-methylethyl)
amino]pyrido[2,3-*d*]pyrimidin-7(8*H*)-one

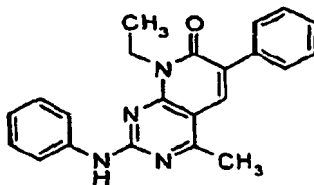
6

6-bromo-2-[(1,1-dimethylethyl)amino]-8-ethyl-4-
methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one

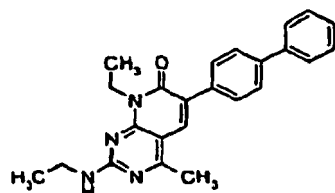
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6-bromo-2-(cyclopentylamino)-8-ethyl-4-
methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one

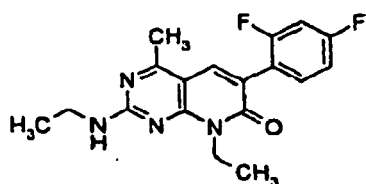
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8-ethyl-4-methyl-6-phenyl-2-(phenylamino)pyrido
[2,3-*d*]pyrimidin-7(8*H*)-one

9

6-biphenyl-4-yl-8-ethyl-2-(ethylamino)-4-
methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one

10

6-(2,4-difluorophenyl)-8-ethyl-2-(ethylamino)-4-
methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one

(continued)

Example	Structure	Name
11		6-(3-chloro-4-fluorophenyl)-8-ethyl-2-(ethylamino)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one
12		8-ethyl-2-(ethylamino)-4-methyl-6-[4-(methoxy)phenyl]pyrido[2,3-d]pyrimidin-7(8H)-one
13		6-(2,4-dichlorophenyl)-8-ethyl-2-(ethylamino)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one
14		6-(3,4-difluorophenyl)-8-ethyl-2-(ethylamino)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one
15		8-ethyl-2-(ethylamino)-4-methyl-6-[2-(methoxy)phenyl]pyrido[2,3-d]pyrimidin-7(8H)-one
16		6-bromo-2-(cyclohexylamino)-8-ethyl-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one
17		6-bromo-8-ethyl-4-methyl-2-[(2-morpholin-4-ylethyl)amino]pyrido[2,3-d]pyrimidin-7(8H)-one
18		6-bromo-8-ethyl-4-methyl-2-[(3-morpholin-4-ylpropyl)amino]pyrido[2,3-d]pyrimidin-7(8H)-one

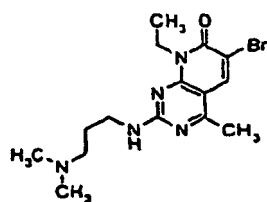
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Example

Structure

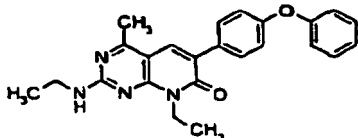
Name

19



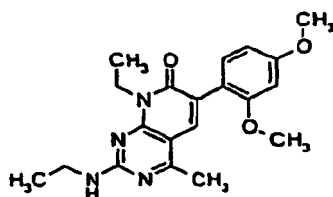
6-bromo-2-{{[3-(dimethylamino) propyl] amino}-8-ethyl-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one

20



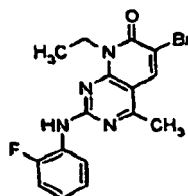
8-ethyl-2-(ethylamino)-4-methyl-6-[4-(phenyloxy)phenyl]pyrido[2,3-d]pyrimidin-7(8H)-one

21



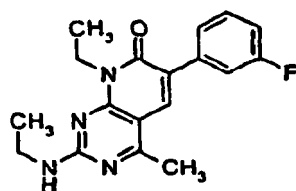
6-[2,4-bis(methoxy)phenyl]-8-ethyl-2-(ethylamino)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one

22



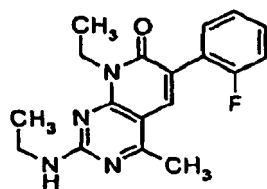
6-bromo-8-ethyl-2-[(2-fluorophenyl) amino]-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one

23



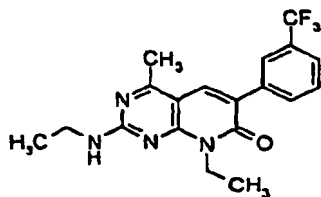
8-ethyl-2-(ethylamino)-6-(3-fluorophenyl)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one

24



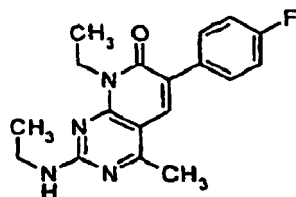
8-ethyl-2-(ethylamino)-6-(2-fluorophenyl)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one

25



8-ethyl-2-(ethylamino)-4-methyl-6-[3-(trifluoromethyl)phenyl]pyrido[2,3-d]pyrimidin-7(8H)-one

26



8-ethyl-2-(ethylamino)-6-(4-fluorophenyl)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one

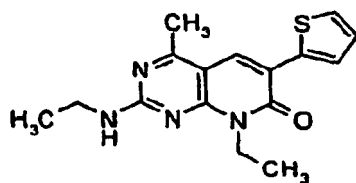
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Example

Structure

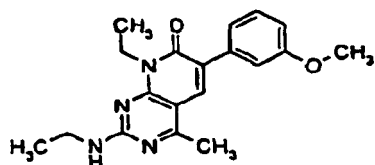
Name

27



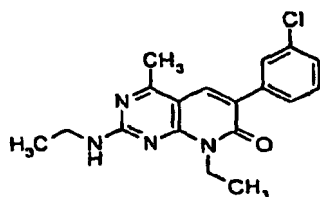
8-ethyl-2-(ethylamino)-4-methyl-6-(2-thienyl)
pyrido[2,3-*d*]pyrimidin-7(8*H*)-one

28



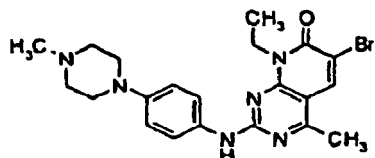
8-ethyl-2-(ethylamino)-4-methyl-6-[3-(methoxy)
phenyl]pyrido[2,3-*d*]pyrimidin-7(8*H*)-one

29



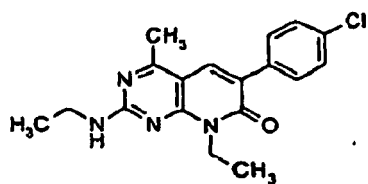
6-(3-chlorophenyl)-8-ethyl-2-(ethylamino)-4-
methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one

30



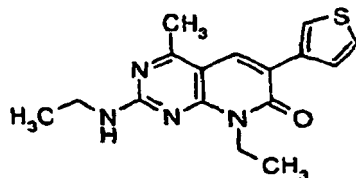
6-bromo-8-ethyl-4-methyl-2- { [4-(4-
methylpiperazin-1-yl) phenylamino] } pyrido [2,3-*d*]
pyrimidin-7(8*H*)-one

31



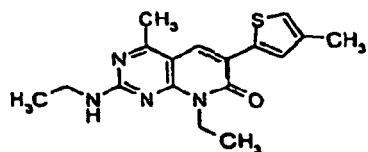
6-(4-chlorophenyl)-8-ethyl-2-(ethylamino)-4-
methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one

32



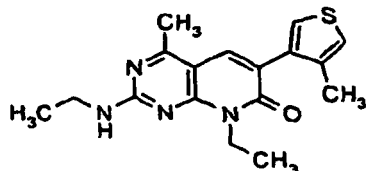
8-ethyl-2-(ethylamino)-4-methyl-6-(3-thienyl)
pyrido[2,3-*d*]pyrimidin-7(8*H*)-one

33



8-ethyl-2-(ethylamino)-4-methyl-6-(4-methyl-2-
thienyl)pyrido[2,3-*d*]pyrimidin-7(8*H*)-one

34



8-ethyl-2-(ethylamino)-4-methyl-6-(4-methyl-3-
thienyl)pyrido[2,3-*d*]pyrimidin-7(8*H*)-one

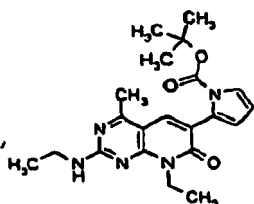
(continued)

Example

Structure

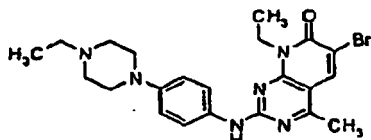
Name

35



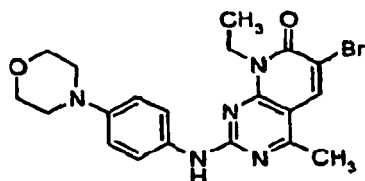
1,1-dimethyltetrahydropyran-2-[8-ethyl-2-(ethylamino)-4-methyl-7-oxo-7,8-dihydropyrido[2,3-*d*]pyrimidin-6-yl]-1H-pyrrole-1-carboxylate

36



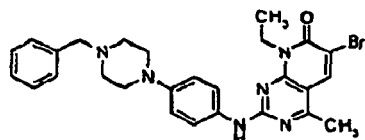
6-bromo-8-ethyl-2-([4-(4-ethylpiperazin-1-yl)phenyl]amino)-4-methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one

37



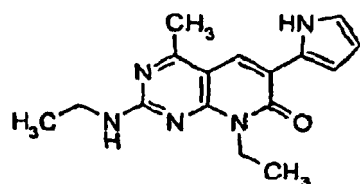
6-bromo-8-ethyl-4-methyl-2-([4-morpholin-4-ylphenyl]amino)pyrido[2,3-*d*]pyrimidin-7(8*H*)-one

38



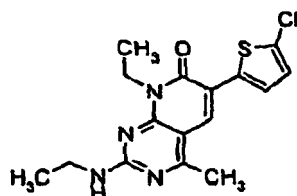
6-bromo-8-ethyl-4-methyl-2-([4-(4-(phenylmethyl)piperazin-1-yl)phenyl]amino)pyrido[2,3-*d*]pyrimidin-7(8*H*)-one

39



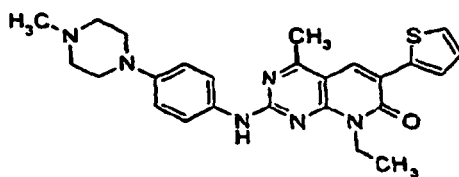
8-ethyl-2-(ethylamino)-4-methyl-6-(1*H*pyrrol-2-yl)pyrido[2,3-*d*]pyrimidin-7(8*H*)-one

40



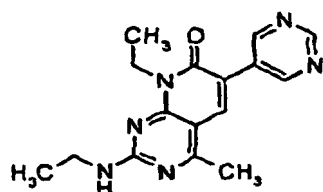
6-(5-chloro-2-thienyl)-8-ethyl-2-(ethylamino)-4-methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one

41



8-ethyl-4-methyl-2-([4-(4-methylpiperazin-1-yl)phenyl]amino)-6-(2-thienyl)pyrido[2,3-*d*]pyrimidin-7(8*H*)-one

42



8-ethyl-2-(ethylamino)-4-methyl-6-pyrimidin-5-ylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one

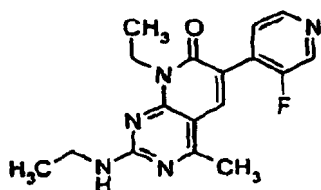
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Example

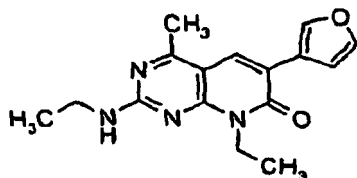
Structure

Name

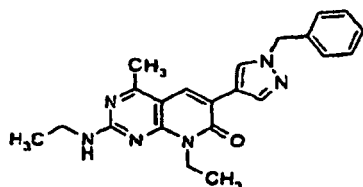
43

8-ethyl-2-(ethylamino)-6-(3-fluoropyridin-4-yl)-4-methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one

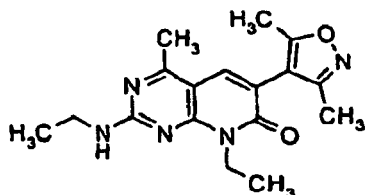
44

8-ethyl-2-(ethylamino)-6-furan-3-yl-4-methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one

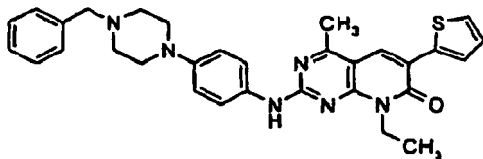
45

8-ethyl-2-(ethylamino)-4-methyl-6-[1-(phenylmethyl)-1*H*-pyrazol-4-yl]pyrido[2,3-*d*]pyrimidin-7(8*H*)-one

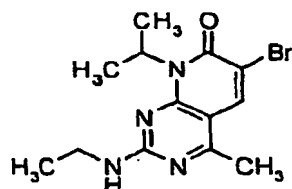
46

6-(3,5-dimethylisoxazol-4-yl)-8-ethyl-2-(ethylamino)-4-methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one

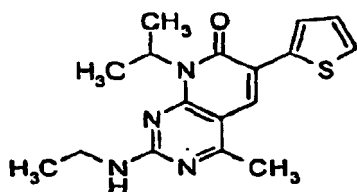
47

8-ethyl-4-methyl-2-({4-[4-(phenylmethyl)piperazin-1-yl]phenyl}amino)-6-(2-thienyl)pyrido[2,3-*d*]pyrimidin-7(8*H*)-one

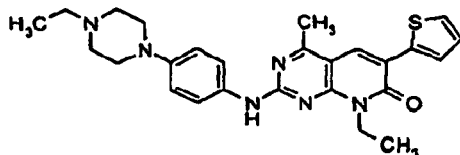
48

6-bromo-2-(ethylamino)-4-methyl-8-(1-methylethyl)pyrido[2,3-*d*]pyrimidin-7(8*H*)-one

49

2-(ethylamino)-4-methyl-8-(1-methylethyl)-6-(2-thienyl)pyrido[2,3-*d*]pyrimidin-7(8*H*)-one

50

8-ethyl-2-{[4-(4-ethylpiperazin-1-yl)phenyl]amino}-4-methyl-6-(2-thienyl)pyrido[2,3-*d*]pyrimidin-7(8*H*)-one

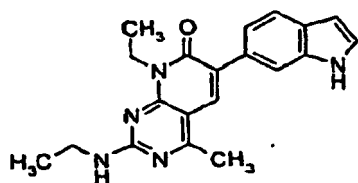
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Example

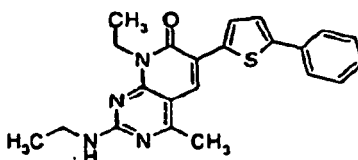
Structure

Name

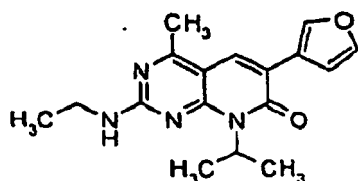
51

8-ethyl-2-(ethylamino)-6-(1*H*-indol-6-yl)-4-methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one

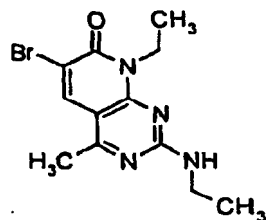
52

8-ethyl-2-(ethylamino)-4-methyl-6-(5-phenyl-2-thienyl)pyrido[2,3-*d*]pyrimidin-7(8*H*)-one

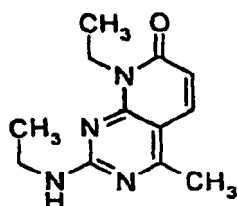
53

2-(ethylamino)-6-furan-3-yl-4-methyl-8-(1-methylethyl)pyrido[2,3-*d*]pyrimidin-7(8*H*)-one

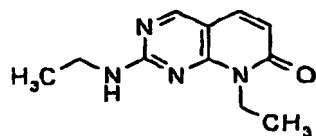
54

6-bromo-8-ethyl-2-(ethylamino)-4-methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one

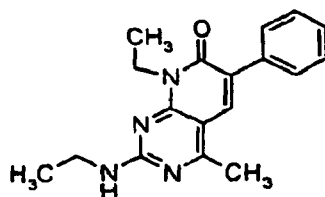
55

8-ethyl-2-(ethylamino)-4-methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one

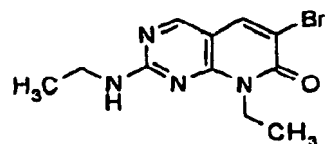
56

8-ethyl-2-(ethylamino)pyrido[2,3-*d*]pyrimidin-7(8*H*)-one

57

8-ethyl-2-(ethylamino)-4-methyl-6-phenylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one

58

6-bromo-8-ethyl-2-(ethylamino)pyrido[2,3-*d*]pyrimidin-7(8*H*)-one

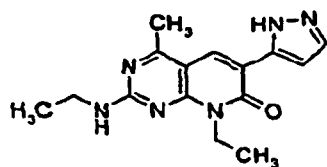
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Example

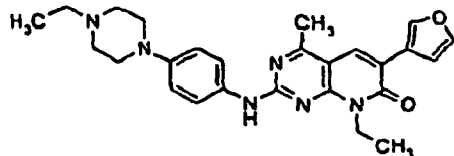
Structure

Name

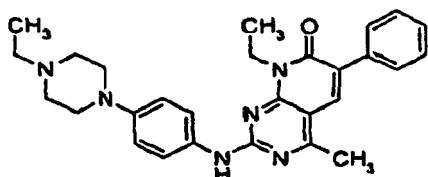
59

8-ethyl-2-(ethylamino)-4-methyl-6-(1*H*-pyrazol-5-yl)pyrido[2,3-*d*]pyrimidin-7(8*H*)-one

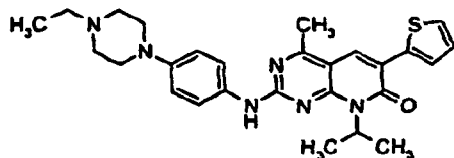
60

8-ethyl-2-{[4-(4-ethylpiperazin-1-yl)phenyl]amino}-6-furan-3-yl-4-methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one

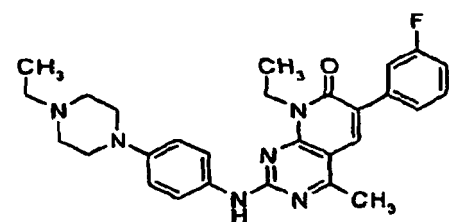
61

8-ethyl-2-{[4-(4-ethylpiperazin-1-yl)phenyl]amino}-4-methyl-6-phenylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one

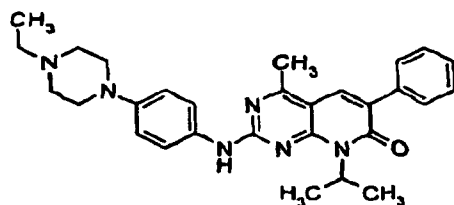
62

2-{[4-(4-ethylpiperazin-1-yl)phenyl]amino}-4-methyl-8-(1-methylethyl)-6-(2-thienyl)pyrido[2,3-*d*]pyrimidin-7(8*H*)-one

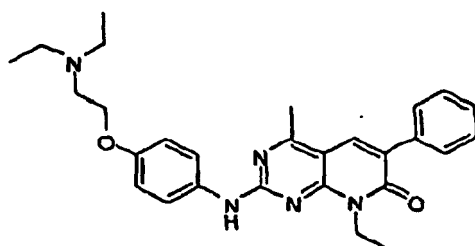
63

8-ethyl-2-{[4-(4-ethylpiperazin-1-yl)phenyl]amino}-6-(3-fluorophenyl)-4-methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one

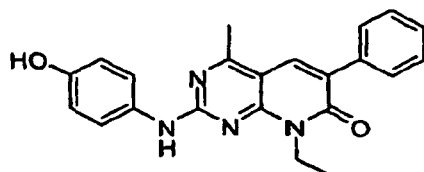
64

2-{[4-(4-ethylpiperazin-1-yl)phenyl]amino}-4-methyl-8-(1-methylethyl)-6-phenylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one

65

2-[(4-{[2-(diethylamino)ethyl]oxy}phenyl)amino]-8-ethyl-4-methyl-6-phenylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one

66

8-ethyl-2-[(4-hydroxyphenyl)amino]-4-methyl-6-phenylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one

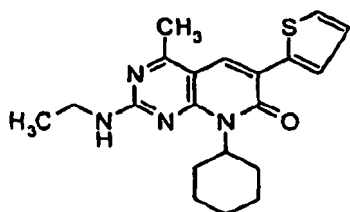
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Example

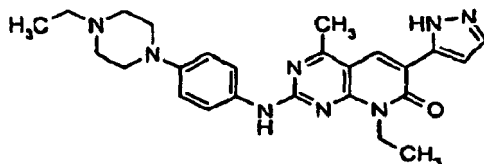
Structure

Name

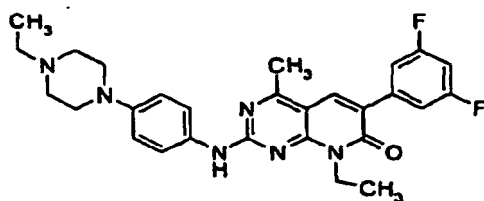
67

8- cyclohexyl- 2-(ethylamino)- 4- methyl- 6-(2-thienyl) pyrido [2,3-*d*] pyrimidin- 7 (8*H*)-one

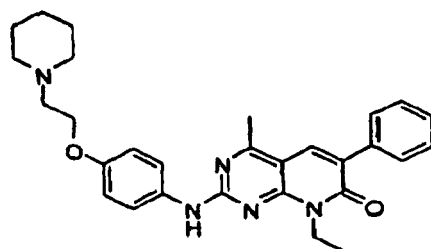
68

8- ethyl- 2- { [4-(4- ethylpiperazin- 1- yl) phenyl] amino}-4-methyl-6-(1*H* pyrazol-5-yl)pyrido[2,3-*d*] pyrimidin-7(8*H*)-one

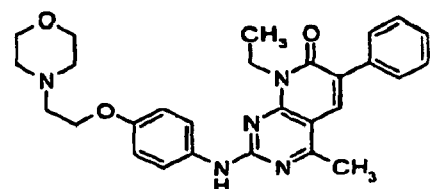
69

6-(3,5-*di*fluorophenyl)-8-ethyl-2- { [4-(4- ethylpiperazin-1-yl)phenyl] amino} -4-methylpyrido [2,3-*d*]pyrimidin-7(8*H*)-one

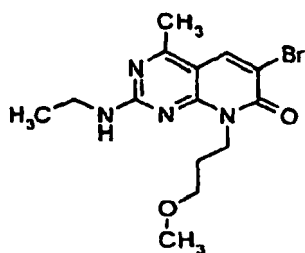
70

8- ethyl- 4- methyl- 6- phenyl- 2- ({4- [(2- piperidin- 1- ylethyl)oxy]phenyl} amino)pyrido[2,3-*d*]pyrimidin-7 (8*H*)-one

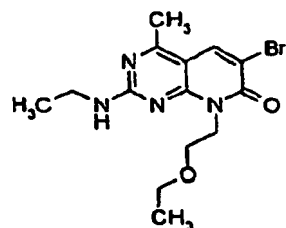
71

8- ethyl- 4- methyl- 2- ({4- [(2- morpholin- 4- ylethyl) oxy]phenyl} amino)-6-phenylpyrido [2,3-*d*] pyrimidin-7(8*H*)-one

72

6- bromo- 2-(ethylamino)- 4- methyl- 8-[3-(methoxy) propyl] pyrido [2,3-*d*] pyrimidin- 7 (8*H*)-one

73

6- bromo- 2-(ethylamino)- 8-[2-(ethoxy) ethyl]- 4- methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one

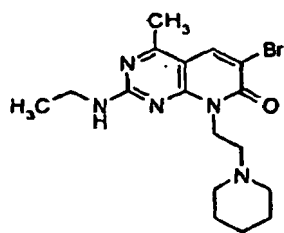
(continued)

Example

Structure

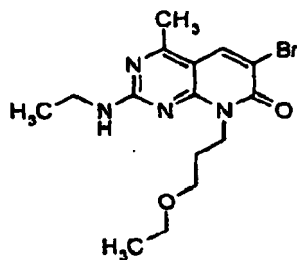
Name

74



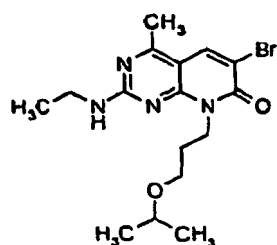
6-bromo-2-(ethylamino)-4-methyl-8-(2-piperidin-1-ylethyl)pyrido[2,3-d]pyrimidin-7(8H)-one

75



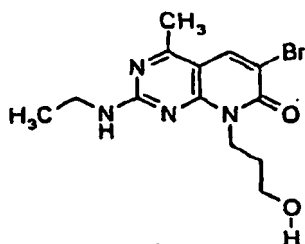
6-bromo-2-(ethylamino)-8-[3-(ethyloxy)propyl]-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one

76



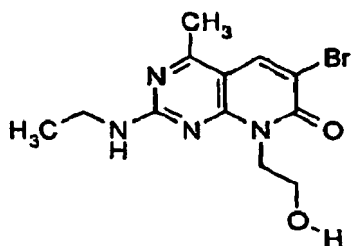
6-bromo-2-(ethylamino)-4-methyl-8-{3-[(1-methylethyl)oxy]propyl}pyrido[2,3-d]pyrimidin-7(8H)-one

77



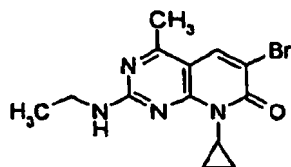
6-bromo-2-(ethylamino)-8-(3-hydroxypropyl)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one

78



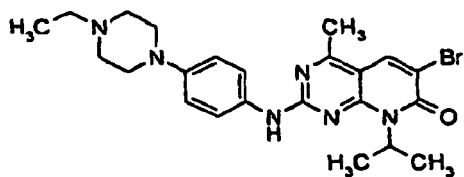
6-bromo-2-(ethylamino)-8-(2-hydroxyethyl)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one

79



6-bromo-8-cyclopropyl-2-(ethylamino)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one

80



6-bromo-2-{[4-(4-ethylpiperazin-1-yl)phenyl]amino}-4-methyl-8-(1-methylethyl)pyrido[2,3-d]pyrimidin-7(8H)-one

(continued)

Example	Structure	Name
81		2- { [4-(4- ethylpiperazin- 1- yl) phenyl] amino}- 4- methyl- 8-(1- methylethyl)- 6-(1 <i>H</i> -pyrazol- 5- yl) pyrido[2,3- <i>d</i>]pyrimidin-7(8 <i>H</i>)-one
82		6- acetyl- 8- ethyl- 2- { [4-(4- ethylpiperazin- 1- yl) phenyl] amino}- 4- methylpyrido [2,3- <i>d</i>] pyrimidin- 7 (8 <i>H</i>)-one
83		8-ethyl-2-(ethylamino)-4-methyl-6-(1,3-thiazol-2-yl)pyrido[2,3- <i>d</i>]pyrimidin-7(8 <i>H</i>)-one
84		6- bromo- 8- cyclopentyl- 2-(ethylamino)- 4- methylpyrido[2,3- <i>d</i>]pyrimidin-7(8 <i>H</i>)-one
85		8- cyclopentyl- 2-(ethylamino)- 4- methyl- 6-(1 <i>H</i> -pyrazol- 3- yl) pyrido [2,3- <i>d</i>] pyrimidin- 7 (8 <i>H</i>)-one
86		cyclopentyl- 2- { [4-(4- ethylpiperazin- 1- yl) phenyl] amino}- 4- methyl- 6-(1 <i>H</i> -pyrazol-5-yl)pyrido[2,3- <i>d</i>] pyrimidin-7(8 <i>H</i>)-one
87		2-(ethylamino)- 4- methyl- 8-(1- methylethyl)- 6-(1 <i>H</i> -pyrazol- 5- yl) pyrido [2,3- <i>d</i>] pyrimidin- 7 (8 <i>H</i>)-one
88		8-ethyl-2-(ethylamino)-4-methyl-6-(1 <i>H</i> -pyrazol-1-yl)pyrido[2,3- <i>d</i>]pyrimidin-7(8 <i>H</i>)-one

(continued)

Example	Structure	Name
89		2-(ethylamino)- 4- methyl- 8-(1- methylethyl)- 6-(1 <i>H</i> -pyrazol- 1- yl) pyrido [2,3- <i>d</i>] pyrimidin- 7 (8 <i>H</i>)-one
90		8-cyclopentyl-2-(ethylamino)-4-methyl- 6-(1 <i>H</i> -pyrazol- 1- yl) pyrido [2,3- <i>d</i>] pyrimidin- 7 (8 <i>H</i>)-one
91		8- ethyl- 2- { [4-(4- ethylpiperazin- 1- yl) phenyl] amino}-4-methyl-6-(1 <i>H</i> pyrazol-1-yl)pyrido[2,3- <i>d</i>] pyrimidin-7(8 <i>H</i>)-one
92		2- { [4-(4- ethylpiperazin- 1- yl) phenyl] amion}- 4- methyl-8-(1-methylethyl)6-(1 <i>H</i> -pyrazol-1-yl)pyrido [2,3- <i>d</i>]pyrimidin-7(8 <i>H</i>)-one
93		8-cyclopentyl-2-[[[4-(4-ethylpiperazin-1-yl)phenyl] amino}-4-methyl-6-(1 <i>H</i> pyrazol-1-yl)pyrido[2,3- <i>d</i>] pyrimidin-7(8 <i>H</i>)-one
		2-amino-8-ethyl-4-methyl-6-(1 <i>H</i> -pyrazol-5-yl) pyrido[2,3- <i>d</i>]pyrimidin-7(8 <i>H</i>)-one
		2-amino-8-cyclopentyl-4-methyl-6-(1 <i>H</i> -pyrazol-3- yl) pyrido[2,3- <i>d</i>]pyrimidin-7(8 <i>H</i>)-one
		2- amino- 4- methyl- 8-(1- methylethyl)- 6-(1 <i>H</i> -pyrazol-3-yl) pyrido[2,3- <i>d</i>]pyrimidin-7 (8 <i>H</i>)-one

[0266] Preferably, the PI3K inhibitor is selected from the compounds in Table I having a PI3K-binding affinity of about

9 μ M or less. Preferably, the PI3K inhibitor is selected from the compounds in Table I having a PI3K-binding affinity of about 5 μ M or less. Preferably, the PI3K inhibitor is selected from the compounds in Table I having a PI3K-binding affinity of about 3 μ M or less. Preferably, the PI3K inhibitor is selected from the compounds in Table I having a PI3K-binding affinity of about 1.5 μ M or less. Preferably, the PI3K inhibitor is selected from the compounds in Table I having a PI3K-binding affinity of about 1 μ M or less. Preferably, the PI3K inhibitor is selected from the compounds in Table I having a PI3K-binding affinity of about 0.6 μ M or less. Preferably, the PI3K inhibitor is selected from the compounds in Table I having a PI3K-binding affinity of about 0.3 μ M or less. Preferably, the PI3K inhibitor is selected from the compounds in Table I having a PI3K-binding affinity of about 0.2 μ M or less. Preferably, the PI3K inhibitor is selected from the compounds in Table I having a PI3K-binding affinity of about 0.1 μ M or less. Preferably, the PI3K inhibitor is selected from the compounds in Table I having a PI3K-binding affinity of about 0.04 μ M or less. Preferably, the PI3K inhibitor is selected from the compounds in Table I having a PI3K-binding affinity of about 0.020 μ M or less.

In Vitro Enzymatic Assay Description for Sections II and III:

PI3Kalpha Luciferase-Coupled Chemiluminescence Assay Protocol

[0267] PI3Kalpha activity is measured as the percent of ATP consumed following the kinase reaction using luciferase-luciferin-coupled chemiluminescence. Reactions were conducted in 384-well white, medium binding microtiter plates (Greiner). Kinase reactions were initiated by combining test compounds, ATP, substrate (PIP2), and kinase in a 20 μ L volume. The standard assay concentrations for enzyme, ATP, and substrate are 1.1 nM, 1 μ M, and 7.5 μ M, respectively. The reaction mixture was incubated at ambient temperature for 2 h. Following the kinase reaction, a 10 μ L aliquot of luciferase-luciferin mix (Promega Kinase-Glo) was added and the chemiluminescence signal measured using a Victor2 plate reader (Perkin Elmer). Total ATP consumption was limited to 40-60% and IC₅₀ values of control compounds correlate well with literature references. In this assay, preferred compounds of the invention exhibit an IC₅₀ of less than 50 micromolar. More preferred compounds of the invention exhibit an IC₅₀ of less than 1 micromolar. Even more preferred compounds of the invention exhibit an IC₅₀ of less than 500 nanomolar. Still more preferred compounds of the invention exhibit an IC₅₀ of less than 250 nanomolar.

Cell Assay Descriptions:

Phospho AKT assay

[0268] PC3 cells were seeded on 6-well plates at 150,000 cells/well. Cells were cultured for 3 days, then treated with compounds in serum-free medium for 3 hr. EGF (100 ng/ml) was added for the last 10 min. Cells were lysed in TENN buffer. Phospho T308 Akt and total Akt were quantified by ELISA performed according to the Biosource assay protocol. The readings of phospho Akt were normalized to total Akt readings.

Phospho S6 assay

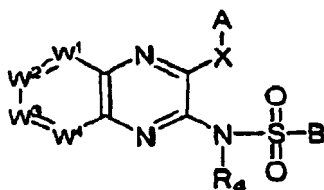
[0269] PC3 cells were seeded on 96-well plates at 8,000 cells/well. For each experiment, cells were seeded and treated in duplicated plates: one plate for phospho S6 CellELISA, and one plate for total S6 CellELISA. Cells were cultured on the plates for 3 days, then treated with compounds in serum-free medium for 3 hr in triplicate. Cells were fixed with 4% formaldehyde, quenched with 0.6% H₂O₂, blocked with 5% BSA, incubated with either phospho S6 antibody or total S6 antibody overnight, incubated with goat-anti-rabbit-IgG-HRP for 1 hr, and developed in chemiluminescent substrate.

PIP3 assay

[0270] MCF-7 cells grown in 10-cm dishes were starved for 3 hours in DMEM, and then treated with compounds for 20 minutes. In the last 2 minutes of the incubation with the compounds, EGF (100 ng/ml) was added to stimulate the production of PIP3. The medium was aspirated and the cells were scraped with 10% trichloroacetic acid. The lipids were extracted from the pellet after the cell lysates were centrifuged. PIP3 in the cellular lipid extraction was quantified with the AlphaScreen assay in which Grp1-PH is used as the PIP3 specific probe. The amount of cellular PIP3 was calculated from the standard curve of diC₈ PI (3,4,5) P3.

Section III

[0271] In section III there is disclosed a compound of Formula VIII:



VIII

or a pharmaceutically acceptable salt or solvate thereof, wherein

W^1 , W^2 , W^3 , and W^4 are $-C(R_1)-$ or one or two of W^1 , W^2 , W^3 , and W^4 are independently $-N-$ and the remaining are $-C(R_1)-$;

X is $-N(R_5)-$;

A is aryl, arylalkyl, $-S(O)_2$ -aryl, heteroaryl, cycloalkyl, heterocycloalkyl, halo, haloalkyl, haloalkoxy, C_1 - C_6 -alkyl, C_1 - C_6 -alkoxy, or $-C_1$ - C_6 -alkyl- $N(R_7)R_{7a}$, where each of the aryl, heteroaryl, cycloalkyl, heterocycloalkyl, and alkyl groups, each either alone or as part of another group within A, is independently optionally substituted with $(R_2)_{n1}$; B is aryl, heteroaryl, C_1 - C_6 -alkyl, $-C_1$ - C_6 -alkylaryl, or $-C_1$ - C_6 -alkylheteroaryl, wherein each of the aryl, heteroaryl and alkyl groups are independently optionally substituted with $(R_3)_{n2}$;

n_1 and n_2 are independently 0 or an integer from 1 to 5;

each R_1 is independently hydrogen, C_1 - C_6 -alkyl, haloalkyl, C_1 - C_6 -alkoxy, haloalkoxy, $-NO_2$, halo, hydroxy, hydroxyalkyl, $-CN$, cyanoalkyl, or $-C_0$ - C_6 -alkyl- $N(R_{10})R_{10a}$ where R_{10} and R_{10a} are independently hydrogen, $-C_1$ - C_6 -alkyl, $-OH$, $-O$ - C_1 - C_6 -alkyl, haloalkyl, or haloalkoxy;

each R_2 (when R_2 is present) is independently selected from $-C_1$ - C_6 -alkanyl, $-C_1$ - C_6 -alkenyl, $-C_2$ - C_6 -alkenyl- $C(O)OR_6$, $-OR_6$, $-N(R_7)C(O)R_6$, $-N(R_7)C(O)-C_0$ - C_6 -alkyl- $N(R_{7b})R_{7a}$, $-OC(O)-C_0$ - C_6 -alkyl- $N(R_7)R_{7a}$, $-N(R_7)C(O)-C_1$ - C_6 -alkyl- $C(O)OR_6$, $-C_0$ - C_6 -alkyl- $C(O)R_6$, $-S(O)_2N(R_7)R_{7a}$, $-C(O)OR_6$, $-CH(R_6)_2-C(O)OR_6$, $-S(O)_2R_6$, cycloalkyl, heterocycloalkyl, heteroaryl, $-C(O)N(R_7)-C_1$ - C_6 -alkyl- OR_6 , $-C_0$ - C_6 -alkyl- $C(O)N(R_7)-C_1$ - C_6 -alkyl- $C(O)OR_6$, $-C_0$ - C_6 -alkyl- $C(O)N(R_7)R_{7a}$, aryl, arylalkyl, $-S-(C_1$ - C_6 -alkyl), halo, oxo, $-NO_2$, $-S-CN$, $-CN$, and $-C_0$ - C_6 -alkyl- $N(R_7)R_{7a}$, wherein each of the alkyl, alkoxy, aryl, cycloalkyl, heterocycloalkyl, and heteroaryl groups, either alone or as part of another group within R_2 , is independently optionally substituted with 1, 2, 3, 4, or 5 groups selected from C_1 - C_6 -alkyl, halo, haloalkyl, haloalkoxy, oxo, $-NO_2$, $-CN$, $-OH$, $-N(R_8)R_{8a}$, C_1 - C_6 -alkoxy, and $-C(O)OR_6$;

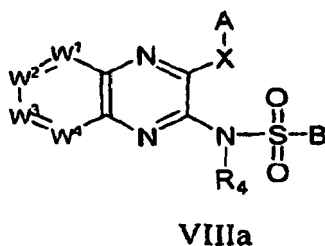
each R_3 (when R_3 is present) is independently NO_2 , halo, $-CN$, C_1 - C_6 -alkanyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_1 - C_6 -alkoxy, C_3 - C_6 -cycloalkyl, C_0 - C_6 -alkyl-heterocycloalkyl, $-C_0$ - C_6 -alkyl- $N(R_7)C(O)-C_0$ - C_6 -alkyl- $N(R_{7b})R_{7a}$, $-C_0$ - C_6 -alkyl- $N(R_7)C(O)-C_0$ - C_6 -alkyl- $N(R_{7b})C(O)R_{7a}$, $-C_0$ - C_6 -alkyl- $C(O)-C_0$ - C_6 -alkyl- $N(R_7)R_{7a}$, $-C_0$ - C_6 -alkyl- $C(O)N(R_7)-C_0$ - C_6 -alkyl- $N(R_{7b})R_{7a}$, $-C_0$ - C_6 -alkyl- $C(O)N(R_7)-C_1$ - C_6 -alkyl- $C(O)OR_{7a}$, $-C_0$ - C_6 -alkyl- $N(R_7)C(O)-C_0$ - C_6 -alkyl- (R_{7a}) , $-C_0$ - C_6 -alkyl- $N(R_7)-C_0$ - C_6 -alkyl- $N(R_{7b})R_{7a}$, $-C_0$ - C_6 -alkyl- $N(R_7)C(O)-C_0$ - C_6 -alkyl- $N(R_{7b})-C_0$ - C_6 -alkyl- $N(R_{7c})(R_{7a})$, $-C_0$ - C_6 -alkyl- $N(R_7)C(O)O-C_0$ - C_6 -alkyl- $N(R_{7b})R_{7a}$, $-C_0$ - C_6 -alkyl- $N(R_7)C(O)O-C_0$ - C_6 -alkyl-aryl, $-C_0$ - C_6 -alkyl- $C(O)N(R_7)-C_0$ - C_6 -alkyl- $N(R_{7b})R_{7a}$, $-C_0$ - C_6 -alkyl- $N(R_7)-C_0$ - C_6 -alkyl- $C(=N(R_{7b})(R_{7a}))(NR_{7c}R_{7d})$, $-C_0$ - C_6 -alkyl-aryl, $-C_0$ - C_6 -alkyl-heteroaryl, $-C_0$ - C_6 -alkyl-heterocycloalkyl, $-O-C_1$ - C_6 -alkyl- $N(R_7)R_{7a}$, $-C_0$ - C_6 -alkyl- OR_6 , $-C_0$ - C_6 -alkyl- $C(O)OR_6$, $-C_0$ - C_6 -alkyl- $N(R_7)R_{7a}$, $-C_0$ - C_6 -alkyl- $C(O)NR_{7a}$, $-C_0$ - C_6 -alkyl- $C(O)-R_7$, $-SR_7$, $-S(O)_2R_7$, $-S(O)_3R_7$, $-S(O)R_7$, $-S(O)_2N(R_7)-C_0$ - C_6 -alkyl- $N(R_{7b})R_{7a}$, $-S$ -heteroaryl, $-S$ -aryl, $-S$ -heterocycloalkyl, $-C_0$ - C_6 -alkyl- $N(R_7)$ -aryl, $-C_0$ - C_6 -alkyl- $N(R_7)$ -heteroaryl, $-C_0$ - C_6 -alkyl- $N(R_7)$ -heterocycloalkyl, $-C_0$ - C_6 -alkyl- $C(O)N(R_7)-C_0$ - C_6 -alkyl-cycloalkyl, $-C_0$ - C_6 -alkyl- $C(O)N(R_7)-C_0$ - C_6 -alkyl-aryl, $-C_0$ - C_6 -alkyl- $C(O)N(R_7)-C_0$ - C_6 -alkyl-heteroaryl, $-C_0$ - C_6 -alkyl- $C(O)N(R_7)-C_0$ - C_6 -alkyl-heterocycloalkyl, $-C_0$ - C_6 -alkyl- $N(R_7)C(O)-C_0$ - C_6 -alkyl-cycloalkyl, $-C_0$ - C_6 -alkyl- $N(R_7)C(O)-C_0$ - C_6 -alkyl-aryl, $-C_0$ - C_6 -alkyl- $N(R_7)C(O)-C_0$ - C_6 -alkyl-heteroaryl, $-C_0$ - C_6 -alkyl- $N(R_7)C(O)-C_0$ - C_6 -alkyl-heterocycloalkyl-aryl, $-N(R_7)C(O)OR_6$, or $-N(R_7)C(O)-R_{7a}$, wherein each of the alkyl, alkanyl, alkenyl, cycloalkyl, aryl, alkoxy, heterocycloalkyl, and heteroaryl groups, either alone or as part of another group within R_3 , is independently optionally substituted with 1, 2, 3, 4, or 5 groups selected from C_1 - C_6 -alkanyl, C_1 - C_6 -alkenyl, $-C_0$ - C_6 -alkyl- OR_6 , cycloalkyl, halo, haloalkyl, haloalkoxy, $-C(O)R_6$, $-NO_2$, $-CN$, oxo, $-C_0$ - C_6 -alkyl- $N(R_8)R_{8a}$, $-C_0$ - C_6 -alkyl-heterocycloalkyl, $-C_0$ - C_6 -alkyl-aryl, $-C_0$ - C_6 -alkyl-heteroaryl, $-C(O)OR_6$, and hydroxyalkyl;

R_4 is hydrogen, aryl, $-C_0$ - C_6 -alkyl- $N(R_7)R_{7a}$, C_1 - C_6 -alkoxy, or C_1 - C_6 -alkyl, wherein each of the alkyl and aryl groups, either alone or as part of another group in R_4 , is independently optionally substituted with 1, 2, 3, 4, or 5 groups selected from C_1 - C_6 -alkyl, halo, haloalkyl, haloalkoxy, $-NO_2$, $-CN$, $-OH$, $-N(R_8)R_{8a}$, C_1 - C_6 -alkoxy, and $-C(O)OR_6$; R_5 is hydrogen, $-C_1$ - C_6 -alkyl- $N(R_7)R_{7a}$, C_1 - C_6 -alkoxy, C_1 - C_6 -alkyl, or aryl, wherein each of the alkyl and aryl is optionally substituted with 1, 2, 3, 4, or 5 groups selected from C_1 - C_6 -alkyl, halo, haloalkyl, haloalkoxy, $-NO_2$, $-CN$, $-OH$, $-N(R_8)R_{8a}$, C_1 - C_6 -alkoxy, or $-C(O)R_6$;

R_6 and R_9 are independently hydrogen, -OH, C_1 - C_6 -alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heterocycloalkylalkyl, heteroaryl, heteroarylalkyl, or aryl, each C_1 - C_6 alkyl, aryl, cycloalkyl, heterocycloalkyl, and heteroaryl, either alone or as part of another group within R_6 and R_9 , is independently optionally substituted with 1, 2, 3, 4, or 5 groups independently selected from -NH₂, -OH, C_1 - C_6 -alkoxy, C_1 - C_6 -alkyl, and halo; and

R_7 , R_{7a} , R_{7b} , R_{7c} , R_{7d} , R_8 , and R_{8a} are independently hydrogen, - C_1 - C_6 -alkanyl, - C_1 - C_6 -alkenyl, -OH, -O- C_1 - C_6 alkanyl, -O- C_1 - C_6 alkenyl, -O- C_0 - C_6 -alkyl-aryl, - C_0 - C_6 -alkyl-C(O)OR₆, - C_0 - C_6 -alkyl-C(O)R₆, aryl, arylalkyl, heteroaryl, heteroarylalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, or heterocycloalkylalkyl, wherein each of the alkyl, aryl, heteroaryl, and heterocycloalkyl, either alone or part of another group within R_7 , R_{7a} , R_{7b} , R_{7c} , and R_{7d} , is independently optionally substituted with 1, 2, 3, 4, or 5 groups selected from -NH₂, alkylamino, dialkylamino, -S- C_1 - C_6 -alkyl, -CN, -OH, -NO₂, oxo, C_1 - C_6 -alkoxy, C_1 - C_6 -alkyl, halo, aryl, and heteroaryl optionally substituted with one or two C_1 - C_6 -alkyl.

[0272] In one embodiment, in section III the invention provides a compound of Formula VIIIa:



or a pharmaceutically acceptable salt or solvate thereof, wherein

W_1 , W_2 , W_3 , and W_4 are -C(R_1)- or W_2 and W_3 are -C(R_1)- and one of W_1 and W_4 is -N- and the other is -C(R_1)-; X is -N(R_5)-;

A is aryl, heteroaryl, or heterocycloalkyl where the aryl, heteroaryl, and heterocycloalkyl are optionally substituted with (R_2)_{n1}; or

B is aryl, - C_1 - C_6 alkylaryl, heteroaryl, or heterocycloalkyl, where the aryl, C_1 - C_6 -alkyl, heteroaryl, and heterocycloalkyl are independently optionally substituted with (R_3)_{n2};

n_1 is 0, 1, 2, or 3;

n_2 is or an integer from 1 to 5;

each R_1 is independently hydrogen, C_1 - C_6 -alkyl, haloalkyl, C_1 - C_6 -alkoxy, haloalkoxy, or -NO₂;

each R_2 (when R_2 is present) is independently - C_1 - C_6 -alkanyl, - C_1 - C_6 -alkenyl, -OR₆, -N(R_7)-C(O)-R₆, -N(R_7)-C(O)- C_0 - C_6 alkyl-N(R_{7b})R_{7a}, -OC(O)- C_0 - C_6 alkyl-N(R_7)R_{7a}, - C_0 - C_6 -alkyl-C(O)R₆, heterocycloalkyl, aryl, halo, -NO₂, or - C_0 - C_6 -alkyl-N(R_7)R_{7a}, wherein each alkyl, aryl, and heterocycloalkyl groups, each either alone or as part of another group within R_2 , is independently optionally substituted with one, two, three, four, or five groups selected from C_1 - C_6 -alkyl, C_1 - C_6 -alkoxy, halo, haloalkyl, and haloalkoxy;

each R_3 (when R_3 is present) is independently hydroxy, -NO₂, halo, -CN, C_1 - C_6 -alkanyl, C_2 - C_6 -alkenyl, C_1 - C_6 alkoxy, - C_0 - C_6 alkyl-N(R_7)C(O)- C_0 - C_6 -alkyl-N(R_{7b})R_{7a}, - C_0 - C_6 -alkyl-N(R_7)C(O)- C_0 - C_6 -alkyl-N(R_{7b})C(O)R_{7a}, - C_0 - C_6 -alkyl-C(O)N(R_7)- C_0 - C_6 -alkyl-N(R_7)R_{7a}, - C_0 - C_6 -alkyl-C(O)N(R_7)- C_1 - C_6 -alkyl-C(O)OR_{7a}, - C_0 - C_6 -alkyl-N(R_7)-C(O)- C_0 - C_6 -alkyl-(R₇), - C_0 - C_6 -alkyl-N(R_7)- C_0 - C_6 -alkyl-N(R_7)R_{7a}, - C_0 - C_6 -alkyl-N-(R₇)C(O)- C_0 - C_6 -alkyl-N(R_{7b})- C_0 - C_6 -alkyl-N(R_{7c})R_{7a}, - C_0 - C_6 -alkyl-N(R_7)C(O)O- C_0 - C_6 -alkyl-N(R_{7b})R_{7a}, - C_0 - C_6 -alkyl-N(R_7)- C_0 - C_6 alkyl-C(=N(R_{7b})(R_{7a}))(NR_{7c}R_{7d}), - C_0 - C_6 -alkyl-heteroaryl, - C_0 - C_6 -alkyl-OR₆, - C_0 - C_6 -alkyl-C(O)OR₆, - C_0 - C_6 -alkyl-N(R_7)R_{7a}, - C_0 - C_6 -alkyl-C(O)-NR₇R_{7a}, - C_0 - C_6 -alkyl-C(O)-R₇, -S(O)₂R₇, -SO₂N(R_7)- C_0 - C_6 -alkyl-N(R_7)R_{7a}, - C_0 - C_6 -alkyl-C(O)-heterocycloalkyl (dupe of C(O)R₇), - C_0 - C_6 -alkyl-C(O)N(R_7)- C_0 - C_6 -alkyl-heterocycloalkyl, - C_0 - C_6 -alkyl-N(R_7)C(O)- C_0 - C_6 -alkyl-cycloalkyl, - C_0 - C_6 -alkyl-N(R_7)-C(O)- C_0 - C_6 -alkyl-aryl, - C_0 - C_6 -alkyl-N(R_7)-C(O)- C_0 - C_6 -alkyl-heteroaryl, - C_0 - C_6 -alkyl-N(R_7)C(O)- C_0 - C_6 -alkyl-heterocycloalkyl, - C_0 - C_6 -alkyl-N(R_7)C(O)- C_0 - C_6 -alkyl-heterocycloalkyl-aryl, or -N(R_7)C(O)R_{7a}, wherein each of the alkyl, alkanyl, alkenyl, cycloalkyl, aryl, alkoxy, heterocycloalkyl, and heteroaryl groups, either alone or as part of another group within R_3 , is independently optionally substituted with 1, 2, 3, 4, or 5 groups selected from C_1 - C_6 -alkanyl, C_1 - C_6 alkenyl, cycloalkyl, halo, -C(O)-R₆, oxo, hydroxy, - C_0 - C_6 -alkyl-N(R_8)R_{8a}, - C_0 - C_6 -alkyl-heterocycloalkyl, - C_0 - C_6 -alkyl-aryl, - C_0 - C_6 -alkyl-heteroaryl, -C(O)OR₆, and hydroxyalkyl;

R_4 is hydrogen;

R_5 is hydrogen;

R_6 and R_9 are independently hydrogen, C_1 - C_6 -alkyl, aryl, arylalkyl, or cycloalkyl, where each of the - C_1 - C_6 -alkyl, aryl, arylalkyl, and cycloalkyl, is independently optionally substituted with 1,2,3,4, or 5 groups selected from

C₁-C₆-alkoxy, C₁-C₆-alkyl, and halo; and

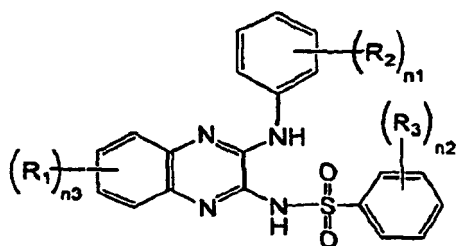
R₇, R_{7a}, R_{7b}, R_{7c}, and R_{7d} are independently hydrogen, -C₁-C₆-alkanyl, -C₁-C₆-alkenyl, -OH, -O-C₁-C₆-alkanyl, -O-C₁-C₆-alkenyl, -O-C₀-C₆-alkyl-aryl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, or heterocycloalkylalkyl, wherein each of the alkyl, aryl, heteroaryl, and heterocycloalkyl, either alone or part of another group within R₇, R_{7a}, R_{7b}, R_{7c}, and R_{7d}, is independently optionally substituted with 1, 2, 3, 4, or 5 -NH₂, alkylamino, dialkylamino, -S-C₁-C₆-alkyl, -CN, hydroxy, oxo, C₁-C₆-alkoxy, C₁-C₆-alkyl, or halo.

[0273] In another embodiment (A1), the invention provides a compound of Formula VIIIa where X is -N(R₅)-, R₅ is hydrogen, and all other groups are as defined above for a compound of Formula VIIIa.

[0274] In another embodiment (A2), the invention provides a compound of Formula VIIIa where A is aryl or heteroaryl where the aryl and the heteroaryl are optionally substituted with (R₂)_{n1} where n1 is 1, 2, 3, 4, or 5; B is aryl or heteroaryl where the aryl and the heteroaryl are optionally substituted with (R₃)_{n2} where n2 is 1, 2, 3, 4, or 5; and all other groups are as defined above for a compound of Formula VIIIa.

[0275] In another embodiment (A3), the invention provides a compound of Formula VIIIa where W¹, W², W³, and W⁴ are -C(R₁)- where each R₁ is independently hydrogen, C₁-C₆-alkyl, C₁-C₆-alkoxy, or nitro; and all other groups are as defined in the Summary of the Invention. In another embodiment, W¹ and W⁴ are -CH- and W² and W³ are -C(R₁)- where each R₁ is independently hydrogen, C₁-C₆-alkyl, C₁-C₆-alkoxy, or nitro. In another embodiment, W¹ and W⁴ are -CH- and W² and W³ are -C(R₁)- where each R₁ is independently hydrogen, methyl, methoxy, or nitro. In another embodiment, W¹, W², W³, and W⁴ are -CH-.

[0276] In another embodiment, the invention provides a compound of Formula VIIIb:



VIIIb

or a pharmaceutically acceptable salt or solvate thereof, wherein

n 1 is one or two; and n2 is one or two; n3 is 0, 1, or two;

each R₁ is independently hydrogen, C₁-C₆-alkyl, haloalkyl, C₁-C₆-alkoxy, haloalkoxy, -NO₂, halo, hydroxy, hydroxy-alkyl, -CN, cyanoalkyl, or -C₀-C₆-alkyl-N(R₁₀)R_{10a} where R₁₀ and R_{10a} are independently hydrogen, -C₁-C₆-alkyl, -OH, -O-C₁-C₆-alkyl, haloalkyl, or haloalkoxy;

each R₂ (when R₂ is present) is independently C₁-C₆-alkanyl, C₁-C₆-alkenyl, -OR₆, -N(R₇)-C(O)-R₆, -N(R₇)-C(O)-C₀-C₆-alkyl-N(R_{7b})R_{7a}, -OC(O)-C₀-C₆-alkyl-N(R₇)R_{7a}, -C₀-C₆-alkyl-C(O)R₆, heterocycloalkyl, aryl, halo, -NO₂, or -C₀-C₆-alkyl-N(R₇)R_{7a}, wherein each alkyl, aryl, and heterocycloalkyl groups, each either alone or as part of another group within R₂, is independently optionally substituted with one, two, three, four, or five groups selected from C₁-C₆-alkyl, C₁-C₆-alkoxy, halo, haloalkyl, and haloalkoxy;

each R₃ (when R₃ is present) is independently hydroxy, -NO₂, halo, -CN, C₁-C₆-alkanyl, C₂-C₆-alkenyl, C₁-C₆-alkoxy, -C₀-C₆-alkyl-N(R₇)C(O)-C₀-C₆-alkyl-N(R_{7b})R_{7a}, -C₀-C₆-alkyl-N(R₇)C(O)-C₀-C₆-alkyl-N(R_{7b})C(O)R_{7a}, -C₀-C₆-alkyl-C(O)N(R₇)-C₀-C₆-alkyl-N(R₇)R_{7a}, -C₀-C₆-alkyl-C(O)N(R₇)-C₁-C₆-alkyl-C(O)OR_{7a}, -C₀-C₆-alkyl-N(R₇)-C(O)-C₀-C₆-alkyl-(R₇), -C₀-C₆-alkyl-N(R₇)-C₀-C₆-alkyl-N(R₇)R_{7a}, -C₀-C₆-alkyl-N(R₇)C(O)-C₀-C₆-alkyl-N(R_{7b})-C₀-C₆-alkyl-N(R_{7c})R_{7a}, -C₀-C₆-alkyl-N(R₇)C(O)O-C₀-C₆-alkyl-N(R_{7b})R_{7a}, -C₀-C₆-alkyl-N(R₇)-C₀-C₆-alkyl-C(=N(R_{7b})(R_{7a}))(NR_{7c}R_{7d}), -C₀-C₆-alkyl-heteroaryl, -C₀-C₆-alkyl-OR₆, -C₀-C₆-alkyl-C(O)OR₆, -C₀-C₆-alkyl-N(R₇)R_{7a}, -C₀-C₆-alkyl-C(O)-NR₇R_{7a}, -C₀-C₆-alkyl-C(O)-R₇, -S(O)₂R₇, -SO₂N(R₇)-C₀-C₆-alkyl-N(R₇)R_{7a}, -C₀-C₆-alkyl-C(O)-heterocycloalkyl (dupe of C(O)R₇), -C₀-C₆-alkyl-C(O)N(R₇)-C₀-C₆-alkyl-heterocycloalkyl, -C₀-C₆-alkyl-N(R₇)C(O)-C₀-C₆-alkyl-cycloalkyl, -C₀-C₆-alkyl-N(R₇)-C(O)-C₀-C₆-alkyl-aryl, -C₀-C₆-alkyl-N(R₇)-C(O)-C₀-C₆-alkyl-heteroaryl, -C₀-C₆-alkyl-N(R₇)C(O)-C₀-C₆-alkyl-heterocycloalkyl, -C₀-C₆-alkyl-N(R₇)C(O)-C₀-C₆-alkyl-heterocycloalkyl-aryl, or -N(R₇)C(O)R_{7a}, wherein each of the alkyl, alkanyl, alkenyl, cycloalkyl, aryl, alkoxy, heterocycloalkyl, and heteroaryl groups, either alone or as part of another group within R₃, is independently optionally substituted with 1, 2, 3, 4, or 5 groups selected from C₁-C₆-alkanyl, C₁-C₆-alkenyl, cycloalkyl, halo, -C(O)-R₆, oxo, hydroxy, -C₀-C₆-alkyl-N(R₈)R_{8a}, -C₀-C₆-alkyl-heterocycloalkyl, -C₀-C₆-alkyl-aryl, -C₀-C₆-alkyl-heteroaryl, -C(O)OR₆, and hydroxyalkyl; R₄ is hydrogen;

R₅ is hydrogen;

R₆ is hydrogen, C₁-C₆-alkyl, aryl, arylalkyl, or cycloalkyl, where each of the -C₁-C₆-alkyl, aryl, arylalkyl, and cycloalkyl, is independently optionally substituted with 1, 2, 3, 4, or 5 groups selected from C₁-C₆-alkoxy, C₁-C₆-alkyl, and halo; and

R₇, R_{7a}, R_{7b}, R_{7c}, and R_{7d} are independently hydrogen, -C₁-C₆-alkanyl, -C₁-C₆-alkenyl, -OH, -O-C₁-C₆-alkanyl, -O-C₁-C₆-alkenyl, -O-C₀-C₆-alkyl-aryl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, or heterocycloalkylalkyl, wherein each of the alkyl, aryl, heteroaryl, and heterocycloalkyl, either alone or part of another group within R₇, R_{7a}, R_{7b}, R_{7c}, and R_{7d}, is independently optionally substituted with 1, 2, 3, 4, or 5 -NH₂, alkylamino, dialkylamino, -S-C₁-C₆-alkyl, -CN, hydroxy, oxo, C₁-C₆-alkoxy, C₁-C₆-alkyl, or halo.

[0277] In another embodiment (C), the invention provides a compound according to Embodiment B, wherein R₁ is hydrogen, -NO₂, C₁-C₄-alkoxy, or C₁-C₃-alkyl. In another embodiment, one or two R₁ are hydrogen, methoxy, or methyl and the remaining R₁ are hydrogen. In another embodiment, each R¹ is hydrogen.

[0278] In another embodiment (D), the invention provides a compound according to Embodiment B wherein n₁ is 1 or 2 and each R₂ is independently halo, -OR₆ (where R₆ is hydrogen or alkyl), -N(R₇)-C(O)-C₀-C₆-alkyl-N(R_{7b})-R_{7a} (where R₇, R_{7a}, and R_{7b} are independently hydrogen or C₁-C₆-alkanyl), or -C₀-C₆-alkyl-C(O)R₆ (where R₆ is C₁-C₆-alkanyl). In another embodiment, each R₂ is independently chloro, bromo, fluoro, hydroxy, methoxy, -N(H)C(O)-CH₂-N(CH₃)₂, -C(O)CH₃, or methyl. In another embodiment, each R₂ is independently hydrogen, methoxy, or chloro.

[0279] In another embodiment (E), the invention provides a compound according to Embodiment B wherein n₂ is 1 or 2 and each R₃ is independently C₁-C₆-alkanyl, C₁-C₆-alkenyl, halo, -C₀-C₆-alkyl-N(R₇)-C(O)-C₀-C₆-alkyl-N(R_{7b})-R_{7a}, -C₀-C₆-alkyl-N(R₇)-C(O)-C₀-C₆-alkyl-N(R_{7b})-C₀-C₆-alkyl-N(R_{7c})-R_{7a}, -C₀-C₆-alkyl-N(R₇)-C(O)-C₀-C₆-alkyl-N(R_{7a}), -C₀-C₆-alkyl-N(R₇)-C(O)-C₀-C₆-alkyl-heterocycloalkyl, -C₀-C₆-alkyl-N(R₇)-R_{7a}, -C₀-C₆-alkyl-N(R₇)-C(O)-C₀-C₆-alkyl-heteroaryl; where R₇, R_{7a}, R_{7b}, and R_{7c} are independently hydrogen, C₁-C₆-alkanyl, C₁-C₆-alkoxy, cycloalkylalkyl, hydroxy, or heterocycloalkyl (optionally substituted with C₁-C₆-alkyl); and where the alkyl and heterocycloalkyl, either alone or as part of another group within R₃, are independently optionally substituted with 1, 2, or 3 groups, preferably 1 or 2, selected from hydroxy, halo, -C₀-C₆-alkyl-N(R₈)-R_{8a} (where R₈ and R_{8a} are independently hydrogen or C₁-C₆-alkanyl), and -C₀-C₆-alkyl-heteroaryl.

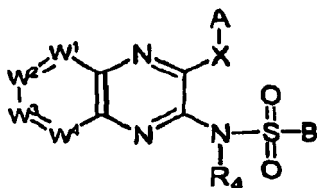
[0280] In another embodiment of embodiment E, n₂ is 1 and R₃ is C₁-C₆-alkanyl, halo, -N(R₇)-C(O)-C₁-C₆-alkyl-N(R_{7b})-R_{7a}, -N(R₇)-C(O)-C₀-C₆-alkyl-N(R_{7b})-C₁-C₆-alkyl-N(R_{7c})-R_{7a}, -N(R₇)-C(O)-C₀-C₆-alkyl-N(R_{7a}), -N(R₇)-C(O)-C₀-C₆-alkyl-heterocycloalkyl, -N(R₇)-R_{7a}, or -N(R₇)-C(O)-C₁-C₆-alkyl-heteroaryl; where R₇, R_{7a}, R_{7b}, and R_{7c} are independently hydrogen, C₁-C₆-alkanyl, C₁-C₆-alkoxy, cycloalkylalkyl, hydroxy, or heterocycloalkyl (optionally substituted with C₁-C₆-alkyl); and where the alkyl either alone or as part of another group within R₃, is independently optionally substituted with 1, 2, or 3 groups, preferably 1, or 2, groups selected from hydroxy, halo, -C₀-C₆-alkyl-N(R₈)-R_{8a} (where R₈ and R_{8a} are independently hydrogen or C₁-C₆-alkanyl), and -C₁-C₆-alkyl-heteroaryl.

[0281] In another embodiment of embodiment E, n₂ is 1 and R₃ is methyl, chloro, -NHC(O)CH₂NH(CH₃), -NHC(O)CH₂NH(CH₂CH₃), -NHC(O)CH(CH₃)NH₂, -NHC(O)C(CH₃)₂NH₂, -NHC(O)CH₂N(CH₃)₂, -NHC(O)CH₂N(CH₃)CH₂CH₂N(CH₃)₂, -NHC(O)CH(CH₃)NH(CH₃), -NHC(O)CH₂NH₂, -NHC(O)CH₂NH(CH₃), -NHC(O)CH₂N(CH₃)₂, -NHC(O)H, -NHC(O)CH₂(azetidin-1-yl), -NHC(O)(pyrrolidin-2-yl), -NHC(O)CH(NH₂)CH₂OH, -NHC(O)(azetidin-4-yl), -NHC(O)C(CH₃)₂NH(CH₃), -NH₂, -NHC(O)CH₂NH(CH₂CH₂CH₃), -NHC(O)CH₂CH₂NH₂, -NHOH, -NHC(O)(piperidin-3-yl), -NHC(O)(4-methyl-1,4-diazepan-1-yl), -NHC(O)CH(NH₂)(CH₂CH₃), -NHC(O)CH₂NH(CH₂CH(OH)(CH₃)), -NHC(O)CH₂NHCH₂CH₂F, -NHC(O)CH₂NH(OCH₂CH(CH₃)₂), -NHC(O)(1-aminocycloprop-1-yl), -NHC(O)CH₂NH(CH₂cyclopropyl), -NHC(O)CH₂(3-(dimethylamino)-azetidin-1-yl), -NHC(O)(piperidin-2-yl), -NHC(O)(morpholin-4-yl), -NHC(O)CH₂(pyrrolidin-1-yl), -NHC(O)CH(NH₂)CH₂CH₂CH₂CH₂N(CH₃)₂, -NHC(O)CH₂N(CH₃)(CH₂CH₃), -NHC(O)CH₂(imidazol-5-yl), -NHC(O)(1-aminocyclopent-1-yl), -NHC(O)CH₂NH(CH₂CH(CH₃)₂), -NHC(O)(N-(imidazol-4-ylmethyl)-azetidin-3-yl), -NHC(O)(N-ethyl-azetidin-3-yl), -NHCH₂N(CH₃)CH₂CH₂N(CH₃)₂, -NHC(O)CH₂N(CH₃)(N-methyl-pyrrolidin-3-yl), or -NHC(O)CH₂N(CH₃)(CH₂CH₂N(CH₃)₂).

[0282] In another embodiment of embodiment E, n₂ is 1 and R₃ is methyl, -NHC(O)CH₂NH(CH₃), -NHC(O)CH(CH₃)NH₂, -NHC(O)C(CH₃)₂NH₂, -NHC(O)CH₂N(CH₃)₂, -NHC(O)CH₂N(CH₃)CH₂CH₂N(CH₃)₂, -NHC(O)CH(NH₂)CH₂CH₃, -NHC(O)CH₂N(CH₃)CH₂CH₂N(CH₃)₂, or -NHC(O)CH(CH₃)NH(CH₃).

[0283] In another embodiment (F), the invention provides a compound of Formula VIIIb where n₁ is two; R² is selected from -OR₆ (where R⁶ is C₁-C₆-alkyl) and halo; n₂ is 1; R³ is -C₀-C₆-alkyl-N(R₇)-C(O)-C₀-C₆-alkyl-N(R_{7b})-R_{7a} (where R₇, R_{7a}, and R_{7b} are independently hydrogen or -C₁-C₆-alkanyl); and n₃ is 0.

[0284] There is also disclosed herein a compound of Formula IX:



IX

or a pharmaceutically acceptable salt thereof, wherein

W^1 , W^2 , W^3 , and W^4 are $-C(R_1)-$ or one or two of W^1 , W^2 , W^3 , and W^4 are independently $-N-$ and the remaining are $-C(R_1)-$;

X is a covalent bond, $-N(R_5)-$, $-O-$, $-S-$, or C_1-C_6 alkylene, wherein the alkylene is optionally substituted with 1, 2, 3, 4, or 5 groups selected from C_1-C_6 alkoxy, halo, haloalkoxy, $-NO_2$, $-CN$, $-OH$, $-N(R_7)R_{7a}$, and $-C(O)-OR_6$;

A is aryl, $-S(O)_2$ -aryl, heteroaryl, cycloalkyl, heterocycloalkyl, halo, haloalkyl, haloalkoxy, C_1-C_6 -alkyl, C_1-C_6 -alkoxy, or $-C_1-C_6$ -alkyl- $N(R_7)R_{7a}$, where each of the aryl, heteroaryl, cycloalkyl, heterocycloalkyl, alkyl and alkoxy groups, each either alone or as part of another group within A, are independently optionally substituted with $(R_2)_{n1}$;

B is aryl, heteroaryl, C_1-C_6 -alkyl, $-C_1-C_6$ -alkylaryl, or $-C_1-C_6$ -alkylheteroaryl, wherein each of the aryl, heteroaryl and alkyl groups are independently optionally substituted with $(R_3)_{n2}$;

n_1 and n_2 are independently 0 or an integer from 1 to 5;

each R_1 is independently selected from hydrogen, C_1-C_6 -alkoxy, C_1-C_6 -alkyl, $-NO_2$, halo, $-CN$, and $-C_0-C_6$ -alkyl- $N(R_7)R_{7a}$, wherein each of the alkyl and alkoxy groups is optionally substituted with 1, 2, 3, 4, or 5 groups selected from C_1-C_6 -alkyl, C_1-C_6 -alkoxy, halo, haloalkyl, haloalkoxy, $-NO_2$, $-CN$, hydroxy, $-N(R_8)R_{8a}$, and $-C(O)OR_6$;

each R_2 (when R_2 is present) is independently selected from C_1-C_6 -alkanyl, C_1-C_6 -alkenyl, C_2-C_6 -alkenyl- $C(O)OR_6$, $-OR_6$, $-N(R_7)C(O)R_6$, $-N(R_7)C(O)-C_0-C_6$ alkyl- $N(R_7b)R_{7a}$, $-OC(O)-C_0-C_6$ alkyl- $N(R_7)R_{7a}$, $-N(R_7)C(O)-C_1-C_6$ alkyl- $C(O)OR_6$, C_0-C_6 -alkyl- $C(O)R_6$, oxo, dioxo, $-S(O)_2-N(R_7)R_{7a}$, $-C(O)OR_6$, $-CH(R_6)_2-C(O)-OR_6$, $-S(O)_2R_6$, cycloalkyl, heterocycloalkyl, heteroaryl, $-C(O)N(R_7)-C_1-C_6$ -alkyl- OR_6 , $-C_0-C_6$ alkyl- $C(O)N(R_7)-C_0-C_6$ -alkyl- $C(O)OR_6$, $-C_0-C_6$ -alkyl- $C(O)N(R_7)R_{7a}$, aryl, arylalkyl, $-S-(C_1-C_6$ alkyl), halo, oxo, $-NO_2$, $-S-CN$, $-CN$, and $-C_0-C_6$ alkyl- $N(R_7)R_{7a}$, wherein each of the alkyl (including, for example the alkyl within alkoxy), aryl, cycloalkyl, heterocycloalkyl, and heteroaryl groups, either alone or as part of another group within R_2 , is independently optionally substituted with 1, 2, 3, 4, or 5 groups selected from C_1-C_6 -alkyl, halo, haloalkyl, haloalkoxy, oxo, $-NO_2$, $-CN$, $-OH$, $-N(R_8)R_{8a}$, C_1-C_6 -alkoxy, and $-C(O)OR_6$;

each R_3 (when R_3 is present) is independently oxo, $-NO_2$, halo, $-CN$, C_1-C_6 -alkanyl, C_2-C_6 -alkenyl, C_2-C_6 -alkynyl, C_1-C_6 -alkoxy, C_3-C_6 -cycloalkyl, $-C_0-C_6$ -alkyl-heterocycloalkyl, $-C_0-C_6$ -alkyl- $N(R_7)C(O)-C_0-C_6$ -alkyl- $N(R_7b)R_{7a}$, $-C_0-C_6$ -alkyl- $N(R_7)C(O)-C_0-C_6$ -alkyl- $N(R_7b)C(O)R_{7a}$, $-C_0-C_6$ alkyl- $C(O)-C_0-C_6$ -alkyl- $N(R_7)R_{7a}$, $-C_0-C_6$ -alkyl- $C(O)N(R_7)-C_0-C_6$ -alkyl- $N(R_7b)R_{7a}$, $-C_0-C_6$ -alkyl- $C(O)N(R_7)-C_1-C_6$ -alkyl- $C(O)OR_{7a}$, $-C_0-C_6$ -alkyl- $N(R_7)C(O)-C_0-C_6$ -alkyl- (R_{7a}) , $-C_0-C_6$ alkyl $N(R_7)-C_0-C_6$ -alkyl- $N(R_7b)R_{7a}$, $-C_0-C_6$ alkyl- $N(R_7)C(O)-C_0-C_6$ -alkyl- $N(R_7b)-C_0-C_6$ alkyl- $N(R_7c)R_{7a}$, $-C_0-C_6$ -alkyl- $N(R_7)C(O)O-C_0-C_6$ -alkyl- $N(R_7b)R_{7a}$, $-C_0-C_6$ alkyl- $N(R_7)C(O)O-C_0-C_6$ -alkyl-aryl, $-C_0-C_6$ alkyl- $C(O)N(R_7)-C_0-C_6$ -alkyl- $N(R_7b)R_{7a}$, $-C_0-C_6$ -alkyl- $N(R_7)-C_0-C_6$ -alkyl- $C(=N(R_7b)(R_{7a}))(NR_{7c}R_{7d})$, $-C_0-C_6$ -alkyl-aryl, $-C_0-C_6$ -alkyl-heteroaryl, $-C_0-C_6$ alkyl-heterocycloalkyl, $-O-C_0-C_6$ alkyl- $N(R_7)R_{7a}$, $-C_0-C_6$ alkyl- OR_6 , $-C_0-C_6$ -alkyl- $C(O)OR_6$, C_0-C_6 -alkyl- $N(R_7)R_{7a}$, $-C_0-C_6$ alkyl- $C(O)NR_7R_{7a}$, $-C_0-C_6$ alkyl- $C(O)-R_7$, $-SR_7$, $-S(O)_2R_7$, $-S(O)_3R_7$, $-S(O)R_7$, $-SO_2N(R_7)R_{7a}$, $-SO_2N(R_7)-C_0-C_6$ alkyl- $N(R_7b)R_{7a}$, $-S$ -heteroaryl, $-S$ -aryl, $-S$ -heterocycloalkyl, $-C_0-C_6$ -alkyl- $N(R_7)$ -aryl, $-C_0-C_6$ -alkyl- $N(R_7)$ -heteroaryl, $-C_0-C_6$ -alkyl- $N(R_7)$ -heterocycloalkyl, $-C_0-C_6$ -alkyl- $C(O)N(R_7)-C_0-C_6$ -alkyl-cycloalkyl, C_0-C_6 -alkyl- $C(O)N(R_7)-C_0-C_6$ -alkyl-aryl, C_0-C_6 alkyl- $C(O)N(R_7)-C_0-C_6$ alkyl-heteroaryl, C_0-C_6 alkyl- $C(O)N(R_7)-C_0-C_6$ -alkyl-heterocycloalkyl, $-C_0-C_6$ -alkyl- $N(R_7)C(O)-C_0-C_6$ -alkyl-cycloalkyl, $-C_0-C_6$ -alkyl- $N(R_7)-C(O)-C_0-C_6$ -alkyl-aryl, C_0-C_6 -alkyl- $N(R_7)C(O)-C_0-C_6$ -alkyl-heteroaryl, $-C_0-C_6$ -alkyl- $N(R_7)C(O)-C_0-C_6$ -alkyl-heterocycloalkyl, C_0-C_6 -alkyl- $N(R_7)C(O)-C_0-C_6$ -alkyl-heterocycloalkyl-aryl, $-N(R_7)C(O)OR_6$, or $-N(R_7)-C(O)-R_{7a}$, wherein each of the alkyl, alkanyl, alkenyl, cycloalkyl, aryl, (including, for example the alkyl within alkoxy), heterocycloalkyl, and heteroaryl groups, either alone or as part of another group within R_3 , is independently optionally substituted with 1, 2, 3, 4, or 5 groups selected from C_1-C_6 -alkanyl, C_1-C_6 -alkenyl, $-C_0-C_6$ -alkyl- OR_9 , cycloalkyl, halo, haloalkyl, haloalkoxy, $-C(O)R_9$, $-NO_2$, $-CN$, oxo, $-C_0-C_6$ -alkyl- $N(R_8)R_{8a}$, $-C_0-C_6$ -alkyl-heterocycloalkyl, $-C_0-C_6$ -alkyl-aryl, $-C_0-C_6$ -alkyl-heteroaryl, $-C(O)OR_9$, and hydroxyalkyl;

R_4 is hydrogen, aryl, $-C_0-C_6$ -alkyl- $N(R_7)R_{7a}$, C_1-C_6 -alkoxy, or C_1-C_6 alkyl, wherein each of the alkyl and aryl groups, either alone or as part of another group in R_4 , is independently optionally substituted with 1, 2, 3, 4, or 5 groups selected from C_1-C_6 -alkyl, halo, haloalkyl, haloalkoxy, $-NO_2$, $-CN$, $-OH$, $-N(R_8)R_{8a}$, C_1-C_6 -alkoxy, and $-C(O)OR_6$;

R_5 is hydrogen, $-C_1-C_6$ alkyl- $N(R_7)R_{7a}$, C_1-C_6 -alkoxy, C_1-C_6 -alkyl, or aryl, wherein each of the alkyl and aryl is

optionally substituted with 1, 2, 3, 4, or 5 groups selected from C₁-C₆-alkyl, halo, haloalkyl, haloalkoxy, -NO₂, -CN, -OH, -N(R₈)R_{8a}, C₁-C₆ alkoxy, or -C(O)OR₆;

R₆ and R₉ are independently hydrogen, -OH, C₁-C₆-alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heterocycloalkylalkyl, heteroaryl, heteroarylalkyl, or aryl, each C₁-C₆ alkyl, aryl, cycloalkyl, heterocycloalkyl, and heteroaryl, either alone or as part of another group within R₆ and R₉, is independently optionally substituted with 1, 2, 3, 4, or 5 groups independently selected from -NH₂, -OH, C₁-C₆-alkoxy, C₁-C₆-alkyl, and halo; and

R₇, R_{7a}, R_{7b}, R_{7c}, R_{7d}, R₈, and R_{8a} are independently hydrogen, -C₁-C₆-alkanyl, -C₁-C₆-alkenyl, -OH, -O-C₁-C₆ alkanyl, -O-C₁-C₆ alkenyl, -O-C₀-C₆ alkyl-aryl, -C₀-C₆ alkyl-C(O)OR₆, -C₀-C₆ alkyl-C(O)R₆, aryl, arylalkyl, heteroaryl, heteroarylalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, or heterocycloalkylalkyl, wherein each of the alkyl, aryl, heteroaryl, and heterocycloalkyl, either alone or part of another group within R₇, R_{7a}, R_{7b}, R_{7c}, and R_{7d}, is independently optionally substituted with 1, 2, 3, 4, or 5 groups selected from -NH₂, -S-C₁-C₆ alkyl, -CN, -OH, -NO₂, C₁-C₆ alkoxy, C₁-C₆ alkyl, halo, aryl, and heteroaryl optionally substituted with one or two C₁-C₆ alkyl.

[0285] There is disclosed herein a pharmaceutical composition comprising a PI3K inhibitor of Formula VIII, VIIIa, VIIIb, or IX in combination with a compound of Formula I, Ia, Ic Id, II, III, IV, or V and a pharmaceutically acceptable carrier, excipient, or diluent. Preferably, the compound is of Formula VIIIa or VIIIb.

[0286] There is disclosed herein use of a PI3K compound of Formula VIII, VIIIa, VIIIb, or IX in combination with a MEK compound of Formula I, Ia, Ic, Id, II, III, IV, or V for treating a disease or condition mediated by PI3K and MEK. Preferably the PI3K compound is of Formula VIIIa or VIIIb. In one embodiment, the MEK Compound is of Formula Ia and the PI3K Compound is of Formula VIIIa. In another embodiment, the MEK Compound is of Formula Ia and the PI3K Compound is of Formula VIIIb. In another embodiment, the MEK Compound is of Formula V and the PI3K Compound is of Formula VIIIb. In another embodiment, the MEK Compound is of Section I, Embodiment G and the PI3K Compound is of VIIIb. In another option, the MEK Compound is of Section I, Table 1 and the PI3K compound is of Formula VIII, VIIIa, or VIIIb.

[0287] Also disclosed herein are suitable x-ray quality crystals, and one of ordinary skill in the art would appreciate that they can be used as part of a method of identifying a candidate agent capable of binding to and modulating the activity of kinases. Such methods may be characterized by the following embodiments: a) introducing into a suitable computer program, information defining a ligand binding domain of a kinase in a conformation (*e.g.* as defined by x-ray structure coordinates obtained from suitable x-ray quality crystals as described above) wherein the computer program creates a model of the three dimensional structures of the ligand binding domain, b) introducing a model of the three dimensional structure of a candidate agent in the computer program, c) superimposing the model of the candidate agent on the model of the ligand binding domain, and d) assessing whether the candidate agent model fits spatially into the ligand binding domain. Embodiments a-d are not necessarily carried out in the aforementioned order. Such methods may further entail: performing rational drug design with the model of the three-dimensional structure, and selecting a potential candidate agent in conjunction with computer modeling.

[0288] Additionally, one skilled in the art would appreciate that such methods may further entail: employing a candidate agent, so-determined to fit spatially into the ligand binding domain, in a biological activity assay for kinase modulation, and determining whether said candidate agent modulates kinase activity in the assay. Such methods may also include administering the candidate agent, determined to modulate kinase activity, to a mammal suffering from a condition treatable by kinase modulation, such as those described above.

[0289] Also, one skilled in the art would appreciate that compounds of the invention can be used in a method of evaluating the ability of a test agent to associate with a molecule or molecular complex comprising a ligand binding domain of a kinase. Such a method may be characterized by the following embodiments: a) creating a computer model of a kinase binding pocket using structure coordinates obtained from suitable x-ray quality crystals of the kinase, b) employing computational algorithms to perform a fitting operation between the test agent and the computer model of the binding pocket, and c) analyzing the results of the fitting operation to quantify the association between the test agent and the computer model of the binding pocket.

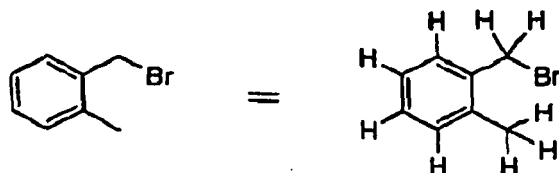
Section III Definitions

[0290] As used in the present specification, the following words and phrases are generally intended to have the meanings as set forth below, except to the extent that the context in which they are used indicates otherwise or they are expressly defined to mean something different.

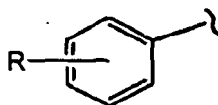
[0291] The symbol "-" means a single bond, "=" means a double bond, "≡" means a triple bond, "----" means a single or double bond. When a group is depicted removed from its parent Formula, the "" symbol will be used at the end of the bond which was theoretically cleaved in order to separate the group from its parent structural Formula.

[0292] When chemical structures are depicted or described, unless explicitly stated otherwise, all carbons are assumed to have hydrogen substitution to conform to a valence of four. For example, in the structure on the left-hand side of the schematic below there are nine hydrogens implied. The nine hydrogens are depicted in the right-hand structure. Some-

times a particular atom in a structure is described in textual Formula as having a hydrogen or hydrogens as substitution (expressly defined hydrogen), for example, $-\text{CH}_2\text{CH}_2-$. It is understood by one of ordinary skill in the art that the aforementioned descriptive techniques are common in the chemical arts to provide brevity and simplicity to description of otherwise complex structures.

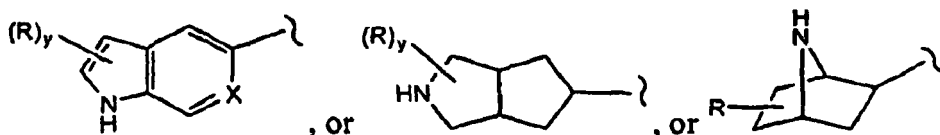


[0293] If a group "R" is depicted as "floating" on a ring system, as for example in the Formula:



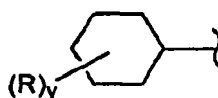
then, unless otherwise defined, a substituent "R" may reside on any atom of the ring system, assuming replacement of a depicted, implied, or expressly defined hydrogen from one of the ring atoms, so long as a stable structure is formed.

[0294] If a group "R" is depicted as floating on a fused ring system, as for example in the Formulae:

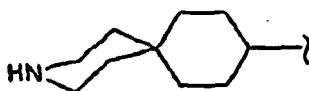


then, unless otherwise defined, a substituent "R" may reside on any atom of the fused ring system, assuming replacement of a depicted hydrogen (for example the $-\text{NH}-$ in the Formula above), implied hydrogen (for example as in the Formula above, where the hydrogens are not shown but understood to be present), or expressly defined hydrogen (for example where in the Formula above, "X" equals $=\text{CH}-$) from one of the ring atoms, so long as a stable structure is formed. In the example depicted, the "R" group may reside on either the 5-membered or the 6-membered ring of the fused ring system. In the Formula depicted above, when y is 2 for example, then the two "R's" may reside on any two atoms of the ring system, again assuming each replaces a depicted, implied, or expressly defined hydrogen on the ring.

[0295] When a group "R" is depicted as existing on a ring system containing saturated carbons, as for example in the Formula:



where, in this example, "y" can be more than one, assuming each replaces a currently depicted, implied, or expressly defined hydrogen on the ring; then, unless otherwise defined, where the resulting structure is stable, two "R's" may reside on the same carbon. A simple example is when R is a methyl group; there can exist a geminal dimethyl on a carbon of the depicted ring (an "annular" carbon). In another example, two R's on the same carbon, including that carbon, may form a ring, thus creating a spirocyclic ring (a "spirocyclyl" group) structure with the depicted ring as for example in the Formula:



[0296] "Acyl" means a $-\text{C}(\text{O})\text{R}$ radical where R is alkyl (i.e., one to ten carbon atoms of a straight, branched, or cyclic

configuration, and is saturated or unsaturated) or R is optionally substituted aryl or optionally substituted heteroaryl. One or more carbons in the R residue may be replaced by nitrogen, oxygen or sulfur. Examples include acetyl, benzoyl, propionyl, isobutyryl, *t*-butoxycarbonyl, benzyloxycarbonyl, and pyridinylcarbonyl. Lower-acyl refers to groups containing one to six carbons.

[0297] "Acylamino" means a NRR' group where R is acyl, as defined herein, and R' is hydrogen or alkyl.

[0298] "Alkyl" means a (C₁-C₂₀) linear, branched, or cyclic hydrocarbon group (and combinations thereof, inclusively) and may be saturated or unsaturated. For example, "C₆ alkyl" may refer to an *n*-hexyl, iso-hexyl, cyclobutylethyl. "Lower alkyl" means an alkyl group of from one to six carbon atoms. Examples of lower alkyl groups include methyl, ethyl, propyl, isopropyl, butyl, *s*-butyl, *t*-butyl, isobutyl, pentyl, hexyl. A "C₀" alkyl (as in "C₀-C₆-alkyl") is a covalent bond.

[0299] In this application, alkyl includes alkanyl, alkenyl, alkynyl, and cycloalkyl residues (and combinations thereof); it is intended to include cyclohexylmethyl, vinyl, allyl, isoprenyl. Thus when an alkyl residue having a specific number of carbons is named, all geometric isomers having that number of carbons are intended to be encompassed; thus, for example, "C₄ alkyl" is meant to include *n*-butyl, *sec*-butyl, isobutyl, *t*-butyl, cyclobutyl, isobutenyl and but-2-ynyl groups; and for example, "C₃ alkyl" each include *n*-propyl, propenyl, and isopropyl.

[0300] "Alkanyl" means a linear saturated monovalent hydrocarbon radical of one to twenty carbon atoms or a branched saturated monovalent hydrocarbon radical of three to 20 carbon atoms, e.g., methyl, ethyl, propyl, 2-propyl, butyl (including all isomeric forms), or pentyl (including all isomeric forms). "Lower alkanyl" means alkanyl having one to six carbons atoms.

[0301] "Cycloalkyl" means a monocyclic or polycyclic hydrocarbon radical having three to thirteen carbon atoms. The cycloalkyl can be saturated or partially unsaturated, but cannot contain an aromatic ring. Cycloalkyl includes fused, bridged, and spiro ring systems. Examples of such radicals include cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl. "Cycloalkylalkyl" means alkyl group substituted with one or two cycloalkyl group(s), as defined herein. Representative examples include cyclopropylmethyl and 2-cyclobutyl-ethyl.

[0302] "Optionally substituted cycloalkyl" means a cycloalkyl radical, as defined herein, that is optionally substituted with one, two, three, or four groups independently selected from C₁-C₆ alkanyl, C₁-C₆ alkoxy, halo, haloalkyl, haloalkoxy, oxo, hydroxy, cyano, nitro, amino, mono(C₁-C₆)alkylamino, di(C₁-C₆)alkylamino, C₂-C₆alkenyl, C₂-C₆alkynyl, C₁-C₆ haloalkyl, C₁-C₆ haloalkoxy, amino(C₁-C₆)alkyl, mono(C₁-C₆)alkylamino(C₁-C₆)alkyl di(C₁-C₆)alkylamino(C₁-C₆)alkyl, carboxy, carboxy ester, cycloalkyl, hydroxyalkyl, -C(O)NR'R" (where R' is hydrogen, alkyl, hydroxy, or alkoxy and R" is hydrogen, alkyl, aryl, or heterocyclyl), optionally substituted heterocycloalkyl, optionally substituted heteroaryl, -NR'C(O)R" (where R' is hydrogen or alkyl and R" is alkyl, aryl, or heterocyclyl), and -NHS(O)₂R' (where R' is alkyl, aryl, or heterocyclyl).

[0303] "Alkenyl" means a straight or branched hydrocarbon radical having from 2 to 20 carbon atoms and at least one double bond and includes ethenyl, propenyl, 1-but-3-enyl, 1-pent-3-enyl, 1-hex-5-enyl. "Lower alkenyl" is alkenyl having 2-6 carbon atoms.

[0304] "Alkynyl" means a straight or branched hydrocarbon radical having from 2 to 20 carbon atoms and at least one triple bond and includes ethynyl, propynyl, butynyl, pentyn-2-yl. "Lower alkynyl" is alkynyl having 2-6 carbon atoms.

[0305] "Alkylene" refers to straight or branched divalent hydrocarbon, containing no unsaturation and having from one to ten carbon atoms. Examples of alkylene include methylene (-CH₂-), ethylene (-CH₂CH₂-), propylene (-CH₂CH₂CH₂-), and dimethylpropylene (-CH₂C(CH₃)₂CH₂-).

[0306] "Alkylidyne" or "alkynylene" means a straight or branched divalent hydrocarbon having from two to ten carbon atoms, and containing at least one triple bond, for example, propylid-2-ynyl, *n*-butylid-1-ynyl.

[0307] "Alkoxy" or "alkoxyl" means -O-alkyl, where the alkyl group includes from one to eight carbon atoms of a straight, branched, cyclic configuration, unsaturated chains, and combinations thereof attached to the parent structure through an oxygen atom. Examples include methoxy, ethoxy, propoxy, isopropoxy, cyclopropyloxy, cyclohexyloxy. Lower-alkoxy refers to groups containing one to six carbons.

[0308] "Alkylamino" means a -NHR radical where R is alkyl as defined herein, or an N-oxide derivative, or a protected derivative thereof, e.g., methylamino, ethylamino, *n*-, *iso*-propylamino, *n*-, *iso*-, *tert*-butylamino, or methylamino-N-oxide.

[0309] "Alkylaminoalkyl" means an alkyl group substituted with one or two alkylamino groups, as defined herein.

[0310] "Aryl" means a monovalent six- to fourteen-membered, mono- or bi-carbocyclic ring, wherein the monocyclic ring is aromatic and at least one of the rings in the bicyclic ring is aromatic. Representative examples include phenyl, naphthyl, and indanyl.

[0311] "Optionally substituted aryl" means an aryl group, as defined herein, which is optionally substituted with one, two, three, four, or five groups selected from halo, haloalkyl, haloalkoxy, hydroxy, lower alkanyl, lower alkenyl, lower alkynyl, alkoxy, carboxy, carboxy ester, amino, alkylamino, dialkylamino, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted heteroaryl, -C(O)NR'R" (where R' is hydrogen or alkyl and R" is hydrogen, alkyl, aryl, or heterocyclyl), -NR'C(O)R" (where R' is hydrogen or alkyl and R" is alkyl, aryl, or heterocyclyl), and -NHS(O)₂R' (where R' is alkyl, aryl, or heteroaryl).

[0312] "Arylalkyl" means a residue in which an aryl moiety is attached to a parent structure via one of an alkylene,

alkylidene, or alkylidyne group. Examples include benzyl, phenethyl, phenylvinyl, phenylallyl. "Lower arylalkyl" refers to an arylalkyl where the "alkyl" portion of the group has one to six carbons; this can also be referred to as C₁₋₆ arylalkyl. When a group is referred to as "C₁-C₆ alkyl-aryl" or "C₀-C₆ alkyl-aryl", an aryl moiety is attached to a parent structure via an alkylene group. Examples include benzyl, phenethyl.

[0313] "Arylalkyloxy" means an -OR group where R is arylalkyl, as defined herein.

[0314] "Carboxy ester" means a -C(O)OR group where R is lower alkanyl, lower alkenyl, lower alkynyl, cycloalkyl, aryl or arylalkyl, each of which is defined herein. Representative examples include methoxycarbonyl, ethoxycarbonyl, and benzyloxycarbonyl.

[0315] "Dialkylamino" means a -NRR' radical where R and R' are independently alkyl as defined herein, or an N-oxide derivative, or a protected derivative thereof, e.g., dimethylamino, diethylamino, *N,N*-methylpropylamino or *N,N*-methyl-ethylamino.

[0316] "Fused-polycyclic" or "fused ring system" refers to a polycyclic ring system that contains bridged or fused rings; that is, where two rings have more than one shared atom in their ring structures. In this application, fused-polycyclics and fused ring systems are not necessarily all aromatic ring systems. Typically, but not necessarily, fused-polycyclics share a vicinal set of atoms, for example naphthalene or 1,2,3,4-tetrahydro-naphthalene. A spiro ring system is not a fused-polycyclic by this definition, but fused polycyclic ring systems of the invention may themselves have spiro rings attached thereto via a single ring atom of the fused-polycyclic. In some examples, as appreciated by one of ordinary skill in the art, two adjacent groups on an aromatic system may be fused together to form a ring structure. The fused ring structure may contain heteroatoms and may be optionally substituted with one or more groups. It should additionally be noted that saturated carbons of such fused groups (*i.e.* saturated ring structures) can contain two substitution groups.

[0317] "Haloalkoxy" means an -OR' group where R' is haloalkyl as defined herein, e.g., trifluoromethoxy or 2,2,2-trifluoroethoxy.

[0318] "Halogen" or "halo" means fluoro, chloro, bromo or iodo.

[0319] "Haloalkyl" and "haloaryl" mean an alkyl and an aryl group, respectively, that are substituted with one or more halogens, preferably one to five halo atoms. Thus, "dihaloaryl," "dihaloalkyl," and "trihaloaryl" etc. refer to aryl and alkyl substituted with a plurality of halogens, but not necessarily a plurality of the same halogen; thus 4-chloro-3-fluorophenyl is within the scope of dihaloaryl.

[0320] "Heteroatom" refers to O, S, N, or P.

[0321] "Heterocyclyl" refers to a stable three- to fifteen-membered ring substituent that consists of carbon atoms and from one to five heteroatoms selected from the group consisting of nitrogen, phosphorus, oxygen and sulfur. For purposes of this invention, the heterocyclyl substituent may be a monocyclic, bicyclic or tricyclic ring system, which may include fused or bridged ring systems as well as spirocyclic systems. The terms "heterocycloalkyl" and "heteroaryl" are groups that are encompassed by the broader term "heterocyclyl." The nitrogen, phosphorus, carbon or sulfur atoms in the heterocyclyl group may be optionally oxidized to various oxidation states. In a specific example, the group -S(O)₀₋₂-, refers to -S- (sulfide), -S(O)- (sulfoxide), and -SO₂- (sulfone). For convenience, nitrogens, particularly, those defined as annular aromatic nitrogen, are meant to include their corresponding N-oxide form, although not explicitly defined as such in a particular example. Thus, for a compound of the invention having, for example, a pyridyl ring; the corresponding pyridyl-N-oxide is meant to be included as another compound of the invention. In addition, annular nitrogen atoms may be optionally quaternized; and the ring substituent may be partially or fully saturated or aromatic. Examples of heterocyclyl groups include azetidiny, acridiny, benzodioxolyl, benzodioxanyl, benzofurany, carbazoyl, einnoliny, dioxolanyl, indoliziny, naphthyridiny, perhydroazepiny, phenaziny, phenothiaziny, phenoxaziny, phthalaziny, pteridiny, puriny, quinazolinyl, quinoxaliny, quinoliny, isoquinoliny, tetrazoyl, tetrahydroisoquinolyl, piperidiny, piperaziny, 2-oxopiperaziny, 2-oxopiperidiny, 2-oxopyrrolidiny, 2-oxoazepiny, azepiny, pyrrolyl, 4-piperidonyl, pyrrolidiny, pyrazolyl, pyrazolidiny, imidazolyl, imidazoliny, imidazolidiny, dihydropyridiny, tetrahydropyridiny, pyridiny, pyraziny, pyrimidiny, pyridaziny, oxazolyl, oxazoliny, oxazolidiny, triazolyl, isoxazolyl, isoxazolidiny, morpholiny, thiazolyl, thiazoliny, thiazolidiny, isothiazolyl, quinuclidiny, isothiazolidiny, indolyl, isoindolyl, indoliny, isoindoliny, octahydroindolyl, octahydroisoindolyl, quinolyl, isoquinolyl, decahydroisoquinolyl, benzimidazolyl, thiadiazolyl, benzopyranyl, benzothiazolyl, benzoxazolyl, furyl, tetrahydrofuryl, tetrahydropyranyl, thienyl, benzothienyl, thiamorpholiny, thiamorpholiny sulfoxide, thiamorpholiny sulfone, dioxaphospholanyl, oxadiazolyl, tetrahydrofuranly, tetrahydroisoquinoliny, and tetrahydroquinoliny.

[0322] "Optionally substituted heterocyclyl" means a heterocyclyl group, as defined herein, optionally substituted with one, two, three, four, or five groups selected from halo, haloalkyl, haloalkoxy, hydroxy, oxo (valency rules permitting), lower alkanyl, lower alkenyl, lower alkynyl, alkoxy, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, alkylaminoalkyl, dialkylaminoalkyl, carboxy, carboxy ester, -C(O)NR'R" (where R' is hydrogen or alkyl and R" is hydrogen, alkyl, aryl, or heterocyclyl), -NR'C(O)R" (where R' is hydrogen or alkyl and R" is alkyl, aryl, or heterocyclyl), amino, alkylamino, dialkylamino, and -NHS(O)₂R' (where R' is alkyl, aryl, or heteroaryl).

[0323] "Heteroalicyclic" and "heterocycloalkyl" mean a non-aromatic heterocyclyl group, as defined herein. A "heter-

oalicyclic" or "heterocycloalkyl" may be fully saturated or may contain unsaturation, but is not aromatic. "Heteroalicyclic" or "heterocycloalkyl" may be monocyclic, or bicyclic (including fused, bridged, and spiro ring systems).

[0324] "Optionally substituted heteroalicyclic" and "optionally substituted heterocycloalkyl" mean, respectively, a heteroalicyclic and heterocycloalkyl ring, each as defined herein, optionally substituted with one, two, three, four, or five groups selected from halo, haloalkyl, haloalkoxy, hydroxy, oxo, lower alkanyl, lower alkenyl, lower alkynyl, alkoxy, optionally substituted cycloalkyl, heterocycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, alkylaminoalkyl, dialkylaminoalkyl, carboxy, carboxy ester, $-C(O)NR'R''$ (where R' is hydrogen or alkyl and R'' is hydrogen, alkyl, aryl, or heterocyclyl), $-NR'C(O)R''$ (where R' is hydrogen or alkyl and R'' is alkyl, aryl, or heterocyclyl), amino, alkylamino, dialkylamino, and $-NHS(O)_2R'$ (where R' is alkyl, aryl, or heteroaryl).

[0325] "Heteroaryl" means a 5- to 12-membered, monocyclic aromatic heterocyclyl (where heterocyclyl is defined herein) or bicyclic heterocyclyl ring system (where at least one of the rings in the bicyclic system is aromatic) where the monocyclic ring and at least one of the rings in the bicyclic ring system contains one, two, three, four, or five heteroatom(s) selected from nitrogen, oxygen, phosphorous, and sulfur. Representative examples include pyridinyl, imidazolyl, pyrimidinyl, pyrazolyl, triazolyl, pyrazinyl, tetrazolyl, furyl, thienyl, isoxazolyl, thiazolyl, oxazolyl, isothiazolyl, pyrrolyl, quinolinyl, isoquinolinyl, indolyl, benzimidazolyl, benzofuranyl, cinnolinyl, indazolyl, indoliziny, phthalazinyl, pyridazinyl, triazinyl, isindolyl, pteridinyl, purinyl, oxadiazolyl, triazolyl, thiadiazolyl, thiadiazolyl, furazanyl, benzofurazanyl, benzothiophenyl, benzothiazolyl, benzoxazolyl, quinazolinyl, quinoxalinyl, naphthyridinyl, and furopyridinyl. Fused, bridged, and spiro moieties are also included within the scope of this definition.

[0326] "Optionally substituted heteroaryl" means a heteroaryl group, as defined herein, optionally substituted with one, two, three, four, or five groups selected from halo, haloalkyl, haloalkoxy, lower alkanyl, lower alkenyl, lower alkynyl, alkoxy, hydroxy, oxo (valency rules permitting), carboxy, carboxy ester, amino, alkylamino, dialkylamino, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, heteroaryl, optionally substituted aryl, $-C(O)NR'R''$ (where R' is hydrogen or alkyl and R'' is hydrogen, alkyl, aryl, or heterocyclyl), $-NR'C(O)R''$ (where R' is hydrogen or alkyl and R'' is alkyl, aryl, or heterocyclyl), and $-NHS(O)_2R'$ (where R' is alkyl, aryl, or heteroaryl).

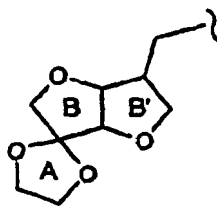
[0327] "Optionally substituted heterocyclylalkyl" means an alkyl group substituted with an optionally substituted heterocyclyl group, as defined herein. Examples include (4-methylpiperazin-1-yl) methyl, (morpholin-4-yl) methyl, (pyridin-4-yl) methyl, 2-(oxazolin-2-yl) ethyl, 4-(4-methylpiperazin-1-yl)-2-butenyl. In addition, the alkyl portion of a heterocyclylalkyl group may be substituted as described in the definition for "substituted". "Lower heterocyclylalkyl" means a heterocyclylalkyl where the "alkyl" portion of the group has one to six carbons. "Heteroalicyclylalkyl" or "lower heterocycloalkylalkyl" means a heterocyclylalkyl where the heterocyclyl portion of the group is non-aromatic; and "heteroarylalkyl" means a heterocyclylalkyl where the heterocyclyl portion of the group contains an aromatic ring. Such terms may be described in more than one way, for example, "lower heterocyclylalkyl" and "heterocyclyl C_{1-6} alkyl" are equivalent terms. Additionally, for simplicity, the number of annular atoms (including heteroatoms) in a heterocycle may be denoted as " C_x-C_y " (as in " C_x-C_y -heterocyclyl" and " C_x-C_y -heteroaryl"), where x and y are integers. So, for example, C_5-C_{14} -heterocyclyl refers to a 5 to 14 membered ring system having at least one heteroatom and not a ring system containing 5 to 14 annular carbon atoms.

[0328] "Hydroxyalkyl" means an alkanyl, alkenyl, or alkynyl radical, as defined herein, substituted with at least one, preferably one, two, or three, hydroxy group(s), provided that if two hydroxy groups are present they are not both on the same carbon atom. Representative examples include, hydroxymethyl, 2-hydroxyethyl, 2-hydroxypropyl, 3-hydroxypropyl, 1-(hydroxymethyl)-2-methylpropyl, 2-hydroxybutyl, 3-hydroxybutyl, 4-hydroxybutyl, 2,3-dihydroxypropyl, 1-(hydroxymethyl)-2-hydroxyethyl, 2,3-dihydroxybutyl, 3,4-dihydroxybutyl and 2-(hydroxymethyl)-3-hydroxypropyl, preferably 2-hydroxyethyl, 2,3-dihydroxypropyl, or 1-(hydroxymethyl)-2-hydroxyethyl.

[0329] "Optional" or "optionally" means that the subsequently described event or circumstance may or may not occur, and that the description includes instances where said event or circumstance occurs and instances in which it does not. One of ordinary skill in the art would understand that with respect to any molecule described as containing one or more optional substituents, only sterically practical and/or synthetically feasible compounds are meant to be included. "Optionally substituted" refers to all subsequent modifiers in a term. So, for example, in the term "optionally substituted aryl C_{1-8} alkyl," both the " C_{1-8} alkyl" portion and the "aryl" portion of the molecule may or may not be substituted. A list of exemplary optional substitutions is presented below in the definition of "substituted."

[0330] "Saturated bridged ring system" refers to a bicyclic or polycyclic ring system that is not aromatic. Such a system may contain isolated or conjugated unsaturation, but not aromatic or heteroaromatic rings in its core structure (but may have aromatic substitution thereon). For example, hexahydro-furo[3,2-b]furan, 2,3,3a,4,7,7a-hexahydro-1H-indene, 7-aza-bicyclo[2.2.1]heptane, and 1,2,3,4,4a,5,8,8a-octahydro-naphthalene are all included in the class "saturated bridged ring system."

[0331] "Spirocyclyl" or "spirocyclic ring" refers to a ring originating from a particular annular carbon of another ring. For example, as depicted below, a ring atom of a saturated bridged ring system (rings B and B'), but not a bridgehead atom, can be a shared atom between the saturated bridged ring system and a spirocyclyl (ring A) attached thereto. A spirocyclyl can be carbocyclic or heteroalicyclic.



[0332] "Substituted" alkyl, alkylene, alkylidene, and alkylidyne refer respectively to alkyl, alkylene, alkylidene, and alkylidyne where one or more (for example up to about five, in another example, up to about three) hydrogen atoms are replaced by a substituent independently selected from halo, optionally substituted aryl, hydroxy, alkoxy, optionally substituted heterocyclyl, alkylenedioxy, amino, alkylamino, dialkylamino), amidino, aryloxy, arylalkyloxy, carboxy, carboxy ester, alkylcarbonyloxy, carbamyl, alkylaminocarbonyl, dialkylaminocarbonyl, benzyloxycarbonylamino (CBZ-amino), cyano, acyl, nitro, $S(O)_{n1}R'$ (where $n1$ is 0, 1, or 2 and R' is alkyl, substituted alkyl, optionally substituted aryl, optionally substituted heterocycloalkyl, or optionally substituted heteroaryl), oxo, acylamino, and sulfonamido.

[0333] "Sulfonamido" means a $-NRSO_2R'$ or $-SO_2NRR''$ group where R is hydrogen or lower alkyl, R' is lower alkanyl, lower alkenyl, optionally substituted aryl, optionally substituted heterocycloalkyl, optionally substituted cycloalkyl, or optionally substituted heteroaryl, and R'' is hydrogen or R' .

[0334] Representative compounds from Section III are depicted below. Compounds are named according to systematic application of the nomenclature rules agreed upon by the International Union of Pure and Applied Chemistry (IUPAC), International Union of Biochemistry and Molecular Biology (IUBMB), and the Chemical Abstracts Service (CAS). Specific compounds of the present invention are recited Claims 1, 10 and 11.

Cpd. No.	Structure	IUPAC Name
1		N-(4-{{(3-{{4-(methoxy)phenyl}amino} quinoxalin-2-yl) ami no}sulfonyl}phenyl) acetamide
2		4-bromo-N-[3-(phenylamino)quinoxalin-2-yl] benzene sulfonamide

Table 1		
Cpd. No.	Structure	IUPAC Name
3		4-bromo- <i>N</i> -{3-[(2-methylphenyl)amino]quinoxalin-2-yl}benzene sulfonamide
4		<i>N</i> -(3-{[4-(methyloxy)phenyl]amino}quinoxalin-2-yl)benzene sulfonamide
5		4-bromo- <i>N</i> -(3-{[4-(methyloxy)phenyl]amino}quinoxalin-2-yl)benzene sulfonamide
6		4-chloro- <i>N</i> -[6-(methyloxy)-3-{[3-(methyloxy)phenyl]amino}quinoxalin-2-yl]benzenesulfonamide
7		4-chloro- <i>N</i> -{3-[(4-chlorophenyl)amino]-6-(methyloxy)quinoxalin-2-yl}benzenesulfonamide
8		<i>N</i> -(4-{[3-{[(4-chlorophenyl)sulfonyl]amino}-7-(methyloxy)quinoxalin-2-yl]amino}phenyl)acetamide
9		4-chloro- <i>N</i> -{6-(methyloxy)-3-[(2-oxo-2,3-dihydro-1 <i>H</i> -benzimidazol-5-yl)amino]quinoxalin-2-yl}benzenesulfonamide
10		<i>N</i> -{4-[(3-{[(4-chlorophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]phenyl}acetamide
11		<i>N</i> -(3-{[4-(ethyloxy)phenyl]amino}quinoxalin-2-yl)-4-methylbenzene sulfonamide

Cpd. No.	Structure	IUPAC Name
12		<i>N</i> -{3-[(3,4-dimethylphenyl)amino]-6-methylquinoxalin-2-yl}-4-methylbenzene sulfonamide
13		<i>N</i> -(3-{[3-(dimethylamino)phenyl]amino}quinoxalin-2-yl)-4-methylbenzene sulfonamide
14		<i>N</i> -(3-{[4-(ethyloxy)phenyl]amino}quinoxalin-2-yl)benzene sulfonamide
15		4-methyl- <i>N</i> -(3-{[4-(methyloxy)phenyl]amino}quinoxalin-2-yl)benzene sulfonamide
16		4-methyl- <i>N</i> -{6-methyl-3-[(4-methylphenyl)amino]quinoxalin-2-yl}benzene sulfonamide
17		<i>N</i> -{3-[(4-hydroxyphenyl)amino]-6-methylquinoxalin-2-yl}-4-methylbenzene sulfonamide
18		4-methyl- <i>N</i> -(3-morpholin-4-ylquinoxalin-2-yl)benzenesulfonamide
19		<i>N</i> -{3-[(2,5-dimethylphenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
20		4-chloro- <i>N</i> -[3-(naphthalen-2-ylamino)quinoxalin-2-yl]benzenesulfonamide

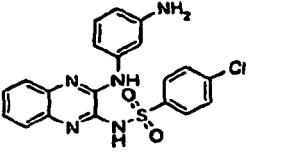
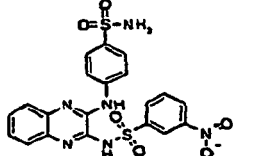
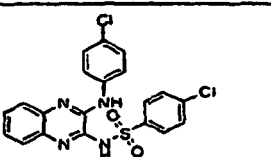
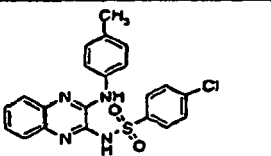
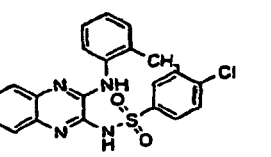
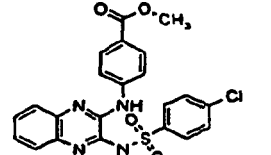
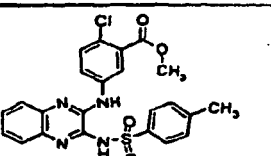
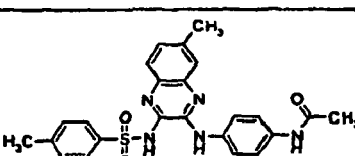
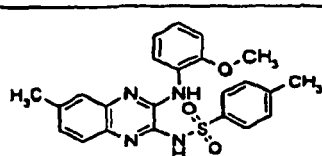
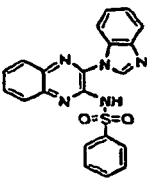
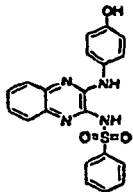
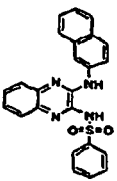
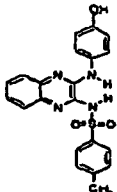
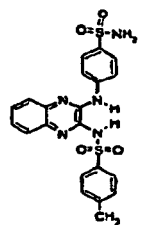
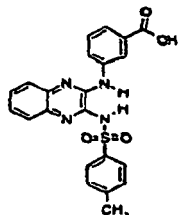
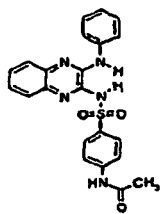
Cpd. No.	Structure	IUPAC Name
21		<i>N</i> -{3-[(3-aminophenyl)amino]quinoxalin-2-yl}-4-chlorobenzenesulfonamide
22		<i>N</i> -(3-{[4-(aminosulfonyl)phenyl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide
23		4-chloro- <i>N</i> -{3-[(4-chlorophenyl)amino]quinoxalin-2-yl}benzenesulfonamide
24		4-chloro- <i>N</i> -{3-[(4-methylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
25		4-chloro- <i>N</i> -{3-[(2-methylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
26		methyl 4-[(3-{[(4-chlorophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]benzoate
27		methyl 2-chloro-5-[(3-{[(4-methylphenyl)sulfonyl]amino}quinoxalin-2-yl)amino]benzoate
28		<i>N</i> -{4-[(7-methyl-3-{[(4-methylphenyl)sulfonyl]amino}quinoxalin-2-yl)amino]phenyl}acetamide
29		4-methyl- <i>N</i> -(6-methyl-3-{[2-(methyloxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide

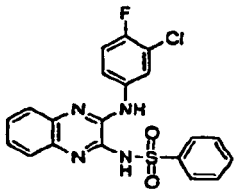
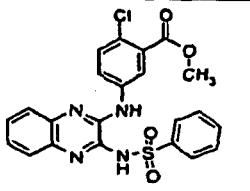
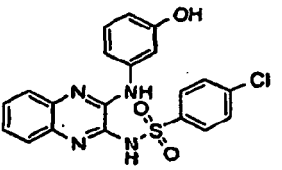
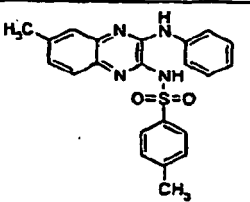
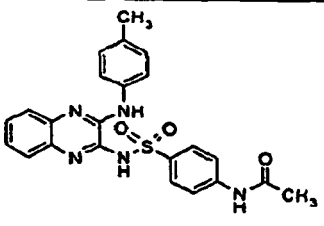
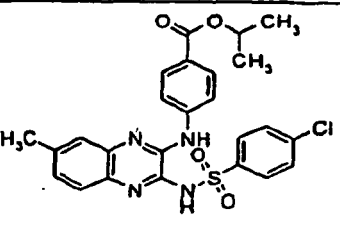
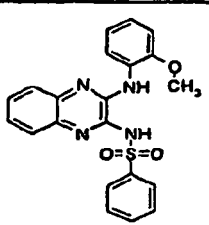
Table 1		
Cpd. No.	Structure	IUPAC Name
30		5,12-bis[(4-methylphenyl) sulfonyl] - 5,12-dihydroquinoxalino[2,3-b]quinoxaline
31		<i>N</i> -[3-(phenylamino)quinoxalin-2-yl]benzenesulfonamide
32		<i>N</i> -{3-[(4-chlorophenyl)amino]quinoxalin-2-yl} benzenesulfonamide
33		<i>N</i> -{3-[(phenylmethyl)amino]quinoxalin-2-yl} benzenesulfonamide
34		4-({3-[(phenylsulfonyl)amino]quinoxalin-2-yl} amino)benzoic acid
35		3-({3-[(phenylsulfonyl)amino]quinoxalin-2-yl} amino)benzenesulfonamide
36		<i>N</i> -{3-[(1,5-dimethyl-3-oxo-2-phenyl-2,3-dihydro-1 <i>H</i> -pyrazol-4-yl)amino]quinoxalin-2-yl} benzenesulfonamide

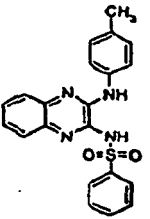
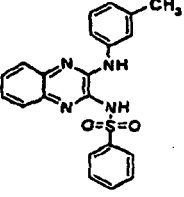
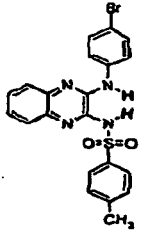
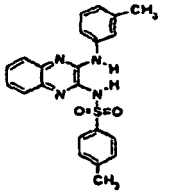
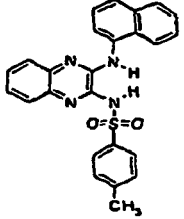
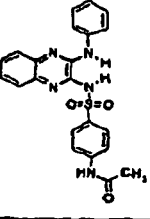
Table 1		
Cpd. No.	Structure	IUPAC Name
37		<i>N</i> -[3-(1 <i>H</i> -benzimidazol-1-yl)quinoxalin-2-yl]benzenesulfonamide
38		<i>N</i> -{3-[(4-hydroxyphenyl)amino]quinoxalin-2-yl} benzenesulfonamide
39		<i>N</i> -[3-(naphthalen-2-ylamino)quinoxalin-2-yl]benzenesulfonamide
40		<i>N</i> -{3-[(4-hydroxyphenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
41		<i>N</i> -(3-{[4-(aminosulfonyl)phenyl]amino}quinoxalin-2-yl)-4-methylbenzenesulfonamide
42		3-[(3-{[(4-methylphenyl)sulfonyl]amino}quinoxalin-2-yl)amino]benzoic acid
43		<i>N</i> -[4-({[3-(phenylamino)quinoxalin-2-yl]amino}sulfonyl)phenyl]acetamide

Cpd. No.	Structure	IUPAC Name
44		<i>N</i> -(4-({(3-{[4-(aminosulfonyl)phenyl]amino}quinoxalin-2-yl)amino)sulfonyl}phenyl)acetamide
45		<i>N</i> -[4-({[3-(naphthalen-1-ylamino)quinoxalin-2-yl]amino)sulfonyl}phenyl]acetamide
46		<i>N</i> -{4-[(3-{[(4-methylphenyl)sulfonyl]amino}quinoxalin-2-yl)amino]phenyl}acetamide
47		<i>N</i> -(3-{[3-(aminosulfonyl)phenyl]amino}quinoxalin-2-yl)-4-bromobenzenesulfonamide
48		<i>N</i> -{3-[(3-hydroxyphenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
49		<i>N</i> -{3-[(2-ethylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
50		4-chloro- <i>N</i> -(3-{[4-(methyloxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
51		4-chloro- <i>N</i> -(3-{[2-(methyloxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide

Cpd. No.	Structure	IUPAC Name
52		4-[(3-[(4-chlorophenyl)sulfonyl]amino)quinoxalin-2-yl]amino]-2-hydroxybenzoic acid
53		N-(3-[(3-(methyloxy)phenyl]amino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide
54		3-[(3-[(4-chlorophenyl)sulfonyl]amino)quinoxalin-2-yl]amino]benzoic acid
55		N-(3-[(4-(aminosulfonyl)phenyl]amino)quinoxalin-2-yl)-4-chlorobenzenesulfonamide
56		N-(3-[(3-(aminosulfonyl)phenyl]amino)quinoxalin-2-yl)-4-chlorobenzenesulfonamide
57		N-[3-(naphthalen-2-ylamino)quinoxalin-2-yl]-4-nitrobenzenesulfonamide
58		N-(3-[(3-(methyloxy)phenyl]amino)quinoxalin-2-yl)benzenesulfonamide
59		N-{3-[(4-bromophenyl]amino)quinoxalin-2-yl}-3-nitrobenzenesulfonamide

Table 1		
Cpd. No.	Structure	IUPAC Name
60		3-[(3-[(4-nitrophenyl)sulfonyl]amino)quinoxalin-2-yl]amino]benzoic acid
61		4-nitro-N-[3-(phenylamino)quinoxalin-2-yl]benzenesulfonamide
62		4-chloro-N-[3-(phenylamino)quinoxalin-2-yl]benzenesulfonamide
63		3-nitro-N-[3-(phenylamino)quinoxalin-2-yl]benzenesulfonamide
64		4-[(3-[(4-nitrophenyl)sulfonyl]amino)quinoxalin-2-yl]amino]benzoic acid
65		N-[3-(naphthalen-2-ylamino)quinoxalin-2-yl]-3-nitrobenzenesulfonamide
66		4-methyl-N-(3-{[3-(methyloxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
67		N-(3-{[3-chloro-4-(methyloxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide

Cpd. No.	Structure	IUPAC Name
68		<i>N</i> -{3-[(3-chloro-4-fluorophenyl)amino]quinoxalin-2-yl} benzenesulfonamide
69		methyl 2-chloro-5-({3-[(phenylsulfonyl)amino]quinoxalin-2-yl} amino)benzoate
70		4-chloro- <i>N</i> -{3-[(3-hydroxyphenyl)amino]quinoxalin-2-yl} benzenesulfonamide
71		4-methyl- <i>N</i> -[6-methyl-3-(phenylamino)quinoxalin-2-yl] benzenesulfonamide
72		<i>N</i> -{4-[(3-[(4-methylphenyl)amino]quinoxalin-2-yl) amino]sulfonyl}phenyl} acetamide
73		1-methylethyl 4-[(3-[(4-chlorophenyl)sulfonyl]amino}-7-methylquinoxalin-2-yl)amino]benzoate
74		<i>N</i> -(3-{2-(methyloxy)phenyl}amino)quinoxalin-2-yl) benzenesulfonamide

Cpd. No.	Structure	IUPAC Name
75		<i>N</i> -{3-[(4-methylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
76		<i>N</i> -{3-[(3-methylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
77		<i>N</i> -{3-[(4-bromophenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
78		4-methyl- <i>N</i> -{3-[(3-methylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
79		4-methyl- <i>N</i> -{3-(naphthalen-1-ylamino)quinoxalin-2-yl}benzenesulfonamide
80		<i>N</i> -{4-[(3-[(4-chlorophenyl)amino]quinoxalin-2-yl)amino]sulfonyl}phenyl}acetamide

Cpd. No.	Structure	IUPAC Name
81		<i>N</i> -(4-({(3-({3-(aminosulfonyl)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)acetamide
82		4-methyl- <i>N</i> -{3-[(phenylmethyl)amino]quinoxalin-2-yl}benzenesulfonamide
83		4-[(3-({(4-bromophenyl)sulfonyl}amino)quinoxalin-2-yl)amino]-2-hydroxybenzoic acid
84		4-bromo- <i>N</i> -{3-[(4-methylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
85		4-bromo- <i>N</i> -{3-[(3-methylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
86		<i>N</i> -{4-[(3-[(2-hydroxyethyl)amino]quinoxalin-2-yl)amino)sulfonyl}phenyl}acetamide
87		4-bromo- <i>N</i> -[3-(naphthalen-1-ylamino)quinoxalin-2-yl]benzenesulfonamide
88		<i>N</i> -(3-({3-(trifluoromethyl)phenyl}amino)quinoxalin-2-yl)benzenesulfonamide

Table 1		
Cpd. No.	Structure	IUPAC Name
89		4-[(3-[(4-chlorophenyl)sulfonyl]amino)quinoxalin-2-yl]amino]benzoic acid
90		3-[(3-[(3-nitrophenyl)sulfonyl]amino)quinoxalin-2-yl]amino]benzoic acid
91		<i>N</i> -{3-[(2-methylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
92		4-[(3-[(phenylsulfonyl)amino]quinoxalin-2-yl]amino]benzenesulfonamide
93		<i>N</i> -[3-(naphthalen-1-ylamino)quinoxalin-2-yl]-3-nitrobenzenesulfonamide
94		<i>N</i> -(3-{[3-(aminosulfonyl)phenyl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide
95		<i>N</i> -{3-[(4-bromophenyl)amino]quinoxalin-2-yl}-4-nitrobenzenesulfonamide

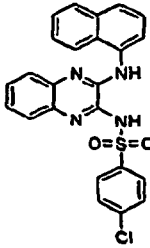
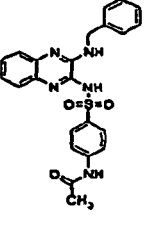
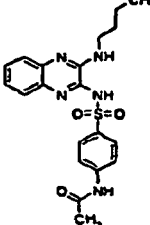
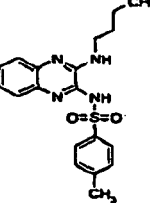
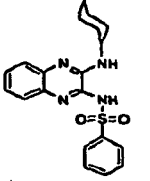
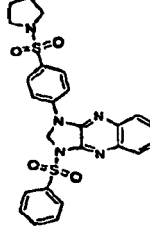
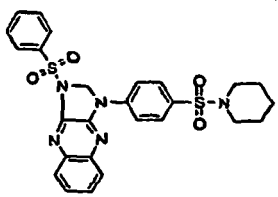
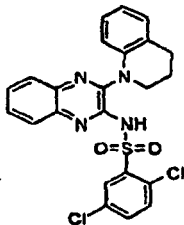
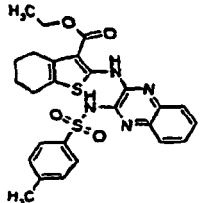
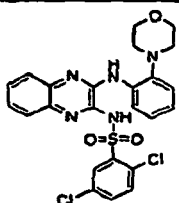
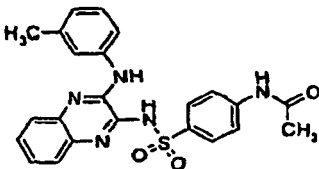
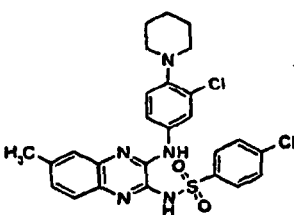
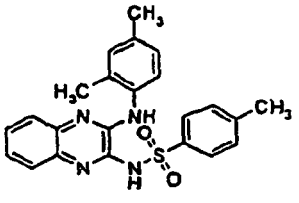
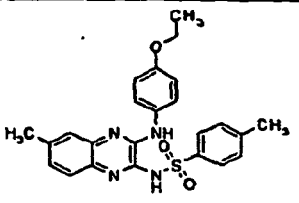
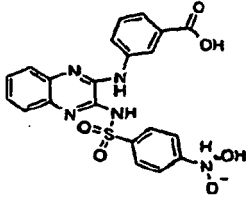
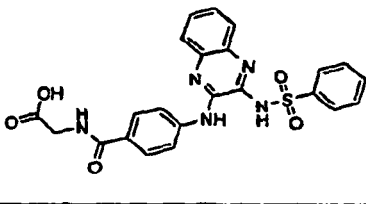
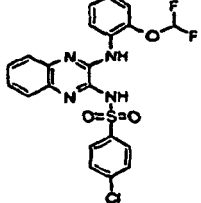
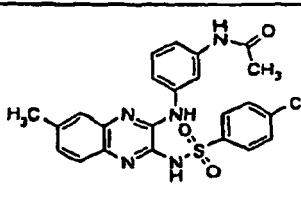
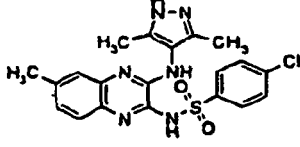
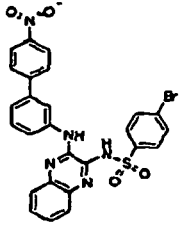
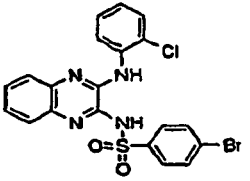
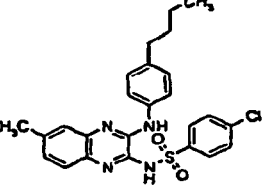
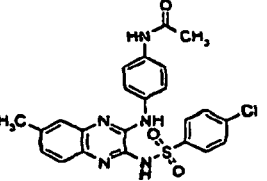
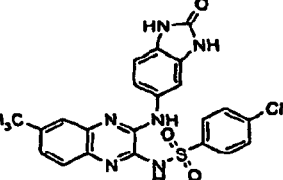
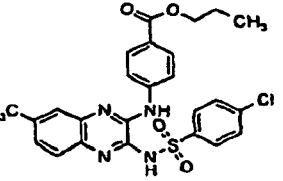
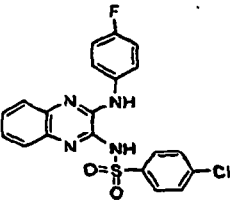
Cpd. No.	Structure	IUPAC Name
96		4-chloro- <i>N</i> -[3-(naphthalen-1-ylamino)quinoxalin-2-yl]benzenesulfonamide
97		<i>N</i> -{4-[(3-[(phenylmethyl)amino]quinoxalin-2-yl)amino)sulfonyl]phenyl}acetamide
98		<i>N</i> -[4-[(3-(butylamino)quinoxalin-2-yl)amino)sulfonyl]phenyl]acetamide
99		<i>N</i> -[3-(butylamino)quinoxalin-2-yl]-4-methylbenzenesulfonamide
100		<i>N</i> -[3-(cyclohexylamino)quinoxalin-2-yl]benzenesulfonamide
101		1-(phenylsulfonyl)-3-[4-(pyrrolidin-1-ylsulfonyl)phenyl]-2,3-dihydro-1 <i>H</i> -imidazo[4,5- <i>b</i>]quinoxaline

Table 1		
Cpd. No.	Structure	IUPAC Name
102		1-(phenylsulfonyl)-3-[4-(piperidin-1-ylsulfonyl)phenyl]-2,3-dihydro-1 <i>H</i> -imidazo[4,5- <i>b</i>]quinoxaline
103		2,5-dichloro- <i>N</i> -[3-(3,4-dihydroquinolin-1(2 <i>H</i>)-yl)quinoxalin-2-yl]benzenesulfonamide
104		ethyl 2-[(3-[(4-methylphenyl)sulfonyl]amino)quinoxalin-2-yl]amino]-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate
105		2,5-dichloro- <i>N</i> -{3-[(2-morpholin-4-yl)phenyl]amino}quinoxalin-2-yl}benzenesulfonamide
106		<i>N</i> -{4-[(3-[(3-methylphenyl)amino]quinoxalin-2-yl)amino)sulfonyl]phenyl}acetamide
107		4-chloro- <i>N</i> -{3-[(3-chloro-4-piperidin-1-yl)phenyl]amino}-6-methylquinoxalin-2-yl}benzenesulfonamide

Cpd. No.	Structure	IUPAC Name
108		3-nitro- <i>N</i> -[3-(quinolin-6-ylamino)quinoxalin-2-yl]benzenesulfonamide
109		butyl <i>N</i> -[[4-({3-[(phenylsulfonyl)amino]quinoxalin-2-yl}amino)phenyl]carbonyl]glycinate
110		4-nitro- <i>N</i> -(3-{[3-(trifluoromethyl)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
111		<i>N</i> -[4-({3-[(phenylsulfonyl)amino]quinoxalin-2-yl}amino)phenyl]acetamide
112		<i>N</i> -{3-[3-({[4-(methylphenyl)sulfonyl]amino}quinoxalin-2-yl)amino]phenyl}acetamide
113		ethyl 3,3,3-trifluoro-2-hydroxy-2-{4-[(3-{[4-(methylphenyl)sulfonyl]amino}quinoxalin-2-yl)amino]phenyl}propanoate
114		<i>N</i> -{3-[(4-{[(2,6-dimethylpyrimidin-4-yl)amino]sulfonyl}phenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide

Cpd. No.	Structure	IUPAC Name
115		4-chloro- <i>N</i> -{3-[(3,4-dimethylphenyl)amino]-6-methylquinoxalin-2-yl} benzenesulfonamide
116		4-chloro- <i>N</i> -(6-methyl-3-{[3-(methyloxy)phenyl]amino} quinoxalin-2-yl)benzenesulfonamide
117		butyl 4-[(3-{[(4-chlorophenyl)sulfonyl]amino}-7-methylquinoxalin-2-yl)amino]benzoate
118		4-chloro- <i>N</i> -{3-[(3-chloro-4-methylphenyl)amino]quinoxalin-2-yl} benzenesulfonamide
119		1-methylethyl 4-[(3-{[(4-chlorophenyl)sulfonyl]amino} quinoxalin-2-yl)amino]benzoate
120		<i>N</i> -{3-[(2,5-dimethylphenyl)amino]-6-nitroquinoxalin-2-yl}-4-methylbenzenesulfonamide
121		<i>N</i> -[3-(cyclohexylamino)-6-nitroquinoxalin-2-yl]-4-methylbenzenesulfonamide

Cpd. No.	Structure	IUPAC Name
122		<i>N</i> -{3-[(2,4-dimethylphenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
123		<i>N</i> -(3-{[4-(ethyloxy)phenyl]amino}-6-methylquinoxalin-2-yl)-4-methylbenzenesulfonamide
124		3-({3-[(4-{[hydroxy(oxido)amino]phenyl}sulfonyl)amino]quinoxalin-2-yl}amino)benzoic acid
125		<i>N</i> -{[4-({3-[(phenylsulfonyl)amino]quinoxalin-2-yl}amino)phenyl]carbonyl}glycine
126		4-chloro- <i>N</i> -[3-({2-[(difluoromethyl)oxy]phenyl}amino)quinoxalin-2-yl]benzenesulfonamide
127		<i>N</i> -{3-[(3-[(4-chlorophenyl)sulfonyl]amino)-7-methylquinoxalin-2-yl]amino]phenyl}acetamide
128		4-chloro- <i>N</i> -{3-[(3,5-dimethyl-1H-pyrazol-4-yl)amino]-6-methylquinoxalin-2-yl}benzenesulfonamide

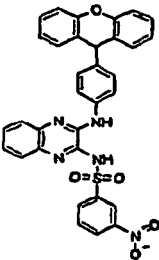
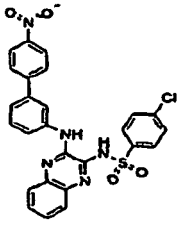
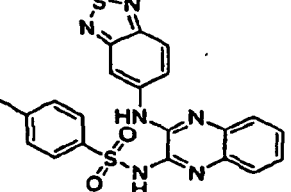
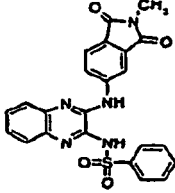
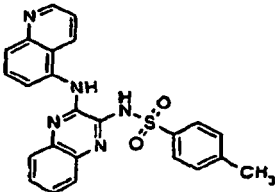
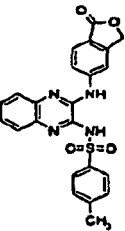
Cpd. No.	Structure	IUPAC Name
129		4-bromo- <i>N</i> -{3-[(4'-nitrobiphenyl)-3-yl]amino]quinoxalin-2-yl} benzenesulfonamide
130		4-bromo- <i>N</i> -{3-[(2-chlorophenyl)amino]quinoxalin-2-yl} benzenesulfonamide
131		<i>N</i> -{3-[(4-butylphenyl)amino]-6-methylquinoxalin-2-yl}-4-chlorobenzenesulfonamide
132		<i>N</i> -{4-[(3-[(4-chlorophenyl)sulfonyl]amino)-7-methylquinoxalin-2-yl]amino]phenyl}acetamide
133		4-chloro- <i>N</i> -{6-methyl-3-[(2-oxo-2,3-dihydro-1 <i>H</i> -benzimidazol-5-yl)amino]quinoxalin-2-yl} benzenesulfonamide
134		propyl 4-[(3-[(4-chlorophenyl)sulfonyl]amino)-7-methylquinoxalin-2-yl]amino]benzoate
135		4-chloro- <i>N</i> -{3-[(4-fluorophenyl)amino]quinoxalin-2-yl} benzenesulfonamide

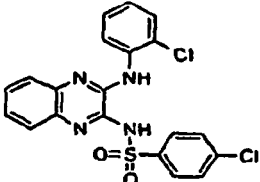
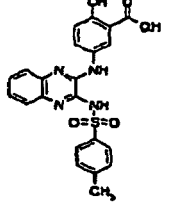
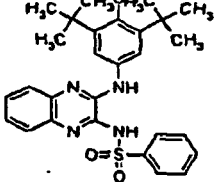
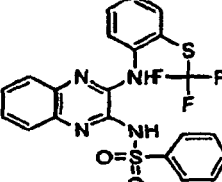
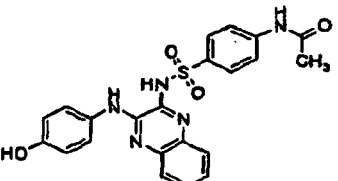
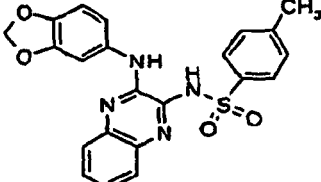
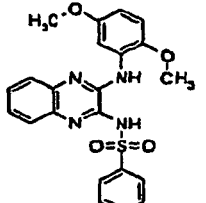
Cpd. No.	Structure	IUPAC Name
136		<i>N</i> -[4-({[3-(naphthalen-2-ylamino)quinoxalin-2-yl]amino}sulfonyl)phenyl]acetamide
137		4-bromo- <i>N</i> -(3-{[4-(phenylamino)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
138		2-hydroxy-4-({[3-[(phenylsulfonyl)amino]quinoxalin-2-yl]amino}benzoic acid
139		<i>N</i> -(3-{[3-(aminosulfonyl)phenyl]amino}quinoxalin-2-yl)-4-methylbenzenesulfonamide
140		4-[(3-{[(3-nitrophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]benzoic acid
141		<i>N</i> -(3-{[3-(butyloxy)phenyl]amino}quinoxalin-2-yl)-4-methylbenzenesulfonamide
142		<i>N</i> -{3-[(4-fluorophenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide

Cpd. No.	Structure	IUPAC Name
143		4-[[3-[[[4-(acetylamino)phenyl]sulfonyl]amino]quinoxalin-2-yl]amino]-2-hydroxybenzoic acid
144		N-(3-[[4-(butyloxy)phenyl]amino]quinoxalin-2-yl)-4-chlorobenzenesulfonamide
145		N-[3-(naphthalen-1-ylamino)quinoxalin-2-yl]-4-nitrobenzenesulfonamide
146		4-[[3-[[[4-bromophenyl]sulfonyl]amino]quinoxalin-2-yl]amino]benzoic acid
147		N-{4-[[[3-[(3-hydroxyphenyl)amino]quinoxalin-2-yl]amino]sulfonyl]phenyl}acetamide
148		3-[[3-[[[4-bromophenyl]sulfonyl]amino]quinoxalin-2-yl]amino]benzoic acid
149		4-bromo-N-(3-[[3-(butyloxy)phenyl]amino]quinoxalin-2-yl)benzenesulfonamide

Table 1		
Cpd. No.	Structure	IUPAC Name
150		4-bromo-N-(3-({3-(trifluoromethyl)phenyl}amino)quinoxalin-2-yl)benzenesulfonamide
151		4-methyl-N-{3-[(4'-nitrobiphenyl-3-yl)amino]quinoxalin-2-yl}benzenesulfonamide
152		4-chloro-N-{3-[(3-fluorophenyl)amino]quinoxalin-2-yl}benzenesulfonamide
153		N-{3-[(2-chlorophenyl)amino]quinoxalin-2-yl}benzenesulfonamide
154		4-bromo-N-[3-(quinolin-5-ylamino)quinoxalin-2-yl]benzenesulfonamide
155		N-{3-[(3-fluorophenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide

Table 1		
Cpd. No.	Structure	IUPAC Name
156		<i>N</i> -{3-[(4-fluorophenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
157		3-nitro- <i>N</i> -(3-{[3-(trifluoromethyl)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
158		2-hydroxy-4-[(3-{[(3-nitrophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]benzoic acid
159		<i>N</i> -{3-[(3-chlorophenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
160		<i>N</i> -[3-(1,3-benzodioxol-5-ylamino)quinoxalin-2-yl]-4-bromobenzenesulfonamide
161		<i>N</i> -{3-[(3-acetylphenyl)amino]quinoxalin-2-yl}-4-chlorobenzenesulfonamide

Cpd. No.	Structure	IUPAC Name
162		3-nitro- <i>N</i> -(3-({4-(9 <i>H</i> -xanthen-9-yl)phenyl}amino)quinoxalin-2-yl)benzenesulfonamide
163		4-chloro- <i>N</i> -{3-[(4'-nitrobiphenyl-3-yl)amino]quinoxalin-2-yl}benzenesulfonamide
164		<i>N</i> -[3-(2,1,3-benzothiadiazol-5-ylamino)quinoxalin-2-yl]-4-tolylsulfonamide
165		<i>N</i> -{3-[(2-methyl-1,3-dioxo-2,3-dihydro-1 <i>H</i> -isoindol-5-yl)amino]quinoxalin-2-yl}benzenesulfonamide
166		4-methyl- <i>N</i> -[3-(quinolin-5-ylamino)quinoxalin-2-yl]benzenesulfonamide
167		4-methyl- <i>N</i> -{3-[(1-oxo-1,3-dihydro-2-benzofuran-5-yl)amino]quinoxalin-2-yl}benzenesulfonamide

Cpd. No.	Structure	IUPAC Name
168		4-chloro- <i>N</i> -{3-[(2-chlorophenyl)amino]quinoxalin-2-yl}benzenesulfonamide
169		2-hydroxy-5-[(3-[(4-methylphenyl)sulfonyl]amino)quinoxalin-2-yl]amino]benzoic acid
170		<i>N</i> -(3-{[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]amino}quinoxalin-2-yl)benzenesulfonamide
171		<i>N</i> -[3-({2-[(trifluoromethyl)thio]phenyl}amino)quinoxalin-2-yl]benzenesulfonamide
172		<i>N</i> -{4-[(3-[(4-hydroxyphenyl)amino]quinoxalin-2-yl)amino)sulfonyl]phenyl}acetamide
173		<i>N</i> -[3-(1,3-benzodioxol-5-ylamino)quinoxalin-2-yl]-4-methylbenzenesulfonamide
174		<i>N</i> -(3-{[2,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide

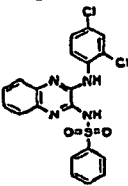
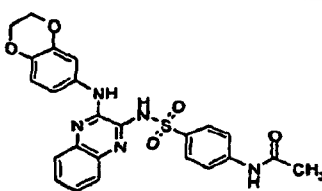
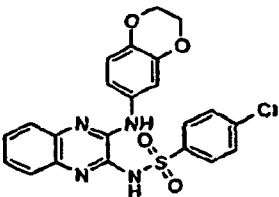
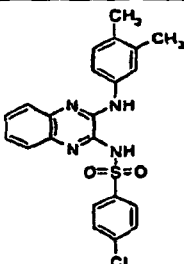
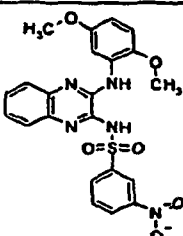
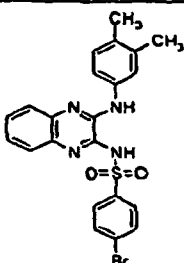
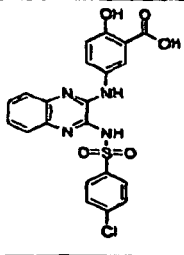
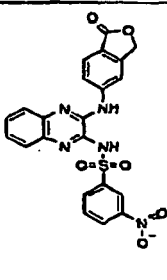
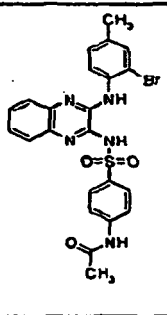
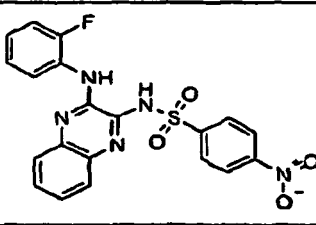
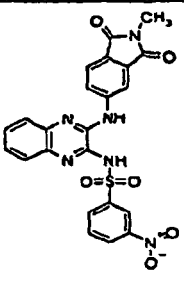
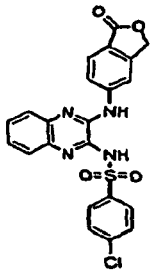
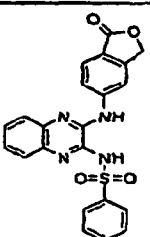
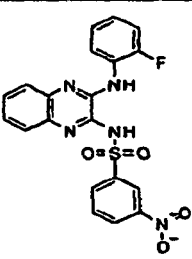
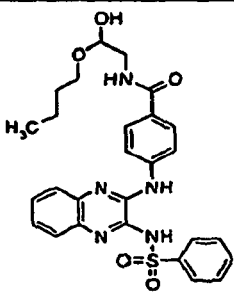
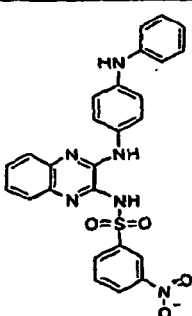
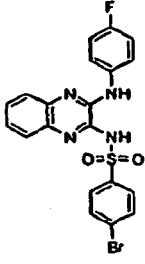
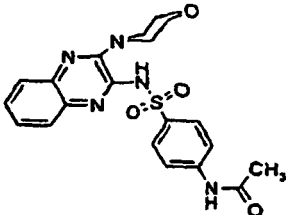
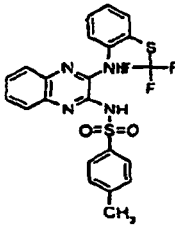
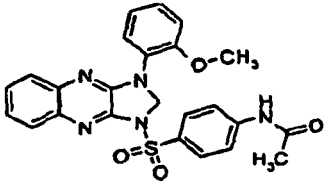
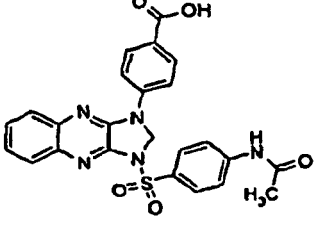
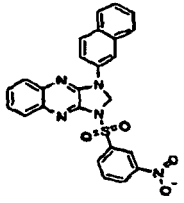
Cpd. No.	Structure	IUPAC Name
175		<i>N</i> -{3-[(2,4-dichlorophenyl)amino]quinoxalin-2-yl} benzenesulfonamide
176		<i>N</i> -[4-({[3-(2,3-dihydro-1,4-benzodioxin-6-ylamino)quinoxalin-2-yl]amino} sulfonyl)phenyl]acetamide
177		4-chloro- <i>N</i> -[3-(2,3-dihydro-1,4-benzodioxin-6-ylamino)quinoxalin-2-yl] benzenesulfonamide
178		4-chloro- <i>N</i> -{3-[(3,4-dimethylphenyl)amino]quinoxalin-2-yl} benzenesulfonamide
179		<i>N</i> -(3-{[2,5-bis(methoxy)phenyl]amino} quinoxalin-2-yl)-3-nitrobenzenesulfonamide
180		4-bromo- <i>N</i> -{3-[(3,4-dimethylphenyl)amino]quinoxalin-2-yl} benzenesulfonamide

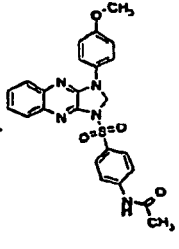
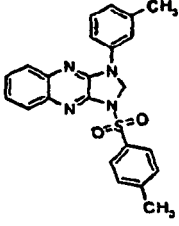
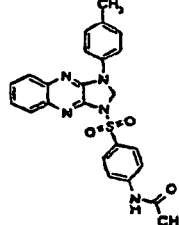
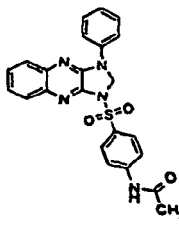
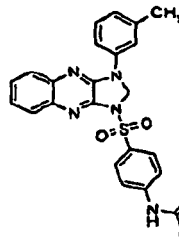
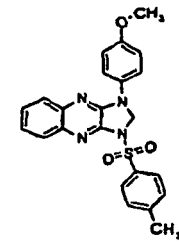
Table 1		
Cpd. No.	Structure	IUPAC Name
181		<i>N</i> -[3-(2,3-dihydro-1,4-benzodioxin-6-ylamino)quinoxalin-2-yl]benzenesulfonamide
182		<i>N</i> -[3-(1,3-benzodioxol-5-ylamino)quinoxalin-2-yl]benzenesulfonamide
183		5-{{3-([4-(acetylamino)phenyl]sulfonyl)amino}quinoxalin-2-yl}amino}-2-hydroxybenzoic acid
184		<i>N</i> -(3-{{2,5-bis(methyloxy)phenyl}amino}quinoxalin-2-yl)-4-chlorobenzenesulfonamide
185		<i>N</i> -(3-{{2,5-bis(methyloxy)phenyl}amino}quinoxalin-2-yl)-4-methylbenzenesulfonamide
186		<i>N</i> -{3-[(2,4-dichlorophenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide

Table 1		
Cpd. No.	Structure	IUPAC Name
187		<i>N</i> -(3-[(2,4-difluorophenyl)amino]quinoxalin-2-yl)benzenesulfonamide
188		4-bromo- <i>N</i> -(3-[(3-fluorophenyl)amino]quinoxalin-2-yl)benzenesulfonamide
189		4-{[3-({[4-(acetylamino)phenyl]sulfonyl}amino)quinoxalin-2-yl]amino}benzoic acid
190		<i>N</i> -(3-[(2-fluorophenyl)amino]quinoxalin-2-yl)-4-methylbenzenesulfonamide
191		<i>N</i> -[3-(2,3-dihydro-1,4-benzodioxin-6-ylamino)quinoxalin-2-yl]-4-methylbenzenesulfonamide
192		<i>N</i> -(3-[(3,4-dimethylphenyl)amino]quinoxalin-2-yl)benzenesulfonamide
193		4-methyl- <i>N</i> -(3-{[3-(trifluoromethyl)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide

Cpd. No.	Structure	IUPAC Name
194		5-[(3-[(4-chlorophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]-2-hydroxybenzoic acid
195		3-nitro- <i>N</i> -{3-[(1-oxo-1,3-dihydro-2-benzofuran-5-yl)amino]quinoxalin-2-yl}benzenesulfonamide
196		<i>N</i> -{4-[(3-[(2-bromo-4-methylphenyl)amino]quinoxalin-2-yl)amino)sulfonyl]phenyl}acetamide
197		<i>N</i> -{3-[(2-fluorophenyl)amino]quinoxalin-2-yl}-4-nitrobenzenesulfonamide
198		<i>N</i> -{3-[(2-methyl-1,3-dioxo-2,3-dihydro-1 <i>H</i> -indol-5-yl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide

Cpd. No.	Structure	IUPAC Name
199		4-chloro- <i>N</i> -{3-[(1-oxo-1,3-dihydro-2-benzofuran-5-yl)amino]quinoxalin-2-yl}benzenesulfonamide
200		<i>N</i> -{3-[(1-oxo-1,3-dihydro-2-benzofuran-5-yl)amino]quinoxalin-2-yl}benzenesulfonamide
201		<i>N</i> -{3-[(2-fluorophenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
202		<i>N</i> -[2-(butyloxy)-2-hydroxyethyl]-4-({3-[(phenylsulfonyl)amino]quinoxalin-2-yl}amino)benzamide
203		3-nitro- <i>N</i> -(3-{[4-(phenylamino)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide

Cpd. No.	Structure	IUPAC Name
204		4-bromo- <i>N</i> -{3-[(4-fluorophenyl)amino]quinoxalin-2-yl} benzenesulfonamide
205		<i>N</i> -(4-{[(3-morpholin-4-yl)quinoxalin-2-yl]amino}sulfonyl)phenyl)acetamide
206		4-methyl- <i>N</i> -[3-({2-[(trifluoromethyl)thio]phenyl}amino)quinoxalin-2-yl]benzenesulfonamide
207		<i>N</i> -[4-({3-[2-(methyloxy)phenyl]-2,3-dihydro-1 <i>H</i> -imidazo[4,5- <i>b</i>]quinoxalin-1-yl}sulfonyl)phenyl]acetamide
208		4-(3-{[4-(acetylamino)phenyl]sulfonyl}-2,3-dihydro-1 <i>H</i> -imidazo[4,5- <i>b</i>]quinoxalin-1-yl)benzoic acid
209		1-naphthalen-2-yl-3-[(3-nitrophenyl)sulfonyl]-2,3-dihydro-1 <i>H</i> -imidazo[4,5- <i>b</i>]quinoxaline

Cpd. No.	Structure	IUPAC Name
210		<i>N</i> -[4-({3-[4-(methyloxy)phenyl]-2,3-dihydro-1 <i>H</i> -imidazo[4,5- <i>b</i>]quinoxalin-1-yl)sulfonyl}phenyl)acetamide
211		1-(3-methylphenyl)-3-[(4-methylphenyl)sulfonyl]-2,3-dihydro-1 <i>H</i> -imidazo[4,5- <i>b</i>]quinoxaline
212		<i>N</i> -(4-{{3-(4-methylphenyl)-2,3-dihydro-1 <i>H</i> -imidazo[4,5- <i>b</i>]quinoxalin-1-yl)sulfonyl}phenyl)acetamide
213		<i>N</i> -{4-[(3-phenyl-2,3-dihydro-1 <i>H</i> -imidazo[4,5- <i>b</i>]quinoxalin-1-yl)sulfonyl}phenyl}acetamide
214		<i>N</i> -(4-{{3-(3-methylphenyl)-2,3-dihydro-1 <i>H</i> -imidazo[4,5- <i>b</i>]quinoxalin-1-yl)sulfonyl}phenyl)acetamide
215		1-[4-(methyloxy)phenyl]-3-[(4-methylphenyl)sulfonyl]-2,3-dihydro-1 <i>H</i> -imidazo[4,5- <i>b</i>]quinoxaline

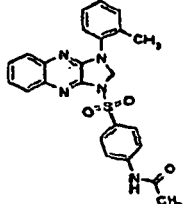
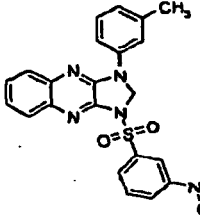
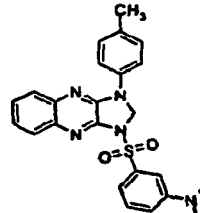
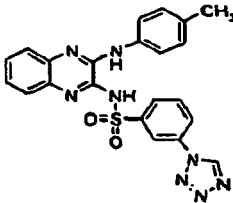
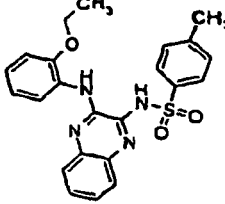
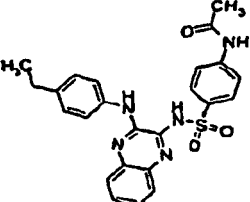
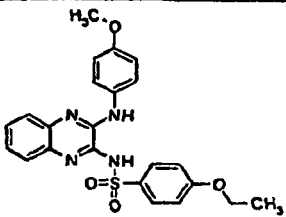
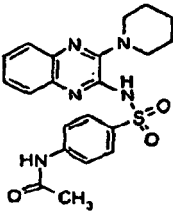
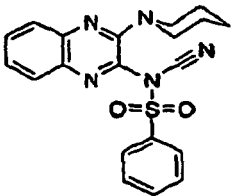
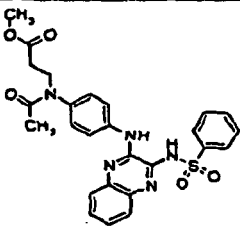
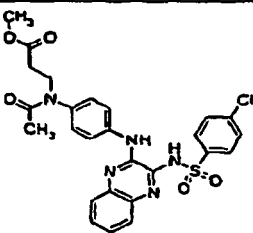
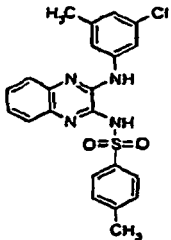
Cpd. No.	Structure	IUPAC Name
216		<i>N</i> -(4-({3-(2-methylphenyl)-2,3-dihydro-1 <i>H</i> -imidazo[4,5- <i>b</i>]quinoxalin-1-yl}sulfonyl}phenyl)acetamide
217		1-(3-methylphenyl)-3-[(3-nitrophenyl)sulfonyl]-2,3-dihydro-1 <i>H</i> -imidazo[4,5- <i>b</i>]quinoxaline
218		1-(4-methylphenyl)-3-[(3-nitrophenyl)sulfonyl]-2,3-dihydro-1 <i>H</i> -imidazo[4,5- <i>b</i>]quinoxaline
219		<i>N</i> -{3-[(4-methylphenyl)amino]quinoxalin-2-yl}-3-(1 <i>H</i> -tetrazol-1-yl)benzenesulfonamide
220		<i>N</i> -(3-{[2-(ethyloxy)phenyl]amino}quinoxalin-2-yl)-4-methylbenzenesulfonamide
221		<i>N</i> -{4-[(3-[(4-ethylphenyl)amino]quinoxalin-2-yl)amino)sulfonyl]phenyl}acetamide

Table 1		
Cpd. No.	Structure	IUPAC Name
222		4-bromo-N-(3-([3-(methyloxy)phenyl]amino)quinoxalin-2-yl)benzenesulfonamide
223		N-(4-([(3-([4-(ethyloxy)phenyl]amino)quinoxalin-2-yl]amino)sulfonyl]phenyl)acetamide
224		N-{4-[(3-[(2-ethylphenyl)amino]quinoxalin-2-yl)amino)sulfonyl]phenyl}acetamide
225		N-(4-([(3-([3-(methyloxy)phenyl]amino)quinoxalin-2-yl]amino)sulfonyl]phenyl)acetamide
226		N-(4-([(3-([2-(ethyloxy)phenyl]amino)quinoxalin-2-yl]amino)sulfonyl]phenyl)acetamide
227		N-{3-[(4-nitrophenyl)amino]quinoxalin-2-yl}benzenesulfonamide

Table 1		
Cpd. No.	Structure	IUPAC Name
228		4-(ethyloxy)- <i>N</i> -(3-((4-methoxyphenyl)amino)quinoxalin-2-yl)benzenesulfonamide
229		<i>N</i> -(4-(((3-piperidin-1-yl)quinoxalin-2-yl)amino)sulfonyl)phenyl)acetamide
230		<i>N</i> -cyano- <i>N</i> -(3-piperidin-1-yl)quinoxalin-2-yl)benzenesulfonamide
231		methyl <i>N</i> -acetyl- <i>N</i> -[4-((3-((phenylsulfonyl)amino)quinoxalin-2-yl)amino)phenyl]-beta-alaninate
232		methyl <i>N</i> -acetyl- <i>N</i> -{4-[(3-(((4-chlorophenyl)sulfonyl)amino)quinoxalin-2-yl)amino]phenyl}-beta-alaninate
233		<i>N</i> -{3-[(3-chloro-5-methylphenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide

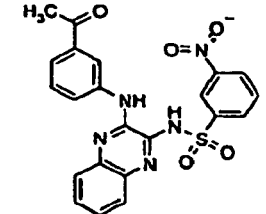
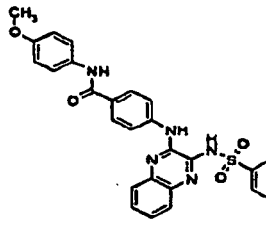
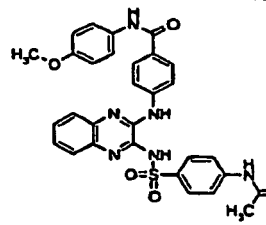
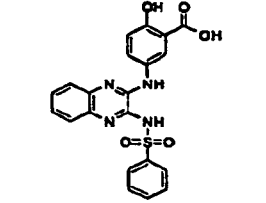
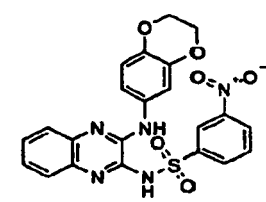
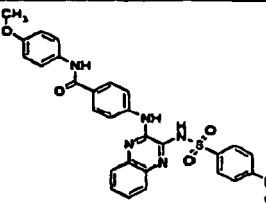
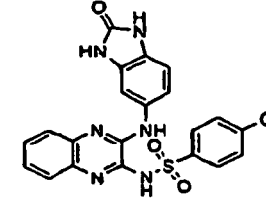
Cpd. No.	Structure	IUPAC Name
234		<i>N</i> -{3-[(3-acetylphenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
235		<i>N</i> -[4-(methyloxy)phenyl]-4-[(3-[(phenylsulfonyl)amino]quinoxalin-2-yl)amino]benzamide
236		4-{[3-[(4-(methyloxy)phenyl)sulfonyl]amino]quinoxalin-2-yl}amino)- <i>N</i> -[4-(methyloxy)phenyl]benzamide
237		2-hydroxy-5-[(3-[(phenylsulfonyl)amino]quinoxalin-2-yl)amino]benzoic acid
238		<i>N</i> -[3-(2,3-dihydro-1,4-benzodioxin-6-ylamino)quinoxalin-2-yl]-3-nitrobenzenesulfonamide
239		<i>N</i> -[4-(methyloxy)phenyl]-4-[(3-[(4-nitrophenyl)sulfonyl]amino)quinoxalin-2-yl]amino]benzamide
240		4-chloro- <i>N</i> -{3-[(2-oxo-2,3-dihydro-1H-benzimidazol-5-yl)amino]quinoxalin-2-yl}benzenesulfonamide

Table 1		
Cpd. No.	Structure	IUPAC Name
241		4-methyl-N-{3-[methyl(phenylmethyl)amino]quinoxalin-2-yl} benzenesulfonamide
242		N-[3-(3,4-dihydroisoquinolin-2(1H)-yl)quinoxalin-2-yl]-2-methylbenzenesulfonamide
243		N-[4-({[3-(2,1,3-benzothiadiazol-5-ylamino)quinoxalin-2-yl]amino)sulfonyl}phenyl]acetamide
244		4-bromo-N-{3-[(4-phenylquinolin-8-yl)amino]quinoxalin-2-yl} benzenesulfonamide
245		4-methyl-N-{3-[(4-phenylquinolin-8-yl)amino]quinoxalin-2-yl} benzenesulfonamide

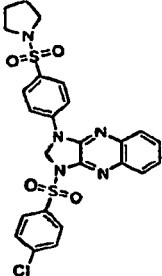
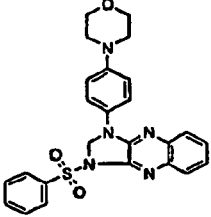
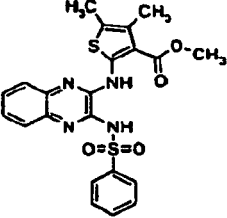
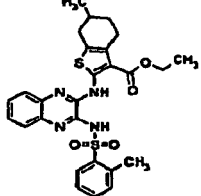
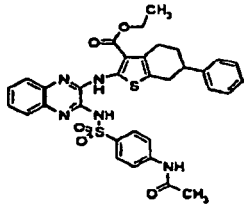
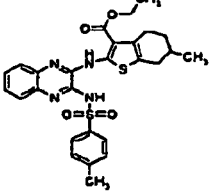
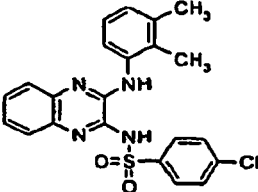
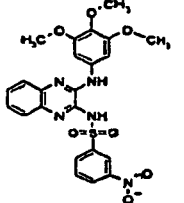
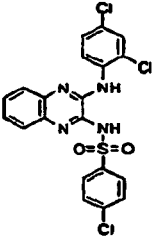
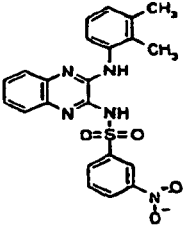
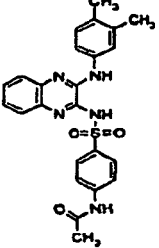
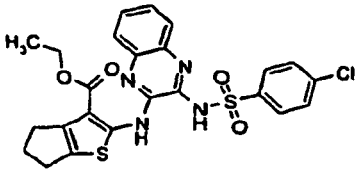
Cpd. No.	Structure	IUPAC Name
246		1-[(4-chlorophenyl)sulfonyl]-3-[4-(pyrrolidin-1-ylsulfonyl)phenyl]-2,3-dihydro-1H-imidazo[4,5-b]quinoxaline
247		1-(4-morpholin-4-ylphenyl)-3-(phenylsulfonyl)-2,3-dihydro-1H-imidazo[4,5-b]quinoxaline
248		methyl 4,5-dimethyl-2-({3-[(phenylsulfonyl)amino]quinoxalin-2-yl}amino)thiophene-3-carboxylate
249		ethyl 6-methyl-2-[(3-{[(2-methylphenyl)sulfonyl]amino}quinoxalin-2-yl)amino]-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate
250		ethyl 2-{{3-({[4-(acetamino)phenyl]sulfonyl}amino)quinoxalin-2-yl}amino}-6-phenyl-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate
251		ethyl 6-methyl-2-[(3-{[(4-methylphenyl)sulfonyl]amino}quinoxalin-2-yl)amino]-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate

Table 1		
Cpd. No.	Structure	IUPAC Name
252		propyl 4-[(3-[(4-chlorophenyl)sulfonyl]amino]quinoxalin-2-yl)amino]benzoate
253		<i>N</i> -{3-[(4-butylphenyl)amino]quinoxalin-2-yl}-4-chlorobenzenesulfonamide
254		<i>N</i> -{3-[(2-chlorophenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
255		<i>N</i> -{3-[(2,3-dimethylphenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
256		<i>N</i> -{3-[(3,4-dimethylphenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
257		<i>N</i> -{4-[(3-[(2,3-dimethylphenyl)amino]quinoxalin-2-yl)amino)sulfonyl]phenyl}acetamide

Cpd. No.	Structure	IUPAC Name
258		4-chloro- <i>N</i> -{3-[(2,3-dimethylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
259		3-nitro- <i>N</i> -(3-{[3,4,5-tris(methyloxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
260		4-chloro- <i>N</i> -{3-[(2,4-dichlorophenyl)amino]quinoxalin-2-yl}benzenesulfonamide
261		<i>N</i> -{3-[(2,3-dimethylphenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
262		<i>N</i> -{4-[(3-[(3,4-dimethylphenyl)amino]quinoxalin-2-yl)amino)sulfonyl]phenyl}acetamide
263		ethyl 2-[(3-[(4-chlorophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]-5,6-dihydro-4 <i>H</i> -cyclopenta[b]thiophene-3-carboxylate

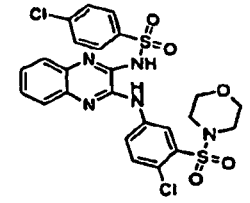
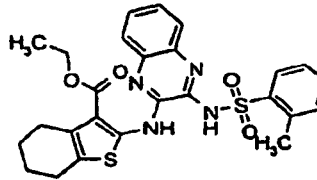
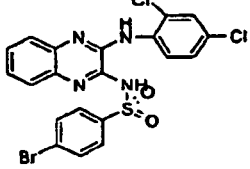
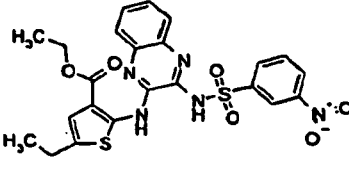
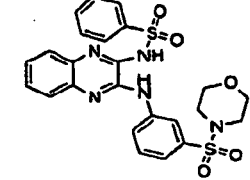
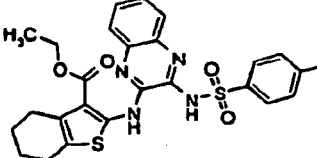
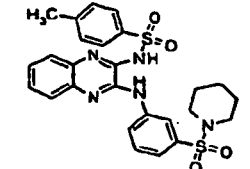
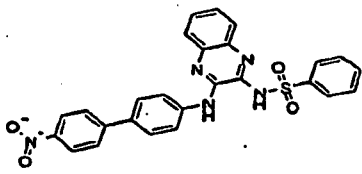
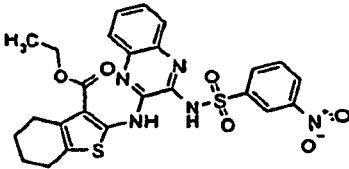
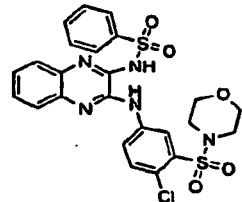
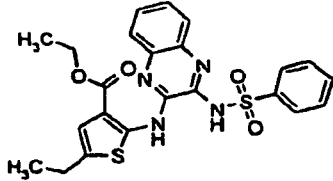
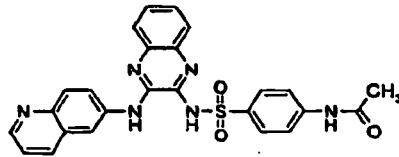
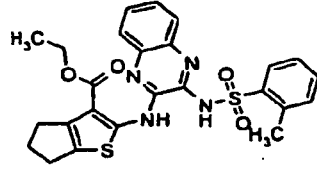
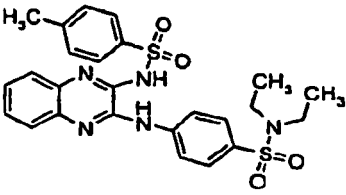
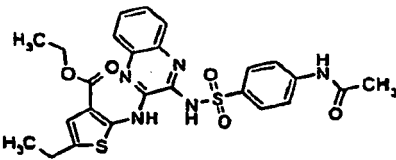
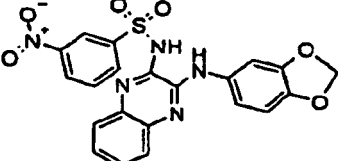
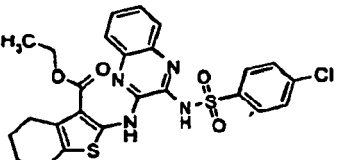
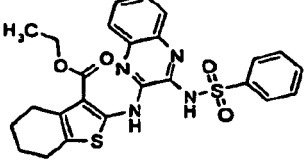
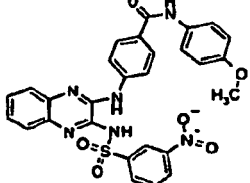
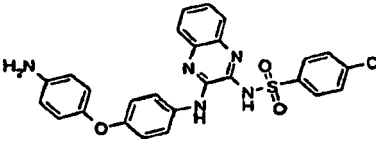
Cpd. No.	Structure	IUPAC Name
264		4-chloro- <i>N</i> -(3-{{[4-chloro-3-(morpholin-4-ylsulfonyl)phenyl]amino}quinoxalin-2-yl}benzenesulfonamide
265		ethyl 2-[(3-{{[2-methylphenyl]sulfonyl}amino}quinoxalin-2-yl)amino]-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate
266		4-bromo- <i>N</i> -{3-[(2,4-dichlorophenyl)amino]quinoxalin-2-yl}benzenesulfonamide
267		ethyl 5-ethyl-2-[(3-{{[3-nitrophenyl]sulfonyl}amino}quinoxalin-2-yl)amino]thiophene-3-carboxylate
268		<i>N</i> -(3-{{[3-(morpholin-4-ylsulfonyl)phenyl]amino}quinoxalin-2-yl}benzenesulfonamide
269		ethyl 2-[(3-{{[4-bromophenyl]sulfonyl}amino}quinoxalin-2-yl)amino]-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate
270		4-methyl- <i>N</i> -(3-{{[3-(piperidin-1-ylsulfonyl)phenyl]amino}quinoxalin-2-yl}benzenesulfonamide

Table 1		
Cpd. No.	Structure	IUPAC Name
271		4-chloro- <i>N</i> -(3-{[4-(morpholin-4-ylsulfonyl)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
272		4-chloro- <i>N</i> -(3-{[3-(morpholin-4-ylsulfonyl)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
273		4-methyl- <i>N</i> -[3-(quinolin-6-ylamino)quinoxalin-2-yl]benzenesulfonamide
274		<i>N</i> -(3-{[3-(piperidin-1-ylsulfonyl)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
275		<i>N</i> -(3-{[4-(phenylamino)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
276		<i>N</i> -(3-{[2,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-4-bromobenzenesulfonamide
277		ethyl 2-[(3-{[(3-nitrophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]-5,6-dihydro-4 <i>H</i> -cyclopenta[b]thiophene-3-carboxylate

Table 1		
Cpd. No.	Structure	IUPAC Name
278		<i>N</i> -{3-[(4'-nitrobiphenyl-4-yl)amino]quinoxalin-2-yl}benzenesulfonamide
279		ethyl 2-[(3-[(3-nitrophenyl)sulfonyl]amino)quinoxalin-2-yl]amino]-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate
280		<i>N</i> -(3-{[4-chloro-3-(morpholin-4-yl)sulfonyl]phenyl}amino)quinoxalin-2-yl)benzenesulfonamide
281		ethyl 5-ethyl-2-[(3-[(phenylsulfonyl)amino]quinoxalin-2-yl)amino]thiophene-3-carboxylate
282		<i>N</i> -[4-[(3-(quinolin-6-yl)amino)quinoxalin-2-yl]amino)sulfonyl]phenyl]acetamide
283		ethyl 2-[(3-[(2-methylphenyl)sulfonyl]amino)quinoxalin-2-yl]amino]-5,6-dihydro-4 <i>H</i> -cyclopenta[b]thiophene-3-carboxylate

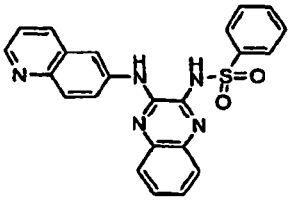
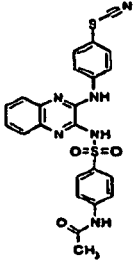
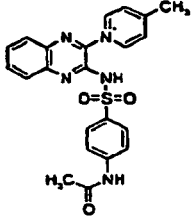
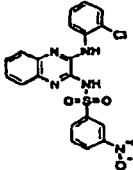
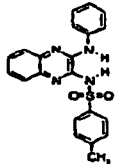
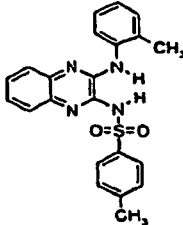
Cpd. No.	Structure	IUPAC Name
284		3,4-dichloro- <i>N</i> -[3-(naphthalen-1-ylamino)quinoxalin-2-yl]benzenesulfonamide
285		ethyl 2-[[3-([4-(acetylamino)-3,5-dibromophenyl]sulfonyl)amino]quinoxalin-2-yl]amino}-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate
286		ethyl 2-[[3-([2-chloro-5-nitrophenyl]sulfonyl)amino]quinoxalin-2-yl]amino}-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate
287		<i>N</i> -[3-([3-fluorophenyl]amino)quinoxalin-2-yl]benzenesulfonamide
288		<i>N</i> -[3-([4-(morpholin-4-ylsulfonyl)phenyl]amino)quinoxalin-2-yl]benzenesulfonamide
289		ethyl 2-[[3-([4-(acetylamino)phenyl]sulfonyl)amino]quinoxalin-2-yl]amino}-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate
290		ethyl 2-[[3-([4-chlorophenyl]sulfonyl)amino]quinoxalin-2-yl]amino}-5-ethylthiophene-3-carboxylate

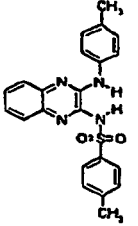
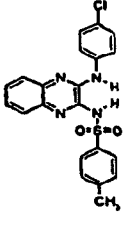
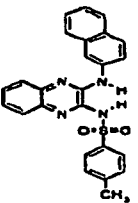
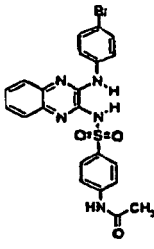
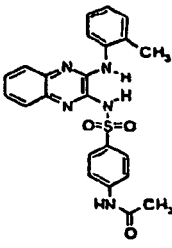
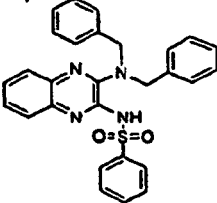
Cpd. No.	Structure	IUPAC Name
291		<i>N,N</i> -diethyl-4-[(3-[(4-methylphenyl)sulfonyl]amino)quinoxalin-2-yl]amino]benzenesulfonamide
292		ethyl 2-[(3-[(4-(acetamidophenyl)sulfonyl]amino)quinoxalin-2-yl]amino)-5-ethylthiophene-3-carboxylate
293		<i>N</i> -[3-(1,3-benzodioxol-5-ylamino)quinoxalin-2-yl]-3-nitrobenzenesulfonamide
294		ethyl 2-[(3-[(4-chlorophenyl)sulfonyl]amino)quinoxalin-2-yl]amino]-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate
295		ethyl 2-[(3-[(phenylsulfonyl]amino)quinoxalin-2-yl]amino)-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate
296		<i>N</i> -[4-(methyloxy)phenyl]-4-[(3-[(3-nitrophenyl)sulfonyl]amino)quinoxalin-2-yl]amino]benzamide
297		<i>N</i> -[3-(4-[(4-aminophenyl)oxy]phenyl)amino]quinoxalin-2-yl]-4-chlorobenzenesulfonamide

Cpd. No.	Structure	IUPAC Name
298		<i>N</i> -[4-({[3-({4-[(4-aminophenyl)oxy]phenyl}amino)quinoxalin-2-yl]amino}sulfonyl)phenyl]acetamide
299		(2E)-3-{3-[(3-{{(4-methylphenyl)sulfonyl}amino}quinoxalin-2-yl)amino]phenyl}prop-2-enoic acid
300		<i>N</i> -{3-[(9-ethyl-9 <i>H</i> -carbazol-3-yl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
301		<i>N</i> -[3-({4-[(4-aminophenyl)oxy]phenyl}amino)quinoxalin-2-yl]benzenesulfonamide
302		4-[(3-{{(4-chlorophenyl)sulfonyl}amino}quinoxalin-2-yl)amino]- <i>N</i> -[4-(methyloxy)phenyl]benzamide
303		4-bromo- <i>N</i> -{3-[(9-ethyl-9 <i>H</i> -carbazol-3-yl)amino]quinoxalin-2-yl}benzenesulfonamide
304		<i>N</i> -{3-[(9-ethyl-9 <i>H</i> -carbazol-3-yl)amino]quinoxalin-2-yl}benzenesulfonamide

Table 1		
Cpd. No.	Structure	IUPAC Name
305		<i>N</i> -{3-[(2-iodophenyl)amino]quinoxalin-2-yl} benzenesulfonamide
306		<i>N</i> -{3-[(1-phenylethyl)amino]quinoxalin-2-yl} benzenesulfonamide
307		4-bromo- <i>N</i> -{3-[(4-bromophenyl)amino]quinoxalin-2-yl} benzenesulfonamide
308		4-bromo- <i>N</i> -{3-[(4-chlorophenyl)amino]quinoxalin-2-yl} benzenesulfonamide
309		4-bromo- <i>N</i> -[3-(naphthalen-2-ylamino)quinoxalin-2-yl] benzenesulfonamide
310		<i>N</i> -{3-[(2,3-dimethylphenyl)amino]-6-methylquinoxalin-2-yl}-4-methylbenzenesulfonamide
311		4-chloro- <i>N</i> -{3-[(2-iodophenyl)amino]quinoxalin-2-yl} benzenesulfonamide
312		<i>N</i> -(3-{[4-(octyloxy)phenyl]amino}quinoxalin-2-yl) benzenesulfonamide

Table 1		
Cpd. No.	Structure	IUPAC Name
313		<i>N</i> -[3-(2,1,3-benzothiadiazol-5-ylamino)quinoxalin-2-yl]-3-nitrobenzenesulfonamide
314		<i>N</i> -{3-[(2-bromo-4-methylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
315		<i>N</i> -[3-({4-[(3-aminophenyl)sulfonyl]phenyl}amino)quinoxalin-2-yl]-4-chlorobenzenesulfonamide
316		<i>N</i> -[3-({2-[(difluoromethyl)oxy]phenyl}amino)quinoxalin-2-yl]-3-nitrobenzenesulfonamide
317		8-[(3-{{4-methylphenyl}sulfonyl}amino}quinoxalin-2-yl)amino]quinoline-2-carboxylic acid
318		ethyl 3,3,3-trifluoro-2-hydroxy-2-{4-[(3-{{3-nitrophenyl}sulfonyl}amino}quinoxalin-2-yl)amino]phenyl}propanoate

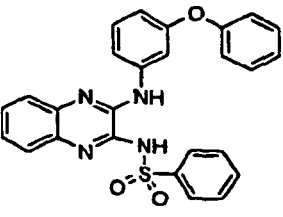
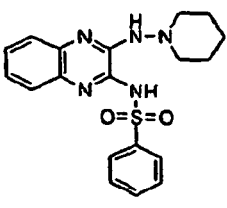
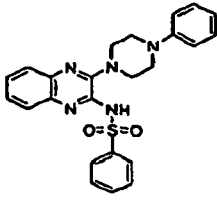
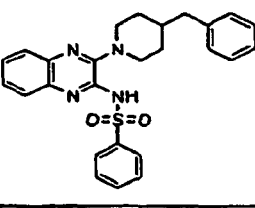
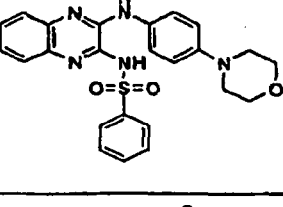
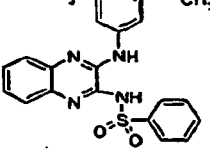
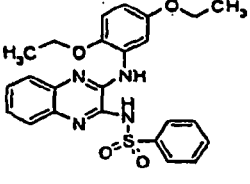
Cpd. No.	Structure	IUPAC Name
319		<i>N</i> -[3-(quinolin-6-ylamino)quinoxalin-2-yl]benzenesulfonamide
320		4-{{3-([4-(acetamido)phenyl]sulfonyl)amino}quinoxalin-2-yl}amino}phenyl thiocyanate
321		1-[3-([4-(acetamido)phenyl]sulfonyl)amino}quinoxalin-2-yl]-4-methylpyridinium
322		<i>N</i> -{3-[(2-chlorophenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
323		4-methyl- <i>N</i> -[3-(phenylamino)quinoxalin-2-yl]benzenesulfonamide
324		4-methyl- <i>N</i> -{3-[(2-methylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide

Cpd. No.	Structure	IUPAC Name
325		4-methyl- <i>N</i> -{3-[(4-methylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
326		<i>N</i> -{3-[(4-chlorophenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
327		4-methyl- <i>N</i> -[3-(naphthalen-2-ylamino)quinoxalin-2-yl]benzenesulfonamide
328		<i>N</i> -{4-[(3-[(4-bromophenyl)amino]quinoxalin-2-yl)amino)sulfonyl]phenyl}acetamide
329		<i>N</i> -{4-[(3-[(2-methylphenyl)amino]quinoxalin-2-yl)amino)sulfonyl]phenyl}acetamide
330		<i>N</i> -{3-[bis(phenylmethyl)amino]quinoxalin-2-yl}benzenesulfonamide

Cpd. No.	Structure	IUPAC Name
331		<i>N</i> -(3-piperidin-1-ylquinoxalin-2-yl)benzenesulfonamide
332		4-[(3-[[[4-methylphenyl)sulfonyl]amino}quinoxalin-2-yl)amino]benzoic acid
333		2-hydroxy-4-[(3-[[[4-methylphenyl)sulfonyl]amino}quinoxalin-2-yl)amino]benzoic acid
334		4-bromo- <i>N</i> -(3-{[2-(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
335		4-methyl- <i>N</i> -(3-piperidin-1-ylquinoxalin-2-yl)benzenesulfonamide
336		<i>N</i> -{3-[(3-hydroxyphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
337		<i>N</i> -[3-(naphthalen-1-ylamino)quinoxalin-2-yl]benzenesulfonamide
338		3-methyl-1-(3-[[[4-methylphenyl)sulfonyl]amino}quinoxalin-2-yl)pyridinium

Cpd. No.	Structure	IUPAC Name
339		<i>N</i> -(3-({3-({(4-chlorophenyl)sulfonyl}amino)-7-(methyloxy)quinoxalin-2-yl}amino)phenyl)acetamide
340		<i>N</i> -{3-[(3-({(4-chlorophenyl)sulfonyl}amino)quinoxalin-2-yl)amino]phenyl}acetamide
341		<i>N</i> -{3-[(4-bromophenyl)amino]quinoxalin-2-yl}-4-chlorobenzenesulfonamide
342		<i>N</i> -{3-[(2,4-dimethylphenyl)amino]-6-methylquinoxalin-2-yl}-4-methylbenzenesulfonamide
343		<i>N</i> -{3-[(3,4-dimethylphenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
344		<i>N</i> -{3-[(2,5-dimethylphenyl)amino]-6-methylquinoxalin-2-yl}-4-methylbenzenesulfonamide
345		ethyl 4-[(3-({(4-chlorophenyl)sulfonyl}amino)quinoxalin-2-yl)amino]benzoate
346		4-chloro- <i>N</i> -{3-[(4-ethylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide

Table 1		
Cpd. No.	Structure	IUPAC Name
347		4-chloro-N-(6-methyl-3-{[4-(methyloxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
348		4-chloro-N-{3-[(4-chlorophenyl)amino]-6-methylquinoxalin-2-yl}benzenesulfonamide
349		N-(3-{[4-chloro-2,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
350		N-[3-({2-[2,5-bis(methyloxy)phenyl]ethyl}amino)quinoxalin-2-yl]benzenesulfonamide
351		N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
352		N-(3-{[3,4,5-tris(methyloxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
353		N-[3-({3-[(phenylmethyl)oxy]phenyl}amino)quinoxalin-2-yl]benzenesulfonamide

Cpd. No.	Structure	IUPAC Name
354		<i>N</i> -(3-({3-(phenyloxy)phenyl}amino)quinoxalin-2-yl)benzenesulfonamide
355		<i>N</i> -[3-(piperidin-1-ylamino)quinoxalin-2-yl]benzenesulfonamide
356		<i>N</i> -[3-(4-phenylpiperazin-1-yl)quinoxalin-2-yl]benzenesulfonamide
357		<i>N</i> -{3-[4-(phenylmethyl)piperidin-1-yl]quinoxalin-2-yl}benzenesulfonamide
358		<i>N</i> -{3-[(4-morpholin-4-yl)phenyl]amino}quinoxalin-2-yl}benzenesulfonamide
359		<i>N</i> -(3-({3-(methyloxy)-5-(trifluoromethyl)phenyl}amino)quinoxalin-2-yl)benzenesulfonamide
360		<i>N</i> -(3-({2,5-bis(ethyloxy)phenyl}amino)quinoxalin-2-yl)benzenesulfonamide

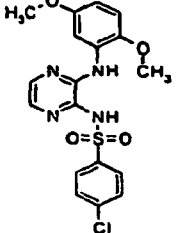
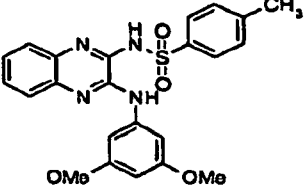
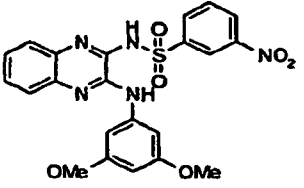
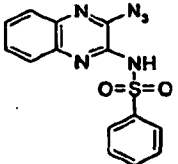
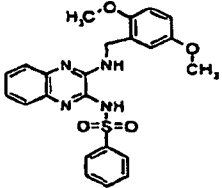
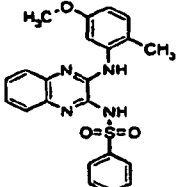
Cpd. No.	Structure	IUPAC Name
361		<i>N</i> -(3-morpholin-4-ylquinoxalin-2-yl)benzenesulfonamide
362		<i>N</i> -(3-([2,5-bis(methoxy)phenyl]amino)pyrazin-2-yl)-4-chlorobenzenesulfonamide
363		<i>N</i> -(3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)-4-methylbenzenesulfonamide
364		<i>N</i> -(3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide
365		<i>N</i> -(3-azidoquinoxalin-2-yl)benzenesulfonamide
366		<i>N</i> -[3-([2,5-bis(methoxy)phenyl]methyl)amino]quinoxalin-2-yl]benzenesulfonamide
367		<i>N</i> -(3-([2-methyl-5-(methoxy)phenyl]amino)quinoxalin-2-yl)benzenesulfonamide

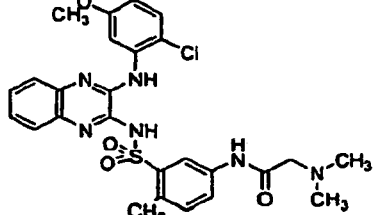
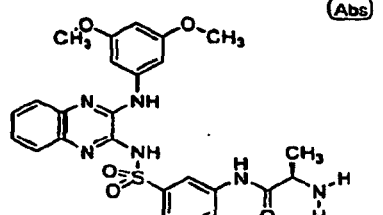
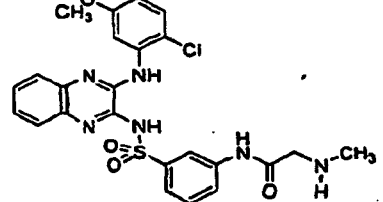
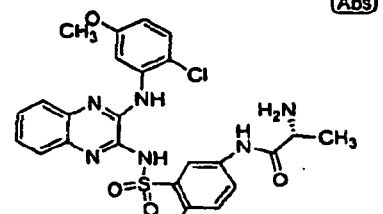
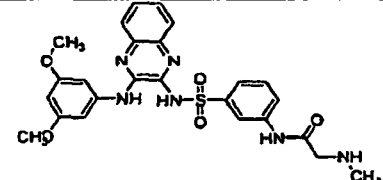
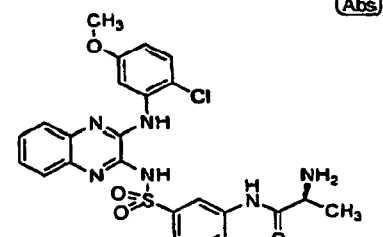
Table 1		
Cpd. No.	Structure	IUPAC Name
368		<i>N</i> -[3-(dimethylamino)quinoxalin-2-yl]benzenesulfonamide
369		<i>N</i> -(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)naphthalene-2-sulfonamide
370		4-(3-Benzensulfonylamino-quinoxalin-2-yl)-piperazine-1-carboxylic acid tert-butyl ester
371		<i>N</i> -[3-(2-Chloro-5-methoxyphenylamino)-quinoxalin-2-yl]-benzenesulfonamide
372		3-amino- <i>N</i> -(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
373		<i>N</i> -(3-piperazin-1-ylquinoxalin-2-yl)benzenesulfonamide
374		<i>N</i> -(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-4-chlorobenzenesulfonamide

Table 1		
Cpd. No.	Structure	IUPAC Name
375		<i>N</i> -(3-{4-[(9-oxo-9 <i>H</i> -fluoren-1-yl)carbonyl]piperazin-1-yl}quinoxalin-2-yl)benzenesulfonamide
376		<i>N</i> -(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)acetamide
377		<i>N</i> -(3-{[4-chloro-3-(methyloxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
378		<i>N</i> -(3-{[4-fluoro-3-(methyloxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
379		<i>N</i> -(3-{[2'-(methyloxy)biphenyl-4-yl]amino}quinoxalin-2-yl)benzenesulfonamide
380		<i>N</i> -(3-{[5-methyl-2-(methyloxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
381		3-amino- <i>N</i> -(3-{[2,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide

Table 1		
Cpd. No.	Structure	IUPAC Name
382		<i>N</i> -(3-{{[2,5-bis(methyloxy)phenyl]amino}-6,7-dimethylquinoxalin-2-yl}benzenesulfonamide
383		<i>N</i> -(3-{{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl}-4-bromobenzenesulfonamide
384		<i>N</i> -(3-{{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl}-3-nitrobenzenesulfonamide
385		<i>N</i> -(3-{{[5-chloro-2-(methyloxy)phenyl]amino}quinoxalin-2-yl}benzenesulfonamide
386		<i>N</i> -(3-{{[2-(methyloxy)-5-(trifluoromethyl)phenyl]amino}quinoxalin-2-yl}benzenesulfonamide
387		<i>N</i> -(3-{{[2-(methyloxy)biphenyl-4-yl]amino}quinoxalin-2-yl}benzenesulfonamide
388		3-amino- <i>N</i> -(3-{{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl}benzenesulfonamide
389		<i>N</i> -(3-{{[(3-{{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl]-N-2-,N-2-dimethylglycinamide

Table 1		
Cpd. No.	Structure	IUPAC Name
390		<i>N</i> -(3-([2,5-bis(methyloxy)phenyl]amino)-7-methylquinoxalin-2-yl)benzenesulfonamide
391		<i>N</i> -(3-([2,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)-4-(methyloxy)benzenesulfonamide
392		<i>N</i> -(3-([2,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)-3-bromobenzenesulfonamide
393		<i>N</i> -(3-([2,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)-3-fluorobenzenesulfonamide
394		<i>N</i> -(3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)-2-fluorobenzenesulfonamide
395		<i>N</i> -(3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)-4-(methyloxy)benzenesulfonamide
396		<i>N</i> -(3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)-3-bromobenzenesulfonamide
397		<i>N</i> -(3-([(3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino]sulfonyl)phenyl)-1-methylpiperidine-4-carboxamide

Cpd. No.	Structure	IUPAC Name
398		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-3-piperidin-1-ylpropanamide
399		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-4-(dimethylamino)butanamide
400		<i>N</i> -(3-((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)-3-(hydroxyamino)benzenesulfonyl)phenyl)-3-(hydroxyamino)benzenesulfonamide
401		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-morpholin-4-ylacetamide
402		<i>N</i> -(3-(((3-((2-chloro-5-(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-4-methylphenyl)- <i>N</i> -2-methylglycinamide
403		<i>N</i> -(3-(((3-((2-chloro-5-(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-4-methylphenyl)-L-alaninamide
404		<i>N</i> -(3-(((3-((2-chloro-5-(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-4-methylphenyl)-2-methylalaninamide

Cpd. No.	Structure	IUPAC Name
405		<i>N</i> -(3-(((3-([2-chloro-5-(methyloxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)-4-methylphenyl)- <i>N</i> -2-dimethylglycinamide
406		<i>N</i> -(3-(((3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-D-alaninamide
407		<i>N</i> -(3-(((3-([2-chloro-5-(methyloxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-methylglycinamide
408		<i>N</i> -(3-(((3-([2-chloro-5-(methyloxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)-4-methylphenyl)-D-alaninamide
409		<i>N</i> -(3-(((3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-methylglycinamide
410		<i>N</i> -(3-(((3-([2-chloro-5-(methyloxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-L-alaninamide

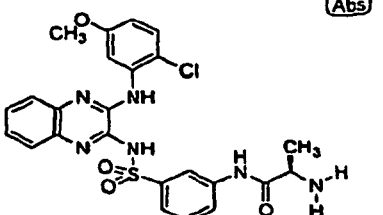
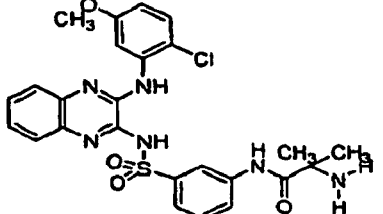
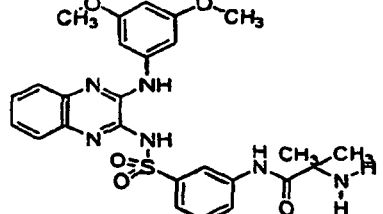
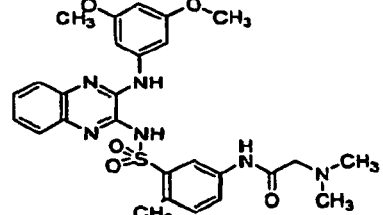
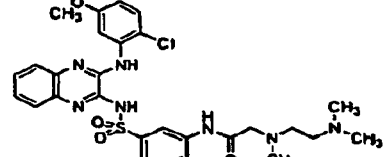
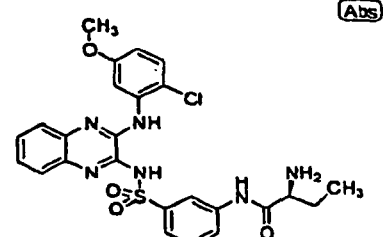
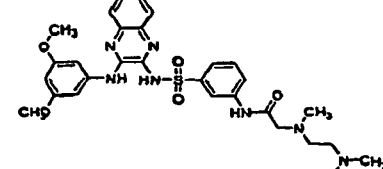
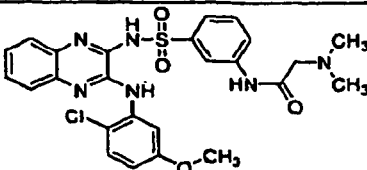
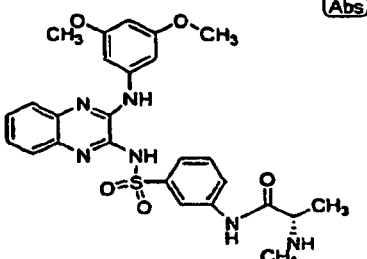
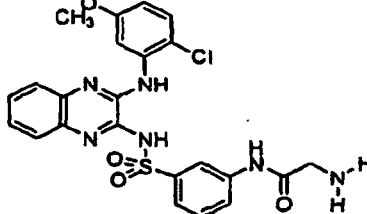
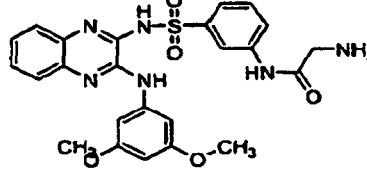
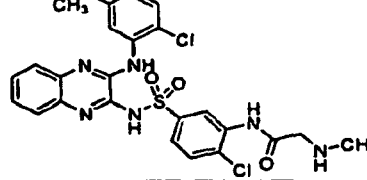
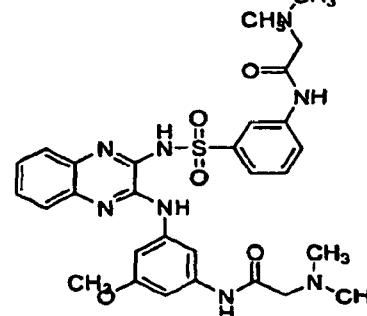
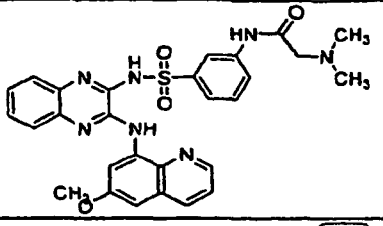
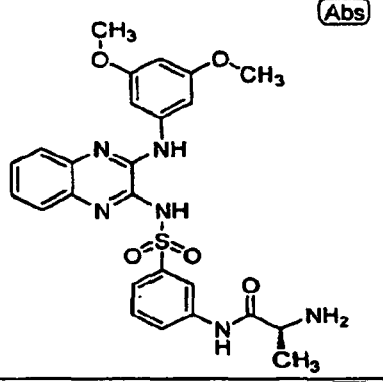
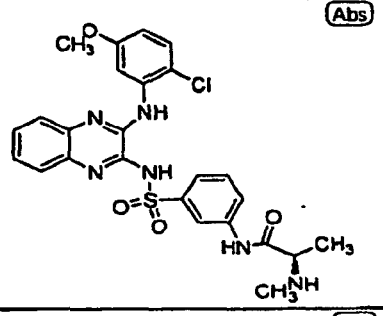
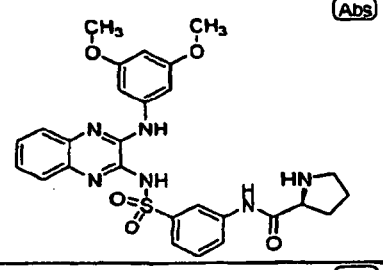
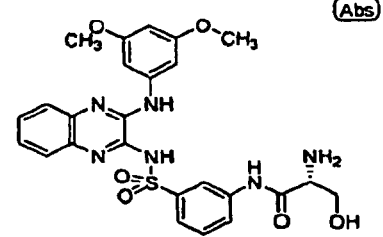
Cpd. No.	Structure	IUPAC Name
411		<i>N</i> -(3-(((3-([2-chloro-5-(methyloxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-D-alaninamide
412		<i>N</i> -(3-(((3-([2-chloro-5-(methyloxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-methylalaninamide
413		<i>N</i> -(3-(((3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-methylalaninamide
414		<i>N</i> -(3-(((3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl}-4-methylphenyl)- <i>N</i> -2, <i>N</i> -2-dimethylglycinamide
415		<i>N</i> -(3-(((3-([2-chloro-5-(methyloxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-[2-(dimethylamino)ethyl]- <i>N</i> -2-methylglycinamide
416		(2 <i>S</i>)-2-amino- <i>N</i> -(3-(((3-([2-chloro-5-(methyloxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)butanamide
417		<i>N</i> -(3-(((3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-[2-(dimethylamino)ethyl]- <i>N</i> -2-methylglycinamide

Table 1		
Cpd. No.	Structure	IUPAC Name
418		<i>N</i> -(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)- <i>N</i> -2, <i>N</i> -2-dimethylglycinamide
419		<i>N</i> -(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)- <i>N</i> -2-methyl-L-alaninamide
420		<i>N</i> -(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)glycinamide
421		<i>N</i> -(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)glycinamide
422		<i>N</i> -(2-chloro-5-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)- <i>N</i> -2-methylglycinamide
423		2-(dimethylamino)- <i>N</i> -(3-(<i>N</i> -(3-(3-(2-(dimethylamino)acetamido)-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide

Cpd. No.	Structure	IUPAC Name
424		<i>N</i> -(3-([(3-([2-acetyl-5-(methyloxy)phenyl]amino)quinoxalin-2-yl)amino]sulfonyl}phenyl)- <i>N</i> -2, <i>N</i> -2-dimethylglycinamide
425		<i>N</i> -(3-([2-chloro-5-(methyloxy)phenyl]amino)quinoxalin-2-yl)-3-(formylamino)benzenesulfonamide
426		<i>N</i> -(3-([(3-([2-chloro-5-(methyloxy)phenyl]amino)quinoxalin-2-yl)amino]sulfonyl}phenyl)- <i>N</i> -2-ethylglycinamide
427		<i>N</i> -(5-([(3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino]sulfonyl}-2-methylphenyl)glycinamide
428		2-azetidin-1-yl- <i>N</i> -(3-([(3-([2-chloro-5-(methyloxy)phenyl]amino)quinoxalin-2-yl)amino]sulfonyl}phenyl)acetamide
429		<i>N</i> -(3-([(3-([2-chloro-5-(methyloxy)phenyl]amino)quinoxalin-2-yl)amino]sulfonyl}phenyl)- <i>L</i> -prolinamide
430		<i>N</i> -(3-([(3-([2-bromo-5-(methyloxy)phenyl]amino)quinoxalin-2-yl)amino]sulfonyl}phenyl)- <i>N</i> -2-methylglycinamide

Cpd. No.	Structure	IUPAC Name
431		<i>N</i> -2-, <i>N</i> -2-dimethyl- <i>N</i> -(3-{{(3-{{(6-(methyloxy)quinolin-8-yl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)glycinamide
432		<i>N</i> -(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)- <i>L</i> -alaninamide
433		<i>N</i> -(3-{{(3-{{(2-chloro-5-(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)- <i>N</i> -2-methyl- <i>D</i> -alaninamide
434		<i>N</i> -(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)- <i>L</i> -prolinamide
435		<i>N</i> -(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)- <i>D</i> -serinamide

Cpd. No.	Structure	IUPAC Name
436		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)azetidine-3-carboxamide
437		<i>N</i> -(3-(((3-((2-chloro-5-(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2,2-dimethylalaninamide
438		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-methyl-D-alaninamide
439		<i>N</i> -(3-(((3-((2-bromo-5-(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2,N-2-dimethylglycinamide
440		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-propylglycinamide
441		<i>N</i> -(3-(((3-((2-chloro-5-(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-methyl-L-alaninamide

Cpd. No.	Structure	IUPAC Name
442		<i>N</i> -(5-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-2-methylphenyl)-beta-alaninamide
443		<i>N</i> -(3-(((3-((2-chloro-5-(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)piperidine-3-carboxamide
444		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-(4-methyl-1,4-diazepan-1-yl)acetamide
445		(2 <i>S</i>)-2-amino- <i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)butanamide
446		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-(2-hydroxypropyl)glycinamide
447		<i>N</i> -(3-(((3-((2-chloro-5-(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-(2-fluoroethyl)glycinamide
448		3-amino- <i>N</i> -(2-(((3,5-bis(methyloxy)phenyl)amino)pyrido[2,3-b]pyrazin-3-yl)benzenesulfonamide

Cpd. No.	Structure	IUPAC Name
449		<i>N</i> -(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)- <i>N</i> -2-[(2-methylpropyl)oxy]glycinamide
450		1-amino- <i>N</i> -(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)cyclopropanecarboxamide
451		<i>N</i> -(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-(formylamino)benzenesulfonamide
452		<i>N</i> -(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)- <i>N</i> -2-(cyclopropylmethyl)glycinamide
453		<i>N</i> -(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)- <i>D</i> -prolinamide
454		<i>N</i> -(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[3-(dimethylamino)azetidin-1-yl]acetamide
455		<i>N</i> -(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)- <i>D</i> -prolinamide

Cpd. ⁹ No.	Structure	IUPAC Name
456		<i>N</i> -(3-(((3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)piperidine-2-carboxamide
457		<i>N</i> -(3-(((3-([2-chloro-5-(methyloxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)morpholine-4-carboxamide
458		<i>N</i> -(3-(((3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-pyrrolidin-1-ylacetamide
459		<i>N</i> -(3-(((3-([2-chloro-5-(methyloxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -6, <i>N</i> -6-dimethyl- <i>L</i> -lysineamide
460		<i>N</i> -(3-(((3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-ethyl- <i>N</i> -2-methylglycinamide
461		<i>N</i> -(3-(((3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(1 <i>H</i> -imidazol-4-yl)acetamide
462		1-amino- <i>N</i> -(3-(((3-([2-chloro-5-(methyloxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)cyclopentanecarboxamide

Cpd. No.	Structure	IUPAC Name
463		<i>N</i> -(3-{{(3-{{3,5-bis(methyloxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)- <i>N</i> -2-(2-methylpropyl)glycinamide
464		<i>N</i> -(3-{{(3-{{2-chloro-5-(methyloxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)- <i>N</i> -2-ethyl- <i>N</i> -2-methylglycinamide
465		<i>N</i> -(3-{{(3-{{3,5-bis(methyloxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-1-(1 <i>H</i> -imidazol-4-ylmethyl)azetidine-3-carboxamide
466		<i>N</i> -(5-{{(3-{{2-chloro-5-(methyloxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}-2-methylphenyl)- <i>N</i> -2-, <i>N</i> -2-dimethylglycinamide
467		<i>N</i> -(3-{{(3-{{3,5-bis(methyloxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-1-ethylazetidine-3-carboxamide
468		<i>N</i> -(3-{{(3-{{3,5-bis(methyloxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)- <i>N</i> -2-methyl- <i>N</i> -2-(1-methylpyrrolidin-3-yl)glycinamide
469		<i>N</i> -(3-{{(2-{{3,5-bis(methyloxy)phenyl}amino}pyrido[2,3-b]pyrazin-3-yl)amino}sulfonyl}phenyl)- <i>N</i> -2-[2-(dimethylamino)ethyl]- <i>N</i> -2-methylglycinamide

Cpd. No.	Structure	IUPAC Name
470		<i>N</i> -(3-([(3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[(3 <i>S</i>)-3-hydroxypyrrolidin-1-yl]acetamide
471		1-amino- <i>N</i> -(3-([(3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino]sulfonyl}phenyl)cyclobutanecarboxamide
472		<i>N</i> -(3-([(3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino]sulfonyl}phenyl)- <i>N</i> -2-butylglycinamide
473		<i>N</i> -(3-([(3-([2-chloro-5-(methyloxy)phenyl]amino)quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(3-piperidin-1-ylazetidin-1-yl)acetamide
474		3-[(aminocarbonyl)amino]- <i>N</i> -(3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)benzenesulfonamide
475		<i>N</i> -(3-([(3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino]sulfonyl}phenyl)-1-hydroxycyclopropanecarboxamide
476		<i>N</i> -(3-([(3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(2,2-dimethylhydrazino)acetamide

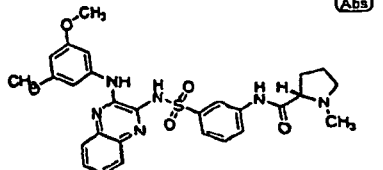
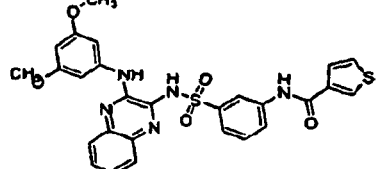
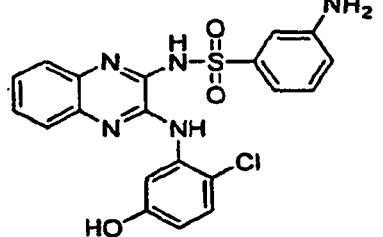
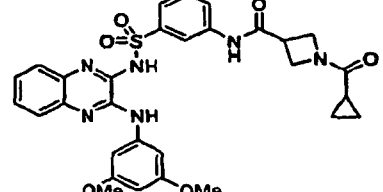
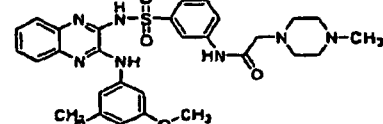
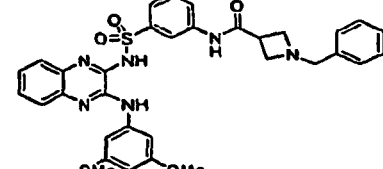
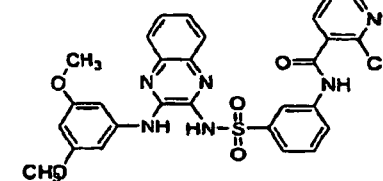
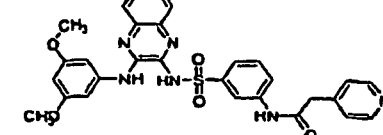
Cpd. No.	Structure	IUPAC Name
477		<i>N</i> -(3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-({[2-(dimethylamino)ethyl]amino}carbonyl)amino]benzenesulfonamide
478		<i>N</i> -(3-({[3-({[3-fluoro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)- <i>N</i> -2-methylglycinamide
479		<i>N</i> -(3-({[3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-hydroxyacetamide
480		<i>N</i> -(3-({[3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)pyridazine-4-carboxamide
481		<i>N</i> -(3-({[3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)- <i>N</i> -2-(1-methylethyl)glycinamide
482		1-amino- <i>N</i> -(3-({[3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)cyclopentanecarboxamide
483		1-amino- <i>N</i> -(3-({[3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)cyclopropanecarboxamide

Cpd. No.	Structure	IUPAC Name
484		<i>N</i> -(3-{{(3-{{3,5-bis(methyloxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-2-[3-(dimethylamino)pyrrolidin-1-yl]acetamide
485		<i>N</i> -(3-{{(3-{{3,5-bis(methyloxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)- <i>N</i> -2-[2-(dimethylamino)ethyl]glycinamide
486		2-(dimethylamino)ethyl(3-{{(3-{{3,5-bis(methyloxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)carbamate
487		<i>N</i> -(3-{{(3-{{3,5-bis(methyloxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-1-(cyclopropylmethyl)azetidine-3-carboxamide
488		<i>N</i> -(3-{{(3-{{3,5-bis(methyloxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)- <i>N</i> -2-(1,1-dimethylethyl)glycinamide
489		<i>N</i> -2-methyl- <i>N</i> -(3-{{(3-{{3-methyloxy}phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)glycinamide
490		<i>N</i> -(3-{{(3-{{3,5-bis(methyloxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-1 <i>H</i> -imidazole-2-carboxamide

Table 1		
Cpd. No.	Structure	IUPAC Name
491		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)isoxazole-5-carboxamide
492		<i>N</i> -(3-(((3-((2-chloro-5-(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-(2,2,2-trifluoroethyl)glycinamide
493		3-amino- <i>N</i> -(3-((2-methyl-5-(methyloxy)phenyl)amino)quinoxalin-2-yl)benzenesulfonamide
494		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-3-oxocyclopentanecarboxamide
495		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-6-hydroxypyridine-2-carboxamide
496		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-(3-fluoro-4-hydroxyphenyl)glycinamide
497		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-1-(furan-2-ylmethyl)azetidine-3-carboxamide
498		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)pyrimidine-5-carboxamide

Table 1		
Cpd. No.	Structure	IUPAC Name
499		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-1 <i>H</i> -pyrrole-2-carboxamide
500		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-methyl- <i>N</i> -2-(1-methylethyl)glycinamide
501		<i>N</i> -(3-(((3-((3-fluoro-5-(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2, <i>N</i> -2-dimethylglycinamide
502		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-1 <i>H</i> -imidazole-4-carboxamide
503		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2, <i>N</i> -2-diethylglycinamide
504		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-(3-methylisoxazol-5-yl)acetamide
505		<i>N</i> -2, <i>N</i> -2-dimethyl- <i>N</i> -(3-(((3-((2-methyl-5-(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)glycinamide

Table 1		
Cpd. No.	Structure	IUPAC Name
506		<i>N</i> -(3-{{{3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl}amino}sulfonyl}phenyl)- <i>N</i> -2-[(3-hydroxyphenyl)methyl]glycinamide
507		<i>N</i> -(3-{{{3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl}amino}sulfonyl}phenyl)-1-methyl-1 <i>H</i> -pyrrole-2-carboxamide
508		4-amino- <i>N</i> -(3-{{{3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl}amino}sulfonyl}phenyl)tetrahydro-2 <i>H</i> -pyran-4-carboxamide
509		<i>N</i> -(3-{{{3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl}amino}sulfonyl}phenyl)-2-[4-(methylamino)piperidin-1-yl]acetamide
510		<i>N</i> -(3-{{{3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl}amino}sulfonyl}phenyl)-2-piperidin-1-ylacetamide
511		<i>N</i> -(4-{{{3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl}amino}sulfonyl}phenyl)- <i>N</i> -2-dimethylglycinamide

Cpd. No.	Structure	IUPAC Name
512		<i>N</i> -(3-{{(3-{{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-1-methyl-L-prolinamide
513		<i>N</i> -(3-{{(3-{{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)thiophene-3-carboxamide
514		3-amino- <i>N</i> -{3-[(2-chloro-5-hydroxyphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
515		<i>N</i> -(3-{{(3-{{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-1-(cyclopropylcarbonyl)azetidine-3-carboxamide
516		<i>N</i> -(3-{{(3-{{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-2-(4-methylpiperazin-1-yl)acetamide
517		<i>N</i> -(3-{{(3-{{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-1-(phenylmethyl)azetidine-3-carboxamide
518		<i>N</i> -(3-{{(3-{{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-2-chloropyridine-3-carboxamide
519		<i>N</i> -(3-{{(3-{{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-2-pyridin-4-ylacetamide

Cpd. No.	Structure	IUPAC Name
520		<i>N</i> -(3-(((3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-methyl- <i>N</i> -2-prop-2-en-1-ylglycinamide
521		<i>N</i> -(3-(((3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-(phenylmethyl)glycinamide
522		<i>N</i> -(3-(((3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-(methyloxy)acetamide
523		<i>N</i> -(3-(((3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-1-propanoylazetidine-3-carboxamide
524		<i>N</i> -(3-(((3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)pyridine-3-carboxamide
525		<i>N</i> -(3-(((3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-[2-(methyloxy)ethyl]glycinamide
526		1-acetyl- <i>N</i> -(3-(((3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)piperidine-4-carboxamide

Cpd. No.	Structure	IUPAC Name
527		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-(2-methylpyrrolidin-1-yl)acetamide
528		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)furan-3-carboxamide
529		<i>N</i> -2,N-dimethyl- <i>N</i> -(3-(((3-((3-methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)glycinamide
530		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-6-chloropyridine-3-carboxamide
531		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-chlorobenzamide
532		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-pyridin-2-ylacetamide
533		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-[3-(dimethylamino)azetidin-1-yl]acetamide

Cpd. No.	Structure	IUPAC Name
534		<i>N</i> -(3-{{{3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl}amino}sulfonyl}phenyl)-2-pyridin-3-ylacetamide
535		<i>N</i> -(3-{{{3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl}amino}sulfonyl}phenyl)-2-(2-chlorophenyl)acetamide
536		<i>N</i> -(3-{{{3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl}amino}sulfonyl}phenyl)- <i>N</i> -2-[3-(dimethylamino)propyl]- <i>N</i> -2-methylglycinamide
537		<i>N</i> -(3-{{{3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl}amino}sulfonyl}phenyl)- <i>N</i> -2-ethyl- <i>N</i> -2-(2-hydroxyethyl)glycinamide
538		<i>N</i> -(3-{{{3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl}amino}sulfonyl}phenyl)-2-[2-(phenylmethyl)pyrrolidin-1-yl]acetamide
539		<i>N</i> -(3-{{{3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl}amino}sulfonyl}phenyl)propanamide
540		<i>N</i> -(3-{{{3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl}amino}sulfonyl}phenyl)furan-2-carboxamide

Cpd. No.	Structure	IUPAC Name
541		<i>N</i> -(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-chloropyridine-4-carboxamide
542		<i>N</i> -2-acetyl- <i>N</i> -(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino]sulfonyl}phenyl)glycinamide
543		<i>N</i> -(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino]sulfonyl}phenyl)butanamide
544		<i>N</i> -(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino]sulfonyl}phenyl)-4-chlorobenzamide
545		<i>N</i> -(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino]sulfonyl}phenyl)-4-methylbenzamide
546		1,1-dimethylethyl 2-((3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino]sulfonyl}phenyl)amino)-2-oxoethyl} carbamate
547		<i>N</i> -(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino]sulfonyl}phenyl)-1,3-benzodioxole-5-carboxamide
548		<i>N</i> -(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino]sulfonyl}phenyl)- <i>N</i> -2-((2-(methyloxy)phenyl)methyl)oxy)glycinamide

Table 1		
Cpd. No.	Structure	IUPAC Name
549		<i>N</i> -(3-{{(3-{{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)pyridine-4-carboxamide
550		<i>N</i> -(3-{{(3-{{[4-fluoro-3-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)- <i>N</i> -2-, <i>N</i> -2-dimethylglycinamide
551		<i>N</i> -(3-{{(3-{{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-2-[4-(3,4-dichlorophenyl)piperazin-1-yl]acetamide
552		<i>N</i> -(3-{{(3-{{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-3-pyridin-3-ylpropanamide
553		<i>N</i> -(3-{{(3-{{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)tetrahydrofuran-3-carboxamide
554		<i>N</i> -(3-{{(3-{{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)- <i>N</i> -2-[(2-methylphenyl)methyl]glycinamide
555		<i>N</i> -(3-{{(3-{{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-2-methylbutanamide

Cpd. No.	Structure	IUPAC Name
556		<i>N</i> -(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-(3-fluorophenyl)acetamide
557		<i>N</i> -(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-(1-methyl-1-phenylethyl)glycinamide
558		<i>N</i> -(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-methylcyclopropanecarboxamide
559		<i>N</i> -(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-methyl-4-(methyloxy)benzamide
560		<i>N</i> -(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-methylpyridine-3-carboxamide
561		<i>N</i> -(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-4-(methyloxy)benzamide
562		<i>N</i> -(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-(4-ethylpiperazin-1-yl)acetamide
563		<i>N</i> -(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)thiophene-2-carboxamide

Cpd. No.	Structure	IUPAC Name
564		<i>N</i> -(3-{{{3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl}amino}sulfonyl}phenyl)-3-fluoro-2-methylbenzamide
565		<i>N</i> -(3-{{{3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl}amino}sulfonyl}phenyl)-2-bromothiophene-3-carboxamide
566		<i>N</i> -(3-{{{3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl}amino}sulfonyl}phenyl)-4-fluorobenzamide
567		<i>N</i> -(3-{{{3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl}amino}sulfonyl}phenyl)-2-(3-methylpiperidin-1-yl)acetamide
568		<i>N</i> -(3-{{{3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl}amino}sulfonyl}phenyl)-2-methylpropanamide
569		<i>N</i> -(3-{{{3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl}amino}sulfonyl}phenyl)pentanamide
570		<i>N</i> -(3-{{{3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl}amino}sulfonyl}phenyl)-2-(ethyloxy)acetamide
571		<i>N</i> -(3-{{{3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl}amino}sulfonyl}phenyl)- <i>N</i> -(2-fluorophenyl)glycinamide

Cpd. No.	Structure	IUPAC Name
572		<i>N</i> -(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-(dimethylamino)benzamide
573		<i>N</i> -(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(4-methylpiperidin-1-yl)acetamide
574		<i>N</i> -(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)- <i>N</i> -2-(2-propylphenyl)glycinamide
575		<i>N</i> -(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)benzamide
576		<i>N</i> -(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)pyrazine-2-carboxamide
577		<i>N</i> -(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-fluoro-4-(methoxy)benzamide
578		<i>N</i> -(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2,2-dimethylbutanamide
579		<i>N</i> -(3-{[(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[(4-fluorophenyl)oxy]acetamide

Table 1		
Cpd. No.	Structure	IUPAC Name
580		1-acetyl-N-(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)azetidine-3-carboxamide
581		N-(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-N-2-(4-methylphenyl)glycinamide
582		N-(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-N-2-phenylglycinamide
583		N-(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-(4-prop-2-en-1-yl)piperazin-1-yl)acetamide
584		N-(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-methylbenzamide
585		N-(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-3-(methyloxy)propanamide
586		N-(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-3-methylfuran-2-carboxamide

Table 1		
Cpd. No.	Structure	IUPAC Name
587		<i>N</i> -(3-([(3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino]sulfonyl}phenyl)-2,2-dimethylpropanamide
588		<i>N</i> -(3-([(3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino]sulfonyl}phenyl)- <i>N</i> -2-[(phenylmethyl)oxy]glycinamide
589		<i>N</i> -{3-[(3-[(2-chloro-5-hydroxyphenyl)amino]quinoxalin-2-yl)amino]sulfonyl}phenyl}- <i>N</i> -2, <i>N</i> -2-dimethylglycinamide
590		<i>N</i> -(3-([(3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino]sulfonyl}phenyl)- <i>N</i> -2-(3-chlorophenyl)glycinamide
591		<i>N</i> -(3-([(3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino]sulfonyl}phenyl)cyclobutanecarboxamide
592		<i>N</i> -(3-([(3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[3-(methyloxy)phenyl]acetamide
593		<i>N</i> -(3-([(3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino]sulfonyl}phenyl)-1-methylcyclopropanecarboxamide

Table 1		
Cpd. No.	Structure	IUPAC Name
594		<i>N</i> -(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-3-fluorobenzamide
595		<i>N</i> -(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-4-(dimethylamino)benzamide
596		<i>N</i> -(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-3,4-dichlorobenzamide
597		<i>N</i> -(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-{2-(methylthio)phenyl}methyl}glycinamide
598		<i>N</i> -(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-(2-fluorophenyl)acetamide
599		<i>N</i> -(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-ethyl- <i>N</i> -2-(1-methylethyl)glycinamide
600		<i>N</i> -(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-1,3-thiazole-4-carboxamide

Cpd. No.	Structure	IUPAC Name
601		<i>N</i> -(3-{{{3-{{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)- <i>N</i> -2-methyl- <i>N</i> -2-(phenylmethyl)glycinamide
602		<i>N</i> -(3-{{{3-{{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)- <i>N</i> -2-(2-thienylmethyl)glycinamide
603		<i>N</i> -(3-{{{3-{{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)- <i>N</i> -2-(pyridin-2-ylmethyl)glycinamide
604		<i>N</i> -(3-{{{3-{{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)- <i>N</i> -2-(methyloxy)benzamide
605		<i>N</i> -(3-{{{3-{{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)- <i>N</i> -2-[(3-chloro-4-methylphenyl)methyl]glycinamide
606		<i>N</i> -(3-{{{3-{{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-2-methylpentanamide
607		<i>N</i> -(3-{{{3-{{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-2-(4-chlorophenyl)acetamide

Table 1		
Cpd. No.	Structure	IUPAC Name
608		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-3-fluoro-4-methylbenzamide
609		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-[(2-methylphenyl)oxy]acetamide
610		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-cyclohexylacetamide
611		(1 <i>R</i> ,2 <i>R</i>)- <i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-phenylcyclopropanecarboxamide
612		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-3-chlorobenzamide
613		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-[2-(methyloxy)phenyl]acetamide
614		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-3-[3-(methyloxy)phenyl]propanamide
615		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-(2-fluoro-4-methylphenyl)glycinamide

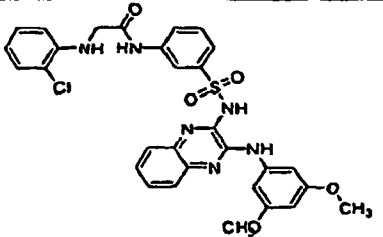
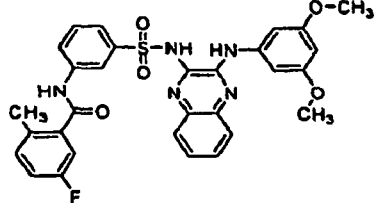
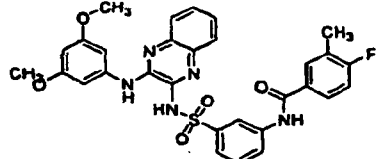
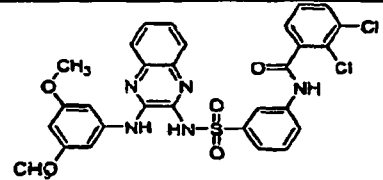
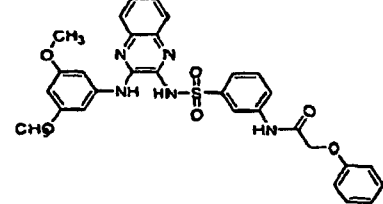
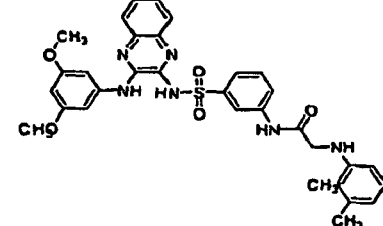
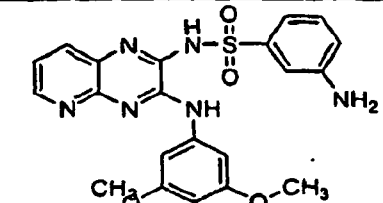
Cpd. No.	Structure	IUPAC Name
616		<i>N</i> -(3-{{(3-{{3,5-bis(methoxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)- <i>N</i> -2-((3-fluorophenyl)methyl)glycinamide
617		<i>N</i> -(3-{{(3-{{3,5-bis(methoxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-2-[4-(methyloxy)phenyl]acetamide
618		<i>N</i> -(3-{{(3-{{3,5-bis(methoxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-2-phenylacetamide
619		<i>N</i> -(3-{{(3-{{3,5-bis(methoxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-2,4-dichlorobenzamide
620		<i>N</i> -(3-{{(3-{{3,5-bis(methoxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-3-oxocyclohexanecarboxamide
621		<i>N</i> -(3-{{(3-{{3,5-bis(methoxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)- <i>N</i> -2-(3-fluorophenyl)glycinamide
622		<i>N</i> -(3-{{(3-{{3,5-bis(methoxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-2-(3-chlorophenyl)acetamide

Cpd. No.	Structure	IUPAC Name
623		<i>N</i> -(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)- <i>N</i> -2-(2-phenylpropyl)glycinamide
624		<i>N</i> -(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)- <i>N</i> -2-[(2,4-dimethylphenyl)methyl]glycinamide
625		<i>N</i> -(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(2-methylpiperidin-1-yl)acetamide
626		<i>N</i> -(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)- <i>N</i> -2-[2-(methyloxy)phenyl]glycinamide
627		<i>N</i> -(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(3,4-dihydroisoquinolin-2(1 <i>H</i>)-yl)acetamide
628		<i>N</i> -(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)pent-4-enamide
629		<i>N</i> -(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)- <i>N</i> -2-(2-methylphenyl)glycinamide
630		<i>N</i> -(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(4-oxopiperidin-1-yl)acetamide

Table 1		
Cpd. No.	Structure	IUPAC Name
631		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-fluorobenzamide
632		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-(1-phenylethyl)glycinamide
633		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-fluoro-6-(methyloxy)benzamide
634		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-[2-(1-methylethyl)phenyl]glycinamide
635		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-3-[2-(methyloxy)phenyl]propanamide
636		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-4-methylpentanamide
637		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(2-phenylmorpholin-4-yl)acetamide

Cpd. No.	Structure	IUPAC Name
638		<i>N</i> -(3-{{{3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl}amino}sulfonyl}phenyl)-3-[4-(methoxy)phenyl]propanamide
639		<i>N</i> -(3-{{{3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl}amino}sulfonyl}phenyl)- <i>N</i> -2-cyclopentyl- <i>N</i> -2-prop-2-en-1-ylglycinamide
640		<i>N</i> -(3-{{{3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl}amino}sulfonyl}phenyl)- <i>N</i> -2-methyl- <i>N</i> -2-[2-(methoxy)ethyl]glycinamide
641		<i>N</i> -(3-{{{3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl}amino}sulfonyl}phenyl)-4-cyclopropyl-4-oxobutanamide
642		<i>N</i> -(3-{{{3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl}amino}sulfonyl}phenyl)- <i>N</i> -2-[3-(1,1-dimethylethyl)phenyl]glycinamide
643		<i>N</i> -(3-{{{3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl}amino}sulfonyl}phenyl)- <i>N</i> -2-(cyclopropylmethyl)- <i>N</i> -2-propylglycinamide

Cpd. No.	Structure	IUPAC Name
644		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(2-oxocyclopentyl)acetamide
645		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-(4-chlorophenyl)glycinamide
646		2-(1,4'-bipiperidin-1'-yl)- <i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)acetamide
647		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(4-cyclopentylpiperazin-1-yl)acetamide
648		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(2-methylphenyl)acetamide
649		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-[(5-fluoro-2-methylphenyl)methyl]glycinamide
650		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-3,3-dimethylbutanamide

Cpd. No.	Structure	IUPAC Name
651		2-(2-chlorophenylamino)-N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide
652		N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-5-fluoro-2-methylbenzamide
653		N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-4-fluoro-3-methylbenzamide
654		N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2,3-dichlorobenzamide
655		N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(phenyloxy)acetamide
656		N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N-2-(2,3-dimethylphenyl)glycinamide
657		3-amino-N-(3-{[3,5-bis(methyloxy)phenyl]amino}pyrido[2,3-b]pyrazin-2-yl)benzenesulfonamide

Cpd. No.	Structure	IUPAC Name
658		<i>N</i> -(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-fluoro-5-methylbenzamide
659		<i>N</i> -(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-({(4-methylphenyl)methyl}oxy)glycinamide
660		<i>N</i> -(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-[4-(1-methylethyl)piperazin-1-yl]acetamide
661		<i>N</i> -(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-(4-fluorophenyl)acetamide
662		<i>N</i> -(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-3-methylbutanamide
663		<i>N</i> -(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-4-methyl-2-(methyloxy)benzamide
664		<i>N</i> -(3-({(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-(4-propylpiperidin-1-yl)acetamide

Cpd. No.	Structure	IUPAC Name
665		<i>N</i> -(3-{{{3-{{3,5-bis(methyloxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-2-{{(3-methylphenyl)oxy}acetamide
666		<i>N</i> -(3-{{{3-{{3,5-bis(methyloxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)tetrahydrofuran-2-carboxamide
667		<i>N</i> -(3-{{{3-{{3,5-bis(methyloxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-2-[3-(hydroxymethyl)piperidin-1-yl]acetamide
668		1,1-dimethylethyl-2-{{{3-{{3-{{3,5-bis(methyloxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl}amino}carbonyl}piperidine-1-carboxylate
669		<i>N</i> -(3-{{{3-{{3,5-bis(methyloxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)- <i>N</i> -2-methyl- <i>N</i> -2-(pyridin-3-ylmethyl)glycinamide
670		<i>N</i> -(3-{{{3-{{3,5-bis(methyloxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)- <i>N</i> -2-ethyl- <i>N</i> -2-phenylglycinamide
671		<i>N</i> -(3-{{{3-{{3,5-bis(methyloxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-2-{{2-(methyloxy)ethyl}oxy}acetamide

Cpd. No.	Structure	IUPAC Name
672		<i>N</i> -(3-{{(3-{{3,5-bis(methoxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-3-cyclopentylpropanamide
673		<i>N</i> -(3-{{(3-{{3,5-bis(methoxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-2,5-dichlorobenzamide
674		2-(4-acetylpiperazin-1-yl)- <i>N</i> -(3-{{(3-{{3,5-bis(methoxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)acetamide
675		<i>N</i> -(3-{{(3-{{3,5-bis(methoxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-5-fluoro-2-(methoxy)benzamide
676		<i>N</i> -(3-{{(3-{{3,5-bis(methoxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)- <i>N</i> -2-cyclohexyl- <i>N</i> -2-ethylglycinamide
677		<i>N</i> -(3-{{(3-{{3,5-bis(methoxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-5-methylisoxazole-3-carboxamide
678		<i>N</i> -(3-{{(3-{{3,5-bis(methoxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-3-methylpyridine-2-carboxamide
679		<i>N</i> -(3-{{(3-{{3,5-bis(methoxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-2-(methoxy)pyridine-3-carboxamide

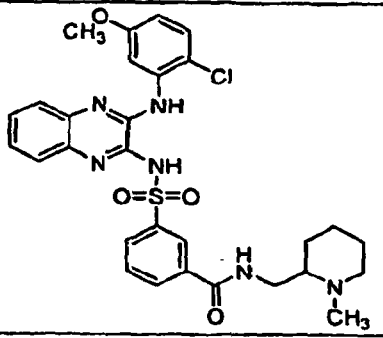
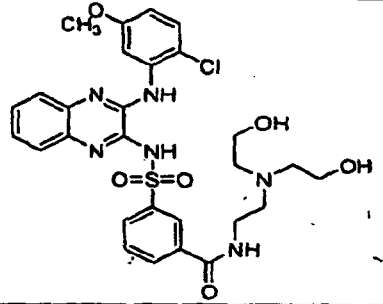
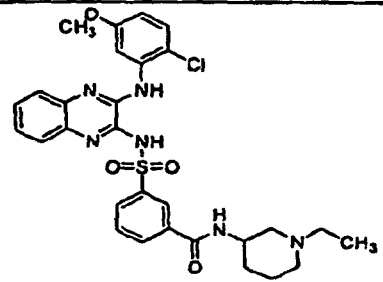
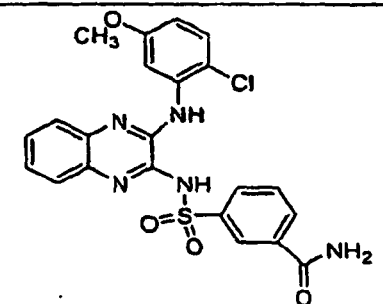
Table 1		
Cpd. No.	Structure	IUPAC Name
680		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-3,5-dichlorobenzamide
681		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-(1,3-thiazolidin-3-yl)acetamide
682		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-(4-formylpiperazin-1-yl)acetamide
683		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-(2-pyridin-4-ylpiperidin-1-yl)acetamide
684		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-(methoxy)benzamide
685		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-methyl- <i>N</i> -2-(2-methylpropyl)glycinamide
686		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-(4-formyl-1,4-diazepan-1-yl)acetamide
687		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-1-phenylcyclopropanecarboxamide

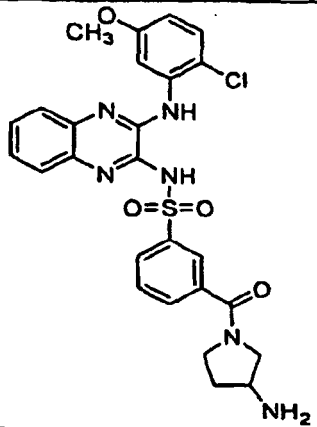
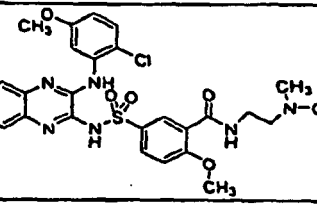
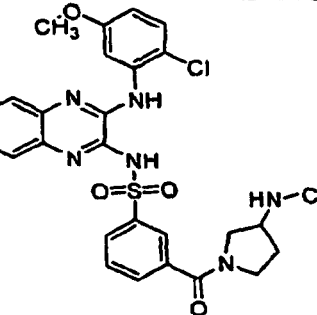
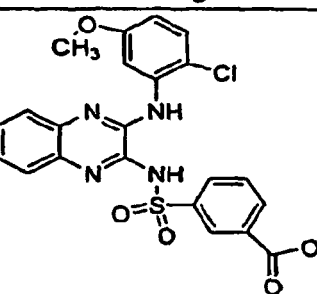
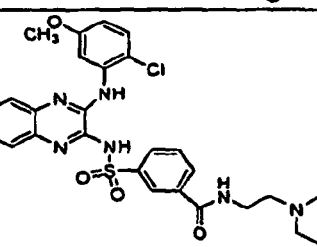
Table 1		
Cpd. No.	Structure	IUPAC Name
688		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(2,6-dimethylmorpholin-4-yl)acetamide
689		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(2-phenylpyrrolidin-1-yl)acetamide
690		<i>N</i> -(3-(((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(2,6-dimethylpiperidin-1-yl)acetamide
691		<i>N</i> -(3-(((3-((4-chlorophenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2, <i>N</i> -2-dimethylglycinamide
692		<i>N</i> -(3-(((3-((3-fluorophenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2, <i>N</i> -2-dimethylglycinamide
693		<i>N</i> -(3-(((3-((3-chlorophenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2, <i>N</i> -2-dimethylglycinamide

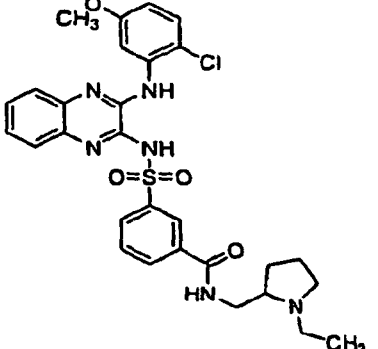
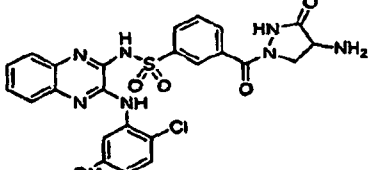
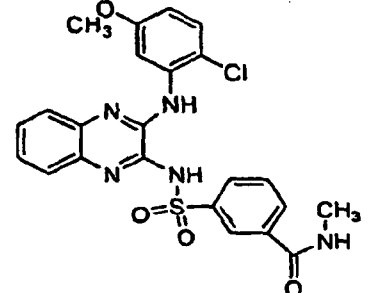
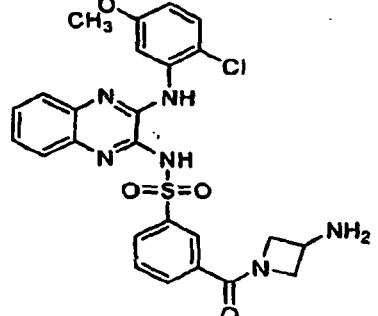
Cpd. No.	Structure	IUPAC Name
694		3-[[[3-[[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl]amino]sulfonyl]-N-[2-(dimethylamino)-1-methylethyl]benzamide
695		3-[[[3-[[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl]amino]sulfonyl]-N-[2-(dimethylamino)ethyl]benzamide
696		5-[[[3-[[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl]amino]sulfonyl]-N-[2-(dimethylamino)ethyl]-2-fluorobenzamide
697		3-[[[3-[[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl]amino]sulfonyl]-N-pyrrolidin-3-ylbenzamide
698		3-[[[3-[[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl]amino]sulfonyl]-N-[2-(dimethylamino)ethyl]benzamide
699		3-[[[3-[[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl]amino]sulfonyl]-N-(2-pyrrolidin-1-ylethyl)benzamide

Table 1		
Cpd. No.	Structure	IUPAC Name
700		<i>N</i> -(2-aminoethyl)-3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}benzamide
701		3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}- <i>N</i> -[2-(dimethylamino)ethyl]- <i>N</i> -methylbenzamide
702		3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}- <i>N</i> -(piperidin-2-ylmethyl)benzamide
703		3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}- <i>N</i> -(1-methylazetidin-3-yl)benzamide
704		3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}- <i>N</i> -(2-piperidin-1-ylethyl)benzamide

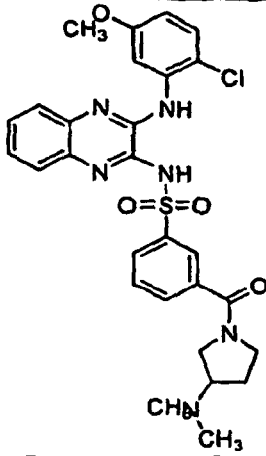
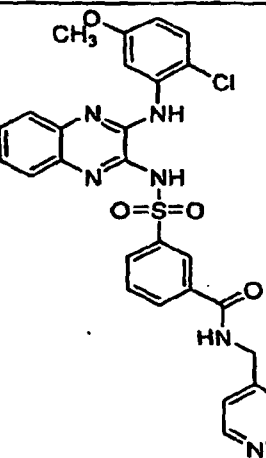
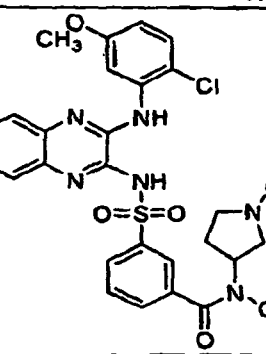
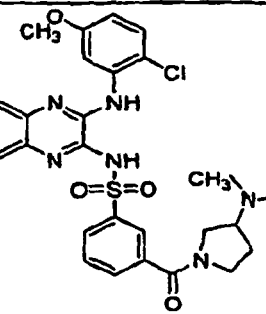
Cpd. No.	Structure	IUPAC Name
705		3-[[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]-N-[2-(diethylamino)ethyl]benzamide
706		3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]-N-[2-(dimethylamino)ethyl]-N-methylbenzamide
707		3-[[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]-N-(1-methylpiperidin-3-yl)benzamide
708		3-[[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]-N-piperidin-3-ylbenzamide

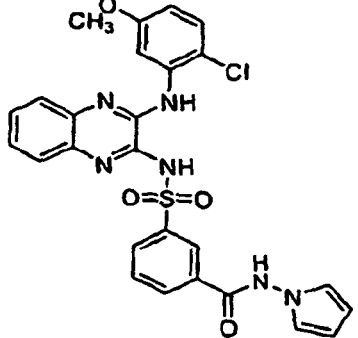
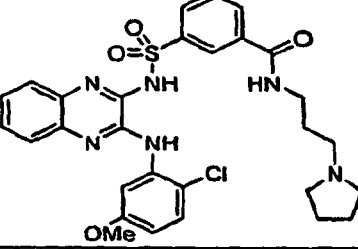
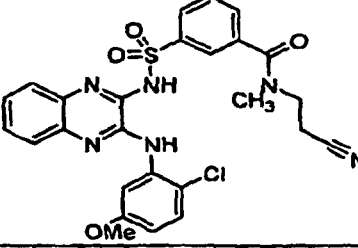
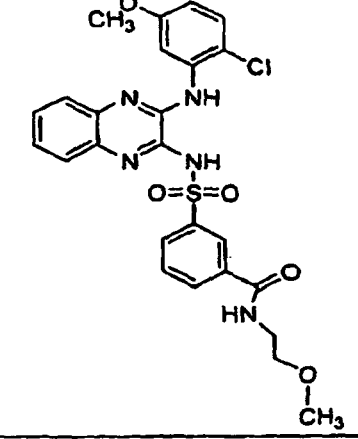
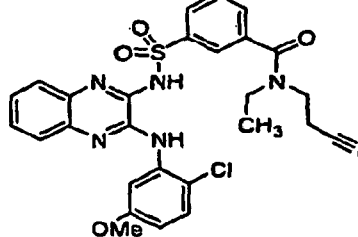
Cpd. No.	Structure	IUPAC Name
709		3-{{{3-{{2-chloro-5-(methyloxy)phenyl}amino}quinoxalin-2-yl}amino]sulfonyl}-N-{{1-methylpiperidin-2-yl}methyl}benzamide
710		N-{{2-[[bis(2-hydroxyethyl)amino]ethyl]}-3-{{{3-{{2-chloro-5-(methyloxy)phenyl}amino}quinoxalin-2-yl}amino]sulfonyl}benzamide
711		3-{{{3-{{2-chloro-5-(methyloxy)phenyl}amino}quinoxalin-2-yl}amino]sulfonyl}-N-{{1-ethylpiperidin-3-yl}benzamide
712		3-{{{3-{{2-chloro-5-(methyloxy)phenyl}amino}quinoxalin-2-yl}amino]sulfonyl}benzamide

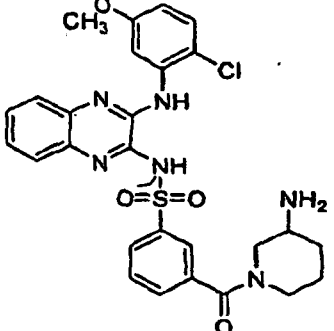
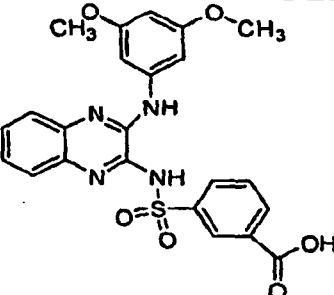
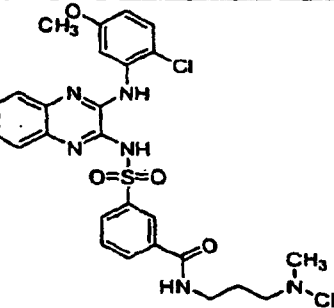
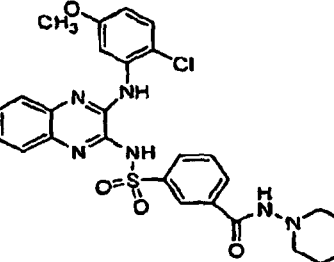
Cpd. No.	Structure	IUPAC Name
713		3-[(3-aminopyrrolidin-1-yl)carbonyl]- <i>N</i> -(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
714		5-[[3-({[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl]- <i>N</i> -[2-(dimethylamino)ethyl]-2-(methyloxy)benzamide
715		<i>N</i> -(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-{[3-(methylamino)pyrrolidin-1-yl]carbonyl}benzenesulfonamide
716		3-[[3-({[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}benzoic acid
717		3-[[3-({[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl]- <i>N</i> -(2-morpholin-4-ylethyl)benzamide

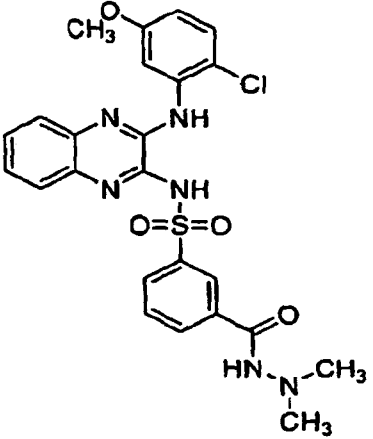
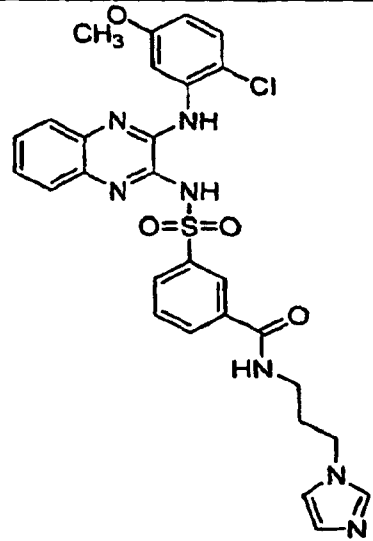
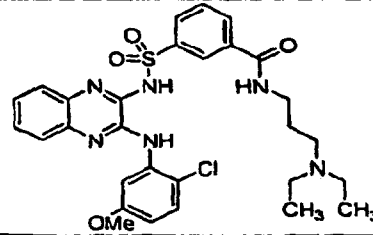
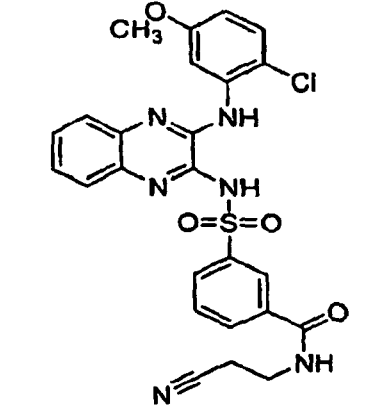
Cpd. No.	Structure	IUPAC Name
718		3-(((3-((2-chloro-5-(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-N-((1-ethylpyrrolidin-2-yl)methyl)benzamide
719		3-((4-amino-3-oxopyrazolidin-1-yl)carbonyl)-N-(3-((2-chloro-5-(methyloxy)phenyl)amino)quinoxalin-2-yl)benzenesulfonamide
720		3-(((3-((2-chloro-5-(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-N-methylbenzamide
721		3-((3-aminoazetidin-1-yl)carbonyl)-N-(3-((2-chloro-5-(methyloxy)phenyl)amino)quinoxalin-2-yl)benzenesulfonamide

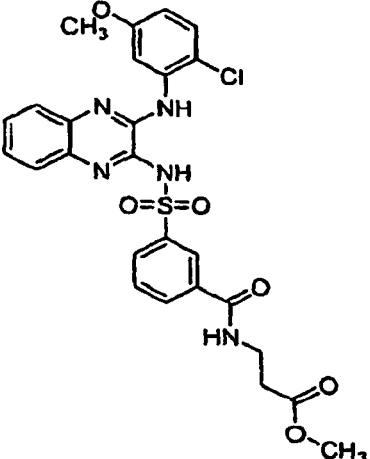
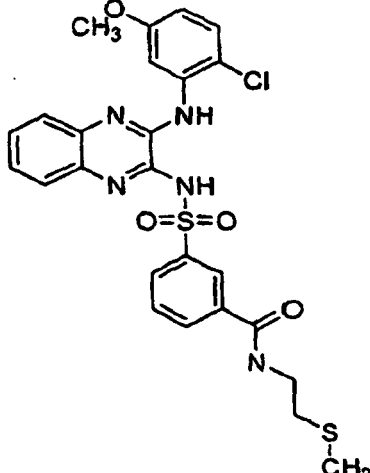
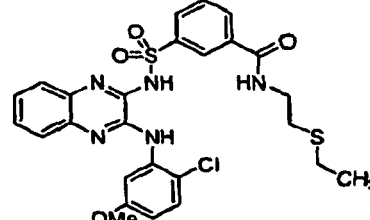
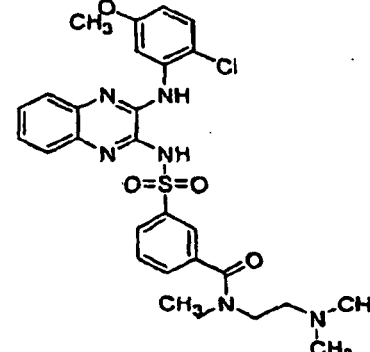
Cpd. No.	Structure	IUPAC Name
722		3-[[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]-N-(pyridin-3-ylmethyl)benzamide
723		3-[[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]-N-(pyridin-2-ylmethyl)benzamide
724		3-[[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]-N-(2-hydroxyethyl)benzamide
725		3-[[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]-N-(3-oxopyrazolidin-4-yl)benzamide
726		3-[[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]-N-[2-(1H-imidazol-4-yl)ethyl]benzamide

Cpd. No.	Structure	IUPAC Name
727		N-(3-({[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-({[3-(dimethylamino)pyrrolidin-1-yl]carbonyl}benzenesulfonyl)benzenesulfonamide
728		3-({[3-({[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-N-(pyridin-4-ylmethyl)benzamide
729		3-({[3-({[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-N-methyl-N-(1-methylpyrrolidin-3-yl)benzamide
730		N-(3-({[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-({[3-(diethylamino)pyrrolidin-1-yl]carbonyl}benzenesulfonyl)benzenesulfonamide

Cpd. No.	Structure	IUPAC Name
731		3-{{(3-{{2-chloro-5-(methyloxy)phenyl}amino} quinoxalin-2-yl)amino]sulfonyl}- <i>N</i> -1 <i>H</i> -pyrrol-1-ylbenzamide
732		3-{{(3-{{2-chloro-5-(methyloxy)phenyl}amino} quinoxalin-2-yl)amino]sulfonyl}- <i>N</i> -(3-pyrrolidin-1-ylpropyl)benzamide
733		3-{{(3-{{2-chloro-5-(methyloxy)phenyl}amino} quinoxalin-2-yl)amino]sulfonyl}- <i>N</i> -(2-cyanoethyl)- <i>N</i> -methylbenzamide
734		3-{{(3-{{2-chloro-5-(methyloxy)phenyl}amino} quinoxalin-2-yl)amino]sulfonyl}- <i>N</i> -[2-(methyloxy)ethyl]benzamide
735		3-{{(3-{{2-chloro-5-(methyloxy)phenyl}amino} quinoxalin-2-yl)amino]sulfonyl}- <i>N</i> -(2-cyanoethyl)- <i>N</i> -ethylbenzamide

Cpd. No.	Structure	IUPAC Name
736		3-[[3-aminopiperidin-1-yl]carbonyl]- <i>N</i> -(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
737		3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}benzoic acid
738		3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}- <i>N</i> -[3-(dimethylamino)propyl]benzamide
739		3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}- <i>N</i> -morpholin-4-ylbenzamide

Cpd. No.	Structure	IUPAC Name
740		<i>N</i> -(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-[(2,2-dimethylhydrazino)carbonyl]benzenesulfonamide
741		3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}- <i>N</i> -[3-(1 <i>H</i> -imidazol-1-yl)propyl]benzamide
742		3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}- <i>N</i> -[3-(diethylamino)propyl]benzamide
743		3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}- <i>N</i> -(2-cyanoethyl)benzamide

Cpd. No.	Structure	IUPAC Name
744		methyl <i>N</i> -[(3-[[3-[[2-chloro-5-(methoxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)carbonyl]-beta-alaninate
745		3-[[[3-[[2-chloro-5-(methoxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]- <i>N</i> -[2-(methylthio)ethyl]benzamide
746		3-[[[3-[[2-chloro-5-(methoxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]- <i>N</i> -[2-(ethylthio)ethyl]benzamide
747		3-[[[3-[[2-chloro-5-(methoxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]- <i>N</i> -[2-(dimethylamino)ethyl]- <i>N</i> -ethylbenzamide

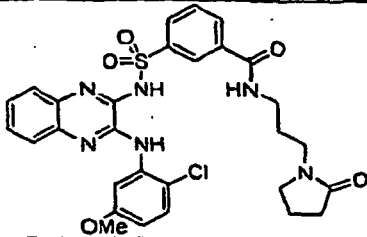
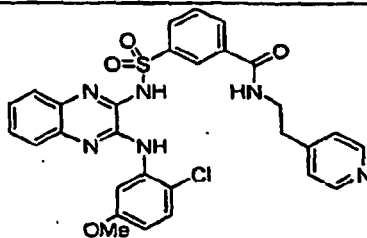
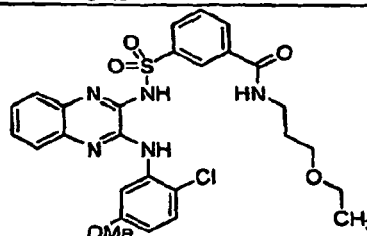
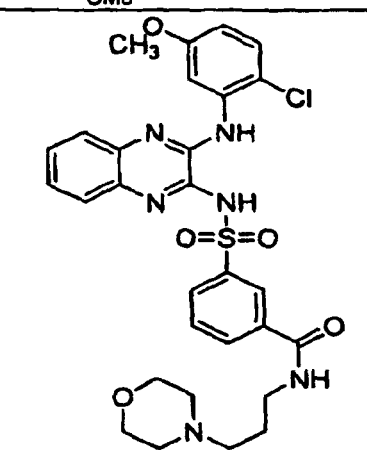
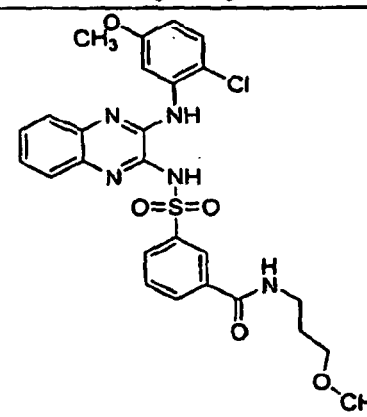
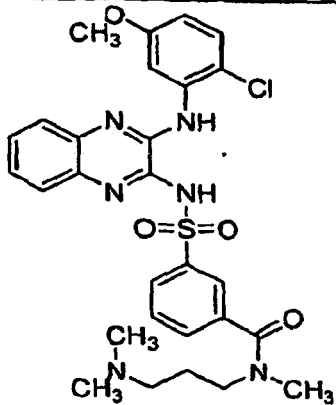
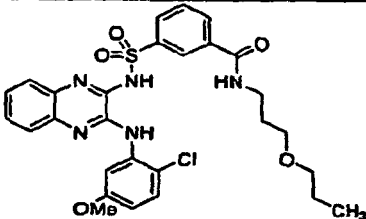
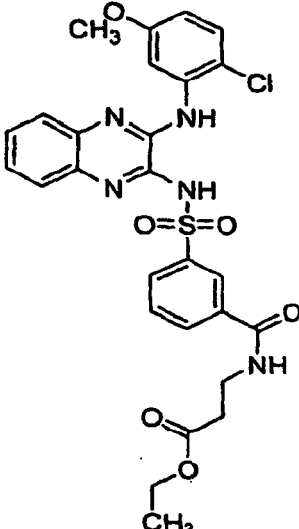
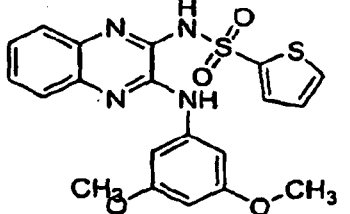
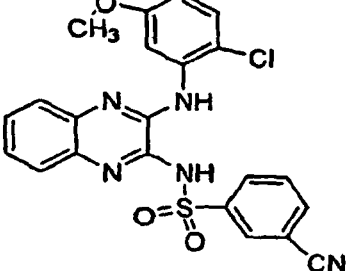
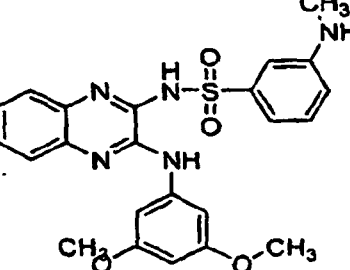
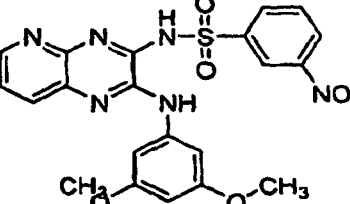
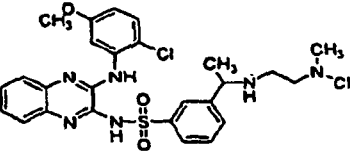
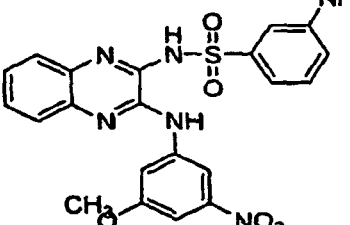
Cpd. No.	Structure	IUPAC Name
748		3-[[[3-[[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl]amino]sulfonyl]-N-[3-(2-oxopyrrolidin-1-yl)propyl]benzamide
749		3-[[[3-[[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl]amino]sulfonyl]-N-(2-pyridin-4-ylethyl)benzamide
750		3-[[[3-[[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl]amino]sulfonyl]-N-[3-(ethyloxy)propyl]benzamide
751		3-[[[3-[[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl]amino]sulfonyl]-N-(3-morpholin-4-ylpropyl)benzamide
752		3-[[[3-[[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl]amino]sulfonyl]-N-[3-(methyloxy)propyl]benzamide

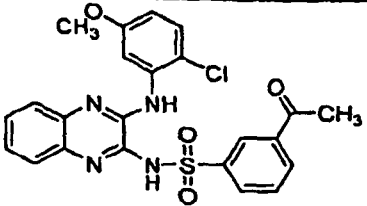
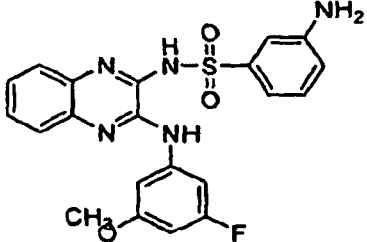
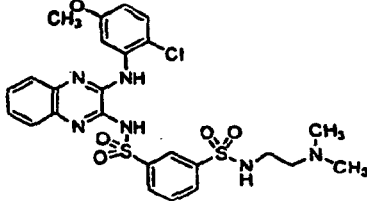
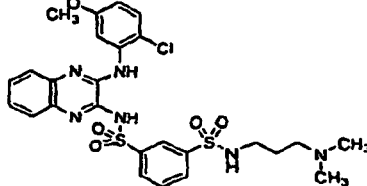
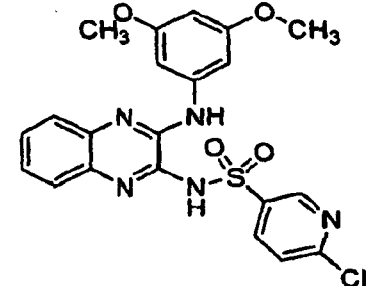
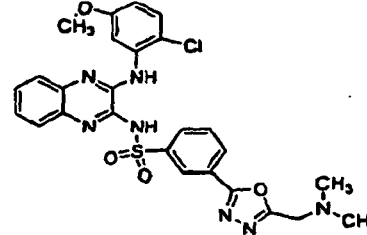
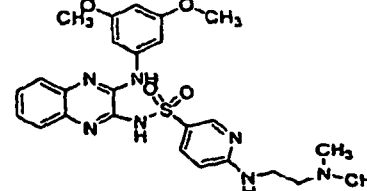
Table 1		
Cpd. No.	Structure	IUPAC Name
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754		3-[[[3-[[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl]amino]sulfonyl]-N-[3-(propyloxy)propyl]benzamide
755		ethylN-[(3-[[[3-[[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl]amino]sulfonyl}phenyl)carbonyl]-beta-alaninate

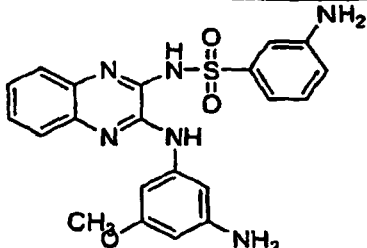
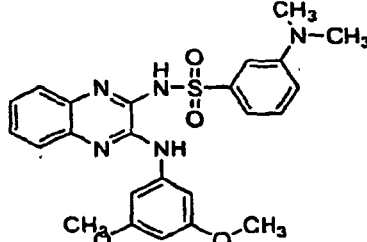
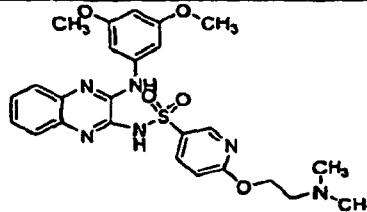
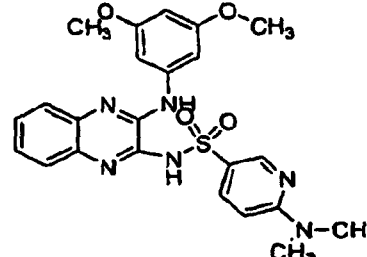
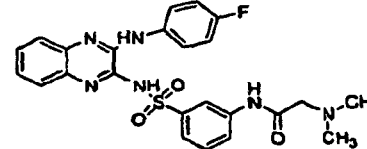
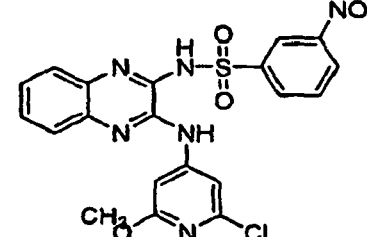
Cpd. No.	Structure	IUPAC Name
756		3-[[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]-N-{3-[(1-methylethyl)oxy]propyl}benzamide
757		3-[[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]-N-(1,1-dimethyl-2-piperidin-1-ylethyl)benzamide
758		3-[[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]-N-methyl-N-propylbenzamide
759		3-[[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]-N-piperidin-1-ylbenzamide

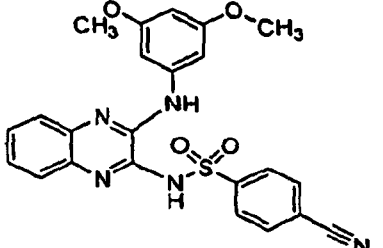
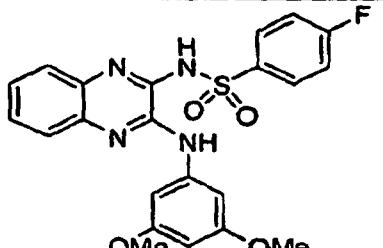
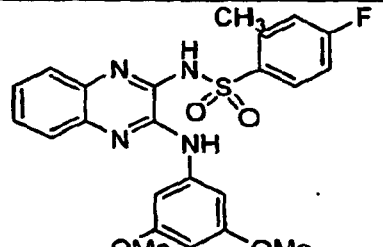
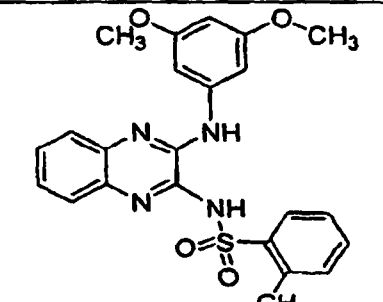
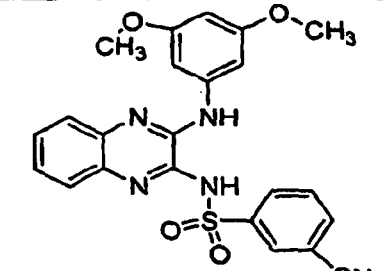
Table 1		
Cpd. No.	Structure	IUPAC Name
760		3-[[3-[[2-chloro-5-(methoxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}-N-[1-methyl-2-(methoxy)ethyl]benzamide
761		3-[[3-[[2-chloro-5-(methoxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}-N-(1,1-dimethyl-2-morpholin-4-ylethyl)benzamide
762		N-(3-[[2-chloro-5-(methoxy)phenyl]amino]quinoxalin-2-yl)-3-[(dimethylamino)methyl]piperidin-1-yl]carbonyl]benzenesulfonamide
763		N-[3-(butyloxy)propyl]-3-[[3-[[2-chloro-5-(methoxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]benzamide
764		3-[[3-[[2-chloro-5-(methoxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}-N-[4-(diethylamino)-1-methylbutyl]benzamide

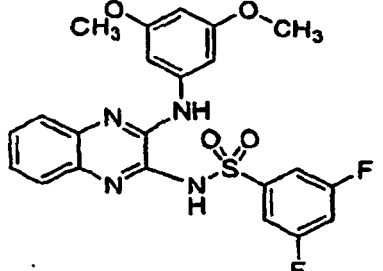
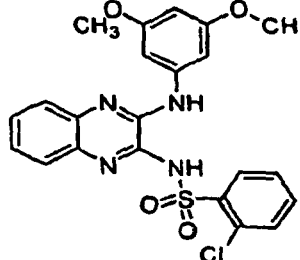
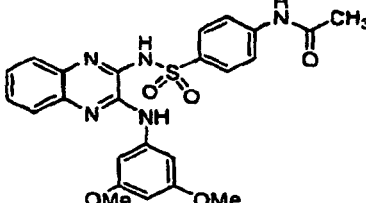
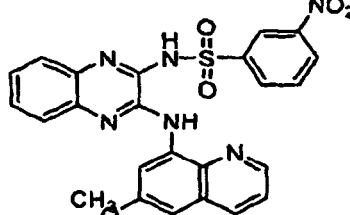
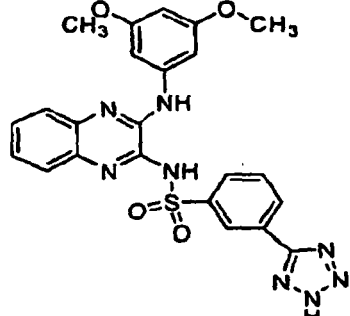
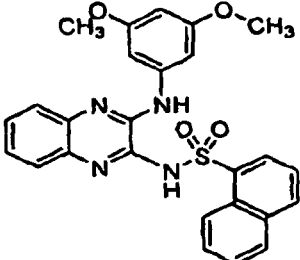
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Cpd. No.	Structure	IUPAC Name
765		3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}-N-(1,1-dimethyl-2-oxo-2-piperidin-1-ylethyl)benzamide
766		N-(3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)-3-[(4-methylpiperazin-1-yl)carbonyl]benzenesulfonamide
767		N-(3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)-3-[[2-(piperidin-1-ylmethyl)piperidin-1-yl]carbonyl]benzenesulfonamide
768		N-(3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)-6-oxo-1,6-dihydropyridine-3-sulfonamide
769		N-(3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl)-6-oxo-1,6-dihydropyridine-3-sulfonamide
770		3-amino-N-(3-[[6-(methyloxy)quinolin-8-yl]amino]quinoxalin-2-yl)benzenesulfonamide

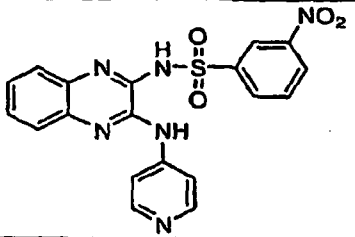
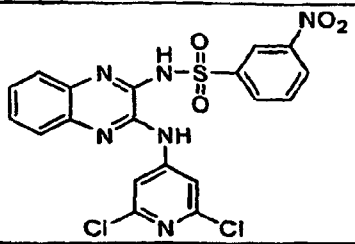
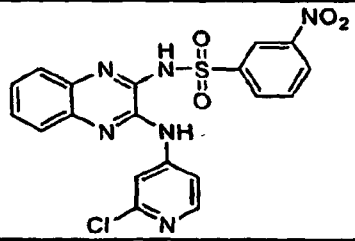
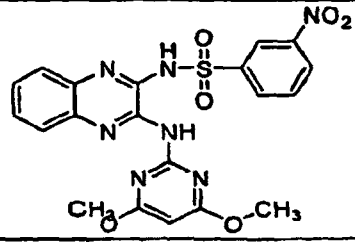
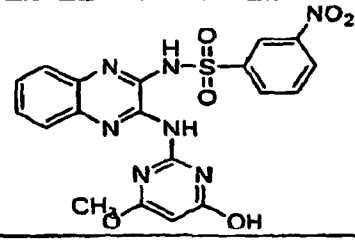
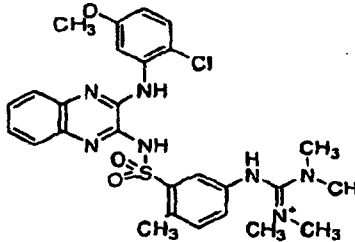
Cpd. No.	Structure	IUPAC Name
771		N-(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)thiophene-2-sulfonamide
772		N-(3-({2-chloro-5-(methyloxy)phenyl}amino)quinoxalin-2-yl)-3-cyanobenzenesulfonamide
773		N-(3-({3,5-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)-3-(methylamino)benzenesulfonamide
774		N-(2-({3,5-bis(methyloxy)phenyl}amino)pyrido[2,3-b]pyrazin-3-yl)-3-nitrobenzenesulfonamide
775		N-(3-({2-chloro-5-(methyloxy)phenyl}amino)quinoxalin-2-yl)-3-(1-({2-(dimethylamino)ethyl}amino)ethyl)benzenesulfonamide
776		3-amino-N-(3-({3-(methyloxy)-5-nitrophenyl}amino)quinoxalin-2-yl)benzenesulfonamide

Cpd. No.	Structure	IUPAC Name
777		3-acetyl- <i>N</i> -(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
778		3-amino- <i>N</i> -(3-{[3-fluoro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
779		<i>N</i> -(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)- <i>N'</i> -[2-(dimethylamino)ethyl]benzene-1,3-disulfonamide
780		<i>N</i> -(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)- <i>N'</i> -[3-(dimethylamino)propyl]benzene-1,3-disulfonamide
781		<i>N</i> -(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-6-chloropyridine-3-sulfonamide
782		<i>N</i> -(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-{5-[(dimethylamino)methyl]-1,3,4-oxadiazol-2-yl}benzenesulfonamide
783		<i>N</i> -(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-6-{[2-(dimethylamino)ethyl]amino}pyridine-3-sulfonamide

Cpd. No.	Structure	IUPAC Name
784		3-amino- <i>N</i> -(3-{{3-amino-5-(methyloxy)phenyl}amino}quinoxalin-2-yl)benzenesulfonamide
785		<i>N</i> -(3-{{3,5-bis(methyloxy)phenyl}amino}quinoxalin-2-yl)-3-(dimethylamino)benzenesulfonamide
786		<i>N</i> -(3-{{3,5-bis(methyloxy)phenyl}amino}quinoxalin-2-yl)-6-{{2-(dimethylamino)ethyl}oxy}pyridine-3-sulfonamide
787		<i>N</i> -(3-{{3,5-bis(methyloxy)phenyl}amino}quinoxalin-2-yl)-6-(dimethylamino)pyridine-3-sulfonamide
788		<i>N</i> -{3-[(3-[(4-fluorophenyl)amino]quinoxalin-2-yl)amino)sulfonyl]phenyl}- <i>N</i> -2, <i>N</i> -2-dimethylglycinamide
789		<i>N</i> -(3-{{2-chloro-6-(methyloxy)pyridin-4-yl}amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide

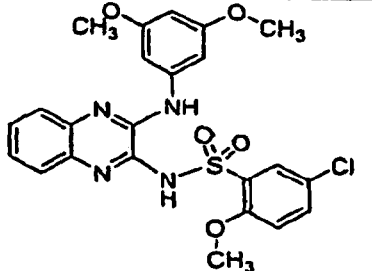
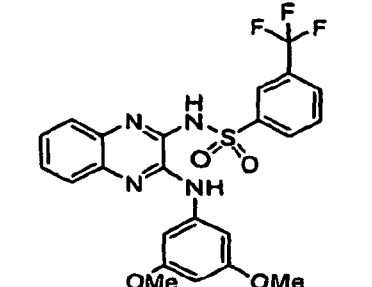
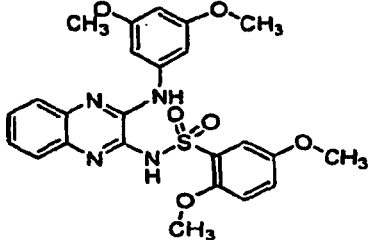
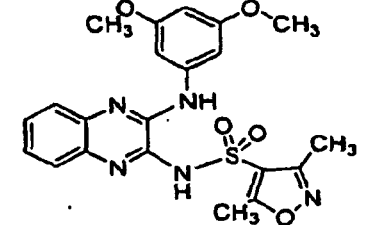
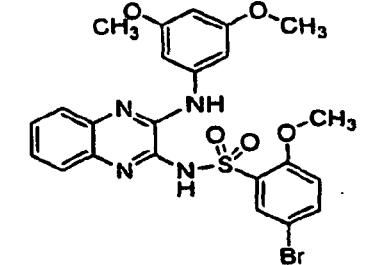
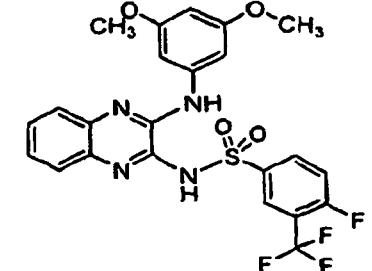
Cpd. No.	Structure	IUPAC Name
790		<i>N</i> -(3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-4-cyanobenzenesulfonamide
791		<i>N</i> -(3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-4-fluorobenzenesulfonamide
792		<i>N</i> -(3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-4-fluoro-2-methylbenzenesulfonamide
793		<i>N</i> -(3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-2-methylbenzenesulfonamide
794		<i>N</i> -(3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-cyanobenzenesulfonamide

Cpd. No.	Structure	IUPAC Name
795		N-(3-{{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl}-3,5-difluorobenzenesulfonamide
796		N-(3-{{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl}-2-chlorobenzenesulfonamide
797		N-(4-{{[3-{{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl}amino]sulfonyl}phenyl)acetamide
798		N-(3-{{[6-(methyloxy)quinolin-8-yl]amino}quinoxalin-2-yl}-3-nitrobenzenesulfonamide
799		N-(3-{{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl}-3-(2H-tetrazol-5-yl)benzenesulfonamide
800		N-(3-{{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)naphthalene-1-sulfonamide

Cpd. No.	Structure	IUPAC Name
801		3-nitro- <i>N</i> -[3-(pyridin-4-ylamino)quinoxalin-2-yl]benzenesulfonamide
802		<i>N</i> -{3-[(2,6-dichloropyridin-4-yl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
803		<i>N</i> -{3-[(2-chloropyridin-4-yl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
804		<i>N</i> -(3-{[4,6-bis(methoxy)pyrimidin-2-yl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide
805		<i>N</i> -(3-{[4-hydroxy-6-(methoxy)pyrimidin-2-yl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide
806		<i>N</i> -[[3-[[3-[[3-(2-chloro-5-(methoxy)phenyl)amino]quinoxalin-2-yl]amino]sulfonyl]-4-methylphenyl]amino](dimethylamino)methylidene}- <i>N</i> -methylmethanaminium

Cpd. No.	Structure	IUPAC Name
807		<i>N</i> -(3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-fluorobenzenesulfonamide
808		<i>N</i> -(3-({[2-bromo-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide
809		<i>N</i> -(3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-4-[(difluoromethyl)oxy]benzenesulfonamide
810		<i>N</i> -(3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-2-(trifluoromethyl)benzenesulfonamide
811		<i>N</i> -(3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-chloro-4-fluorobenzenesulfonamide
812		<i>N</i> -(3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-4-(trifluoromethyl)benzenesulfonamide

Table 1		
Cpd. No.	Structure	IUPAC Name
813		<i>N</i> -(3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-(methylsulfonyl)benzenesulfonamide
814		<i>N</i> -(3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-2,5-dichlorothiophene-3-sulfonamide
815		<i>N</i> -(3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-3,5-dichlorobenzenesulfonamide
816		<i>N</i> -(3-({[2-methyl-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide
817		<i>N</i> -(3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-4-((trifluoromethyl)oxy)benzenesulfonamide
818		<i>N</i> -(3-({[3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[4-(dimethylamino)piperidin-1-yl]acetamide

Cpd. No.	Structure	IUPAC Name
819		N-(3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-5-chloro-2-(methyloxy)benzenesulfonamide
820		N-(3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-(trifluoromethyl)benzenesulfonamide
821		N-(3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-2,5-bis(methyloxy)benzenesulfonamide
822		N-(3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-3,5-dimethylisoxazole-4-sulfonamide
823		N-(3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-5-bromo-2-(methyloxy)benzenesulfonamide
824		N-(3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-4-fluoro-3-(trifluoromethyl)benzenesulfonamide

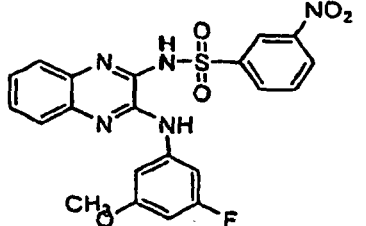
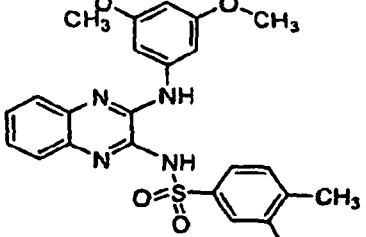
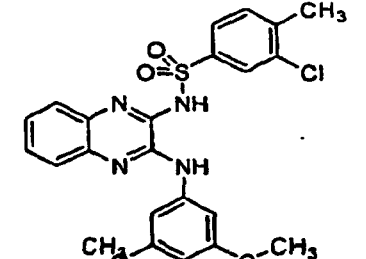
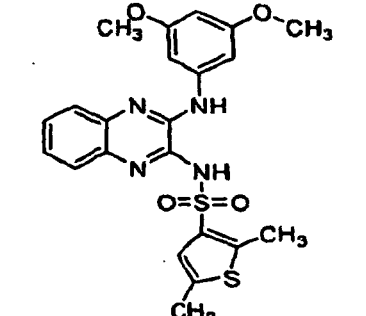
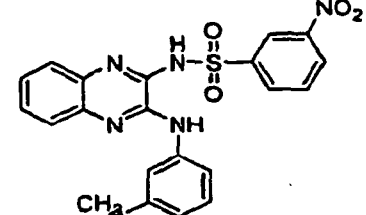
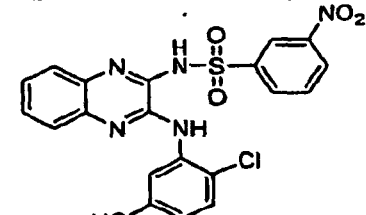
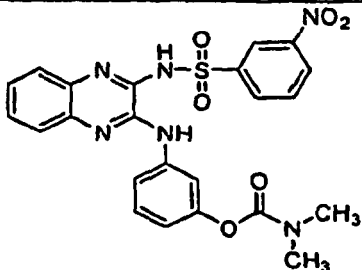
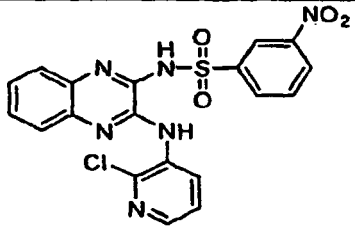
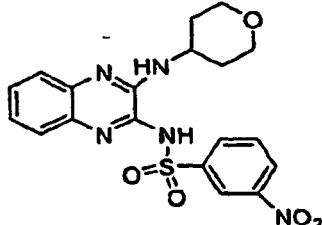
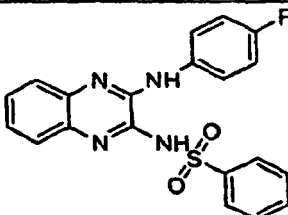
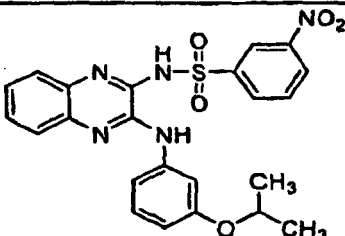
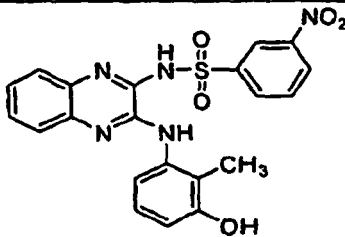
Cpd. No.	Structure	IUPAC Name
825		<i>N</i> -(3-{{[3-fluoro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl}-3-nitrobenzenesulfonamide
826		<i>N</i> -(3-{{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl}-3-fluoro-4-methylbenzenesulfonamide
827		<i>N</i> -(3-{{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl}-3-chloro-4-methylbenzenesulfonamide
828		<i>N</i> -(3-{{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl}-2,5-dimethylthiophene-3-sulfonamide
829		<i>N</i> -(3-{{[3-(methyloxy)phenyl]amino}quinoxalin-2-yl}-3-nitrobenzenesulfonamide
830		<i>N</i> -{3-[(2-chloro-5-hydroxyphenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide

Table 1		
Cpd. No.	Structure	IUPAC Name
831		<i>N</i> -(3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino)sulfonyl}phenyl)-4-methyl-3-(methyloxy)benzamide
832		<i>N</i> -(3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-1-phenylmethanesulfonyl)phenylmethanesulfonamide
833		<i>N</i> -(3-({[3-(methyloxy)-5-nitrophenyl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonyl)phenylmethanesulfonamide
834		<i>N</i> -(3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-1-(3-chlorophenyl)methanesulfonyl)phenylmethanesulfonamide
835		<i>N</i> -(3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-4,5-dichlorothiophene-2-sulfonyl)phenylmethanesulfonamide

Table 1		
Cpd. No.	Structure	IUPAC Name
836		<i>N</i> -(3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)-5-chloro-1,3-dimethyl-1 <i>H</i> -pyrazole-4-sulfonamide
837		<i>N</i> -(3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)-3,5-bis(trifluoromethyl)benzenesulfonamide
838		<i>N</i> -{3-[(3-hydroxyphenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
839		3-nitro- <i>N</i> -[3-({3-[(trifluoromethyl)oxy]phenyl}amino)quinoxalin-2-yl]benzenesulfonamide
840		3-nitro- <i>N</i> -[3-(pyridin-3-ylamino)quinoxalin-2-yl]benzenesulfonamide
841		<i>N</i> -[3-(morpholin-4-ylamino)quinoxalin-2-yl]-3-nitrobenzenesulfonamide

Table 1		
Cpd. No.	Structure	IUPAC Name
842		3-[(3-[(3-nitrophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]phenyldimethylcarbamate
843		<i>N</i> -{3-[(2-chloropyridin-3-yl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
844		3-nitro- <i>N</i> -[3-(tetrahydro-2 <i>H</i> -pyran-4-ylamino)quinoxalin-2-yl]benzenesulfonamide
845		<i>N</i> -{3-[(4-fluorophenyl)amino]quinoxalin-2-yl}benzenesulfonamide
846		<i>N</i> -[3-[(3-[(1-methylethyl)oxy]phenyl)amino]quinoxalin-2-yl]-3-nitrobenzenesulfonamide
847		<i>N</i> -{3-[(3-hydroxy-2-methylphenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide

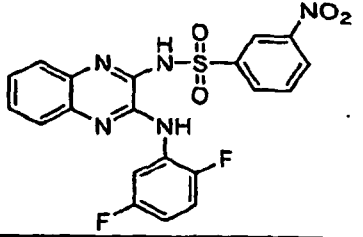
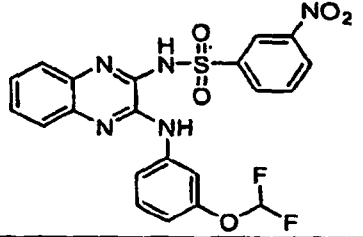
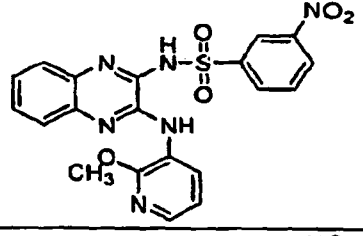
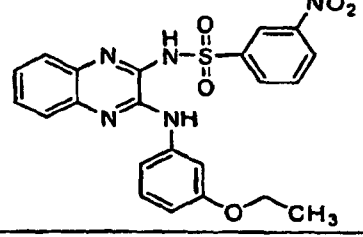
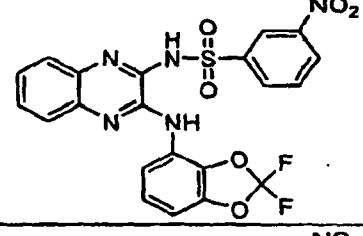
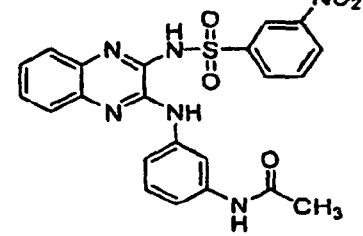
Cpd. No.	Structure	IUPAC Name
848		<i>N</i> -{3-[(2,5-difluorophenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
849		<i>N</i> -{3-[(3-{[(difluoromethyl)oxy]phenyl}amino]quinoxalin-2-yl)-3-nitrobenzenesulfonamide
850		<i>N</i> -{3-[(2-(methyloxy)pyridin-3-yl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
851		<i>N</i> -{3-[(3-(ethyloxy)phenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
852		<i>N</i> -{3-[(2,2-difluoro-1,3-benzodioxol-4-yl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
853		<i>N</i> -{3-[(3-{[(3-nitrophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]phenyl}acetamide

Table 1		
Cpd. No.	Structure	IUPAC Name
854		<i>N</i> -[3-(4-amino-1 <i>H</i> -indol-1-yl)quinoxalin-2-yl]-3-nitrobenzenesulfonamide
855		<i>N</i> -[3-(1 <i>H</i> -indol-4-ylamino)quinoxalin-2-yl]-3-nitrobenzenesulfonamide
856		<i>N</i> -2, <i>N</i> -2-dimethyl- <i>N</i> -(3-[(3-{[4-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)glycinamide
857		<i>N</i> -[3-(1 <i>H</i> -indazol-6-ylamino)quinoxalin-2-yl]-3-nitrobenzenesulfonamide
858		<i>N</i> -{4-(methyloxy)-3-[(3-[(3-nitrophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]phenyl}acetamide
859		<i>N</i> -{3-[(4-methylpyridin-3-yl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide

Cpd. No.	Structure	IUPAC Name
860		<i>N</i> -(3-({[2,3-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide
861		<i>N</i> -(3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-2-cyanobenzenesulfonamide
862		3-nitro- <i>N</i> -[3-(1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-ylamino)quinoxalin-2-yl]benzenesulfonamide
863		<i>N</i> -[3-(1,3-benzoxazol-4-ylamino)quinoxalin-2-yl]-3-nitrobenzenesulfonamide
864		<i>N</i> -(3-({[2,6-difluoro-3-(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide
865		<i>N</i> -{3-[(3-[(4-fluoro-3-methylphenyl)amino]quinoxalin-2-yl)amino)sulfonyl]phenyl}- <i>N</i> -2, <i>N</i> -2-dimethylglycinamide
866		<i>N</i> -{3-[(3-[(3,5-dimethylphenyl)amino]quinoxalin-2-yl)amino)sulfonyl]phenyl}- <i>N</i> -2, <i>N</i> -2-dimethylglycinamide

Cpd. No.	Structure	IUPAC Name
867		<i>N</i> -(3-({(3-({2,4-bis(methyloxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2, <i>N</i> -2-dimethylglycinamide
868		<i>N</i> -(3-[(3,5-dihydroxyphenyl)amino]quinoxalin-2-yl)-3-nitrobenzenesulfonamide
869		<i>N</i> -[3-({(3-(2,3-dihydro-1 <i>H</i> -inden-5-yl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl]- <i>N</i> -2, <i>N</i> -2-dimethylglycinamide
870		<i>N</i> -(3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-4-[(1-methylethyl)oxy]benzenesulfonamide
871		<i>N</i> -(3-({[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)biphenyl-4-sulfonamide
872		<i>N</i> -[3-({(2-chloro-5-[(difluoromethyl)oxy]phenyl}amino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide

[0335] In addition to the preferred embodiments recited in the claims, also preferred are embodiments comprising combinations of preferred embodiments.

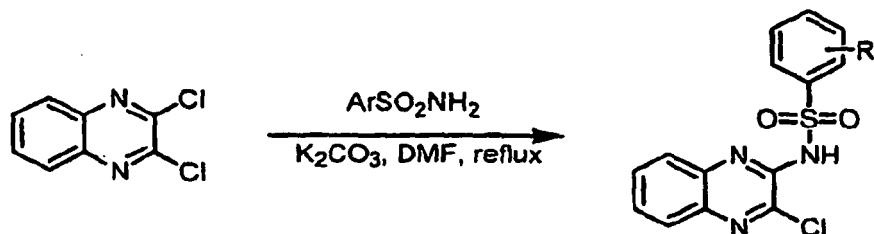
[0336] One of ordinary skill in the art would understand that certain crystallized, protein-ligand complexes, in particular PI3K-ligand complexes, and their corresponding x-ray structure coordinates can be used to reveal new structural information useful for understanding the biological activity of kinases as described herein. As well, the key structural features of the aforementioned proteins, particularly, the shape of the ligand binding site, are useful in methods for designing or identifying selective modulators of kinases and in solving the structures of other proteins with similar features.

[0337] Preferably, the PI3K inhibitor is selected from the compounds in Table I having a PI3K-binding affinity of about 8 μ M or less. Preferably, the PI3K inhibitor is selected from the compounds in Table I having a PI3K-binding affinity of

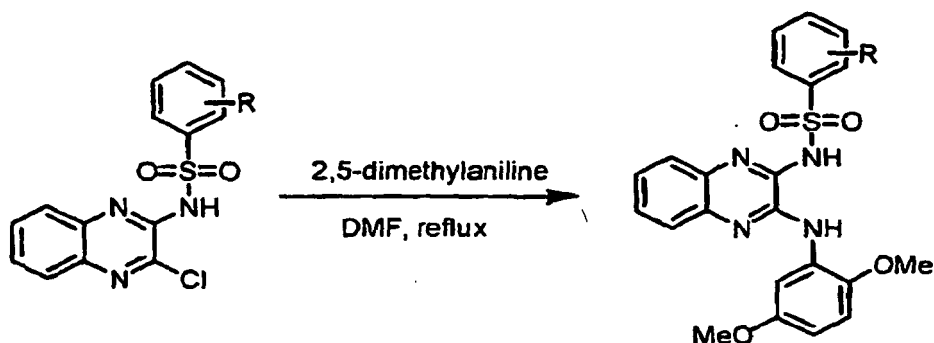
about 4 μM or less. Preferably, the PI3K inhibitor is selected from the compounds in Table I having a PI3K-binding affinity of about 3 μM or less. Preferably, the PI3K inhibitor is selected from the compounds in Table I having a PI3K-binding affinity of about 2 μM or less. Preferably, the PI3K inhibitor is selected from the compounds in Table I having a PI3K-binding affinity of about 1.5 μM or less. Preferably, the PI3K inhibitor is selected from the compounds in Table I having a PI3K-binding affinity of about 1 μM or less. Preferably, the PI3K inhibitor is selected from the compounds in Table I having a PI3K-binding affinity of about 0.750 μM or less. Preferably, the PI3K inhibitor is selected from the compounds in Table I having a PI3K-binding affinity of about 0.5 μM or less. Preferably, the PI3K inhibitor is selected from the compounds in Table I having a PI3K-binding affinity of about 0.3 μM or less. Preferably, the PI3K inhibitor is selected from the compounds in Table I having a PI3K-binding affinity of about 0.2 μM or less. Preferably, the PI3K inhibitor is selected from the compounds in Table I having a PI3K-binding affinity of about 0.1 μM or less. Preferably, the PI3K inhibitor is selected from the compounds in Table I having a PI3K-binding affinity of about 0.075 μM or less. Preferably, the PI3K inhibitor is selected from the compounds in Table I having a PI3K-binding affinity of about 0.050 μM or less.

Synthetic Procedures

[0338] Fusion of the reagents at 180°C in the presence of K_2CO_3 and metallic copper was known to provide these compounds in low yield (S. H. Dandegaonker and C. K. Mesta, J. Med Chem. 1965, 8, 884). New method was utilized that brief heating of the reagents in DMF in the presence of K_2CO_3 , commercially available 2,3-dichloroquinoxaline and substituted arylsulfonamides were formed in quantitative yields (S. V. Litvinenko, V. I. Savich, D.D. Bobrovnik, Chem. Heterocycl. Compd. (Engl. Transl), 1994, 30, 340).



[0339] The displacement of the active chlorine atom in above compounds was treated with 2,5-dimethoxy-phenylamine (nucleophile) in refluxing DMF to give the desired compounds in quantitative yields (S. V. Litvinenko, V.I. Savich, D. D. Bobrovnik, Chem. Heterocycl. Compd. (Engl. Transl), 1994, 30, 340).



[0340] On the other hand, the syntheses of other quinoxaline derivatives were well documented (W. C. Lumma, R. D. Hartman, J. Med Chem. 1981, 24, 93).

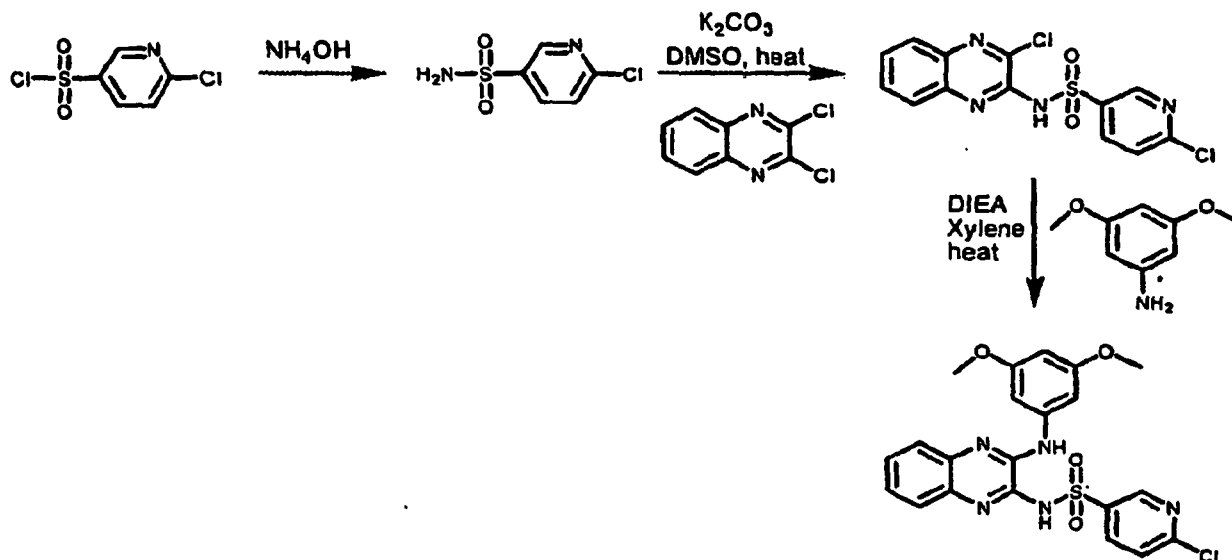
[0341] The following compounds were prepared in a manner similar to that described above: *N*-(3-([2,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide; *N*-(3-([2,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)-4-chlorobenzenesulfonamide; *N*-(3-chloroquinoxalin-2-yl)-3-nitrobenzenesulfonamide; and 4-chloro-*N*-(3-chloroquinoxalin-2-yl)benzenesulfonamide.

Synthetic Examples (Only those compounds encompassed by Claims 1, 9, 10 and 11 form part of the present invention)

Example 1

6-chloro-N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)pyridine-3-sulfonamide

[0342]



[0343] 6-chloropyridine-3-sulfonamide, 6-chloropyridine-3-sulfonyl chloride (4.1 g, 19.3 mmol) was stirred in ammonium hydroxide (30 mL) at room temperature for 2 hr. The reaction mixture was diluted with EtOAc (150 mL) and any insoluble material filtered. The filtrate was transferred to a separatory funnel and the phases were separated. The aqueous phase was further extracted with EtOAc (1 x 15 mL). The combined EtOAc extractions were washed with H₂O (1 x 50 mL), saturated NaCl (1 x 50 mL), dried (Na₂SO₄), and concentrated *in vacuo* to give 6-chloropyridine-3-sulfonamide (2.58g, 69%). MS (EI) for C₅H₃Cl₂NO₂S: 190.9 (MH⁻).

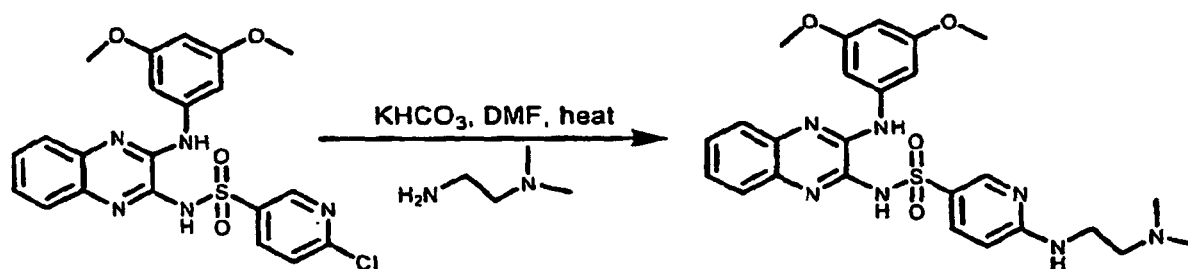
[0344] **6-chloro-N-(3-chloroquinoxalin-2-yl)pyridine-3-sulfonamide.** 2,3-dichloroquinoxaline (1.09 g, 5.48 mmol), 6-chloropyridine-3-sulfonamide (1.05 g, 5.45 mmol), K₂CO₃ (753 mg, 5.45 mmol) and dry DMSO (30 mL) were combined and heated to 150°C with vigorous stirring for 3-4 hr. The reaction mixture was allowed to cool to room temperature, then poured into 1% AcOH in ice water (300 mL) with vigorous stirring. The resulting solids were filtered, washed with H₂O and dried under high vacuum to give 6-chloro-N-(3-chloroquinoxalin-2-yl)pyridine-3-sulfonamide (1.87g, 96%). MS (EI) for C₁₃H₈Cl₂N₄O₂S: 354.99 (MH⁺).

[0345] **6-chloro-N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)pyridine-3-sulfonamide.** 6-chloro-N-(3-chloroquinoxalin-2-yl)pyridine-3-sulfonamide (775 mg, 2.2 mmol), 3,5-dimethoxyaniline (355 mg, 2.3 mmol) and toluene (12 mL) were combined and heated to 125°C with stirring overnight. The reaction was allowed to cool to room temperature and diluted with Et₂O with vigorous stirring. The resulting solids were filtered, washed with Et₂O and dried to give 6-chloro-N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)pyridine-3-sulfonamide (920 mg, 89%). ¹H NMR (400 MHz, DMSO-d₆) δ 12.20 (br s, 1H), 9.12 (d, 1H), 9.01 (br s, 1H), 8.53 (dd, 1H), 7.91 (br d, 1H), 7.77 (d, 1H), 7.60 (dd, 1H), 7.40 (m, 4H), 6.26 (m, 1H), 3.78 (s, 6H). MS (EI) for C₂₁H₁₈ClN₅O₄S: 472.0 (MH⁺).

Example 2

N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-6-(2-(dimethylamino)ethylamino)pyridine-3-sulfonamide

[0346]



[0347] *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)pyridine-3-sulfonamide (100 mg, 0.21 mmol), K_2CO_3 (40 mg, 0.40 mmol), *N,N*-dimethylethane-1,2-diamine (225 μL , 2.0 mmol) and dry DMF (1.0 mL) were combined and heated to 130°C with stirring overnight. The reaction mixture was concentrated *in vacuo* and purified by preparative HPLC to give *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-6-(2-(dimethylamino)ethylamino)pyridine-3-sulfonamide (21.0 mg, 19%). ^1H NMR (400 MHz, DMSO-d_6) δ 8.76 (br s, 1H), 8.63 (d, 1H), 8.07 (dd, 1H), 7.40 (m, 1H), 7.34 (m, 1H), 7.28 (d, 2H), 7.14 (m, 4H), 6.47 (d, 1H), 6.12 (m, 1H), 3.75 (s, 6H), 3.35 (m, 2H), 3.14 (m, 2H), 2.74 (s, 6H). MS (EI) for $\text{C}_{25}\text{H}_{29}\text{N}_7\text{O}_4\text{S}$: 524.1 (MH $^+$).

Example 3

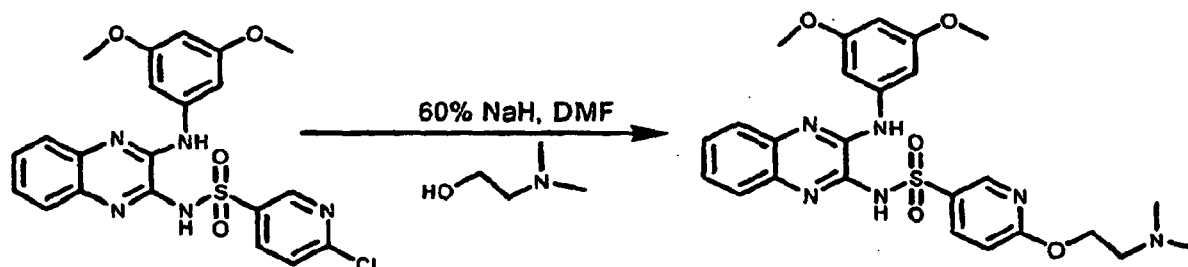
N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-6-(dimethylamino)pyridine-3-sulfonamide

[0348] The title compound was prepared according to the Examples above. ^1H NMR (400 MHz, DMSO-d_6) δ 12.00 (br s, 1H), 8.92 (br s, 1H), 8.74 (d, 1H), 8.10 (dd, 1H), 7.38 (br s, 1H), 7.54 (m, 1H), 7.33 (m, 4H), 6.70 (d, 1H), 6.22 (s, 1H), 3.77 (s, 6H), 3.08 (s, 6H). MS (EI) for $\text{C}_{23}\text{H}_{24}\text{N}_6\text{O}_4\text{S}$: 481.1 (MH $^+$).

Example 4

N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-6-(2-(dimethylamino)ethoxy)pyridine-3-sulfonamide

[0349]

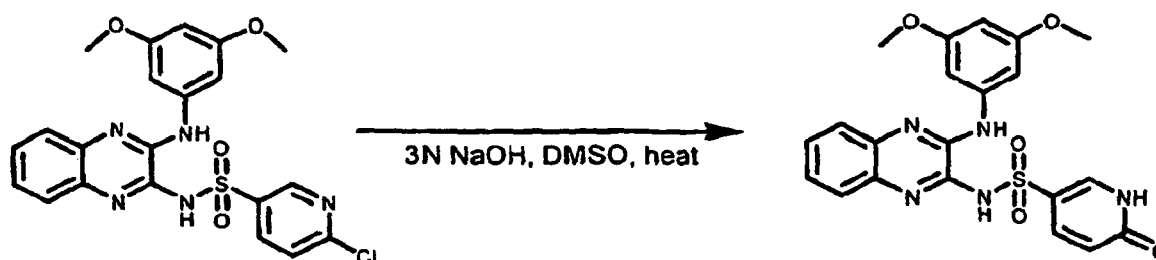


[0350] *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)pyridine-3-sulfonamide (100 mg, 0.21 mmol), 2-(dimethylamino)ethanol (50 μL , 0.50 mmol) and dry DMF were combined and 60% NaH in oil (80 mg, 2.0 mmol) added. The mixture was stirred at room temperature overnight. The reaction mixture was concentrated *in vacuo* and purified by preparative HPLC to give *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-6-(2-(dimethylamino)ethoxy)pyridine-3-sulfonamide (23 mg, 21%). ^1H NMR (400 MHz, DMSO-d_6) δ 8.78 (d, 1H), 8.73 (s, 1H), 8.38 (dd, 1H), 7.40 (dd, 1H), 7.31 (m, 3H), 7.14 (m, 2H), 6.85 (d, 1H), 6.12 (m, 1H), 4.56 (m, 2H), 3.76 (s, 6H), 3.43 (m, 2H), 2.77 (s, 6H). MS (EI) for $\text{C}_{25}\text{H}_{28}\text{N}_6\text{O}_5\text{S}$: 525.1 (MH $^+$).

Example 5

N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-6-oxo-1,6-dihydropyridine-3-sulfonamide

[0351]



[0352] *N*-3-(3,5-dimethoxyphenylamino)quinoxalin-2-ylpyridine-3-sulfonamide (220 mg, 0.47 mmol), DMSO (5 mL), and 3*N* NaOH (5 mL) are combined and heated to 100°C overnight with stirring. Upon cooling to room temperature, the reaction mixture was diluted with H₂O and the pH was adjusted to 7.0 with 1*N* HCl. The resulting solid was filtered, washed with H₂O, and air-dried. The solid was then sonicated in EtOAc, filtered, washed with EtOAc, and dried under high vacuum to give *N*-3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl-6-oxo-1,6-dihydropyridine-3-sulfonamide (190 mg, 90%). ¹H NMR (400 MHz, DMSO-*d*₆) δ 12.23 (br s, 1H), 12.10 (br s, 1H), 8.97 (s, 1H), 8.23 (s, 1H), 7.95 (m, 2H), 7.59 (m, 1H), 7.37 (m, 4H), 6.43 (d, 1H), 6.25 (s, 1H), 3.77 (s, 6H). MS (EI) for C₂₁H₁₉N₅O₃S: 454.0 (MH⁺).

[0353] The following title compounds were prepared according to the above Examples.

Example 6: *N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)-6-oxo-1,6-dihydropyridine-3-sulfonamide. ¹H NMR (400 MHz, DMSO-*d*₆) δ 12.22 (br s, 1H), 12.10 (br s, 1H), 9.16 (s, 1H), 8.60 (s, 1H), 8.14 (d, 1H), 7.94 (m, 1H), 7.85 (dd, 1H), 7.62 (m, 1H), 7.40 (m, 3H), 6.69 (dd, 1H), 6.43 (d, 1H), 3.81 (s, 3H). MS (EI) for C₁₉H₁₆ClN₅O₄S: 456.0 (MH⁻).

Example 7: 5-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-(dimethylamino)ethyl)-2-methoxybenzamide. ¹H NMR (400 MHz, DMSO-*d*₆) δ 9.45 (s, 1H), 8.95 (d, 1H), 8.57 (d, 1H), 8.28 (t, 1H), 8.14 (dd, 1H), 7.46 (dd, 1H), 7.39 (m, 2H), 7.17 (m, 4H), 6.60 (dd, 1H), 3.89 (s, 3H), 3.82 (s, 3H), 3.38 (m, 2H), 2.43 (m, 2H), 2.21 (s, 6H). MS (EI) for C₂₇H₂₉ClN₆O₅S: 585.3 (MH⁺).

Example 8: 5-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-(dimethylamino)ethyl)-2-fluorobenzamide. ¹H NMR (400 MHz, DMSO-*d*₆) δ 9.40 (br s, 1H), 9.16 (s, 1H), 8.73 (m, 1H), 8.67 (d, 1H), 8.36 (dd, 1H), 8.26 (m, 1H), 7.94 (br s, 1H), 7.66 (m, 1H), 7.59 (t, 1H), 7.43 (m, 3H), 6.71 (dd, 1H), 3.83 (s, 3H), 3.62 (m, 2H), 3.27 (m, 2H), 2.85 (d, 6H). MS (EI) for C₂₆H₂₆ClFN₆O₄S: 573.1 (MH⁺).

Example 9: *N*-(2-chloro-5-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(methylamino)acetamide. The title compound was prepared according to the Examples above. ¹H NMR (400 MHz, DMSO-*d*₆) δ 10.50 (s, 1H), 9.14 (s, 1H), 9.03 (m, 2H), 8.63 (d, 1H), 8.44 (d, 1H), 7.98 (m, 1H), 7.91 (dd, 1H), 7.80 (d, 1H), 7.67 (m, 1H), 7.44 (m, 3H), 6.71 (dd, 1H), 4.06 (m, 2H), 3.83 (s, 3H), 2.64 (t, 3H). MS (EI) for C₂₄H₂₂Cl₂N₄O₄S: 561.0 (MH⁺).

Example 10: (S)-2-amino-*N*-(3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)propanamide hydrochloride. ¹H NMR (400 MHz, CD₃OD) δ 8.72-8.71 (d, 1H), 8.48-8.46 (t, 1H), 7.86-7.84 (m, 1H), 7.80-7.78 (m, 1H), 7.63-7.59 (m, 2H), 7.58-7.55 (t, 1H), 7.41-7.38 (m, 2H), 7.24-7.22 (d, 1H), 6.60-6.58 (dd, 1H), 4.10-4.04 (q, 1H), 3.83 (s, 3H), 1.61-1.60 (d, 3H); MS (EI) for C₂₄H₂₃ClN₆O₄S.HCl: 527.2 (MH⁺). **Example 11: (S)-2-amino-*N*-(3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)butanamide hydrochloride.** ¹H NMR (400 MHz, CD₃OD) δ 8.74-8.73 (d, 1H), 8.80-8.47 (t, 1H), 7.87-7.85 (m, 1H), 7.80-7.78 (m, 1H), 7.67-7.61 (m, 2H), 7.59-7.55 (t, 1H), 7.42-7.39 (m, 2H), 7.26-7.24 (d, 1H), 6.62-6.59 (dd, 1H), 3.96-3.93 (t, 1H), 3.84 (s, 3H), 2.02-1.94 (m, 2H), 1.09-1.06 (t, 3H); MS (EI) for C₂₅H₂₅ClN₆O₄S.HCl: 541.3 (MH⁺).

Example 12: (S)-*N*-(3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)pyrrolidine-2-carboxamide hydrochloride. ¹H NMR (400 MHz, CD₃OD) δ 8.78-8.77 (d, 1H), 8.47-8.46 (t, 1H), 7.87-7.85 (m, 1H), 7.80-7.75 (m, 1H), 7.69-7.65 (m, 2H), 7.59-7.55 (t, 1H), 7.45-7.41 (m, 2H), 7.31-7.28 (d, 1H), 6.65-6.63 (dd, 1H), 4.42-4.38 (m, 1H), 3.86 (s, 3H), 3.48-3.42 (m, 2H), 2.55-2.49 (m, 1H), 2.18-2.08 (m, 3H); MS (EI) for C₂₆H₂₅ClN₆O₄S.HCl: 553.3 (MH⁺).

Example 13: (S)-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)pyrrolidine-2-carboxamide hydrochloride. ¹H NMR (400 MHz, CD₃OD) δ 10.62 (br s, 1H), 8.50-8.49 (t, 1H), 7.90-7.87 (m, 1H), 7.76-7.73 (m, 1H), 7.63-7.58 (m, 3H), 7.43-7.35 (m, 2H), 7.14 (s, 2H), 6.27-6.26 (t, 1H), 4.43-4.38 (m, 1H), 3.78 (s, 6H), 3.48-3.41 (m, 1H), 3.40-3.36 (m, 1H), 2.54-2.48 (m, 1H), 2.19-2.05 (m, 3H); MS (EI) for C₂₇H₂₈N₆O₅S.HCl: 549.3 (MH⁺).

Example 14: (R)-2-amino-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-hydroxypropanamide hydrochloride. ¹H NMR (400 MHz, CD₃OD) δ 8.49-8.48 (t, 1H), 7.89-7.87 (m, 1H), 7.75-7.72 (m, 1H), 7.65-7.62 (m, 2H), 7.62-7.55 (t, 1H), 7.44-7.38 (m, 2H), 7.23-7.22 (d, 2H), 6.27-6.26 (t, 1H), 4.07-4.05 (m, 1H), 3.99-3.93 (m, 2H), 3.80 (s, 6H); MS (EI) for C₂₅H₂₆N₆O₆S.HCl: 539.1 (MH⁺).

Example 15: *N*-(3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)piperidine-3-carboxamide hydrochloride. ¹H NMR (400 MHz, CD₃OD) δ 8.79-8.78 (d, 1H), 8.45 (m, 1H), 7.83-7.81 (d, 1H), 7.76-7.74 (m, 1H), 7.636 (m, 2H), 7.54-7.50 (t, 1H), 7.41 (m, 2H), 7.30-7.28 (d, 1H), 6.65-6.62 (dd, 1H), 3.86 (s, 3H), 3.40-3.32

(m, 2H), 3.20-3.13 (m, 3H), 2.93 (m, 1H), 2.15-2.11 (m, 1H), 1.98-1.93 (m, 2H), 1.83 (m, 1H); MS (EI) for $C_{27}H_{27}ClN_6O_4S$ HCl: 567.3 (MH⁺).

Example 16: (S)-2-amino-N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)butanamide hydrochloride. MS (EI) for $C_{26}H_{28}N_6O_5S \cdot HCl$: 537.1 (MH⁺).

Example 17: (R)-N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)pyrrolidine-2-carboxamide hydrochloride. MS (EI) for $C_{27}H_{28}N_6O_5S \cdot HCl$: 549.1 (MH⁺).

Example 18: (R)-N-(3-(N-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)pyrrolidine-2-carboxamide hydrochloride. MS (EI) for $C_{26}H_{25}ClN_6O_4S \cdot HCl$: 553 (MH⁺).

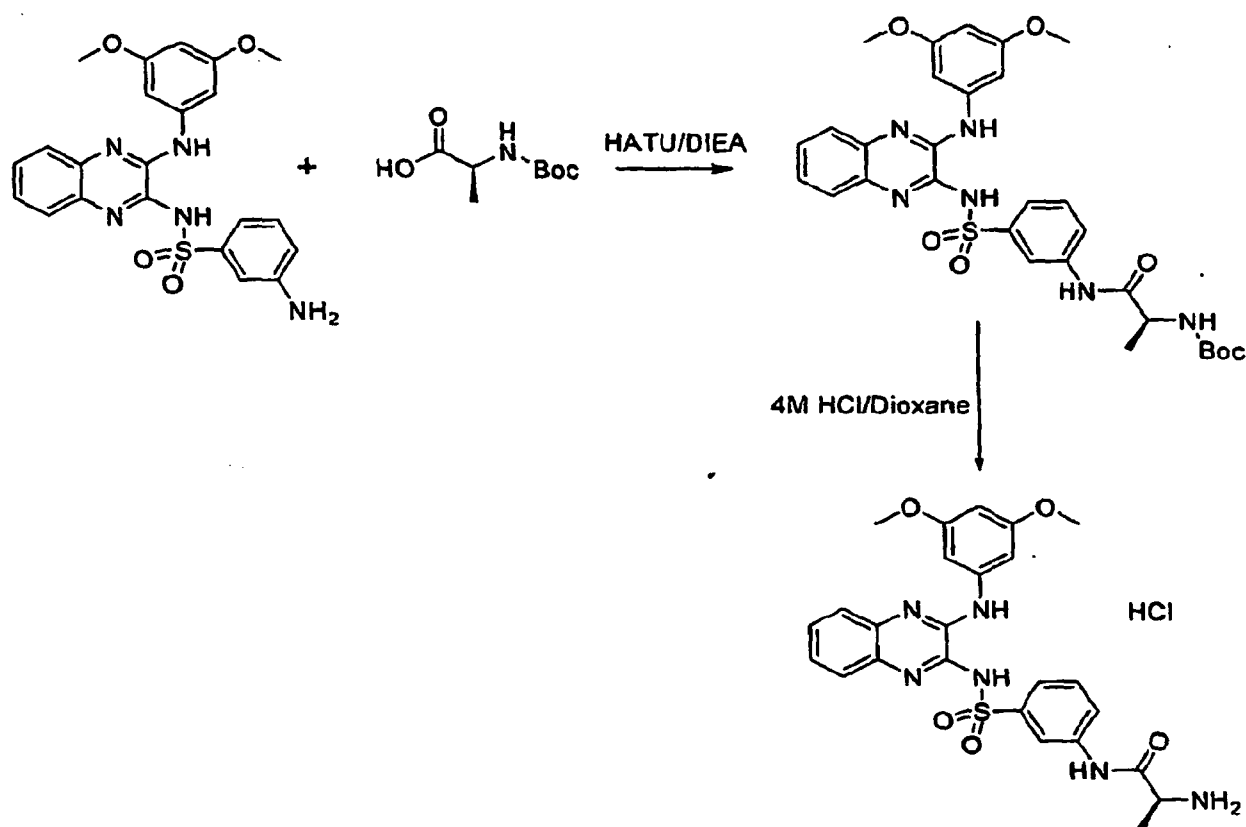
Example 19: N-(3-(N-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)morpholine-4-carboxamide: MS (EI) for $C_{26}H_{25}ClN_6O_5S$: 567 (MH⁺).

Example 20: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(dimethylamino)acetamide. MS (EI) for $C_{26}H_{28}N_6O_5S$: 535.1 (MH⁺).

Example 21

(S)-2-amino-N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)propanamide hydrochloride.

[0354]



[0355] (S)-tert-butyl 1-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenylamino)-1-oxopropan-2-ylcarbamate 3-amino-N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide (1.1 mmol, 500 mg), (L)-Boc-Ala-OH (1.5 mmol, 284 mg), dichloromethane (15 mL), DMF (10 mL), DIEA (2 mmol, 330 μ L), and HATU (2 mmol, 760 mg) stirred at r.t. over night. The crude mixture was column purified using 1/1 ethyl acetate/hexanes on silica to gave 160 mg.

[0356] (S)-2-amino-N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)propanamide hydrochloride. 4 M HCl in dioxane (10 mL) was added to a solution of (S)-tert-butyl 1-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenylamino)-1-oxopropan-2-ylcarbamate (160 mg) and DCM (15 mL). The mixture was stirred at r.t. for 3 hours. The solvent decanted and ether added to the solid, ether decanted to gave 80 mg product as HCl salt. ¹H NMR (400 MHz, CD₃OD) δ 8.50-8.49 (t, 1H), 7.89-7.87 (m, 1H), 7.74-7.72 (m, 1H), 7.61-7.5 (m, 3H),

7.40-7.36 (m, 2H), 7.21-7.20 (d, 2H), 6.23-6.21 (t, 1H), 4.09-4.03 (q, 1H), 3.78 (s, 6H), 1.60-1.58 (d, 3H); MS (EI) for $C_{25}H_{26}N_6O_5S \cdot HCl$: 523.1 (MH⁺).

[0357] The following title compounds were prepared according to the above Examples.

[0358] **Example 22: 4-chloro-*N*-(3-(2,5-dimethoxyphenylamino) quinoxalin-2-yl) benzenesulfonamide.** ¹H NMR (400 MHz, DMSO-d₆) δ 9.18 (s, 1H), 8.78 (s, 1H), 8.40-8.60 (m, 3H), 7.98 (t, 2H), 7.62 (d, 1H), 7.41 (m, 2H), 6.98 (d, 1H), 6.59 (d, 1H), 3.78 (s, 3H), 3.76 (s, 3H); MS (EI) for $C_{22}H_{19}N_5O_6S$: 482.1 (MH⁺).

[0359] **Example 23: *N*-(3-(2,5-dimethoxyphenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide.** ¹H NMR (400 MHz, CDCl₃) δ 12.68 (br s, 1H), 9.18 (s, 1H), 8.55 (s, 1H), 8.08 (d, 2H), 7.98 (d, 1H), 7.78 (d, 2H), 7.62 (dd, 1H), 7.40 (m, 2H), 7.00 (d, 1H), 6.60 (dd, 1H), 3.78 (s, 6H); MS (EI) for $C_{22}H_{19}ClN_4O_4S$: 471.1 (MH⁺).

[0360] **Example 24: *N*-(3-(*N*-(3-(2-chloro-5-methoxyphenylamino) quinoxalin-2-yl) sulfamoyl)-4-methylphenyl)-2-(dimethylamino) acetamide.** ¹H NMR (400 MHz, DMSO-d₆) δ 12.0 (br s, 1H), 10.6 (s, 1H), 10.0 (br s, 1H), 9.52 (s, 1H), 8.91 (d, 1H), 8.25 (d, 1H), 7.69 (dd, 1H), 7.47 (m, 1H), 7.39 (d, 1H), 7.16 (m, 3H), 6.01 (dd, 1H); MS (EI) for $C_{26}H_{27}ClN_6O_4S$: 555 (MH⁺).

[0361] **Example 25: (*R*)-2-amino-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino) quinoxalin-2-yl) sulfamoyl) phenyl) propanamide.** ¹H NMR (400 MHz, DMSO-d₆) δ 10.2 (br s, 1H), 8.82 (s, 1H), 8.27 (m, 1H), 7.75 (m, 2H), 7.33 (m, 5H), 7.13 (m, 2H), 6.14 (t, 1H), 3.77 (s, 6H), 1.39 (d, 3H); MS (EI) for $C_{25}H_{26}N_6O_5S$: 523 (MH⁺).

[0362] **Example 26: *N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(methylamino) acetamide.** ¹H NMR (400 MHz, DMSO-d₆) δ 10.6 (s, 1H), 9.48 (s, 1H), 8.95 (br s, 1H), 8.75 (br s, 1H), 8.19 (br s, 1H), 7.77 (dd, 1H), 7.69 (dd, 1H), 7.41 (m, 4H), 7.17 (m, 2H), 6.60 (dd, 1H), 3.91 (s, 2H), 3.82 (s, 6H), 2.62 (s, 3H); MS (EI) for $C_{24}H_{23}ClN_6O_4S$: 527 (MH⁺).

[0363] **Example 27: (*R*)-2-amino-*N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl) propanamide.** ¹H NMR (400 MHz, DMSO-d₆) δ 10.5 (s, 1H), 9.47 (s, 1H), 8.95 (d, 1H), 8.22 (d, 2H), 8.14 (br s, 2H), 7.76 (m, 2H), 7.40 (m, 4H), 7.17 (m, 2H), 6.60 (m, 1H), 3.97 (q, 1H), 3.96 (s, 3H), 1.45 (d, 3H); MS (EI) for $C_{24}H_{23}ClN_6O_4S$: 527 (MH⁺).

[0364] **Example 28: 2-amino-*N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-methylpropanamide.** ¹H NMR (400 MHz, DMSO-d₆) δ 10.1 (s, 1H), 9.46 (s, 1H), 8.95 (d, 1H), 8.50 (br s, 1H), 8.27 (m, 1H), 7.81 (m, 2H), 7.47 (m, 1H), 7.37 (m, 3H), 7.17 (m, 2H), 6.61 (dd, 1H), 3.83 (s, 3H), 1.60 (s, 6H); MS (EI) for $C_{25}H_{25}ClN_6O_4S$: 541 (MH⁺).

[0365] **Example 29: 2-amino-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino) quinexalin-2-yl) sulfamoyl) phenyl)-2-methylpropanamide.** ¹H NMR (400 MHz, DMSO-d₆) δ 10.33 (s, 1H), 8.89 (s, 1H), 8.32 (br s, 4H), 7.92 (m, 3H), 7.59 (m, 2H), 7.37 (m, 4H), 6.24 (s, 1H), 3.76 (s, 6H), 1.61 (s, 6H); MS (EI) for $C_{26}H_{28}N_6O_5S$: 537 (MH⁺).

[0366] **Example 30: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl)-4-methylphenyl)-2-(dimethylamino) acetamide.** ¹H NMR (400 MHz, DMSO-d₆) δ 10.58 (s, 1H), 9.80 (br s, 1H), 8.85 (s, 1H), 8.25 (s, 1H), 7.67 (dd, 1H), 7.30 (m, 7H), 6.16 (m, 1H), 4.02 (br s, 2H), 3.77 (s, 6H), 2.81 (s, 6H), 2.54 (s, 3H); MS (EI) for $C_{27}H_{30}N_6O_5S$: 551 (MH⁺).

[0367] **Example 31: *N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-((2-(dimethylamino) ethyl) (methyl) amino) acetamide.** ¹H NMR (400 MHz, DMSO-d₆) δ 10.0 (s, 1H), 9.48 (s, 1H), 8.96 (d, 1H), 8.16 (m, 1H), 7.76 (m, 2H), 7.39 (m, 4H), 7.17 (m, 2H), 6.61 (dd, 1H), 3.82 (s, 3H), 3.40 (br s, 2H), 2.94 (br s, 2H), 2.71 (br t, 2H), 2.60 (s, 6H), 2.33 (s, 3H); MS (EI) for $C_{28}H_{32}ClN_7O_4S$: 598 (MH⁺).

[0368] **Example 32: 2-amino-*N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl) acetamide.** ¹H NMR (400 MHz, DMSO-d₆) δ 10.5 (s, 1H), 9.48 (s, 1H), 8.94 (s, 1H), 8.15 (s, 1H), 8.06 (br s, 3H), 7.74 (m, 2H), 7.39 (m, 4H), 7.18 (m, 2H), 6.61 (dd, 1H), 3.83 (s, 3H), 3.77 (s, 2H); MS (EI) for $C_{23}H_{21}ClN_6O_4S$: 513 (MH⁺).

[0369] **Example 33: *N*-(3-(*N*-(3-(2-acetyl-5-methoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(dimethylamino) acetamide.** ¹H NMR (400 MHz, DMSO-d₆) δ 12.4 (s, 1H), 10.5 (s, 1H), 9.27 (s, 1H), 8.25 (s, 1H), 8.01 (d, 1H), 7.82 (d, 1H), 7.71 (d, 1H), 7.42 (m, 3H), 7.21 (m, 2H), 6.63 (dd, 1H), 3.91 (m, 5H), 2.75 (s, 6H), 2.61 (s, 3H); MS (EI) for $C_{27}H_{28}N_6O_5S$: 549 (MH⁺).

[0370] **Example 34: *N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl) formamide.** ¹H NMR (400 MHz, DMSO-d₆) δ 12.6 (s, 1H), 10.5 (s, 1H), 9.16 (s, 1H), 8.53 (br s, 1H), 8.3 (m, 2H), 8.02 (s, 1H), 7.56 (m, 7H), 6.70 (dd, 1H), 3.83 (s, 3H); MS (EI) for $C_{22}H_{18}ClN_5O_4S$: 484 (MH⁺).

[0371] **Example 35: 2-amino-*N*-(5-(*N*-(3-(3,5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl)-2-methylphenyl) acetamide.** ¹H NMR (400 MHz, DMSO-d₆) δ 12.4 (s, 1H), 0.1 (br s, 1H), 8.82 (s, 1H), 8.20 (m, 3H), 7.82 (m, 1H), 7.30 (m, 6H), 6.20 (s, 1H), 3.85 (s, 2H), 3.77 (s, 6H), 2.26 (s, 3H); MS (EI) for $C_{25}H_{26}N_6O_5S$: 523 (MH⁺).

[0372] **Example 36: *N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino) quinexalin-2-yl) sulfamoyl) phenyl)-2-methyl-2-(methylamino) propanamide.** ¹H NMR (400 MHz, DMSO-d₆) δ 10.09 (s, 1H), 9.46 (s, 1H), 8.95 (m, 3H), 8.28 (s, 1H), 7.81 (m, 2H), 7.41 (m, 4H), 7.17 (m, 2H), 6.60 (dd, 1H), 3.82 (s, 3H), 2.53 (s, 3H), 1.60 (s, 6H); MS (EI) for $C_{26}H_{27}ClN_6O_4S$: 555 (MH⁺).

[0373] **Example 37: (*S*)-*N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-**

2-(methylamino) propanamide. ¹H NMR (400 MHz, DMSO-d₆) δ 10.61 (s, 1 H), 9.47 (s, 1 H), 8.95 (s, 1 H), 8.82 (br s, 2 H), 8.27 (m, 1 H), 7.74 (m, 2 H), 7.42 (m, 4 H), 7.17 (m, 2 H), 6.60 (dd, 1 H), 3.90 (m, 1 H), 3.82 (s, 3 H), 2.59 (s, 3 H), 1.49 (d, 3 H); MS (EI) for C₂₅H₂₅ClN₆O₄S: 541 (MH⁺).

[0374] Example 38: 3-amino-N-(5-(N-(3-(2-chloro-5-methoxyphenylamino) quinoxalin-2-yl) sulfamoyl)-2-methylphenyl) propanamide. ¹H NMR (400 MHz, DMSO-d₆) δ 12.25 (s, 1 H), 9.77 (s, 1 H), 8.82 (s, 1 H), 7.84 (m, 5 H), 7.50 (d, 1 H), 7.37 (m, 5 H), 6.22 (m, 1 H), 3.74 (s, 6 H), 3.08 (m, 2 H), 2.77 (m, 2 H), 2.27 (s, 3 H); MS (EI) for C₂₆H₂₈N₆O₅S: 537 (MH⁺).

[0375] Example 39: 1-amino-N-(3-(N-(3-(2-chloro-5-methoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl) cyclopropanecarboxamide. ¹H NMR (400 MHz, DMSO-d₆) δ 9.54 (br s, 1 H), 9.42 (s, 1 H), 8.91 (s, 1 H), 8.21 (s, 1 H), 8.20 (br s, 2 H), 7.81 (m, 2 H), 7.48 (m, 4 H), 7.22 (m, 2 H), 6.61 (dd, 1 H), 3.82 (s, 3 H), 1.63 (m, 2 H), 1.26 (m, 2 H); MS (EI) for C₂₅H₂₃ClN₆O₄S: 539 (MH⁺).

[0376] Example 40: (S-2-amino-N-(3-(N-(3-(2-chloro-5-methoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-6-(dimethylamino) hexanamide. ¹H NMR (400 MHz, DMSO-d₆) δ 9.47 (br s, 1 H), 8.95 (d, 1 H), 8.26 (m, 1 H), 7.73 (m, 2 H), 7.30 (m, 4 H), 7.26 (m, 4 H), 7.16 (m, 2 H), 6.59 (dd, 1 H), 3.82 (s, 3 H), 3.34 (m, 1 H), 2.20 (m, 2 H), 2.09 (s, 6 H), 1.50 (m, 6 H); MS (EI) for C₂₉H₃₄ClN₇O₄S: 610 (MH⁺).

[0377] Example 41: 1-amino-N-(3-(N-(3-(2-chloro-5-methoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl) cyclopentanecarboxamide. ¹H NMR (400 MHz, DMSO-d₆) δ 10.12 (br s, 1 H), 9.46 (s, 1 H), 8.95 (d, 1 H), 8.26 (m, 1 H), 8.16 (m, 3 H), 7.84 (m, 2 H), 7.35 (m, 6 H), 6.60 (dd, 1 H), 3.82 (s, 3 H), 2.34 (m, 2 H), 1.91 (m, 6 H); MS (EI) for C₂₇H₂₇ClN₆O₄S: 567 (MH⁺).

[0378] Example 42: 2-amino-N-(5-(N-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-2-methylphenyl)acetamide.

[0379] Example N-(5-(N-(3-(2-chloro-5-methoxyphenylamino) quinoxalin-2-yl) sulfamoyl)-2-methylphenyl)-2-(dimethylamino) acetamide. ¹H NMR (400 MHz, DMSO-d₆) δ 12.0 (br s, 1 H), 9.98 (s, 1 H), 9.43 (s, 1 H), 8.91 (m, 1 H), 8.08 (s, 1 H), 7.84 (dd, 1 H), 7.32 (m, 6 H), 6.61 (dd, 1 H), 4.67 (s, 2 H), 3.82 (s, 3 H), 2.82 (s, 6 H), 2.21 (s, 3 H); MS (EI) for C₂₆H₂₇ClN₆O₄S: 555 (MH⁺).

[0380] Example 43: 1-amino-N-(3-(N-(3-(3,5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl) cyclobutanecarboxamide. ¹H NMR (400 MHz, DMSO-d₆) δ 10.34 (br s, 1 H), 8.81 (s, 1 H), 8.49 (br s, 3 H), 8.34 (s, 1 H), 7.83 (m, 2 H), 7.43 (m, 3 H), 7.31 (m, 2 H), 7.16 (m, 2 H), 6.16 (s, 1 H), 3.77 (s, 6 H), 2.83 (m, 2 H), 2.25 (m, 3 H), 2.05 (m, 1 H); MS (EI) for C₂₇H₂₈N₆O₅S: 549 (MH⁺).

[0381] Example 44: N-(3-(3,5-dimethoxyphenylamino) quinoxalin-2-yl)-3-(3-(2-(dimethylamino) ethyl) ureido) benzeaesulfonamide. ¹H NMR (400 MHz, DMSO-d₆) δ 8.91 (br s, 1 H), 8.81 (s, 1 H), 8.08 (s, 1 H), 7.60 (s, 1 H), 7.38 (m, 9 H), 6.28 (m, 1 H), 6.15 (s, 1 H), 3.78 (s, 6 H), 3.40 (m, 2 H), 3.08 (m, 2 H), 2.74 (s, 6 H); MS (EI) for C₂₇H₃₁N₇O₅S: 566 (MH⁺).

[0382] Example 45: 1-amino-N-(3-(N-(3-(3,5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl) cyclopentanecarboxamide. ¹H NMR (400 MHz, DMSO-d₆) δ 12.40 (br s, 1 H), 10.58 (s, 1 H), 8.46 (m, 4 H), 7.80 (m, 3 H), 7.59 (m, 2 H), 7.34 (m, 4 H), 6.25 (m, 1 H), 3.76 (s, 6 H), 2.35 (m, 2 H), 1.90 (m, 8 H); MS (EI) for C₂₈H₃₀N₆O₅S: 563 (MH⁺).

[0383] Example 46: 1-amino-N-(3-(N-(3-(3,5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl) cyclopropanecarboxamide. ¹H NMR (400 MHz, DMSO-d₆) δ 9.54 (br s, 1 H), 8.84 (s, 1 H), 8.29 (s, 1 H), 7.75 (m, 2 H), 7.39 (m, 6 H), 7.17 (m, 2 H), 6.16 (m, 1 H), 3.78 (s, 6 H), 1.52 (m, 2 H), 1.17 (m, 2 H); MS (EI) for C₂₆H₂₆N₆O₅S: 535 (MH⁺).

[0384] Example 47: 2-(dimethylamino)ethyl 3-(N-(3-(3,5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenylearbamate. ¹H NMR (400 MHz, DMSO-d₆) δ 9.78 (br s, 1 H), 8.79 (s, 1 H), 8.19 (s, 1 H), 7.66 (d, 1 H), 7.31 (m, 9 H), 6.14 (m, 1 H), 4.17 (t, 2 H), 3.78 (s, 6 H), 2.54 (t, 2 H), 2.21 (s, 6 H); MS (EI) for C₂₇H₃₀N₆O₆S: 567 (MH⁺).

[0385] Example 48: 4-amino-N-(3-(N-(3-(3,5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl) tetrahydro-2H-pyran-4-carboxamide. ¹H NMR (400 MHz, DMSO-d₆) δ 12.2 (br s, 1 H), 10.6 (s, 1 H), 8.74 (m, 5 H), 7.93 (m, 2 H), 7.47 (m, 6 H), 6.24 (m, 1 H), 3.77 (m, 10 H), 2.45 (m, 2 H), 1.81 (m, 2 H); MS (EI) for C₂₈H₃₀N₆O₆S: 579 (MH⁺).

[0386] Example 49: N1-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)-N3-(2-(dimethylamino)ethyl) benzene-1,3-disulfonamide. ¹H NMR (400 MHz, DMSO-d₆) δ 9.35 (m, 2 H), 8.92 (m, 1 H), 8.64 (s, 1 H), 8.30 (m, 1 H), 8.11 (s, 1 H), 7.86 (m, 1 H), 7.68 (m, 1 H), 7.49 (s, 1 H), 7.42 (m, 2 H), 7.21 (m, 2 H), 6.61 (m, 1 H), 3.82 (s, 3 H), 3.05 (m, 4 H), 2.74 (s, 6 H); MS (EI) for C₂₅H₂₇ClN₆O₅S₂: 591 (MH⁺).

[0387] Example 50: N1-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)-N3-(3-(dimethylamino)propyl) benzene-1,3-disulfonamide. ¹H NMR (400 MHz, DMSO-d₆) δ 9.38 (m, 2 H), 8.90 (m, 1 H), 8.60 (s, 1 H), 8.32 (m, 1 H), 8.12 (s, 1 H), 7.88 (m, 1 H), 7.72 (m, 1 H), 7.59 (s, 1 H), 7.40 (m, 2 H), 7.20 (m, 2 H), 6.67 (m, 1 H), 3.82 (s, 3 H), 2.97 (m, 2 H), 2.78 (m, 2 H), 2.71 (s, 6 H), 1.70 (m, 2 H); MS (EI) for C₂₆H₂₉ClN₆O₅S₂: 605 (MH⁺).

[0388] Example 51: N-(3-(N-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-4-methylphenyl)-2-(methylamino)acetamide. MS (EI) for C₂₅H₂₅ClN₆O₄S: 541.0 (MH⁺).

[0389] Example 52: (S)-2-amino-N-(3-(N-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-4-methylphenyl)propanamide. MS (EI) for $C_{25}H_{25}ClN_6O_4S$: 541.2 (MH⁺).

[0390] Example 53: (R)-2-amino-N-(3-(N-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-4-methylphenyl)propanamide. MS (EI) for $C_{25}H_{25}ClN_6O_4S$: 541.0 (MH⁺).

[0391] Example 54: (S)-N-(3-(N-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(methylamino)propanamide. MS (EI) for $C_{26}H_{28}N_6O_3S$: 537.1 (MH⁺).

[0392] Example 55: (R)-N-(3-(N-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(methylamino)propanamide. MS (EI) for $C_{25}H_{25}ClN_6O_4S$: 541.1 (MH⁺).

[0393] Example 56: (R)-N-(3-(N-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(methylamino)propanamide. MS (EI) for $C_{26}H_{28}N_6O_5S$: 537.3 (MH⁺).

[0394] Example 57: N-(3-(N-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)piperidine-2-carboxamide. MS (EI) for $C_{28}H_{30}N_6O_5S$: 563.1 (MH⁺).

[0395] Example 58: N-(3-(N-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-(dimethylamino)ethylamino)acetamide. MS (EI) for $C_{28}H_{33}N_7O_5S$: 580.1 (MH⁺).

[0396] Example 59: N-(3-(N-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(4-(methylamino)piperidin-1-yl)acetamide. MS (EI) for $C_{30}H_{35}N_7O_6S$: 606.1 (MH⁺).

[0397] Example 60: N-(3-(N-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-((3-(dimethylamino)propyl)(methylamino)acetamide. MS (EI) for $C_{30}H_{37}N_7O_5S$: 608.1 (MH⁺).

[0398] Example 61: 2-(1,4'-bipiperidin-1'-yl)-N-(3-(N-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) for $C_{34}H_{41}N_7O_5S$: 660.1 (MH⁺).

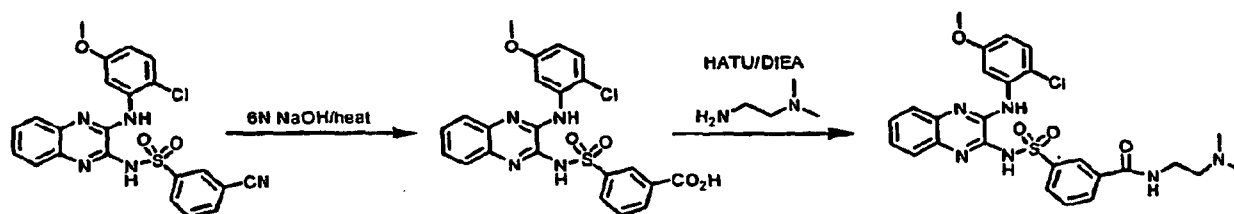
[0399] Example 62: tert-butyl 2-(3-(N-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenylcarbamoyl)piperidine-1-carboxylate. MS (EI) for $C_{33}H_{38}N_6O_7S$: 663.1 (MH⁺).

[0400] Example 63: 3-(N-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-N-(1-(dimethylamino)propan-2-yl)benzamide. MS (EI) for $C_{27}H_{29}ClN_6O_4S$: 569.0 (MH⁺).

Example 64

3-[[[3-[[2-chloro-5-(methoxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]-N-[2-(dimethylamino)ethyl]benzamide

[0401]



[0402] 3-[[[3-[[2-chloro-5-(methoxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]benzoic acid. To a solution of N-(3-[[2-chloro-5-(methoxy)phenyl]amino]quinoxalin-2-yl)-3-cyanobenzenesulfonamide (6.02 g, 12.95 mmol) in methanol (20 mL) and 1,4-dioxane (20 mL) was added 6.0 N aqueous sodium hydroxide (40 mL) at room temperature. The solution was stirred at 90 °C for 3.5 h. The reaction was cooled to room temperature and neutralized slowly by adding 2.0 N hydrochloric acid until the pH of the solution became in the 2-3 range at 0 °C. The solution was diluted with ethyl acetate (300 mL). The organic layer was washed with saturated aqueous sodium chloride (50 mL) and dried over magnesium sulfate. Filtration and concentration at reduced pressure afforded 3-[[[3-[[2-chloro-5-(methoxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]benzoic acid (5.921 g, 94%). MS (EI) for $C_{22}H_{17}ClN_4O_5S$: 485.0 (MH⁺).

[0403] 3-[[[3-[[2-chloro-5-(methoxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]-N-[2-(dimethylamino)ethyl] benzamide. To a solution of 3-[[[3-[[2-chloro-5-(methoxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]benzoic acid (0.20 g, 0.42 mmol) in dimethylformamide (4 mL) were added 2-(7-Aza-1H-benzotriazole-1-yl)-1,1,3,3-tetramethyluronium hexafluorophosphate (HATU, 0.32 g, 0.83 mmol) and N-ethyl-diisopropylamine (DEIA, 0.13 g, 1.04 mmol) at room temperature. The reaction was stirred for 15 min before N, N-dimethylethane-1,2-diamine (73 mg, 0.83 mmol) was added. The reaction mixture was allowed to stir overnight. The reaction was diluted with ethyl acetate (200 mL) and washed with water (50 mL), saturated aqueous sodium bicarbonate (40 mL), 1.0 N aqueous hydrochloric acid (30 mL), and saturated aqueous sodium chloride (25 mL). The organic layer was dried over magnesium sulfate, filtered and concentrated at reduced pressure to afford 3-[[[3-[[2-chloro-5-(methoxy)phenyl]amino]quinoxalin-2-yl]amino]sulfo-

nyl)-*N*-[2-(dimethylamino)ethyl]benzamide (0.20 g, 87%) as yellow solid. MS (EI) for $C_{26}H_{27}ClN_6O_4S$: 555.1 (MH⁺).

[0404] The following title compounds were prepared according to the above Examples.

[0405] **Example 65:** 3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-(dimethylamino)ethyl)benzamide. MS (EI) for $C_{27}H_{30}N_6O_5S$: 551.1 (MH⁺).

[0406] **Example 66:** 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-(dimethylamino)ethyl)-*N*-methylbenzamide. MS (EI) for $C_{27}H_{29}ClN_6O_4S$: 569.1 (MH⁺).

[0407] **Example 67:** 3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-(dimethylamino)ethyl)-*N*-methylbenzamide. MS (EI) for $C_{28}H_{32}N_6O_5S$: 565.1 (MH⁺).

[0408] **Example 69:** 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)benzamide. MS (EI) for $C_{22}H_{18}ClN_5O_4S$: 484.0 (MH⁺).

[0409] **Example 70:** 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)benzoic acid. MS (EI) for $C_{22}H_{17}ClN_5O_5S$: 485.0 (MH⁺).

[0410] **Example 71:** 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-morpholinoethyl)benzamide. MS (EI) for $C_{28}H_{29}ClN_6O_5S$: 597.0 (MH⁺).

[0411] **Example 72:** 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-methylbenzamide. MS (EI) for $C_{23}H_{20}ClN_5O_4S$: 498.0 (MH⁺).

[0412] **Example 73:** 3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)benzoic acid. MS (EI) for $C_{23}H_{20}N_4O_6S$: 481.0 (MH⁺).

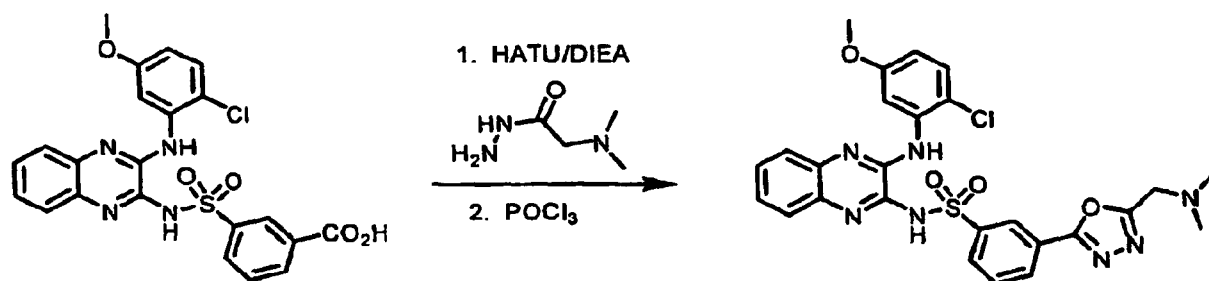
[0413] **Example 74:** 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-morpholinoben-
zamide. MS (EI) for $C_{26}H_{25}ClN_6O_5S$: 569.0 (MH⁺).

[0414] **Example 75:** *N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)-3-cyanobenzenesulfonamide. MS (EI) for $C_{22}H_{16}ClN_5O_3S$: 465.9 (MH⁺).

Example 76

N-(3-([2-chloro-5-(methoxy)phenyl]amino)quinoxalin-2-yl)-3-{5-[(dimethylamino)methyl]-1,3,4-oxadiazol-2-yl}benzenesulfonamide

[0415]

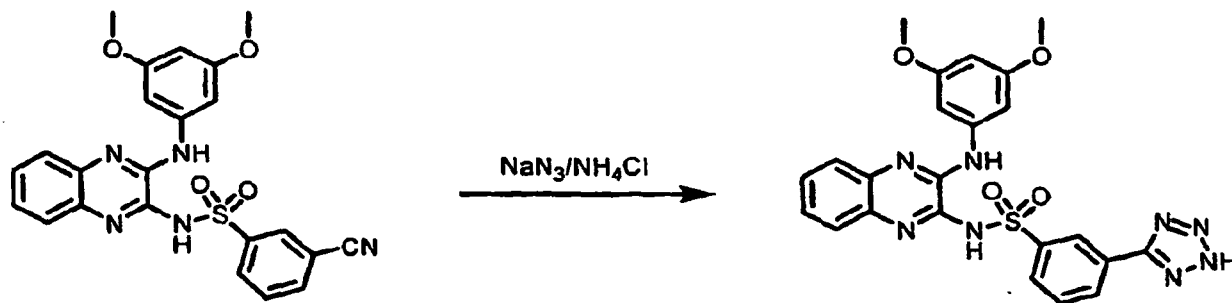


[0416] To a solution of 3-((3-([2-chloro-5-(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonylbenzoic acid (0.25 g, 0.52 mmol) in dimethylformamide (2.6 mL) were added 2-(7-Aza-1H-benzotriazole-1-yl)-1,1,3,3-tetramethyluronium hexafluorophosphate (HATU, 0.25 g, 0.67 mmol) and *N*-ethyl-diisopropylamine (DIEA, 0.11 g, 0.88 mmol) at room temperature. The reaction was stirred for 15 min before 2-(dimethylamino)acetohydrazide (78 mg, 0.67 mmol) was added. The reaction mixture was allowed to stir overnight. The reaction was diluted with ethyl acetate (200 mL) and washed with water (30 mL), saturated aqueous sodium bicarbonate (30 mL), 1.0 *N* aqueous hydrochloric acid (20 mL), and saturated aqueous sodium chloride (25 mL). The organic layer was dried over magnesium sulfate, filtered and concentrated at reduced pressure to afford 180 mg of a coupled intermediate which was then heated in phosphorus oxychloride (5 mL) at 100 °C for 4h. The reaction was cooled to room temperature and treated with ice water (50 mL) and extracted with dichloromethane (3 X 50 mL). The organic layer was dried over magnesium sulfate, filtered and concentrated at reduced pressure to afford a crude product which was subjected to reverse phase HPLC to afford *N*-(3-([2-chloro-5-(methoxy)phenyl]amino)quinoxalin-2-yl)-3-{5-[(dimethylamino)methyl]-1,3,4-oxadiazol-2-yl}benzenesulfonamide (16 mg, 5 %) as yellow solid. MS (EI) for $C_{26}H_{24}ClN_7O_4S$: 566.0 (MH⁺).

Example 78

N-(3-[[3,5-bis(methoxy)phenyl]amino]quinoxalin-2-yl)-3-(2H-tetrazol-5-yl)benzenesulfonamide

[0417]



[0418] To a stirred solution of 3-cyano-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide (0.20 g, 0.44 mmol) in dimethylformamide (1.2 mL) at 50 °C were added sodium azide (0.11 g, 1.76 mmol) and ammonium chloride (94 mg, 1.76 mmol). The crude mixture was heated at 100 °C overnight. The reaction was cooled to room temperature treated with ice water (20 mL) followed by concentrated hydrochloric acid (10 mL). The solid obtained was filtered under reduced pressure and washed with hexane (20 mL), diethyl ether (20 mL), and ethyl acetate (5 mL) to afford *N*-(3-[[3,5-bis(methoxy)phenyl]amino]quinoxalin-2-yl)-3-(2H-tetrazol-5-yl)benzenesulfonamide (55 mg, 25%) as light yellow solid. MS (EI) for C₂₃H₂₀N₈O₄S: 505.0 (MH⁺).

[0419] The following title compounds were prepared according to the above Examples.

Example 77: 3-cyano-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for C₂₃H₁₉N₅O₄S: 462.3 (MH⁺).

Example 79: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(4-(dimethylamino)piperidin-1-yl)acetamide. MS (EI) for C₃₁H₃₇N₇O₅S: 620.1 (MH⁺).

Example 80: *N*-(3-(2,5-dimethoxyphenylamino)quinoxalin-2-yl)-3-fluorobenzenesulfonamide. MS (EI) for C₂₂H₁₉FN₄O₄S: 456.0 (MH⁺).

Example 81: 3-bromo-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for C₂₂H₁₉BrN₄O₄S: 516.9 (MH⁺).

Example 82: 3-bromo-*N*-(3-(2,5-dimethoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for C₂₂H₁₉BrN₄O₄S: 516.9 (MH⁺).

Example 83: *N*-(3-(3-methoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for C₂₁H₁₈N₄O₃S: 407.0 (MH⁺).

Example 84: *N*-(3-(morpholinoamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) for C₁₈H₁₈N₆O₅S: 431.0 (MH⁺).

Example 85: 3-nitro-*N*-(3-(tetrahydro-2H-pyran-4-ylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for C₁₉H₁₉N₅O₅S: 430.0 (MH⁺).

Example 86: *N*-(3-(4-fluoro-3-methoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for C₂₁H₁₇FN₄O₃S: 425.0 (MH⁺).

Example 87: *N*-(3-(2,5-dimethoxyphenylamino)quinoxalin-2-yl)-4-methoxybenzenesulfonamide. MS (EI) for C₂₃H₂₂N₄O₅S: 467.0 (MH⁺).

Example 88: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-4-methoxybenzenesulfonamide. MS (EI) for C₂₃H₂₂N₄O₅S: 467.0 (MH⁺).

Example 89: *N*-(3-(4-chloro-3-methoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for C₂₁H₁₇ClN₄O₃S: 440.9 (MH⁺).

Example 90: *N*-(3-(2-methoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for C₂₁H₁₈N₄O₃S: 407.0 (MH⁺).

Example 91: *N*-(3-(3-(benzyloxy)phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for C₂₇H₂₂N₄O₃S: 483.0 (MH⁺).

Example 92: *N*-(3-(3-phenoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for C₂₆H₂₀N₄O₃S: 469.0 (MH⁺).

Example 93: *N*-(3-(3-methoxy-5-(trifluoromethyl)phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for C₂₂H₁₇F₃N₄O₃S: 475.0 (MH⁺).

Example 94: *N*-(3-(2,5-diethoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for $C_{24}H_{24}N_4O_4S$: 465.0 (MH⁺).

Example 95: *N*-(3-(2'-methoxybiphenyl-4-ylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for $C_{27}H_{22}N_4O_3S$: 483.0 (MH⁺).

Example 96: *N*-(3-(2-methoxy-5-methyl-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for $C_{22}H_{20}N_4O_3S$: 421.0 (MH⁺).

Example 97: *N*-(3-(5-chloro-2-methoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for $C_{21}H_{17}ClN_4O_3S$: 441.0 (MH⁺).

Example 98: *N*-(3-(2-methoxy-5-(trifluoromethyl)-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for $C_{22}H_{17}F_3N_4O_3S$: 475.0 (MH⁺).

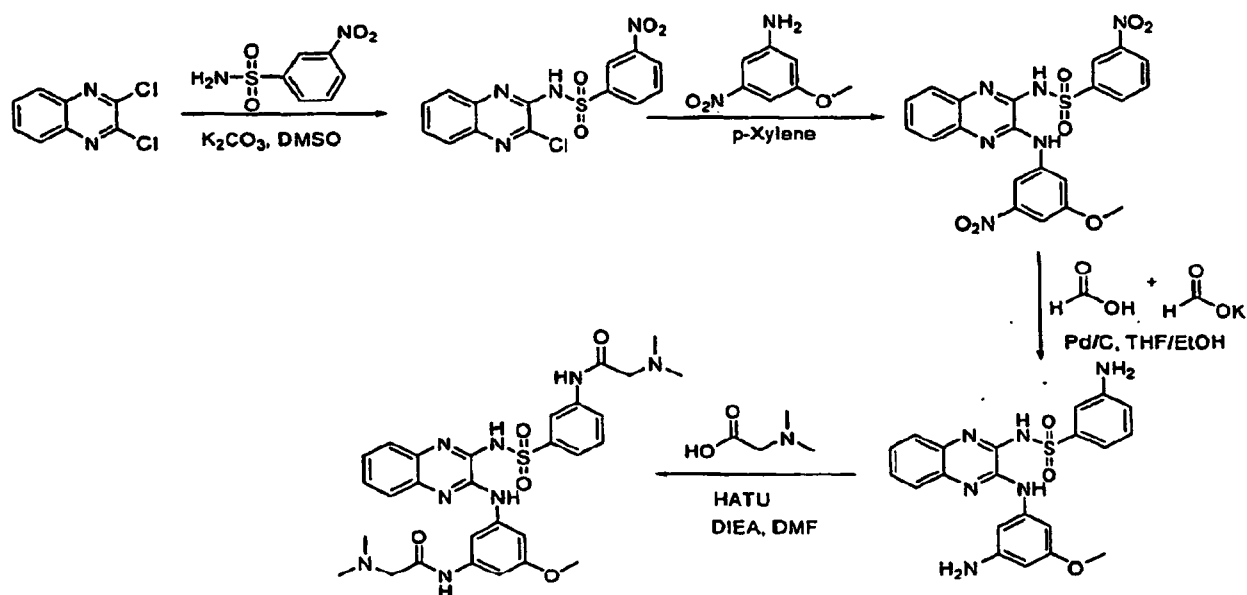
Example 99: *N*-(3-(2-methoxybiphenyl-4-ylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for $C_{27}H_{22}N_4O_3S$: 483.3 (MH⁺).

Example 100: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)2-(dimethylamino)acetamide. ¹H NMR (400 MHz, DMSO) δ 12.4 (br s, 1H), 10.9 (s, 1H), 9.8 (s, 1H), 8.9 (s, 1H), 8.3 (br s, 1H), 7.9 (d, 2H), 7.8 (d, 1H), 7.6 (t, 2H), 7.4 (q, 2H), 7.3 (s, 1H), 6.25 (s, 1H), 4.15 (s, 2H), 3.8 (s, 6H), 2.9 (s, 6H). MS (EI) for $C_{26}H_{28}N_6O_5S$ 2.0 x $C_2H_1O_2F_3$: 537.1 (MH⁺).

Example 101

2-(dimethylamino)-*N*-(3-(*N*-(3-(2-(dimethylamino)acetamido)-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide

[0420]



[0421] *N*-(3-chloroquinoxalin-2-yl)-3-nitrobenzenesulfonamide. 2,3-Dichloroquinoxaline (26.1 g, 131.1 mmol), *m*-Nitrobenzenesulfonamide (26.5 g, 131.1 mmol) and potassium carbonate (18.1 g, 131.1) were dissolved in anhydrous DMSO (500 mL). The reaction was heated to 150°C for 2 h. The reaction mixture was poured into water (400 mL), followed by addition of 2M HCl (60 mL). The product was extracted with EtOAc (3 x 500 mL). The organic layers were combined and washed with water (2 x 500 mL) and brine (2 x 500 mL). The product was then dried with sodium sulfate to give *N*-(3-chloroquinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) for $C_{14}H_9ClN_4O_4S$: 364.94, 366.97 (MH⁺)

[0422] *N*-(3-(3-methoxy-5-nitrophenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. *N*-(3-chloroquinoxalin-2-yl)-3-nitrobenzenesulfonamide (700 mg, 1.92 mmol), 3-methoxy-5-nitroaniline (645 mg, 3.84 mmol) and *p*-xylene (7 mL) were combined and heated to 140°C, then stirred for 16 hours at 130°C. The reaction was allowed to cool, placed in a sep. funnel, diluted with DCM, and washed with 2M HCl and brine and concentrated in vacuo. The resulting solid was washed with Et₂O to give *N*-(3-(3-methoxy-5-nitrophenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide (400 mg, 42%). MS (EI) for $C_{21}H_{16}N_6O_7S$: 496.94 (MH⁺)

[0423] 3-amino-*N*-(3-(3-amino-5-methoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide. *N*-(3-(3-Meth-

oxy-5-nitrophenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide (400 mg, 0.81 mmol) was dissolved in 1:1 THF: EtOH (4 mL), to which was added formic acid (938 μ L, 2.42 mmol) and potassium formate (203 mg, 2.42 mmol). The system was flushed with nitrogen, and then 10%wt Pd/C (50 mg) was added. The reaction was then heated to 60°C. Once the reaction was determined complete by LC-MS, it was allowed to cool, and DMF was added for solubility. The solution was then filtered through a nylon frit to remove the catalyst. The filtrate was diluted with water and the pH adjusted to 7 and extracted with DCM (2x) and EtOAc (2x). All organic layers were combined and evaporated to dryness to give 3-amino-*N*-(3-(3-amino-5-methoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide (330 mg, 93%). MS (EI) for $C_{21}H_{20}N_6O_3S$: 437.06 (MH⁺)

[0424] 2-(dimethylamino)-*N*-(3-(*N*-(3-(2-(dimethylamino) acetamido)-5-methoxyphenylamino)quinoxalin-2-yl)-sulfamoyl) phenyl) acetamide. 3-Amino-*N*-(3-(3-amino-5-methoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide (330 mg, 0.76 mmol), DMF (4 mL), *N,N*-Dimethylglycine (312 mg, 3.02 mmol), HATU (1.15 g, 3.02 mmol), and 1.29 mL (7.56 mmol) DIEA (1.29 mL, 7.56 mmol) were combined and heated to 90°C, followed by heating at 50°C for over 16 hours. The reaction was allowed to cool, placed into a sep. funnel diluted with water and aqueous LiCl and extracted with EtOAc. The final compound was then purified by prep. HPLC to give 2-(dimethylamino)-*N*-(3-(*N*-(3-(2-(dimethylamino) acetamido)-5-methoxy-phenylamino)-quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. ¹H NMR (400 MHz, CD₃OD) δ 8.45 (t, 1H), 7.93 (t, 1H), 7.85-7.88 (m, 1H), 7.70-7.74 (m, 1H), 7.65-7.68 (m, 1H), 7.58-7.62 (m, 1H), 7.58 (t, 1H), 7.34-7.42 (m, 3H), 7.0 (t, 1H), 4.05 (d, 2H), 3.8 (s, 3H), 2.9-3.0 (d, 12H). MS (EI) for $C_{29}H_{34}N_8O_5S$: 607.2 (MH⁺). **[0425]** The following title compounds were prepared according to the above Examples.

Example 102: *N*-(3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(ethylamino)acetamide. ¹H NMR (400 MHz, DMSO) δ 10.8 (s, 1H), 9.20 (s, 1H), 8.84 (br s, 2H), 8.64 (br s, 1H), 8.30 (s, 1H), 7.9-8.0 (br s, 1H), 7.80 (t, 2H), 7.55-7.68 (m, 2H), 7.4 (d, 3H), 6.70 (m, 1H), 3.97 (br s, 2H), 3.83 (s, 3H), 3.04 (br s, 2H), 1.3 (t, 3H). MS (EI) for $C_{25}H_{25}ClN_6O_4S$ 2.0 x $C_2H_5O_2F_3$: 541.3, 543.2 (MH⁺).

Example 103: 2-(azetidin-1-yl)-*N*-(3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. ¹H NMR (400 MHz, DMSO) δ 10.8 (s, 1H), 10.2 (s, 1H), 9.2 (s, 1H), 8.7 (s, 1H), 8.3 (s, 1H), 7.9-8.0 (br s, 1H), 7.80 (d, 1H), 7.72 (d, 1H), 7.65 (br s, 1H), 7.56 (t, 1H), 7.40 (d, 3H), 6.70 (m, 1H), 4.28 (s, 2H), 4.15 (m, 4H), 3.82 (s, 3H), 2.32 (br s, 1H). MS (EI) for $C_{26}H_{25}ClN_6O_4S$ 2.0 x $C_2H_5O_2F_3$: 553.3, 555.2 (MH⁺).

Example 104: *N*-(3-(*N*-(3-(2-bromo-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(methylamino)acetamide. The title compound was prepared according to the Examples above. ¹H NMR (400 MHz, DMSO) δ 10.6 (s, 1H), 9.5 (s, 1H), 8.95 (d, 1H), 8.18 (t, 1H), 7.78 (m, 1H), 7.70 (m, 1H), 7.54 (d, 1H), 7.46 (m, 1H), 7.38 (t, 1H), 7.32 (d, 1H), 7.12-7.22 (m, 2H), 6.56 (m, 1H), 3.90 (s, 2H), 3.82 (s, 3H), 2.62 (s, 3H). MS (EI) for $C_{24}H_{23}BrN_6O_4S$: 572.77, 570.90 (MH⁺).

Example 105: 2-(dimethylamino)-*N*-(3-(*N*-(3-(6-methoxy-quinolin-8-ylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. The title compound was prepared according to the Examples above. ¹H NMR (400 MHz, DMSO) δ 10.9 (s, 1H), 10.6 (s, 1H), 9.13 (s, 1H), 8.80 (d, 1H), 8.26-8.30 (m, 2H), 7.85 (d, 1H), 7.70 (d, 1H), 7.60 (q, 1H), 7.54 (m, 1H), 7.44 (t, 2H), 7.20 (t, 2H), 6.80 (d, 1H), 4.00 (s, 2H), 3.94 (s, 3H), 2.78 (s, 6H). MS (EI) for $C_{28}H_{27}N_7O_4S$: 558.3 (MH⁺).

Example 106: *N*-(3-(*N*-(3-(2-bromo-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(dimethylamino)acetamide. The title compound was prepared according to the Examples above. ¹H NMR (400 MHz, DMSO) δ 10.6 (s, 1H), 9.4 (s, 1H), 8.9 (s, 1H), 8.25 (s, 1H), 7.78 (d, 1H), 7.70 (d, 1H), 7.54 (d, 1H), 7.48 (d, 1H), 7.40 (t, 2H), 6.56 (d, 1H), 4.02 (s, 2H), 3.82 (s, 3H), 2.80 (s, 6H). MS (EI) for $C_{25}H_{25}BrN_6O_4S$: 586.79, 584.91 (MH⁺).

Example 107: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-3-(hydroxyamino)benzenesulfonamide. MS (EI) for $C_{22}H_{21}N_5O_5S$: 468.1 (MH⁺).

Example 108: *N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-fluoroethylamino)acetamide. The title compound was prepared according to the Examples above. ¹H NMR (400 MHz, DMSO) δ 10.6 (s, 1H), 9.4 (s, 1H), 8.9 (d, 1H), 8.20 (s, 1H), 7.78 (d, 1H), 7.70 (d, 1H), 7.48 (m, 1H), 7.36-7.44 (m, 3H), 7.20 (q, 3H), 6.6 (m, 1H), 4.78 (t, 1H), 4.66 (t, 1H), 3.94 (s, 2H), 3.82 (s, 3H), 3.4 (t, 1H), 3.3 (t, 1H). MS (EI) for $C_{25}H_{24}ClFN_6O_4S$: 559.2, 561.2 (MH⁺).

Example 109: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)formamide. ¹H NMR (400 MHz, DMSO) δ 12.4 (br s, 1H), 10.5 (s, 1H), 8.90 (s, 1H), 8.3 (s, 1H), 7.9 (br s, 1H), 7.85 (d, 1H), 7.75 (d, 1H), 7.5-7.6 (m, 2H), 7.3-7.4 (m, 4H), 6.2 (s, 1H), 3.8 (s, 3H). MS (EI) for $C_{23}H_{21}N_5O_5S$: 480.1 (MH⁺).

Example 110: *N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(3-(dimethylamino)azetidin-1-yl)acetamide. ¹H NMR (400 MHz, DMSO) δ 10.2 (br s, 1H), 9.5 (s, 1H), 8.95 (d, 1H), 8.2 (s, 1H), 7.75 (d, 1H), 7.65 (d, 1H), 7.45 (d, 1H), 7.40 (d, 1H), 7.30-7.35 (t, 1H), 7.1-7.2 (q, 2H), 6.60 (m, 1H), 3.82 (s, 3H). MS (EI) for $C_{28}H_{30}ClN_7O_4S$: 480.1 (MH⁺).

Example 111: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(pyrrolidin-1-yl)acetamide. MS (EI) for $C_{28}H_{30}N_6O_5S$: 563.18 (MH⁺).

Example 112: 3-amino-*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. ¹H NMR (400 MHz, DMSO) δ 12.2 (br s, 1H), 8.85 (s, 1H), 7.90 (br s, 1H), 7.50-7.60 (m, 1H), 7.3-7.4 (m, 4H), 7.2 (m, 3H), 6.74 (m, 1H), 6.24 (m, 1H), 5.56 (br s, 2H), 3.76 (s, 6H). MS (EI) for $C_{22}H_{21}N_5O_4S$: 452.0 (MH⁺).

Example 113: *N*-(3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(ethyl(methylamino)acetamide. ¹H NMR (400 MHz, DMSO) δ 12.0 (s, 1H), 10.6 (s, 1H), 9.65 (s, 1H), 9.5 (s, 1H), 8.95 (s, 1H), 8.25 (s, 1H), 7.8 (d, 1H), 7.70 (d, 1H), 7.45-7.50 (d, 1H), 7.3-7.4 (m, 3H), 7.2 (t, 2H), 6.60 (d, 1H), 4.02 (br s, 2H), 3.82 (s, 3H), 3.14 (br s, 2H), 2.80 (s, 3H) 1.2 (t, 3H). MS (EI) for C₂₆H₂₇ClN₇O₄S: 555.2, 557.3 (MH⁺).

Example 114: *N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(3-(piperidin-1-yl)azetidin-1-yl)acetamide. MS (EI) for C₃₁H₃₄ClN₇O₄S 2.0 x C₂H₁O₂F₃: 636.3, 638.3 (MH⁺).

Example 115: *N*-(3-(*N*-(3-(3-fluoro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(methylamino)acetamide. MS (EI) for C₂₄H₂₃FN₆O₄S: 511.04 (MH⁺).

Example 116: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-1-methylpiperidine-4-carboxamide. MS (EI) for C₂₉H₃₂N₆O₅S 1.0 x C₂H₄O₂: 577.2 (MH⁺).

Example 117: *N*-(3-(*N*-(3-(3-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(methylamino)acetamide. ¹H NMR (400 MHz, DMSO) δ 10.6 (s, 1H), 8.82 (s, 1H), 8.22 (t, 1H), 7.86 (t, 1H), 7.76 (m, 1H), 7.66 (m, 1H), 7.46 (m, 1H), 7.41 (m, 1H), 7.38 (t, 1H), 7.28 (m, 1H), 7.24 (t, 1H), 7.12 (m, 2H), 6.56 (d, 1H), 3.88 (s, 2H), 3.80 (s, 3H), 2.60 (s, 3H). MS (EI) for C₂₄H₂₄N₆O₄S: 492.99 (MH⁺).

Example 118: *N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2,2,2-trifluoroethylamino)acetamide. ¹H NMR (400 MHz, DMSO) δ 10.4 (s, 1H), 9.2 (s, 1H), 8.65 (s, 1H), 8.4 (s, 1H), 8.00 (m, 1H), 7.80 (d, 1H), 7.75 (d, 1H), 7.65 (q, 1H), 7.55 (t, 1H), 7.40-7.5 (m, 3H), 6.7 (m, 1H), 3.82 (s, 3H), 3.62 (br s, 2H), 3.55 (br d, 2H). MS (EI) for C₂₅H₂₂ClF₃N₆O₄S 1.0 x C₂H₁O₂F₃: 595.0, 597.0 (MH⁺).

Example 119: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-(piperidin-1-yl)propanamide. MS (EI) for C₃₀H₃₄N₆O₅S: 591.2 (MH⁺).

Example 120: 3-amino-*N*-(3-(2,5-dimethoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. ¹H NMR(400 MHz, DMSO) δ 12.4 (br s, 1H), 9.20 (s, 1H), 8.56 (d, 1H), 7.95 (d, 1H), 7.62 (m, 1H), 7.38 (m, 2H), 7.24 (q, 2H), 7.14 (d, 1H), 6.98 (d, 1H), 6.8 (m, 1H), 6.60 (m, 1H), 5.6 (br s, 2H), 3.78 (d, 6H). MS (EI) for C₂₁H₂₁N₅O₄S: 452.3 (MH⁺).

Example 121: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-4-(dimethylamino)butanamide. MS (EI) for C₂₈H₃₂N₆O₅S 1.0 x C₂H₄O₂: 565.2 (MH⁺).

Example 122: 2-(dimethylamino)-*N*-(3-(*N*-(3-(3-fluoro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. ¹H NMR (400 MHz, DMSO) δ 10.9 (s, 1H), 9.8 (br s, 1H), 9.1 (s, 1H), 8.34 (s, 1H), 7.90 (d, 1H), 7.76 (d, 1H), 7.52-7.68 (m, 4H), 7.40 (m, 2H), 6.54 (m, 1H), 4.16 (s, 2H), 3.82 (s, 3H), 2.86 (s, 6H). MS (EI) for C₂₅H₂₅FN₆O₄S: 525.05 (MH⁺).

Example 123: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(piperidin-1-yl)acetamide. MS (EI) for C₂₉H₃₂N₆O₅S: 577.37 (MH⁺).

Example 124: 3-amino-*N*-(3-(2-chloro-5-hydroxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for C₂₀H₁₆ClN₅O₃S 1.0 x C₂H₁O₂F₃: 442.2, 444.2 (MH⁺).

Example 125: 2-(dimethylamino)-*N*-(3-(*N*-(3-(3-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. ¹H NMR (400 MHz, DMSO) δ 10.5 (s, 1H), 8.8 (s, 1H), 8.25 (s, 1H), 7.83 (t, 1H), 7.76 (d, 1H), 7.64 (d, 1H), 7.3-7.48 (m, 4H), 7.22 (t, 1H), 7.12 (t, 2H), 6.56 (m, 1H), 3.96 (s, 2H), 3.78 (s, 3H), 2.76 (s, 6H). MS (EI) for C₂₅H₂₆N₆O₄S: 507.1 (MH⁺).

Example 126: *N*-(3-(*N*-(3-(2-chloro-5-hydroxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(dimethylamino)acetamide. ¹H NMR (400 MHz, DMSO) δ 10.8 (s, 1H), 9.9 (s, 1H), 9.8 (s, 1H), 9.1 (s, 1H), 8.55 (s, 1H), 8.34 (s, 1H), 7.9-8.0 (br s, 1H), 7.82 (d, 1H), 7.76 (d, 1H), 7.52-7.66 (m, 2H), 7.42 (t, 1H), 7.26 (d, 1H), 6.50 (m, 1H), 4.16 (s, 2H), 2.86 (s, 6H). MS (EI) for C₂₄N₂₃ClN₆O₄S: 527.1, 529.0 (MH⁺).

Example 127: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-morpholinoacetamide. MS (EI) for C₂₈H₃₀N₆O₆S: 579.1 (MH⁺).

Example 128: 3-amino-*N*-(3-(6-methoxyquinolin-8-ylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for C₂₄H₂₀N₆O₃S: 473.0 (MH⁺).

Example 129: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)thiophene-2-sulfonamide. MS (EI) for C₂₀H₁₈N₄O₄S₂: 443.0 (MH⁺).

Example 130: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-3-(methylamino)benzenesulfonamide. MS (EI) for C₂₃H₂₃N₅O₄S: 466.05 (MH⁺).

Example 131: 3-amino-*N*-(3-(3-methoxy-5-nitro-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for C₂₁H₁₈N₆O₅S: 467.00 (MH⁺).

Example 132: 3-amino-*N*-(3-(3-fluoro-5-methoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for C₂₁H₁₈FN₅O₃S: 439.99 (MH⁺).

Example 134: 3-amino-*N*-(3-(3-amino-5-methoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for C₂₁H₂₀N₆O₃S: 437.2 (MH⁺).

Example 135: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-3-(dimethylamino)benzenesulfonamide. MS (EI) for C₂₄H₂₅N₅O₄S: 480.04 (MH⁺).

Example 136: *N*-(3-(2-chloro-6-methoxypyridin-4-ylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI)

for $C_{20}H_{15}ClN_6O_5S$: 496.94 (MH⁺).

Example 137: *N*-(3-(6-methoxyquinolin-8-ylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) for $C_{24}H_{18}N_6O_5S$: 502.95 (MH⁺).

Example 138: 3-nitro-*N*-(3-(pyridin-4-ylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for $C_{19}H_{14}N_6O_4S$: 423.2 (MH⁺).

Example 139: *N*-(3-(2,6-dichloropyridin-4-ylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) for $C_{19}H_{12}Cl_2N_6O_4S$: 491.1, 493.1 (MH⁺).

Example 140: *N*-(3-(2-chloropyridin-4-ylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) for $C_{19}H_{13}ClN_6O_4S$: 456.93, 458.90 (MH⁺).

Example 141: *N*-(3-(4,6-dimethoxypyrimidin-2-ylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) for $C_{20}H_{17}N_7O_6S$: 484.03 (MH⁺).

Example 142: *N*-(3-(4-hydroxy-6-methoxypyrimidin-2-ylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) for $C_{19}H_{15}N_7O_6S$: 469.97 (MH⁺).

Example 143: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-2-fluorobenzenesulfonamide. MS (EI) for $C_{22}H_{19}FN_4O_4S$: 455.3 (MH⁺).

Example 144: *N*-(3-(2-bromo-5-methoxyphenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) for $C_{21}H_{16}BrN_5O_5S$: 531.82, 532.84 (MH⁺).

Example 145: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-4-methylbenzenesulfonamide. MS (EI) for $C_{23}H_{22}N_4O_4S$: 451.0 (MH⁺).

Example 146: *N*-(3-(2,5-dimethoxyphenylamino)-7-methylquinoxalin-2-yl)benzenesulfonamide. MS (EI) for $C_{23}H_{22}N_4O_4S$: 451.0 (MH⁺).

Example 147: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) for $C_{22}H_{19}N_5O_6S$: 481.9 (MH⁺).

Example 148: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) for $C_{24}H_{23}N_5O_5S$: 494.0 (MH⁺).

Example 149: *N*-(3-(2,5-dimethoxyphenylamino)quinoxalin-2-yl)-4-methylbenzenesulfonamide. MS (EI) for $C_{23}H_{22}N_4O_4S$: 451.0 (MH⁺).

Example 150: *N*-(3-(3-fluoro-5-methoxy-phenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) for $C_{21}H_{16}FN_5O_5S$: 470.0 (MH⁺).

Example 151: 4-bromo-*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for $C_{22}H_{19}BrN_4O_4S$: 516.9, 514.9 (MH⁺).

Example 152: *N*-(3-(3-methoxyphenylamino)quinoxalin-2-yl)-3-nitro-benzenesulfonamide. MS (EI) for $C_{21}H_{17}N_5O_5S$: 451.93 (MH⁺).

Example 153: *N*-(3-(2-chloro-5-hydroxy-phenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) for $C_{20}H_{14}ClN_5O_5S$: 472.15, 474.13 (MH⁺).

Example 154: *N*-(3-(3-methoxy-5-nitro-phenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) for $C_{21}H_{16}N_6O_7S$: 496.94 (MH⁺).

Example 155: *N*-(3-(benzo[d][1,3]dioxol-5-ylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) for $C_{21}H_{15}N_5O_6S$: 466.2 (MH⁺).

Example 156: *N*-(3-(3-hydroxyphenylamino)quinoxalin-2-yl)-3-nitro-benzenesulfonamide. MS (EI) for $C_{20}H_{15}N_5O_5S$: 438.16 (MH⁺).

Example 157: 3-nitro-*N*-(3-(3-(trifluoromethoxy)-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for $C_{21}H_{14}F_3N_5O_5S$: 506.19 (MH⁺).

Example 158: 3-nitro-*N*-(3-(pyridin-3-ylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for $C_{19}H_{14}N_6O_4S$: 423.15 (MH⁺).

Example 159: 3-(3-(3-nitrophenylsulfonamido)quinoxalin-2-ylamino)phenyl dimethylcarbamate. MS (EI) for $C_{23}H_{20}N_6O_6S$: 509.01 (MH⁺).

Example 160: *N*-(3-(2-chloropyridin-3-ylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) for $C_{19}H_{13}ClN_6O_4S$: 456.91 (MH⁺).

Example 161: *N*-(3-(3-isopropoxyphenylamino)quinoxalin-2-yl)-3-nitrobenzenesuffonamide. MS (EI) for $C_{23}H_{21}N_5O_5S$: 480.3 (MH⁺).

Example 162: *N*-(3-(3-hydroxy-2-methyl-phenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) for $C_{21}H_{17}N_5O_5S$: 452.2 (MH⁺).

Example 163: *N*-(3-(2,5-difluorophenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) for $C_{20}H_{13}F_2N_5O_4S$: 458.2 (MH⁺).

Example 164: *N*-(3-(3-(difluoromethoxy)phenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) for $C_{21}H_{15}F_2N_5O_5S$: 488.2 (MH⁺).

Example 165: *N*-(3-(2-methoxypyridin-3-ylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) for

$C_{20}H_{16}N_6O_5S$: 453.01 (MH+),

Example 166: *N*-(3-(3-ethoxyphenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) for $C_{22}H_{19}N_5O_5S$: 466.2 (MH+).

Example 167: *N*-(3-(2,2-difluorobenzo[d][1,3]dioxol-4-ylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) for $C_{21}H_{13}F_2N_5O_6S$: 502.2 (MH+).

Example 168: *N*-(3-(3-(3-nitrophenylsulfonamido)quinoxalin-2-ylamino)phenyl)acetamide. MS (EI) for $C_{22}H_{18}N_6O_5S$: 479.2 (MH+).

Example 169: *N*-(3-(4-amino-1*H*-indol-1-yl)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) for $C_{22}H_{16}N_6O_4S$: 461.2 (MH+).

Example 170: *N*-(3-(1*H*-indol-4-ylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) for $C_{22}H_{16}N_6O_4S$: 461.2 (MH+).

Example 171: *N*-(3-(1*H*-indazol-6-ylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) for $C_{21}H_{15}N_7O_4S$: 461.96 (MH+).

Example 172: *N*-(4-methoxy-3-(3-(3-nitro-phenylsulfonamido)quinoxalin-2-ylamino)phenyl)acetamide. MS (EI) for $C_{23}H_{20}N_6O_6S$: 508.97 (MH+).

Example 173: *N*-(3-(4-methylpyridin-3-ylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) for $C_{20}H_{16}N_6O_4S$: 436.93 (MH+).

Example 174: *N*-(3-(3,4-dimethoxyphenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) for $C_{22}H_{19}N_5O_6S$: 481.94 (MH+).

Example 175: *N*-(3-(1*H*-pyrazolo[3,4-*d*]pyrimidin-4-ylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) for $C_{19}H_{13}N_9O_4S$: 463.96 (MH+).

Example 176: *N*-(3-(benzoldioxazol-4-ylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) for $C_{21}H_{14}N_6O_5S$: 462.99 (MH+).

Example 177: *N*-(3-(2,6-difluoro-3-methoxy-phenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) for $C_{21}H_{15}F_2N_5O_5S$: 487.89 (MH+).

Example 178: *N*-(3-(3,5-dihydroxyphenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) for $C_{20}H_{15}N_5O_6S$: 453.96 (MH+).

Example 179: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)naphthalene-2-sulfonamide. MS (EI) for $C_{26}H_{22}N_4O_4S$: 487.0 (MH+).

Example 180: *N*-(3-(2,5-dimethoxyphenylamino)-6,7-dimethylquinoxalin-2-yl)benzenesulfonamide. MS (EI) for $C_{24}H_{24}N_4O_4S$: 465.3 (MH+).

Example 181: 2-amino-*N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-4-methylphenyl)-2-methylpropanamide. MS (EI) for $C_{26}H_{27}ClN_6O_4S$: 556.12 (MH+).

Example 182: *N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(dimethylamino)acetamide. MS (EI) for $C_{25}H_{25}ClN_6O_4S$: 542.05 (MH+).

Example 183: 2-amino-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) for $C_{24}H_{24}N_6O_5S$: 509.59 (MH+).

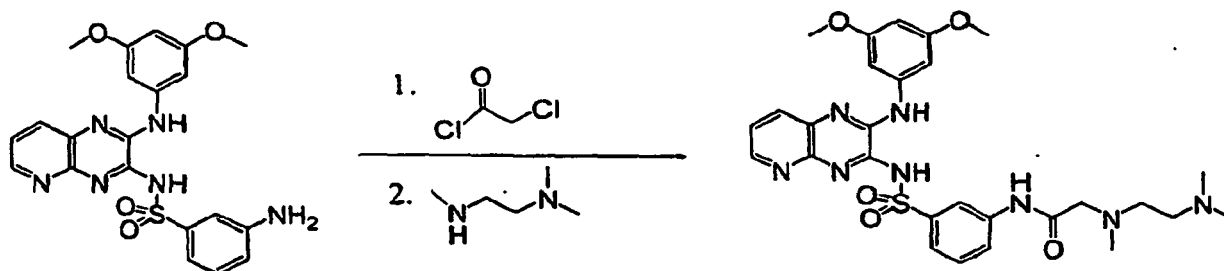
Example 184: 3-amino-*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for $C_{21}H_{18}ClN_5O_3S$: 457.02 (MH+).

Example 185: 3-amino-*N*-(2-(3,5-dimethoxy-phenylamino)pyrido[2,3-*b*]pyrazin-3-yl)benzenesulfonamide. MS (EI) for $C_{21}H_{20}N_6O_4S$: 453.62 (MH+).

Example 186

N-(3-((2-([3,5-bis(methyloxy)phenyl]amino)pyrido[2,3-*b*]pyrazin-3-yl)amino)sulfonyl)phenyl)-*N*-2-[2-(dimethylamino)ethyl]-*N*-2-methylglycinamide

[0426]



[0427] To a THF suspension (1.3 mL) of 3-amino-*N*-(3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)benzenesulfonamide (126 mg, 0.28 mmol) was added 0.143 mL of 2M aqueous Na_2CO_3 . To this yellow suspension is added dropwise 33 μL (0.42 mmol) of chloroacetyl chloride. The reaction mixture turns clear after a few minutes and is allowed to stir at 23°C for 1h. To the reaction is added a DMSO (1 mL) solution containing 180 μL (1.4 mmol) of *N,N,N'* trimethylethylenediamine. The reaction is then warmed to 60°C and stirred for 18h. The product is isolated by preparative RP-HPLC ($\text{NH}_4\text{OAc}/\text{ACN}$) gradient, the appropriate fractions were pooled and lyophilize to give a solid yellow as the acetic acid salt: 59 mg (51%). $^1\text{H-NMR}$ (400 MHz, CDCl_3): δ 10.1 (br s, 1), 8.37 (br s, 2), 8.18 (d, 1), 7.97 (d, 1), 7.60 (br d, 1), 7.27 (s, 2), 7.20 (br s, 3), 6.15 (s, 1), 3.82 (m, 2), 3.65 (s, 6), 3.20 (br m, 2), 2.82 (br s, 8), 2.42 (s, 3), 2.02 (s, 3). MS (EI) for $\text{C}_{28}\text{H}_{34}\text{N}_8\text{O}_5\text{S}$: 595.84 (MH⁺).

[0428] The following title compounds were prepared according to the above Examples.

Example 187: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-3-ureidobenzenesulfonamide. MS (EI) for $\text{C}_{23}\text{H}_{22}\text{N}_6\text{O}_5\text{S}$: 495.40 (MH⁺).

Example 188: 3-amino-*N*-(3-(5-methoxy-2-methyl-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for $\text{C}_{22}\text{H}_{21}\text{N}_5\text{O}_3\text{S}$: 436.32 (MH⁺).

Example 189: 2-(dimethylamino)-*N*-(3-(*N*-(3-(5-methoxy-2-methylphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) for $\text{C}_{26}\text{H}_{28}\text{N}_6\text{O}_4\text{S}$: 521.69 (MH⁺).

Example 190: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(4-methylpiperazin-1-yl)acetamide. MS (EI) for $\text{C}_{29}\text{H}_{33}\text{N}_7\text{O}_5\text{S}$: 592.61 (MH⁺).

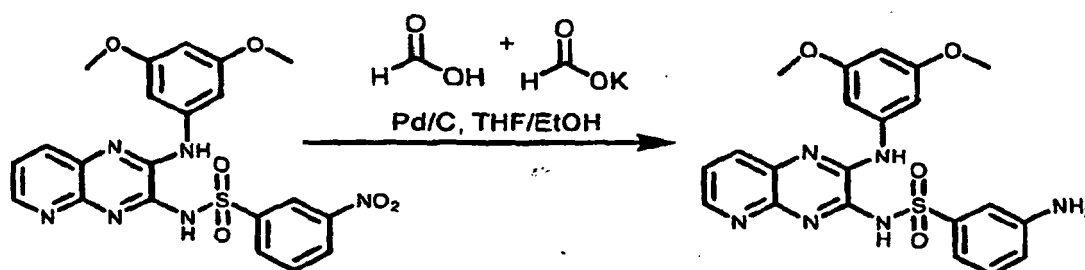
Example 191: 2-acetamido-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) for $\text{C}_{26}\text{H}_{26}\text{N}_6\text{O}_6\text{S}$: 550.59 (MH⁺).

Example 192: *tert*-butyl 2-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenylamino)-2-oxoethylcarbamate. MS (EI) for $\text{C}_{29}\text{H}_{32}\text{N}_6\text{O}_7\text{S}$: 609.32 (MH⁺).

Example 193

3-amino-*N*-(3-([3,5-bis(methoxy)phenyl]amino)pyrido[2,3-*b*]pyrazin-2-yl)benzenesulfonamide

[0429]



[0430] To a 1:1 THF/EtOH suspension (1 mL) of 3-nitro-*N*-(3-([3,5-bis(methoxy)-phenyl]amino)pyrido[2,3-*b*]pyrazin-2-yl)benzenesulfonamide (100 mg, 0.21 mmol) was added 46 μL (0.63 mmol) of formic acid plus 100mg (0.63 mmol) of potassium formate and 100 mg of 10% palladium on charcoal. After refluxing the reaction for 1h, hot filtration through celite, and concentration, the product is isolated by preparative RP-HPLC ($\text{NH}_4\text{OAc}/\text{ACN}$) gradient. The appropriate

fractions were pooled and lyophilize to give solid yellow product: 3.2 mg (4%). $^1\text{H-NMR}$ (400 MHz, CDCl_3): δ 8.62 (d, 1), 8.52 (s, 1), 7.62 (d, 1), 7.3 (m, 4), 7.18 (d, 2), 6.88 (d, 1), 6.27 (t, 1), 3.96 (br s, 2), 3.83 (s, 6). MS (EI) for $\text{C}_{21}\text{H}_{20}\text{N}_6\text{O}_4\text{S}$:

453.22 (MH⁺).

[0431] The following title compounds were prepared according to the above Examples.

Example 194: 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-methyl-1-(piperidin-1-yl)propan-2-yl)benzamide. MS (EI) for C₃₁H₃₅ClN₆O₄S: 623.06 (MH⁺).

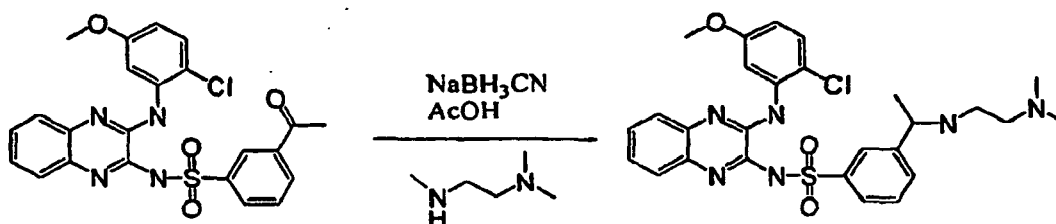
Example 195: 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-methyl-1-oxo-1-(piperidin-1-yl)propan-2-yl)benzamide. MS (EI) for C₃₁H₃₃ClN₆O₅S: 637.65 (MH⁺).

Example 196: *N*-(2-(3,5-dimethoxyphenylamino)pyrido[2,3-*b*]pyrazin-3-yl)-3-nitrobenzenesulfonamide. MS (EI) for C₂₁H₁₈N₆O₆S: 483.78 (MH⁺).

Example 197

N-(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-(1-{[2-(dimethylamino)ethyl]amino}ethyl)benzenesulfonamide trifluoroacetic acid salt

[0432]

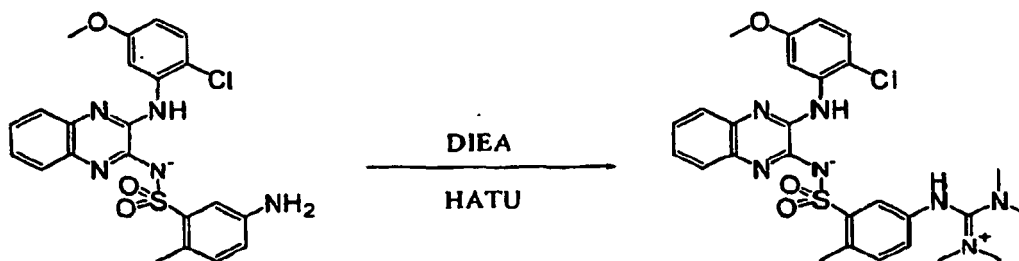


[0433] To a dichloroethane solution (0.6 mL) of 3-acetyl-*N*-(3-{[2-chloro-5-(methyloxy)-phenyl]amino} quinoxalin-2-yl) benzenesulfonamide (150 mg, 0.31 mmol) and 51 μ L (0.37 mmol) of *N,N*-dimethylethylenediamine was added 19 μ L of acetic acid followed by 132 mg (0.62 mmol) of sodium cyanoborohydride. The reaction mixture was refluxed for 18h under a nitrogen atmosphere. After concentration (in vacuo), the product is isolated by preparative RP-HPLC (0.1 % TFA/ACN) gradient, followed by lyophilization of appropriate fractions to give solid yellow solid: 189 mg (90%). ¹H-NMR (400 MHz, *d*₃-MeOD): δ 8.74 (s, 1), 8.18 (s, 1), 8.12 (d, 1), 7.71 (m, 3), 7.48 (m, 4), 7.28 (d, 1), 6.63 (d, 1), 4.38 (q, 1), 3.80 (s, 3), 3.30 (m, 3), 3.12 (m, 1), 2.84 (s, 3), 1.60 (d, 3). MS (EI) for C₂₇H₃₁ClN₆O₃S: 555.56 (MH⁺).

Example 198

N,N-{[(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)aminolsulfonyl]-4-methylphenyl}amino)(dimethylamino)methylidene]-*N*-methylmethanaminium

[0434]



[0435] To a dimethylformamide solution (1 mL) of 3-amino-*N*-(3-{[2-chloro-5-(methyloxy)-phenyl]amino}quinoxalin-2-yl)-2-methylbenzenesulfonamide (200 mg, 0.40 mmol) is added 312 μ L (1.8 mmol) of Hunig's base and 122 mg (0.6 mmol) of HATU. After stirring for 18h at 60°C, the product was precipitated from a 1:1 mixture of hexane/ethyl acetate, filtered and dried to afford 60 mg (26%). ¹H NMR (400 MHz, *d*₆-DMSO): δ 9.26 (br s, 1), 8.96 (br s, 1), 7.80 (s, 1), 7.51 (br s, 1), 7.45 (d, 1), 7.18 (br m, 4), 6.91 (br s, 1), 6.60 (br d, 1), 3.82 (s, 3), 3.36 (s, 3), 2.85 (s, 6), 2.58 (s, 3). MS (EI) for C₂₇H₃₁ClN₇O₃S⁺: 569.32 (MH⁺).

[0436] The following title compounds were prepared according to the above Examples.

Example 199: 3-acetyl-*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for

$C_{23}H_{19}ClN_4O_4S$: 483.08 (MH⁺).

Example 200: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for $C_{22}H_{20}N_4O_4S$: 437.49 (MH⁺).

Example 201: *N*-(3-(5-methoxy-2-methyl-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for $C_{22}H_{20}N_4O_3S$: 421.46 (MH⁺).

Example 202: *N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for $C_{21}H_{17}ClN_4O_3S$: 440.59 (MH⁺).

Example 203: *N*-(3-(2,5-dimethoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for $C_{22}H_{20}N_4O_4S$: 437.53 (MH⁺).

Example 204: 4-chloro-*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for $C_{22}H_{19}ClN_4O_4S$: 470.54 (MH⁺).

Example 205: *N*-(3-(5-methoxy-2-methyl-phenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) for $C_{22}H_{19}N_5O_5S$: 466.32 (MH⁺).

Example 206: *N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) for $C_{21}H_{16}ClN_5O_5S$: 485.86 (MH⁺).

Example 207: *N*-(3-(2-chloro-5-(difluoromethoxy)-phenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) for $C_{21}H_{14}ClF_2N_5O_5S$: 521.92 (MH⁺).

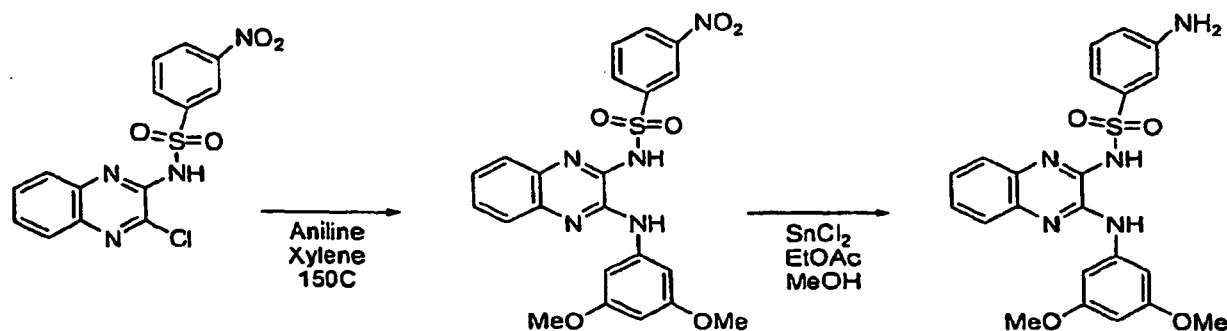
Example 208: *N*-(3-(4-chloro-2,5-dimethoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for $C_{22}H_{19}ClN_4O_4S$: 470.99 (MH⁺).

Example 209: *N*-(3-(4-morpholinophenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for $C_{24}H_{23}N_5O_3S$: 461.54 (MH⁺).

Example 210

3-amino-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide.

[0437]



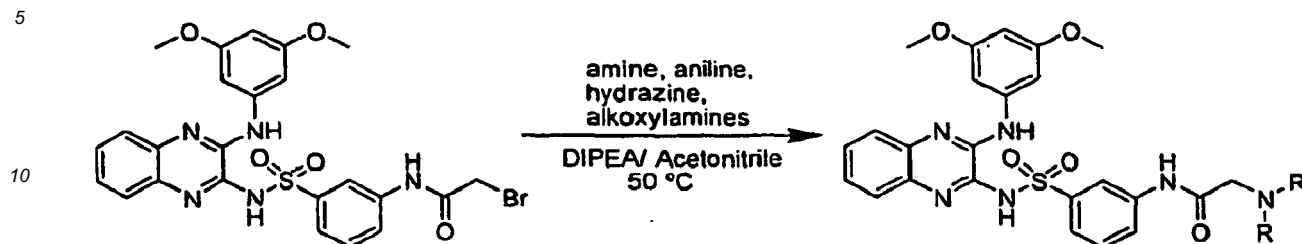
[0438] *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. A flask was charged with *N*-(3-chloroquinoxalin-2-yl)-3-nitrobenzenesulfonamide (5 g, 13.7 mmol), 3,5-dimethoxyaniline (4.2 g, 27.4 mmol), and 80 mL of Xylene. The reaction mixture was stirred under an N_2 atmosphere at 150 °C for 3 hours, after which time, solvent was removed on a rotary evaporator, and 10 mL of Dichloromethane and 50 mL of Methanol were added. The slurry was heated to reflux and filtered while hot, resulting in 4.6 g (69.7 %) of *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide MS (EI) for $C_{22}H_{19}N_5O_6S$: 482.2 (MH⁺).

Example 211

[0439] 3-amino-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide A flask was charged with *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide (3.4g, 7.06 mmol), tin chloride hydrate (6.4 g, 28.2 mmol), and 30 mL of DMA. A few drops of water were added and the reaction mixture was stirred at 80 °C for 3 hours, after which time, solvent was removed on a rotary evaporator, and 50 mL of water and 10 mL of Methanol were added. The slurry was filtered, and the filtrate was washed with MeOH, water, and diethyl ether (20 mL of each), resulting in 3.25 g 3-amino-*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) for $C_{22}H_{21}N_5O_4S$: 461.5 (MH⁺).

General Library Alkylation Procedure 1

[0440]



[0441] Into a 2-dram vial was placed 2-bromo-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl) sulfamoyl) phenyl) acetamide (86 mg, 0.15 mmol) along with 2 mL of acetonitrile. Eight equivalents (1.2 mmol) of the desired amine, aniline, hydrazine or alkoxyamine were added followed by the addition of Hunig's Base (41 μ L, 0.25 mmol). The reaction then was stirred at 50° C for one hour (overnight for aniline reagents). Preparative reverse-phase HPLC was used to isolate the desired product directly from the crude reaction mixture. A Waters Fractionlynx preparative reverse-phase HPLC; equipped with a Waters SunFire Prep C18, OCD 5 μ M, 30 X 70 mm column and running a 5-100 % gradient with a binary solvent system of 25 mM ammonium acetate in water/acetonitrile; was used to carry out the purification.

[0442] The following title compounds were prepared according to **General Library Alkylation Procedure 1**

Example 212: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(methylamino) acetamide. ¹H-NMR (400MHz, *d*₆-DMSO): 8.81 (s, 1H), 8.23 (t, 1H), 7.75 (d, 1H), 7.66 (d, 1H), 7.41-7.38 (m, 1H), 7.35 (m, 1H), 7.32 (d, 2H), 7.29-7.27 (m, 1H), 7.14-7.11 (m, 2H), 6.14 (t, 1H), 3.80 (s, 1H), 3.78 (s, 6H), 2.58 (s, 3H), 1.91 (s, 2H); MS (EI) C₂₁H₂₆N₆O₅S: 523.6 (MH⁺).

Example 213: 2-(cyclopropylmethylamino)-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. ¹H-NMR (400MHz, *d*₆-DMSO): 10.58 (s, 1H), 8.81 (s, 1H), 8.20 (t, 1H), 7.76 (d, 1H), 7.67 (d, 1H), 7.42-7.36 (m, 2H), 7.32 (d, 2H), 7.27 (s, 1H), 7.14-7.12 (m, 2H), 6.15 (t, 1H), 3.93 (s, 2H), 3.78 (s, 6H), 2.89 (s, 1H), 2.88 (s, 1H), 1.05-1.00 (m, 1H), 0.59 (d, 1H), 0.57 (d, 1H), 0.35 (d, 1H), 0.34 (d, 1H); MS (EI) C₂₈H₃₀N₆O₅S: 563.6 (MH⁺).

Example 214: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-hydroxypropylamino)acetamide. ¹H-NMR (400MHz, *d*₆-DMSO): 10.49 ppm (s, 1H), 8.81 ppm (s, 1H), 8.23 ppm (t, 1H), 8.13 ppm (s, 1H), 7.76 ppm (d, 1H), 7.765-7.763 (dd, 1H), 7.41-7.37 ppm (m, 2H), 7.33-7.32 ppm (d, 1H), 7.30-7.28 ppm (m, 1H), 7.16-7.09 ppm (m, 2H), 6.55 ppm (s, 1H), 6.14 ppm (t, 1H), 5.49 ppm (d, 2H), 5.25 ppm (s, 1H), 3.85 ppm (s, 1H), 3.78 ppm (s, 6H) 3.67-3.59 ppm (m, 1H), 3.00-2.89 ppm (dd, 1H), 2.79-2.76 ppm (m, 1H), 1.10 ppm (d, 1H), 1.01-0.99 ppm (d, 1H); MS (EI) C₂₇H₃₀N₆O₆S: 566.6 (MH⁺).

Example 215: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(3-fluorobenzylamino)acetamide. ¹H-NMR (400MHz, *d*₆-DMSO): 10.42 ppm (s, 1H), 8.82 ppm (s, 1H), 8.23 ppm (s, 1H), 8.14 ppm (s, 1H), 7.75 ppm (d, 1H), 7.65 ppm (d, 1H), 7.49-7.32 ppm (m, 6H), 7.25-7.20 ppm (m, 1H), 7.14-7.12 ppm (m, 2H), 6.55 ppm (s, 1H), 6.15 ppm (t, 1H), 4.14 ppm (s, 2H), 3.78 ppm (s, 6H), 3.74 ppm (s, 2H); MS (EI) C₃₁H₂₉FN₆O₅S: 616.7 (MH⁺).

Example 216: 2-(benzylamino)-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) C₃₁H₃₀N₆O₅S: 599 (MH⁺).

Example 217: 2-(diethylamino)-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) C₂₈H₃₂N₆O₅S: 565 (MH⁺).

Example 218: 2-(4-(3,4-dichlorophenyl)piperazin-1-yl)-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) C₃₄H₃₃Cl₂N₇O₅S: 722 (MH⁺).

Example 219: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2,2-dimethylhydrazinyl)acetamide. MS (EI) C₂₆H₂₉N₇O₅S: 552 (MH⁺).

Example 220: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(*p*-tolylamino)acetamide. MS (EI) C₃₁H₃₀N₆O₅S: 599 (MH⁺).

Example 221: 2-(benzyloxyamino)-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) C₃₁H₃₀N₆O₆S: 615 (MH⁺).

Example 222: 2-(2-chlorophenylamino)-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) C₃₀H₂₇ClN₆O₅S: 619 (MH⁺).

Example 223: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(isopropylamino)acetamide. MS (EI) C₂₇H₃₀N₆O₅S: 551 (MH⁺).

Example 224: 2-(4-cyclopentylpiperazin-1-yl)-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) C₃₃H₃₉N₇O₅S: 646 (MH⁺).

Example 225: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(4-propylpiperidin-1-yl)acetamide. MS (EI) $C_{32}H_{38}N_6O_5S$: 619 (MH⁺).

Example 226: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(isobutoxyamino)acetamide. MS (EI) $C_{28}H_{32}N_6O_6S$: 581 (MH⁺).

Example 227: 2-(3-*tert*-butylphenylamino)-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) $C_{34}H_{36}N_6O_5S$: 641 (MH⁺).

Example 228: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-phenylpropan-2-ylamino)acetamide. MS (EI) $C_{33}H_{34}N_6O_5S$: 627 (MH⁺).

Example 229: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(3-fluoro-4-hydroxyphenylamino)acetamide. MS (EI) $C_{30}H_{27}FN_6O_6S$: 619 (MH⁺).

Example 230: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-(methylthio)benzylamino)acetamide. MS (EI) $C_{32}H_{32}N_6O_5S_2$: 645 (MH⁺).

Example 231: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(5-fluoro-2-methylbenzylamino)acetamide. MS (EI) $C_{32}H_{31}FN_6O_5S$: 631 (MH⁺).

Example 232: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-phenylpyrrolidin-1-yl)acetamide. MS (EI) $C_{34}H_{34}N_6O_5S$: 639 (MH⁺).

Example 233: 2-(2-benzylpyrrolidin-1-yl)-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) $C_{35}H_{36}N_6O_5S$: 653 (MH⁺).

Example 234: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-phenylmorpholino)acetamide. MS (EI) $C_{34}H_{34}N_6O_6S$: 655 (MH⁺).

Example 235: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-(pyridin-4-yl)piperidin-1-yl)acetamide. MS (EI) $C_{34}H_{35}N_7O_5S$: 654 (MH⁺).

Example 236: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(*o*-tolylamino)acetamide. MS (EI) $C_{31}H_{30}N_6O_5S$: 599 (MH⁺).

Example 237: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2,4-dimethylbenzylamino)acetamide. MS (EI) $C_{33}H_{34}N_6O_5S$: 627 (MH⁺).

Example 238: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(methyl(pyridin-3-ylmethyl)amino)acetamide. MS (EI) $C_{31}H_{31}N_7O_5S$: 614 (MH⁺).

Example 239: 2-(3-chloro-4-methylbenzylamino)-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) $C_{32}H_{31}ClN_6O_5S$: 647 (MH⁺).

Example 240: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-((2-(dimethylamino)-ethyl)(methyl)amino)acetamide. MS (EI) $C_{29}H_{35}N_7O_5S$: 594 (MH⁺).

Example 241: 2-(4-acetylpiperazin-1-yl)-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) $C_{30}H_{33}N_7O_6S$: 620 (MH⁺).

Example 242: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(methyl(1-methylpyrrolidin-3-yl)amino)acetamide. MS (EI) $C_{30}H_{35}N_7O_5S$: 606 (MH⁺).

Example 243: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(4-methyl-1,4-diazepan-1-yl)acetamide. MS (EI) $C_{30}H_{35}N_7O_5S$: 606 (MH⁺).

Example 244: 2-(4-allylpiperazin-1-yl)-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) $C_{31}H_{35}N_7O_5S$: 618 (MH⁺).

Example 245: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(4-isopropylpiperazin-1-yl)acetamide MS (EI) $C_{31}H_{37}N_7O_5S$: 620 (MH⁺).

Example 246: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(3-(dimethylamino)pyrrolidin-1-yl)acetamide. MS (EI) $C_{30}H_{35}N_7O_5S$: 606 (MH⁺).

Example 247: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(3-(dimethylamino)azetidin-1-yl)acetamide. MS (EI) $C_{29}H_{33}N_7O_5S$: 592 (MH⁺).

Example 248: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(4-oxopiperidin-1-yl)acetamide. MS (EI) $C_{29}H_{30}N_6O_6S$: 591 (MH⁺).

Example 249: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-((2-methoxyethyl)(methyl)amino)acetamide. MS (EI) $C_{28}H_{32}N_6O_6S$: 581 (MH⁺).

Example 250: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(4-methylbenzylamino)acetamide. MS (EI) $C_{32}H_{32}N_6O_6S$: 629 (MH⁺).

Example 251: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-methoxybenzylamino)acetamide. MS (EI) $C_{32}H_{32}N_6O_7S$: 645 (MH⁺).

Example 252: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(propylamino)acetamide. MS (EI) $C_{27}H_{30}N_6O_5S$: 551 (MH⁺).

Example 253: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(ethyl(methyl)amino)acetamide. MS (EI) $C_{27}H_{30}N_6O_5S$: 551 (MH⁺).

Example 254: 2-(allyl(methyl)amino)-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide.

nyl)acetamide. MS (EI) $C_{28}H_{30}N_6O_5S$: 563 (MH⁺).

Example 255: 2-(tert-butylamino)-N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) $C_{28}H_{32}N_6O_5S$: 565 (MH⁺).

Example 256: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(isobutylamino)acetamide. MS (EI) $C_{28}H_{32}N_6O_5S$: 565 (MH⁺).

Example 257: 2-(butylamino)-N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) $C_{28}H_{32}N_6O_5S$: 565 (MH⁺).

Example 258: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(isopropyl(methyl)amino)acetamide. MS (EI) $C_{28}H_{32}N_6O_5S$: 565 (MH⁺).

Example 259: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(4-formylpiperazin-1-yl)acetamide. MS (EI) $C_{29}H_{31}N_7O_6S$: 606 (MH⁺).

Example 260: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(4-ethylpiperazin-1-yl)acetamide. MS (EI) $C_{30}H_{35}N_7O_5S$: 606 (MH⁺).

Example 261: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(4-formyl-1,4-diazepan-1-yl)acetamide. MS (EI) $C_{30}H_{33}N_7O_6S$: 620 (MH⁺).

Example 262: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(ethyl(2-hydroxyethyl)amino)acetamide. MS (EI) $C_{28}H_{32}N_6O_6S$: 581 (MH⁺).

Example 263: (S)-N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(3-hydroxypyrrolidin-1-yl)acetamide. MS (EI) $C_{28}H_{30}N_6O_6S$: 579 (MH⁺).

Example 264: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2,6-dimethylmorpholino)acetamide. MS (EI) $C_{30}H_{34}N_6O_6S$: 607 (MH⁺).

Example 265: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-methylbenzylamino)acetamide. MS (EI) $C_{32}H_{32}N_6O_5S$: 613 (MH⁺).

Example 266: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-methoxyethylamino)acetamide. MS (EI) $C_{27}H_{30}N_6O_6S$: 567 (MH⁺).

Example 267: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(thiazolidin-3-yl)acetamide. MS (EI) $C_{27}H_{28}N_6O_5S_2$: 581 (MH⁺).

Example 268: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(3-(hydroxymethyl)piperidin-1-yl)acetamide. MS (EI) $C_{30}H_{34}N_6O_6S$: 607 (MH⁺).

Example 269: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-phenylpropylamino)acetamide. MS (EI) $C_{33}H_{34}N_6O_5S$: 627 (MH⁺).

Example 270: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(isobutyl(methyl)amino)acetamide. MS (EI) $C_{29}H_{34}N_6O_5S$: 579 (MH⁺).

Example 271: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(phenylamino)acetamide. MS (EI) $C_{30}H_{28}N_6O_5S$: 585 (MH⁺).

Example 272: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-propylphenylamino)acetamide. MS (EI) $C_{33}H_{34}N_6O_5S$: 627 (MH⁺).

Example 273: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-isopropylphenylamino)acetamide. MS (EI) $C_{33}H_{34}N_6O_5S$: 627 (MH⁺).

Example 274: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-fluoro-4-methylphenylamino)acetamide. MS (EI) $C_{31}H_{29}FN_6O_5S$: 617 (MH⁺).

Example 275: 2-(4-chlorophenylamino)-N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) $C_{30}H_{27}ClN_6O_5S$: 619 (MH⁺).

Example 276: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-methoxyphenylamino)acetamide. MS (EI) $C_{31}H_{30}N_6O_6S$: 615 (MH⁺).

Example 277: 2-(3-chlorophenylamino)-N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) $C_{30}H_{27}ClN_6O_5S$: 619 (MH⁺).

Example 278: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2,3-dimethylphenylamino)acetamide. MS (EI) $C_{32}H_{32}N_6O_5S$: 613 (MH⁺).

Example 279: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-fluorophenylamino)acetamide. MS (EI) $C_{30}H_{27}FN_6O_5S$: 603 (MH⁺).

Example 280: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(3-fluorophenylamino)acetamide. MS (EI) $C_{30}H_{27}FN_6O_5S$: 603 (MH⁺).

Example 281: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(thiophen-2-ylmethylamino)acetamide. MS (EI) $C_{29}H_{28}N_6O_5S_2$: 605 (MH⁺).

Example 282: 2-(cyclohexyl(ethyl)amino)-N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) $C_{32}H_{38}N_6O_5S$: 619 (MH⁺).

Example 283: 2-((cyclopropylmethyl)(propyl)amino)-N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) $C_{32}H_{38}N_6O_5S$: 619 (MH⁺).

phenyl)acetamide. MS (EI) $C_{31}H_{36}N_6O_5S$: 605 (MH^+).

Example 283: 2-(allyl(cyclopentyl)amino)-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) $C_{32}H_{36}N_6O_5S$: 617 (MH^+).

Example 284: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(ethyl(isopropyl)amino)acetamide. MS (EI) $C_{29}H_{34}N_6O_5S$: 579 (MH^+).

Example 285: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(ethyl(phenyl)amino)acetamide. MS (EI) $C_{32}H_{32}N_6O_5S$: 613 (MH^+).

Example 286: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-methylpyrrolidin-1-yl)acetamide. MS (EI) $C_{29}H_{32}N_6O_5S$: 577 (MH^+).

Example 287: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-methylpiperidin-1-yl)acetamide. MS (EI) $C_{30}H_{34}N_6O_5S$: 591 (MH^+).

Example 288: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(pyridin-2-ylmethylamino)acetamide. MS (EI) $C_{30}H_{29}N_7O_5S$: 600 (MH^+).

Example 289: 2-(benzyl(methyl)amino)-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) $C_{32}H_{32}N_6O_5S$: 613 (MH^+).

Example 290: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(1-phenylethylamino)acetamide. MS (EI) $C_{32}H_{32}N_6O_5S$: 613 (MH^+).

Example 291: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(3-methylpiperidin-1-yl)acetamide. MS (EI) $C_{30}H_{34}N_6O_5S$: 591 (MH^+).

Example 292: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(4-methylpiperidin-1-yl)acetamide. MS (EI) $C_{30}H_{34}N_6O_5S$: 591 (MH^+).

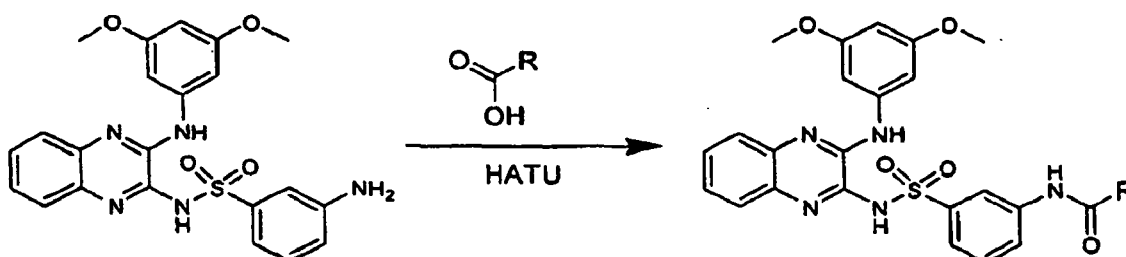
Example 293: 2-(3,4-dihydroisoquinolin-2(1H)-yl)-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) $C_{33}H_{33}N_6O_5S$: 625 (MH^+).

Example 294: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2,6-dimethylpiperidin-1-yl)acetamide. MS (EI) $C_{31}H_{36}N_6O_5S$: 605 (MH^+).

Example 295: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(3-hydroxybenzylamino)acetamide. MS (EI) $C_{31}H_{30}N_6O_6S$: 615 (MH^+).

General Library Acylation Procedure 2

[0443]



[0444] Into a 2-dram vial were added 3-amino-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide (54 mg, 0.12 mmol), DMA (2 mL) and the desired carboxylic acid (0.17 mmol). Hunig's Base (70 μ L, 0.4 mmol) followed by HATU (53 mg, 0.14 mmol) were added to the vial and the reaction mixture stirred at 50° C overnight. Preparative reverse-phase HPLC was used to isolate the desired product directly from the crude reaction mixture. A Waters Fractionlynx preparative reverse-phase HPLC; equipped with a Waters SunFire Prep C18, OCD 5 μ M, 30 X 70 mm column and running a 5-100 % gradient with a binary solvent system of 25 mM ammonium acetate in water/acetonitrile; was used to carry out the purification.

[0445] The following title compounds were prepared according to **General Library Acylation Procedure 2**.

Example 296: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)propionamide. 1H -NMR (400MHz, d_6 -DMSO): 12.37 (s, 1H), 10.20 (s, 1H), 8.88 (s, 1H), 8.37 (s, 1H), 7.93 (s, 1H), 7.77 (t, 2H), 7.59 (t, 1H), 7.51 (t, 1H), 7.41-7.34 (m, 4H), 6.24 (t, 1H), 3.76 (s, 6H), 2.36-2.31 (dd, 2H), 1.10 (s, 1H), 1.08 (s, 1H), 1.06 (s, 1H); MS (EI) $C_{25}H_{25}N_5O_5S$: 508.6 (MH^+).

Example 297: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)pyridazine-4-carboxamide. 1H -NMR (400MHz, d_6 -DMSO): 11.01 (s, 1H), 9.66 (dd, 1H), 9.52 (dd, 1H), 8.90 (s, 1H), 8.55 (s, 1H), 8.13 (dd, 1H), 7.99 (d, 1H), 7.93 (d, 1H), 7.65-7.58 (m, 2H), 7.42-7.35 (m, 4H), 6.24 (t, 1H), 3.75 (s, 6H); MS (EI) $C_{27}H_{23}N_7O_5S$: 558.6 (MH^+).

Example 298: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-methylnicotinamide. ¹H-NMR (400MHz, d₆-DMSO): 10.78 ppm (s, 1H), 8.90 ppm (s, 1H), 8.58-8.57 ppm (dd, 2H), 7.90-7.86 ppm (m, 4H), 7.60-7.56 ppm (m, 2H), 7.42-7.34 ppm (m, 5H), 6.23 ppm (t, 1H), 3.74 ppm (s, 6H), 2.57 ppm (s, 3H); MS (EI) C₂₉H₂₆N₅S: 570.6 (MH⁺).

Example 299: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(*o*-tolylloxy)acetamide. ¹H-NMR (400MHz, d₆-DMSO): 12.37 ppm (s, 1H), 10.41 ppm (s, 1H), 8.90 ppm (s, 1H), 8.41 ppm (s, 1H), 7.93 ppm (s, 1H), 7.90-7.8 ppm (m, 2H), 7.59-7.53 ppm (m, 2H), 7.42-7.33 ppm (m, 4H), 7.17-7.12 ppm (m, 2H), 6.89-6.85 ppm (m, 2H), 6.24 ppm (t, 1H), 4.74 ppm (s, 2H), 3.76 ppm (s, 6H), 2.33 ppm (s, 2H); MS (EI) C₃₁H₂₉N₅O₆S: 599.7 (MH⁺).

Example 300: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-methoxy-4-methylbenzamide. MS (EI) C₃₁H₂₉N₅O₆S: 600 (MH⁺).

Example 301: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-methoxy-4-methylbenzamide. MS (EI) C₂₈H₂₄N₆O₅S: 557 (MH⁺).

Example 302: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)thiazole-4-carboxamide. MS (EI) C₂₆H₂₂N₆O₅S₂: 563 (MH⁺).

Example 303: 2-bromo-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)thiophene-3-carboxamide. MS (EI) C₂₇H₂₂BrN₅O₅S₂: 640 (MH⁺).

Example 304: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)pivalamide. MS (EI) C₂₇H₂₉N₅O₅S: 536 (MH⁺).

Example 305: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)pent-4-enamide. MS (EI) C₂₇H₂₇N₅O₅S: 534 (MH⁺).

Example 306: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)benzamide. MS (EI) C₂₉H₂₅N₅O₅S: 556 (MH⁺).

Example 307: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)butyramide. MS (EI) C₂₆H₂₇N₅O₅S: 522 (MH⁺).

Example 308: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-methoxyacetamide. MS (EI) C₂₅H₂₅N₅O₆S: 524 (MH⁺).

Example 309: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)cyclobutanecarboxamide. MS (EI) C₂₇H₂₇N₅O₅S: 534 (MH⁺).

Example 310: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-methylcyclopropanecarboxamide. MS (EI) C₂₇H₂₇N₅O₅S: 534 (MH⁺).

Example 311: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-1-methylcyclopropanecarboxamide. MS (EI) C₂₇H₂₇N₅O₅S: 534 (MH⁺).

Example 312: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-methylbutanamide. MS (EI) C₂₇H₂₉N₅O₅S: 536 (MH⁺).

Example 313: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-ethoxyacetamide. MS (EI) C₂₆H₂₇N₅O₆S: 538 (MH⁺).

Example 314: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-methoxypropanamide. MS (EI) C₂₆H₂₇N₅O₆S: 538 (MH⁺).

Example 315: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-hydroxyacetamide. MS (EI) C₂₄H₂₃N₅O₆S: 510 (MH⁺).

Example 316: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)isobutyramide. MS (EI) C₂₆H₂₇N₅O₅S: 522 (MH⁺).

Example 317: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-1-hydroxycyclopropanecarboxamide. MS (EI) C₂₆H₂₅N₅O₆S: 536 (MH⁺).

Example 318: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)furan-3-carboxamide. MS (EI) C₂₇H₂₃N₅O₆S: 546 (MH⁺).

Example 319: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)tetrahydrofuran-3-carboxamide. MS (EI) C₂₇H₂₇N₅O₆S: 550 (MH⁺).

Example 320: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)tetrahydrofuran-2-carboxamide. MS (EI) C₂₇H₂₇N₅O₆S: 550 (MH⁺).

Example 321: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)furan-2-carboxamide. MS (EI) C₂₇H₂₃N₅O₆S: 546 (MH⁺).

Example 322: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)isonicotinamide. MS (EI) C₂₈H₂₄N₆O₅S: 557 (MH⁺).

Example 323: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-1H-pyrrole-2-carboxamide. MS (EI) C₂₇H₂₄N₆O₅S: 545 (MH⁺).

Example 324: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)pyrazine-2-carboxamide. MS (EI) C₂₇H₂₃N₇O₅S: 558 (MH⁺).

Example 325: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-1-methyl-1H-pyrrole-2-carboxamide. MS (EI) $C_{28}H_{26}N_6O_5S$: 559 (MH⁺).

Example 326: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-5-methylisoxazole-3-carboxamide. MS (EI) $C_{27}H_{24}N_6O_4S$: 561 (MH⁺).

Example 327: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)thiophene-2-carboxamide. MS (EI) $C_{27}H_{23}N_5O_5S_2$: 562 (MH⁺).

Example 328: (S)-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-1-methylpyrrolidine-2-carboxamide. MS (EI) $C_{28}H_{30}N_6O_5S$: 563 (MH⁺).

Example 329: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-methylbenzamide. MS (EI) $C_{30}H_{27}N_5O_5S$: 570 (MH⁺).

Example 330: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-phenylacetamide. MS (EI) $C_{30}H_{27}N_5O_5S$: 570 (MH⁺).

Example 331: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-methylpicolinamide. MS (EI) $C_{29}H_{26}N_6O_5S$: 571 (MH⁺).

Example 332: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(pyridin-3-yl)acetamide. MS (EI) $C_{29}H_{26}N_6O_5S$: 571 (MH⁺).

Example 333: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-6-hydroxypicolinamide. MS (EI) $C_{28}H_{24}N_6O_6S$: 573 (MH⁺).

Example 334: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-fluorobenzamide. MS (EI) $C_{29}H_{24}FN_5O_5S$: 574 (MH⁺).

Example 335: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-4-fluorobenzamide. MS (EI) $C_{29}H_{24}FN_5O_5S$: 574 (MH⁺).

Example 336: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-fluorobenzamide. MS (EI) $C_{29}H_{24}FN_5O_5S$: 574 (MH⁺).

Example 337: 2-cyclohexyl-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) $C_{30}H_{33}N_5O_5S$: 576 (MH⁺).

Example 338: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-oxocyclopentyl)acetamide. MS (EI) $C_{29}H_{29}N_5O_6S$: 576 (MH⁺).

Example 339: 4-cyclopropyl-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-4-oxobutanamide. MS (EI) $C_{29}H_{29}N_5O_6S$: 576 (MH⁺).

Example 340: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-oxocyclohexanecarboxamide. MS (EI) $C_{29}H_{29}N_5O_6S$: 576 (MH⁺).

Example 341: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-(pyridin-3-yl)propanamide. MS (EI) $C_{30}H_{28}N_6O_5S$: 585 (MH⁺).

Example 342: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-methoxybenzamide. MS (EI) $C_{30}H_{27}N_5O_6S$: 586 (MH⁺).

Example 343: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-methoxybenzamide. MS (EI) $C_{30}H_{27}N_5O_6S$: 586 (MH⁺).

Example 344: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-phenoxyacetamide. MS (EI) $C_{30}H_{27}N_5O_6S$: 586 (MH⁺).

Example 345: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-4-methoxybenzamide. MS (EI) $C_{30}H_{27}N_5O_6S$: 586 (MH⁺).

Example 346: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(4-fluorophenyl)acetamide. MS (EI) $C_{30}H_{26}FN_5O_5S$: 588 (MH⁺).

Example 347: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-fluorophenyl)acetamide. MS (EI) $C_{30}H_{26}FN_5O_5S$: 588 (MH⁺).

Example 348: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(3-fluorophenyl)acetamide. MS (EI) $C_{30}H_{26}FN_5O_5S$: 588 (MH⁺).

Example 349: 2-chloro-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)benzamide. MS (EI) $C_{29}H_{24}ClN_5O_5S$: 590 (MH⁺).

Example 350: 4-chloro-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)benzamide. MS (EI) $C_{29}H_{24}ClN_5O_5S$: 590 (MH⁺).

Example 351: 3-chloro-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)benzamide. MS (EI) $C_{29}H_{24}ClN_5O_5S$: 590 (MH⁺).

Example 352: (1R,2R)-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-phenylcyclopropanecarboxamide. MS (EI) $C_{32}H_{29}N_5O_5S$: 596 (MH⁺).

Example 353: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-1-phenylcyclopropanecarboxamide. MS (EI) $C_{32}H_{29}N_5O_5S$: 596 (MH⁺).

Example 354: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(1H-imidazol-4-yl)acetamide. MS (EI) $C_{27}H_{25}N_7O_5S$: 560 (MH⁺).

Example 355: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-4-methoxy-2-methylbenzamide. MS (EI) $C_{31}H_{29}N_5O_6S$: 600 (MH⁺).

Example 356: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(4-fluorophenoxy)acetamide. MS (EI) $C_{30}H_{26}FN_5O_6S$: 604 (MH⁺).

Example 357: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-5-fluoro-2-methoxybenzamide. MS (EI) $C_{30}H_{26}FN_5O_6S$: 604 (MH⁺).

Example 358: 2-(4-chlorophenyl)-N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) $C_{30}H_{26}ClN_5O_5S$: 604 (MH⁺).

Example 359: 2-(2-chlorophenyl)-N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) $C_{30}H_{26}ClN_5O_5S$: 604 (MH⁺).

Example 360: 2-(3-chlorophenyl)-N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) $C_{30}H_{26}ClN_5O_5S$: 604 (MH⁺).

Example 361: 1-acetyl-N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)piperidine-4-carboxamide. MS (EI) $C_{30}H_{32}N_6O_6S$: 605 (MH⁺).

Example 362: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(pyridin-4-yl)acetamide. MS (EI) $C_{29}H_{26}N_6C_5S$: 571 (MH⁺).

Example 363: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(pyridin-2-yl)acetamide. MS (EI) $C_{29}H_{26}N_6O_5S$: 571 (MH⁺).

Example 364: 2,4-dichloro N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)benzamide. MS (EI) $C_{29}H_{23}Cl_2N_5O_5S$: 624 (MH⁺).

Example 365: 3,4-dichloro-N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)benzamide. MS (EI) $C_{29}H_{23}Cl_2N_5O_5S$: 624 (MH⁺).

Example 366: 2,5-dichloro-N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)benzamide. MS (EI) $C_{29}H_{23}Cl_2N_5O_5S$: 624 (MH⁺).

Example 367: 3,5-dichloro-N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)benzamide. MS (EI) $C_{29}H_{23}Cl_2N_5O_5S$: 624 (MH⁺).

Example 368: 2,3-dichloro-N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)benzamide. MS (EI) $C_{29}H_{23}Cl_2N_5O_5S$: 624 (MH⁺).

Example 369: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)pentanamide. MS (EI) $C_{27}H_{29}N_5O_5S$: 536 (MH⁺).

Example 370: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-methylbutanamide. MS (EI) $C_{27}H_{29}N_5O_5S$: 536 (MH⁺).

Example 371: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-1H-imidazole-2-carboxamide. MS (EI) $C_{26}H_{23}N_7O_5S$: 546 (MH⁺).

Example 372: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-1H-imidazole-4-carboxamide. MS (EI) $C_{26}H_{23}N_7O_5S$: 546 (MH⁺).

Example 373: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)isoxazole-5-carboxamide. MS (EI) $C_{26}H_{22}N_6O_6S$: 547 (MH⁺).

Example 374: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3,3-dimethylbutanamide. MS (EI) $C_{28}H_{31}N_5O_5S$: 550 (MH⁺).

Example 375: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-methylpentanamide. MS (EI) $C_{28}H_{31}N_5O_5S$: 550 (MH⁺).

Example 376: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2,2-dimethylbutanamide. MS (EI) $CH_{28}H_{31}N_5O_5S$: 550 (MH⁺).

Example 377: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-4-methylpentanamide. MS (EI) $C_{28}H_{31}N_5O_5S$: 550 (MH⁺).

Example 378: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)pyrimidine-5-carboxamide. MS (EI) $C_{27}H_{23}N_7O_5S$: 558 (MH⁺).

Example 379: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-methylfuran-2-carboxamide. MS (EI) $C_{28}H_{25}N_5O_6S$: 560 (MH⁺).

Example 380: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)thiophene-3-carboxamide. MS (EI) $C_{27}H_{23}N_5O_5S_2$: 562 (MH⁺).

Example 381: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-oxocyclopentane-carboxamide. MS (EI) $C_{28}H_{27}N_5O_6S$: 562 (MH⁺).

Example 382: N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-methoxyethoxy)acetamide. MS (EI) $C_{27}H_{29}N_5O_7S$: 568 (MH⁺).

Example 383: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-4-methylbenzamide.

MS (EI) C₃₀H₂₇N₅O₅S: 570 (MH⁺).

Example 384: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(3-methylisoxazol-4-yl)acetamide. MS (EI) C₂₈H₂₆N₆O₆S: 575 (MH⁺).

Example 385: 3-cyclopentyl-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)propanamide. MS (EI) C₃₀H₃₃N₅O₅S: 576 (MH⁺).

Example 386: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-*o*-tolylacetamide. MS (EI) C₃₁H₂₉N₅O₅S: 584 (MH⁺).

Example 387: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-methoxynicotinamide. MS (EI) C₂₉H₂₆N₆O₆S: 587 (MH⁺).

Example 388: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-4-fluoro-3-methylbenzamide. MS (EI) C₃₀H₂₆FN₅O₅S: 588 (MH⁺).

Example 389: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-fluoro-2-methylbenzamide. MS (EI) C₃₀H₂₆FN₅O₅S: 588 (MH⁺).

Example 390: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-fluoro-4-methylbenzamide. MS (EI) C₃₀H₂₆FN₅O₅S: 588 (MH⁺).

Example 391: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-fluoro-5-methylbenzamide. MS (EI) C₃₀H₂₆FN₅O₅S: 588 (MH⁺).

Example 392: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-5-fluoro-2-methylbenzamide. MS (EI) C₃₀H₂₆FN₅O₅S: 588 (MH⁺).

Example 393: 6-chloro-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)nicotinamide. MS (EI) C₂₈H₂₃ClN₆O₅S: 591 (MH⁺).

Example 394: 2-chloro-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)nicotinamide. MS (EI) C₂₈H₂₃ClN₆O₅S: 591 (MH⁺).

Example 395: 2-chloro-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)isonicotinamide. MS (EI) C₂₈H₂₃ClN₆O₅S: 591 (MH⁺).

Example 396: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-4-(dimethylamino)benzamide. MS (EI) C₃₁H₃₀N₆O₅S: 599 (MH⁺).

Example 397: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-(dimethylamino)benzamide. MS (EI) C₃₁H₃₀N₆O₅S: 599 (MH⁺).

Example 398: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)benzo[d][1,3]dioxole-5-carboxamide. MS (EI) C₃₀H₂₅N₅O₇S: 600 (MH⁺).

Example 399: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(*m*-tolyl)oxyacetamide. MS (EI) C₃₁H₂₉N₅O₆S: 600 (MH⁺).

Example 400: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(4-methoxyphenyl)acetamide. MS (EI) C₃₁H₂₉N₅O₆S: 600 (MH⁺).

Example 401: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-methoxyphenyl)acetamide. MS (EI) C₃₁H₂₉N₅O₆S: 600 (MH⁺).

Example 402: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(3-methoxyphenyl)acetamide. MS (EI) C₃₁H₂₉N₅O₆S: 600 (MH⁺).

Example 403: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-methoxy-4-methylbenzamide. MS (EI) C₃₁H₂₉N₅O₆S: 600 (MH⁺).

Example 404: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-fluoro-4-methoxybenzamide. MS (EI) C₃₀H₂₆FN₅O₆S: 604 (MH⁺).

Example 405: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-fluoro-6-methoxybenzamide. MS (EI) C₃₀H₂₆FN₅O₆S: 604 (MH⁺).

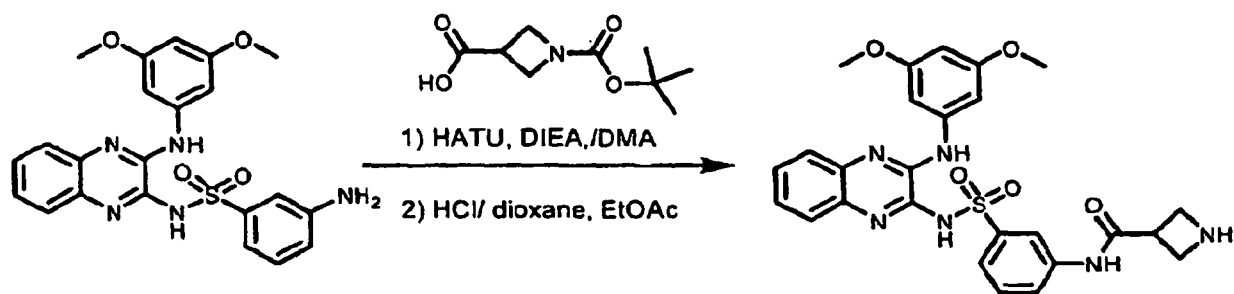
Example 406: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-(4-methoxyphenyl)propanamide. MS (EI) C₃₂H₃₁N₅O₆S: 614 (MH⁺).

Example 407: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-(2-methoxyphenyl)propanamide. MS (EI) C₃₂H₃₁N₅O₆S: 614 (MH⁺).

Example 408: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-(3-methoxyphenyl)propanamide. MS (EI) C₃₂H₃₁N₅O₆S: 614 (MH⁺).

General Library Acylation Procedure 2a

[0446]



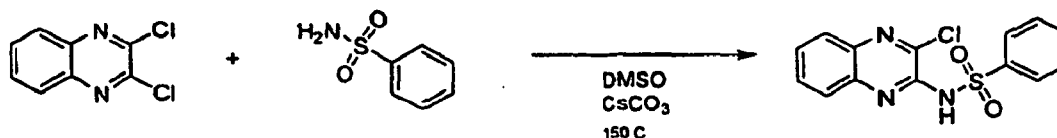
[0447] Into a 20 mL vial was added 3-amino-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide (0.24 mmol, 1 equiv), DMA (5 mL) and 1-(tert-butoxycarbonyl)azetidine-3-carboxylic acid (0.336 mmol, 1.4 equiv). Hunig's Base (0.792 mmol, 3.3 equiv) and HATU (0.288 mmol), 1.2 equiv) were added to the vial and the reaction mixture was then stirred at room temperature overnight. Completion of the reaction was indicated by LCMS. The solvent was removed by rotary evaporation. The crude mixture was carried forward without further purification. The residue was suspended in 5 mL ethyl acetate and chilled in an ice bath. A solution of 4 N HCl in dioxane (3 mL, 5 equiv) was added with stirring. The reaction mixture was then stirred at room temperature overnight. The solid materials were collected by filtration, washed with ethylacetate then purified further by preparative reverse-phase HPLC (ammonium acetate/ACN). A Waters Fractionlynx preparative reverse-phase HPLC; equipped with a Waters SunFire Prep C18, OCD 5 μ M, 30 X 70 mm column and running a 5-100 % gradient with a binary solvent system of 25 mM ammonium acetate in water/acetonitrile; was used to carry out the purification.

[0448] The following title compounds were prepared according to General Library **Acylation Procedure 2a**

Example 409: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)azetidine-3-carboxamide. $^1\text{H-NMR}$ (400MHz, $\text{d}_6\text{-DMSO}$): 10.26 (s, 1H), 8.81 (s, 1H), 8.25 (t, 1H), 8.14 (s, 1H), 7.74 (d, 1H), 7.69 (d, 1H), 7.41-7.39 (m, 1H), 7.36 (d, 1H), 7.32 (d, 2H), 7.30-7.28 (dd, 1H), 7.14-7.11 (m, 2H), 6.14 (t, 1H), 4.09 (d, 4H), 3.78 (s, 6H); MS (EI) $\text{C}_{26}\text{H}_{26}\text{N}_6\text{O}_5\text{S}$: 535.6 (MH^+).

Procedure 3: *N*-(3-chloroquinoxalin-2-yl)benzenesulfonamide

[0449]

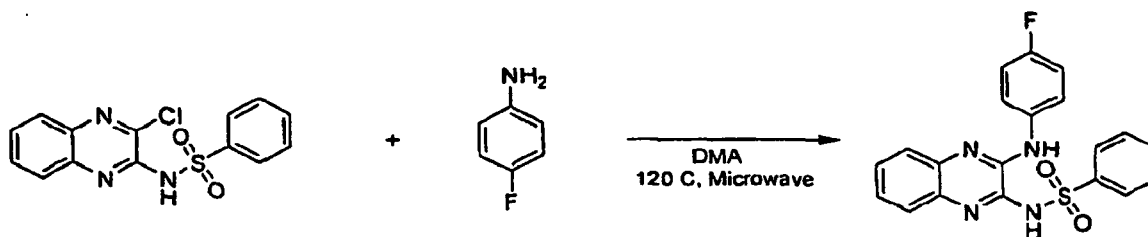


[0450] A flask was charged with 2,3-dichloroquinoxaline (3.5 g, 18 mmol), 85 mL of dimethylsulfoxide, benzene sulfonamide (2.8 g, 18 mmol), and cesium carbonate (5.8 g, 18 mmol). The reaction mixture was stirred under an N_2 atmosphere for 15 h at 150°C, after which time, it was transferred to a separatory funnel and 100 mL of water were added. Concentrated HCl was then added in order to acidify the reaction mixture to $\text{pH} < 2$. The aqueous layer was subsequently washed three times with 90 mL ethyl acetate. The ethyl acetate layers were then washed two times with 150 mL water, three times with 100 mL brine and then dried over sodium sulfate. The ethyl acetate was removed on a rotary-evaporator. A slurry was formed by adding ethyl acetate and dichloromethane to the dried crude product, filtration yielded *N*-(3-chloroquinoxalin-2-yl)benzenesulfonamide which was submitted to the next step without further purification. MS (EI) $\text{C}_{14}\text{H}_{10}\text{ClN}_3\text{O}_2\text{S}$: 319.9 (MH^+).

Example 410

N-(3-(4-fluorophenylamino)quinoxalin-2-yl)benzenesulfonamide

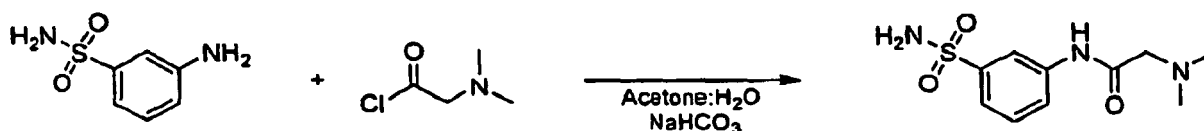
[0451]



[0452] A CEM microwave reaction vessel was charged with *N*-(3-chloroquinoxalin-2-yl)benzenesulfonamide (52 mg, 0.16 mmol), 4-fluoroaniline (36 mg, 0.32 mmol), and 0.8 mL of dimethylacetamide. The vessel was sealed and the reaction mixture was heated under microwave radiation for 25 min at 120 °C in a CEM Discover microwave instrument. Methanol (1 mL) was added to the reaction mixture and after 20 minutes the product precipitated out of the solution. Filtration yielded 39 mg (62 %) of *N*-(3-(4-fluorophenylamino)quinoxalin-2-yl)benzenesulfonamide. ¹H-NMR (400MHz, d₆-DMSO): δ 12.30 (s, 1H), 9.11 (s, 1H), 8.16-8.10 (d, 2H), 8.02-7.90 (m, 3H), 7.68-7.58 (m, 3H), 7.55-7.51 (m, 1H), 7.41-7.32 (m, 2H), 7.25-7.16 (m, 2H); MS (EI) C₂₀H₁₅FN₄O₂S: 395.0 (MH⁺).

Procedure 4: 2-(dimethylamino)-*N*-(3-sulfamoylphenyl)acetamide

[0453]

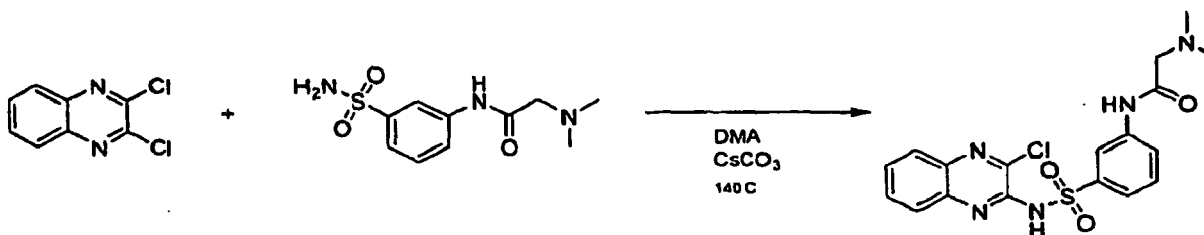


[0454] A flask was charged with 3-aminobenzenesulfonamide (3.3 g, 19 mmol), and 20 mL of 1:1 acetone:H₂O. The solution was stirred at room temperature until the aminobenzenesulfonamide had dissolved. The flask was then cooled in an ice bath and dimethylamino-acetyl chloride HCl (4.6 g, 29 mmol) was added. To the resulting slurry sodium bicarbonate (4.8 g, 57 mmol) was added over a 15 min period. After 30 min the reaction was removed from the ice bath and allowed to stir at room temperature for 15 h. The reaction mixture was then filtered and washed with methanol and acetonitrile. The filtrate was dried on a rotary evaporator to yield 2-(dimethylamino)-*N*-(3-sulfamoylphenyl)acetamide, which was submitted to the next step without further purification. MS (EI) C₁₀H₁₅N₃O₃S: 258.0 (MH⁺).

Example 411

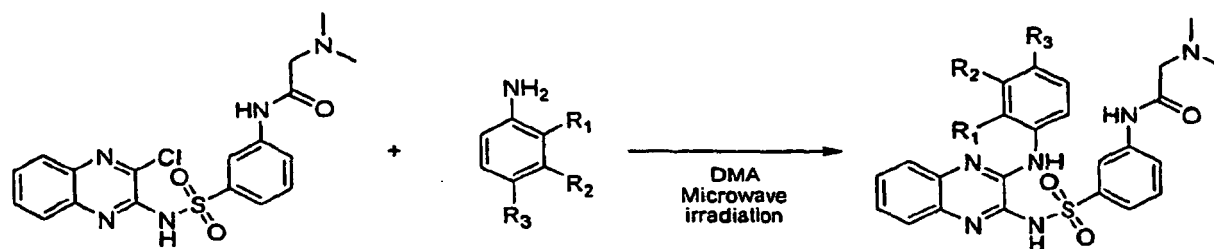
N-(3-(*N*-(3-chloroquinoxalin-2-yl)sulfamoyl)phenyl)-2-(dimethylamino)acetamide

[0455]



[0456] A flask was charged with dichloroquinoxaline (1.0 g, 5.8 mmol), 10 mL of dimethylacetamide, 2-(dimethylamino)-*N*-(3-sulfamoylphenyl)acetamide (0.70 g, 2.7 mmol), and cesium carbonate (1.8 g, 5.5 mmol). The reaction mixture was stirred for 3 h at 140 °C and then filtered. The solvent was evaporated from the filtrate on a rotary evaporator to yield *N*-(3-(*N*-(3-chloroquinoxalin-2-yl)sulfamoyl)phenyl)-2-(dimethylamino)acetamide which was submitted to the next step without further purification. MS (EI) C₁₈H₁₈ClN₅O₃S: 420.0 (MH⁺).

Two similar procedures were then used to conduct the general reaction shown.



[0457] A CEM microwave reaction vessel was charged with *N*-(3-(*N*-(3-chloroquinoxalin-2-yl)sulfamoyl)phenyl)-2-(dimethylamino)acetamide (30 mg, 0.071 mmol), the desired aniline (16 mg, 0.14 mmol, 2 eq), and 0.5 mL of Dimethylacetamide. The vessel was sealed and the reaction mixture was heated under microwave radiation for 70 min at 140 °C in a CEM Discover microwave instrument. The solvent was then removed by rotary-evaporation. Purification of the final product was accomplished by preparatory reverse-phase HPLC with the eluents 25 mM aqueous NH₄OAc/ACN to yield 2-(dimethylamino)-*N*-(3-(*N*-(3-(3-fluorophenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide.

[0458] The following compounds were prepared according to the above Examples.

Example 412: 2-(dimethylamino)-*N*-(3-(*N*-(3-(3-fluorophenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. 2-(dimethylamino)-*N*-(3-(*N*-(3-(3-fluorophenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. ¹H-NMR (400MHz, CDCl₃): 9.40 ppm (s, 1H), 8.43 ppm (s, 1H), 8.22 ppm (s, 1H), 8.07-8.02 ppm (d, 1H), 7.97-7.93 ppm (d, 1H), 7.76-7.71 (m, 2H), 7.53-7.48 ppm (t, 1H), 7.45-7.36 ppm (m, 4H), 7.35-7.28 ppm (m, 2H), 6.84-6.77 ppm (t, 1H), 3.10 ppm (s, 2H), 2.38 ppm (s, 6H); MS (EI) C₂₄H₂₃FN₆O₃S: 495 (MH⁺).

Example 413: 2-(dimethylamino)-*N*-(3-(*N*-(3-(4-fluorophenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) C₂₄H₂₃FN₆O₃S: 495 (MH⁺).

Example 414: 2-(dimethylamino)-*N*-(3-(*N*-(3-(4-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) C₂₅H₂₆N₆O₄S: 507 (MH⁺).

Example 415: *N*-(3-(*N*-(3-(4-chlorophenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(dimethylamino)acetamide. MS (EI) C₂₄H₂₃ClN₆O₃S: 511 (MH⁺).

Example 416

***N*-(3-(*N*-(3-(3-chlorophenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(dimethylamino)acetamide.** MS (EI) C₂₄H₂₃ClN₆O₃S: 511 (MH⁺)

[0459] A CEM microwave reaction vessel was charged with *N*-(3-(*N*-(3-chloroquinoxalin-2-yl)sulfamoyl)phenyl)-2-(dimethylamino)acetamide (62 mg, 0.147 mmol), the desired aniline (0.567 mmol, 4 eq), and 1.0 mL of toluene. The vessel was sealed and the reaction mixture was heated under microwave radiation for 60 min at 180 °C in a CEM Discover microwave instrument. The solvent was removed on a rotary-evaporator. Purification of the final product was done by preparatory HPLC with NH₄Oc/ACN as eluent to yield 2-(dimethylamino)-*N*-(3-(*N*-(3-(4-fluoro-3-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl).

[0460] The following compounds were prepared according to the above Examples.

Example 417: 2-(dimethylamino)-*N*-(3-(*N*-(3-(4-fluoro-3-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. 2-(dimethylamino)-*N*-(3-(*N*-(3-(4-fluoro-3-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl). ¹H-NMR (400MHz, CDCl₃): δ 9.47 (s, 1H), 8.36 (s, 1H), 8.29 (s, 1H), 7.91-7.87 (d, 1H), 7.80-7.73 (m, 2H), 7.66-7.63 (d, 1H), 7.53-7.47 (t, 1H), 7.43-7.30 (m, 4H), 7.10-7.04 (t, 1H), 6.55-5.95 (br s, 1H), 3.96 (s, 3H), 3.12 (s, 2H), 2.39 (s, 6H), 2.08 (s, 3H(AcOH)); MS (EI) C₂₅H₂₅FN₆O₄S: 525 (MH⁺).

Example 418: 2-(dimethylamino)-*N*-(3-(*N*-(3-(4-fluoro-3-methylphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) C₂₅H₂₅FN₆O₃S: 509 (MH⁺).

Example 419: 2-(dimethylamino)-*N*-(3-(*N*-(3-(3,5-dimethylphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) C₂₆H₂₈N₆O₃S: 505 (MH⁺).

Example 420: *N*-(3-(*N*-(3-(2,4-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(dimethylamino)acetamide. MS (EI) C₂₆H₂₈N₆O₅S: 537 (MH⁺).

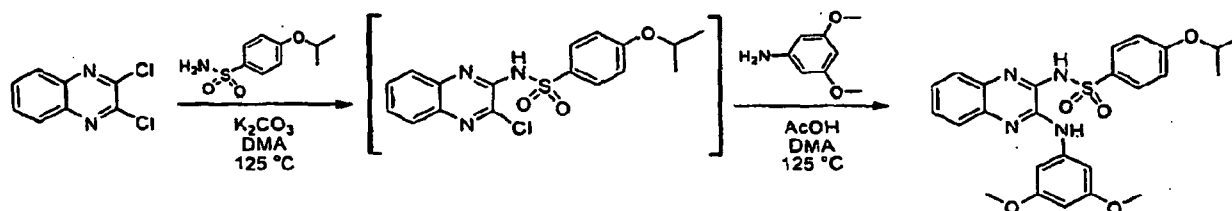
Example 421: *N*-(3-(*N*-(3-(2,3-dihydro-1H-inden-5-ylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(dimethylamino)acetamide. MS (EI) C₂₇H₂₈N₆O₃S: 517 (MH⁺).

Example 422

Procedure 5

N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-4-isopropoxybenzenesulfonamide

[0461]



[0462] *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-4-isopropoxybenzenesulfonamide: A solution of 2,3-dichloroquinoxaline (2.0 mL, 0.38 M) was combined with K_2CO_3 (105 mg, 0.76 mmol) in a glass vial. A solution of 4-isopropoxybenzenesulfonamide (1.75 mL, 0.43 M) was added and the solution was stirred overnight at 125 °C. After cooling, acetic acid (45 mL, 0.79 mmol) and 3,5-dimethoxyaniline (230 mg, 1.5 mmol) were added. The reaction mixture was stirred again at 125 °C overnight. Upon cooling, the reaction mixture was diluted with 8 mL of methanol and then 8 mL of water. The precipitate was collected by filtration and recrystallized from *N,N*-dimethylacetamide/water to give 45 mg of product. 1H NMR (400MHz, d_6 -DMSO): 12.16 (bs, 1H), 8.93 (s, 1H), 8.03 (d, 2H), 7.92 (bs, 1H), 7.56 (d, 1H), 7.36 (m, 4H), 7.07 (d, 2H), 6.24 (s, 1H), 4.72 (m, 1H), 3.76 (s, 6H), 1.27 (d, 6H); MS (EI) $C_{25}H_{26}N_4O_5S$: 495 (MH $^+$).

[0463] The remaining examples were synthesized in similar fashion. In the cases where the product did not precipitate, the mixture was purified by reverse phase HPLC.

Example 423: 3-chloro-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-4-methylbenzenesulfonamide. 1H -NMR (400MHz, d_6 -DMSO): 12.31 (bs, 1H), 8.96 (s, 1H), 8.18 (s, 1H), 7.98 (d, 1H), 7.92 (bs, 1H), 7.58 (d, 2H), 7.43-7.33 (m, 4H), 6.24 (t, 1H), 3.76 (s, 6H), 2.39 (s, 3H); MS (EI) $C_{23}H_{21}ClN_4O_4S$: 485 (MH $^+$).

Example 424: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)naphthalene-1-sulfonamide. MS (EI) $C_{26}H_{22}N_4O_4S$: 487 (MH $^+$).

Example 425: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-4-fluorobenzenesulfonamide. MS (EI) $C_{12}H_{19}FN_4O_4S$: 455 (MH $^+$).

Example 426: *N*-(3-(3,5-dimethoxyphenylamino)quinoxatin-2-yl)-3-fluorobenzenesulfonamide. MS (EI) $C_{22}H_{19}FN_4O_4S$: 455 (MH $^+$).

Example 427: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-3-(trifluoromethyl)benzenesulfonamide. MS (EI) $C_{23}H_{19}F_3N_4O_4S$: 505 (MH $^+$).

Example 428: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-4-(trifluoromethyl)benzenesulfonamide. MS (EI) $C_{23}H_{19}F_3N_4O_4S$: 505 (MH $^+$).

Example 429: 2-cyano-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) $C_{23}H_{19}N_5O_4S$: 462 (MH $^+$).

Example 430: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-4-(trifluoromethoxy)benzenesulfonamide. MS (EI) $C_{23}H_{19}F_3N_4O_5S$: 521 (MH $^+$).

Example 431: *N*-(4-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) $C_{24}H_{23}N_5O_5S$: 494 (MH $^+$).

Example 432: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-4-fluoro-2-methylbenzenesulfonamide. MS (EI) $C_{23}H_{21}FN_4O_4S$: 469 (MH $^+$).

Example 433: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-2-methylbenzenesulfonamide. MS (EI) $C_{23}H_{22}N_4O_4S$: 451 (MH $^+$).

Example 434: 2-chloro-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) $C_{22}H_{19}ClN_4O_4S$: 471 (MH $^+$).

Example 435: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-3,5-difluorobenzenesulfonamide. MS (EI) $C_{22}H_{18}F_2N_4O_4S$: 473 (MH $^+$).

Example 436: 3,5-dichloro-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) $C_{22}H_{18}Cl_2N_4O_4S$: 505 (MH $^+$).

Example 437: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-3-fluoro-4-methylbenzenesulfonamide. MS (EI) $C_{23}H_{21}FN_4O_4S$: 469 (MH $^+$).

Example 438: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-2-(trifluoromethyl)benzenesulfonamide. MS (EI) $C_{23}H_{19}F_3N_4O_4S$: 505 (MH^+).

Example 439: 4-cyano-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) $C_{23}H_{19}N_5O_4S$: 462 (MH^+).

Example 440: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-1-phenylmethanesulfonamide. MS (EI) $C_{23}H_{22}N_4O_4S$: 451 (MH^+).

Example 441: 4,5-dichloro-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)thiophene-2-sulfonamide. MS (EI) $C_{20}H_{16}Cl_2N_4O_4S_2$: 511 (MH^+).

Example 442: 1-(3-chlorophenyl)-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)methanesulfonamide. MS (EI) $C_{23}H_{21}ClN_4O_4S$: 485 (MH^+).

Example 443: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-2,5-dimethylthiophene-3-sulfonamide. MS (EI) $C_{22}H_{22}N_4O_4S_2$: 471 (MH^+).

Example 444: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-3,5-bis(trifluoromethyl)benzenesulfonamide. MS (EI) $C_{24}H_{18}F_6N_4O_4S$: 573 (MH^+).

Example 445: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-4-fluoro-3-(trifluoromethyl)benzenesulfonamide. MS (EI) $C_{23}H_{18}F_4N_4O_4S$: 523 (MH^+).

Example 446: 5-chloro-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-1,3-dimethyl-1H-pyrazole-4-sulfonamide. MS (EI) $C_{21}H_{21}ClN_6O_4S$: 489 (MH^+).

Example 447: 5-chloro-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-2-methoxybenzenesulfonamide. MS (EI) $C_{23}H_{21}ClN_4O_5S$: 501 (MH^+).

Example 448: 5-bromo-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-2-methoxybenzenesulfonamide. MS (EI) $C_{23}H_{21}BrN_4O_5S$: 545 (MH^+).

Example 449: 2,5-dichloro-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)thiophene-3-sulfonamide. MS (EI) $C_{20}H_{16}Cl_2N_4O_4S_2$: 511 (MH^+).

Example 450: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-3,5-dimethylisoxazole-4-sulfonamide. MS (EI) $C_{21}H_{21}N_5O_5S$: 456 (MH^+).

Example 451: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-2,5-dimethoxybenzenesulfonamide. MS (EI) $C_{24}H_{24}N_4O_6S$: 497 (MH^+).

Example 452: 3-chloro-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-4-fluorobenzenesulfonamide. MS (EI) $C_{22}H_{18}ClFN_4O_4S$: 489 (MH^+).

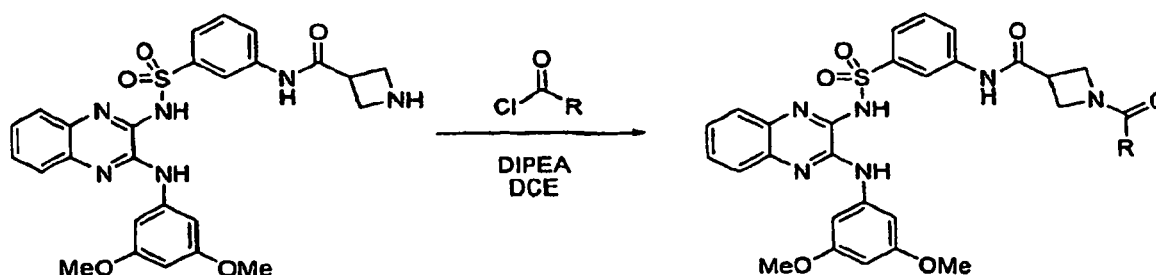
Example 453: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)biphenyl-4-sulfonamide. MS (EI) $C_{28}H_{24}N_4O_4S$: 513 (MH^+).

Example 454: 4-(difluoromethoxy)-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide MS (EI) $C_{23}H_{20}F_2N_4O_5S$: 503 (MH^+).

Example 455: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-3-(methylsulfonyl)benzenesulfonamide. MS (EI) $C_{23}H_{22}N_4O_6S_2$: 515 (MH^+).

General Procedure 6

[0464]



[0465] *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)azetidine-3-carboxamide (125 mg, 0.23 mmol) was dissolved into 5 mL DCE in a 10 mL round-bottom flask. DIPEA (1.17 mmol, 5.0 eq.) was then added with stirring followed by acid chloride (0.47 mmol, 2.0 eq.). The reaction was then stirred at room temperature for 1 hour or until complete as indicated by LCMS. The solvent was subsequently removed under reduced pressure on a rotary evaporator. The crude material was then redissolved in methanol. Purification of the final product was accomplished by preparatory reverse-phase HPLC with the eluents 25 mM aqueous NH_4OAc/CAN . A Waters Fractionlynx preparative

reverse-phase HPLC; equipped with a Waters SunFire Prep C18, OCD 5 μ M, 30 X 70 mm column and running a 5-100 % gradient with a binary solvent system of 25 mM ammonium acetate in water/acetonitrile; was used to carry out the purification.

[0466] The following title compounds were prepared according to **General Procedure 6**.

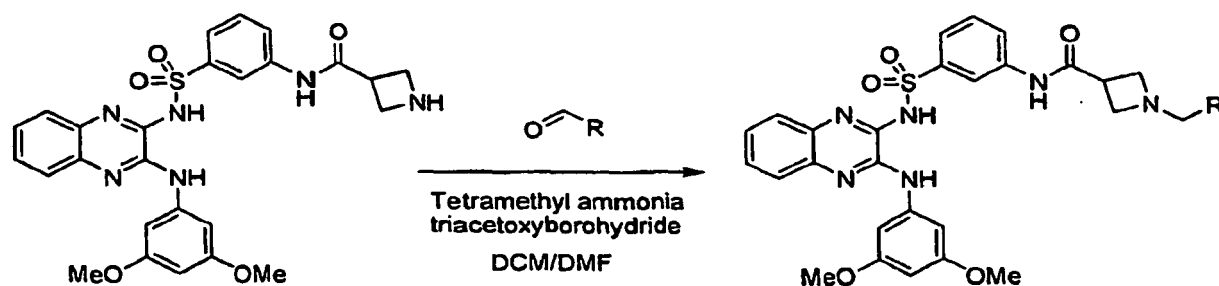
Example 456: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-1-propionylazetidine-3-carboxamide. $^1\text{H-NMR}$ (400MHz, d_6 -DMSO): 12.40 (s, 1H), 10.45 (s, 1H), 8.88 (s, 1H), 8.40 (s, 1H), 7.93 (s, 1H), 7.82 (d, 1H), 7.77 (d, 1H), 7.60-7.45 (m, 2H), 7.41-7.30 (m, 4H), 6.24 (s, 1H), 4.26 (t, 1H), 4.22-4.17 (m, 1H), 3.99 (t, 1H), 3.95-3.89 (m, 1H), 3.76 (s, 6H), 3.59-3.45 (m, 1H), 2.05 (dd, 2H), 0.95 (t, 3H); MS (EI) $\text{C}_{29}\text{H}_{30}\text{N}_6\text{O}_6\text{S}$: 591 (MH^+).

Example 457: 1-acetyl-*N*-(3-((3-((3,5-bis(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)azetidine-3-carboxamide. MS (EI) $\text{C}_{28}\text{H}_{28}\text{N}_6\text{O}_6\text{S}$: 577 (MH^+).

Example 458: 1-(cyclopropanecarbonyl)-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)azetidine-3-carboxamide. MS (EI) $\text{C}_{30}\text{H}_{30}\text{N}_6\text{O}_6\text{S}$: 603 (MH^+).

General Procedure 7

[0467]



[0468] To a solution of *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)azetidine-3-carboxamide (110 mg, 0.19 mmol) in 3 mL of DCE and 200 μ L of DMF, aldehyde (0.77 mmol, 4.0 eq.) was added slowly followed by tetramethylammonium triacetoxyborohydride (1.16 mmol, 6.0 eq). The reaction was stirred at room temperature overnight. LC/MS indicated the reaction was completed. The solvent was subsequently removed under reduced pressure on a rotary evaporator. The crude material was then redissolved in methanol. Purification of the final product was accomplished by preparatory reverse-phase HPLC with the eluents 25 mM aqueous NH_4OAc /CAN. A Waters Fractionlynx preparative reverse-phase HPLC; equipped with a Waters SunFire Prep C18, OCD 5 μ M, 30 X 70 mm column and running a 5-100 % gradient with a binary solvent system of 25 mM ammonium acetate in water/acetonitrile; was used to carry out the purification.

[0469] The following title compounds were prepared according to **General Procedure 7**.

Example 459: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-1-ethylazetidine-3-carboxamide. $^1\text{H-NMR}$ (400MHz, d_6 -DMSO): 10.29 (s, 1H), 8.82 (s, 1H), 8.25 (t, 1H), 7.75-7.68 (m, 2H), 7.43-7.38 (m, 1H), 7.375-7.340 (m, 1H), 7.338-7.310 (d, 2H), 7.305-7.262 (m, 1H), 7.15-7.08 (m, 2H), 6.56 (s, 1H), 6.15 (t, 1H), 4.15-4.08 (m, 2H), 4.06-3.95 (m, 2H), 3.78 (s, 6H), 3.65-3.56 (m, 1H), 3.12-3.04 (m, 2H), 1.03 (t, 3H); MS (EI) $\text{C}_{28}\text{H}_{30}\text{N}_6\text{O}_5\text{S}$: 563 (MH^+).

Example 460: 1-(cyclopropylmethyl)-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)azetidine-3-carboxamide. MS (EI) $\text{C}_{30}\text{H}_{32}\text{N}_6\text{O}_5\text{S}$: 589 (MH^+).

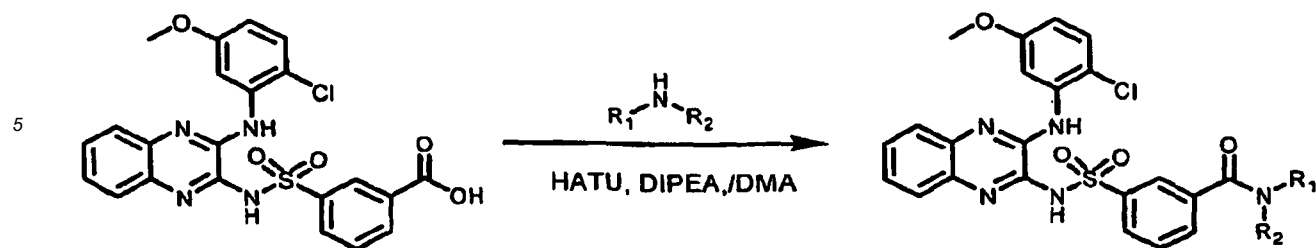
Example 461: 1-benzyl-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)azetidine-3-carboxamide. MS (EI) $\text{C}_{33}\text{H}_{32}\text{N}_6\text{O}_5\text{S}$: 625 (MH^+).

Example 462: *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-1-(furan-2-ylmethyl)azetidine-3-carboxamide. MS (EI) $\text{C}_{31}\text{H}_{30}\text{N}_6\text{O}_6\text{S}$: 615 (MH^+).

Example 463: 1-((1H-imidazol-5-yl)methyl)-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)azetidine-3-carboxamide. MS (EI) $\text{C}_{30}\text{H}_{30}\text{N}_8\text{O}_5\text{S}$: 615 (MH^+).

General Library Procedure 8

[0470]



[0471] Into a small 1 dram vial was added 3-(N-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)benzoic acid (61 mg, .13 mmol, 1.1 equiv). The acid was dissolved in 1 mL of DMA and DIPEA (42 μ L, .24 mMol, 2 equiv) was added then added to the solution. The amine reagent (1 mL of .12 M solution in DMA) was added to solution with stirring followed by HATU (64 mg, .17 mmol, 1.4 equiv). Reaction was stirred overnight at room temperature. Upon completion as indicated by LCMS analysis, 2 mL of methanol was added to the solution. Preparative reverse-phase HPLC was used to isolate the desired product directly from this crude reaction solution. A Waters Fractionlynx preparative reverse-phase HPLC; equipped with a Waters SunFire Prep C 18, OCD 5 μ M, 30 X 70 mm column and running a 5-100 % gradient with a binary solvent system of 25 mM ammonium acetate in water/acetonitrile; was used to carry out the purification.

[0472] The following compounds were prepared according to **General Library Procedure 8**.

Example 464 : 3-(N-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-N-(3-(dimethylamino)propyl)benzamide. 3-(N-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-N-(3-(dimethylamino)propyl)benzamide: ^1H NMR (400 MHz, d_6 -DMSO): 9.44 (s, 1 H), 8.94 (s, 1H), 8.79 (t, 1H), 8.54 (s, 1H), 8.24 (d, 1H), 7.87 (d, 1H), 7.48 (m, 3H), 7.33 (d, 1H), 7.18 (m, 2H), 6.60 (dd, 1H), 3.82 (1H), 3.04 (m, 3H), 2.51 (m, 5H), 1.91 (s, 1H), 1.86 (m, 3H); MS (EI) for $\text{C}_{27}\text{H}_{29}\text{ClN}_6\text{O}_4\text{S}$: 569 (MH^+).

Example 465: 3-(N-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-N-(1-methylazetidin-3-yl)benzamide. 3-(N-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-N-(1-methylazetidin-3-yl)benzamide: ^1H NMR (400 MHz, d_6 -DMSO): 9.43 (s, 1 H), 9.23 (d, 1H), 8.94 (d, 1H), 8.58 (s, 1H), 8.29 (d, 1H), 7.89 (d, 1H), 7.56 (t, 1H), 7.47 (d, 1H), 7.44 (d, 1H), 7.33 (d, 1H), 7.18 (m, 2H), 6.60 (dd, 1H), 4.81 (m, 1H), 4.33 (m, 2H), 4.19 (m, 2H), 3.82 (s, 1H), 2.51 (s, 3H); MS (EI) for $\text{C}_{26}\text{H}_{25}\text{ClN}_6\text{O}_4\text{S}$: 553 (MH^+).

Example 466: 3-(N-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-N-(pyridin-4-ylmethyl)benzamide. MS (EI) $\text{C}_{28}\text{H}_{23}\text{ClN}_6\text{O}_4\text{S}$: 575 (MH^+).

Example 467: 3-(N-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-N-(3-(dimethylamino)propyl)benzamide. MS (EI) $\text{C}_{28}\text{H}_{26}\text{ClN}_7\text{O}_4\text{S}$: 592 (MH^+).

Example 468: N-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)-3-(2,2-dimethylhydrazinecarbonyl)benzenesulfonamide. MS (EI) $\text{C}_{24}\text{H}_{23}\text{ClN}_6\text{O}_4\text{S}$: 527 (MH^+).

Example 469: 3-(N-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-N-(2-methoxyethyl)benzamide. MS (EI) $\text{C}_{25}\text{H}_{24}\text{ClN}_5\text{O}_5\text{S}$: 542 (MH^+).

Example 470: N-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)-3-(4-methylpiperazine-1-carbonyl)benzenesulfonamide. MS (EI) $\text{C}_{27}\text{H}_{27}\text{ClN}_6\text{O}_4\text{S}$: 567 (MH^+).

Example 471: 3-(N-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-N-(2-(pyrrolidin-1-yl)ethyl)benzamide. MS (EI) $\text{C}_{28}\text{H}_{29}\text{ClN}_6\text{O}_4\text{S}$: 581 (MH^+).

Example 472: 3-(N-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-N-(2-(pyridin-4-yl)ethyl)benzamide. MS (EI) $\text{C}_{29}\text{H}_{25}\text{ClN}_6\text{O}_4\text{S}$: 589 (MH^+).

Example 473: N-(2-(1H-imidazol-4-yl)ethyl)-3-(N-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)benzamide. MS (EI) $\text{C}_{27}\text{H}_{24}\text{ClN}_7\text{O}_4\text{S}$: 578 (MH^+).

Example 474: 3-(N-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-N-(piperidin-1-yl)benzamide. MS (EI) $\text{C}_{27}\text{H}_{27}\text{ClN}_6\text{O}_4\text{S}$: 567 (MH^+).

Example 475: 3-(N-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-N-(2-hydroxyethyl)benzamide. MS (EI) $\text{C}_{24}\text{H}_{22}\text{ClN}_5\text{O}_5\text{S}$: 528 (MH^+).

Example 476: 3-(N-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-N-(3-ethoxypropyl)benzamide. MS (EI) $\text{C}_{27}\text{H}_{28}\text{ClN}_5\text{O}_5\text{S}$: 570 (MH^+).

Example 477: 3-(N-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-N-(3-(pyrrolidin-1-yl)propyl)benzamide. MS (EI) $\text{C}_{29}\text{H}_{31}\text{ClN}_6\text{O}_4\text{S}$: 595 (MH^+).

Example 478111: 3-(N-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-N-(3-(diethylamino)propyl)benzamide. MS (EI) $\text{C}_{29}\text{H}_{33}\text{ClN}_6\text{O}_4\text{S}$: 597 (MH^+).

Example 479: 3-(N-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-N-(3-(2-oxopyrrolidin-1-yl))

propyl)benzamide. MS (EI) $C_{29}H_{29}ClN_6O_5S$: 609 (MH⁺).

Example 480: 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(pyridin-2-ylmethyl)benzamide. MS (EI) $C_{28}H_{23}ClN_6O_4S$: 575 (MH⁺).

Example 481: 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-cyanoethyl)-*N*-methylbenzamide. MS (EI) $C_{26}H_{23}ClN_6O_4S$: 551 (MH⁺).

Example 482: 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-cyanoethyl)-*N*-ethylbenzamide. MS (EI) $C_{27}H_{25}ClN_6O_4S$: 565 (MH⁺).

Example 483: 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-(ethylthio)ethyl)benzamide. MS (EI) $C_{26}H_{26}ClN_5O_4S_2$: 572 (MH⁺).

Example 484: 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(3-propoxypropyl)benzamide. MS (EI) $C_{28}H_{30}ClN_5O_5S$: 584 (MH⁺).

Example 485: 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(5-(diethylamino)pentan-2-yl)benzamide. MS (EI) $C_{31}H_{37}ClN_6O_4S$: 625 (MH⁺).

Example 486: 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(3-methoxypropyl)benzamide. MS (EI) $C_{26}H_{26}ClN_5O_5S$: 556 (MH⁺).

Example 487: 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(3-morpholinopropyl)benzamide. MS (EI) $C_{29}H_{31}ClN_6O_5S$: 611 (MH⁺).

Example 488: 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(pyridin-3-ylmethyl)benzamide. MS (EI) $C_{28}H_{23}ClN_6O_4S$: 575 (MH⁺).

Example 489: 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-cyanoethyl)benzamide. MS (EI) $C_{25}H_{21}ClN_6O_4S$: 537 (MH⁺).

Example 490: 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(1-methoxypropan-2-yl)benzamide. MS (EI) $C_{26}H_{26}ClN_5O_5S$: 556 (MH⁺).

Example 491: 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-(methylthio)ethyl)benzamide. MS (EI) $C_{25}H_{24}ClN_5O_4S_2$: 558 (MH⁺).

Example 492: 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(3-(dimethylamino)propyl)-*N*-methylbenzamide. MS (EI) $C_{28}H_{31}ClN_6O_4S$: 583 (MH⁺).

Example 493: 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(3-isopropoxypropyl)benzamide. MS (EI) $C_{28}H_{30}ClN_5O_5S$: 584 (MH⁺).

Example 494: 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-(dimethylamino)ethyl)-*N*-ethylbenzamide. MS (EI) $C_{28}H_{31}ClN_6O_4S$: 583 (MH⁺).

Example 495: *N*-(3-butoxypropyl)-3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)benzamide. MS (EI) $C_{29}H_{32}ClN_5O_5S$: 598 (MH⁺).

Example 496: 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-(diethylamino)ethyl)benzamide. MS (EI) $C_{28}H_{31}ClN_6O_4S$: 583 (MH⁺).

Example 497XEL-04286749: methyl 3-(3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)benzamido)propanoate. MS (EI) $C_{26}H_{24}ClN_5O_6S$: 570 (MH⁺).

Example 498: 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-methyl-*N*-propylbenzamide. MS (EI) $C_{26}H_{26}ClN_5O_4S$: 540 (MH⁺).

Example 499: ethyl 3-(3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)benzamido)propanoate. MS (EI) $C_{27}H_{26}ClN_5O_6S$: 584 (MH⁺).

Example 500: 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-(piperidin-1-yl)ethyl)benzamide. MS (EI) $C_{29}H_{31}ClN_6O_4S$: 595 (MH⁺).

Example 501: 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-((1-ethylpyrrolidin-2-yl)methyl)benzamide. MS (EI) $C_{29}H_{31}ClN_6O_4S$: 595 (MH⁺).

Example 502: *N*-(2-(bis(2-hydroxyethyl)amino)ethyl)-3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)benzamide. MS (EI) $C_{28}H_{31}ClN_6O_6S$: 615 (MH⁺).

Example 503: *N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)-3-(3-(diethylamino)pyrrolidine-1-carbonyl)benzenesulfonamide. MS (EI) $C_{30}H_{33}ClN_6O_4S$: 609 (MH⁺).

Example 504: 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-methyl-*N*-(1-methylpyrrolidin-3-yl)benzamide. MS (EI) $C_{28}H_{29}ClN_6O_4S$: 581 (MH⁺).

Example 505: *N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)-3-(3-(dimethylamino)pyrrolidine-1-carbonyl)benzenesulfonamide. MS (EI) $C_{28}H_{29}ClN_6O_4S$: 581 (MH⁺).

Example 506: 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-methyl-1-morpholinopropan-2-yl)benzamide. MS (EI) $C_{30}H_{31}ClN_6O_5S$: 625 (MH⁺).

Example 507: 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(1H-pyrrol-1-yl)benzamide. MS (EI) $C_{26}H_{21}ClN_6O_4S$: 549 (MH⁺).

Example 508: 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(3-oxopyrazolidin-4-yl)

benzamide. MS (EI) $C_{25}H_{22}ClN_7O_5S$: 568 (MH⁺).

Example 509: *N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)-3-(2-((dimethylamino)methyl)piperidine-1-carbonyl)benzenesulfonamide. MS (EI) $C_{30}H_{33}ClN_6O_4S$: 609 (MH⁺).

Example 510: *N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)-3-(2-(piperidin-1-ylmethyl)piperidine-1-carbonyl)benzenesulfonamide. MS (EI) $C_{33}H_{37}ClN_6O_4S$: 649 (MH⁺).

Example 511: 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(1-ethylpiperidin-3-yl)benzamide MS (EI) $C_{29}H_{31}ClN_6O_4S$: 595 (MH⁺).

General Procedure 8A

[0473] The General Library Procedure outlined in Procedure 8 was used to incorporate a number of amines that contained a second amine group protected as the *tert*-butylcarbamate. A subsequent deprotection after HPLC purification was performed to unmask this second amine group. Into a small 1 dram vial was added 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)benzoic acid (61 mg, .13 mmol, 1.1 equiv). The acid was dissolved in 1 mL of DMA and DIPEA (42 μ L, .24 mmol, 2 equiv) was added then added to the solution. The mono-Boc-protected diamine reagent (1 mL of .12 M solution in DMA, 1 equiv) was added to solution with stirring followed by HATU (64 mg, .17 mmol, 1.4 equiv). Reaction was stirred overnight at room temperature. Upon completion as indicated by LCMS analysis, 2 mL of methanol was added to the solution. Preparative reverse-phase HPLC was used to isolate the desired product directly from this crude reaction solution. A Waters Fractionlynx preparative reverse-phase HPLC; equipped with a Waters SunFire Prep C18, OCD 5 μ M, 30 X 70 mm column and running a 5-100 % gradient with a binary solvent system of 25 mM ammonium acetate in water/acetonitrile; was used to carry out the purification. The product fractions were combined and concentrated to dryness under reduced pressure by rotary evaporation. A solution of 4 N HCl in dioxane (2 mL) was added. The solution was then stirred at room temperature until no starting material was detected. The deprotected product precipitated out of solution as an HCL salt and was collected by filtration, washed with ether and dried under vacuum.

[0474] The following compounds were prepared according to **General Procedure 8A**

Example 512: 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(piperidin-3-yl)benzamide. 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(piperidin-3-yl)benzamide: ¹H NMR (400 MHz, d_6 -DMSO): 12.82 (s, 1H), 9.12 (s, 1H), 9.04 (s, 1H); 8.85 (d, 1H), 8.65 (s, 1H), 8.55 (s, 1H), 8.18 (m, 1H), 7.98 (s, 1H), 7.69 (m, 2H), 7.43 (m, 2H), 6.69 (dd, 1H), 4.21 (s, 1H), 3.83 (s, 3H), 3.69 (m, 1H), 3.48 (m, 1H), 3.18 (s, 1H), 2.84 (q, 2H), 1.91 (s, 2H); MS (EI) for $C_{27}H_{27}ClN_6O_4S$: 567 (MH⁺).

Example 513: 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(piperidin-2-ylmethyl)benzamide. 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(piperidin-2-ylmethyl)benzamide: NMR (400 MHz, d_6 -DMSO): 12.78 (s, 1H), 9.16(s, 1H), 9.09 (s, 1H), 8.79 (s, 1H), 8.59 (d, 2H), 8.22 (t, 2H), 7.99 (s, 1H), 7.74 (t, 1H), 7.66 (s, 1H), 7.42 (m, 2H), 6.69 (dd, 1H), 3.82 (s, 3H), 3.69 (dd, 1H), 3.57 (m, 1H), 3.50 (m, 3H), 3.22 (s, 2H), 2.82 (d, 1H), 1.68 (m, 5H); MS (EI) for $C_{28}H_{29}ClN_6O_4S$: 581 (MH⁺).

Example 514: 3-(3-aminopyrrolidine-1-carbonyl)-*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) $C_{26}H_{25}ClN_6O_4S$: 553 (MH⁺).

Example 515: 3-(3-aminoazetidine-1-carbonyl)-*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) $C_{25}H_{23}ClN_6O_4S$: 539 (MH⁺).

Example 516: 3-(3-aminopiperidine-1-carbonyl)-*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) $C_{27}H_{27}ClN_6O_4S$: 567 (MH⁺).

Example 517: 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(pyrrolidin-3-yl)benzamide. MS (EI) $C_{26}H_{25}ClN_6O_4S$: 553 (MH⁺).

Example 518: *N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)-3-(3-(methylamino)pyrrolidine-1-carbonyl)benzenesulfonamide. MS (EI) $C_{27}H_{27}ClN_6O_4S$: 567 (MH⁺).

Example 519: *N*-(2-aminoethyl)-3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)benzamide. MS (EI) $C_{24}H_{23}ClN_6O_4S$: 527 (MH⁺).

Example 520: 3-(4-amino-3-oxopyrazolidine-1-carbonyl)-*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) $C_{25}H_{22}ClN_7O_5S$: 568 (MH⁺).

Example 521

3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-((1-methylpiperidin-2-yl)methyl)benzamide

[0475] A measured amount of 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(piperidin-2-ylmethyl)benzamide (299 mg, .51 mmol, 1 eq) was dissolved in 2.3 mL of DMA. Formic acid (388 μ L, 10.28 mmol, 20 eq)

was added to solution with stirring followed by the addition of formaldehyde (508 μ l of 37% aq. solution). The reaction was then stirred at room temperature overnight. Analysis of an aliquot of the reaction mixture by LCMS indicated the complete consumption of starting material. The reaction was diluted with methanol (2 mL). Preparative reverse-phase HPLC was used to isolate the desired product directly from the crude reaction mixture. A Waters Fractionlynx preparative reverse-phase HPLC; equipped with a Waters SunFire Prep C18, OCD 5 μ M, 30 X 70 mm column and running a 5-100 % gradient with a binary solvent system of 25 mM ammonium acetate in water/acetonitrile; was used to carry out the purification. ^1H NMR (400 MHz, d_6 -DMSO): 9.44 (s, 1H), 8.94 (s, 1H), 8.79 (t, 1H), 8.57 (s, 1H), 8.27 (d, 1H), 7.90 (d, 1H), 7.54 (t, 1H), 7.46 (d, 1H), 7.39 (d, 1H), 7.33 (d, 1H), 7.18 (m, 2H), 6.60 (dd, 1H), 3.82 (s, 3H), 3.59 (m, 2H), 3.00 (s, 1H), 2.90 (s, 3H), 1.62 (m, 7H); MS (EI) for $\text{C}_{29}\text{H}_{31}\text{ClN}_6\text{O}_4\text{S}$: 595 (MH^+).

Example 522

3-(N-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-N-(1-methylpiperidin-3-yl)benzamide

[0476] The title compound was prepared according to the above Examples. ^1H NMR (400 MHz, d_6 -DMSO): 9.43 (s, 1H), 8.93 (s, 1H), 8.59 (s, 1H), 8.24 (d, 1H), 7.87 (d, 1H), 7.47 (m, 2H), 7.40 (d, 1H), 7.33 (d, 1H), 7.19 (m, 2H), 6.60 (dd, 1H), 4.21 (s, 1H), 3.82 (s, 1H), 2.76 (s, 1H), 2.50 (m, 7H), 1.91 (m, 2H), 1.63 (m, 2H); MS (EI) for $\text{C}_{28}\text{H}_{29}\text{ClN}_6\text{O}_4\text{S}$: 581 (MH^+).

Biological Assays

[0477] The compounds of the invention demonstrated the ability to bind to PI3K when tested in the assays described in Section II above. In another embodiment, the compounds in Section II and Section III bind to the PI3K with a binding affinity, for example, of about 50 μM or less, 20 μM or less, 10 μM or less, 5 μM or less, 2.5 μM or less or 1 μM or less. In an advantageous embodiment, the IC_{50} of the binding compounds is about 0.5 μM or less, about 0.3 μM or less, about 0.1 μM or less, about 0.08 μM or less, about 0.06 μM or less, about 0.05 μM or less, about 0.04 μM or less, 0.03 μM or less, in another embodiment, about 0.03 μM or less.

Biological Models

[0478] Several biological models were used to test the efficacy of a MEK compound of Formula I, Ia, Ic, Id, II, III, IV, or V in combination with a PI3K compound of Formula VI, VIa, VIb or VII or a PI3K compound of Formula VIII, VIIIa, VIIIb, or IX in inhibiting tumor cell proliferation. In brief, either A2058 or WM-266 melanoma cell lines (ATCC) were transplanted intradermally in the hindflank of athymic nude mice (Jackson Laboratories). Both cell lines contain the B-RAF V600E mutation (Solit et al., Nature 439: pages 358-362, February 2006) and the PTEN gene is deleted. The xenograft was allowed to grow and divide for 10 days. Starting on day 10, mice were treated daily with a vehicle control or with a selected compound from section I (MEK inhibitor of Formula I, Ia, Ic, Id, II, III, IV, or V) either alone or in combination with a compound from section II (PI3K inhibitor of Formulae Formula VI, VIa, VIb or VII) or a compound from section III (PI3K inhibitor of Formulae Formula VIII, VIIIa, VIIIb, or IX). The xenografts were allowed to grow for another 15 days and then the tumors were weighed.

[0479] Mice treated with the MEK inhibitor alone exhibited a 50-75% reduction in tumor growth over the 15 day treatment period. Mice carrying the A2058 xenograft and treated with a select MEK inhibitor at 10 mgs/kg showed a 60% reduction in tumor growth relative to mice treated with vehicle alone. Mice carrying the A2058 xenograft and treated with vehicle had a mean tumor weight of approximately 1100 mgs versus mice who were treated with the select MEK inhibitor who had a mean tumor weight of 450 mgs. Mice carrying the WM-266 xenograft and treated with vehicle had a mean tumor weight of 700 mgs while mice carrying the same xenograft and treated with the select MEK inhibitor had a mean tumor weight of 200 mgs. The MEK inhibitor inhibited the tumor growth of both tumors by 60-75% over the 15 day period of treatment.

[0480] Mice treated with a combination of MEK inhibitor and a selected Section II or Section III PI3K inhibitor also exhibited a reduction in tumor growth over the 15 day treatment period. Mice carrying the A2058 xenograft and treated with a select Section III PI3K inhibitor at 100 mgs/kg exhibited a 65% reduction in growth relative to animals treated with the vehicle alone (mean tumor size of 375 mgs for Section III PI3K inhibitor treated animals vs 1100 mgs for vehicle treated animals). Animals treated with a combination of a select MEK inhibitor (10mgs/kg) and a selected Section III PI3K inhibitor (100 mgs/kg) exhibited an 80% reduction in tumor growth over the 15 day treatment period (mean tumor size of 200 mgs for the tumors treated with the combination of compounds vs 1100 mgs for the vehicle treated tumors).

[0481] Mice carrying the A2058 xenograft and treated with a select Section II PI3K inhibitor at 100 mgs/kg exhibited a 65% reduction in growth relative to animals treated with the vehicle alone (mean tumor size of 350 mgs for PI3K inhibitor treated animals vs 1100 mgs for vehicle treated animals). Animals treated with a combination of a select MEK

inhibitor (10mgs/kg) and a selected Section II PI3K inhibitor (100 mgs/kg) exhibited an 75% reduction in tumor growth over the 15 day treatment period (mean tumor size of 250 mgs for the tumors treated with the combination of compounds vs 1100 mgs for the vehicle treated tumors).

[0482] Similar results were observed in animals carrying the WM-266 xenograft and treated with the same combination of drugs. Mean tumor weights for the animals treated with the vehicle alone were 700 mgs after 15 days of treatment. Animals that had received the Section III PI3K or Section II PI3K inhibitors exhibited a 50% reduction in tumor growth (mean tumor size of 500 mgs for the tumors treated with each compound) and an 85% reduction in tumor growth for tumors treated with the combination of a select MEK and either the Section II PI3K or Section III PI3K inhibitors (mean tumor size of 100 mgs after 15 days of combination treatment).

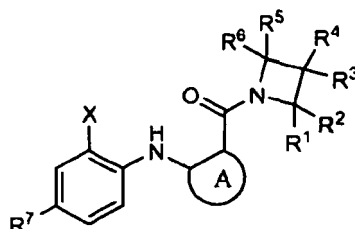
[0483] Xenographs treated with the combination of a select MEK inhibitor and either a select Section III PI3K inhibitor or a select Section II PI3K inhibitor exhibited a greater reduction in tumor growth than tumors treated with either compound alone.

[0484] The foregoing invention has been described in some detail by way of illustration and example, for purposes of clarity and understanding. The invention has been described with reference to various specific and preferred embodiments and techniques. Therefore, it is to be understood that the above description is intended to be illustrative and not restrictive. The scope of the invention should, therefore, be determined not with reference to the above description, but should instead be determined with reference to the following appended claims, along with the full scope of equivalents to which such claims are entitled.

Claims

1. A compound of Formula Ia, Ic, Id, II, or V or a pharmaceutically acceptable composition thereof, in combination with a compound(s) selected from the group consisting of a compound of Formula VIa, VIb, VII, VIIa, VIIb, and
 8-ethyl-2-(ethylamino)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one;
 8-ethyl-2-(ethylamino)pyrido[2,3-d]pyrimidin-7(8H)-one;
 4-methyl-N-(3-morpholin-4-ylquinoxalin-2-yl)benzenesulfonamide;
 5,12-bis[(4-methylphenyl)sulfonyl]-5,12-dihydroquinoxalino[2,3-b]quinoxaline;
 N-[3-(1H-benzimidazol-1-yl)quinoxalin-2-yl]benzenesulfonamide;
 1-(phenylsulfonyl)-3-[4-(pyrrolidin-1-ylsulfonyl)phenyl]-2,3-dihydro-1H-imidazo[4,5-b]quinoxaline;
 1-(phenylsulfonyl)-3-[4-(piperidin-1-ylsulfonyl)phenyl]-2,3-dihydro-1H-imidazo[4,5-b]quinoxaline;
 2,5-dichloro-N-[3-(3,4-dihydroquinolin-1(2H)-yl)quinoxalin-2-yl]benzenesulfonamide;
 N-(4-[(3-morpholin-4-ylquinoxalin-2-yl)amino]sulfonyl)phenyl)acetamide;
 4-methyl-N-[3-((2-(trifluoromethyl)thio)phenyl)amino]quinoxalin-2-yl]benzenesulfonamide;
 N-[4-((3-[2-(methoxy)phenyl]-2,3-dihydro-1H-imidazo[4,5-b]quinoxalin-1-yl)sulfonyl)phenyl]acetamide;
 4-(3-[(4-(acetylamino)phenyl)sulfonyl]-2,3-dihydro-1H-imidazo[4,5-b]quinoxalin-1-yl)benzoic acid;
 1-naphthalen-2-yl-3-[(3-nitrophenyl)sulfonyl]-2,3-dihydro-1H-imidazo[4,5-b]quinoxaline;
 N-[4-((3-[4-(methoxy)phenyl]-2,3-dihydro-1H-imidazo[4,5-b]quinoxalin-1-yl)sulfonyl)phenyl]acetamide;
 1-(3-methylphenyl)-3-[(4-methylphenyl)sulfonyl]-2,3-dihydro-1H-imidazo[4,5-b]quinoxaline;
 N-(4-[(3-(4-methylphenyl)-2,3-dihydro-1H-imidazo[4,5-b]quinoxalin-1-yl)sulfonyl]phenyl)acetamide;
 N-[4-[(3-phenyl)-2,3-dihydro-1H-imidazo[4,5-b]quinoxalin-1-yl)sulfonyl]phenyl]acetamide;
 N-(4-[(3-(3-methylphenyl)-2,3-dihydro-1H-imidazo[4,5-b]quinoxalin-1-yl)sulfonyl]phenyl)acetamide;
 1-[4-(methoxy)phenyl]-3-[(4-methylphenyl)sulfonyl]-2,3-dihydro-1H-imidazo[4,5-b]quinoxaline;
 N-(4-[(3-(2-methylphenyl)-2,3-dihydro-1H-imidazo[4,5-b]quinoxalin-1-yl)sulfonyl]phenyl)acetamide;
 1-(3-methylphenyl)-3-[(3-nitrophenyl)sulfonyl]-2,3-dihydro-1H-imidazo[4,5-b]quinoxaline;
 1-(4-methylphenyl)-3-[(3-nitrophenyl)sulfonyl]-2,3-dihydro-1H-imidazo[4,5-b]quinoxaline;
 N-[3-[(4-methylphenyl)amino]quinoxalin-2-yl]-3-(1H-tetrazol-1-yl)benzenesulfonamide;
 N-(4-[(3-piperidin-1-ylquinoxalin-2-yl)amino]sulfonyl)phenyl)acetamide;
 N-cyano-N-(3-piperidin-1-ylquinoxalin-2-yl)benzenesulfonamide;
 N-[3-(3,4-dihydroisoquinolin-2(1H-yl)quinoxalin-2-yl)-2-methylbenzenesulfonamide;
 1-[(4-chlorophenyl)sulfonyl]-3-[4-(pyrrolidin-1-ylsulfonyl)phenyl]-2,3-dihydro-1H-imidazo[4,5-b]quinoxaline;
 1-(4-morpholin-4-ylphenyl)-3-(phenylsulfonyl)-2,3-dihydro-1H-imidazo[4,5-b]quinoxaline;
 N-[3-bis(phenylmethyl)amino]quinoxalin-2-yl]benzenesulfonamide;
 N-(3-piperidin-1-ylquinoxalin-2-yl)benzenesulfonamide;
 4-methyl-N-(3-piperidin-1-ylquinoxalin-2-yl)benzenesulfonamide;
 3-methyl-1-(3-[(4-methylphenyl)sulfonyl]amino)quinoxalin-2-yl]pyridinium;
 N-[3-(4-phenylpiperazin-1-yl)quinoxalin-2-yl]benzenesulfonamide;
 N-(3-azidoquinoxalin-2-yl)benzenesulfonamide;

N-[3-(dimethylamino)quinoxalin-2-yl]benzenesulfonamide;
N-(3-{4-[(9-oxo-9*H*-fluoren-1-yl)carbonyl]piperazin-1-yl}quinoxalin-2-yl)benzenesulfonamide;
N-[3-(4-phenylpiperazin-1-yl)quinoxalin-2-yl]benzenesulfonamide;
N-{3-[4-(phenylmethyl)piperidin-1-yl]quinoxalin-2-yl}benzenesulfonamide;
N-(3-morpholin-4-ylquinoxalin-2-yl)benzenesulfonamide;
N-(3-{[2,5-bis(methoxy)phenyl]amino}pyrazin-2-yl)-4-chlorobenzenesulfonamide;
N-(3-piperazin-1-ylquinoxalin-2-yl)benzenesulfonamide;
 or a pharmaceutically acceptable composition thereof, for use in the treatment of cancer, where Formula Ia is as follows:



Formula Ia

and optionally as a pharmaceutically acceptable salt or solvate thereof, wherein

the A ring represents an arylene or heteroarylene group and the A ring is optionally substituted with one, two, three or four groups selected from R^{10} , R^{12} , R^{14} , and R^{16} where R^{10} , R^{12} , R^{14} , and R^{16} are independently hydrogen, C_{1-6} -alkanyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, halo, haloalkoxy, hydroxy, C_{1-6} -alkoxy, amino, alkylamino, dialkylamino, haloalkyl, $-NHS(O)_2R^8$, $-CN$, $-C(O)R^8$, $-C(O)OR^8$, $-C(O)NR^8R^8'$ or $-NR^8C(O)R^8'$;

X is C_{1-20} -alkyl, halo, haloalkyl, or haloalkoxy;

R^1 , R^2 , R^3 , R^4 , R^5 and R^6 are independently hydrogen, halo, nitro, $-NR^8R^8'$, $-OR^8$, $-NHS(O)_2R^8$, $-CN$, $-S(O)_mR^8$, $-S(O)_2NR^8R^8'$, $-C(O)R^8$, $-C(O)OR^8$, $-C(O)NR^8R^8'$, $-NR^8C(O)OR^8'$, $-NR^8C(O)NR^8R^8''$, $-NR^8C(O)OR^8$, $-NR^8C(O)R^8$, C_{1-6} -alkanyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, cycloalkyl, heteroaryl, or heterocycloalkyl; where the C_{1-6} -alkanyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, cycloalkyl, heteroaryl, and heterocycloalkyl are optionally substituted with one, two, three, four, five, six or seven groups independently selected from halo, C_{1-6} -alkanyl, haloalkyl, nitro, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted heteroaryl, $-OR^8$, $-NR^8R^8'$, $-NHS(O)_2R^9$, $-CN$, $-S(O)_mR^9$, $-C(O)R^8$, $-C(O)OR^8$, $-C(O)NR^8R^8'$, $-NR^8C(O)NR^8R^8''$, $-NR^8C(O)OR^8'$, and $-NR^8C(O)R^8'$; or

one of R^1 and R^2 together with the carbon to which they are attached, R^3 and R^4 together with the carbon to which they are attached, and R^5 and R^6 together with the carbon to which they are attached form $C(O)$ or $C(=NOH)$;

m is 1 or 2;

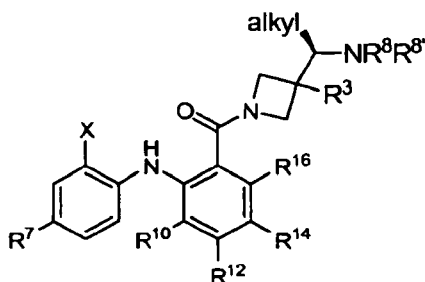
R^7 is hydrogen, halo or C_{1-20} -alkyl;

R^8 , R^8' and R^8'' are independently hydrogen, hydroxy, C_{1-6} -alkoxy, substituted C_{1-6} -alkoxy, C_{1-6} -alkanyl, haloalkyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, cycloalkyl, heteroaryl, or heterocycloalkyl; where the C_{1-6} -alkanyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, cycloalkyl, heteroaryl, and heterocycloalkyl are independently optionally substituted with one, two three, four, or five groups independently selected from C_{1-6} -alkanyl, halo, hydroxy, hydroxy-alkyl, C_{1-6} -alkoxy, substituted C_{1-6} -alkoxy, alkoxyalkyl, haloalkyl, carboxy, carboxy ester, nitro, cyano, $-S(O)_nR^{31}$ (where n is 0, 1, or 2 and R^{31} is alkyl, substituted alkyl, optionally substituted aryl, optionally substituted heterocycloalkyl, or optionally substituted heteroaryl), $-NR^{14}SO_2R^{14a}$ (where R^{34} is hydrogen or C_{1-20} -alkyl and R^{34a} is C_{1-20} -alkyl, C_{2-6} -alkenyl, optionally substituted aryl, optionally substituted heterocycloalkyl, optionally substituted cycloalkyl, or optionally substituted heteroaryl), $-SO_2NR^{35}R^{35a}$ (where R^{35} is hydrogen or alkyl and R^{35a} is C_{1-20} -alkyl, C_{2-6} -alkenyl, optionally substituted aryl, optionally substituted heterocycloalkyl, optionally substituted cycloalkyl, or optionally substituted heteroaryl), optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, aryloxy, arylalkyloxy, optionally substituted heteroaryl, $-NHC(O)R^{32}$ (where R^{32} is C_{1-6} -alkanyl, C_{2-6} -alkenyl, alkoxy, or cycloalkyl) and $-NR^{30}R^{30'}$ (where R^{30} and $R^{30'}$ are independently hydrogen, C_{1-20} -alkyl, or hydroxyalkyl), and $-C(O)NHR^{33}$ (where R^{33} is C_{1-6} -alkanyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, or cycloalkyl); and

R^9 is C_{1-6} -alkanyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, cycloalkyl, heteroaryl, or heterocycloalkyl; where the C_{1-6} -alkanyl, C_{2-6} -alkenyl, C_{2-6} -alkynyl, aryl, cycloalkyl, heteroaryl, and heterocycloalkyl are independently optionally

substituted with one, two, three, four, or five groups selected from C₁₋₆-alkanyl, halo, hydroxy, haloalkoxy, haloalkyl, amino, alkylamino, and dialkylamino;

where Formula Ic is as follows:



Formula Ic

and optionally as a pharmaceutically acceptable salt or solvate thereof, wherein

R¹⁰, R¹², R¹⁴ and R¹⁶ are independently hydrogen, C₁₋₆-alkanyl, halo, haloalkoxy, hydroxy, C₁₋₆-alkoxy, or haloalkyl;

X is halo;

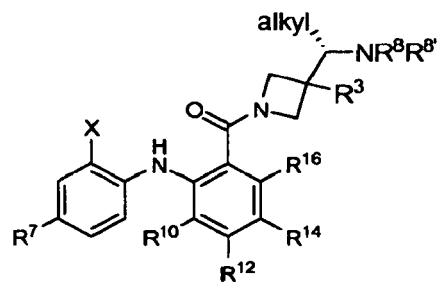
R³ is hydrogen, halo, nitro, -NR⁸R^{8'}, -OR⁸, -NHS(O)₂R⁸, -CN, -S(O)_mR⁸, -S(O)₂NR⁸R^{8'}, -C(O)R⁸, -C(O)OR⁸, -C(O)NR⁸R^{8'}, -NR⁸C(O)OR^{8'}, -NR⁸C(O)NR^{8'}R^{8''}, -NR⁸C(O)OR^{8'}, -NR⁸C(O)R^{8'}, C₁₋₆-alkanyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, cycloalkyl, heteroaryl, or heterocycloalkyl; where the C₁₋₆-alkanyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, cycloalkyl, heteroaryl, and heterocycloalkyl are optionally substituted with one, two, three, four, five, six or seven groups independently selected from halo, C₁₋₆-alkanyl, haloalkyl, nitro, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted heteroaryl, -OR⁸, -NR⁸R^{8'}, -NHS(O)₂R⁹, -CN, -S(O)_mR⁹, -C(O)R⁸, -C(O)OR⁸, -C(O)NR⁸R^{8'}, -NR⁸C(O)NR^{8'}R^{8''}, -NR⁸C(O)OR^{8'}, and -NR⁸C(O)R^{8'};

R⁷ is hydrogen, halo or C₁₋₂₀-alkyl;

R⁸, R^{8'} and R^{8''} are independently hydrogen, hydroxy, alkoxy, substituted alkoxy, C₁₋₆-alkanyl, haloalkyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, cycloalkyl, heteroaryl, or heterocycloalkyl; where the C₁₋₆-alkanyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, cycloalkyl, heteroaryl, and heterocycloalkyl are independently optionally substituted with one, two three, four, or five groups independently selected from C₁₋₆-alkanyl, halo, hydroxy, hydroxyalkyl, C₁₋₆-alkoxy, substituted alkoxy, alkoxyalkyl, haloalkyl, carboxy, carboxy ester, nitro, cyano, -S(O)_nR³¹ (where n is 0, 1, or 2 and R³¹ is alkyl, substituted alkyl, optionally substituted aryl, optionally substituted heterocycloalkyl, or optionally substituted heteroaryl), -NR³⁴SO₂R^{34a} (where R³⁴ is hydrogen or C₁₋₂₀-alkyl and R^{34a} is C₁₋₂₀-alkyl, C₂₋₆-alkenyl, optionally substituted aryl, optionally substituted heterocycloalkyl, optionally substituted cycloalkyl, or optionally substituted heteroaryl), -SO₂NR³⁵R^{35a} (where R³⁵ is hydrogen or alkyl and R^{35a} is C₁₋₂₀-alkyl, C₂₋₆-alkenyl, optionally substituted aryl, optionally substituted heterocycloalkyl, optionally substituted cycloalkyl, or optionally substituted heteroaryl), optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, aryloxy, arylalkyloxy, optionally substituted heteroaryl, -NHC(O)R³² (where R³² is C₁₋₆-alkanyl, C₂₋₆-alkenyl, alkoxy, or cycloalkyl) and -NR³⁰R^{30'} (where R³⁰ and R^{30'} are independently hydrogen, C₁₋₂₀-alkyl, or hydroxyalkyl), and -C(O)NHR³³ (where R³³ is C₁₋₆-alkanyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, or cycloalkyl); and

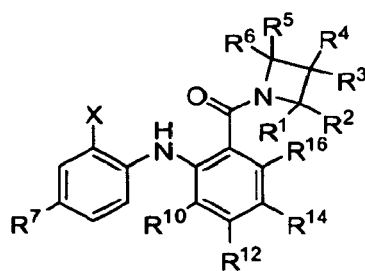
R⁹ is C₁₋₆-alkanyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, cycloalkyl, heteroaryl, or heterocycloalkyl; where the C₁₋₆-alkanyl, C₂₋₆-alkenyl, C₂₋₆-alkynyl, aryl, cycloalkyl, heteroaryl, and heterocycloalkyl are independently optionally substituted with one, two, three, four, or five groups selected from C₁₋₆-alkanyl, halo, hydroxy, haloalkoxy, haloalkyl, amino, alkylamino, and dialkylamino;

where Formula Id is as follows:



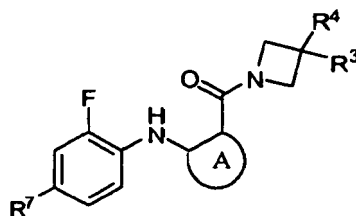
Formula Id

and optionally as a pharmaceutically acceptable salt or solvate thereof, wherein X, R⁷, R¹⁰, R¹², R¹⁴, R¹⁶, R³, R⁸, and R^{8'} are as defined above for Formula Ic; where Formula II is as follows:



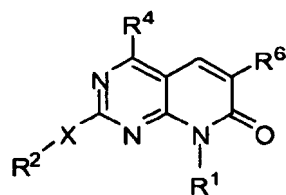
II

and optionally as a pharmaceutically acceptable salt or solvate thereof, wherein X, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R¹⁰, R¹², R¹⁴ and R¹⁶ are as defined above for Formula Ia; where Formula V is as follows:



V

and optionally as a pharmaceutically acceptable salt or solvate thereof, wherein the A ring, R³, R⁴, and R⁷ are as defined above for Formula Ia; where Formula VIa is as follows:



(VIa)

and optionally as a pharmaceutically acceptable salt or hydrate thereof, wherein

R¹ is hydrogen, optionally substituted C₁-C₆ alkyl, optionally substituted C₃-C₇ cycloalkyl, optionally substituted aryl, optionally substituted heteroalicyclic, optionally substituted heteroalicyclicalkyl, optionally substituted heteroaryl or optionally substituted heteroarylalkyl;

X is -NR³-;

R² is hydrogen, optionally substituted C₁-C₆ alkyl, C₃-C₇ cycloalkyl, aryl, aryl-C₁₋₆ alkyl, heteroalicyclic, heterocyclalkyl, heterocycl-aryl- or heteroaryl; where the cycloalkyl, aryl, aryl-C₁₋₆ alkyl, heteroalicyclic, heterocyclalkyl, heterocycl-aryl-, and heteroaryl groups in R² are optionally substituted with 1, 2, 3, or 4 R⁸ groups;

R³ is hydrogen;

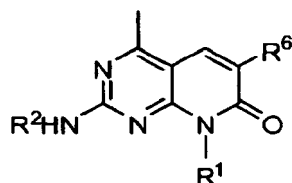
R⁴ is optionally substituted C₁-C₆ alkyl;

R⁶ is acyl, phenyl, or heteroaryl; where the phenyl, and heteroaryl in R⁶ are optionally substituted with 1, 2, 3, or 4 R⁹ groups;

R⁸ at each occurrence is independently hydroxy, halo, haloalkyl, C₁-C₆ alkyl, optionally substituted C₁-C₆ alkoxy, C₁-C₆ alkoxyalkyl, C₁-C₆ alkoxyalkylaminoalkyl, C₁-C₆ alkylcarboxyheterocycl, -O-C₁-C₆alkylheterocycl, aminoalkyl, optionally substituted C₃-C₇ cycloalkyl, optionally substituted aryl, optionally substituted aryl C₁-C₆ alkyl, optionally substituted heteroalicyclic, optionally substituted heteroalicyclicalkyl, optionally substituted heteroaryl or optionally substituted heteroarylalkyl; and

R⁹ at each occurrence is independently halo, haloalkyl, haloalkoxy, C₁-C₆ alkyl, C₁-C₆ alkoxy, C₁-C₆ alkoxyalkyl, C₁-C₆ carboxyalkyl, alkoxycarbonyl, aminoalkyl, optionally substituted C₃-C₇ cycloalkyl, optionally substituted aryl, optionally substituted aryl C₁-C₆ alkyl, optionally substituted aryloxy, optionally substituted heteroalicyclic, or optionally substituted heteroaryl;

where Formula VIb is as follows:



(VIb)

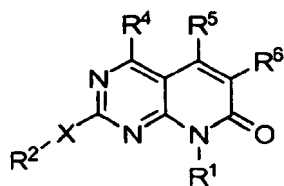
and optionally a pharmaceutically acceptable salt or solvate thereof, wherein

R¹ is hydrogen, optionally substituted C₁-C₆ alkyl, optionally substituted C₃-C₇ cycloalkyl, optionally substituted aryl, optionally substituted heteroalicyclic, optionally substituted heteroalicyclicalkyl, optionally substituted heteroaryl or optionally substituted heteroarylalkyl;

R⁶ is phenyl, acyl, or heteroaryl wherein the phenyl and heteroaryl are optionally substituted with 1, 2, 3, or 4 R⁹ groups; and

R⁹ at each occurrence is independently halo, haloalkyl, haloalkoxy, C₁-C₆ alkyl, C₁-C₆ alkoxy, C₁-C₆ alkoxyalkyl, C₁-C₆ carboxyalkyl, alkoxycarbonyl, aminoalkyl, optionally substituted C₃-C₇ cycloalkyl, optionally substituted aryl, optionally substituted aryl C₁-C₆ alkyl, aryloxy, optionally substituted heteroalicyclic, or optionally substituted heteroaryl;

where Formula VII is as follows:



VII

R¹ is hydrogen, optionally substituted C₁-C₆ alkyl, optionally substituted C₃-C₇ cycloalkyl, optionally substituted

aryl, optionally substituted heteroalicyclic, optionally substituted heteroalicyclicalkyl, optionally substituted heteroaryl or optionally substituted heteroarylalkyl;

X is -NR³-;

R³ is hydrogen;

R⁴ is optionally substituted C₁-C₆ alkyl;

R⁵ is hydrogen;

R⁶ is acyl and R² is heterocyclyl-aryl- optionally substituted with 1, 2, 3, or 4 R⁸ groups; or

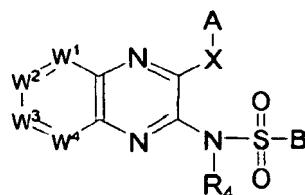
R⁶ is halo and R² is optionally substituted C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl, aryl-C₁₋₆ alkyl, heteroalicyclicalkyl, or heterocyclyl-aryl-; where the C₃-C₇ cycloalkyl, phenyl, phenyl, aryl-C₁₋₆ alkyl, heteroalicyclicalkyl, and heterocyclyl-aryl- groups in R² are optionally substituted with 1, 2, 3, or 4 R⁸ groups; or

R⁶ is phenyl optionally substituted with 1, 2, or 3 halo; and R² is phenyl or heterocyclyl-aryl-; where the phenyl and heterocyclyl-aryl- groups in R² are optionally substituted with 1, 2, 3, or 4 R⁸ groups; or

R⁶ is heteroaryl optionally substituted with 1, 2, or 3 halo; and R² is heterocyclyl-aryl- optionally substituted with 1, 2, 3, 4, or 5 R⁸ groups;

each R⁸ at each instance is independently hydroxy, halo, C₁-C₆ alkyl, haloalkyl, optionally substituted C₁-C₆ alkoxy, C₁-C₆ alkoxyalkyl, C₁-C₆ alkoxycarbonyl, C₁-C₆ alkoxyalkylaminoalkyl, -O-C₁-C₆alkylheterocyclyl, aminoalkyl, optionally substituted C₃-C₇ cycloalkyl, optionally substituted aryl, optionally substituted aryl C₁-C₆ alkyl, optionally substituted heteroalicyclic, optionally substituted heteroalicyclicalkyl, optionally substituted heteroaryl or optionally substituted heteroarylalkyl;

where Formula VIIIa is as follows:



VIIIa

or a pharmaceutically acceptable salt or solvate thereof, wherein

W¹, W², W³, and W⁴ are -C(R₁)- or W₂ and W³ are -C(R₁)- and one of W¹ and W⁴ is -N- and the other is -C(R₁)-;

X is -N(R₅)-;

A is aryl, heteroaryl, or heterocycloalkyl where the aryl, heteroaryl, and heterocycloalkyl are optionally substituted with (R₂)_{n1}; or

B is aryl, -C₁-C₆ alkylaryl, heteroaryl, or heterocycloalkyl, where the aryl, C₁-C₆-alkyl, heteroaryl, and heterocycloalkyl are independently optionally substituted with (R₃)_{n2};

n₁ is 0, 1, 2, or 3;

n₂ is or an integer from 1 to 5;

each R₁ is independently hydrogen, C₁-C₆-alkyl, haloalkyl, C₁-C₆-alkoxy, haloalkoxy, or -NO₂; each R₂ (when R₂ is present) is independently -C₁-C₆-alkanyl, -C₁-C₆-alkenyl, -OR₆, -N(R₇)-C(O)-R₆, -N(R₇)-C(O)-C₀-C₆ alkyl-N(R_{7b})R_{7a}, -OC(O)-C₀-C₆ alkyl-N(R₇)R_{7a}, -C₀-C₆alkyl-C(O)R₆, heterocycloalkyl, aryl, halo, -NO₂, or -C₀-C₆-alkyl-N(R₇)R_{7a}, wherein each alkyl, aryl, and heterocycloalkyl groups, each either alone or as part of another group within R₂, is independently optionally substituted with one, two, three, four, or five groups selected from C₁-C₆-alkyl, C₁-C₆-alkoxy, halo, haloalkyl, and haloalkoxy;

each R₃ (when R₃ is present) is independently hydroxy, -NO₂, halo, -CN, C₁-C₆-alkanyl, C₂-C₆-alkenyl, C₁-C₆ alkoxy, -C₀-C₆ alkyl-N(R₇)C(O)-C₀-C₆-alkyl-N(R_{7b})R_{7a}, -C₀-C₆-alkyl-N(R₇)C(O)-C₀-C₆-alkyl-N(R_{7b})C(O)R_{7a}, -C₀-C₆ alkyl-C(O)N(R₇)-C₀-C₆-alkyl-N(R₇)R_{7a}, -C₀-C₆-alkyl-C(O)N(R₇)-C₁-C₆-alkyl-C(O)OR_{7a}, -C₀-C₆-alkyl-N(R₇)-C(O)-C₀-C₆-alkyl-(R₇), -C₀-C₆-alkyl-N(R₇)-C₀-C₆-alkyl-N(R₇)R_{7a}, -C₀-C₆-alkyl-N(R₇)C(O)-C₀-C₆-alkyl-N(R_{7b})-C₀-C₆-alkyl-N(R_{7c})R_{7a}, -C₀-C₆-alkyl-N(R₇)C(O)O-C₀-C₆-alkyl-N(R_{7b})R_{7a}, -C₀-C₆-alkyl-N(R₇)-C₀-C₆ alkyl-C(=N(R_{7b})(R_{7a}))(NR_{7c}R_{7d}), -C₀-C₆-alkyl-heteroaryl, -C₀-C₆-alkyl-OR₆, -C₀-C₆-alkyl-C(O)OR₆, -C₀-C₆-alkyl-N(R₇)R_{7a}, -C₀-C₆-alkyl-C(O)-NR_{7a}, -C₀-C₆-alkyl-C(O)-R₇, -S(O)₂R₇, -SO₂N(R₇)-C₀-C₆-alkyl-N(R₇)R_{7a}, -C₀-C₆-alkyl-C(O)-heterocycloalkyl (dupe of C(O)R₇), -C₀-C₆-alkyl-C(O)N(R₇)-C₀-C₆-alkyl-heterocycloalkyl, -C₀-C₆-alkyl-N(R₇)C(O)-C₀-C₆-alkyl-cycloalkyl, -C₀-C₆-alkyl-N(R₇)-C(O)-C₀-C₆-alkyl-aryl, -C₀-C₆-alkyl-N(R₇)-C(O)-C₀-C₆-alkyl-heteroaryl, -C₀-C₆-alkyl-N(R₇)C(O)-C₀-C₆-alkyl-heterocycloalkyl,

-C₀-C₆-alkyl-N(R₇)C(O)-C₀-C₆-alkyl-heterocycloalkyl-aryl, or -N(R₇)C(O)R_{7a}, wherein each of the alkyl, alkanyl, alkenyl, cycloalkyl, aryl, alkoxy, heterocycloalkyl, and heteroaryl groups, either alone or as part of another group within R₃, is independently optionally substituted with 1, 2, 3, 4, or 5 groups selected from C₁-C₆-alkanyl, C₁-C₆ alkenyl, cycloalkyl, halo, -C(O)-R₆, oxo, hydroxy, -C₀-C₆-alkyl-N(R₈)R_{8a}, -C₀-C₆-alkyl-heterocycloalkyl, -C₀-C₆-alkyl-aryl, -C₀-C₆-alkyl-heteroaryl, -C(O)OR₆, and hydroxyalkyl;

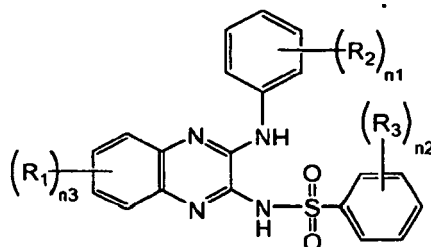
R₄ is hydrogen;

R₅ is hydrogen;

R₆ and R₉ are independently hydrogen, C₁-C₆-alkyl, aryl, arylalkyl, or cycloalkyl, where each of the -C₁-C₆-alkyl, aryl, arylalkyl, and cycloalkyl, is independently optionally substituted with 1, 2, 3, 4, or 5 groups selected from C₁-C₆-alkoxy, C₁-C₆-alkyl, and halo; and

R₇, R_{7a}, R_{7b}, R_{7c}, and R_{7d} are independently hydrogen, -C₁-C₆-alkanyl, -C₁-C₆-alkenyl, -OH, -O-C₁-C₆ alkanyl, -O-C₁-C₆ alkenyl, -O-C₀-C₆-alkyl-aryl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, or heterocycloalkylalkyl, wherein each of the alkyl, aryl, heteroaryl, and heterocycloalkyl, either alone or part of another group within R₇, R_{7a}, R_{7b}, R_{7c}, and R_{7d}, is independently optionally substituted with 1, 2, 3, 4, or 5 -NH₂, alkylamino, dialkylamino, -S-C₁-C₆-alkyl, -CN, hydroxy, oxo, C₁-C₆ C1-6-alkoxy, C₁-C₆ alkyl, or halo;

and where Formula VIIIb is as follows:



VIIIb

or a pharmaceutically acceptable salt or solvate thereof, wherein

n₁ is one or two; and n₂ is one or two; n₃ is 0, 1, or two;

each R₁ is independently hydrogen, C₁-C₆-alkyl, haloalkyl, C₁-C₆-alkoxy, haloalkoxy, -NO₂, halo, hydroxy, hydroxyalkyl, -CN, cyanoalkyl, or -C₀-C₆ alkyl-N(R₁₀)R_{10a} where R₁₀ and R_{10a} are independently hydrogen, -C₁-C₆-alkyl, -OH, -O-C₁-C₆ alkyl, haloalkyl, or haloalkoxy;

each R₂ (when R₂ is present) is independently C₁-C₆-alkanyl, C₁-C₆-alkenyl, -OR₆, -N(R₇)-C(O)-R₆, -N(R₇)-C(O)-C₀-C₆ alkyl-N(R_{7b})R_{7a}, -OC(O)-C₀-C₆ alkyl-N(R₇)R_{7a}, -C₀-C₆alkyl-C(O)R₆, heterocycloalkyl, aryl, halo, -NO₂, or -C₀-C₆-alkyl-N(R₇)R_{7a}, wherein each alkyl, aryl, and heterocycloalkyl groups, each either alone or as part of another group within R₂, is independently optionally substituted with one, two, three, four, or five groups selected from C₁-C₆-alkyl, C₁-C₆-alkoxy, halo, haloalkyl, and haloalkoxy;

each R₃ (when R₃ is present) is independently hydroxy, -NO₂, halo, -CN, C₁-C₆-alkanyl, C₂-C₆-alkenyl, C₁-C₆ alkoxy, -C₀-C₆ alkyl-N(R₇)C(O)-C₀-C₆-alkyl-N(R_{7b})R_{7a}, -C₀-C₆-alkyl-N(R₇)C(O)-C₀-C₆-alkyl-N(R_{7b})C(O)R_{7a}, -C₀-C₆ alkyl-C(O)N(R₇)-C₀-C₆-alkyl-N(R₇)R_{7a}, -C₀-C₆-alkyl-C(O)N(R₇)-C₁-C₆-alkyl-C(O)OR_{7a}, -C₀-C₆-alkyl-N(R₇)-C(O)-C₀-C₆-alkyl-(R₇), -C₀-C₆-alkyl-N(R₇)-C₀-C₆-alkyl-N(R₇)R_{7a}, -C₀-C₆-alkyl-N(R₇)C(O)-C₀-C₆-alkyl-N(R_{7b})-C₀-C₆-alkyl-N(R_{7c})R_{7a}, -C₀-C₆-alkyl-N(R₂)C(O)O-C₀-C₆-alkyl-N(R_{7b})R_{7a}, -C₀-C₆-alkyl-(R₇)-C₀-C₆ alkyl-C(=N(R_{7b})(R_{7a}))(NR_{7c}R_{7d}), -C₀-C₆-alkyl-heteroaryl, -C₀-C₆-alkyl-OR₆, -C₀-C₆-alkyl-C(O)OR₆, -C₀-C₆-alkyl-N(R₇)R_{7a}, -C₀-C₆-alkyl-C(O)-NR₇R_{7a}, -C₀-C₆-alkyl-C(O)-R₇, -S(O)₂R₇, -SO₂N(R₇)-C₀-C₆-alkyl-N(R₇)R_{7a}, -C₀-C₆-alkyl-C(O)-heterocycloalkyl (dupe of C(O)R₇), -C₀-C₆-alkyl-C(O)N(R₇)-C₀-C₆-alkyl-heterocycloalkyl, -C₀-C₆-alkyl-N(R₇)C(O)-C₀-C₆-alkyl-cycloalkyl, -C₀-C₆-alkyl-N(R₇)-C(O)-C₀-C₆-alkyl-aryl, -C₀-C₆-alkyl-N(R₇)-C(O)-C₀-C₆-alkyl-heteroaryl, -C₀-C₆-alkyl-N(R₇)C(O)-C₀-C₆-alkyl-heterocycloalkyl, -C₀-C₆-alkyl-N(R₇)C(O)-C₀-C₆-alkyl-heterocycloalkyl-aryl, or -N(R₇)C(O)R_{7a}, wherein each of the alkyl, alkanyl, alkenyl, cycloalkyl, aryl, alkoxy, heterocycloalkyl, and heteroaryl groups, either alone or as part of another group within R₃, is independently optionally substituted with 1, 2, 3, 4, or 5 groups selected from C₁-C₆-alkanyl, C₁-C₆ alkenyl, cycloalkyl, halo, -C(O)-R₆, oxo, hydroxy, -C₀-C₆-alkyl-N(R₈)R_{8a}, -C₀-C₆-alkyl-heterocycloalkyl, -C₀-C₆-alkyl-aryl, -C₀-C₆-alkyl-heteroaryl, -C(O)OR₆, and hydroxyalkyl;

R₄ is hydrogen;

R₅ is hydrogen;

R₆ is hydrogen, C₁-C₆-alkyl, aryl, arylalkyl, or cycloalkyl, where each of the -C₁-C₆-alkyl, aryl, arylalkyl, and cycloalkyl, is independently optionally substituted with 1, 2, 3, 4, or 5 groups selected from C₁-C₆-alkoxy, C₁-C₆-alkyl, and halo; and

R₇, R_{7a}, R_{7b}, R_{7c}, and R_{7d} are independently hydrogen, -C₁-C₆-alkanyl, -C₁-C₆-alkenyl, -OH, -O-C₁-C₆ alkanyl, -O-C₁-C₆ alkenyl, -O-C₁-C₆-alkyl-aryl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, or heterocycloalkylalkyl, wherein each of the alkyl, aryl, heteroaryl, and heterocycloalkyl, either alone or part of another group within R₇, R_{7a}, R_{7b}, R_{7c}, and R_{7d}, is independently optionally substituted with 1, 2, 3, 4, or 5 -NH₂, alkylamino, dialkylamino, -S-C₁-C₆-alkyl, -CN, hydroxy, oxo, C₁-C₆ C1-6-alkoxy, C₁-C₆ alkyl, or halo.

2. The compound or composition of claim 1 for use according to claim 1 wherein the cancer is melanoma, bladder cancer, Wilm's cancer, ovarian cancer, pancreatic cancer, gastrointestinal stroma cancer, breast cancer, prostate cancer, bone cancer, small cell lung cancer, non-small cell lung cancer, colorectal cancer, cervical cancer, endometrium cancer, synovial sarcoma, vasoactive intestinal peptide secreting tumors, acute myelogenous leukemia (AML), acute lymphocytic leukemia (ALL), Philadelphia Chromosome-Associated Acute Lymphoblastic Leukemia (Ph+ ALL), chronic lymphocytic leukemia (CLL), or chronic myelogenous leukemia (CML).
3. The compound or composition of claim 2 for use according to claim 2, wherein the cancer is melanoma.
4. The compound or composition of claim 2 for use according to claim 2, wherein the cancer is further **characterized by** having a mutation in the B-RAF gene.
5. The compound or composition of claim 4 for use according to claim 4, wherein the mutation in B-RAF is an activating mutation.
6. The compound or composition of claim 2 for use according to claim 2, wherein the cancer is further **characterized by** having a mutation in the PTEN gene.
7. The compound or composition of claim 6 for use according to claim 6, wherein the mutation eliminates PTEN function.
8. The compound or composition of claim 7 for use according to claim 7, wherein the cancer also contains a mutation in the B-RAF gene and wherein the mutation is an activating mutation.
9. The compound or composition of Claims 1, 2, 3, 4, 5, 6, 7, or 8 for use according to claims 1, 2, 3, 4, 5, 6, 7, or 8 wherein the compound of Formula Ia, Ic, Id, II or V is selected from:

1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-ol;
 1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-one;
 6-(azetidin-1-ylcarbonyl)-2,3-difluoro-*N*-(2-fluoro-4-iodophenyl)aniline;
 6-[(3,3-difluoroazetidin-1-yl)carbonyl]-2,3-difluoro-*N*-(2-fluoro-4-iodophenyl)aniline;
 1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-(hydroxymethyl)azetidin-3-ol;
 2,3-difluoro-*N*-(2-fluoro-4-iodophenyl)-6-[[3-(methyloxy)azetidin-1-yl]carbonyl]aniline;
 1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-(trifluoromethyl)azetidin-3-ol;
 1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-prop-2-en-1-ylazetidin-3-ol;
 3-[1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-hydroxyazetidin-3-yl]propane-1,2-diol;
 1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-ethylazetidin-3-ol;
 1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-methylazetidin-3-ol;
 1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidine-2-carboxylic acid;
 [1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-2-yl]methanol;
 1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-ethenylazetidin-3-ol;
 1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidine-3-carboxylic acid;
 1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-one oxime;
 [1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-yl]methanol;
 1-[1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-hydroxyazetidin-3-yl]ethane-1,2-diol;
 1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-amine;
 1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidine-3-carboxamide;
 1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-*N*-hydroxyazetidine-3-carboxamide;
 1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidine-2-carboxamide;

1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-*N*-hydroxyazetidine-2-carboxamide;
N-[1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-yl]methanesulfonamide;
N-[1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-yl]acetamide;
 1,1-dimethylethyl[1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-yl]carbamate;
 1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-(pyrrolidin-1-ylmethyl)azetidin-3-ol;
 3-[(diethylamino)methyl]-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-ol;
 1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-[(dimethylamino)methyl]azetidin-3-ol;
 1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-*N*-methyl-*N*-prop-2-en-1-ylazetidine-3-carboxamide;
 1-(3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-*N*-methylazetidine-3-carboxamide;
N-butyl-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidine-3-carboxamide;
 1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-*N*-prop-2-en-1-ylazetidine-3-carboxamide;
 1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-*N*-ethyl-*N*-(2-hydroxyethyl)azetidine-3-carboxamide;
N-[1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-yl]-2-methylpropanamide;
N-[1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-yl]formamide;
N-[1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-yl]-3,4-dihydroxybutanamide;
 methyl [1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-yl]carbamate;
N-butyl-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)azetidin-3-amine;
 1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-*N,N*-diprop-2-en-1-ylazetidin-3-amine;
 1-({4-[(2-fluoro-4-iodophenyl)amino]-3-thienyl}carbonyl)azetidin-3-amine;
 1-({4-[(2-fluoro-4-iodophenyl)amino]-3-thienyl}carbonyl)azetidin-3-ol;
 1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-[(2*S*)-piperidin-2-yl]azetidin-3-ol;
 1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-[(2*R*)-piperidin-2-yl]azetidin-3-ol;
 1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}carbonyl)-3-[2-pyrrolidin-2-yl]azetidin-3-ol;
 3-(aminomethyl)-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}-carbonyl)azetidin-3-ol;
 3-[1-aminoethyl]-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}-carbonyl)azetidin-3-ol; and
 3-[1-aminopropyl]-1-({3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]phenyl}-carbonyl)azetidin-3-ol.

10. The compound or composition of Claims 1, 2, 3, 4, 5, 6, 7, 8, or 9 for use according to claims 1, 2, 3, 4, 5, 6, 7, 8 or 9, wherein the compound of Formula VIa, VIb or VII is selected from:

6-bromo-8-ethyl-4-methyl-2-(methylamino)pyrido[2,3-*d*]pyrimidin-7(8*H*)-one;
 6-bromo-8-ethyl-4-methyl-2-[(phenylmethyl)amino]pyrido[2,3-*d*]pyrimidin-7(8*H*)-one;
 6-bromo-8-ethyl-4-methyl-2-(phenylamino)pyrido[2,3-*d*]pyrimidin-7(8*H*)-one;
 8-ethyl-2-(ethylamino)-4-methyl-6-phenylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one;
 6-bromo-8-ethyl-4-methyl-2-[(1-methylethyl)amino]pyrido[2,3-*d*]pyrimidin-7(8*H*)-one;
 6-bromo-2-[(1,1-dimethylethyl)amino]-8-ethyl-4-methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one;
 6-bromo-2-(cyclopentylamino)-8-ethyl-4-methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one;
 8-ethyl-4-methyl-6-phenyl-2-(phenylamino)pyrido[2,3-*d*]pyrimidin-7(8*H*)-one;
 6-biphenyl-4-yl-8-ethyl-2-(ethylamino)-4-methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one;
 6-(2,4-difluorophenyl)-8-ethyl-2-(ethylamino)-4-methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one;
 6-(3-chloro-4-fluorophenyl)-8-ethyl-2-(ethylamino)-4-methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one;
 8-ethyl-2-(ethylamino)-4-methyl-6-[4-(methyloxy)phenyl]pyrido[2,3-*d*]pyrimidin-7(8*H*)-one;
 6-(2,4-dichlorophenyl)-8-ethyl-2-(ethylamino)-4-methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one;
 6-(3,4-difluorophenyl)-8-ethyl-2-(ethylamino)-4-methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one;
 8-ethyl-2-(ethylamino)-4-methyl-6-[2-(methyloxy)phenyl]pyrido[2,3-*d*]pyrimidin-7(8*H*)-one;
 6-bromo-2-(cyclohexylamino)-8-ethyl-4-methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one;
 6-bromo-8-ethyl-4-methyl-2-[(2-morpholin-4-ylethyl)amino]pyrido[2,3-*d*]pyrimidin-7(8*H*)-one;
 6-bromo-8-ethyl-4-methyl-2-[(3-morpholin-4-ylpropyl)amino]pyrido[2,3-*d*]pyrimidin-7(8*H*)-one;
 6-bromo-2-[(3-(dimethylamino)propyl)amino]-8-ethyl-4-methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one;
 8-ethyl-2-(ethylamino)-4-methyl-6-[4-(phenyloxy)phenyl]pyrido[2,3-*d*]pyrimidin-7(8*H*)-one;
 6-[2,4-bis(methyloxy)phenyl]-8-ethyl-2-(ethylamino)-4-methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one;
 6-bromo-8-ethyl-2-[(2-fluorophenyl)amino]-4-methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one;
 8-ethyl-2-(ethylamino)-6-(3-fluorophenyl)-4-methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one;
 8-ethyl-2-(ethylamino)-6-(2-fluorophenyl)-4-methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one;
 8-ethyl-2-(ethylamino)-4-methyl-6-[3-(trifluoromethyl)phenyl]pyrido[2,3-*d*]pyrimidin-7(8*H*)-one;
 8-ethyl-2-(ethylamino)-6-(4-fluorophenyl)-4-methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-one;

8-ethyl-2-(ethylamino)-4-methyl-6-(2-thienyl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 8-ethyl-2-(ethylamino)-4-methyl-6-[3-(methyloxy)phenyl]pyrido[2,3-d]pyrimidin-7(8H)-one;
 6-(3-chlorophenyl)-8-ethyl-2-(ethylamino)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one;
 6-bromo-8-ethyl-4-methyl-2-[[4-(4-methylpiperazin-1-yl)phenyl]amino]pyrido[2,3-d]pyrimidin-7(8H)-one;
 6-(4-chlorophenyl)-8-ethyl-2-(ethylamino)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one;
 8-ethyl-2-(ethylamino)-4-methyl-6-(3-thienyl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 8-ethyl-2-(ethylamino)-4-methyl-6-(4-methyl-2-thienyl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 8-ethyl-2-(ethylamino)-4-methyl-6-(4-methyl-3-thienyl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 1,1-dimethylethyl-2-[8-ethyl-2-(ethylamino)-4-methyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-6-yl]-1H-pyrrole-
 1-carboxylate;
 6-bromo-8-ethyl-2-[[4-(4-ethylpiperazin-1-yl)phenyl]amino]-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one;
 6-bromo-8-ethyl-4-methyl-2-[[4-(morpholin-4-yl)phenyl]amino]pyrido[2,3-d]pyrimidin-7(8H)-one;
 6-bromo-8-ethyl-4-methyl-2-[[4-(4-phenylmethyl)piperazin-1-yl]phenyl]amino]pyrido[2,3-d]pyrimidin-7
 (8H)-one;
 8-ethyl-2-(ethylamino)-4-methyl-6-(1H-pyrrol-2-yl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 6-(5-chloro-2-thienyl)-8-ethyl-2-(ethylamino)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one;
 8-ethyl-4-methyl-2-[[4-(4-methylpiperazin-1-yl)phenyl]amino]-6-(2-thienyl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 8-ethyl-2-(ethylamino)-4-methyl-6-pyrimidin-5-ylpyrido[2,3-d]pyrimidin-7(8H)-one;
 8-ethyl-2-(ethylamino)-6-(3-fluoropyridin-4-yl)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one;
 8-ethyl-2-(ethylamino)-6-furan-3-yl-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one;
 8-ethyl-2-(ethylamino)-4-methyl-6-[1-(phenylmethyl)-1H-pyrazol-4-yl]pyrido[2,3-d]pyrimidin-7(8H)-one;
 6-(3,5-dimethylisoxazol-4-yl)-8-ethyl-2-(ethylamino)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one;
 8-ethyl-4-methyl-2-[[4-(4-(phenylmethyl)piperazin-1-yl]phenyl]amino]-6-(2-thienyl)pyrido[2,3-d]pyrimidin-7
 (8H)-one;
 6-bromo-2-(ethylamino)-4-methyl-8-(1-methylethyl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 2-(ethylamino)-4-methyl-8-(1-methylethyl)-6-(2-thienyl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 8-ethyl-2-[[4-(4-ethylpiperazin-1-yl)phenyl]amino]-4-methyl-6-(2-thienyl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 8-ethyl-2-(ethylamino)-6-(1H-indol-6-yl)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one;
 8-ethyl-2-(ethylamino)-4-methyl-6-(5-phenyl-2-thienyl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 2-(ethylamino)-6-furan-3-yl-4-methyl-8-(1-methylethyl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 6-bromo-8-ethyl-2-(ethylamino)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one;
 8-ethyl-2-(ethylamino)-4-methyl-6-phenylpyrido[2,3-d]pyrimidin-7(8H)-one;
 6-bromo-8-ethyl-2-(ethylamino)pyrido[2,3-d]pyrimidin-7(8H)-one;
 8-ethyl-2-(ethylamino)-4-methyl-6-(1H-pyrazol-5-yl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 8-ethyl-2-[[4-(4-ethylpiperazin-1-yl)phenyl]amino]-6-furan-3-yl-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one;
 8-ethyl-2-[[4-(4-ethylpiperazin-1-yl)phenyl]amino]-4-methyl-6-phenylpyrido[2,3-d]pyrimidin-7(8H)-one;
 2-[[4-(4-ethylpiperazin-1-yl)phenyl]amino]-4-methyl-8-(1-methylethyl)-6-(2-thienyl)pyrido[2,3-d]pyrimidin-7
 (8H)-one;
 8-ethyl-2-[[4-(4-ethylpiperazin-1-yl)phenyl]amino]-6-(3-fluorophenyl)-4-methylpyrido[2,3-d]pyrimidin-7
 (8H)-one;
 2-[[4-(4-ethylpiperazin-1-yl)phenyl]amino]-4-methyl-8-(1-methylethyl)-6-phenylpyrido[2,3-d]pyrimidin-7
 (8H)-one;
 2-[[4-[[2-(diethylamino)ethyl]oxy]phenyl]amino]-8-ethyl-4-methyl-6-phenylpyrido[2,3-d]pyrimidin-7(8H)-one;
 8-ethyl-2-[[4-(hydroxyphenyl)amino]-4-methyl-6-phenylpyrido[2,3-d]pyrimidin-7(8H)-one;
 8-cyclohexyl-2-(ethylamino)-4-methyl-6-(2-thienyl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 8-ethyl-2-[[4-(4-ethylpiperazin-1-yl)phenyl]amino]-4-methyl-6-(1H-pyrazol-5-yl)pyrido[2,3-d]pyrimidin-7
 (8H)-one;
 6-(3,5-difluorophenyl)-8-ethyl-2-[[4-(4-ethylpiperazin-1-yl)phenyl]amino]-4-methylpyrido[2,3-d]pyrimidin-7
 (8H)-one;
 8-ethyl-4-methyl-6-phenyl-2-[[4-[[2-(piperidin-1-ylethyl)oxy]phenyl]amino]pyrido[2,3-d]pyrimidin-7(8H)-one;
 8-ethyl-4-methyl-2-[[4-[[2-(morpholin-4-ylethyl)oxy]phenyl]amino]-6-phenylpyrido[2,3-d]pyrimidin-7(8H)-one;
 6-bromo-2-(ethylamino)-4-methyl-8-[3-(methyloxy)propyl]pyrido[2,3-d]pyrimidin-7(8H)-one;
 6-bromo-2-(ethylamino)-8-[2-(ethyloxy)ethyl]-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one;
 6-bromo-2-(ethylamino)-4-methyl-8-(2-piperidin-1-ylethyl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 6-bromo-2-(ethylamino)-8-[3-(ethyloxy)propyl]-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one;
 6-bromo-2-(ethylamino)-4-methyl-8-[3-[[1-(methylethyl)oxy]propyl]pyrido[2,3-d]pyrimidin-7(8H)-one;
 6-bromo-2-(ethylamino)-8-(3-hydroxypropyl)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one;
 6-bromo-2-(ethylamino)-8-(2-hydroxyethyl)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one;

6-bromo-8-cyclopropyl-2-(ethylamino)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one;
 6-bromo-2-{{4-(4-ethylpiperazin-1-yl)phenyl}amino}-4-methyl-8-(1-methylethyl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 2-{{4-(4-ethylpiperazin-1-yl)phenyl}amino}-4-methyl-8-(1-methylethyl)-6-(1H-pyrazol-5-yl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 6-acetyl-8-ethyl-2-{{4-(4-ethylpiperazin-1-yl)phenyl}amino}-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one;
 8-ethyl-2-(ethylamino)-4-methyl-6-(1,3-thiazol-2-yl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 6-bromo-8-cyclopentyl-2-(ethylamino)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one;
 8-cyclopentyl-2-(ethylamino)-4-methyl-6-(1H-pyrazol-3-yl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 cyclopentyl-2-{{4-(4-ethylpiperazin-1-yl)phenyl}amino}-4-methyl-6-(1H-pyrazol-5-yl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 2-(ethylamino)-4-methyl-8-(1-methylethyl)-6-(1H-pyrazol-5-yl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 8-ethyl-2-(ethylamino)-4-methyl-6-(1H-pyrazol-1-yl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 2-(ethylamino)-4-methyl-8-(1-methylethyl)-6-(1H-pyrazol-1-yl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 8-cyclopentyl-2-(ethylamino)-4-methyl-6-(1H-pyrazol-1-yl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 8-ethyl-2-{{4-(4-ethylpiperazin-1-yl)phenyl}amino}-4-methyl-6-(1H-pyrazol-1-yl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 2-{{4-(4-ethylpiperazin-1-yl)phenyl}amino}-4-methyl-8-(1-methylethyl)-6-(1H-pyrazol-1-yl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 8-cyclopentyl-2-{{4-(4-ethylpiperazin-1-yl)phenyl}amino}-4-methyl-6-(1H-pyrazol-1-yl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 8-cyclopentyl-2-(ethylamino)-4-methyl-6-(1H-pyrazol-3-yl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 2-(ethylamino)-4-methyl-8-(methylethyl)-6-(1H-pyrazol-3-yl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 1,1-dimethylethyl 4-{{6-bromo-8-ethyl-4-methyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-yl}amino}phenyl}piperazine-1-carboxylate;
 6-bromo-8-ethyl-4-methyl-2-{{4-(piperazin-1-yl)phenyl}amino}pyrido[2,3-d]pyrimidin-7(8H)-one;
 8-cyclopentyl-2-{{4-(4-ethylpiperazin-1-yl)phenyl}amino}-4-methyl-6-(1H-pyrazol-3-yl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 8-cyclopentyl-2-{{4-(4-fluorophenyl)amino}-4-methyl-6-(1H-pyrazol-3-yl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 6-bromo-8-ethyl-2-{{4-(4-fluorophenyl)amino}-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one;
 8-ethyl-4-methyl-6-(1H-pyrazol-5-yl)-2-{{2,2,2-trifluoroethyl}amino}pyrido[2,3-d]pyrimidin-7(8H)-one;
 6-bromo-8-cyclopentyl-2-{{4-(4-hydroxyphenyl)amino}-4-methylpyrido[2,3-d]pyrimidin-7(8H)-one;
 2-amino-8-ethyl-4-methyl-6-(1H-pyrazol-5-yl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 2-(ethylamino)-4-methyl-6-(1H-pyrazol-3-yl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 6-bromo-8-cyclopentyl-4-methyl-2-{{4-{{2-piperidin-1-ylethyl}oxy}phenyl}amino}pyrido[2,3-d]pyrimidin-7(8H)-one;
 8-ethyl-4-methyl-2-(methylamino)-6-(1H-pyrazol-5-yl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 8-cyclopentyl-4-methyl-2-(phenylamino)-6-(1H-pyrazol-3-yl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 1,1-dimethylethyl 4-{{8-cyclopentyl-4-methyl-7-oxo-6-(1H-pyrazol-5-yl)-7,8-dihydropyrido[2,3-d]pyrimidin-2-yl}amino}phenyl}piperazine-1-carboxylate;
 8-cyclopentyl-4-methyl-2-{{4-(piperazin-1-yl)phenyl}amino}-6-(1H-pyrazol-5-yl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 2-amino-8-cyclopentyl-4-methyl-6-(1H-pyrazol-3-yl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 8-ethyl-2-{{2-fluoroethyl}amino}-4-methyl-6-(1H-pyrazol-5-yl)pyrido[2,3-d]pyrimidin-7(8H)-one;
 2-amino-4-methyl-8-(1-methylethyl)-6-(1H-pyrazol-3-yl)pyrido[2,3-d]pyrimidin-7(8H)-one; and
 8-cyclopentyl-4-methyl-2-{{4-{{2-piperidin-1-ylethyl}oxy}phenyl}amino}-6-(1H-pyrazol-3-yl)pyrido[2,3-d]pyrimidin-7(8H)-one.

11. The compound or composition of Claims 1, 2, 3, 4, 5, 6, 7, 8, or 9 for use according to claims 1, 2, 3, 4, 5, 6, 7, 8, or 9, wherein the compound of Formula VIIIa or VIIIb is selected from:

4-chloro-N-{{3-{{(3-chloro-4-piperidin-1-yl)phenyl}amino}-6-methylquinoxalin-2-yl}benzenesulfonamide;
 N-{{3-{{2-(ethyloxy)phenyl}amino}quinoxalin-2-yl}-4-methylbenzenesulfonamide;
 N-{{3-{{4-chloro-2,5-bis(methyloxy)phenyl}amino}quinoxalin-2-yl}benzenesulfonamide;
 N-{{3-{{2-{{2,5-bis(methyloxy)phenyl}ethyl}amino}quinoxalin-2-yl}benzenesulfonamide;
 N-{{3-{{3,5-bis(methyloxy)phenyl}amino}quinoxalin-2-yl}benzenesulfonamide;
 N-{{3-{{3,4,5-tris(methyloxy)phenyl}amino}quinoxalin-2-yl}benzenesulfonamide;
 N-{{3-{{3-{{(phenylmethyl)oxy}phenyl}amino}quinoxalin-2-yl}benzenesulfonamide;

N-(3-([3-(phenyloxy)phenyl]amino)quinoxalin-2-yl)benzenesulfonamide;
N-[3-(piperidin-1-ylamino)quinoxalin-2-yl]benzenesulfonamide;
N-{3-[(4-morpholin-4-ylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide;
5 *N*-(3-([3-(methyloxy)-5-(trifluoromethyl)phenyl]amino)quinoxalin-2-yl)benzenesulfonamide;
N-(3-([2,5-bis(ethyloxy)phenyl]amino)quinoxalin-2-yl)benzenesulfonamide;
N-(3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)-4-methylbenzenesulfonamide;
N-(3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide;
N-[3-([2,5-bis(methyloxy)phenyl]methyl)amino]quinoxalin-2-yl]benzenesulfonamide;
10 *N*-(3-([2-methyl-5-(methyloxy)phenyl]amino)quinoxalin-2-yl)benzenesulfonamide;
N-(3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)naphthalene-2-sulfonamide;
4-(3-Benzensulfonylamino-quinoxalin-2-yl)-piperazine-1-carboxylic acid tert-butyl ester;
N-[3-(2-Chloro-5-methoxy-phenylamino)-quinoxalin-2-yl]-benzenesulfonamide;
3-amino-*N*-(3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)benzenesulfonamide;
N-(3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)-4-chlorobenzenesulfonamide;
15 *N*-(3-([3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino]sulfonyl}phenyl)acetamide;
N-(3-([4-chloro-3-(methyloxy)phenyl]amino)quinoxalin-2-yl)benzenesulfonamide;
N-(3-([4-fluoro-3-(methyloxy)phenyl]amino)quinoxalin-2-yl)benzenesulfonamide;
N-(3-([2'-(methyloxy)biphenyl-4-yl]amino)quinoxalin-2-yl)benzenesulfonamide;
N-(3-([5-methyl-2-(methyloxy)phenyl]amino)quinoxalin-2-yl)benzenesulfonamide;
20 3-amino-*N*-(3-([2,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)benzenesulfonamide;
N-(3-([2,5-bis(methyloxy)phenyl]amino)-6,7-dimethylquinoxalin-2-yl)benzenesulfonamide;
N-(3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)-4-bromobenzenesulfonamide;
N-(3-([2-chloro-5-(methyloxy)phenyl]amino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide;
N-(3-([5-chloro-2-(methyloxy)phenyl]amino)quinoxalin-2-yl)benzenesulfonamide;
25 *N*-(3-([2-(methyloxy)-5-(trifluoromethyl)phenyl]amino)quinoxalin-2-yl)benzenesulfonamide;
N-(3-([2-(methyloxy)biphenyl-4-yl]amino)quinoxalin-2-yl)benzenesulfonamide;
3-amino-*N*-(3-([2-chloro-5-(methyloxy)phenyl]amino)quinoxalin-2-yl)benzenesulfonamide;
N-(3-([3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-,*N*-2-dimethylglycina-
mide;
30 *N*-(3-([2,5-bis(methyloxy)phenyl]amino)-7-methylquinoxalin-2-yl)benzenesulfonamide;
N-(3-([2,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)-4-(methyloxy)benzenesulfonamide;
N-(3-([2,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)-3-bromobenzenesulfonamide;
N-(3-([2,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)-3-fluorobenzenesulfonamide;
N-(3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)-2-fluorobenzenesulfonamide;
35 *N*-(3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)-4-(methyloxy)benzenesulfonamide;
N-(3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)-3-bromobenzenesulfonamide;
N-(3-([3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino]sulfonyl}phenyl)-1-methylpiperidine-4-car-
boxamide;
N-(3-([3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-piperidin-1-ylpropana-
40 mide;
N-(3-([3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino]sulfonyl}phenyl)-4-(dimethylamino)butana-
mide;
N-(3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)-3-(hydroxyamino)benzenesulfonamide;
N-(3-([3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-morpholin-4-ylaceta-
45 mide;
N-(3-([3-([2-chloro-5-(methyloxy)phenyl]amino)quinoxalin-2-yl)amino]sulfonyl)-4-methylphenyl)-*N*-2-methylg-
lycinamide;
N-(3-([3-([2-chloro-5-(methyloxy)phenyl]amino)quinoxalin-2-yl)amino]sulfonyl)-4-methylphenyl)-*L*-alanina-
mide;
50 *N*-(3-([3-([2-chloro-5-(methyloxy)phenyl]amino)quinoxalin-2-yl)amino]sulfonyl)-4-methylphenyl)-2-methyla-
laninamide;
N-(3-([3-([2-chloro-5-(methyloxy)phenyl]amino)quinoxalin-2-yl)amino]sulfonyl)-4-methylphenyl)-*N*-2-*N*-2-
dimethylglycinamide;
N-(3-([3-([3,5-bis(methyloxy)phenyl]amino)quinoxalin-2-yl)amino]sulfonyl}phenyl)-*D*-alaninamide;
55 *N*-(3-([3-([2-chloro-5-(methyloxy)phenyl]amino)quinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-methylglycina-
mide;
N-(3-([3-([2-chloro-5-(methyloxy)phenyl]amino)quinoxalin-2-yl)amino]sulfonyl} -4-methylphenyl)-*D*-alanina-
mide;

N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*N*-2-methylglycinamide;
N-(3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*L*-alaninamide;
N-(3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*D*-alaninamide;
N-(3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-2-methylalaninamide;
N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-2-methylalaninamide;
N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}-4-methylphenyl)-*N*-2-,*N*-2-dimethylglycinamide;
N-(3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*N*-2-[2-(dimethylamino)ethyl]-*N*-2-methylglycinamide;
(2*S*)-2-amino-*N*-(3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)butanamide;
N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*N*-2-[2-(dimethylamino)ethyl]-*N*-2-methylglycinamide;
N-(3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*N*-2-,*N*-2-dimethylglycinamide;
N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*N*-2-methyl-*L*-alaninamide;
N-(3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)glycinamide;
N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)glycinamide;
N-(2-chloro-5-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*N*-2-methylglycinamide;
2-(dimethylamino)-*N*-(3-(*N*-(3-(2-(dimethylamino)acetamido)-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide;
N-(3-[[3-[[2-acetyl-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*N*-2-,*N*-2-dimethylglycinamide;
N-(3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)-3-(formylamino)benzenesulfonamide;
N-(3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*N*-2-ethylglycinamide;
N-(5-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}-2-methylphenyl)glycinamide;
2-azetidin-1-yl-*N*-(3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)acetamide;
N-(3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*L*-prolinamide;
N-(3-[[3-[[2-bromo-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*N*-2-methylglycinamide;
N-2-,*N*-2-dimethyl-*N*-(3-[[3-[[6-(methyloxy)quinolin-8-yl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)glycinamide;
N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*L*-alaninamide;
N-(3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*N*-2-methyl-*D*-alaninamide;
N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*L*-prolinamide;
N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*D*-serinamide;
N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)azetidine-3-carboxamide;
N-(3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*N*-2-,2-dimethylalaninamide;
N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*N*-2-methyl-*D*-alaninamide;
N-(3-[[3-[[2-bromo-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*N*-2-,*N*-2-dimethylglycinamide;
N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*N*-2-propylglycinamide;
N-(3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*N*-2-methyl-*L*-alaninamide;
N-(5-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}-2-methylphenyl)-beta-alaninamide;
N-(3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)piperidine-3-carboxamide;
N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(4-methyl-1,4-diazepan-1-yl)acetamide;
(2*S*)-2-amino-*N*-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)butanamide;
N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*N*-2-(2-hydroxypropyl)gly-

cinamide;
N-(3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*N*-2-(2-fluoroethyl)gly-
cinamide;
3-amino-*N*-(2-[[3,5-bis(methyloxy)phenyl]amino]pyrido[2,3-*b*]pyrazin-3-yl)benzenesulfonamide;
5 *N*-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*N*-2-[(2-methylpropyl)oxy]
glycinamide;
1-amino-*N*-(3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)cyclopropane-
carboxamide;
N-(3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl)-3-(formylamino)benzenesulfonamide;
10 *N*-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*N*-2-(cyclopropylmethyl)
glycinamide;
N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*D*-prolinamide;
N-(3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-2-[3-(dimethylamino)
azetidin-1-yl]acetamide;
15 *N*-(3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*D*-prolinamide;
N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)piperidine-2-carboxamide;
N-(3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)morpholine-4-carboxa-
mide;
N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-2-pyrrolidin-1-ylacetamide;
20 *N*-(3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*N*-6-,*N*-6-dimethyl-*L*-
lysineamide;
N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*N*-2-ethyl-*N*-2-methylglyci-
namide;
N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(1*H*-imidazol-4-yl)aceta-
25 mide;
1-amino-*N*-(3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)cyclopentane-
carboxamide;
N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*N*-2-(2-methylpropyl)glyci-
namide;
30 *N*-(3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*N*-2-ethyl-*N*-2-methyl-
glycinamide;
N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-1-(1*H*-imidazol-4-ylmethyl)
azetidine-3-carboxamide;
N-(5-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl-2-methylphenyl)-*N*-2-,*N*-2-
35 dimethylglycinamide;
N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-1-ethylazetidine-3-carbox-
amide;
N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*N*-2-methyl-*N*-(1-methyl-
pyrrolidin-3-yl)glycinamide;
40 *N*-(3-[[2-[[3,5-bis(methyloxy)phenyl]amino]pyrido[2,3-*b*]pyrazin-3-yl]amino]sulfonyl}phenyl)-*N*-2-[2-(dimethyl-
amino)ethyl]-*N*-2-methylglycinamide;
N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-2-[(3*S*)-3-hydroxypyrrolidin-
1-yl]acetamide;
1-amino-*N*-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)cyclobutanecar-
45 boxamide;
N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*N*-2-butylglycinamide;
N-(3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(3-piperidin-1-ylaze-
tidin-1-yl)acetamide;
3-[(aminocarbonyl)amino]-*N*-(3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl)benzenesulfonamide;
50 *N*-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-1-hydroxycyclopropane-
carboxamide;
N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(2,2-dimethylhydrazino)
acetamide;
N-(3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl)-3-[[[2-(dimethylamino)ethyl]amino]carbonyl]amino]
55 benzenesulfonamide;
N-(3-[[3-[[3-fluoro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-*N*-2-methylglycina-
mide;
N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-2-hydroxyacetamide;

N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)pyridazine-4-carboxamide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-(1-methylethyl)glyci-
 namide;
 1-amino-N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)cyclopentanecar-
 boxamide;
 1-amino-N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)cyclopropanecar-
 boxamide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-2-[3-(dimethylamino)pyrro-
 lidin-1-yl]acetamide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-[2-(dimethylamino)
 ethyl]glycinamide;
 2-(dimethylamino)ethyl(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)car-
 bamate;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-1-(cyclopropylmethyl)aze-
 tidine-3-carboxamide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-(1,1-dimethylethyl)gly-
 cinamide;
 N-2-methyl-N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)glycinamide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-1H-imidazole-2-carboxam-
 ide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)isoxazole-5-carboxamide;
 N-(3-[[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-(2,2,2-trifluoro-
 ethyl)glycinamide;
 3-amino-N-(3-[[[2-methyl-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]benzenesulfonamide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-3-oxocyclopentanecarbox-
 amide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-6-hydroxypyridine-2-car-
 boxamide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-(3-fluoro-4-hydroxy-
 phenyl)glycinamide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-1-(furan-2-ylmethyl)azeti-
 dine-3-carboxamide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)pyrimidine-5-carboxamide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-1H-pyrrole-2-carboxam-
 ide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-methyl-N-2-(1-methyl-
 ethyl)glycinamide;
 N-(3-[[[3-[[3-fluoro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2,N-2-dimethylgly-
 cinamide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-1H-imidazole-4-carboxa-
 mide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2,N-2-diethylglycina-
 mide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(3-methylisoxazol-5-yl)
 acetamide;
 N-2,N-2-dimethyl-N-(3-[[[3-[[2-methyl-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)gly-
 cinamide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-[(3-hydroxyphenyl)
 methyl]glycinamide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-1-methyl-1H-pyrrole-2-car-
 boxamide;
 4-amino-N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)tetrahydro-2H-
 pyran-4-carboxamide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-2-[4-(methylamino)piperi-
 din-1-yl]acetamide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-2-piperidin-1-ylacetamide;
 N-(4-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2,N-2-dimethylglycina-
 mide;

N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)-1-methyl-L-prolinamide;
 N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)thiophene-3-carboxamide;
 3-amino-N-{3-[(2-chloro-5-hydroxyphenyl)amino]quinoxalin-2-yl}benzenesulfonamide;
 5 N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)-1-(cyclopropylcarbonyl)
 azetidine-3-carboxamide;
 N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)-2-(4-methylpiperazin-1-yl)
 acetamide;
 N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)-1-(phenylmethyl)azetidine-
 3-carboxamide;
 10 N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)-2-chloropyridine-3-carbox-
 amide;
 N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)-2-pyridin-4-ylacetamide;
 N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)-N-2-methyl-N-2-prop-2-en-
 1-ylglycinamide;
 15 N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)-N-2-(phenylmethyl)glyci-
 namide;
 N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)-2-(methyloxy)acetamide;
 N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)-1-propanoylazetidine-3-
 carboxamide;
 20 N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)pyridine-3-carboxamide;
 N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)-N-2-[2-(methyloxy)ethyl]
 glycinamide;
 1-acetyl-N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)piperidine-4-car-
 boxamide;
 25 N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)-2-(2-methylpyrrolidin-1-yl)
 acetamide;
 N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)furan-3-carboxamide;
 N-2,N-2-dimethyl-N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)glycinamide;
 N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)-6-chloropyridine-3-carbox-
 30 amide;
 N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)-2-chlorobenzamide;
 N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)-2-pyridin-2-ylacetamide;
 N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)-2-[3-(dimethylamino)azeti-
 din-1-yl]acetamide;
 35 N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)-2-pyridin-3-ylacetamide;
 N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)-2-(2-chlorophenyl)aceta-
 mide;
 N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)-N-2-[3-(dimethylamino)
 propyl]-N-2-methylglycinamide;
 40 N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)-N-2-ethyl-N-2-(2-hydrox-
 yethyl)glycinamide;
 N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)-2-[2-(phenylmethyl)pyrroli-
 din-1-yl]acetamide;
 N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)propanamide;
 45 N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)furan-2-carboxamide;
 N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)-2-chloropyridine-4-carbox-
 amide;
 N-2-acetyl-N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)glycinamide;
 N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)butanamide;
 50 N-(3-1[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)-4-chlorobenzamide;
 N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)-4-methylbenzamide;
 1,1-dimethylethyl{2-[(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl]amino]-
 2-oxoethyl}carbamate;
 N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)-1,3-benzodioxole-5-car-
 55 boxamide;
 N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)-N-2-([2-(methyloxy)phe-
 nyl]methyl)oxyglycinamide;
 N-(3-[[3-(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl]amino)sulfonyl}phenyl)pyridine-4-carboxamide;

N-(3-[[[3-[[4-fluoro-3-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-N-2,N-2-dimethylglyci-
 namide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-2-[4-(3,4-dichlorophenyl)
 piperazin-1-yl]acetamide;
 5 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-3-pyridin-3-ylpropana-
 mide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)tetrahydrofuran-3-carboxa-
 mide;
 10 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-N-2-[(2-methylphenyl)me-
 thyl]glycinamide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-2-methylbutanamide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-2-(3-fluorophenyl)aceta-
 mide;
 15 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-N-2-(1-methyl-1-phenyle-
 thyl)glycinamide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-2-methylcyclopropanecar-
 boxamide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl] phenyl)-2-methyl-4-(methyloxy)
 benzamide;
 20 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-2-methylpyridine-3-car-
 boxamide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-4-(methyloxy)benzamide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-2-(4-ethylpiperazin-1-yl)
 acetamide;
 25 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)thiophene-2-carboxamide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl] phenyl)-3-fluoro-2-methylbenza-
 mide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-2-bromothiophene-3-car-
 boxamide;
 30 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-4-fluorobenzamide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-2-(3-methylpiperidin-1-yl)
 acetamide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-2-methylpropanamide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)pentanamide;
 35 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-2-(ethyloxy)acetamide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-N-2-(2-fluorophenyl)glyci-
 namide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-3-(dimethylamino)benza-
 mide;
 40 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-2-(4-methylpiperidin-1-yl)
 acetamide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl] phenyl)-N-2-(2-propylphenyl)glyci-
 namide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)benzamide;
 45 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)pyrazine-2-carboxamide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-3-fluoro-4-(methyloxy)ben-
 zamide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-2,2-dimethylbutanamide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-2-[(4-fluorophenyl)oxy]
 50 acetamide;
 1-acetyl-N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)azetidine-3-car-
 boxamide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-N-2-(4-methylphenyl)glyci-
 namide;
 55 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-N-2-phenylglycinamide;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl] phenyl)-2-(4-prop-2-en-1-ylpiper-
 azin-1-yl)acetanude;
 N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl] phenyl)-2-methylbenzamide;

N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-3-(methyloxy)propanamide;
 N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-3-methylfuran-2-carboxamide;
 5 N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-2,2-dimethylpropanamide;
 N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-N-2-[(phenylmethyl)oxy]glycinamide;
 N-{{3-{{(3-{{(2-chloro-5-hydroxyphenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-N-2-,N-2-dimethylglycinamide;
 10 N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-N-2-(3-chlorophenyl)glycinamide;
 N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)cyclobutanecarboxamide;
 N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-2-[3-(methyloxy)phenyl]acetamide;
 15 N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-1-methylcyclopropanecarboxamide;
 N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-3-fluorobenzamide;
 N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-4-(dimethylamino)benzamide;
 20 N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-3,4-dichlorobenzamide;
 N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-N-2-[[2-(methylthio)phenyl]methyl]glycinamide;
 N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-2-(2-fluorophenyl)acetamide;
 25 N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-N-2-ethyl-N-2-(1-methylethyl)glycinamide;
 N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-1,3-thiazole-4-carboxamide;
 N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-N-2-methyl-N-2-(phenylmethyl)glycinamide;
 30 N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-N-2-(2-thienylmethyl)glycinamide;
 N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-N-2-(pyridin-2-ylmethyl)glycinamide;
 35 N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-3-(methyloxy)benzamide;
 N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-N-2-[(3-chloro-4-methylphenyl)methyl]glycinamide;
 N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-2-methylpentanamide;
 40 N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-2-(4-chlorophenyl)acetamide;
 N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-3-fluoro-4-methylbenzamide;
 N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-2-[(2-methylphenyl)oxy]acetamide;
 45 N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-2-cyclohexylacetamide;
 (1R,2R)-N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-2-phenylcyclopropanecarboxamide;
 N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-3-chlorobenzamide;
 N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-2-[2-(methyloxy)phenyl]acetamide;
 50 N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-3-[3-(methyloxy)phenyl]propanamide;
 N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-N-2-(2-fluoro-4-methylphenyl)glycinamide;
 55 N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-N-2-[(3-fluorophenyl)methyl]glycinamide;
 N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-2-[4-(methyloxy)phenyl]acetamide;

N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-2-phenylacetamide;
 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-2,4-dichlorobenzamide;
 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-3-oxocyclohexanecarbox-
 amide;
 5 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-(3-fluorophenyl)glyci-
 namide;
 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(3-chlorophenyl)aceta-
 mide;
 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-(2-phenylpropyl)glyci-
 10 namide;
 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-[(2,4-dimethylphenyl)
 methyl]glycinamide;
 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(2-methylpiperidin-1-yl)
 acetamide;
 15 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-[2-(methyloxy)phenyl]
 glycineamide;
 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(3,4-dihydroisoquinolin-2
 (1H)-yl)acetamide;
 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)pent-4-enamide;
 20 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-(2-methylphenyl)glyci-
 namide;
 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl} phenyl)-2-(4-oxopiperidin-1-yl)
 acetamide;
 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-2-fluorobenzamide;
 25 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-(1-phenylethyl)glyci-
 namide;
 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-2-fluoro-6-(methyloxy)ben-
 zamide;
 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-[2-(1-methylethyl)phe-
 30 nyl] glycineamide;
 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-3-[2-(methyloxy)phenyl]
 propanamide;
 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-4-methylpentanamide;
 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(2-phenylmorpholin-4-yl)
 35 acetamide;
 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-3-[4-(methyloxy)phenyl]
 propanamide;
 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-cyclopentyl-N-2-prop-
 2-en-1-ylglycinamide;
 40 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-methyl-N-2-[2-(methyl-
 oxy)ethyl]glycinamide;
 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-4-cyclopropyl-4-oxobutan-
 amide;
 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-[3-(1,1-dimethylethyl)
 45 phenyl]glycinamide;
 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl} phenyl)-N-2-(cyclopropylme-
 thyl)-N-2-propylglycinamide;
 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(2-oxocyclopentyl)aceta-
 mide;
 50 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl} phenyl)-N-2-(4-chlorophenyl)glyci-
 namide;
 2-(1,4'-bipiperidin-1'-yl)-N-(3-1[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)
 acetamide;
 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(4-cyclopentylpiperazin-
 1-yl)acetamide;
 55 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(2-methylphenyl)aceta-
 mide;
 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-[(5-fluoro-2-methyl-

phenyl)methyl]glycinamide;

N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-3,3-dimethylbutanamide;
2-(2-chlorophenylamino)-N-(3-(N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide;

N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-5-fluoro-2-methylbenzamide;

N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-4-fluoro-3-methylbenzamide;

N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-2,3-dichlorobenzamide;

N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-2-(phenyloxy)acetamide;

N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-N-2-(2,3-dimethylphenyl)glycinamide;

3-amino-N-(3-[[3,5-bis(methyloxy)phenyl]amino]pyrido[2,3-b]pyrazin-2-yl)benzenesulfonamide;

N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-2-fluoro-5-methylbenzamide;

N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-N-2-[[4-methylphenyl)methyl]oxy]glycinamide;

N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-2-[4-(1-methylethyl)piperazin-1-yl]acetamide;

N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-2-(4-fluorophenyl)acetamide;

N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-3-methylbutanamide;

N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-4-methyl-2-(methyloxy)benzamide;

N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-2-(4-propylpiperidin-1-yl)acetamide;

N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-2-[(3-methylphenyl)oxy]acetamide;

N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)tetrahydrofuran-2-carboxamide;

1,1-dimethylethyl-2-[[[3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl]amino]carbonyl]piperidine-1-carboxylate;

N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-N-2-methyl-N-2-(pyridin-3-yl)methyl]glycinamide;

N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-N-2-ethyl-N-2-phenylglycinamide;

N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-2-[[2-(methyloxy)ethyl]oxy]acetamide;

N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-3-cyclopentylpropanamide;

N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-2,5-dichlorobenzamide;

2-(4-acetylpiperazin-1-yl)-N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)acetamide;

N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-5-fluoro-2-(methyloxy)benzamide;

N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-N-2-cyclohexyl-N-2-ethylglycinamide;

N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-5-methylisoxazole-3-carboxamide;

N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-3-methylpyridine-2-carboxamide;

N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-2-(methyloxy)pyridine-3-carboxamide;

N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-3,5-dichlorobenzamide;

N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-2-(1,3-thiazolidin-3-yl)acetamide;

N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl]phenyl)-2-(4-formylpiperazin-1-yl)acetamide;

N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(methyloxy)benzamide;
 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-methyl-N-2-(2-methyl-
 propyl)glycinamide;
 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(4-formyl-1,4-diazepan-
 1-yl)acetamide;
 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-1-phenylcyclopropanecar-
 boxamide;
 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(2,6-dimethylmorpholin-
 4-yl)acetamide;
 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(2-phenylpyrrolidin-1-yl)
 acetamide;
 N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(2,6-dimethylpiperidin-1-
 yl)acetamide;
 N-{3-[[3-[[4-chlorophenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl}-N-2-,N-2-dimethylglycinamide;
 N-{3-[[3-[[3-fluorophenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl}-N-2-,N-2-dimethylglycinamide;
 N-{3-[[3-[[3-chlorophenyl]amino]quinoxalin-2-yl]amino]sulfonyl}phenyl}-N-2-,N-2-dimethylglycinamide;
 3-{[(3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}-N-[2-(dimethylamino)-1-meth-
 yltethyl]benzamide;
 3-{[(3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}-N-[2-(dimethylamino)ethyl]ben-
 zamide;
 5-{[(3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}-N-[2-(dimethylamino)ethyl]-2-
 fluorobenzamide;
 3-{[(3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}-N-pyrrolidin-3-ylbenzamide;
 3-{[(3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}-N-[2-(dimethylamino)ethyl]benza-
 mide;
 3-{[(3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]aminosulfonyl}-N-(2-pyrrolidin-1-ylethyl)benza-
 mide;
 N-(2-aminoethyl)-3-{[(3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl} benzamide;
 3-{[(3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}-N-[2-(dimethylamino)ethyl]-N-
 methylbenzamide;
 3-{[(3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}-N-(piperidin-2-ylmethyl)benza-
 mide;
 3-{[(3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}-N-(1-methylazetidin-3-yl)benza-
 mide;
 3-{[(3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}-N-(2-piperidin-1-ylethyl)benza-
 mide;
 3-{[(3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}-N-[2-(diethylamino)ethyl]benza-
 mide;
 3-{[(3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}-N-[2-(dimethylamino)ethyl]-N-methyl-
 benzamide;
 3-{[(3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}-N-(1-methylpiperidin-3-yl)benza-
 mide;
 3-{[(3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}-N-piperidin-3-ylbenzamide;
 3-{[(3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}-N-[(1-methylpiperidin-2-yl) me-
 thyl]benzamide;
 N-{2-[bis(2-hydroxyethyl)amino]ethyl}-3-{[(3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sul-
 fonyl}benzamide;
 3-{[(3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}-N-(1-ethylpiperidin-3-yl)benza-
 mide;
 3-{[(3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl} benzamide;
 3-{[(3-aminopyrrolidin-1-yl)carbonyl]-N-(3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)benzenesul-
 fonamide;
 5-{[(3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl}-N-[2-(dimethylamino)ethyl]-
 2-(methyloxy)benzamide;
 N-(3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)-3-{[(3-(methylamino)pyrrolidin-1-yl)carbonyl] ben-
 zenesulfonamide;
 3-{[(3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl} benzoicacid;
 3-{[(3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl} -N-(2-morpholin-4-ylethyl)benza-

mide;

3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)amino]sulfonyl]-N-[(1-ethylpyrrolidin-2-yl)methyl]benzamide;

3-[[4-amino-3-oxopyrazolidin-1-yl]carbonyl]-N-(3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)benzenesulfonamide;

3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)amino]sulfonyl]-N-methylbenzamide;

3-[[3-aminoazetidin-1-yl]carbonyl]-N-(3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)benzenesulfonamide;

3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)amino]sulfonyl]-N-(pyridin-3-ylmethyl)benzamide;

3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)amino]sulfonyl]-N-(pyridin-2-ylmethyl)benzamide;

3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)amino]sulfonyl]-N-(2-hydroxyethyl)benzamide;

3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)amino]sulfonyl]-N-(3-oxopyrazolidin-4-yl)benzamide;

3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)amino]sulfonyl]-N-[2-(1H-imidazol-4-yl)ethyl]benzamide;

N-(3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)-3-[[3-(dimethylamino)pyrrolidin-1-yl]carbonyl]benzenesulfonamide;

3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)amino]sulfonyl]-N-(pyridin-4-ylmethyl)benzamide;

3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)amino]sulfonyl]-N-methyl-N-(1-methylpyrrolidin-3-yl)benzamide;

N-(3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)-3-[[3-(diethylamino)pyrrolidin-1-yl]carbonyl]benzenesulfonamide;

3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)amino]sulfonyl]-N-1H-pyrrol-1-ylbenzamide;

3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)amino]sulfonyl]-N-(3-pyrrolidin-1-ylpropyl)benzamide;

3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)amino]sulfonyl]-N-(2-cyanoethyl)-N-methylbenzamide;

3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)amino]sulfonyl]-N-[2-(methyloxy)ethyl]benzamide;

3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)amino]sulfonyl]-N-(2-cyanoethyl)-N-ethylbenzamide;

3-[[3-aminopiperidin-1-yl]carbonyl]-N-(3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)benzenesulfonamide;

3-1[[3-[[3,5-bis(methyloxy)phenyl]amino]quinoxalin-2-yl)amino]sulfonyl]benzoic acid;

3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)amino]sulfonyl]-N-[3-(dimethylamino)propyl]benzamide;

3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)amino]sulfonyl]-N-morpholin-4-ylbenzamide;

N-(3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)-3-[[2,2-dimethylhydrazino]carbonyl]benzenesulfonamide;

3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)amino]sulfonyl]-N-[3-(1H-imidazol-1-yl)propyl]benzamide;

3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)amino]sulfonyl]-N-[3-(diethylamino)propyl]benzamide;

3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)amino]sulfonyl]-N-(2-cyanoethyl)benzamide;

methylN-[[3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)amino]sulfonyl]phenyl]carbonyl]-beta-alaninate;

3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)amino]sulfonyl]-N-[2-(methylthio)ethyl]benzamide;

3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)amino]sulfonyl]-N-[2-(ethylthio)ethyl]benzamide;

3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)amino]sulfonyl]-N-[2-(ethylthio)ethyl]benzamide;

3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)amino]sulfonyl]-N-[2-(dimethylamino)ethyl]-N-ethylbenzamide;

3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)amino]sulfonyl]-N-[3-(2-oxopyrrolidin-1-yl)propyl]benzamide;

3-[[3-[[2-chloro-5-(methyloxy)phenyl]amino]quinoxalin-2-yl)amino]sulfonyl]-N-(2-pyridin-4-ylethyl)benza-

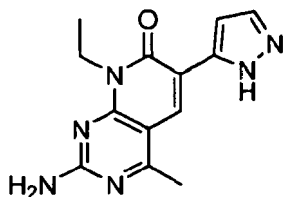
mide;
 3-{{(3-{{(2-chloro-5-(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}-N-[3-(ethyloxy)propyl]benza-
 mide;
 3-{{(3-{{(2-chloro-5-(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}-N-(3-morpholin-4-ylpropyl)ben-
 5 zamide;
 3-{{(3-{{(2-chloro-5-(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}-N-[3-(methyloxy)propyl]benza-
 mide;
 3-{{(3-{{(2-chloro-5-(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}-N-[3-(propyloxy)propyl]benza-
 mide;
 10 3-{{(3-{{(2-chloro-5-(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}-N-[3-(propyloxy)propyl]benza-
 mide;
 ethylN-{{(3-{{(3-{{(2-chloro-5-(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)carbonyl)-beta-
 alaninate;
 3-{{(3-{{(2-chloro-5-(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}-N-{3-{{(1-methylethyl)oxy}propyl}
 15 benzamide;
 3-{{(3-{{(2-chloro-5-(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}-N-(1,1-dimethyl-2-piperidin-1-yle-
 thyl)benzamide;
 3-{{(3-{{(2-chloro-5-(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}-N-methyl-N-propylbenzamide;
 3-{{(3-{{(2-chloro-5-(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}-N-piperidin-1-ylbenzamide;
 20 3-{{(3-{{(2-chloro-5-(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}-N-[1-methyl-2-(methyloxy)ethyl]
 benzamide;
 3-{{(3-{{(2-chloro-5-(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}-N-(1,1-dimethyl-2-morpholin-4-
 ylethyl)benzamide;
 N-(3-{{(2-chloro-5-(methyloxy)phenyl)amino}quinoxalin-2-yl)-3-{{(2-{{(dimethylamino)methyl}piperidin-1-yl}carb-
 25 onyl)benzenesulfonamide;
 N-[3-(butyloxy)propyl]-3-{{(3-{{(2-chloro-5-(methyloxy)phenyl)amino} quinoxalin-2-yl)amino}sulfonyl} benza-
 mide;
 3- {{(3-{{(2-chloro-5-(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}-N-[4-(diethylamino)-1-methyl-
 butyl]benzamide;
 30 3-{{(3-{{(2-chloro-5-(methyloxy)phenyl)amino}quinoxalin-2-yl)amino}sulfonyl}-N-(1,1-dimethyl-2-oxo-2-piperid-
 in-1-ylethyl)benzamide;
 N-(3-{{(2-chloro-5-(methyloxy)phenyl)amino}quinoxalin-2-yl)-3-{{(4-methylpiperazin-1-yl)carbonyl}benzenesul-
 fonamide;
 N-(3-{{(2-chloro-5-(methyloxy)phenyl)amino}quinoxalin-2-yl)-3-{{(2-(piperidin-1-ylmethyl)piperidin-1-yl)carbonyl
 35 benzenesulfonamide;
 N-(3-{{(2-chloro-5-(methyloxy)phenyl)amino}quinoxalin-2-yl)-6-oxo-1,6-dihydropyridine-3-sulfonamide;
 N-(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)-6-oxo-1,6-dihydropyridine-3-sulfonamide;
 3-amino-N-(3-{{(6-(methyloxy)quinolin-8-yl)amino}quinoxalin-2-yl)benzenesulfonamide;
 N-(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)thiophene-2-sulfonamide;
 40 N-(3-{{(2-chloro-5-(methyloxy)phenyl)amino}quinoxalin-2-yl)-3-cyanobenzenesulfonamide;
 N-(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)-3-(methylamino)benzenesulfonamide;
 N-(2-{{(3,5-bis(methyloxy)phenyl)amino}pyrido[2,3-b]pyrazin-3-yl)-3-nitrobenzenesulfonamide;
 N-(3-{{(2-chloro-5-(methyloxy)phenyl)amino}quinoxalin-2-yl)-3-(1-{{(2-(dimethylamino)ethyl)amino}ethyl)benze-
 nesulfonamide;
 45 3-amino-N-(3-{{(3-(methyloxy)-5-nitrophenyl)amino}quinoxalin-2-yl)benzenesulfonamide;
 3-acetyl-N-(3-{{(2-chloro-5-(methyloxy)phenyl)amino}quinoxal in-2-yl)benzenesulfonamide;
 3-amino-N-(3-{{(3-fluoro-5-(methyloxy)phenyl)amino}quinoxalin-2-yl)benzenesulfonamide;
 N-(3-{{(2-chloro-5-(methyloxy)phenyl)amino}quinoxalin-2-yl)-N'-[2-(dimethylamino)ethyl]benzene-1,3-disulfon-
 amide;
 50 N-(3-{{(2-chloro-5-(methyloxy)phenyl)amino}quinoxalin-2-yl)-N'-[3-(dimethylamino)propyl]benzene-1,3-disul-
 fonamide;
 N-(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)-6-chloropyridine-3-sulfonamide;
 N-(3-{{(2-chloro-5-(methyloxy)phenyl)amino}quinoxalin-2-yl)-3-{{(5-{{(dimethylamino)methyl}-1,3,4-oxadiazol-2-
 yl}benzenesulfonamide;
 55 N-(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)-6-{{(2-(dimethylamino)ethyl)amino}pyridine-3-sulfona-
 mide;
 3-amino-N-(3-{{(3-amino-5-(methyloxy)phenyl)amino}quinoxalin-2-yl)benzenesulfonamide;
 N-(3-{{(3,5-bis(methyloxy)phenyl)amino}quinoxalin-2-yl)-3-(dimethylamino)benzenesulfonamide;

- N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-6-{[2-(dimethylamino)ethyl]oxy}pyridine-3-sulfonamide;
- N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-6-(dimethylamino)pyridine-3-sulfonamide;
- N-{3-[(3-{[4-fluorophenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-N-2-,N-2-dimethylglycinamide;
- N-(3-{[2-chloro-6-(methyloxy)pyridin-4-yl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide;
- N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-4-cyanobenzenesulfonamide;
- N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-4-fluorobenzenesulfonamide;
- N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-4-fluoro-2-methylbenzenesulfonamide;
- N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-2-methylbenzenesulfonamide;
- N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-cyanobenzenesulfonamide;
- N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-3,5-difluorobenzenesulfonamide;
- N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-2-chlorobenzenesulfonamide;
- N-(4-{[3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl]amino]sulfonyl}phenyl)acetamide;
- N-(3-{[6-(methyloxy)quinolin-8-yl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide;
- N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-(2H-tetrazol-5-yl)benzenesulfonamide;
- N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)naphthalene-1-sulfonamide;
- 3-nitro-N-[3-(pyridin-4-ylamino)quinoxalin-2-yl]benzenesulfonamide;
- N-{3-[(2,6-dichloropyridin-4-yl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide;
- N-{3-[(2-chloropyridin-4-yl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide;
- N-(3-{[4,6-bis(methyloxy)pyrimidin-2-yl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide;
- N-(3-{[4-hydroxy-6-(methyloxy)pyrimidin-2-yl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide;
- N-{[(3-{[(3-{[2-chloro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-4-methylphenyl)amino](dimethylamino)methylidene}-N-methylmethanaminium;
- N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-fluorobenzenesulfonamide;
- N-(3-{[2-bromo-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide;
- N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-4-[(difluoromethyl)oxy]benzenesulfonamide;
- N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-2-(trifluoromethyl)benzenesulfonamide;
- N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-chloro-4-fluorobenzenesulfonamide;
- N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-4-(trifluoromethyl)benzenesulfonamide;
- N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-(methylsulfonyl)benzenesulfonamide;
- N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-2,5-dichlorothiophene-3-sulfonamide;
- N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-3,5-dichlorobenzenesulfonamide;
- N-(3-{[2-methyl-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide;
- N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-4-[(trifluoromethyl)oxy]benzenesulfonamide;
- N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[4-(dimethylamino)piperidin-1-yl]acetamide;
- N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-5-chloro-2-(methyloxy)benzenesulfonamide;
- N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-(trifluoromethyl)benzenesulfonamide;
- N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-2,5-bis(methyloxy)benzenesulfonamide;
- N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-3,5-dimethylisoxazole-4-sulfonamide;
- N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-5-bromo-2-(methyloxy)benzenesulfonamide;
- N-(3-{[3-fluoro-5-(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide;
- N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-fluoro-4-methylbenzenesulfonamide;
- N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-chloro-4-methylbenzenesulfonamide;
- N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-2,5-dimethylthiophene-3-sulfonamide;
- N-(3-{[3-(methyloxy)phenyl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide;
- N-{3-[(2-chloro-5-hydroxyphenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide;
- N-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-4-methyl-3-(methyloxy)benzamide;
- N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-1-phenylmethanesulfonamide;
- N-(3-{[3-(methyloxy)-5-nitrophenyl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide;
- N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-1-(3-chlorophenyl)methanesulfonamide;
- N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-4,5-dichlorothiophene-2-sulfonamide;
- N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-5-chloro-1,3-dimethyl-1H-pyrazole-4-sulfonamide;
- N-(3-{[3,5-bis(methyloxy)phenyl]amino}quinoxalin-2-yl)-3,5-bis(trifluoromethyl)benzenesulfonamide;
- N-{3-[(3-hydroxyphenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide;
- 3-nitro-N-[3-{3-[(trifluoromethyl)oxy]phenyl]amino}quinoxalin-2-yl]benzenesulfonamide;
- 3-nitro-N-[3-(pyridin-3-ylamino)quinoxalin-2-yl]benzenesulfonamide;

N-[3-(morpholin-4-ylamino)quinoxalin-2-yl]-3-nitrobenzenesulfonamide;
 3-[(3-[(3-nitrophenyl)sulfonyl]amino)quinoxalin-2-yl]amino]phenyldimethylcarbamate;
 N-{3-[(2-chloropyridin-3-yl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide;
 3-nitro-N-[3-(tetrahydro-2H-pyran-4-ylamino)quinoxalin-2-yl]benzenesulfonamide;
 5 N-{3-[(4-fluorophenyl)amino]quinoxalin-2-yl}benzenesulfonamide;
 N-[3-[(3-[(1-methylethyl)oxy]phenyl)amino]quinoxalin-2-yl]-3-nitrobenzenesulfonamide;
 N-{3-[(3-hydroxy-2-methylphenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide;
 N-{3-[(2,5-difluorophenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide;
 N-[3-[(3-[(difluoromethyl)oxy]phenyl)amino]quinoxalin-2-yl]-3-nitrobenzenesulfonamide;
 10 N-(3-[(2-(methyloxy)pyridin-3-yl)amino]quinoxalin-2-yl)-3-nitrobenzenesulfonamide;
 N-(3-[(3-(ethyloxy)phenyl)amino]quinoxalin-2-yl)-3-nitrobenzenesulfonamide;
 N-{3-[(2,2-difluoro-1,3-benzodioxol-4-yl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide;
 N-{3-[(3-[(3-nitrophenyl)sulfonyl]amino)quinoxalin-2-yl]amino]phenyl}acetamide;
 N-[3-(4-amino-1H-indol-1-yl)quinoxalin-2-yl]-3-nitrobenzenesulfonamide;
 15 N-[3-(1H-indol-4-ylamino)quinoxalin-2-yl]-3-nitrobenzenesulfonamide;
 N-2,N-2-dimethyl-N-(3-[(3-[(4-(methyloxy)phenyl)amino]quinoxalin-2-yl)amino]sulfonyl]phenyl)glycinamide;
 N-[3-(1H-indazol-6-ylamino)quinoxalin-2-yl]-3-nitrobenzenesulfonamide;
 N-{4-(methyloxy)-3-[(3-[(3-nitrophenyl)sulfonyl]amino)quinoxalin-2-yl]amino]phenyl}acetamide;
 N-{3-[(4-methylpyridin-3-yl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide;
 20 N-(3-[(2,3-bis(methyloxy)phenyl)amino]quinoxalin-2-yl)-3-nitrobenzenesulfonamide;
 N-(3-[(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl)-2-cyanobenzenesulfonamide; 3-nitro-N-[3-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)quinoxalin-2-yl]benzenesulfonamide;
 N-[3-(1,3-benzoxazol-4-ylamino)quinoxalin-2-yl]-3-nitrobenzenesulfonamide;
 N-(3-[(2,6-difluoro-3-(methyloxy)phenyl)amino]quinoxalin-2-yl)-3-nitrobenzenesulfonamide;
 25 N-{3-[(3-[(4-fluoro-3-methylphenyl)amino]quinoxalin-2-yl)amino]sulfonyl]phenyl}-N-2,N-2-dimethylglycinamide;
 N-{3-[(3-[(3,5-dimethylphenyl)amino]quinoxalin-2-yl)amino]sulfonyl]phenyl}-N-2,N-2-dimethylglycinamide;
 N-(3-[(3-[(2,4-bis(methyloxy)phenyl)amino]quinoxalin-2-yl)amino]sulfonyl]phenyl)-N-2,N-2-dimethylglycinamide;
 30 N-{3-[(3,5-dihydroxyphenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide;
 N-[3-[(3-(2,3-dihydro-1H-inden-5-ylamino)quinoxalin-2-yl)amino]sulfonyl]phenyl}-N-2,N-2-dimethylglycinamide;
 N-(3-[(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl)-4-[(1-methylethyl)oxy]benzenesulfonamide;
 N-(3-[(3,5-bis(methyloxy)phenyl)amino]quinoxalin-2-yl)biphenyl-4-sulfonamide;
 35 N-[3-[(2-chloro-5-[(difluoromethyl)oxy]phenyl)amino]quinoxalin-2-yl]-3-nitrobenzenesulfonamide; and

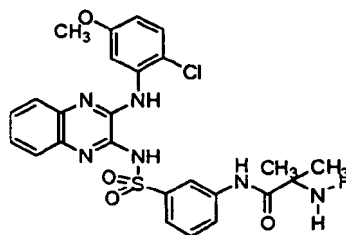
a pharmaceutically acceptable salt or solvate thereof.

12. The compound or composition of Claims 1, 2, 3, 4, 5, 6, 7, 8, or 9 for use according to claims 1, 2, 3, 4, 5, 6, 7, 8, or 9, wherein the compound of Formula VIa or VIb is



2-amino-8-ethyl-4-methyl-6-(1H-pyrazol-5-yl)pyrido[2,3-d]pyrimidin-7(8H)-one.

13. The compound or composition of Claims 1, 2, 3, 4, 5, 6, 7, 8, or 9 for use according to claims 1, 2, 3, 4, 5, 6, 7, 8, or 9, wherein the compound of Formula VIIIa or VIIIb is

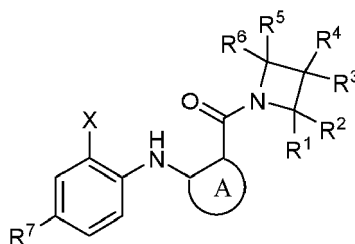


N-(3-((3-((2-chloro-5-(methyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-methylalaninamide.

Patentansprüche

1. Eine Verbindung der Formel Ia, Ic, Id, II oder V oder eine pharmazeutisch akzeptable Zusammensetzung davon in Kombination mit einer Verbindung/Verbindungen, ausgewählt aus der Gruppe, bestehend aus einer Verbindung der Formel VIa, VIb, VII, VIIa, VIIIb und
 - 8-Ethyl-2-(ethylamino)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-on;
 - 8-Ethyl-2-(ethylamino)pyrido[2,3-d]pyrimidin-7(8H)-on;
 - 4-Methyl-N-(3-morpholin-4-ylchinoxalin-2-yl)benzensulfonamid;
 - 5,12-Bis[(4-methylphenyl)sulfonyl]-5,12-dihydrochinoxalino[2,3-b]chinoxalin;
 - N*-[3-(1*H*-Benzimidazol-1-yl)chinoxalin-2-yl]benzensulfonamid;
 - 1-(Phenylsulfonyl)-3-[4-(pyrrolidin-1-ylsulfonyl)phenyl]-2,3-dihydro-1*H*-imidazo[4,5-b]chinoxalin;
 - 1-(Phenylsulfonyl)-3-[4-(piperidin-1-ylsulfonyl)phenyl]-2,3-dihydro-1*H*-imidazo[4,5-b]chinoxalin;
 - 2,5-Dichlor-*N*-[3-(3,4-dihydrochinolin-1 (2*H*)-yl)chinoxalin-2-yl]benzensulfonamid;
 - N*-(4-[(3-Morpholin-4-ylchinoxalin-2-yl)amino]sulfonyl)phenyl)acetamid;
 - 4-Methyl-*N*-[3-[(2-[(trifluormethyl)thio]phenyl)amino]chinoxalin-2-yl]benzensulfonamid;
 - N*-[4-[(3-[2-(Methyloxy)phenyl]-2,3-dihydro-1*H*-imidazo[4,5-b]chinoxalin-1-yl)sulfonyl]phenyl]acetamid;
 - 4-(3-[4-(Acetylamino)phenyl)sulfonyl]-2,3-dihydro-1*H*-imidazo[4,5-b]chinoxalin-1-yl)benzoesäure;
 - 1-Naphthalen-2-yl-3-[(3-nitrophenyl)sulfonyl]-2,3-dihydro-1*H*-imidazo[4,5-b]chinoxalin;
 - N*-[4-[(3-[4-(Methyloxy)phenyl]-2,3-dihydro-1*H*-imidazo[4,5-b]chinoxalin-1-yl)sulfonyl]phenyl]acetamid;
 - 1-(3-Methylphenyl)-3-[(4-methylphenyl)sulfonyl]-2,3-dihydro-1*H*-imidazo[4,5-b]chinoxalin;
 - N*-(4-[(3-(4-Methylphenyl)-2,3-dihydro-1*H*-imidazo[4,5-b]chinoxalin-1-yl)sulfonyl]phenyl)acetamid;
 - N*-(4-[(3-Phenyl-2,3-dihydro-1*H*-imidazo[4,5-b]chinoxalin-1-yl)sulfonyl]phenyl)acetamid;
 - N*-(4-[(3-(3-Methylphenyl)-2,3-dihydro-1*H*-imidazo[4,5-b]chinoxalin-1-yl)sulfonyl]phenyl)acetamid;
 - 1-[4-(Methyloxy)phenyl]-3-[(4-methylphenyl)sulfonyl]-2,3-dihydro-1*H*-imidazo[4,5-b]chinoxalin;
 - N*-(4-[(3-(2-Methylphenyl)-2,3-dihydro-1*H*-imidazo[4,5-b]chinoxalin-1-yl)sulfonyl]phenyl)acetamid;
 - 1-(3-Methylphenyl)-3-[(3-nitrophenyl)sulfonyl]-2,3-dihydro-1*H*-imidazo[4,5-b]chinoxalin;
 - 1-(4-Methylphenyl)-3-[(3-nitrophenyl)sulfonyl]-2,3-dihydro-1*H*-imidazo[4,5-b]chinoxalin;
 - N*-[3-[(4-Methylphenyl)amino]chinoxalin-2-yl]-3-(1*H*-tetrazol-1-yl)benzensulfonamid;
 - N*-(4-[(3-Piperidin-1-ylchinoxalin-2-yl)amino]sulfonyl)phenyl)acetamid;
 - N*-Cyano-*N*-(3-piperidin-1-ylchinoxalin-2-yl)benzensulfonamid;
 - N*-[3-(3,4-Dihydroisochinolin-2(1*H*)-yl)chinoxalin-2-yl]-2-methylbenzensulfonamid;
 - 1-[(4-Chlorphenyl)sulfonyl]-3-[4-(pyrrolidin-1-ylsulfonyl)phenyl]-2,3-dihydro-1*H*-imidazo[4,5-b]chinoxalin;
 - 1-(4-Morpholin-4-ylphenyl)-3-(phenylsulfonyl)-2,3-dihydro-1*H*-imidazo[4,5-b]chinoxalin;
 - N*-(3-[Bis(phenylmethyl)amino]chinoxalin-2-yl)benzensulfonamid;
 - N*-(3-Piperidin-1-ylchinoxalin-2-yl)benzensulfonamid;
 - 4-Methyl-*N*-(3-piperidin-1-ylchinoxalin-2-yl)benzensulfonamid;
 - 3-Methyl-1-(3-[(4-methylphenyl)sulfonyl]amino)chinoxalin-2-yl)pyridinium;
 - N*-[3-(4-Phenylpiperazin-1-yl)chinoxalin-2-yl]benzensulfonamid;
 - (3-Azidochinoxalin-2-yl)benzensulfonamid;
 - N*-[3-(Dimethylamino)chinoxalin-2-yl]benzensulfonamid;
 - N*-(3-[4-[(9-Oxo-9*H*-fluoren-1-yl)carbonyl]piperazin-1-yl]chinoxalin-2-yl)benzensulfonamid;
 - N*-[3-(4-Phenylpiperazin-1-yl)chinoxalin-2-yl]benzensulfonamid;
 - N*-[3-[4-(Phenylmethyl)piperidin-1-yl]chinoxalin-2-yl]benzensulfonamid;
 - N*-(3-Morpholin-4-ylchinoxalin-2-yl)benzensulfonamid;
 - N*-(3-[(2,5-Bis(methyloxy)phenyl)amino]pyrazin-2-yl)-4-chlorbenzensulfonamid;
 - N*-(3-Piperazin-1-ylchinoxalin-2-yl)benzensulfonamid;

oder einer pharmazeutisch akzeptablen Zusammensetzung davon zur Verwendung bei der Behandlung von Krebs, wobei Formel Ia wie folgt ist:



Formel Ia

und wahlweise als ein pharmazeutisch akzeptables Salz oder Solvat davon, wobei

der A-Ring eine Arylen- oder Heteroarylengruppe darstellt und der A-Ring wahlweise substituiert ist mit einer, zwei, drei oder vier Gruppen, ausgewählt aus R^{10} , R^{12} , R^{14} und R^{16} , wobei R^{10} , R^{12} , R^{14} und R^{16} unabhängig Wasserstoff, C_{1-6} -Alkanyl, C_{2-6} -Alkenyl, C_{2-6} -Alkinyl, Halogen, Halogenalkoxy, Hydroxy, C_{1-6} -Alkoxy, Amino, Alkylamino, Dialkylamino, Halogenalkyl, $-NHS(O)_2R^8$, $-CN$, $-C(O)R^8$, $-C(O)OR^8$, $-C(O)NR^8R^8$ oder $-NR^8C(O)R^8$ sind;

X C_{1-20} -Alkyl, Halogen, Halogenalkyl oder Halogenalkoxy ist;

R^1 , R^2 , R^3 , R^4 , R^5 und R^6 unabhängig Wasserstoff, Halogen, Nitro, $-NR^8R^8$, $-OR^8$, $-NHS(O)_2R^8$, $-CN$, $-S(O)_mR^8$, $-S(O)_2NR^8R^8$, $-C(O)R^8$, $-C(O)OR^8$, $-C(O)NR^8R^8$, $-NR^8C(O)OR^8$, $-NR^8C(O)NR^8R^8$, $-NR^8C(O)OR^8$, $-NR^8C(O)R^8$, C_{1-6} -Alkanyl, C_{2-6} -Alkenyl, C_{2-6} -Alkinyl, Cycloalkyl, Heteroaryl oder Heterocycloalkyl sind; wobei das C_{1-6} -Alkanyl, C_{2-6} -Alkenyl, C_{2-6} -Alkinyl, Cycloalkyl, Heteroaryl und Heterocycloalkyl wahlweise substituiert sind mit einer, zwei, drei, vier, fünf, sechs oder sieben Gruppen, unabhängig ausgewählt aus Halogen, C_{1-6} -Alkanyl, Halogenalkyl, Nitro, wahlweise substituiertem Cycloalkyl, wahlweise substituiertem Heterocycloalkyl, wahlweise substituiertem Aryl, wahlweise substituiertem Arylalkyl, wahlweise substituiertem Heteroaryl, $-OR^8$, $-NR^8R^8$, $-NHS(O)_2R^9$, $-CN$, $-S(O)_mR^9$, $-C(O)R^8$, $-C(O)OR^8$, $-C(O)NR^8R^8$, $-NR^8C(O)NR^8R^8$, $-NR^8C(O)OR^8$ und $-NR^8C(O)R^8$; oder eines von R^1 und R^2 gemeinsam mit dem Kohlenstoff, an dem sie anlagern, R^3 und R^4 gemeinsam mit dem Kohlenstoff, an dem sie anlagern, und R^5 und R^6 gemeinsam mit dem Kohlenstoff, an dem sie anlagern, $C(O)$ oder $C(=NOH)$ bildet;

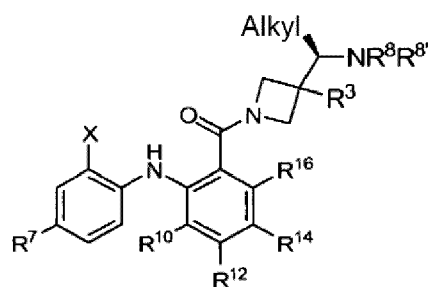
m 1 oder 2 ist;

R^7 Wasserstoff, Halogen oder C_{1-20} -Alkyl ist;

R^8 , R^8 und R^8 unabhängig Wasserstoff, Hydroxy, C_{1-6} -Alkoxy, substituiertes C_{1-6} -Alkoxy, C_{1-6} -Alkanyl, Halogenalkyl, C_{2-6} -Alkenyl, C_{2-6} -Alkinyl, Aryl, Cycloalkyl, Heteroaryl oder Heterocycloalkyl sind; wobei das C_{1-6} -Alkanyl, C_{2-6} -Alkenyl, C_{2-6} -Alkinyl, Aryl, Cycloalkyl, Heteroaryl und Heterocycloalkyl unabhängig wahlweise substituiert sind mit einer, zwei, drei, vier oder fünf Gruppen, unabhängig ausgewählt aus C_{1-6} -Alkanyl, Halogen, Hydroxy, Hydroxyalkyl, C_{1-6} -Alkoxy, substituiertem C_{1-6} -Alkoxy, Alkoxyalkyl, Halogenalkyl, Carboxy, Carboxyester, Nitro, Cyano, $-S(O)_nR^{31}$ (wobei n 0, 1 oder 2 ist und R^{31} Alkyl, substituiertes Alkyl, wahlweise substituiertes Aryl, wahlweise substituiertes Heterocycloalkyl oder wahlweise substituiertes Heteroaryl ist), $-NR^{34}SO_2R^{34a}$ (wobei R^{34} Wasserstoff oder C_{1-20} -Alkyl ist und R^{34a} C_{1-20} -Alkyl, C_{2-6} -Alkenyl, wahlweise substituiertes Aryl, wahlweise substituiertes Heterocycloalkyl, wahlweise substituiertes Cycloalkyl oder wahlweise substituiertes Heteroaryl ist), $-SO_2NR^{35}R^{35a}$ (wobei R^{35} Wasserstoff oder Alkyl ist und R^{35a} C_{1-20} -Alkyl, C_{2-6} -Alkenyl, wahlweise substituiertes Aryl, wahlweise substituiertes Heterocycloalkyl, wahlweise substituiertes Cycloalkyl oder wahlweise substituiertes Heteroaryl ist), wahlweise substituiertem Cycloalkyl, wahlweise substituiertem Heterocycloalkyl, wahlweise substituiertem Aryl, wahlweise substituiertem Arylalkyl, Aryloxy, Arylalkyloxy, wahlweise substituiertem Heteroaryl, $-NHC(O)R^{32}$ (wobei R^{32} C_{1-6} -Alkanyl, C_{2-6} -Alkenyl, Alkoxy oder Cycloalkyl ist) und $-NR^{30}R^{30'}$ (wobei R^{30} und $R^{30'}$ unabhängig Wasserstoff, C_{1-20} -Alkyl oder Hydroxyalkyl sind) und $-C(O)NHR^{33}$ (wobei R^{33} C_{1-6} -Alkanyl, C_{2-6} -Alkenyl, C_{2-6} -Alkinyl oder Cycloalkyl ist); und

R^9 C_{1-6} -Alkanyl, C_{2-6} -Alkenyl, C_{2-6} -Alkinyl, Aryl, Cycloalkyl, Heteroaryl oder Heterocycloalkyl ist; wobei das C_{1-6} -Alkanyl, C_{2-6} -Alkenyl, C_{2-6} -Alkinyl, Aryl, Cycloalkyl, Heteroaryl und Heterocycloalkyl unabhängig wahlweise substituiert sind mit einer, zwei, drei, vier oder fünf Gruppen, ausgewählt aus C_{1-6} -Alkanyl, Halogen, Hydroxy, Halogenalkoxy, Halogenalkyl, Amino, Alkylamino und Dialkylamino;

wobei Formel Ic wie folgt ist:



Formel Ic

und wahlweise als ein pharmazeutisch akzeptables Salz oder Solvat davon, wobei

R^{10} , R^{12} , R^{14} und R^{16} unabhängig Wasserstoff, C_{1-6} -Alkanyl, Halogen, Halogenalkoxy, Hydroxy, C_{1-6} -Alkoxy oder Halogenalkyl sind;

X Halogen ist;

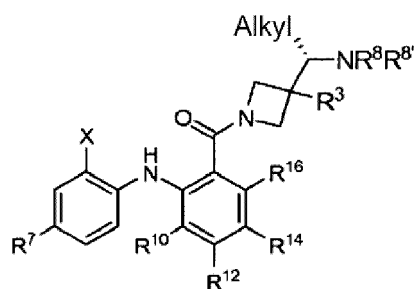
R^3 Wasserstoff, Halogen, Nitro, $-NR^8R^8'$, $-OR^8$, $-NHS(O)_2R^8$, $-CN$, $-S(O)_mR^8$, $-S(O)_2NR^8R^8'$, $-C(O)R^8$, $-C(O)OR^8$, $-C(O)NR^8R^8'$, $-NR^8C(O)OR^8$, $-NR^8C(O)NR^8R^8'$, $-NR^8C(O)OR^8$, $-NR^8C(O)R^8$, C_{1-6} -Alkanyl, C_{2-6} -Alkenyl, C_{2-6} -Alkanyl, Cycloalkyl, Heteroaryl oder Heterocycloalkyl ist; wobei das C_{1-6} -Alkanyl, C_{2-6} -Alkenyl, C_{2-6} -Alkanyl, Cycloalkyl, Heteroaryl und Heterocycloalkyl wahlweise substituiert sind mit einer, zwei, drei, vier, fünf, sechs oder sieben Gruppen, unabhängig ausgewählt aus Halogen, C_{1-6} -Alkanyl, Halogenalkyl, Nitro, wahlweise substituiertem Cycloalkyl, wahlweise substituiertem Heterocycloalkyl, wahlweise substituiertem Aryl, wahlweise substituiertem Arylalkyl, wahlweise substituiertem Heteroaryl, $-OR^8$, $-NR^8R^8'$, $-NHS(O)_2R^9$, $-CN$, $-S(O)_mR^9$, $-C(O)R^8$, $-C(O)OR^8$, $-C(O)NR^8R^8'$, $-NR^8C(O)NR^8R^8'$, $-NR^8C(O)OR^8$ und $-NR^8C(O)R^8$;

R^7 Wasserstoff, Halogen oder C_{1-20} -Alkyl ist;

R^8 , R^8' und R^8'' unabhängig Wasserstoff, Hydroxy, Alkoxy, substituiertes Alkoxy, C_{1-6} -Alkanyl, Halogenalkyl, C_{2-6} -Alkenyl, C_{2-6} -Alkanyl, Aryl, Cycloalkyl, Heteroaryl oder Heterocycloalkyl sind; wobei das C_{1-6} -Alkanyl, C_{2-6} -Alkenyl, C_{2-6} -Alkanyl, Aryl, Cycloalkyl, Heteroaryl und Heterocycloalkyl unabhängig wahlweise substituiert sind mit einer, zwei, drei, vier oder fünf Gruppen, unabhängig ausgewählt aus C_{1-6} -Alkanyl, Halogen, Hydroxy, Hydroxyalkyl, C_{1-6} -Alkoxy, substituiertem Alkoxy, Alkoxyalkyl, Halogenalkyl, Carboxy, Carboxyester, Nitro, Cyano, $-S(O)_nR^{31}$ (wobei n 0, 1 oder 2 ist und R^{31} Alkyl, substituiertes Alkyl, wahlweise substituiertes Aryl, wahlweise substituiertes Heterocycloalkyl oder wahlweise substituiertes Heteroaryl ist), $-NR^{34}SO_2R^{34a}$ (wobei R^{34} Wasserstoff oder C_{1-20} -Alkyl ist und R^{34a} C_{1-20} -Alkyl, C_{2-6} -Alkenyl, wahlweise substituiertes Aryl, wahlweise substituiertes Heterocycloalkyl, wahlweise substituiertes Cycloalkyl oder wahlweise substituiertes Heteroaryl ist), $-SO_2NR^{35}R^{35a}$ (wobei R^{35} Wasserstoff oder Alkyl ist und R^{35a} C_{1-20} -Alkyl, C_{2-6} -Alkenyl, wahlweise substituiertes Aryl, wahlweise substituiertes Heterocycloalkyl, wahlweise substituiertes Cycloalkyl oder wahlweise substituiertes Heteroaryl ist), wahlweise substituiertem Cycloalkyl, wahlweise substituiertem Heterocycloalkyl, wahlweise substituiertem Aryl, wahlweise substituiertem Arylalkyl, Aryloxy, Arylalkyloxy, wahlweise substituiertem Heteroaryl, $-NHC(O)R^{32}$ (wobei R^{32} C_{1-6} -Alkanyl, C_{2-6} -Alkenyl, Alkoxy oder Cycloalkyl ist) und $-NR^{30}R^{30'}$ (wobei R^{30} und $R^{30'}$ unabhängig Wasserstoff, C_{1-20} -Alkyl oder Hydroxyalkyl sind) und $-C(O)NHR^{33}$ (wobei R^{33} C_{1-6} -Alkanyl, C_{2-6} -Alkenyl, C_{2-6} -Alkanyl oder Cycloalkyl ist); und

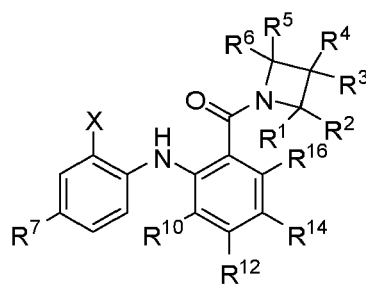
R^9 C_{1-6} -Alkanyl, C_{2-6} -Alkenyl, C_{2-6} -Alkanyl, Aryl, Cycloalkyl, Heteroaryl oder Heterocycloalkyl ist; wobei das C_{1-6} -Alkanyl, C_{2-6} -Alkenyl, C_{2-6} -Alkanyl, Aryl, Cycloalkyl, Heteroaryl und Heterocycloalkyl unabhängig wahlweise substituiert sind mit einer, zwei, drei, vier oder fünf Gruppen, ausgewählt aus C_{1-6} -Alkanyl, Halogen, Hydroxy, Halogenalkoxy, Halogenalkyl, Amino, Alkylamino und Dialkylamino;

wobei Formel Id wie folgt ist:



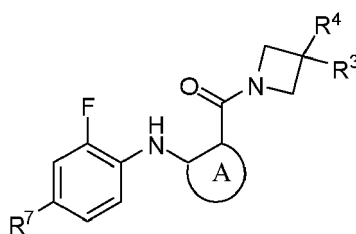
Formel Id

und wahlweise als ein pharmazeutisch akzeptables Salz oder Solvat davon, wobei X, R⁷, R¹⁰, R¹², R¹⁴, R¹⁶, R³, R⁸ und R^{8'} wie oben für Formel Ic definiert sind; wobei Formel II wie folgt ist:



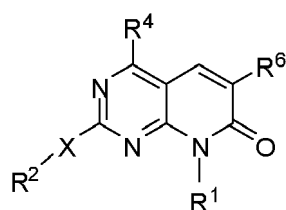
II

und wahlweise als ein pharmazeutisch akzeptables Salz oder Solvat davon, wobei X, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R¹⁰, R¹², R¹⁴ und R¹⁶ wie oben für Formel Ia definiert sind; wobei Formel V wie folgt ist:



V

und wahlweise als ein pharmazeutisch akzeptables Salz oder Solvat davon, wobei der A-Ring, R³, R⁴ und R⁷ wie oben für Formel Ia definiert sind; wobei Formel VIa wie folgt ist:



(VIa)

und wahlweise als ein pharmazeutisch akzeptables Salz oder Hydrat davon, wobei

R¹ Wasserstoff, wahlweise substituiertes C₁-C₆-Alkyl, wahlweise substituiertes C₃-C₇-Cycloalkyl, wahlweise substituiertes Aryl, wahlweise substituiertes Heteroalicyclus, wahlweise substituiertes Heteroalicyclusalkyl, wahlweise substituiertes Heteroaryl oder wahlweise substituiertes Heteroarylalkyl ist; X-NR³- ist;

R² Wasserstoff, wahlweise substituiertes C₁-C₆-Alkyl, C₃-C₇-Cycloalkyl, Aryl, Aryl-C₁₋₆-alkyl, Heteroalicyclus, Heterocyclalkyl, Heterocyclalkyl-aryl oder Heteroaryl ist, wobei die Cycloalkyl-, Aryl-, Aryl-C₁₋₆-alkyl-, Heteroalicyclus-, Heterocyclalkyl-, Heterocyclalkyl-aryl- und Heteroarylgruppen in R² wahlweise substituiert sind mit 1, 2, 3 oder 4 R⁸-Gruppen;

R³ Wasserstoff ist;

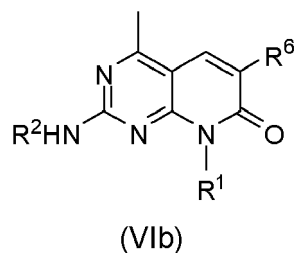
R⁴ wahlweise substituiertes C₁-C₆-Alkyl ist;

R⁶ Acyl, Phenyl oder Heteroaryl ist; wobei das Phenyl und Heteroaryl in R⁶ wahlweise substituiert sind mit 1, 2, 3 oder 4 R⁹-Gruppen;

R⁸ bei jedem Auftreten unabhängig Hydroxy, Halogen, Halogenalkyl, C₁-C₆-Alkyl, wahlweise substituiertes C₁-C₆-Alkoxy, C₁-C₆-Alkoxyalkyl, C₁-C₆-Alkoxyalkylaminoalkyl, C₁-C₆-Alkylcarboxyheterocycl, -O-C₁-C₆-Alkylheterocycl, Aminoalkyl, wahlweise substituiertes C₃-C₇-Cycloalkyl, wahlweise substituiertes Aryl, wahlweise substituiertes Aryl-C₁-C₆-alkyl, wahlweise substituiertes Heteroalicyclus, wahlweise substituiertes Heteroalicyclusalkyl, wahlweise substituiertes Heteroaryl oder wahlweise substituiertes Heteroarylalkyl ist; und

R⁹ bei jedem Auftreten unabhängig Halogen, Halogenalkyl, Halogenalkoxy, C₁-C₆-Alkyl, C₁-C₆-Alkoxy, C₁-C₆-Alkoxyalkyl, C₁-C₆-Carboxyalkyl, Alkoxycarbonyl, Aminoalkyl, wahlweise substituiertes C₃-C₇-Cycloalkyl, wahlweise substituiertes Aryl, wahlweise substituiertes Aryl-C₁-C₆-alkyl, wahlweise substituiertes Aryloxy, wahlweise substituiertes Heteroalicyclus oder wahlweise substituiertes Heteroaryl ist;

wobei Formel VIb wie folgt ist:



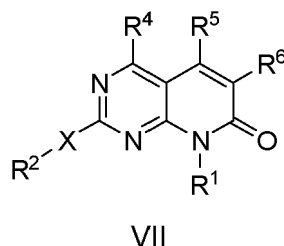
und wahlweise ein pharmazeutisch akzeptables Salz oder Solvat davon, wobei

R¹ Wasserstoff, wahlweise substituiertes C₁-C₆-Alkyl, wahlweise substituiertes C₃-C₇-Cycloalkyl, wahlweise substituiertes Aryl, wahlweise substituiertes Heteroalicyclus, wahlweise substituiertes Heteroalicyclusalkyl, wahlweise substituiertes Heteroaryl oder wahlweise substituiertes Heteroarylalkyl ist;

R⁶ Phenyl, Acyl oder Heteroaryl ist; wobei das Phenyl und Heteroaryl wahlweise substituiert sind mit 1, 2, 3 oder 4 R⁹-Gruppen; und

R⁹ bei jedem Auftreten unabhängig Halogen, Halogenalkyl, Halogenalkoxy, C₁-C₆-Alkyl, C₁-C₆-Alkoxy, C₁-C₆-Alkoxyalkyl, C₁-C₆-Carboxyalkyl, Alkoxycarbonyl, Aminoalkyl, wahlweise substituiertes C₃-C₇-Cycloalkyl, wahlweise substituiertes Aryl, wahlweise substituiertes Aryl-C₁-C₆-alkyl, Aryloxy, wahlweise substituiertes Heteroalicyclus oder wahlweise substituiertes Heteroaryl ist;

wobei Formel VII wie folgt ist:



R¹ Wasserstoff, wahlweise substituiertes C₁-C₆-Alkyl, wahlweise substituiertes C₃-C₇-Cycloalkyl, wahlweise

substituiertes Aryl, wahlweise substituierter Heteroalicyclus, wahlweise substituiertes Heteroalicyclusalkyl, wahlweise substituiertes Heteroaryl oder wahlweise substituiertes Heteroarylalkyl ist;

X -NR³- ist;

R³ Wasserstoff ist;

R⁴ wahlweise substituiertes C₁-C₆-Alkyl ist;

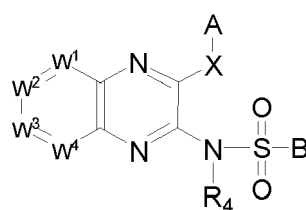
R⁵ Wasserstoff ist;

R⁶ Acyl ist und R² Heterocycl-aryl-, wahlweise substituiert mit 1, 2, 3 oder 4 R⁸-Gruppen, ist; oder

R⁶ Halogen ist und R² wahlweise substituiertes C₁-C₆-Alkyl, C₃-C₇-Cycloalkyl, Phenyl, Aryl-C₁₋₆-alkyl, Heteroalicyclusalkyl oder Heterocycl-aryl- ist; wobei die C₃-C₇-Cycloalkyl-, Phenyl-, Phenyl-, Aryl-C₁₋₆-alkyl-, Heteroalicyclusalkyl- und Heterocycl-aryl--Gruppen in R² wahlweise substituiert sind mit 1, 2, 3 oder 4 R⁸-Gruppen; oder

R⁶ Phenyl, wahlweise substituiert mit 1, 2 oder 3 Halogen, ist; und R² Phenyl oder Heterocycl-aryl- ist; wobei die Phenyl- und Heterocycl-aryl--Gruppen in R² wahlweise substituiert sind mit 1, 2, 3 oder 4 R⁸-Gruppen; oder R⁶ Heteroaryl, wahlweise substituiert mit 1, 2 oder 3 Halogen, ist; und R² Heterocycl-aryl-, wahlweise substituiert mit 1, 2, 3, 4 oder 5 R⁸-Gruppen, ist; jeder R⁸ bei jedem Fall unabhängig Hydroxy, Halogen, C₁-C₆-Alkyl, Halogenalkyl, wahlweisesubstituiertes C₁-C₆-Alkoxy, C₁-C₆-Alkoxyalkyl, C₁-C₆-Alkoxy-carbonyl, C₁-C₆-Alkoxyalkylaminoalkyl, -O-C₁-C₆-Alkylheterocycl, Aminoalkyl, wahlweise substituiertes C₃-C₇-Cycloalkyl, wahlweise substituiertes Aryl, wahlweise substituiertes Aryl-C₁-C₆-alkyl, wahlweise substituierter Heteroalicyclus, wahlweise substituiertes Heteroalicyclusalkyl, wahlweise substituiertes Heteroaryl oder wahlweise substituiertes Heteroarylalkyl ist;

wobei Formel VIIIa wie folgt ist:



VIIIa

oder ein pharmazeutisch akzeptables Salz oder Solvat davon, wobei

W¹, W², W³ und W⁴ -C(R₁)- sind oder W² und W³ -C(R₁)- sind und eines von W¹ und W⁴ -N- ist und das andere -C(R₁)- ist;

X -N(R₅)- ist;

A Aryl, Heteroaryl oder Heterocycloalkyl ist, wobei das Aryl, Heteroaryl und Heterocycloalkyl wahlweise substituiert sind mit (R₂)_{n1}; oder

B Aryl, -C₁-C₆-Alkylaryl, Heteroaryl oder Heterocycloalkyl ist, wobei das Aryl, C₁-C₆-Alkyl, Heteroaryl und Heterocycloalkyl unabhängig wahlweise substituiert sind mit (R₃)_{n2};

n₁ 0, 1, 2 oder 3 ist;

n₂ eine ganze Zahl von 1 bis 5 ist;

jeder R₁ unabhängig Wasserstoff, C₁-C₆-Alkyl, Halogenalkyl, C₁-C₆-Alkoxy, Halogenalkoxy oder -NO₂ ist;

jeder R₂ (wenn R₂ vorhanden ist) unabhängig -C₁-C₆-Alkanyl, -C₁-C₆-Alkenyl, -OR₆, -N(R₇)-C(O)-R₆, -N(R₇)-C(O)-C₀-C₆-Alkyl-N(R_{7b})R_{7a}, -OC(O)-C₀-C₆-Alkyl-N(R₇)R_{7a}, -C₀-C₆-Alkyl-C(O)R₆, Heterocycloalkyl, Aryl, Halogen, -NO₂ oder -C₀-C₆-Alkyl-N(R₇)R_{7a} ist, wobei jede Alkyl-, Aryl- und Heterocycloalkylgruppe, jede entweder allein oder als Teil einer anderen Gruppe innerhalb R₂, unabhängig wahlweise substituiert ist mit einer, zwei,

drei, vier oder fünf Gruppen, ausgewählt aus C₁-C₆-Alkyl, C₁-C₆-Alkoxy, Halogen, Halogenalkyl und Halogenalkoxy; jeder R₃ (wenn R₃ vorhanden ist) unabhängig Hydroxy, -NO₂, Halogen, -CN, C₁-C₆-Alkanyl, C₂-C₆-Alkenyl, C₁-C₆-Alkoxy, -C₀-C₆-Alkyl-N(R₇)C(O)-C₀-C₆-alkyl-N(R_{7b})R_{7a}, -C₀-C₆-Alkyl-N(R₇)C(O)-C₀-C₆-alkyl-N(R_{7b})C(O)R_{7a}, -C₀-C₆-Alkyl-C(O)N(R₇)-C₀-C₆-alkyl-N(R₇)R_{7a}, -C₀-C₆-Alkyl-C(O)N(R₇)-C₁-C₆-alkyl-C(O)OR_{7a}, -C₀-C₆-Alkyl-N(R₇)-C(O)-C₀-C₆-alkyl-(R₇), -C₀-C₆-Alkyl-N(R₇)-C₀-C₆-alkyl-N(R₇)R_{7a}, -C₀-C₆-Alkyl-N(R₇)C(O)-C₀-C₆-alkyl-N(R_{7b})-C₀-C₆-alkyl-N(R_{7c})R_{7a}, -C₀-C₆-Alkyl-N(R₇)C(O)O-C₀-C₆-alkyl-N(R_{7b})R_{7a}, -C₀-C₆-Alkyl-N(R₇)-C₀-C₆-alkyl-C(=N(R_{7b})(R_{7a}))(NR_{7c}R_{7d}), -C₀-C₆-Alkyl-heteroaryl, -C₀-C₆-Alkyl-OR₆, -C₀-C₆-Alkyl-C(O)OR₆, -C₀-C₆-Alkyl-N(R₇)R_{7a}, -C₀-C₆-Alkyl-C(O)-NR₇R_{7a}, -C₀-C₆-Alkyl-C(O)-R₇, -S(O)₂R₇, -SO₂N(R₇)-C₀-C₆-Alkyl-N(R₇)R_{7a}, -C₀-C₆-Alkyl-C(O)-heterocycloalkyl ("Dupe" (Duplikat) von C(O)R₇), -C₀-C₆-Alkyl-

C(O)N(R₇)-C₀-C₆-alkyl-heterocycloalkyl, -C₀-C₆-Alkyl-N(R₇)C(O)-C₀-C₆-alkyl-cycloalkyl, -C₀-C₆-Alkyl-N(R₇)-C(O)-C₀-C₆-alkyl-aryl, -C₀-C₆-Alkyl-N(R₇)-C(O)-C₀-C₆-alkyl-heteroaryl, -C₀-C₆-Alkyl-N(R₇)C(O)-C₀-C₆-alkyl-heterocycloalkyl, -C₀-C₆-Alkyl-N(R₇)C(O)-C₀-C₆-alkyl-heterocycloalkyl-aryl oder -N(R₇)C(O)R_{7a} ist, wobei jede

der Alkyl-, Alkanyl-, Alkenyl-, Cycloalkyl-, Aryl-, Alkoxy-, Heterocycloalkyl- und Heteroarylgruppen, entweder

allein oder als Teil einer anderen Gruppe innerhalb R₃, unabhängig wahlweise substituiert ist mit 1, 2, 3, 4 oder

5 Gruppen, ausgewählt aus C₁-C₆-Alkanyl, C₁-C₆-Alkenyl, Cycloalkyl, Halogen, -C(O)-R₆, Oxo, Hydroxy, -C₀-C₆-Alkyl-N(R₈)R_{8a}, -C₀-C₆-Alkyl-heterocycloalkyl, -C₀-C₆-Alkyl-aryl, -C₀-C₆-Alkyl-heteroaryl, -C(O)OR₆ und Hydroxyalkyl;

R₄ Wasserstoff ist;

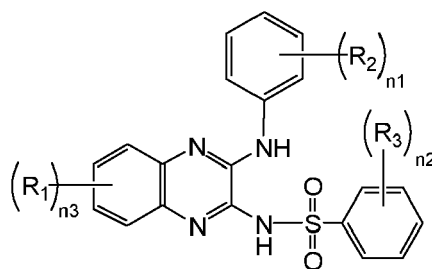
R₅ Wasserstoff ist;

R₆ und R₉ unabhängig Wasserstoff, C₁-C₆-Alkyl, Aryl, Arylalkyl oder Cycloalkyl sind, wobei jedes von dem -C₁-C₆-Alkyl, Aryl, Arylalkyl und Cycloalkyl unabhängig wahlweise substituiert ist mit 1, 2, 3, 4 oder 5 Gruppen, ausgewählt aus C₁-C₆-Alkoxy, C₁-C₆-Alkyl und Halogen; und

R₇, R_{7a}, R_{7b}, R_{7c} und R_{7d} unabhängig Wasserstoff, -C₁-C₆-Alkanyl, -C₁-C₆-Alkenyl, -OH, -O-C₁-C₆-Alkanyl, -O-C₁-C₆-Alkenyl, -O-C₀-C₆-Alkyl-aryl, Aryl, Arylalkyl, Heteroaryl, Heteroarylalkyl, Cycloalkyl, Cycloalkylalkyl, Heterocycloalkyl oder Heterocycloalkylalkyl sind, wobei jedes von dem Alkyl, Aryl, Heteroaryl und Heterocyclo-

alkyl, entweder allein oder als Teil einer anderen Gruppe innerhalb von R₇, R_{7a}, R_{7b}, R_{7c} und R_{7d}, unabhängig wahlweise substituiert ist mit 1, 2, 3, 4 oder 5 -NH₂, Alkylamino, Dialkylamino, -S-C₁-C₆-Alkyl, -CN, Hydroxy, Oxo, C₁-C₆-Alkoxy, C₁-C₆-Alkyl oder Halogen;

und wobei Formel VIIIb wie folgt ist:



VIIIb

oder ein pharmazeutisch akzeptables Salz oder Solvat davon, wobei

n₁ eins oder zwei ist und n₂ eins oder zwei ist; n₃ 0, 1 oder zwei ist; jeder R₁ unabhängig Wasserstoff, C₁-C₆-Alkyl, Halogenalkyl, C₁-C₆-Alkoxy, Halogenalkoxy, -NO₂, Halogen, Hydroxy, Hydroxyalkyl, -CN, Cyanoalkyl oder -C₀-C₆-Alkyl-N(R₁₀)R_{10a} ist, wobei R₁₀ und R_{10a} unabhängig Wasserstoff, -C₁-C₆-Alkyl, -OH, -O-C₁-C₆-Alkyl, Halogenalkyl oder Halogenalkoxy sind;

jeder R₂ (wenn R₂ vorhanden ist) unabhängig C₁-C₆-Alkanyl, C₁-C₆-Alkenyl, -OR₆, -N(R₇)-C(O)-R₆, -N(R₇)-C(O)-C₀-C₆-Alkyl-N(R_{7b})R_{7a}, -OC(O)-C₀-C₆-Alkyl-N(R₇)R_{7a}, -C₀-C₆-Alkyl-C(O)R₆, Heterocycloalkyl, Aryl, Halogen, -NO₂ oder -C₀-C₆-Alkyl-N(R₇)R_{7a} ist, wobei jede Alkyl-, Aryl- und Heterocycloalkylgruppe, jede entweder allein oder als Teil einer anderen Gruppe innerhalb R₂, unabhängig wahlweise substituiert ist mit einer, zwei, drei, vier oder fünf Gruppen, ausgewählt aus C₁-C₆-Alkyl, C₁-C₆-Alkoxy, Halogen, Halogenalkyl und Halogenalkoxy;

jeder R₃ (wenn R₃ vorhanden ist) unabhängig Hydroxy, -NO₂, Halogen, -CN, C₁-C₆-Alkanyl, C₂-C₆-Alkenyl, C₁-C₆-Alkoxy, -C₀-C₆-Alkyl-N(R₇)C(O)-C₀-C₆-alkyl-N(R_{7b})R_{7a}, -C₀-C₆-Alkyl-N(R₇)C(O)-C₀-C₆-alkyl-N(R_{7b})C(O)R_{7a}, -C₀-C₆-Alkyl-C(O)N(R₇)-C₀-C₆-alkyl-N(R₇)R_{7a}, -C₀-C₆-Alkyl-C(O)N(R₇)-C₁-C₆-alkyl-C(O)OR_{7a}, -C₀-C₆-Alkyl-N(R₇)-C(O)-C₀-C₆-alkyl-(R₇), -C₀-C₆-Alkyl-N(R₇)-C₀-C₆-alkyl-N(R₇)R_{7a}, -C₀-C₆-Alkyl-N(R₇)C(O)-C₀-C₆-alkyl-N(R_{7b})-C₀-C₆-alkyl-N(R_{7c})R_{7a}, -C₀-C₆-Alkyl-N(R₇)C(O)-C₀-C₆-alkyl-N(R_{7b})R_{7a}, -C₀-C₆-Alkyl-N(R₇)-C₀-C₆-alkyl-C(=N(R_{7b})(R_{7a}))(NR_{7c}R_{7d}), -C₀-C₆-Alkyl-heteroaryl, -C₀-C₆-Alkyl-OR₆, -C₀-C₆-Alkyl-C(O)OR₆, -C₀-C₆-Alkyl-N(R₇)R_{7a}, -C₀-C₆-Alkyl-C(O)-NR₇R_{7a}, -C₀-C₆-Alkyl-C(O)-R₇, -S(O)₂R₇, -SO₂N(R₇)-C₀-C₆-Alkyl-N(R₇)R_{7a}, -C₀-C₆-Alkyl-C(O)-heterocycloalkyl ("Dupe" (Duplikat) von C(O)R₇), -C₀-C₆-Alkyl-C(O)N(R₇)-C₀-C₆-alkyl-heterocycloalkyl, -C₀-C₆-Alkyl-N(R₇)C(O)-C₀-C₆-alkyl-cycloalkyl, -C₀-C₆-Alkyl-N(R₇)-C(O)-C₀-C₆-alkyl-aryl, -C₀-C₆-Alkyl-N(R₇)-C(O)-C₀-C₆-alkyl-heteroaryl, -C₀-C₆-Alkyl-N(R₇)C(O)-C₀-C₆-alkyl-heterocycloalkyl, -C₀-C₆-Alkyl-N(R₇)C(O)-C₀-C₆-alkyl-heterocycloalkyl-aryl oder -N(R₇)C(O)R_{7a} ist, wobei jede

der Alkyl-, Alkanyl-, Alkenyl-, Cycloalkyl-, Aryl-, Alkoxy-, Heterocycloalkyl- und Heteroarylgruppen, entweder

allein oder als Teil einer anderen Gruppe innerhalb R_3 , unabhängig wahlweise substituiert ist mit 1, 2, 3, 4 oder 5 Gruppen, ausgewählt aus C_1 - C_6 -Alkanyl, C_1 - C_6 -Alkenyl, Cycloalkyl, Halogen, $-C(O)-R_6$, Oxo, Hydroxy, $-C_0$ - C_6 -Alkyl- $N(R_8)R_{8a}$, $-C_0$ - C_6 -Alkyl-heterocycloalkyl, $-C_0$ - C_6 -Alkyl-aryl, $-C_0$ - C_6 -Alkyl-heteroaryl, $-C(O)OR_6$ und Hydroxyalkyl;

R_4 Wasserstoff ist;

R_5 Wasserstoff ist;

R_6 Wasserstoff, C_1 - C_6 -Alkyl, Aryl, Arylalkyl oder Cycloalkyl ist, wobei jedes von dem $-C_1$ - C_6 -Alkyl, Aryl, Arylalkyl und Cycloalkyl unabhängig wahlweise substituiert ist mit 1, 2, 3, 4 oder 5 Gruppen, ausgewählt aus C_1 - C_6 -Alkoxy, C_1 - C_6 -Alkyl und Halogen; und

R_7 , R_{7a} , R_{7b} , R_{7c} und R_{7d} unabhängig Wasserstoff, $-C_1$ - C_6 -Alkanyl, $-C_1$ - C_6 -Alkenyl, $-OH$, $-O-C_1$ - C_6 -Alkanyl, $-O-C_1$ - C_6 -Alkenyl, $-O-C_0$ - C_6 -Alkyl-aryl, Aryl, Arylalkyl, Heteroaryl, Heteroarylalkyl, Cycloalkyl, Cycloalkylalkyl, Heterocycloalkyl oder Heterocycloalkylalkyl sind, wobei jedes von dem Alkyl, Aryl, Heteroaryl und Heterocycloalkyl, entweder allein oder als Teil einer anderen Gruppe innerhalb von R_7 , R_{7a} , R_{7b} , R_{7c} und R_{7d} , unabhängig wahlweise substituiert ist mit 1, 2, 3, 4 oder 5 $-NH_2$, Alkylamino, Dialkylamino, $-S-C_1$ - C_6 -Alkyl, $-CN$, Hydroxy, Oxo, C_1 - C_6 -Alkoxy, C_1 - C_6 -Alkyl oder Halogen.

2. Verbindung oder Zusammensetzung gemäß Anspruch 1 zur Verwendung gemäß Anspruch 1, wobei der Krebs Melanom, Blasenkrebs, Wilms-Krebs, Eierstockkrebs, Bauchspeicheldrüsenkrebs, gastrointestinaler Stromakrebs, Brustkrebs, Prostatakrebs, Knochenkrebs, kleinzelliger Lungenkrebs, nichtkleinzelliger Lungenkrebs, Kolorektalkrebs, Gebärmutterhalskrebs, Endometriumkrebs, Synovialsarkom, vasoaktives intestinales Peptid sezernierende Tumore, akute myeloische Leukämie (AML), akute lymphatische Leukämie (ALL), mit Philadelphia-Chromosom assoziierte akute lymphoblastische Leukämie (Ph+ ALL), chronische lymphatische Leukämie (CLL) oder chronische myeloische Leukämie (CML) ist.

3. Verbindung oder Zusammensetzung gemäß Anspruch 2 zur Verwendung gemäß Anspruch 2, wobei der Krebs Melanom ist.

4. Verbindung oder Zusammensetzung gemäß Anspruch 2 zur Verwendung gemäß Anspruch 2, wobei der Krebs ferner **dadurch gekennzeichnet ist, dass** er eine Mutation in dem B-RAF-Gen aufweist.

5. Verbindung oder Zusammensetzung gemäß Anspruch 4 zur Verwendung gemäß Anspruch 4, wobei die Mutation in B-RAF eine aktivierende Mutation ist.

6. Verbindung oder Zusammensetzung gemäß Anspruch 2 zur Verwendung gemäß Anspruch 2, wobei der Krebs ferner **dadurch gekennzeichnet ist, dass** er eine Mutation in dem PTEN-Gen aufweist.

7. Verbindung oder Zusammensetzung gemäß Anspruch 6 zur Verwendung gemäß Anspruch 6, wobei die Mutation die PTEN-Funktion eliminiert.

8. Verbindung oder Zusammensetzung gemäß Anspruch 7 zur Verwendung gemäß Anspruch 7, wobei der Krebs auch eine Mutation in dem B-RAF-Gen enthält und wobei die Mutation eine aktivierende Mutation ist.

9. Verbindung oder Zusammensetzung gemäß Ansprüchen 1, 2, 3, 4, 5, 6, 7 oder 8 zur Verwendung gemäß Ansprüchen 1, 2, 3, 4, 5, 6, 7 oder 8, wobei die Verbindung der Formel Ia, Ic, Id, II oder V aus Folgendem ausgewählt ist:

1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)azetidin-3-ol;
 1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)azetidin-3-on;
 6-(Azetidin-1-ylcarbonyl)-2,3-difluor-N-(2-fluor-4-iodphenyl)anilin;
 6-[(3,3-Difluorazetidin-1-yl)carbonyl]-2,3-difluor-N-(2-fluor-4-iodphenyl)anilin;
 1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)-3-(hydroxymethyl)azetidin-3-ol;
 2,3-Difluor-N-(2-fluor-4-iodphenyl)-6-[[3-(methoxy)azetidin-1-yl]carbonyl]anilin;
 1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)-3-(trifluormethyl)azetidin-3-ol;
 1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)-3-prop-2-en-1-ylazetidin-3-ol;
 3-[1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)-3-hydroxyazetidin-3-yl]propan-1,2-diol;
 1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)-3-ethylazetidin-3-ol;
 1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)-3-methylazetidin-3-ol;
 1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)azetidin-2-carbonsäure;
 1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)azetidin-2-yl]methanol;

1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)-3-ethenylazetidin-3-ol;
 1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)azetidin-3-carbonsäure;
 1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)azetidin-3-on-oxim;
 [1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)azetidin-3-yl]methanol;
 1-[1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)-3-hydroxyazetidin-3-yl]ethan-1,2-diol;
 1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)azetidin-3-amin;
 1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)azetidin-3-carboxamid;
 1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)-*N*-hydroxyazetidin-3-carboxamid;
 1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)azetidin-2-carboxamid;
 1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)-*N*-hydroxyazetidin-2-carboxamid;
N-[1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)azetidin-3-yl]methansulfonamid;
N-[1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)azetidin-3-yl]acetamid;
 1,1-Dimethylethyl [1-({3,4-difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)azetidin-3-yl]carbamat;
 1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)-3-(pyrrolidin-1-ylmethyl)azetidin-3-ol;
 3-[(Diethylamino)methyl]-1-({3,4-difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)azetidin-3-ol;
 1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)-3-[(dimethylamino)methyl]azetidin-3-ol;
 1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)-*N*-methyl-*N*-prop-2-en-1-ylazetidin-3-carboxamid;
 1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)-*N*-methylazetidin-3-carboxamid;
N-Butyl-1-({3,4-difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)azetidin-3-carboxamid;
 1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)-*N*-prop-2-en-1-ylazetidin-3-carboxamid;
 1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)-*N*-ethyl-*N*-(2-hydroxyethyl)azetidin-3-carboxamid;
N-[1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)azetidin-3-yl]-2-methylpropanamid;
N-[1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)azetidin-3-yl]formamid;
N-[1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)azetidin-3-yl]-3,4-dihydroxybutanamid;
 Methyl [1-({3,4-difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)azetidin-3-yl]carbamat;
N-Butyl-1-({3,4-difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)azetidin-3-amin;
 1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)-*N,N*-diprop-2-en-1-ylazetidin-3-amin;
 1-({4-[(2-Fluor-4-iodphenyl)amino]-3-thienyl}carbonyl)azetidin-3-amin;
 1-({4-[(2-Fluor-4-iodphenyl)amino]-3-thienyl}carbonyl)azetidin-3-ol;
 1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)-3-[(2*S*)-piperidin-2-yl]azetidin-3-ol;
 1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)-3-[(2*R*)-piperidin-2-yl]azetidin-3-ol;
 1-({3,4-Difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)-3-[2-pyrrolidin-2-yl]azetidin-3-ol;
 3-(Aminomethyl)-1-({3,4-difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)azetidin-3-ol;
 3-[1-Aminoethyl]-1-({3,4-difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)azetidin-3-ol; und
 3-[1-Aminopropyl]-1-({3,4-difluor-2-[(2-fluor-4-iodphenyl)amino]phenyl}carbonyl)azetidin-3-ol.

10. Verbindung oder Zusammensetzung gemäß Ansprüchen 1, 2, 3, 4, 5, 6, 7, 8 oder 9 zur Verwendung gemäß
 Ansprüchen 1, 2, 3, 4, 5, 6, 7, 8 oder 9, wobei die Verbindung der Formel VIa, VIb oder VII aus Folgendem ausgewählt
 ist:

6-Brom-8-ethyl-4-methyl-2-(methylamino)pyrido[2,3-*d*]pyrimidin-7(8*H*)-on;
 6-Brom-8-ethyl-4-methyl-2-[(phenylmethyl)amino]pyrido[2,3-*d*]pyrimidin-7(8*H*)-on;
 6-Brom-8-ethyl-4-methyl-2-(phenylamino)pyrido[2,3-*d*]pyrimidin-7(8*H*)-on;
 8-Ethyl-2-(ethylamino)-4-methyl-6-phenylpyrido[2,3-*d*]pyrimidin-7(8*H*)-on;
 6-Brom-8-ethyl-4-methyl-2-[(1-methylethyl)amino]pyrido[2,3-*d*]pyrimidin-7(8*H*)-on;
 6-Brom-2-[(1,1-dimethylethyl)amino]-8-ethyl-4-methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-on;
 6-Brom-2-(cyclopentylamino)-8-ethyl-4-methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-on;
 8-Ethyl-4-methyl-6-phenyl-2-(phenylamino)pyrido[2,3-*d*]pyrimidin-7(8*H*)-on;
 6-Biphenyl-4-yl-8-ethyl-2-(ethylamino)-4-methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-on;
 6-(2,4-Difluorphenyl)-8-ethyl-2-(ethylamino)-4-methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-on;
 6-(3-Chlor-4-fluorphenyl)-8-ethyl-2-(ethylamino)-4-methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-on;
 8-Ethyl-2-(ethylamino)-4-methyl-6-[4-(methyloxy)phenyl]pyrido[2,3-*d*]pyrimidin-7(8*H*)-on;
 6-(2,4-Dichlorphenyl)-8-ethyl-2-(ethylamino)-4-methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-on;
 6-(3,4-Difluorphenyl)-8-ethyl-2-(ethylamino)-4-methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-on;
 8-Ethyl-2-(ethylamino)-4-methyl-6-[2-(methyloxy)phenyl]pyrido[2,3-*d*]pyrimidin-7(8*H*)-on;
 6-Brom-2-(cyclohexylamino)-8-ethyl-4-methylpyrido[2,3-*d*]pyrimidin-7(8*H*)-on;

6-Brom-8-ethyl-4-methyl-2-[(2-morpholin-4-ylethyl)amino]pyrido[2,3-d]pyrimidin-7(8H)-on;
 6-Brom-8-ethyl-4-methyl-2-[(3-morpholin-4-ylpropyl)amino]pyrido[2,3-d]pyrimidin-7(8H)-on;
 6-Brom-2-[[3-(dimethylamino)propyl]amino]-8-ethyl-4-methylpyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Ethyl-2-(ethylamino)-4-methyl-6-[4-(phenyloxy)phenyl]pyrido[2,3-d]pyrimidin-7(8H)-on;
 6-[2,4-Bis(methyloxy)phenyl]-8-ethyl-2-(ethylamino)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-on;
 6-Brom-8-ethyl-2-[(2-fluorophenyl)amino]-4-methylpyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Ethyl-2-(ethylamino)-6-(3-fluorophenyl)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Ethyl-2-(ethylamino)-6-(2-fluorophenyl)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Ethyl-2-(ethylamino)-4-methyl-6-[3-(trifluormethyl)phenyl]pyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Ethyl-2-(ethylamino)-6-(4-fluorophenyl)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Ethyl-2-(ethylamino)-4-methyl-6-(2-thienyl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Ethyl-2-(ethylamino)-4-methyl-6-[3-(methyloxy)phenyl]pyrido[2,3-d]pyrimidin-7(8H)-on;
 6-(3-Chlorphenyl)-8-ethyl-2-(ethylamino)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-on;
 6-Brom-8-ethyl-4-methyl-2-[[4-(4-methylpiperazin-1-yl)phenyl]amino]pyrido[2,3-d]pyrimidin-7(8H)-on;
 6-(4-Chlorphenyl)-8-ethyl-2-(ethylamino)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Ethyl-2-(ethylamino)-4-methyl-6-(3-thienyl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Ethyl-2-(ethylamino)-4-methyl-6-(4-methyl-2-thienyl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Ethyl-2-(ethylamino)-4-methyl-6-(4-methyl-3-thienyl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 1,1-Dimethylethyl 2-[8-ethyl-2-(ethylamino)-4-methyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-6-yl]-1H-pyrrol-
 1-carboxylat;
 6-Brom-8-ethyl-2-[[4-(4-ethylpiperazin-1-yl)phenyl]amino]-4-methylpyrido[2,3-d]pyrimidin-7(8H)-on;
 6-Brom-8-ethyl-4-methyl-2-[[4-(4-morpholin-4-ylphenyl)amino]pyrido[2,3-d]pyrimidin-7(8H)-on;
 6-Brom-8-ethyl-4-methyl-2-[[4-(4-(phenylmethyl)piperazin-1-yl)phenyl]amino]pyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Ethyl-2-(ethylamino)-4-methyl-6-(1H-pyrrol-2-yl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 6-(5-Chlor-2-thienyl)-8-ethyl-2-(ethylamino)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Ethyl-4-methyl-2-[[4-(4-methylpiperazin-1-yl)phenyl]amino]-6-(2-thienyl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Ethyl-2-(ethylamino)-4-methyl-6-pyrimidin-5-ylpyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Ethyl-2-(ethylamino)-6-(3-fluorpyridin-4-yl)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Ethyl-2-(ethylamino)-6-furan-3-yl-4-methylpyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Ethyl-2-(ethylamino)-4-methyl-6-[1-(phenylmethyl)-1H-pyrazol-4-yl]pyrido[2,3-d]pyrimidin-7(8H)-on;
 6-(3,5-Dimethylisoxazol-4-yl)-8-ethyl-2-(ethylamino)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Ethyl-4-methyl-2-[[4-(4-(phenylmethyl)piperazin-1-yl)phenyl]amino]-6-(2-thienyl)pyrido[2,3-d]pyrimidin-7
 (8H)-on;
 6-Brom-2-(ethylamino)-4-methyl-8-(1-methylethyl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 2-(Ethylamino)-4-methyl-8-(1-methylethyl)-6-(2-thienyl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Ethyl-2-[[4-(4-ethylpiperazin-1-yl)phenyl]amino]-4-methyl-6-(2-thienyl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Ethyl-2-(ethylamino)-6-(1H-indol-6-yl)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Ethyl-2-(ethylamino)-4-methyl-6-(5-phenyl-2-thienyl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 2-(Ethylamino)-6-furan-3-yl-4-methyl-8-(1-methylethyl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 6-Brom-8-ethyl-2-(ethylamino)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Ethyl-2-(ethylamino)-4-methyl-6-phenylpyrido[2,3-d]pyrimidin-7(8H)-on;
 6-Brom-8-ethyl-2-(ethylamino)pyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Ethyl-2-(ethylamino)-4-methyl-6-(1H-pyrazol-5-yl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Ethyl-2-[[4-(4-ethylpiperazin-1-yl)phenyl]amino]-6-furan-3-yl-4-methylpyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Ethyl-2-[[4-(4-ethylpiperazin-1-yl)phenyl]amino]-4-methyl-6-phenylpyrido[2,3-d]pyrimidin-7(8H)-on;
 2-[[4-(4-Ethylpiperazin-1-yl)phenyl]amino]-4-methyl-8-(1-methylethyl)-6-(2-thienyl)pyrido[2,3-d]pyrimidin-7
 (8H)-on;
 8-Ethyl-2-[[4-(4-ethylpiperazin-1-yl)phenyl]amino]-6-(3-fluorophenyl)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-on;
 2-[[4-(4-Ethylpiperazin-1-yl)phenyl]amino]-4-methyl-8-(1-methylethyl)-6-phenylpyrido[2,3-d]pyrimidin-7
 (8H)-on;
 2-[[4-[[2-(Diethylamino)ethyl]oxy]phenyl]amino]-8-ethyl-4-methyl-6-phenylpyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Ethyl-2-[[4-(4-hydroxyphenyl)amino]-4-methyl-6-phenylpyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Cyclohexyl-2-(ethylamino)-4-methyl-6-(2-thienyl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Ethyl-2-[[4-(4-ethylpiperazin-1-yl)phenyl]amino]-4-methyl-6-(1H-pyrazol-5-yl)pyrido[2,3-d]pyrimidin-7
 (8H)-on;
 6-(3,5-Difluorphenyl)-8-ethyl-2-[[4-(4-ethylpiperazin-1-yl)phenyl]amino]-4-methylpyrido[2,3-d]pyrimidin-7
 (8H)-on;
 8-Ethyl-4-methyl-6-phenyl-2-[[4-[(2-piperidin-1-ylethyl)oxy]phenyl]amino]pyrido[2,3-d]pyrimidin-7(8H)-on;

8-Ethyl-4-methyl-2-({4-[(2-morpholin-4-ylethyl)oxy]phenyl}amino)-6-phenylpyrido[2,3-d]pyrimidin-7(8H)-on;
 6-Brom-2-(ethylamino)-4-methyl-8-[3-(methyloxy)propyl]pyrido[2,3-d]pyrimidin-7(8H)-on;
 6-Brom-2-(ethylamino)-8-[2-(ethyloxy)ethyl]-4-methylpyrido[2,3-d]pyrimidin-7(8H)-on;
 6-Brom-2-(ethylamino)-4-methyl-8-(2-piperidin-1-ylethyl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 6-Brom-2-(ethylamino)-8-[3-(ethyloxy)propyl]-4-methylpyrido[2,3-d]pyrimidin-7(8H)-on;
 6-Brom-2-(ethylamino)-4-methyl-8-[3-[(1-methylethyl)oxy]propyl]pyrido[2,3-d]pyrimidin-7(8H)-on;
 6-Brom-2-(ethylamino)-8-(3-hydroxypropyl)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-on;
 6-Brom-2-(ethylamino)-8-(2-hydroxyethyl)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-on;
 6-Brom-8-cyclopropyl-2-(ethylamino)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-on;
 6-Brom-2-{{4-(4-ethylpiperazin-1-yl)phenyl}amino}-4-methyl-8-(1-methylethyl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 2-{{4-(4-Ethylpiperazin-1-yl)phenyl}amino}-4-methyl-8-(1-methylethyl)-6-(1H-pyrazol-5-yl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 6-Acetyl-8-ethyl-2-{{4-(4-ethylpiperazin-1-yl)phenyl}amino}-4-methylpyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Ethyl-2-(ethylamino)-4-methyl-6-(1,3-thiazol-2-yl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 6-Brom-8-cyclopentyl-2-(ethylamino)-4-methylpyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Cyclopentyl-2-(ethylamino)-4-methyl-6-(1H-pyrazol-3-yl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 Cyclopentyl-2-{{4-(4-ethylpiperazin-1-yl)phenyl}amino}-4-methyl-6-(1H-pyrazol-5-yl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 2-(Ethylamino)-4-methyl-8-(1-methylethyl)-6-(1H-pyrazol-5-yl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Ethyl-2-(ethylamino)-4-methyl-6-(1H-pyrazol-1-yl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 2-(Ethylamino)-4-methyl-8-(1-methylethyl)-6-(1H-pyrazol-1-yl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Cyclopentyl-2-(ethylamino)-4-methyl-6-(1H-pyrazol-1-yl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Ethyl-2-{{4-(4-ethylpiperazin-1-yl)phenyl}amino}-4-methyl-6-(1H-pyrazol-1-yl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 2-{{4-(4-Ethylpiperazin-1-yl)phenyl}amino}-4-methyl-8-(1-methylethyl)-6-(1H-pyrazol-1-yl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Cyclopentyl-2-{{4-(4-ethylpiperazin-1-yl)phenyl}amino}-4-methyl-6-(1H-pyrazol-1-yl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Cyclopentyl-2-(ethylamino)-4-methyl-6-(1H-pyrazol-3-yl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 2-(Ethylamino)-4-methyl-8-(1-methylethyl)-6-(1H-pyrazol-3-yl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 1,1-Dimethylethyl 4-{{4-[(6-brom-8-ethyl-4-methyl-7-oxo-7,8-dihydropyrido[2,3-d]pyrimidin-2-yl)amino]phenyl}piperazin-1-carboxylat;
 6-Brom-8-ethyl-4-methyl-2-{{4-(piperazin-1-ylphenyl)amino}pyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Cyclopentyl-2-{{4-(4-ethylpiperazin-1-yl)phenyl}amino}-4-methyl-6-(1H-pyrazol-3-yl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Cyclopentyl-2-{{4-(4-fluorphenyl)amino}-4-methyl-6-(1H-pyrazol-3-yl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 6-Brom-8-ethyl-2-{{4-(4-fluorphenyl)amino}-4-methylpyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Ethyl-4-methyl-6-(1H-pyrazol-5-yl)-2-{{2,2,2-trifluorethyl}amino}pyrido[2,3-d]pyrimidin-7(8H)-on;
 6-Brom-8-cyclopentyl-2-{{4-(hydroxyphenyl)amino}-4-methylpyrido[2,3-d]pyrimidin-7(8H)-on;
 2-Amino-8-ethyl-4-methyl-6-(1H-pyrazol-5-yl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 2-(Ethylamino)-4-methyl-6-(1H-pyrazol-3-yl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 6-Brom-8-cyclopentyl-4-methyl-2-{{4-[(2-piperidin-1-ylethyl)oxy]phenyl}amino}pyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Ethyl-4-methyl-2-(methylamino)-6-(1H-pyrazol-5-yl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Cyclopentyl-4-methyl-2-(phenylamino)-6-(1H-pyrazol-3-yl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 1,1-Dimethylethyl 4-{{4-[[8-cyclopentyl-4-methyl-7-oxo-6-(1H-pyrazol-5-yl)-7,8-dihydropyrido[2,3-d]pyrimidin-2-yl]amino]phenyl}piperazin-1-carboxylat;
 8-Cyclopentyl-4-methyl-2-{{4-(piperazin-1-ylphenyl)amino}-6-(1H-pyrazol-5-yl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 2-Amino-8-cyclopentyl-4-methyl-6-(1H-pyrazol-3-yl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 8-Ethyl-2-{{2-fluorethyl}amino}-4-methyl-6-(1H-pyrazol-5-yl)pyrido[2,3-d]pyrimidin-7(8H)-on;
 2-Amino-4-methyl-8-(1-methylethyl)-6-(1H-pyrazol-3-yl)pyrido[2,3-d]pyrimidin-7(8H)-on; und
 8-Cyclopentyl-4-methyl-2-{{4-[(2-piperidin-1-ylethyl)oxy]phenyl}amino}-6-(1H-pyrazol-3-yl)pyrido[2,3-d]pyrimidin-7(8H)-on.

11. Verbindung oder Zusammensetzung gemäß Ansprüchen 1, 2, 3, 4, 5, 6, 7, 8 oder 9 zur Verwendung gemäß Ansprüchen 1, 2, 3, 4, 5, 6, 7, 8 oder 9, wobei die Verbindung der Formel VIIIa oder VIIIb aus Folgendem ausgewählt ist:

- 4-Chlor-*N*-{3-[(3-chlor-4-piperidin-1-yl)phenyl]amino}-6-methylchinoxalin-2-yl}benzensulfonamid;
N-(3-{[2-(Ethyloxy)phenyl]amino}chinoxalin-2-yl)-4-methylbenzensulfonamid;
N-(3-{[4-Chlor-2,5-bis(methyloxy)phenyl]amino}chinoxalin-2-yl)benzensulfonamid;
N-[3-{[2,5-Bis(methyloxy)phenyl]ethyl}amino]chinoxalin-2-yl}benzensulfonamid;
5 *N*-(3-{[3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)benzensulfonamid;
N-(3-{[3,4,5-Tris(methyloxy)phenyl]amino}chinoxalin-2-yl)benzensulfonamid;
N-[3-{[3-[(Phenylmethyl)oxy]phenyl]amino}chinoxalin-2-yl]benzensulfonamid;
N-(3-{[3-(Phenylloxy)phenyl]amino}chinoxalin-2-yl)benzensulfonamid;
N-[3-(Piperidin-1-ylamino)chinoxalin-2-yl]benzensulfonamid;
10 *N*-(3-{[4-Morpholin-4-ylphenyl]amino}chinoxalin-2-yl)benzensulfonamid;
N-(3-{[3-(Methyloxy)-5-(trifluormethyl)phenyl]amino}chinoxalin-2-yl)benzensulfonamid;
N-(3-{[2,5-Bis(ethyloxy)phenyl]amino}chinoxalin-2-yl)benzensulfonamid;
N-(3-{[3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)-4-methylbenzensulfonamid;
N-(3-{[3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)-3-nitrobenzensulfonamid;
15 *N*-[3-{[2,5-Bis(methyloxy)phenyl]methyl}amino]chinoxalin-2-yl}benzensulfonamid;
N-(3-{[2-Methyl-5-(methyloxy)phenyl]amino}chinoxalin-2-yl)benzensulfonamid;
N-(3-{[3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)naphthalen-2-sulfonamid;
4-(3-Benzensulfonylamino-chinoxalin-2-yl)-piperazin-1-carbonsäure-tert-butylester;
N-[3-(2-Chlor-5-methoxy-phenylamino)-chinoxalin-2-yl]-benzensulfonamid;
20 3-Amino-*N*-(3-{[3,5-bis(methyloxy)phenyl]amino}chinoxalin-2-yl)benzensulfonamid;
N-(3-{[3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)-4-chlorbenzensulfonamid;
N-(3-{[3-{[3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl]amino}sulfonyl}phenyl)acetamid;
N-(3-{[4-Chlor-3-(methyloxy)phenyl]amino}chinoxalin-2-yl)benzensulfonamid;
N-(3-{[4-Fluor-3-(methyloxy)phenyl]amino}chinoxalin-2-yl)benzensulfonamid;
25 *N*-(3-{[2'-(Methyloxy)biphenyl-4-yl]amino}chinoxalin-2-yl)benzensulfonamid;
N-(3-{[5-Methyl-2-(methyloxy)phenyl]amino}chinoxalin-2-yl)benzensulfonamid;
3-Amino-*N*-(3-{[2,5-bis(methyloxy)phenyl]amino}chinoxalin-2-yl)benzensulfonamid;
N-(3-{[2,5-Bis(methyloxy)phenyl]amino}-6,7-dimethylchinoxalin-2-yl)benzensulfonamid;
N-(3-{[3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)-4-brombenzensulfonamid;
30 *N*-(3-{[2-Chlor-5-(methyloxy)phenyl]amino}chinoxalin-2-yl)-3-nitrobenzensulfonamid;
N-(3-{[5-Chlor-2-(methyloxy)phenyl]amino}chinoxalin-2-yl)benzensulfonamid;
N-(3-{[2-(Methyloxy)-5-(trifluormethyl)phenyl]amino}chinoxalin-2-yl)benzensulfonamid;
N-(3-{[2-(Methyloxy)biphenyl-4-yl]amino}chinoxalin-2-yl)benzensulfonamid;
3-Amino-*N*-(3-{[2-chlor-5-(methyloxy)phenyl]amino}chinoxalin-2-yl)benzensulfonamid;
35 *N*-(3-{[3-{[3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl]amino}sulfonyl}phenyl)-*N*-2-, N-2-dimethylgly-
cinamid;
N-(3-{[2,5-Bis(methyloxy)phenyl]amino}-7-methylchinoxalin-2-yl)benzensulfonamid;
N-(3-{[2,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)-4-(methyloxy)benzensulfonamid;
N-(3-{[2,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)-3-brombenzensulfonamid;
40 *N*-(3-{[2,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)-3-fluorbenzensulfonamid;
N-(3-{[3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)-2-fluorbenzensulfonamid;
N-(3-{[3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)-4-(methyloxy)benzensulfonamid;
N-(3-{[3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)-3-brombenzensulfonamid;
N-(3-{[3-{[3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl]amino}sulfonyl}phenyl)-1-methylpiperidin-4-car-
45 boxamid;
N-(3-{[3-{[3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl]amino}sulfonyl}phenyl)-3-piperidin-1-ylpro-
panamid;
N-(3-{[3-{[3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl]amino}sulfonyl}phenyl)-4-(dimethylamino)bu-
tanamid;
50 *N*-(3-{[3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)-3-(hydroxyamino)benzensulfonamid;
N-(3-{[3-{[3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl]amino}sulfonyl}phenyl)-2-morpholin-4-ylacetamid;
N-(3-{[3-{[2-Chlor-5-(methyloxy)phenyl]amino}chinoxalin-2-yl]amino}sulfonyl}-4-methylphenyl)-*N*-2-methyl-
glycinamid;
N-(3-{[3-{[2-Chlor-5-(methyloxy)phenyl]amino}chinoxalin-2-yl]amino}sulfonyl}-4-methylphenyl)-L-alaninamid;
55 *N*-(3-{[3-{[2-Chlor-5-(methyloxy)phenyl]amino}chinoxalin-2-yl]amino}sulfonyl}-4-methylphenyl)-2-methylala-
ninamid;
N-(3-{[3-{[2-Chlor-5-(methyloxy)phenyl]amino}chinoxalin-2-yl]amino}sulfonyl}-4-methylphenyl)-*N*-2-*N*-2-di-
methylglycinamid;

N-(3-[[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino)sulfonyl}phenyl)-D-alaninamid;
N-(3-[[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino)sulfonyl}phenyl)-*N*-2-methylglycinamid;
N-(3-[[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino)sulfonyl}phenyl)-4-methylphenyl)-D-alaninamid;
N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino)sulfonyl}phenyl)-*N*-2-methylglycinamid;
N-(3-[[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino)sulfonyl}phenyl)-L-alaninamid;
N-(3-[[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino)sulfonyl}phenyl)-D-alaninamid;
N-(3-[[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino)sulfonyl}phenyl)-2-methylalaninamid;
N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino)sulfonyl}phenyl)-2-methylalaninamid;
N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino)sulfonyl}-4-methylphenyl)-*N*-2-, *N*-2-dime-
 thylglycinamid;
N-(3-[[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino)sulfonyl}phenyl)-*N*-2-[2-(dimethylamino)
 ethyl]-*N*-2-methylglycinamid;
 (2*S*)-2-Amino-*N*-(3-{[(3-{[2-chlor-5-(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)bu-
 tanamid;
N-(3-{[(3-{[3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-[2-(dimethylamino)
 ethyl]-*N*-2-methylglycinamid;
N-(3-[[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino)sulfonyl}phenyl)-*N*-2-, *N*-2-dimethylgly-
 cinamid;
N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino)sulfonyl}phenyl)-*N*-2-methyl-L-alaninamid;
N-(3-[[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino)sulfonyl}phenyl)glycinamid;
N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino)sulfonyl}phenyl)glycinamid;
N-(2-Chlor-5-[[[3-[[2-chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino)sulfonyl}phenyl)-*N*-2-methylgly-
 cinamid;
 2-(Dimethylamino)-*N*-(3-(*N*-(3-(3-(2-(dimethylamino)acetamido)-5-methoxyphenylamino)chinoxalin-2-yl)sulfa-
 moyl)phenyl)acetamid;
N-(3-[[[3-[[2-Acetyl-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino)sulfonyl}phenyl)-*N*-2-, *N*-2-dimethylgly-
 cinamid;
N-(3-[[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]-3-(formylamino)benzensulfonamid;
N-(3-[[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino)sulfonyl}phenyl)-*N*-2-ethylglycinamid;
N-(5-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino)sulfonyl]-2-methylphenyl)glycinamid;
 2-Azetidin-1-yl-*N*-(3-{[(3-{[2-chlor-5-(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)acet-
 amid;
N-(3-[[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino)sulfonyl}phenyl)-L-prolinamid;
N-(3-[[[3-[[2-Brom-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino)sulfonyl}phenyl)-*N*-2-methylglycinamid;
N-2-, *N*-2-Dimethyl-*N*-(3-{[(3-{[6-(methyloxy)chinolin-8-yl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)gly-
 cinamid;
N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino)sulfonyl}phenyl)-L-alaninamid;
N-(3-{[(3-{[2-Chlor-5-(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-methyl-D-alani-
 namid;
N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino)sulfonyl}phenyl)-L-prolinamid;
N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino)sulfonyl}phenyl)-D-serinamid;
N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino)sulfonyl}phenyl)azetidin-3-carboxamid;
N-(3-[[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino)sulfonyl}phenyl)-*N*-2-, 2-dimethylalani-
 namid;
N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino)sulfonyl}phenyl)-*N*-2-methyl-D-alaninamid;
N-(3-[[[3-[[2-Brom-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino)sulfonyl}phenyl)-*N*-2-, *N*-2-dimethylgly-
 cinamid;
N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino)sulfonyl}phenyl)-*N*-2-propylglycinamid;
N-(3-{[(3-{[2-Chlor-5-(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-methyl-L-alani-
 namid;
N-(5-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino)sulfonyl]-2-methylphenyl)-beta-alaninamid;
N-(3-[[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino)sulfonyl}phenyl)piperidin-3-carboxamid;
N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino)sulfonyl}phenyl)-2-(4-methyl-1,4-diazepan-
 1-yl)acetamid;
 (2*S*)-2-Amino-*N*-(3-{[(3-{[3,5-bis(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)butanamid;
N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino)sulfonyl}phenyl)-*N*-2-(2-hydroxypropyl)gly-
 cinamid;
N-(3-{[(3-{[2-Chlor-5-(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-(2-fluorethyl)gly-

cinamid;
 3-Amino-*N*-(2-[[3,5-bis(methyloxy)phenyl]amino]pyrido[2,3-*b*]pyrazin-3-yl)benzensulfonamid;
N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-[(2-methylpropyl)oxy]
 5 glycynamid;
 1-Amino-*N*-(3-[[3-[[2-chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl)amino]sulfonyl}phenyl)cyclopropan-
 carboxamid;
N-(3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)-3-(formylamino)benzensulfonamid;
N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-(cyclopropylmethyl)
 10 glycynamid;
N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)amino]sulfonyl}phenyl)-*D*-prolinamid;
N-(3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[3-(dimethylamino)
 azetidin-1-yl]acetamid;
N-(3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl)amino]sulfonyl}phenyl)-*D*-prolinamid;
N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)amino]sulfonyl}phenyl)piperidin-2-carboxamid;
 15 *N*-(3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl)amino]sulfonyl}phenyl)morpholin-4-car-
 boxamid;
N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)amino]sulfonyl}phenyl)-2-pyrrolidin-1-ylacetamid;
N-(3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-6-,*N*-6-dimethyl-*L*-ly-
 20 sinamid;
N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-ethyl-*N*-2-methylgly-
 cinamid;
N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(1*H*-imidazol-4-yl)acet-
 amid;
 25 1-Amino-*N*-(3-[[3-[[2-chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl)amino]sulfonyl}phenyl)cyclopentan-
 carboxamid;
N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-(2-methylpropyl)gly-
 cinamid;
N-(3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-ethyl-*N*-2-methyl-
 30 glycynamid;
N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)amino]sulfonyl}phenyl)-1-(1*H*-imidazol-4-ylmethyl)
 azetidin-3-carboxamid;
N-(5-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl)amino]sulfonyl}-2-methylphenyl)-*N*-2-,*N*-2-di-
 methylglycinamid;
N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)amino]sulfonyl}phenyl)-1-ethylazetidin-3-car-
 35 boxamid;
N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-methyl-*N*-(1-methyl-
 pyrrolidin-3-yl)glycinamid;
N-(3-[[2-[[3,5-Bis(methyloxy)phenyl]amino]pyrido[2,3-*b*]pyrazin-3-yl)amino]sulfonyl}phenyl)-*N*-2-[2-(dimethyl-
 amino)ethyl]-*N*-2-methylglycinamid;
 40 *N*-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[(3*S*)-3-hydroxypyrroli-
 din-1-yl]acetamid;
 1-Amino-*N*-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]chinoxalin-2-yl)amino]sulfonyl}phenyl)cyclobutancar-
 boxamid;
N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-butylglycinamid;
 45 *N*-(3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(3-piperidin-1-ylazeti-
 din-1-yl)acetamid;
 3-[(Aminocarbonyl)amino]-*N*-(3-[[3,5-bis(methyloxy)phenyl]amino]chinoxalin-2-yl)benzensulfonamid;
N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)amino]sulfonyl}phenyl)-1-hydroxycyclopropancar-
 boxamid;
 50 *N*-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(2,2-dimethylhydrazino)
 acetamid;
N-(3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)-3-[[[2-(dimethylamino)ethyl]amino]carbonyl]amino]
 benzensulfonamid;
N-(3-[[3-[[3-Fluor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-methylglycinamid;
 55 *N*-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)amino]sulfonyl}phenyl)-2-hydroxyacetamid;
N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)amino]sulfonyl}phenyl)pyridazin-4-carboxamid;
N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)amino]sulfonyl}phenyl)-*N*-2-(1-methylethyl)gly-
 cinamid;

1-Amino-N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)cyclopentancarboxamid;
 1-Amino-N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)cyclopropancarboxamid;
 5 N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[3-(dimethylamino)pyrrolidin-1-yl]acetamid;
 N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)-N-2-[2-(dimethylamino)ethyl]glycinamid;
 10 2-(Dimethylamino)ethyl(3-{{(3-{{(3,5-bis(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)carbamate;
 N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)-1-(cyclopropylmethyl)azetidin-3-carboxamid;
 N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)-N-2-(1,1-dimethylethyl)glycinamid;
 15 N-2-Methyl-N-(3-{{(3-{{(3-(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)glycinamid;
 N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)-1H-imidazol-2-carboxamid;
 N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)isoxazol-5-carboxamid;
 20 N-(3-{{(3-{{(2-Chlor-5-(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)-N-2-(2,2,2-trifluorethyl)glycinamid;
 3-Amino-N-(3-{{(2-methyl-5-(methyloxy)phenyl]amino}chinoxalin-2-yl)benzensulfonamid;
 N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)-3-oxocyclopentancarboxamid;
 25 N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)-6-hydroxypyridin-2-carboxamid;
 N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)-N-2-(3-fluor-4-hydroxyphenyl)glycinamid;
 N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)-1-(furan-2-ylmethyl)azetidin-3-carboxamid;
 30 N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)pyrimidin-5-carboxamid;
 N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)-1H-pyrrol-2-carboxamid;
 N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)-N-2-methyl-N-2-(1-methylethyl)glycinamid;
 35 N-(3-{{(3-{{(3-Fluor-5-(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)-N-2-, N-2-dimethylglycinamid;
 N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)-1H-imidazol-4-carboxamid;
 N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)-N-2-, N-2-diethylglycinamid;
 40 N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)-2-(3-methylisoxazol-5-yl)acetamid;
 N-2-, N-2-Dimethyl-N-(3-{{(3-{{(2-methyl-5-(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)glycinamid;
 N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)-N-2-[(3-hydroxyphenyl)methyl]glycinamid;
 45 N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)-1-methyl-1H-pyrrol-2-carboxamid;
 4-Amino-N-(3-{{(3-{{(3,5-bis(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)tetrahydro-2H-pyran-4-carboxamid;
 50 N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)-2-[4-(methylamino)piperidin-1-yl]acetamid;
 N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)-2-piperidin-1-ylacetamid;
 N-(4-{{(3-{{(3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)-N-2-, N-2-dimethylglycinamid;
 55 N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)-1-methyl-L-prolinamid;
 N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)thiophen-3-carboxamid;
 3-Amino-N-(3-{{(2-chlor-5-hydroxyphenyl]amino}chinoxalin-2-yl)benzensulfonamid;
 N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl]amino}chinoxalin-2-yl)amino]sulfonyl}phenyl)-1-(cyclopropylcarbonyl)

azetidin-3-carboxamid;

N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(4-methylpiperazin-1-yl)acetamid;

N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-1-(phenylmethyl)azetidin-3-carboxamid;

N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-chlorpyridin-3-carboxamid;

N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-pyridin-4-ylacetamid;

N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-methyl-N-2-prop-2-en-1-ylglycinamid;

N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-(phenylmethyl)glycinamid;

N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(methyloxy)acetamid;

N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-1-propanoylazetidin-3-carboxamid;

N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)pyridin-3-carboxamid;

N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-[2-(methyloxy)ethyl]glycinamid;

1-Acetyl-N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)piperidin-4-carboxamid;

N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(2-methylpyrrolidin-1-yl)acetamid;

N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)furan-3-carboxamid;

N-2,N-2-Dimethyl-N-(3-[[3-[[3-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)glycinamid;

N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-6-chlorpyridin-3-carboxamid;

N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-chlorbenzamid;

N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-pyridin-2-ylacetamid;

N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-[3-(dimethylamino)azetidin-1-yl]acetamid;

N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-pyridin-3-ylacetamid;

N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(2-chlorphenyl)acetamid;

N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-[3-(dimethylamino)propyl]-N-2-methylglycinamid;

N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-ethyl-N-2-(2-hydroxyethyl)glycinamid;

N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-[2-(phenylmethyl)pyrrolidin-1-yl]acetamid;

N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)propanamid;

N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)furan-2-carboxamid;

N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-chlorpyridin-4-carboxamid;

N-2-Acetyl-N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)glycinamid;

N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)butanamid;

N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-4-chlorbenzamid;

N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-4-methylbenzamid;

1,1-Dimethylethyl{2-[[3-[[3-[[3,5-bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl]amino]-2-oxoethyl}carbamate;

N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-1,3-benzodioxol-5-carboxamid;

N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-([2-(methyloxy)phenyl]methyl)oxyglycinamid;

N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)pyridin-4-carboxamid;

N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2,N-2-dimethylglycinamid;

N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-[4-(3,4-dichlorphenyl)piperazin-1-yl]acetamid;

N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-3-pyridin-3-ylpropanamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)tetrahydrofuran-3-carboxamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-[(2-methylphenyl)methyl]glycinamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-methylbutanamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(3-fluorophenyl)acetamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-(1-methyl-1-phenylethyl)glycinamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-methylcyclopropanecarboxamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-methyl-4-(methyloxy)benzamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-methylpyridin-3-carboxamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-4-(methyloxy)benzamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(4-ethylpiperazin-1-yl)acetamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)thiophen-2-carboxamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-3-fluor-2-methylbenzamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-bromthiophen-3-carboxamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-4-fluorbenzamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(3-methylpiperidin-1-yl)acetamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-methylpropanamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)pentanamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(ethyloxy)acetamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-(2-fluorophenyl)glycinamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-3-(dimethylamino)benzamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(4-methylpiperidin-1-yl)acetamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-(2-propylphenyl)glycinamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)benzamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)pyrazin-2-carboxamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-3-fluor-4-(methyloxy)benzamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2,2-dimethylbutanamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-[(4-fluorophenyl)oxy]acetamid;
 1-Acetyl-N-(3-[[3-[[3,5-bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)azetidin-3-carboxamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-(4-methylphenyl)glycinamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-phenylglycinamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(4-prop-2-en-1-yl)piperazin-1-yl)acetamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-methylbenzamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-3-(methyloxy)propanamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-3-methylfuran-2-carboxamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2,2-dimethylpropanamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-[(phenylmethyl)oxy]glycinamid;
 N-(3-[[3-[[2-Chlor-5-hydroxyphenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-, N-2-dimethylgly-

cinamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-N-2-(3-chlorophenyl)glycinamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)cyclobutancarboxamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-2-[3-(methyloxy)phenyl]acetamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-1-methylcyclopropancarboxamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-3-fluorbenzamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-4-(dimethylamino)benzamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-3,4-dichlorbenzamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-N-2-[[2-(methylthio)phenyl]methyl]glycinamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-2-(2-fluorophenyl)acetamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-N-2-ethyl-N-2-(1-methylethyl)glycinamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-1,3-thiazol-4-carboxamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-N-2-methyl-N-2-(phenylmethyl)glycinamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-N-2-(2-thienylmethyl)glycinamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-N-2-(pyridin-2-ylmethyl)glycinamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-3-(methyloxy)benzamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-N-2-[[3-chlor-4-methylphenyl]methyl]glycinamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-2-methylpentanamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-2-(4-chlorophenyl)acetamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-3-fluor-4-methylbenzamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-2-[[2-methylphenyl]oxy]acetamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-2-cyclohexylacetamid;

(1R,2R)-N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-2-phenylcyclopropancarboxamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-3-chlorbenzamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-2-[2-(methyloxy)phenyl]acetamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-3-[3-(methyloxy)phenyl]propanamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-N-2-(2-fluor-4-methylphenyl)glycinamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-N-2-[[3-fluorophenyl]methyl]glycinamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-2-[4-(methyloxy)phenyl]acetamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-2-phenylacetamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-2,4-dichlorbenzamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-3-oxocyclohexancarboxamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-N-2-(3-fluorophenyl)glycinamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-2-(3-chlorophenyl)acetamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-N-2-(2-phenylpropyl)glycinamid;

N-(3-{{(3-{{(3,5-Bis(methyloxy)phenyl)amino}chinoxalin-2-yl)amino}sulfonyl}phenyl)-N-2-[(2,4-dimethylphenyl)

methylglycinamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(2-methylpiperidin-1-yl)
 acetamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-[2-(methyloxy)phenyl]
 5 glycinamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(3,4-dihydroisochinolin-2
 (1H)-yl)acetamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)pent-4-enamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-(2-methylphenyl)gly-
 10 cinamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(4-oxopiperidin-1-yl)ace-
 tamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-fluorbenzamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-(1-phenylethyl)gly-
 15 cinamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-fluor-6-(methyloxy)ben-
 zamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-[2-(1-methylethyl)phe-
 nyl]glycinamid;
 20 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-3-[2-(methyloxy)phenyl]
 propanamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-4-methylpentanamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(2-phenylmorpholin-4-yl)
 acetamid;
 25 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-3-[4-(methyloxy)phenyl]
 propanamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-cyclopentyl-N-2-prop-
 2-en-1-ylglycinamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-methyl-N-2-[2-(methy-
 30 loxy)ethyl]glycinamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-4-cyclopropyl-4-oxobu-
 tanamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-[3-(1,1-dimethylethyl)
 phenyl]glycinamid;
 35 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-(cyclopropylme-
 thyl)-N-2-propylglycinamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(2-oxocyclopentyl)acet-
 amid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-(4-chlorophenyl)gly-
 40 cinamid;
 2-(1,4'-Bipiperidin-1'-yl)-N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)
 acetamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(4-cyclopentylpiperazin-
 1-yl)acetamid;
 45 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(2-methylphenyl)acet-
 amid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-[(5-fluor-2-methylphe-
 nyl)methyl]glycinamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-3,3-dimethylbutanamid;
 50 2-(2-Chlorphenylamino)-N-(3-(N-(3-(3,5-dimethoxyphenylamino)chinoxalin-2-yl)sulfamoyl)phenyl)acetamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-5-fluor-2-methylbenzamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-4-fluor-3-methylbenzamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2,3-dichlorbenzamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-(phenyloxy)acetamid;
 55 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-N-2-(2,3-dimethylphenyl)
 glycinamid;
 3-Amino-N-(3-[[3,5-bis(methyloxy)phenyl]amino]pyrido[2,3-b]pyrazin-2-yl)benzensulfonamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}phenyl)-2-fluor-5-methylbenzamid;

N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl)-N-2-[[[4-methylphenyl]methyl]oxy]glycinamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl)-2-[4-(1-methylethyl)piperazin-1-yl]acetamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl)-2-(4-fluorophenyl)acetamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl)-3-methylbutanamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl)-4-methyl-2-(methyloxy)benzamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl)-2-(4-propylpiperidin-1-yl)acetamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl)-2-[[3-methylphenyl]oxy]acetamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl) tetrahydrofuran-2-carboxamid;
 1,1-Dimethylethyl-2-[[[3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl]amino]carbonyl]piperidin-1-carboxylat;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl)-N-2-methyl-N-2-(pyridin-3-ylmethyl)glycinamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl)-N-2-ethyl-N-2-phenylglycinamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl)-2-[[2-(methyloxy)ethyl]oxy]acetamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl)-3-cyclopentylpropanamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl)-2,5-dichlorbenzamid;
 2-(4-Acetyl piperazin-1-yl)-N-(3-[[[3-[[3,5-bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl)acetamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl)-5-fluor-2-(methyloxy)benzamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl)-N-2-cyclohexyl-N-2-ethylglycinamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl)-5-methylisoxazol-3-carboxamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl)-3-methylpyridin-2-carboxamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl)-2-(methyloxy)pyridin-3-carboxamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl)-3,5-dichlorbenzamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl)-2-(1,3-thiazolidin-3-yl)acetamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl)-2-(4-formylpiperazin-1-yl)acetamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl)-2-(methyloxy)benzamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl)-N-2-methyl-N-2-(2-methylpropyl)glycinamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl)-2-(4-formyl-1,4-diazepan-1-yl)acetamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl)-1-phenylcyclopropan-carboxamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl)-2-(2,6-dimethylmorpholin-4-yl)acetamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl)-2-(2-phenylpyrrolidin-1-yl)acetamid;
 N-(3-[[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl)-2-(2,6-dimethylpiperidin-1-yl)acetamid;
 N-{3-[[[3-[[4-Chlorphenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl}-N-2-,N-2-dimethylglycinamid;
 N-{3-[[[3-[[3-Fluorphenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl}-N-2-,N-2-dimethylglycinamid;
 N-{3-[[[3-[[3-Chlorphenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl}-N-2-,N-2-dimethylglycinamid;
 3-[[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-[2-(dimethylamino)-1-methyle-

thyl}benzamid;
 3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-[2-(dimethylamino)ethyl]benza-
 mid;
 5-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-[2-(dimethylamino)ethyl]-2-
 fluorbenzamid;
 3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-pyrrolidin-3-ylbenzamid;
 3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-[2-(dimethylamino)ethyl]benzamid;
 3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-(2-pyrrolidin-1-ylethyl)benza-
 mid;
 N-(2-Aminoethyl)-3-[[3-[[2-chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}benzamid;
 3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-[2-(dimethylamino)ethyl]-N-me-
 thylbenzamid;
 3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-(piperidin-2-ylmethyl)benzamid;
 3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-(1-methylazetidin-3-yl)benza-
 mid;
 3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-(2-piperidin-1-ylethyl)benzamid;
 3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-[2-(diethylamino)ethyl]benza-
 mid;
 3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-[2-(dimethylamino)ethyl]-N-methyl-
 benzamid;
 3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-(1-methylpiperidin-3-yl)benza-
 mid;
 3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-piperidin-3-ylbenzamid;
 3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-[(1-methylpiperidin-2-yl)methyl]
 benzamid;
 N-{2-[Bis(2-hydroxyethyl)amino]ethyl}-3-[[3-[[2-chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfo-
 nyl}benzamid;
 3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-(1-ethylpiperidin-3-yl)benzamid;
 3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}benzamid;
 3-[(3-Aminopyrrolidin-1-yl)carbonyl]-N-(3-[[2-chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl)benzensulfon-
 amid;
 5-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-[2-(dimethylamino)ethyl]-2-(me-
 thyloxy)benzamid;
 N-(3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl)-3-[[3-(methylamino)pyrrolidin-1-yl]carbonyl}ben-
 zensulfonamid;
 3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl}benzoesäure;
 3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-(2-morpholin-4-ylethyl)benza-
 mid;
 3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-[(1-ethylpyrrolidin-2-yl)methyl]
 benzamid;
 3-[(4-Amino-3-oxopyrazolidin-1-yl)carbonyl]-N-(3-[[2-chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl)ben-
 zensulfonamid;
 3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-methylbenzamid;
 3-[(3-Aminoazetidin-1-yl)carbonyl]-N-(3-[[2-chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl)benzensulfon-
 amid;
 3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-(pyridin-3-ylmethyl)benzamid;
 3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-(pyridin-2-ylmethyl)benzamid;
 3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-(2-hydroxyethyl)benzamid;
 3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-(3-oxopyrazolidin-4-yl)benza-
 mid;
 3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-[2-(1H-imidazol-4-yl)ethyl]ben-
 zamid;
 N-(3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl)-3-[[3-(dimethylamino)pyrrolidin-1-yl]carbonyl}ben-
 zensulfonamid;
 3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-(pyridin-4-ylmethyl)benzamid;
 3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-methyl-N-(1-methylpyrrolidin-3-
 yl)benzamid;
 N-(3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl)-3-[[3-(diethylamino)pyrrolidin-1-yl]carbonyl}ben-

zensulfonamid;

3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-1H-pyrrol-1-ylbenzamid;

3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-(3-pyrrolidin-1-ylpropyl)benzamid;

3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-(2-cyanoethyl)-N-methylbenzamid;

3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-[2-(methyloxy)ethyl]benzamid;

3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-(2-cyanoethyl)-N-ethylbenzamid;

3-[[3-Aminopiperidin-1-yl]carbonyl]-N-(3-[[2-chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl)benzensulfonamid;

3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]benzoesäure;

3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-[3-(dimethylamino)propyl]benzamid;

3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-morpholin-4-ylbenzamid;

N-(3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl)-3-[[2,2-dimethylhydrazino]carbonyl]benzensulfonamid;

3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-[3-(1H-imidazol-1-yl)propyl]benzamid;

3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-[3-(diethylamino)propyl]benzamid;

3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-(2-cyanoethyl)benzamid;

MethylN-[[3-[[3-[[2-chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl]carbonyl]-beta-alaninat;

3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-[2-(methylthio)ethyl]benzamid;

3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-[2-(ethylthio)ethyl]benzamid;

3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-[2-(ethylthio)ethyl]benzamid;

3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-[2-(dimethylamino)ethyl]-N-ethylbenzamid;

3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-[3-(2-oxopyrrolidin-1-yl)propyl]benzamid;

3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-(2-pyridin-4-ylethyl)benzamid;

3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-[3-(ethyloxy)propyl]benzamid;

3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-(3-morpholin-4-ylpropyl)benzamid;

3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-[3-(methyloxy)propyl]benzamid;

3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-[3-(propyloxy)propyl]benzamid;

3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-[3-(propyloxy)propyl]benzamid;

EthylN-[[3-[[3-[[2-chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl]carbonyl]-beta-alaninat;

3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-[3-[(1-methylethyl)oxy]propyl]benzamid;

3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-(1,1-dimethyl-2-piperidin-1-ylethyl)benzamid;

3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-methyl-N-propylbenzamid;

3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-piperidin-1-ylbenzamid;

3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-[1-methyl-2-(methyloxy)ethyl]benzamid;

3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-(1,1-dimethyl-2-morpholin-4-ylethyl)benzamid;

N-(3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl)-3-[[2-[(dimethylamino)methyl]piperidin-1-yl]carbonyl]benzensulfonamid;

N-[3-(Butyloxy)propyl]-3-[[3-[[2-chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]benzamid;

3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-[4-(diethylamino)-1-methylbutyl]benzamid;

3-[[3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]-N-(1,1-dimethyl-2-oxo-2-piperidin-1-ylethyl)benzamid;

N-(3-[[2-Chlor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl)-3-[[4-methylpiperazin-1-yl]carbonyl]benzensulfon-

amid;

N-(3-{{2-Chlor-5-(methyloxy)phenyl}amino}chinoxalin-2-yl)-3-{{2-(piperidin-1-ylmethyl)piperidin-1-yl}carbonyl}benzensulfonamid;

N-(3-{{2-Chlor-5-(methyloxy)phenyl}amino}chinoxalin-2-yl)-6-oxo-1,6-dihydropyridin-3-sulfonamid;

N-(3-{{3,5-Bis(methyloxy)phenyl}amino}chinoxalin-2-yl)-6-oxo-1,6-dihydropyridin-3-sulfonamid;

3-Amino-N-(3-{{6-(methyloxy)chinolin-8-yl}amino}chinoxalin-2-yl)benzensulfonamid;

N-(3-{{3,5-Bis(methyloxy)phenyl}amino}chinoxalin-2-yl)thiophen-2-sulfonamid;

N-(3-{{2-Chlor-5-(methyloxy)phenyl}amino}chinoxalin-2-yl)-3-cyanobenzensulfonamid;

N-(3-{{3,5-Bis(methyloxy)phenyl}amino}chinoxalin-2-yl)-3-(methylamino)benzensulfonamid;

N-(2-{{3,5-Bis(methyloxy)phenyl}amino}pyrido[2,3-b]pyrazin-3-yl)-3-nitrobenzensulfonamid;

N-(3-{{2-Chlor-5-(methyloxy)phenyl}amino}chinoxalin-2-yl)-3-(1-{{2-(dimethylamino)ethyl}amino}ethyl)benzen-sulfonamid;

3-Amino-N-(3-{{3-(methyloxy)-5-nitrophenyl}amino}chinoxalin-2-yl)benzensulfonamid;

3-Acetyl-N-(3-{{2-chlor-5-(methyloxy)phenyl}amino}chinoxalin-2-yl)benzensulfonamid;

3-Amino-N-(3-{{3-fluor-5-(methyloxy)phenyl}amino}chinoxalin-2-yl)benzensulfonamid;

N-(3-{{2-Chlor-5-(methyloxy)phenyl}amino}chinoxalin-2-yl)-N'-[2-(dimethylamino)ethyl]benzen-1,3-disulfonamid;

N-(3-{{2-Chlor-5-(methyloxy)phenyl}amino}chinoxalin-2-yl)-N'-[3-(dimethylamino)propyl]benzen-1,3-disulfonamid;

N-(3-{{3,5-Bis(methyloxy)phenyl}amino}chinoxalin-2-yl)-6-chlorpyridin-3-sulfonamid;

N-(3-{{2-Chlor-5-(methyloxy)phenyl}amino}chinoxalin-2-yl)-3-{{5-{{2-(dimethylamino)methyl}-1,3,4-oxadiazol-2-yl}benzensulfonamid;

N-(3-{{3,5-Bis(methyloxy)phenyl}amino}chinoxalin-2-yl)-6-{{2-(dimethylamino)ethyl}amino}pyridin-3-sulfonamid;

3-Amino-N-(3-{{3-amino-5-(methyloxy)phenyl}amino}chinoxalin-2-yl)benzensulfonamid;

N-(3-{{3,5-Bis(methyloxy)phenyl}amino}chinoxalin-2-yl)-3-(dimethylamino)benzensulfonamid;

N-(3-{{3,5-Bis(methyloxy)phenyl}amino}chinoxalin-2-yl)-6-{{2-(dimethylamino)ethyl}oxy}pyridin-3-sulfonamid;

N-(3-{{3,5-Bis(methyloxy)phenyl}amino}chinoxalin-2-yl)-6-(dimethylamino)pyridin-3-sulfonamid;

N-{{3-{{3-{{4-Fluorphenyl}amino}chinoxalin-2-yl}amino)sulfonyl}phenyl}-N-2-,N-2-dimethylglycinamid;

N-(3-{{2-Chlor-6-(methyloxy)pyridin-4-yl}amino}chinoxalin-2-yl)-3-nitrobenzensulfonamid;

N-(3-{{3,5-Bis(methyloxy)phenyl}amino}chinoxalin-2-yl)-4-cyanobenzensulfonamid;

N-(3-{{3,5-Bis(methyloxy)phenyl}amino}chinoxalin-2-yl)-4-fluorbenzensulfonamid;

N-(3-{{3,5-Bis(methyloxy)phenyl}amino}chinoxalin-2-yl)-4-fluor-2-methylbenzensulfonamid;

N-(3-{{3,5-Bis(methyloxy)phenyl}amino}chinoxalin-2-yl)-2-methylbenzensulfonamid;

N-(3-{{3,5-Bis(methyloxy)phenyl}amino}chinoxalin-2-yl)-3-cyanobenzensulfonamid;

N-(3-{{3,5-Bis(methyloxy)phenyl}amino}chinoxalin-2-yl)-3,5-difluorbenzensulfonamid;

N-(3-{{3,5-Bis(methyloxy)phenyl}amino}chinoxalin-2-yl)-2-chlorbenzensulfonamid;

N-(4-{{3-{{3,5-Bis(methyloxy)phenyl}amino}chinoxalin-2-yl)amino)sulfonyl}phenyl)acetamid;

N-(3-{{6-(Methyloxy)chinolin-8-yl}amino}chinoxalin-2-yl)-3-nitrobenzensulfonamid;

N-(3-{{3,5-Bis(methyloxy)phenyl}amino}chinoxalin-2-yl)-3-(2H-tetrazol-5-yl)benzensulfonamid;

N-(3-{{3,5-Bis(methyloxy)phenyl}amino}chinoxalin-2-yl)naphthalen-1-sulfonamid;

3-Nitro-N-[3-(pyridin-4-ylamino)chinoxalin-2-yl]benzensulfonamid;

N-{{3-{{2,6-Dichlorpyridin-4-yl}amino}chinoxalin-2-yl}-3-nitrobenzensulfonamid;

N-{{3-{{2-Chlorpyridin-4-yl}amino}chinoxalin-2-yl}-3-nitrobenzensulfonamid;

N-(3-{{4,6-Bis(methyloxy)pyrimidin-2-yl}amino}chinoxalin-2-yl)-3-nitrobenzensulfonamid;

N-(3-{{4-Hydroxy-6-(methyloxy)pyrimidin-2-yl}amino}chinoxalin-2-yl)-3-nitrobenzensulfonamid;

N-{{3-{{3-{{2-Chlor-5-(methyloxy)phenyl}amino}chinoxalin-2-yl)amino)sulfonyl}-4-methylphenyl}amino}[(dimethylamino)methyliden]-N-methylmethanaminium;

N-(3-{{3,5-Bis(methyloxy)phenyl}amino}chinoxalin-2-yl)-3-fluorbenzensulfonamid;

N-(3-{{2-Brom-5-(methyloxy)phenyl}amino}chinoxalin-2-yl)-3-nitrobenzensulfonamid;

N-(3-{{3,5-Bis(methyloxy)phenyl}amino}chinoxalin-2-yl)-4-[(difluormethyl)oxy]benzensulfonamid;

N-(3-{{3,5-Bis(methyloxy)phenyl}amino}chinoxalin-2-yl)-2-(trifluormethyl)benzensulfonamid;

N-(3-{{3,5-Bis(methyloxy)phenyl}amino}chinoxalin-2-yl)-3-chlor-4-fluorbenzensulfonamid;

N-(3-{{3,5-Bis(methyloxy)phenyl}amino}chinoxalin-2-yl)-4-(trifluormethyl)benzensulfonamid;

N-(3-{{3,5-Bis(methyloxy)phenyl}amino}chinoxalin-2-yl)-3-(methylsulfonyl)benzensulfonamid;

N-(3-{{3,5-Bis(methyloxy)phenyl}amino}chinoxalin-2-yl)-2,5-dichlorthiophen-3-sulfonamid;

N-(3-{{3,5-Bis(methyloxy)phenyl}amino}chinoxalin-2-yl)-3,5-dichlorbenzensulfonamid;

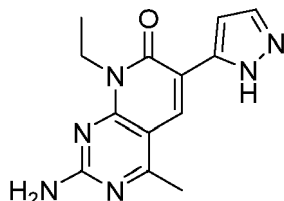
N-(3-{{2-Methyl-5-(methyloxy)phenyl}amino}chinoxalin-2-yl)-3-nitrobenzensulfonamid;

N-(3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)-4-[(trifluormethyl)oxy]benzensulfonamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl)-2-[4-(dimethylamino)pipe-
 ridin-1-yl]acetamid;
 N-(3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)-5-chlor-2-(methyloxy)benzensulfonamid;
 N-(3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)-3-(trifluormethyl)benzensulfonamid;
 N-(3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)-2,5-bis(methyloxy)benzensulfonamid;
 N-(3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)-3,5-dimethylisoxazol-4-sulfonamid;
 N-(3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)-5-brom-2-(methyloxy)benzensulfonamid;
 N-(3-[[3-Fluor-5-(methyloxy)phenyl]amino]chinoxalin-2-yl)-3-nitrobenzensulfonamid;
 N-(3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)-3-fluor-4-methylbenzensulfonamid;
 N-(3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)-3-chlor-4-methylbenzensulfonamid;
 N-(3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)-2,5-dimethylthiophen-3-sulfonamid;
 N-(3-[[3-(Methyloxy)phenyl]amino]chinoxalin-2-yl)-3-nitrobenzensulfonamid;
 N-{3-[(2-Chlor-5-hydroxyphenyl)amino]chinoxalin-2-yl}-3-nitrobenzensulfonamid;
 N-(3-[[3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl)-4-methyl-3-(methyloxy)
 benzamid;
 N-(3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)-1-phenylmethansulfonamid;
 N-(3-[[3-(Methyloxy)-5-nitrophenyl]amino]chinoxalin-2-yl)-3-nitrobenzensulfonamid;
 N-(3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)-1-(3-chlorophenyl)methansulfonamid;
 N-(3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)-4,5-dichlorthiophen-2-sulfonamid;
 N-(3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)-5-chlor-1,3-dimethyl-1H-pyrazol-4-sulfonamid;
 N-(3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)-3,5-bis(trifluormethyl)benzensulfonamid;
 N-{3-[(3-Hydroxyphenyl)amino]chinoxalin-2-yl}-3-nitrobenzensulfonamid;
 3-Nitro-N-[3-[[3-[(trifluormethyl)oxy]phenyl]amino]chinoxalin-2-yl]benzensulfonamid;
 3-Nitro-N-[3-(pyridin-3-ylamino)chinoxalin-2-yl]benzensulfonamid;
 N-[3-(Morpholin-4-ylamino)chinoxalin-2-yl]-3-nitrobenzensulfonamid;
 3-[[3-[[3-Nitrophenyl]sulfonyl]amino]chinoxalin-2-yl]amino]phenyldimethylcarbamate;
 N-{3-[(2-Chlorpyridin-3-yl)amino]chinoxalin-2-yl}-3-nitrobenzensulfonamid;
 3-Nitro-N-[3-(tetrahydro-2H-pyran-4-ylamino)chinoxalin-2-yl]benzensulfonamid;
 N-{3-[(4-Fluorophenyl)amino]chinoxalin-2-yl}benzensulfonamid;
 N-[3-[[3-[(1-Methylethyl)oxy]phenyl]amino]chinoxalin-2-yl]-3-nitrobenzensulfonamid;
 N-{3-[(3-Hydroxy-2-methylphenyl)amino]chinoxalin-2-yl}-3-nitrobenzensulfonamid;
 N-{3-[(2,5-Difluorophenyl)amino]chinoxalin-2-yl}-3-nitrobenzensulfonamid;
 N-[3-[[3-[(Difluormethyl)oxy]phenyl]amino]chinoxalin-2-yl]-3-nitrobenzensulfonamid;
 N-(3-[[2-(Methyloxy)pyridin-3-yl]amino]chinoxalin-2-yl)-3-nitrobenzensulfonamid;
 N-(3-[[3-(Ethyloxy)phenyl]amino]chinoxalin-2-yl)-3-nitrobenzensulfonamid;
 N-{3-[(2,2-Difluor-1,3-benzodioxol-4-yl)amino]chinoxalin-2-yl}-3-nitrobenzensulfonamid;
 N-{3-[[3-[[3-Nitrophenyl]sulfonyl]amino]chinoxalin-2-yl]amino]phenyl}acetamid;
 N-[3-(4-Amino-1H-indol-1-yl)chinoxalin-2-yl]-3-nitrobenzensulfonamid;
 N-[3-(1H-Indol-4-ylamino)chinoxalin-2-yl]-3-nitrobenzensulfonamid;
 N-2,N-2-Dimethyl-N-(3-[[3-[[4-(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl)glycinamid;
 N-[3-(1H-Indazol-6-ylamino)chinoxalin-2-yl]-3-nitrobenzensulfonamid;
 N-{4-(Methyloxy)-3-[[3-[[3-nitrophenyl]sulfonyl]amino]chinoxalin-2-yl]amino]phenyl}acetamid;
 N-{3-[(4-Methylpyridin-3-yl)amino]chinoxalin-2-yl}-3-nitrobenzensulfonamid;
 N-(3-[[2,3-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)-3-nitrobenzensulfonamid;
 N-(3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)-2-cyanobenzensulfonamid;
 3-Nitro-N-[3-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)chinoxalin-2-yl]benzensulfonamid;
 N-[3-(1,3-Benzoxazol-4-ylamino)chinoxalin-2-yl]-3-nitrobenzensulfonamid;
 N-(3-[[2,6-Difluor-3-(methyloxy)phenyl]amino]chinoxalin-2-yl)-3-nitrobenzensulfonamid;
 N-{3-[[3-[[4-Fluor-3-methylphenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl}-N-2-, N-2-dimethylglycinamid;
 N-{3-[[3-[[3,5-Dimethylphenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl}-N-2-, N-2-dimethylglycinamid;
 N-(3-[[3-[[2,4-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl]amino]sulfonyl]phenyl)-N-2-, N-2-dimethylglycina-
 mid;
 N-{3-[(3,5-Dihydroxyphenyl)amino]chinoxalin-2-yl}-3-nitrobenzensulfonamid;
 N-[3-[[3-(2,3-Dihydro-1H-inden-5-ylamino)chinoxalin-2-yl]amino]sulfonyl]phenyl]-N-2-, N-2-dimethylglycina-
 mid;
 N-(3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)-4-[(1-methylethyl)oxy]benzensulfonamid;
 N-(3-[[3,5-Bis(methyloxy)phenyl]amino]chinoxalin-2-yl)biphenyl-4-sulfonamid;

N-[3-({2-Chlor-5-[(difluorméthyl)oxy]phényl}amino)chinoxalin-2-yl]-3-nitrobenzensulfonamid; und

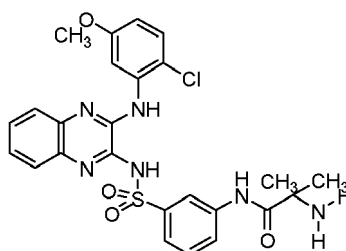
ein pharmazeutisch akzeptables Salz oder Solvat davon.

12. Verbindung oder Zusammensetzung gemäß Ansprüchen 1, 2, 3, 4, 5, 6, 7, 8 oder 9 zur Verwendung gemäß Ansprüchen 1, 2, 3, 4, 5, 6, 7, 8 oder 9, wobei die Verbindung der Formel VIa oder VIb Folgende ist:



2-Amino-8-ethyl-4-méthyl-6-(1*H*-pyrazol-5-yl)pyrido[2,3-*d*]pyrimidin-7(8*H*)-on.

13. Verbindung oder Zusammensetzung gemäß Ansprüchen 1, 2, 3, 4, 5, 6, 7, 8 oder 9 zur Verwendung gemäß Ansprüchen 1, 2, 3, 4, 5, 6, 7, 8 oder 9, wobei die Verbindung der Formel VIIa oder VIIb Folgende ist:

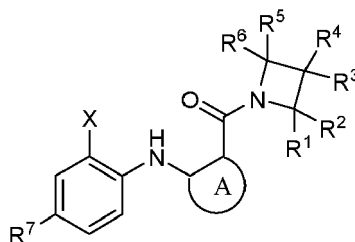


N-(3-({(3-({2-Chlor-5-(méthoxy)phényl}amino)chinoxalin-2-yl}amino)sulfonyl}phényl)-2-méthylalaninamid.

Revendications

- Un composé de Formule Ia, Ic, Id, II, ou V ou une composition pharmaceutiquement acceptable de celui-ci, en combinaison avec un ou des composés choisis dans le groupe consistant en un composé de Formule VIa, VIb, VII, VIIa, VIIb, et
 - Ia 8-éthyl-2-(éthylamino)-4-méthylpyrido [2,3-*d*] pyrimidin-7(8*H*)-one ;
 - Ia 8-éthyl-2-(éthylamino) pyrido [2,3-*d*] pyrimidin-7(8*H*)-one ;
 - Ic 4-méthyl-*N*-(3-morpholin-4-ylquinoxalin-2-yl) benzène sulfonamide ;
 - Ia 5,12-bis [(4-méthylphényl) sulfonyl]-5,12-dihydroquinoxalino [2,3-*b*] quinoxaline ; le *N*-[3-(1*H*-benzimidazol-1-yl) quinoxalin-2-yl] benzène sulfonamide ;
 - Ia 1-(phénylsulfonyl)-3-[4-(pyrrolidin-1-ylsulfonyl) phényl]-2,3-dihydro-1*H*-imidazo [4,5-*b*] quinoxaline ;
 - Ia 1-(phénylsulfonyl)-3-[4-(pipéridin-1-ylsulfonyl) phényl]-2,3-dihydro-1*H*-imidazo [4,5-*b*] quinoxaline ;
 - Ic 2,5-dichloro-*N*-[3-(3,4-dihydroquinolin-1(2*H*)-yl) quinoxalin-2-yl] benzène sulfonamide ;
 - Ic *N*-(4-[[3-(morpholin-4-ylquinoxalin-2-yl) amino] sulfonyl] phényl) acétamide ; le 4-méthyl-*N*-[3-({2-[(trifluorométhyl) thio] phényl} amino) quinoxalin-2-yl] benzène sulfonamide ;
 - Ic *N*-[4-({3-[2-(méthoxy) phényl]-2,3-dihydro-1*H*-imidazo [4,5-*b*] quinoxalin-1-yl} sulfonyl) phényl] acétamide ;
 - Ic l'acide 4-(3-[[4-(acétylamino) phényl] sulfonyl]-2,3-dihydro-1*H*-imidazo [4,5-*b*] quinoxalin-1-yl) benzoïque ;
 - Ic 1-naphtalén-2-yl-3-[(3-nitrophényl) sulfonyl]-2,3-dihydro-1*H*-imidazo [4,5-*b*] quinoxaline ;
 - Ic *N*-[4-({3-[4-(méthoxy) phényl]-2,3-dihydro-1*H*-imidazo [4,5-*b*] quinoxalin-1-yl} sulfonyl) phényl] acétamide ;
 - Ic 1-(3-méthylphényl)-3-[(4-méthylphényl) sulfonyl]-2,3-dihydro-1*H*-imidazo [4,5-*b*] quinoxaline ;
 - Ic *N*-[4-({3-[4-méthylphényl]-2,3-dihydro-1*H*-imidazo [4,5-*b*] quinoxalin-1-yl} sulfonyl)phényl] acétamide ;
 - Ic *N*-[4-({3-phényl-2,3-dihydro-1*H*-imidazo [4,5-*b*] quinoxalin-1-yl} sulfonyl) phényl] acétamide ;
 - Ic *N*-[4-({3-(3-méthylphényl)-2,3-dihydro-1*H*-imidazo [4,5-*b*] quinoxalin-1-yl} sulfonyl)phényl] acétamide ;
 - Ic 1-[4-(méthoxy) phényl]-3-[(4-méthylphényl) sulfonyl]-2,3-dihydro-1*H*-imidazo [4,5-*b*] quinoxaline ;
 - Ic *N*-[4-({3-(2-méthylphényl)-2,3-dihydro-1*H*-imidazo [4,5-*b*] quinoxalin-1-yl} sulfonyl) phényl] acétamide ;

la 1-(3-méthylphényl)-3-[(3-nitrophényl) sulfonyl]-2,3-dihydro-1*H*-imidazo [4,5-*b*] quinoxaline ;
 la 1-(4-méthylphényl)-3-[(3-nitrophényl) sulfonyl]-2,3-dihydro-1*H*-imidazo [4,5-*b*] quinoxaline ;
 le *N*-[3-[(4-méthylphényl) amino] quinoxalin-2-yl]-3-(1*H*-tétrazol-1-yl) benzène sulfonamide ;
 le *N*-(4-[(3-pipéridin-1-ylquinoxalin-2-yl) amino] sulfonyl) phényl) acétamide ;
 le *N*-cyano-*N*-(3-pipéridin-1-ylquinoxalin-2-yl) benzène sulfonamide ;
 le *N*-[3-(3,4-dihydroisoquinolin-2(1*H*)-yl) quinoxalin-2-yl]-2-méthylbenzène sulfonamide ;
 la 1-[(4-chlorophényl) sulfonyl]-3-[4-(pyrrolidin-1-ylsulfonyl) phényl]-2,3-dihydro-1*H*-imidazo [4,5-*b*] quinoxaline ;
 la 1-(4-morpholin-4-ylphényl)-3-(phénylsulfonyl)-2,3-dihydro-1*H*-imidazo [4,5-*b*] quinoxaline ;
 le *N*-[3-bis (phénylméthyl) amino] quinoxalin-2-yl} benzène sulfonamide ;
 le *N*-(3-pipéridin-1-ylquinoxalin-2-yl) benzène sulfonamide ;
 le 4-méthyl-*N*-(3-pipéridin-1-ylquinoxalin-2-yl) benzène sulfonamide ;
 le 3-méthyl-1-(3-[(4-méthylphényl) sulfonyl] amino} quinoxalin-2-yl) pyridinium ;
 le *N*-[3-(4-phénylpipérazin-1-yl) quinoxalin-2-yl] benzène sulfonamide ;
 le *N*-(3-azidoquinoxalin-2-yl) benzène sulfonamide ;
 le *N*-[3-(diméthylamino) quinoxalin-2-yl] benzène sulfonamide ;
 le *N*-[3-{4-[(9-oxo-9*H*-fluorén-1-yl) carbonyl] pipérazin-1-yl} quinoxalin-2-yl) benzène sulfonamide ;
 le *N*-[3-(4-phénylpipérazin-1-yl) quinoxalin-2-yl] benzène sulfonamide ;
 le *N*-[3-[4-(phénylméthyl) pipéridin-1-yl] quinoxalin-2-yl] benzène sulfonamide ;
 le *N*-(3-morpholin-4-ylquinoxalin-2-yl) benzène sulfonamide ;
 le *N*-[3-{[2,5-bis (méthyloxy) phényl] amino} pyrazin-2-yl]-4-chlorobenzène sulfonamide ;
 le *N*-(3-pipérazin-1-ylquinoxalin-2-yl) benzène sulfonamide ;
 ou une composition pharmaceutiquement acceptable de ceux-ci, destiné(e) à être utilisé(e) dans le traitement du cancer,
 où la Formule la est la suivante :



Formule la

et facultativement sous la forme de son sel ou de son solvate pharmaceutiquement acceptable, dans laquelle formule

le cycle A représente un groupe arylène ou hétéroarylène et le cycle A est facultativement substitué par un, deux, trois ou quatre groupes choisis parmi R^{10} , R^{12} , R^{14} , et R^{16} où R^{10} , R^{12} , R^{14} , et R^{16} sont indépendamment l'hydrogène, un C_{1-6} alcanyle, un C_{2-6} alcényle, un C_{2-6} alcynyle, un halo, un haloalcoxy, un hydroxy, un C_{1-6} alcoxy, un amino, un alkylamino, un dialkylamino, un haloalkyle, $-NHS(O)_2R^8$, $-CN$, $-C(O)R^8$, $-C(O)OR^8$, $-C(O)NR^8R^8$ ou $-NR^8C(O)R^8$;

X est un C_{1-20} alkyle, un halo, un haloalkyle, ou un haloalcoxy ;

R^1 , R^2 , R^3 , R^4 , R^5 et R^6 sont indépendamment l'hydrogène, un halo, un nitro, $-NR^8R^8$, $-OR^8$, $-NHS(O)_2R^8$, $-CN$, $-S(O)_mR^8$, $-S(O)_2NR^8R^8$, $-C(O)R^8$, $-C(O)OR^8$, $-C(O)NR^8R^8$, $-NR^8C(O)OR^8$, $-NR^8C(O)NR^8R^8$, $-NR^8C(O)OR^8$, $-NR^8C(O)R^8$, un C_{1-6} alcanyle, un C_{2-6} alcényle, un C_{2-6} alcynyle, un cycloalkyle, un hétéroaryle, ou un hétérocycloalkyle ; où le C_{1-6} alcanyle, le C_{2-6} alcényle, le C_{2-6} alcynyle, le cycloalkyle, l'hétéroaryle, et l'hétérocycloalkyle sont facultativement substitués par un, deux, trois, quatre, cinq, six ou sept groupes indépendamment choisis parmi un halo, un C_{1-6} alcanyle, un haloalkyle, un nitro, un cycloalkyle facultativement substitué, un hétérocycloalkyle facultativement substitué, un aryle facultativement substitué, un arylalkyle facultativement substitué, un hétéroaryle facultativement substitué, $-OR^8$, $-NR^8R^8$, $-NHS(O)_2R^9$, $-CN$, $-S(O)_mR^9$, $-C(O)R^8$, $-C(O)OR^8$, $-C(O)NR^8R^8$, $-NR^8C(O)NR^8R^8$, $-NR^8C(O)OR^8$, et $-NR^8C(O)R^8$; ou

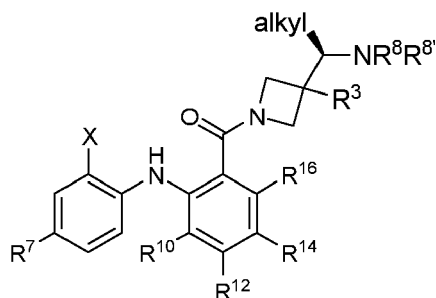
l'un de R^1 et R^2 conjointement avec le carbone auquel ils sont reliés, R^3 et R^4 conjointement avec le carbone auquel ils sont reliés, et R^5 et R^6 conjointement avec le carbone auquel ils sont reliés forment $C(O)$ ou $C(=NOH)$;
 m est 1 ou 2 ;

R^7 est l'hydrogène, un halo ou un C_{1-20} alkyle ;

R^8 , R^8 et R^8 sont indépendamment l'hydrogène, un hydroxy, un C_{1-6} alcoxy, un C_{1-6} alcoxy substitué, un C_{1-6}

alcanyle, un haloalkyle, un C₂₋₆ alcényle, un C₁₋₆ alcynyle, un aryle, un cycloalkyle, un hétéroaryle, ou un hétérocycloalkyle ; où le C₁₋₆ alcanyle, le C₂₋₆ alcényle, le C₂₋₆ alcynyle, l'aryle, le cycloalkyle, l'hétéroaryle, et l'hétérocycloalkyle sont indépendamment facultativement substitués par un, deux, trois, quatre, ou cinq groupes indépendamment choisis parmi un C₁₋₆ alcanyle, un halo, un hydroxy, un hydroxyalkyle, un C₁₋₆ alcoxy, un C₁₋₆ alcoxy substitué, un alcoxyalkyle, un haloalkyle, un carboxy, un ester carboxylique, un nitro, un cyano, -S(O)_nR³¹ (où n est 0, 1, ou 2 et R³¹ est un alkyle, un alkyle substitué, un aryle facultativement substitué, un hétérocycloalkyle facultativement substitué, ou un hétéroaryle facultativement substitué), -NR³⁴SO₂R^{34a} (où R³⁴ est l'hydrogène ou un C₁₋₂₀ alkyle et R^{34a} est un C₁₋₂₀ alkyle, un C₂₋₆ alcényle, un aryle facultativement substitué, un hétérocycloalkyle facultativement substitué, un cycloalkyle facultativement substitué, ou un hétéroaryle facultativement substitué), -SO₂NR³⁵R^{35a} (où R³⁵ est l'hydrogène ou un alkyle et R^{35a} est un C₁₋₂₀ alkyle, un C₂₋₆ alcényle, un aryle facultativement substitué, un hétérocycloalkyle facultativement substitué, un cycloalkyle facultativement substitué, ou un hétéroaryle facultativement substitué), un cycloalkyle facultativement substitué, un hétérocycloalkyle facultativement substitué, un aryle facultativement substitué, un arylalkyle facultativement substitué, un aryloxy, un arylalkyloxy, un hétéroaryle facultativement substitué, -NHC(O)R³² (où R³² est un C₁₋₆ alcanyle, un C₂₋₆ alcényle, un alcoxy, ou un cycloalkyle) et -NR³⁰R^{30'} (où R³⁰ et R^{30'} sont indépendamment l'hydrogène, un C₁₋₂₀ alkyle, ou un hydroxyalkyle), et -C(O)NHR³³ (où R³³ est un C₁₋₆ alcanyle, un C₂₋₆ alcényle, un C₂₋₆ alcynyle, ou un cycloalkyle) ; et R⁹ est un C₁₋₆ alcanyle, un C₂₋₆ alcényle, un C₂₋₆ alcynyle, un aryle, un cycloalkyle, un hétéroaryle, ou un hétérocycloalkyle ; où le C₁₋₆ alcanyle, le C₂₋₆ alcényle, le C₁₋₆ alcynyle, l'aryle, le cycloalkyle, l'hétéroaryle, et l'hétérocycloalkyle sont indépendamment facultativement substitués par un, deux, trois, quatre, ou cinq groupes choisis parmi un C₁₋₆ alcanyle, un halo, un hydroxy, un haloalcoxy, un haloalkyle, un amino, un alkylamino, et un dialkylamino ;

où la Formule Ic est la suivante :



Formule Ic

et facultativement sous la forme de son sel ou de son solvate pharmaceutiquement acceptable, dans laquelle formule

R¹⁰, R¹², R¹⁴, et R¹⁶ sont indépendamment l'hydrogène, un C₁₋₆ alcanyle, un halo, un haloalcoxy, un hydroxy, un C₁₋₆ alcoxy, ou un haloalkyle ;

X est un halo ;

R³ est l'hydrogène, un halo, un nitro, -NR⁸R^{8'}, -OR⁸, -NHS(OhR⁸, -CN, -S(O)_mR⁸, -S(O)₂NR⁸R^{8'}, -C(O)R⁸, -C(O)OR⁸, -C(O)NR⁸R^{8'}, -NR⁸C(O)OR⁸, -NR⁸C(O)NR⁸R^{8''}, -NR⁸C(O)OR⁸, -NR⁸C(O)R^{8'}, un C₁₋₆ alcanyle, un C₂₋₆ alcényle, un C₁₋₆ alcynyle, un cycloalkyle, un hétéroaryle, ou un hétérocycloalkyle ; où le C₁₋₆ alcanyle, le C₂₋₆ alcényle, le C₂₋₆ alcynyle, le cycloalkyle, l'hétéroaryle, et l'hétérocycloalkyle sont facultativement substitués par un, deux, trois, quatre, cinq, six ou sept groupes indépendamment choisis parmi un halo, un C₁₋₆ alcanyle, un haloalkyle, un nitro, un cycloalkyle facultativement substitué, un hétérocycloalkyle facultativement substitué, un aryle facultativement substitué, un arylalkyle facultativement substitué, un hétéroaryle facultativement substitué, -OR⁸, -NR⁸R^{8'}, -NHS(O)₂R⁹, -CN, -S(O)_mR⁹, -C(O)R⁸, -C(O)OR⁸, -C(O)NR⁸R^{8'}, -NR⁸C(O)NR⁸R^{8''}, -NR⁸C(O)OR⁸, et -NR⁸C(O)R^{8'} ;

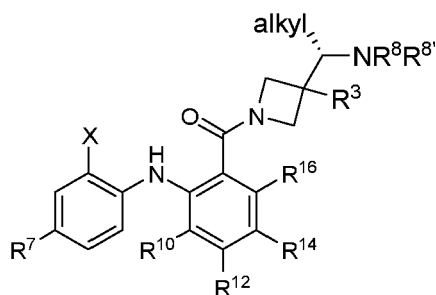
R⁷ est l'hydrogène, un halo ou un C₁₋₂₀ alkyle ;

R⁸, R^{8'} et R^{8''} sont indépendamment l'hydrogène, un hydroxy, un alcoxy, un alcoxy substitué, un C₁₋₆ alcanyle, un haloalkyle, un C₂₋₆ alcényle, un C₂₋₆ alcynyle, un aryle, un cycloalkyle, un hétéroaryle, ou un hétérocycloalkyle ; où le C₁₋₆ alcanyle, le C₂₋₆ alcényle, le C₂₋₆ alcynyle, l'aryle, le cycloalkyle, l'hétéroaryle, et l'hétérocycloalkyle sont indépendamment facultativement substitués par un, deux, trois, quatre, ou cinq groupes indépendamment choisis parmi un C₁₋₆ alcanyle, un halo, un hydroxy, un hydroxyalkyle, un C₁₋₆ alcoxy, un

alcoxy substitué, un alcoxyalkyle, un haloalkyle, un carboxy, un ester carboxylique, un nitro, un cyano, $-S(O)_nR^{31}$ (où n est 0, 1, ou 2 et R^{31} est un alkyle, un alkyle substitué, un aryle facultativement substitué, un hétérocycloalkyle facultativement substitué, ou un hétéroaryle facultativement substitué), $-NR^{34}SO_2R^{34a}$ (où R^{34} est l'hydrogène ou un C_{1-20} alkyle et R^{34a} est un C_{1-20} alkyle, un C_{2-6} alcényle, un aryle facultativement substitué, un hétérocycloalkyle facultativement substitué, un cycloalkyle facultativement substitué, ou un hétéroaryle facultativement substitué), $-SO_2NR^{35}R^{35a}$ (où R^{35} est l'hydrogène ou un alkyle et R^{35a} est un C_{1-20} alkyle, un C_{2-6} alcényle, un aryle facultativement substitué, un hétérocycloalkyle facultativement substitué, un cycloalkyle facultativement substitué, ou un hétéroaryle facultativement substitué), un cycloalkyle facultativement substitué, un hétérocycloalkyle facultativement substitué, un aryle facultativement substitué, un arylalkyle facultativement substitué, un aryloxy, un arylalkyloxy, un hétéroaryle facultativement substitué, $-NHC(O)R^{32}$ (où R^{32} est un C_{1-6} alcanyle, un C_{2-6} alcényle, un alcoxy, ou un cycloalkyle) et $-NR^{30}R^{30'}$ (où R^{30} et $R^{30'}$ sont indépendamment l'hydrogène, un C_{1-20} alkyle, ou un hydroxyalkyle), et $-C(O)NHR^{33}$ (où R^{33} est un C_{1-6} alcanyle, un C_{2-6} alcényle, un C_{2-6} alcynyle, ou un cycloalkyle) ; et

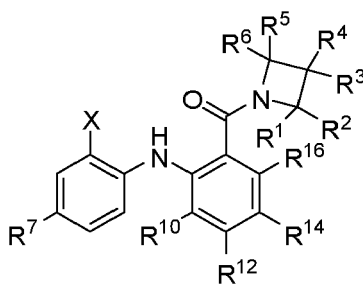
R^9 est un C_{1-6} alcanyle, un C_{2-6} alcényle, un C_{2-6} alcynyle, un aryle, un cycloalkyle, un hétéroaryle, ou un hétérocycloalkyle ; où le C_{1-6} alcanyle, le C_{2-6} alcényle, le C_{2-6} alcynyle, l'aryle, le cycloalkyle, l'hétéroaryle, et l'hétérocycloalkyle sont indépendamment facultativement substitués par un, deux, trois, quatre, ou cinq groupes choisis parmi un C_{1-6} alcanyle, un halo, un hydroxy, un haloalcoxy, un haloalkyle, un amino, un alkylamino, et un dialkylamino ;

où la Formule Id est la suivante :



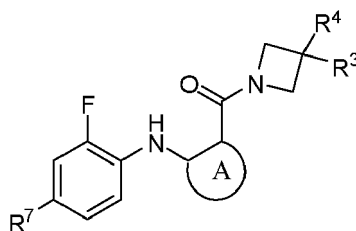
Formule Id

et facultativement sous la forme de son sel ou de son solvate pharmaceutiquement acceptable, dans laquelle formule X, R^7 , R^{10} , R^{12} , R^{14} , R^{16} , R^3 , R^8 , et $R^{8'}$ sont tels que définis ci-dessus pour la Formule Ic ; où la Formule II est la suivante :



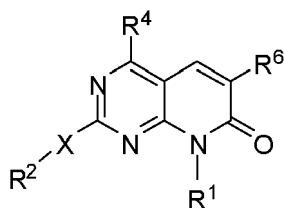
II

et facultativement sous la forme de son sel ou de son solvate pharmaceutiquement acceptable, dans laquelle formule X, R^1 , R^2 , R^3 , R^4 , R^5 , R^6 , R^7 , R^{10} , R^{12} , R^{14} et R^{16} sont tels que définis ci-dessus pour la Formule Ia ; où la Formule V est la suivante :



V

et facultativement sous la forme de son sel ou de son solvate pharmaceutiquement acceptable, dans laquelle formule le cycle A, R³, R⁴, et R⁷ sont tels que définis ci-dessus pour la Formule Ia ; où la Formule VIa est la suivante :



(VIa)

et facultativement sous la forme de son sel ou de son hydrate pharmaceutiquement acceptable, dans laquelle formule

R¹ est l'hydrogène, un C₁-C₆ alkyle facultativement substitué, un C₃-C₇ cycloalkyle facultativement substitué, un aryle facultativement substitué, un hétéroalicyclique facultativement substitué, un alkyle hétéroalicyclique facultativement substitué, un hétéroaryle facultativement substitué ou un hétéroarylalkyle facultativement substitué ;

X est -NR³- ;

R² est l'hydrogène, un C₁-C₆ alkyle facultativement substitué, un C₃-C₇ cycloalkyle, un aryle, un aryl-C₁₋₆ alkyle, un hétéroalicyclique, un hétérocyclalkyle, un hétérocycl-aryl- ou hétéroaryle ; où les groupes cycloalkyle, aryle, aryl-C₁₋₆ alkyle, hétéroalicyclique, hétérocyclalkyle, hétérocycl-aryl-, et hétéroaryle dans R² sont facultativement substitués par 1, 2, 3, ou 4 groupes R⁸ ;

R³ est l'hydrogène ;

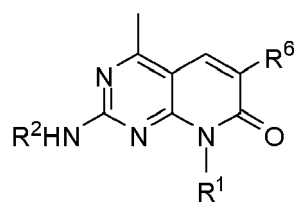
R⁴ est un C₁-C₆ alkyle facultativement substitué ;

R⁶ est un acyle, un phényle, ou un hétéroaryle ; où le phényle, et l'hétéroaryle dans R⁶ sont facultativement substitués par 1, 2, 3, ou 4 groupes R⁹ ;

R⁸ à chaque occurrence est indépendamment un hydroxy, un halo, un haloalkyle, un C₁-C₆ alkyle, un C₁-C₆ alcoxy facultativement substitué, un C₁-C₆ alcoxyalkyle, un C₁-C₆ alcoxyalkylaminoalkyle, un C₁-C₆ alkylcarboxyhétérocyclyle, -O-C₁-C₆ alkylhétérocyclyle, un aminoalkyle, un C₃-C₇ cycloalkyle facultativement substitué, un aryle facultativement substitué, un aryl C₁-C₆ alkyle facultativement substitué, un hétéroalicyclique facultativement substitué, un alkyle hétéroalicyclique facultativement substitué, un hétéroaryle facultativement substitué ou un hétéroarylalkyle facultativement substitué ; et

R⁹ à chaque occurrence est indépendamment un halo, un haloalkyle, un haloalcoxy, un C₁-C₆ alkyle, un C₁-C₆ alcoxy, un C₁-C₆ alcoxyalkyle, un C₁-C₆ carboxyalkyle, un alcoxycarbonyl, un aminoalkyle, un C₃-C₇ cycloalkyle facultativement substitué, un aryle facultativement substitué, un aryl C₁-C₆ alkyle facultativement substitué, un aryloxy facultativement substitué, un hétéroalicyclique facultativement substitué, ou un hétéroaryle facultativement substitué ;

où la Formule VIb est la suivante :



(VIb)

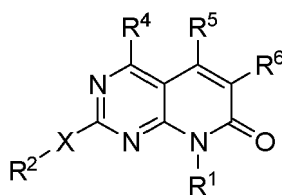
et facultativement son sel ou son solvate pharmaceutiquement acceptable, dans laquelle formule

R¹ est l'hydrogène, un C₁-C₆ alkyle facultativement substitué, un C₃-C₇ cycloalkyle facultativement substitué, un aryle facultativement substitué, un hétéroalicyclique facultativement substitué, un alkyle hétéroalicyclique facultativement substitué, un hétéroaryle facultativement substitué ou un hétéroarylalkyle facultativement substitué ;

R⁶ est un phényle, un acyle, ou un hétéroaryle où le phényle et l'hétéroaryle sont facultativement substitués par 1, 2, 3, ou 4 groupes R⁹ ; et

R⁹ à chaque occurrence est indépendamment un halo, un haloalkyle, un haloalcoxy, un C₁-C₆ alkyle, un C₁-C₆ alcoxy, un C₁-C₆ alcoxyalkyle, un C₁-C₆ carboxyalkyle, un alcoxycarbonyl, un aminoalkyle, un C₃-C₇ cycloalkyle facultativement substitué, un aryle facultativement substitué, un aryl C₁-C₆ alkyle facultativement substitué, un aryloxy, un hétéroalicyclique facultativement substitué, ou un hétéroaryle facultativement substitué ;

où la Formule VII est la suivante :



VII

R¹ est l'hydrogène, un C₁-C₆ alkyle facultativement substitué, un C₃-C₇ cycloalkyle facultativement substitué, un aryle facultativement substitué, un hétéroalicyclique facultativement substitué, un alkyle hétéroalicyclique facultativement substitué, un hétéroaryle facultativement substitué ou un hétéroarylalkyle facultativement substitué ;

X est -NR³- ;

R³ est l'hydrogène ;

R⁴ est un C₁-C₆ alkyle facultativement substitué ;

R⁵ est l'hydrogène ;

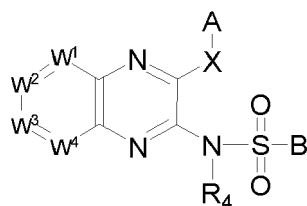
R⁶ est un acyle et R² est un hétérocycl-aryl- facultativement substitué par 1, 2, 3, ou 4 groupes R⁸ ; ou

R⁶ est un halo et R² est un C₁-C₆ alkyle facultativement substitué, un C₃-C₇ cycloalkyle, un phényle, un aryl-C₁-₆ alkyle, un alkyle hétéroalicyclique, ou un hétérocycl-aryl- ; où les groupes C₃-C₇ cycloalkyle, phényle, phényle, aryl-C₁-₆ alkyle, alkyle hétéroalicyclique, et hétérocycl-aryl- dans R² sont facultativement substitués par 1, 2, 3, ou 4 groupes R⁸ ; ou

R⁶ est un phényle facultativement substitué par 1, 2, ou 3 halo ; et R² est un phényle ou un hétérocycl-aryl- ; où les groupes phényle et hétérocycl-aryl- dans R² sont facultativement substitués par 1, 2, 3, ou 4 groupes R⁸ ; ou

R⁶ est un hétéroaryle facultativement substitué par 1, 2, ou 3 halo ; et R² est un hétérocycl-aryl- facultativement substitué par 1, 2, 3, 4, ou 5 groupes R⁸ ; chaque R⁸ dans chaque cas est indépendamment un hydroxy, un halo, un C₁-C₆ alkyle, un haloalkyle, un C₁-C₆ alcoxy facultativement substitué, un C₁-C₆ alcoxyalkyle, un C₁-C₆ alcoxycarbonyl, un C₁-C₆ alcoxyalkylaminoalkyle, -O-C₁-C₆ alkylhétérocyclyle, un aminoalkyle, un C₃-C₇ cycloalkyle facultativement substitué, un aryle facultativement substitué, un aryl C₁-C₆ alkyle facultativement substitué, un hétéroalicyclique facultativement substitué, un alkyle hétéroalicyclique facultativement substitué, un hétéroaryle facultativement substitué ou un hétéroarylalkyle facultativement substitué ;

où la Formule VIIIa est la suivante :



VIIIa

ou son sel ou son solvate pharmaceutiquement acceptable, dans laquelle formule W^1 , W^2 , W^3 , et W^4 sont $-C(R_1)-$ ou W^2 et W^3 sont $-C(R_1)-$ et l'un de W^1 et W^4 est -Net l'autre est $-C(R_1)-$;

X est $-N(R_5)-$;

A est un aryle, un hétéroaryle, ou un hétérocycloalkyle où l'aryle, l'hétéroaryle, et l'hétérocycloalkyle sont facultativement substitués par $(R_2)_{n1}$; ou

B est un aryle, un C_1-C_6 alkylaryle, un hétéroaryle, ou un hétérocycloalkyle, où l'aryle, le C_1-C_6 alkyle, l'hétéroaryle, et l'hétérocycloalkyle sont indépendamment facultativement substitués par $(R_3)_{n2}$;

n_1 est 0, 1, 2, ou 3 ;

n_2 est un nombre entier allant de 1 à 5 ;

chaque R_1 est indépendamment l'hydrogène, un C_1-C_6 alkyle, un haloalkyle, un C_1-C_6 alcoxy, un haloalcoxy, ou -non ;

chaque R_2 (quand R_2 est présent) est indépendamment un C_1-C_6 alcanyle, un C_1-C_6 alcényle, $-OR_6$, $-N(R_7)-C(O)-R_6$, $-N(R_7)-C(O)-C_0-C_6$ alkyl- $N(R_7b)R_{7a}$, $-OC(O)-C_0-C_6$ alkyl- $N(R_7)R_{7a}$, $-C_0-C_6$ alkyl- $C(O)R_6$, un hétérocycloalkyle, un aryle, un halo, $-NO_2$, ou $-C_0-C_6$ alkyl- $N(R_7)R_{7a}$, où chaque groupe alkyle, aryle, et hétérocycloalkyle, chacun étant considéré soit seul soit comme partie d'un autre groupe à l'intérieur de R_2 , est indépendamment facultativement substitué par un, deux, trois, quatre, ou cinq groupes choisis parmi un C_1-C_6 alkyle, un C_1-C_6 alcoxy, un halo, un haloalkyle, et un haloalcoxy ;

chaque R_3 (quand R_3 est présent) est indépendamment un hydroxy, $-NO_2$, un halo, $-CN$, un C_1-C_6 alcanyle, un C_2-C_6 alcényle, un C_1-C_6 alcoxy, $-C_0-C_6$ alkyl- $N(R_7)C(O)-C_0-C_6$ alkyl- $N(R_7b)R_{7a}$, $-C_0-C_6$ alkyl- $N(R_7)C(O)-C_0-C_6$ alkyl- $N(R_7b)C(O)R_{7a}$, $-C_0-C_6$ alkyl- $C(O)N(R_7)-C_0-C_6$ alkyl- $N(R_7)R_{7a}$, $-C_0-C_6$ alkyl- $C(O)N(R_7)-C_1-C_6$ alkyl- $C(O)OR_{7a}$, $-C_0-C_6$ alkyl- $N(R_7)-C(O)-C_0-C_6$ alkyl- (R_7) , $-C_0-C_6$ alkyl- $N(R_7)-C_0-C_6$ alkyl- $N(R_7)R_{7a}$, $-C_0-C_6$ alkyl- $N(R_7)C(O)-C_0-C_6$ alkyl- $N(R_7b)-C_0-C_6$ alkyl- $N(R_7c)R_{7a}$, $-C_0-C_6$ alkyl- $N(R_7)C(O)-C_0-C_6$ alkyl- $N(R_7b)R_{7a}$, $-C_0-C_6$ alkyl- $N(R_7)-C_0-C_6$ alkyl- $C(=N(R_7b)(R_7a))(NR_7cR_{7d})$, un $-C_0-C_6$ alkyl-hétéroaryle, $-C_0-C_6$ alkyl- OR_6 , $-C_0-C_6$ alkyl- $C(O)OR_6$, $-C_0-C_6$ alkyl- $N(R_7)R_{7a}$, $-C_0-C_6$ alkyl- $C(O)-NR_7R_{7a}$, $-C_0-C_6$ alkyl- $C(O)-R_7$, $-S(O)_2R_7$, $-SO_2N(R_7)-C_0-C_6$ alkyl- $N(R_7)R_{7a}$, $-C_0-C_6$ alkyl- $C(O)-$ hétérocycloalkyle (contretype (« dupe ») de $C(O)R_7$), $-C_0-C_6$ alkyl- $C(O)N(R_7)-C_0-C_6$ alkyl-hétérocycloalkyle, $-C_0-C_6$ alkyl- $N(R_7)C(O)-C_0-C_6$ alkyl-cycloalkyle, $-C_0-C_6$ alkyl- $N(R_7)-C(O)-C_0-C_6$ alkyl-aryle, $-C_0-C_6$ alkyl- $N(R_7)-C(O)-C_0-C_6$ alkyl-hétéroaryle, $-C_0-C_6$ alkyl- $N(R_7)C(O)-C_0-C_6$ alkyl-hétérocycloalkyle, $-C_0-C_6$ alkyl- $N(R_7)C(O)-C_0-C_6$ alkyl-hétérocycloalkyl-aryle, ou $-N(R_7)C(O)R_{7a}$, où chacun des groupes alkyle, alcanyle, alcényle, cycloalkyle, aryle, alcoxy, hétérocycloalkyle, et hétéroaryle, considéré soit seul soit comme partie d'un autre groupe à l'intérieur de R_3 , est indépendamment facultativement substitué par 1, 2, 3, 4, ou 5 groupes choisis parmi un C_1-C_6 alcanyle, un C_1-C_6 alcényle, un cycloalkyle, un halo, $-C(O)-R_6$, un oxo, un hydroxy, $-C_0-C_6$ alkyl- $N(R_8)R_{8a}$, un $-C_0-C_6$ alkyl-hétérocycloalkyle, un $-C_0-C_6$ alkyl-aryle, un $-C_0-C_6$ alkyl-hétéroaryle, $-C(O)OR_6$, et un hydroxyalkyle ;

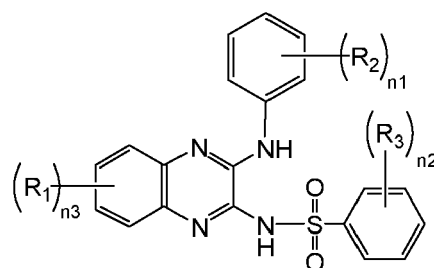
R_4 est l'hydrogène ;

R_5 est l'hydrogène ;

R_6 et R_9 sont indépendamment l'hydrogène, un C_1-C_6 alkyle, un aryle, un arylalkyle, ou un cycloalkyle, où chacun des $-C_1-C_6$ alkyle, aryle, arylalkyle, et cycloalkyle est indépendamment facultativement substitué par 1, 2, 3, 4, ou 5 groupes choisis parmi un C_1-C_6 alcoxy, un C_1-C_6 alkyle, et un halo ; et

R_7 , R_{7a} , R_{7b} , R_{7c} , et R_{7d} sont indépendamment l'hydrogène, un $-C_1-C_6$ alcanyle, un $-C_1-C_6$ alcényle, $-OH$, $-O-C_1-C_6$ alcanyle, $-O-C_1-C_6$ alcényle, $-O-C_0-C_6$ alkyl-aryle, un aryle, un arylalkyle, un hétéroaryle, un hétéroarylalkyle, un cycloalkyle, un cycloalkylalkyle, un hétérocycloalkyle, ou un hétérocycloalkylalkyle, où chacun des alkyle, aryle, hétéroaryle, et hétérocycloalkyle, considéré soit seul soit comme partie d'un autre groupe à l'intérieur de R_7 , R_{7a} , R_{7b} , R_{7c} , et R_{7d} , est indépendamment facultativement substitué par 1, 2, 3, 4, ou 5 $-NH_2$, alkylamino, dialkylamino, $-S-C_1-C_6$ alkyle, $-CN$, un hydroxy, un oxo, un C_1-C_6 alcoxy, un C_1-C_6 alkyle, ou un halo ;

et où la Formule VIIIb est la suivante :



VIIIb

ou son sel ou son solvate pharmaceutiquement acceptable, dans laquelle formule n1 est un ou deux ; et n2 est un ou deux ; n3 est 0, 1, ou deux ;

chaque R₁ est indépendamment l'hydrogène, un C₁-C₆ alkyle, un haloalkyle, un C₁-C₆ alcoxy, un haloalcoxy, -NO₂, un halo, un hydroxy, un hydroxyalkyle, -CN, un cyanoalkyle, ou -C₀-C₆ alkyl-N(R₁₀)R_{10a} où R₁₀ et R_{10a} sont indépendamment l'hydrogène, un -C₁-C₆ alkyle, -OH, -O-C₁-C₆ alkyle, un haloalkyle, ou un haloalcoxy ; chaque R₂ (quand R₂ est présent) est indépendamment un C₁-C₆ alcanyle, un C₁-C₆ alcényle, -OR₆, -N(R₇)-C(O)-R₆, -N(R₇)-C(O)-C₀-C₆ alkyl-N(R_{7b})R_{7a}, -OC(O)-C₀-C₆ alkyl-N(R₇)R_{7a}, -C₀-C₆ alkyl-C(O)R₆, un hétérocycloalkyle, un aryle, un halo, -NO₂, ou -C₀-C₆ alkyl-N(R₇)R_{7a}, où chaque groupe alkyle, aryle, et hétérocycloalkyle, chacun étant considéré soit seul soit comme partie d'un autre groupe à l'intérieur de R₂, est indépendamment facultativement substitué par un, deux, trois, quatre, ou cinq groupes choisis parmi un C₁-C₆ alkyle, un C₁-C₆ alcoxy, un halo, un haloalkyle, et un haloalcoxy ;

chaque R₃ (quand R₃ est présent) est indépendamment un hydroxy, -NO₂, un halo, -CN, un C₁-C₆ alcanyle, un C₂-C₆ alcényle, un C₁-C₆ alcoxy, -C₀-C₆ alkyl-N(R₇)C(O)-C₀-C₆ alkyl-N(R_{7b})R_{7a}, -C₀-C₆ alkyl-N(R₇)C(O)-C₀-C₆ alkyl-N(R_{7b})C(O)R_{7a}, -C₀-C₆ alkyl-C(O)N(R₇)-C₀-C₆ alkyl-N(R₇)R_{7a}, -C₀-C₆ alkyl-C(O)N(R₇)-C₁-C₆ alkyl-C(O)OR_{7a}, -C₀-C₆ alkyl-N(R₇)-C(O)-C₀-C₆ alkyl-(R₇), -C₀-C₆ alkyl-N(R₇)-C₀-C₆ alkyl-N(R₇)R_{7a}, -C₀-C₆ alkyl-N(R₇)C(O)-C₀-C₆ alkyl-N(R_{7b})-C₀-C₆ alkyl-N(R_{7c})R_{7a}, -C₀-C₆ alkyl-N(R₇)C(O)-C₀-C₆ alkyl-N(R_{7b})R_{7a}, -C₀-C₆ alkyl-N(R₇)-C₀-C₆ alkyl-C(=N(R_{7b})(R_{7a}))(NR_{7c}R_{7d}), un -C₀-C₆ alkyl-hétéroaryle, -C₀-C₆ alkyl-OR₆, -C₀-C₆ alkyl-C(O)OR₆, -C₀-C₆ alkyl-N(R₇)R_{7a}, -C₀-C₆ alkyl-C(O)-NR₇R_{7a}, -C₀-C₆ alkyl-C(O)-R₇, -S(O)₂R₇, -SO₂N(R₇)-C₀-C₆ alkyl-N(R₇)R_{7a}, -C₀-C₆ alkyl-C(O)-hétérocycloalkyle « Dupe » (contretypé de C(O)R₇), -C₀-C₆ alkyl-C(O)N(R₇)-C₀-C₆ alkyl-hétérocycloalkyle, -C₀-C₆ alkyl-N(R₇)C(O)-C₀-C₆ alkyl-cycloalkyle, -C₀-C₆ alkyl-N(R₇)-C(O)-C₀-C₆ alkyl-aryle, -C₀-C₆ alkyl-N(R₇)-C(O)-C₀-C₆ alkyl-hétéroaryle, -C₀-C₆ alkyl-N(R₇)C(O)-C₀-C₆ alkyl-hétérocycloalkyle, -C₀-C₆ alkyl-N(R₇)C(O)-C₀-C₆ alkyl-hétérocycloalkyl-aryle, ou -N(R₇)C(O)R_{7a}, où chacun des groupes alkyle, alcanyle, alcényle, cycloalkyle, aryle, alcoxy, hétérocycloalkyle, et hétéroaryle, considéré soit seul soit comme partie d'un autre groupe à l'intérieur de R₃, est indépendamment facultativement substitué par 1, 2, 3, 4, ou 5 groupes choisis parmi un C₁-C₆ alcanyle, un C₁-C₆ alcényle, un cycloalkyle, un halo, -C(O)-R₆, un oxo, un hydroxy, -C₀-C₆ alkyl-N(R₈)R_{8a}, un -C₀-C₆ alkyl-hétérocycloalkyle, un -C₀-C₆ alkyl-aryle, un -C₀-C₆ alkyl-hétéroaryle, -C(O)OR₆, et un hydroxyalkyle ;

R₄ est l'hydrogène ;

R₅ est l'hydrogène ;

R₆ est l'hydrogène, un C₁-C₆ alkyle, un aryle, un arylalkyle, ou un cycloalkyle, où chacun des -C₁-C₆ alkyle, aryle, arylalkyle, et cycloalkyle est indépendamment facultativement substitué par 1, 2, 3, 4, ou 5 groupes choisis parmi un C₁-C₆ alcoxy, un C₁-C₆ alkyle, et un halo ; et

R₇, R_{7a}, R_{7b}, R_{7c}, et R_{7d} sont indépendamment l'hydrogène, un -C₁-C₆ alcanyle, un -C₁-C₆ alcényle, -OH, -O-C₁-C₆ alcanyle, -O-C₁-C₆ alcényle, -O-C₀-C₆ alkyl-aryle, un aryle, un arylalkyle, un hétéroaryle, un hétéroarylalkyle, un cycloalkyle, un cycloalkylalkyle, un hétérocycloalkyle, ou un hétérocycloalkylalkyle, où chacun des alkyle, aryle, hétéroaryle, et hétérocycloalkyle, considéré soit seul soit comme partie d'un autre groupe à l'intérieur de R₇, R_{7a}, R_{7b}, R_{7c}, et R_{7d}, est indépendamment facultativement substitué par 1, 2, 3, 4, ou 5 -NH₂, alkylamino, dialkylamino, -S-C₁-C₆ alkyle, -CN, un hydroxy, un oxo, un C₁-C₆ alcoxy, un C₁-C₆ alkyle, ou un halo.

- Le composé ou la composition de la revendication 1 destiné(e) à être utilisé(e) selon la revendication 1, le cancer étant un mélanome, le cancer de la vessie, le cancer de Wilm, le cancer de l'ovaire, le cancer du pancréas, le cancer stromal gastro-intestinal, le cancer du sein, le cancer de la prostate, le cancer des os, le cancer du poumon à petites cellules, le cancer du poumon non à petites cellules, le cancer colorectal, le cancer cervical, le cancer de l'endomètre,

le sarcome synovial, des tumeurs sécrétant des peptides intestinaux vasoactifs, la leucémie myélogène aiguë (LMA), la leucémie lymphocytaire aiguë (LLA), la leucémie lymphoblastique aiguë associée au chromosome de Philadelphie (Ph+ LLA), la leucémie lymphocytaire chronique (LLC), ou la leucémie myélogène chronique (LMC).

3. Le composé ou la composition de la revendication 2 destiné(e) à être utilisé(e) selon la revendication 2, le cancer étant un mélanome.
4. Le composé ou la composition de la revendication 2 destiné(e) à être utilisé(e) selon la revendication 2, le cancer étant en outre **caractérisé en ce qu'il** possède une mutation dans le gène B-RAF.
5. Le composé ou la composition de la revendication 4 destiné(e) à être utilisé(e) selon la revendication 4, la mutation dans B-RAF étant une mutation activatrice.
6. Le composé ou la composition de la revendication 2 destiné(e) à être utilisé(e) selon la revendication 2, le cancer étant en outre **caractérisé en ce qu'il** possède une mutation dans le gène PTEN.
7. Le composé ou la composition de la revendication 6 destiné(e) à être utilisé(e) selon la revendication 6, la mutation éliminant la fonction du PTEN.
8. Le composé ou la composition de la revendication 7 destiné(e) à être utilisé(e) selon la revendication 7, le cancer contenant aussi une mutation dans le gène B-RAF et la mutation étant une mutation activatrice.
9. Le composé ou la composition des revendications 1, 2, 3, 4, 5, 6, 7, ou 8 destiné(e) à être utilisé(e) selon les revendications 1, 2, 3, 4, 5, 6, 7, ou 8, le composé de Formule Ia, Ic, Id, II ou V étant choisi parmi :

le 1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl) azétidin-3-ol ;
 la 1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl) azétidin-3-one ;
 la 6-(azétidin-1-ylcarbonyl)-2,3-difluoro-*N*-(2-fluoro-4-iodophényl) aniline ;
 la 6-[(3,3-difluoroazétidin-1-yl) carbonyl]-2,3-difluoro-*N*-(2-fluoro-4-iodophényl) aniline ;
 le 1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl)-3-(hydroxyméthyl) azétidin-3-ol ;
 la 2,3-difluoro-*N*-(2-fluoro-4-iodophényl)-6-[(3-(méthoxy) azétidin-1-yl) carbonyl] aniline ;
 le 1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl)-3-(trifluorométhyl) azétidin-3-ol ;
 le 1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl)-3-prop-2-én-1-ylazétidin-3-ol ;
 le 3-[1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl)-3-hydroxyazétidin-3-yl] propane-1,2-diol ;
 le 1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl)-3-éthylazétidin-3-ol ;
 le 1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl)-3-méthylazétidin-3-ol ;
 l'acide 1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl) azétidine-2-carboxylique ;
 le 1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl) azétidin-2-yl] méthanol ;
 le 1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl)-3-éthénylazétidin-3-ol ;
 l'acide 1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl) azétidine-3-carboxylique ;
 le 1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl) azétidin-3-one oxime ;
 le 1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl) azétidin-3-yl] méthanol ;
 le 1-[1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl)-3-hydroxyazétidin-3-yl] éthane-1,2-diol ;
 la 1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl) azétidin-3-amine ;
 le 1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl) azétidine-3-carboxamide ;
 le 1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl)-*N*-hydroxyazétidine-3-carboxamide ;
 le 1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl) azétidine-2-carboxamide ;
 le 1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl)-*N*-hydroxyazétidine-2-carboxamide ;
 le *N*-[1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl) azétidin-3-yl] méthane sulfonamide ;
 le *N*-[1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl) azétidin-3-yl] acétamide ;
 le 1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl) azétidin-3-yl] carbamate de 1,1-diméthyléthyle ;
 le 1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl)-3-(pyrrolidin-1-ylméthyl) azétidin-3-ol ;
 le 3-[(diéthylamino) méthyl]-1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl) azétidin-3-ol ;
 le 1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl)-3-[(diméthylamino) méthyl] azétidin-3-ol ;
 le 1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl)-*N*-méthyl-*N*-prop-2-én-1-ylazétidine-3-

carboxamide ;

le 1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl)-*N*-méthylazétidine-3-carboxamide ;

le *N*-butyl-1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl) azétidine-3-carboxamide ;

le 1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl)-*N*-prop-2-én-1-ylazétidine-3-carboxamide ;

le 1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl)-*N*-éthyl-*N*-(2-hydroxyéthyl) azétidine-3-carboxamide ;

le *N*-[1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl) azétidin-3-yl]-2-méthylpropanamide ;

le *N*-[1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl) azétidin-3-yl] formamide ;

le *N*-[1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl) azétidin-3-yl]-3,4-dihydroxybutanamide ;

le [1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl) azétidin-3-yl] carbamate de méthyle ;

la *N*-butyl-1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl) azétidin-3-amine ;

la 1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl)-*N,N*-diprop-2-én-1-ylazétidin-3-amine ;

la 1-({4-[(2-fluoro-4-iodophényl) amino]-3-thiényl} carbonyl) azétidin-3-amine ;

le 1-({4-[(2-fluoro-4-iodophényl) amino]-3-thiényl} carbonyl) azétidin-3-ol ;

le 1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl)-3-[(2*S*)-pipéridin-2-yl] azétidin-3-ol ;

le 1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl)-3-[(2*R*)-pipéridin-2-yl] azétidin-3-ol ;

le 1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl} carbonyl)-3-[2-pyrrolidin-2-yl] azétidin-3-ol ;

le 3-(aminométhyl)-1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl}-carbonyl) azétidin-3-ol ;

le 3-[1-aminoéthyl]-1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl}-carbonyl) azétidin-3-ol ; et

le 3-[1-aminopropyl]-1-({3,4-difluoro-2-[(2-fluoro-4-iodophényl) amino] phényl}-carbonyl) azétidin-3-ol.

10. Le composé ou la composition des revendications 1, 2, 3, 4, 5, 6, 7, 8, ou 9 destiné(e) à être utilisé(e) selon les revendications 1, 2, 3, 4, 5, 6, 7, 8 ou 9, le composé de Formule VIa, VIb ou VII étant choisi parmi :

la 6-bromo-8-éthyl-4-méthyl-2-(méthylamino) pyrido [2,3-*d*] pyrimidin-7(8H)-one ;

la 6-bromo-8-éthyl-4-méthyl-2-[(phénylméthyl) amino] pyrido [2,3-*d*] pyrimidin-7(8H)-one ;

la 6-bromo-8-éthyl-4-méthyl-2-(phénylamino) pyrido [2,3-*d*] pyrimidin-7(8H)-one ;

la 8-éthyl-2-(éthylamino)-4-méthyl-6-phénylpyrido [2,3-*d*] pyrimidin-7(8H)-one ;

la 6-bromo-8-éthyl-4-méthyl-2-[(1-méthyléthyl) amino] pyrido [2,3-*d*] pyrimidin-7(8H)-one ;

la 6-bromo-2-[(1,1-diméthyléthyl) amino]-8-éthyl-4-méthylpyrido [2,3-*d*] pyrimidin-7(8H)-one ;

la 6-bromo-2-(cyclopentylamino)-8-éthyl-4-méthylpyrido [2,3-*d*] pyrimidin-7(8H)-one ;

la 8-éthyl-4-méthyl-6-phényl-2-(phénylamino) pyrido [2,3-*d*] pyrimidin-7(8H)-one ;

la 6-biphényl-4-yl-8-éthyl-2-(éthylamino)-4-méthylpyrido [2,3-*d*] pyrimidin-7(8H)-one ;

la 6-(2,4-difluorophényl)-8-éthyl-2-(éthylamino)-4-méthylpyrido [2,3-*d*] pyrimidin-7(8H)-one ;

la 6-(3-chloro-4-fluorophényl)-8-éthyl-2-(éthylamino)-4-méthylpyrido [2,3-*d*] pyrimidin-7(8H)-one ;

la 8-éthyl-2-(éthylamino)-4-méthyl-6-[4-(méthyloxy) phényl] pyrido [2,3-*d*] pyrimidin-7(8H)-one ;

la 6-(2,4-dichlorophényl)-8-éthyl-2-(éthylamino)-4-méthylpyrido [2,3-*d*] pyrimidin-7(8H)-one ;

la 6-(3,4-difluorophényl)-8-éthyl-2-(éthylamino)-4-méthylpyrido [2,3-*d*] pyrimidin-7(8H)-one ;

la 8-éthyl-2-(éthylamino)-4-méthyl-6-[2-(méthyloxy) phényl] pyrido [2,3-*d*] pyrimidin-7(8H)-one ;

la 6-bromo-2-(cyclohexylamino)-8-éthyl-4-méthylpyrido [2,3-*d*] pyrimidin-7(8H)-one ;

la 6-bromo-8-éthyl-4-méthyl-2-[(2-morpholin-4-yléthyl) amino] pyrido [2,3-*d*] pyrimidin-7(8H)-one ;

la 6-bromo-8-éthyl-4-méthyl-2-[(3-morpholin-4-ylpropyl) amino] pyrido [2,3-*d*] pyrimidin-7(8H)-one ;

la 6-bromo-2-[(3-(diméthylamino) propyl) amino]-8-éthyl-4-méthylpyrido [2,3-*d*] pyrimidin-7(8H)-one ;

la 8-éthyl-2-(éthylamino)-4-méthyl-6-[4-(phényloxy) phényl] pyrido [2,3-*d*] pyrimidin-7(8H)-one ;

la 6-[2,4-bis (méthyloxy) phényl]-8-éthyl-2-(éthylamino)-4-méthylpyrido [2,3-*d*] pyrimidin-7(8H)-one ;

la 6-bromo-8-éthyl-2-[(2-fluorophényl) amino]-4-méthylpyrido [2,3-*d*] pyrimidin-7(8H)-one ;

la 8-éthyl-2-(éthylamino)-6-(3-fluorophényl)-4-méthylpyrido [2,3-*d*] pyrimidin-7(8H)-one ;

la 8-éthyl-2-(éthylamino)-6-(2-fluorophényl)-4-méthylpyrido [2,3-*d*] pyrimidin-7(8H)-one ;

la 8-éthyl-2-(éthylamino)-4-méthyl-6-[3-(trifluorométhyl) phényl] pyrido [2,3-*d*] pyrimidin-7(8H)-one ;

la 8-éthyl-2-(éthylamino)-6-(4-fluorophényl)-4-méthylpyrido [2,3-*d*] pyrimidin-7(8H)-one ;

la 8-éthyl-2-(éthylamino)-4-méthyl-6-(2-thiényl) pyrido [2,3-*d*] pyrimidin-7(8H)-one ;

la 8-éthyl-2-(éthylamino)-4-méthyl-6-[3-(méthyloxy) phényl] pyrido [2,3-*d*] pyrimidin-7(8H)-one ;

la 6-(3-chlorophényl)-8-éthyl-2-(éthylamino)-4-méthylpyrido [2,3-*d*] pyrimidin-7(8H)-one ;

la 6-bromo-8-éthyl-4-méthyl-2-[4-(4-méthylpipérazin-1-yl) phényl] amine} pyrido [2,3-*d*] pyrimidin-7(8H)-one ;

la 6-(4-chlorophényl)-8-éthyl-2-(éthylamino)-4-méthylpyrido [2,3-*d*] pyrimidin-7(8H)-one ;

la 8-éthyl-2-(éthylamino)-4-méthyl-6-(3-thiényl) pyrido [2,3-*d*] pyrimidin-7(8H)-one ;

- la 8-éthyl-2-(éthylamino)-4-méthyl-6-(4-méthyl-2-thiényle) pyrido [2,3-d] pyrimidin-7(8H)-one ;
 la 8-éthyl-2-(éthylamino)-4-méthyl-6-(4-méthyl-3-thiényle) pyrido [2,3-d] pyrimidin-7(8H)-one ;
 le 2-[8-éthyl-2-(éthylamino)-4-méthyl-7-oxo-7,8-dihydropyrido [2,3-d] pyrimidin-6-yl]-1H-pyrrole-1-carboxylate
 de 1,1-diméthyléthyle ;
- 5 la 6-bromo-8-éthyl-2-([4-(4-éthylpipérazin-1-yl) phényle] amino)-4-méthylpyrido [2,3-d] pyrimidin-7(8H)-one ;
 la 6-bromo-8-éthyl-4-méthyl-2-([4-morpholin-4-ylphényle] amino) pyrido [2,3-d] pyrimidin-7(8H)-one ;
 la 6-bromo-8-éthyl-4-méthyl-2-([4-(4-(phénylméthyle) pipérazin-1-yl) phényle] amino) pyrido [2,3-d] pyrimidin-7
 (8H)-one ;
- 10 la 8-éthyl-2-(éthylamino)-4-méthyl-6-(1H-pyrrol-2-yl) pyrido [2,3-d] pyrimidin-7(8H)-one ;
 la 6-(5-chloro-2-thiényle)-8-éthyl-2-(éthylamino)-4-méthylpyrido [2,3-d] pyrimidin-7(8H)-one ;
 la 8-éthyl-4-méthyl-2-([4-(4-méthylpipérazin-1-yl) phényle] amino)-6-(2-thiényle) pyrido [2,3-d] pyrimidin-7
 (8H)-one ;
- 15 la 8-éthyl-2-(éthylamino)-4-méthyl-6-pyrimidin-5-ylpyrido [2,3-d] pyrimidin-7(8H)-one ;
 la 8-éthyl-2-(éthylamino)-6-(3-fluoropyridin-4-yl)-4-méthylpyrido [2,3-d] pyrimidin-7(8H)-one ;
 la 8-éthyl-2-(éthylamino)-6-furan-3-yl-4-méthylpyrido [2,3-d] pyrimidin-7(8H)-one ;
 la 8-éthyl-2-(éthylamino)-4-méthyl-6-[1-(phénylméthyle)-1H-pyrazol-4-yl] pyrido [2,3-d] pyrimidin-7(8H)-one ;
 la 6-(3,5-diméthylisoxazol-4-yl)-8-éthyl-2-(éthylamino)-4-méthylpyrido [2,3-d] pyrimidin-7(8H)-one ;
 la 8-éthyl-4-méthyl-2-([4-(4-(phénylméthyle) pipérazin-1-yl) phényle] amino)-6-(2-thiényle) pyrido [2,3-d] pyrimidin-
 7(8H)-one ;
- 20 la 6-bromo-2-(éthylamino)-4-méthyl-8-(1-méthyléthyle) pyrido [2,3-d] pyrimidin-7(8H)-one ;
 la 2-(éthylamino)-4-méthyl-8-(1-méthyléthyle)-6-(2-thiényle) pyrido [2,3-d] pyrimidin-7(8H)-one ;
 la 8-éthyl-2-([4-(4-éthylpipérazin-1-yl) phényle] amino)-4-méthyl-6-(2-thiényle) pyrido [2,3-d] pyrimidin-7(8H)-one ;
 la 8-éthyl-2-(éthylamino)-6-(1H-indol-6-yl)-4-méthylpyrido [2,3-d] pyrimidin-7(8H)-one ;
 la 8-éthyl-2-(éthylamino)-4-méthyl-6-(5-phényl-2-thiényle) pyrido [2,3-d] pyrimidin-7(8H)-one ;
- 25 la 2-(éthylamino)-6-furan-3-yl-4-méthyl-8-(1-méthyléthyle) pyrido [2,3-d] pyrimidin-7(8H)-one ;
 la 6-bromo-8-éthyl-2-(éthylamino)-4-méthylpyrido [2,3-d] pyrimidin-7(8H)-one ;
 la 8-éthyl-2-(éthylamino)-4-méthyl-6-phénylpyrido [2,3-d] pyrimidin-7(8H)-one ;
 la 6-bromo-8-éthyl-2-(éthylamino) pyrido [2,3-d] pyrimidin-7(8H)-one ;
 la 8-éthyl-2-(éthylamino)-4-méthyl-6-(1H-pyrazol-5-yl) pyrido [2,3-d] pyrimidin-7(8H)-one ;
- 30 la 8-éthyl-2-([4-(4-éthylpipérazin-1-yl) phényle] amino)-6-furan-3-yl-4-méthylpyrido [2,3-d] pyrimidin-7(8H)-one ;
 la 8-éthyl-2-([4-(4-éthylpipérazin-1-yl) phényle] amino)-4-méthyl-6-phénylpyrido [2,3-d] pyrimidin-7(8H)-one ;
 la 2-([4-(4-éthylpipérazin-1-yl) phényle] amino)-4-méthyl-8-(1-méthyléthyle)-6-(2-thiényle) pyrido [2,3-d] pyrimidin-
 7(8H)-one ;
- 35 la 8-éthyl-2-([4-(4-éthylpipérazin-1-yl) phényle] amino)-6-(3-fluorophényl)-4-méthylpyrido [2,3-d] pyrimidin-7
 (8H)-one ;
 la 2-([4-(4-éthylpipérazin-1-yl) phényle] amino)-4-méthyl-8-(1-méthyléthyle)-6-phénylpyrido [2,3-d] pyrimidin-7
 (8H)-one ;
 la 2-([4-([2-(diéthylamino) éthyle] oxy) phényle] amino)-8-éthyl-4-méthyl-6-phénylpyrido [2,3-d] pyrimidin-7
 (8H)-one ;
- 40 la 8-éthyl-2-([4-hydroxyphényl] amino)-4-méthyl-6-phénylpyrido [2,3-d] pyrimidin-7(8H)-one ;
 la 8-cyclohexyl-2-(éthylamino)-4-méthyl-6-(2-thiényle) pyrido [2,3-d] pyrimidin-7(8H)-one ;
 la 8-éthyl-2-([4-(4-éthylpipérazin-1-yl) phényle] amino)-4-méthyl-6-(1H-pyrazol-5-yl) pyrido [2,3-d] pyrimidin-7
 (8H)-one ;
- 45 la 6-(3,5-difluorophényl)-8-éthyl-2-([4-(4-éthylpipérazin-1-yl) phényle] amino)-4-méthylpyrido [2,3-d] pyrimidin-7
 (8H)-one ;
 la 8-éthyl-4-méthyl-6-phényl-2-([4-([2-pipéridin-1-yléthyle] oxy) phényle] amino) pyrido [2,3-d] pyrimidin-7
 (8H)-one ;
 la 8-éthyl-4-méthyl-2-([4-([2-morpholin-4-yléthyle] oxy) phényle] amino)-6-phénylpyrido [2,3-d] pyrimidin-7
 (8H)-one ;
- 50 la 6-bromo-2-(éthylamino)-4-méthyl-8-[3-(méthoxy) propyle] pyrido [2,3-d] pyrimidin-7(8H)-one ;
 la 6-bromo-2-(éthylamino)-8-[2-(éthoxy) éthyle]-4-méthylpyrido [2,3-d] pyrimidin-7(8H)-one ;
 la 6-bromo-2-(éthylamino)-4-méthyl-8-(2-pipéridin-1-yléthyle) pyrido [2,3-d] pyrimidin-7(8H)-one ;
 la 6-bromo-2-(éthylamino)-8-[3-(éthoxy) propyle]-4-méthylpyrido [2,3-d] pyrimidin-7(8H)-one ;
 la 6-bromo-2-(éthylamino)-4-méthyl-8-[3-([1-méthyléthyle] oxy) propyle] pyrido [2,3-d] pyrimidin-7(8H)-one ;
- 55 la 6-bromo-2-(éthylamino)-8-(3-hydroxypropyle)-4-méthylpyrido [2,3-d] pyrimidin-7(8H)-one ;
 la 6-bromo-2-(éthylamino)-8-(2-hydroxyéthyle)-4-méthylpyrido [2,3-d] pyrimidin-7(8H)-one ;
 la 6-bromo-8-cyclopropyle-2-(éthylamino)-4-méthylpyrido [2,3-d] pyrimidin-7(8H)-one ;
 la 6-bromo-2-([4-(4-éthylpipérazin-1-yl) phényle] amino)-4-méthyl-8-(1-méthyléthyle) pyrido [2,3-d] pyrimidin-7

(8H)-one ;

la 2-[[4-(4-éthylpipérazin-1-yl) phényl] amino]-4-méthyl-8-(1-méthyléthyl)-6-(1H-pyrazol-5-yl) pyrido [2,3-d]pyrimidin-7(8H)-one ;

la 6-acétyl-8-éthyl-2-[[4-(4-éthylpipérazin-1-yl) phényl] amino]-4-méthylpyrido [2,3-d] pyrimidin-7(8H)-one ;

la 8-éthyl-2-(éthylamino)-4-méthyl-6-(1,3-thiazol-2-yl) pyrido [2,3-d] pyrimidin-7(8H)-one ;

la 6-bromo-8-cyclopentyl-2-(éthylamino)-4-méthylpyrido [2,3-d] pyrimidin-7(8H)-one ;

la 8-cyclopentyl-2-(éthylamino)-4-méthyl-6-(1H-pyrazol-3-yl) pyrido [2,3-d] pyrimidin-7(8H)-one ;

la cyclopentyl-2-[[4-(4-éthylpipérazin-1-yl) phényl] amino]-4-méthyl-6-(1H-pyrazol-5-yl) pyrido [2,3-d] pyrimidin-7(8H)-one ;

la 2-(éthylamino)-4-méthyl-8-(1-méthyléthyl)-6-(1H-pyrazol-5-yl) pyrido [2,3-d] pyrimidin-7(8H)-one ;

la 8-éthyl-2-(éthylamino)-4-méthyl-6-(1H-pyrazol-1-yl) pyrido [2,3-d] pyrimidin-7(8H)-one ;

la 2-(éthylamino)-4-méthyl-8-(1-méthyléthyl)-6-(1H-pyrazol-1-yl) pyrido [2,3-d] pyrimidin-7(8H)-one ;

la 8-cyclopentyl-2-(éthylamino)-4-méthyl-6-(1H-pyrazol-1-yl) pyrido [2,3-d] pyrimidin-7(8H)-one ;

la 8-éthyl-2-[[4-(4-éthylpipérazin-1-yl) phényl] amino]-4-méthyl-6-(1H-pyrazol-1-yl) pyrido [2,3-d] pyrimidin-7(8H)-one ;

la 2-[[4-(4-éthylpipérazin-1-yl) phényl] amino]-4-méthyl-8-(1-méthyléthyl)-6-(1H-pyrazol-1-yl) pyrido [2,3-d] pyrimidin-7(8H)-one ;

la 8-cyclopentyl-2-[[4-(4-éthylpipérazin-1-yl) phényl] amino]-4-méthyl-6-(1H-pyrazol-1-yl) pyrido [2,3-d] pyrimidin-7(8H)-one ;

la 8-cyclopentyl-2-(éthylamino)-4-méthyl-6-(1H-pyrazol-3-yl) pyrido [2,3-d] pyrimidin-7(8H)-one ;

la 2-(éthylamino)-4-méthyl-8-(1-méthyléthyl)-6-(1H-pyrazol-3-yl) pyrido [2,3-d] pyrimidin-7(8H)-one ;

le 4-{4-[[6-bromo-8-éthyl-4-méthyl-7-oxo-7,8-dihydropyrido [2,3-d] pyrimidin-2-yl] amino] phényl} pipérazine-1-carboxylate de 1,1-diméthyléthyle ;

la 6-bromo-8-éthyl-4-méthyl-2-[[4-pipérazin-1-ylphényl] amino] pyrido [2,3-d] pyrimidin-7(8H)-one ;

la 8-cyclopentyl-2-[[4-(4-éthylpipérazin-1-yl) phényl] amino]-4-méthyl-6-(1H-pyrazol-3-yl) pyrido [2,3-d] pyrimidin-7(8H)-one ;

la 8-cyclopentyl-2-[[4-fluorophényl] amino]-4-méthyl-6-(1H-pyrazol-3-yl) pyrido [2,3-d] pyrimidin-7(8H)-one ;

la 6-bromo-8-éthyl-2-[[4-fluorophényl] amino]-4-méthylpyrido [2,3-d] pyrimidin-7(8H)-one ;

la 8-éthyl-4-méthyl-6-(1H-pyrazol-5-yl)-2-[[2,2,2-trifluoroéthyl] amino] pyrido [2,3-d] pyrimidin-7(8H)-one ;

la 6-bromo-8-cyclopentyl-2-[[4-hydroxyphényl] amino]-4-méthylpyrido [2,3-d] pyrimidin-7(8H)-one ;

la 2-amino-8-éthyl-4-méthyl-6-(1H-pyrazol-5-yl) pyrido [2,3-d] pyrimidin-7(8H)-one ;

la 2-(éthylamino)-4-méthyl-6-(1H-pyrazol-3-yl) pyrido [2,3-d] pyrimidin-7(8H)-one ;

la 6-bromo-8-cyclopentyl-4-méthyl-2-[[4-[(2-pipéridin-1-yléthyl) oxy] phényl] amino] pyrido [2,3-d] pyrimidin-7(8H)-one ;

la 8-éthyl-4-méthyl-2-(méthylamino)-6-(1H-pyrazol-5-yl) pyrido [2,3-d] pyrimidin-7(8H)-one ;

la 8-cyclopentyl-4-méthyl-2-(phénylamino)-6-(1H-pyrazol-3-yl) pyrido [2,3-d] pyrimidin-7(8H)-one ;

le 4-{4-[[8-cyclopentyl-4-méthyl-7-oxo-6-(1H-pyrazol-5-yl)-7,8-dihydropyrido [2,3-d] pyrimidin-2-yl] amine] phényl} pipérazine-1-carboxylate de 1,1-diméthyléthyle ;

la 8-cyclopentyl-4-méthyl-2-[[4-pipérazin-1-ylphényl] amino]-6-(1H-pyrazol-5-yl) pyrido [2,3-d] pyrimidin-7(8H)-one ;

la 2-amino-8-cyclopentyl-4-méthyl-6-(1H-pyrazol-3-yl) pyrido [2,3-d] pyrimidin-7(8H)-one ;

la 8-éthyl-2-[(2-fluoroéthyl) amino]-4-méthyl-6-(1H-pyrazol-5-yl) pyrido [2,3-d] pyrimidin-7(8H)-one ;

la 2-amino-4-méthyl-8-(1-méthyléthyl)-6-(1H-pyrazol-3-yl) pyrido [2,3-d] pyrimidin-7(8H)-one ; et

la 8-cyclopentyl-4-méthyl-2-[[4-[(2-pipéridin-1-yléthyl) oxy] phényl] amino]-6-(1H-pyrazol-3-yl) pyrido [2,3-d] pyrimidin-7(8H)-one.

11. Le composé ou la composition des revendications 1, 2, 3, 4, 5, 6, 7, 8, ou 9 destiné(e) à être utilisé(e) selon les revendications 1, 2, 3, 4, 5, 6, 7, 8 ou 9, le composé de Formule VIIIa ou VIIIb étant choisi parmi :

le 4-chloro-N-{3-[(3-chloro-4-pipéridin-1-ylphényl) amino]-6-méthylquinoxalin-2-yl} benzène sulfonamide ;

le N-{3-[[2-(éthoxy) phényl] amine] quinoxalin-2-yl}-4-méthylbenzène sulfonamide ;

le N-{3-[[4-chloro-2,5-bis (méthyloxy) phényl] amine] quinoxalin-2-yl} benzène sulfonamide ;

le N-{3-[[2,5-bis (méthyloxy) phényl] éthyl] amino] quinoxalin-2-yl} benzène sulfonamide ;

le N-{3-[[3,5-bis (méthyloxy) phényl] amine] quinoxalin-2-yl} benzène sulfonamide ;

le N-{3-[[3,4,5-tris (méthyloxy) phényl] amino] quinoxalin-2-yl} benzène sulfonamide ;

le N-{3-[[3-(phénylméthyl) oxy] phényl] amino] quinoxalin-2-yl} benzène sulfonamide ;

le N-{3-[[3-(phényloxy) phényl] amine] quinoxalin-2-yl} benzène sulfonamide ;

le N-{3-(pipéridin-1-ylamino) quinoxalin-2-yl} benzène sulfonamide ;

- le *N*-3-[(4-morpholin-4-ylphényl) amino] quinoxalin-2-yl} benzène sulfonamide ;
 le *N*-3-[[3-(méthyloxy)-5-(trifluorométhyl) phényl] amine] quinoxalin-2-yl} benzène sulfonamide ;
 le *N*-3-[[2,5-bis (éthylloxy) phényl] amine] quinoxalin-2-yl} benzène sulfonamide ;
 le *N*-3-[[3,5-bis (méthyloxy) phényl] amine] quinoxalin-2-yl)-4-méthylbenzène sulfonamide ;
 le *N*-3-[[3,5-bis (méthyloxy) phényl] amine] quinoxalin-2-yl)-3-nitrobenzène sulfonamide ;
 le *N*-3-[[2,5-bis (méthyloxy) phényl] méthyl] amino quinoxalin-2-yl} benzène sulfonamide ;
 le *N*-3-[[2-méthyl-5-(méthyloxy) phényl] amine] quinoxalin-2-yl} benzène sulfonamide ;
 le *N*-3-[[3,5-bis (méthyloxy) phényl] amine] quinoxalin-2-yl) naphthalène-2-sulfonamide ;
 l'ester tert-butylque de l'acide 4-(3-benzène sulfonylamino-quinoxalin-2-yl)-pipérazine-1-carboxylique ;
 le *N*-3-(2-chloro-5-méthoxy-phénylamino)-quinoxalin-2-yl}-benzène sulfonamide ;
 le 3-amino-*N*-(3-[[3,5-bis (méthyloxy) phényl] amine] quinoxalin-2-yl) benzène sulfonamide ;
 le *N*-(3-[[3,5-bis (méthyloxy) phényl] amine] quinoxalin-2-yl)-4-chlorobenzène sulfonamide ;
 le *N*-(3-[[3-[[3,5-bis (méthyloxy) phényl] amine] quinoxalin-2-yl) amino] sulfonyl} phényl) acétamide ;
 le *N*-(3-[[4-chloro-3-(méthyloxy) phényl] amine] quinoxalin-2-yl) benzène sulfonamide ;
 le *N*-(3-[[4-fluoro-3-(méthyloxy) phényl] amine] quinoxalin-2-yl) benzène sulfonamide ;
 le *N*-(3-[[2'-(méthyloxy) biphényl-4-yl] amine] quinoxalin-2-yl) benzène sulfonamide ;
 le *N*-(3-[[5-méthyl-2-(méthyloxy) phényl] amine] quinoxalin-2-yl) benzène sulfonamide ;
 le 3-amino-*N*-(3-[[2,5-bis (méthyloxy) phényl] amine] quinoxalin-2-yl) benzène sulfonamide ;
 le *N*-(3-[[2,5-bis (méthyloxy) phényl] amino]-6,7-diméthylquinoxalin-2-yl) benzène sulfonamide ;
 le *N*-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-4-bromobenzène sulfonamide ;
 le *N*-(3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl)-3-nitrobenzène sulfonamide ;
 le *N*-(3-[[5-chloro-2-(méthyloxy) phényl] amino] quinoxalin-2-yl) benzène sulfonamide ;
 le *N*-(3-[[2-(méthyloxy)-5-(trifluorométhyl) phényl] amino] quinoxalin-2-yl) benzène sulfonamide ;
 le *N*-(3-[[2-(méthyloxy) biphényl-4-yl] amino] quinoxalin-2-yl) benzène sulfonamide ;
 le 3-amino-*N*-(3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl) benzène sulfonamide ;
 le *N*-(3-[[3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl) amino] sulfonyl} phényl)-*N*-2-,*N*-2-diméthylglycinamide ;
 le *N*-(3-[[2,5-bis (méthyloxy) phényl] amino]-7-méthylquinoxalin-2-yl) benzène sulfonamide ;
 le *N*-(3-[[2,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-4-(méthyloxy) benzène sulfonamide ;
 le *N*-(3-[[2,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-3-bromobenzène sulfonamide ;
 le *N*-(3-[[2,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-3-fluorobenzène sulfonamide ;
 le *N*-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-2-fluorobenzène sulfonamide ;
 le *N*-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-4-(méthyloxy) benzène sulfonamide ;
 le *N*-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-3-bromobenzène sulfonamide ;
 le *N*-(3-[[3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl) amino] sulfonyl} phényl)-1-méthylpipéridine-4-carboxamide ;
 le *N*-(3-[[3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl) amino] sulfonyl} phényl)-3-pipéridin-1-ylpropanamide ;
 le *N*-(3-[[3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl) amino] sulfonyl} phényl)-4-(diméthylamino) butanamide ;
 le *N*-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-3-(hydroxyamino) benzène sulfonamide ;
 le *N*-(3-[[3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl) amino] sulfonyl} phényl)-2-morpholin-4-ylacétamide ;
 le *N*-(3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl) amino] sulfonyl)-4-méthylphényl)-*N*-2-méthylglycinamide ;
 le *N*-(3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl) amino] sulfonyl)-4-méthylphényl)-*L*-alaninamide ;
 le *N*-(3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl) amino] sulfonyl)-4-méthylphényl)-2-méthylalaninamide ;
 le *N*-(3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl) amino] sulfonyl)-4-méthylphényl)-*N*-2-2-diméthylglycinamide ;
 le *N*-(3-[[3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl) amino] sulfonyl} phényl)-*D*-alaninamide ;
 le *N*-(3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl) amino] sulfonyl} phényl)-*N*-2-méthylglycinamide ;
 le *N*-(3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl) amino] sulfonyl)-4-méthylphényl)-*D*-alaninamide ;
 le *N*-(3-[[3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl) amino] sulfonyl} phényl)-*N*-2-méthylglycinamide ;

le *N*-(3-((3-([2-chloro-5-(méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-L-alaninamide ;
 le *N*-(3-((3-([2-chloro-5-(méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-D-alaninamide ;
 le *N*-(3-((3-([2-chloro-5-(méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-2-méthylalaninamide ;
 5 le *N*-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-2-méthylalaninamide ;
 le *N*-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl)-4-méthylphényl)-*N*-2-,*N*-2-diméthylglycinamide ;
 le *N*-(3-((3-([2-chloro-5-(méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-*N*-2-[2-(diméthylamino) éthyl]-*N*-2-méthylglycinamide ;
 10 le (2*S*)-2-amino-*N*-(3-((3-([2-chloro-5-(méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl) butanamide ;
 le *N*-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-*N*-2-[2-(diméthylamino) éthyl]-*N*-2-méthylglycinamide ;
 le *N*-(3-((3-([2-chloro-5-(méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-*N*-2-,*N*-2-diméthylglycinamide ;
 15 le *N*-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-*N*-2-méthyl-L-alaninamide ;
 le *N*-(3-((3-([2-chloro-5-(méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl) glycineamide ;
 le *N*-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl) glycineamide ;
 20 le *N*-(2-chloro-5-((3-([2-chloro-5-(méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-*N*-2-méthylglycinamide ;
 le 2-(diméthylamino)-*N*-(3-(*N*-(3-(2-(diméthylamino) acétamido)-5-méthoxyphénylamino) quinoxalin-2-yl) sulfamoyl) phényl) acétamide ;
 le *N*-(3-((3-([2-acétyl-5-(méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-*N*-2-,*N*-2-diméthylglycinamide ;
 25 le *N*-(3-([2-chloro-5-(méthyloxy) phényl] amino) quinoxalin-2-yl)-3-(formylamino) benzène sulfonamide ;
 le *N*-(3-((3-([2-chloro-5-(méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-*N*-2-éthylglycinamide ;
 le *N*-(5-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl)-2-méthylphényl) glycineamide ;
 30 le 2-azétidin-1-yl-*N*-(3-((3-([2-chloro-5-(méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl) acétamide ;
 le *N*-(3-((3-([2-chloro-5-(méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-L-prolinamide ;
 le *N*-(3-((3-([2-bromo-5-(méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-*N*-2-méthylglycinamide ;
 35 le *N*-2-,*N*-2-diméthyl-*N*-(3-((3-([6-(méthyloxy) quinolin-8-yl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl) glycineamide ;
 le *N*-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-L-alaninamide ;
 le *N*-(3-((3-([2-chloro-5-(méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-*N*-2-méthyl-D-alaninamide ;
 40 le *N*-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-L-prolinamide ;
 le *N*-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-D-sérinamide ;
 le *N*-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl) azétidine-3-carboxamide ;
 le *N*-(3-((3-([2-chloro-5-(méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-*N*-2-,2-diméthylalaninamide ;
 45 le *N*-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-*N*-2-méthyl-D-alaninamide ;
 le *N*-(3-((3-([2-bromo-5-(méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-*N*-2-,*N*-2-diméthylglycinamide ;
 50 le *N*-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-*N*-2-propylglycinamide ;
 le *N*-(3-((3-([2-chloro-5-(méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-*N*-2-méthyl-L-alaninamide ;
 le *N*-(5-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl)-2-méthyl phényl)-bêta-alaninamide ;
 55 le *N*-(3-((3-([2-chloro-5-(méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl) pipéridine-3-carboxamide ;
 le *N*-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-2-(4-méthyl-1,4-dia-

zépan-1-yl) acétamide ;
 le (2S)-2-amino-N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)
 butanamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-N-2-(2-hydroxypropyl)
 5 glycineamide ;
 le N-(3-((3-((2-chloro-5-(méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-N-2-(2-fluoroéthyl)
 glycineamide ;
 le 3-amino-N-(2-((3,5-bis (méthyloxy) phényl) amino) pyrido [2,3-b] pyrazin-3-yl) benzène sulfonamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-N-2-((2-méthylpropyl)
 10 oxy) glycineamide ;
 le 1-amino-N-(3-((3-((2-chloro-5-(méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl) cyclo-
 propane carboxamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl)-3-(formylamino) benzène sulfonamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-N-2-(cyclopropylmé-
 15 thyl) glycineamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-D-prolineamide ;
 le N-(3-((3-((2-chloro-5-(méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-2-[3-(diméthylami-
 no) azétidine-1-yl] acétamide ;
 le N-(3-((3-((2-chloro-5-(méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-D-prolineamide ;
 20 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl) pipéridine-2-
 carboxamide ;
 le N-(3-((3-((2-chloro-5-(méthyloxy) phényl) amine) quinoxalin-2-yl) amino) sulfonyl} phényl) morpholine-4-
 carboxamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-2-pyrrolidine-1-
 25 ylacétamide ;
 le N-(3-((3-((2-chloro-5-(méthyloxy) phényl) amine) quinoxalin-2-yl) amino) sulfonyl} phényl)-N-6-,N-6-diméthyl-
 L-lysineamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-N-2-éthyl-N-2-
 méthylglycineamide ;
 30 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-2-(1H-imidazol-4-yl)
 acétamide ;
 le 1-amino-N-(3-((3-((2-chloro-5-(méthyloxy) phényl) amine) quinoxalin-2-yl) amino) sulfonyl} phényl) cyclo-
 pentane carboxamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-N-2-(2-méthylpropyl)
 35 glycineamide ;
 le N-(3-((3-((2-chloro-5-(méthyloxy) phényl) amine) quinoxalin-2-yl) amino) sulfonyl} phényl)-N-2-éthyl-N-2-
 méthylglycineamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-1-(1H-imidazol-4-
 ylméthyl) azétidine-3-carboxamide ;
 40 le N-(5-((3-((2-chloro-5-(méthyloxy) phényl) amine) quinoxalin-2-yl) amino) sulfonyl} 2-méthylphényl)-N-2-,N-
 2-diméthylglycineamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-1-éthylazétidine-3-
 carboxamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-N-2-méthyl-N-2-(1-
 45 méthylpyrrolidine-3-yl) glycineamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) pyrido [2,3-b] pyrazin-3-yl) amino) sulfonyl} phényl)-N-2-[2-(di-
 méthylamino) éthyl]-N-2-méthylglycineamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-2-[(3S)-3-hydroxypyr-
 50 rolidin-1-yl] acétamide ;
 le 1-amino-N-(3-((3-((3,5-bis (méthyloxy) phényl) amine) quinoxalin-2-yl) amino) sulfonyl} phényl) cyclobutane
 carboxamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-N-2-butylglycineamide ;
 le N-(3-((3-((2-chloro-5-(méthyloxy) phényl) amine) quinoxalin-2-yl) amino) sulfonyl} phényl)-2-(3-pipéridin-1-
 55 ylazétidine-1-yl) acétamide ;
 le 3-[(aminocarbonyl) amino]-N-(3-((3,5-bis (méthyloxy) phényl) amine) quinoxalin-2-yl) benzène sulfonamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-1-hydroxycyclopropa-
 ne carboxamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-2-(2,2-diméthylhydra-

zino) acétamide ;

le N-(3-[[3,5-bis (méthyloxy) phényl] amine} quinoxalin-2-yl)-3-[[[2-(diméthylamino) éthyl] amine} carbonyl] amino] benzène sulfonamide ;

le N-(3-[[3-[[3-fluoro-5-(méthyloxy) phényl] amine} quinoxalin-2-yl] amino] sulfonyl} phényl)-N-2-méthylglycinamide ;

le N-(3-[[3-[[3,5-bis (méthyloxy) phényl] amino} quinoxalin-2-yl] amino] sulfonyl} phényl)-2-hydroxyacétamide ;

le N-(3-[[3-[[3,5-bis (méthyloxy) phényl] amino} quinoxalin-2-yl] amino] sulfonyl} phényl) pyridazine-4-carboxamide ;

le N-(3-[[3-[[3,5-bis (méthyloxy) phényl] amino} quinoxalin-2-yl] amino] sulfonyl} phényl)-N-2-(1-méthyléthyl) glycinamide ;

le 1-amino-N-(3-[[3-[[3,5-bis (méthyloxy) phényl] amine} quinoxalin-2-yl] amino] sulfonyl} phényl) cyclopentane carboxamide ;

le 1-amino-N-(3-[[3-[[3,5-bis (méthyloxy) phényl] amine} quinoxalin-2-yl] amino] sulfonyl} phényl) cyclopropane carboxamide ;

le N-(3-[[3-[[3,5-bis (méthyloxy) phényl] amino} quinoxalin-2-yl] amino] sulfonyl} phényl)-2-[3-(diméthylamino) pyrrolidin-1-yl] acétamide ;

le N-(3-[[3-[[3,5-bis (méthyloxy) phényl] amino} quinoxalin-2-yl] amino] sulfonyl} phényl)-N-2-[2-(diméthylamino) éthyl] glycinamide ;

le (3-[[3-[[3,5-bis (méthyloxy) phényl] amine} quinoxalin-2-yl] amino] sulfonyl} phényl) carbamate de 2-(diméthylamino) éthyle ;

le N-(3-[[3-[[3,5-bis (méthyloxy) phényl] amino} quinoxalin-2-yl] amino] sulfonyl} phényl)-1-(cyclopropylméthyl) azétidine-3-carboxamide ;

le N-(3-[[3-[[3,5-bis (méthyloxy) phényl] amino} quinoxalin-2-yl] amino] sulfonyl} phényl)-N-2-(1,1-diméthyléthyl) glycinamide ;

le N-2-méthyl-N-(3-[[3-[[3-(méthyloxy) phényl] amine} quinoxalin-2-yl] amino] sulfonyl} phényl) glycinamide ;

le N-(3-[[3-[[3,5-bis (méthyloxy) phényl] amino} quinoxalin-2-yl] amino] sulfonyl} phényl)-1H-imidazole-2-carboxamide ;

le N-(3-[[3-[[3,5-bis (méthyloxy) phényl] amino} quinoxalin-2-yl] amino] sulfonyl} phényl) isoxazole-5-carboxamide ;

le N-(3-[[3-[[2-chloro-5-(méthyloxy) phényl] amine} quinoxalin-2-yl] amino] sulfonyl} phényl)-N-2-(2,2,2-trifluoroéthyl) glycinamide ;

le 3-amino-N-(3-[[2-méthyl-5-(méthyloxy) phényl] amine} quinoxalin-2-yl) benzène sulfonamide ;

le N-(3-[[3-[[3,5-bis (méthyloxy) phényl] amino} quinoxalin-2-yl] amino] sulfonyl} phényl)-3-oxocyclopentane carboxamide ;

le N-(3-[[3-[[3,5-bis (méthyloxy) phényl] amino} quinoxalin-2-yl] amino] sulfonyl} phényl)-6-hydroxypyridine-2-carboxamide ;

le N-(3-[[3-[[3,5-bis (méthyloxy) phényl] amino} quinoxalin-2-yl] amino] sulfonyl} phényl)-N-2-(3-fluoro-4-hydroxyphényl) glycinamide ;

le N-(3-[[3-[[3,5-bis (méthyloxy) phényl] amino} quinoxalin-2-yl] amino] sulfonyl} phényl)-1-(furan-2-ylméthyl) azétidine-3-carboxamide ;

le N-(3-[[3-[[3,5-bis (méthyloxy) phényl] amino} quinoxalin-2-yl] amino] sulfonyl} phényl) pyrimidine-5-carboxamide ;

le N-(3-[[3-[[3,5-bis (méthyloxy) phényl] amino} quinoxalin-2-yl] amino] sulfonyl} phényl)-1H-pyrrole-2-carboxamide ;

le N-(3-[[3-[[3,5-bis (méthyloxy) phényl] amino} quinoxalin-2-yl] amino] sulfonyl} phényl)-N-2-méthyl-N-2-(1-méthyléthyl) glycinamide ;

le N-(3-[[3-[[3-fluoro-5-(méthyloxy) phényl] amine} quinoxalin-2-yl] amino] sulfonyl} phényl)-N-2-,N-2-diméthylglycinamide ;

le N-(3-[[3-[[3,5-bis (méthyloxy) phényl] amino} quinoxalin-2-yl] amino] sulfonyl} phényl)-1H-imidazole-4-carboxamide ;

le N-(3-[[3-[[3,5-bis (méthyloxy) phényl] amino} quinoxalin-2-yl] amino] sulfonyl} phényl)-N-2-,N-2-diéthylglycinamide ;

le N-(3-[[3-[[3,5-bis (méthyloxy) phényl] amino} quinoxalin-2-yl] amino] sulfonyl} phényl)-2-(3-méthylisoxazol-5-yl) acétamide ;

le N-2-,N-2-diméthyl-N-(3-[[3-[[2-méthyl-5-(méthyloxy) phényl] amine} quinoxalin-2-yl] amino] sulfonyl} phényl) glycinamide ;

le N-(3-[[3-[[3,5-bis (méthyloxy) phényl] amino} quinoxalin-2-yl] amino] sulfonyl} phényl)-N-2-[(3-hydroxyphényl) méthyl] glycinamide ;

le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-1-méthyl-1H-pyrrole-2-carboxamide ;
 le 4-amino-N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl) phényl) tétrahydro-2H-pyran-4-carboxamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-2-[4-(méthylamino) pipéridin-1-yl] acétamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-2-pipéridin-1-ylacétamide ;
 le N-(4-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-N-2,N-2-diméthylglycinamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-1-méthyl-L-prolinamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl) phényl) thiophène-3-carboxamide ;
 le 3-amino-N-(3-((2-chloro-5-hydroxyphényl) amino) quinoxalin-2-yl) benzène sulfonamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-1-(cyclopropylcarbonyl) azétidine-3-carboxamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-2-(4-méthylpipérazin-1-yl) acétamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-1-(phénylméthyl) azétidine-3-carboxamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-2-chloropyridine-3-carboxamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-2-pyridin-4-ylacétamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-N-2-méthyl-N-2-prop-2-én-1-ylglycinamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-N-2-(phénylméthyl) glycinamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-2-(méthyloxy) acétamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-1-propanoylazétidine-3-carboxamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl) phényl) pyridine-3-carboxamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-N-2-[2-(méthyloxy) éthyl] glycinamide ;
 le 1-acétyl-N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl) phényl) pipéridine-4-carboxamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-2-(2-méthylpyrrolidin-1-yl) acétamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl) phényl) furan-3-carboxamide ;
 le N-2,N-2-diméthyl-N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl) phényl) glycinamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-6-chloropyridine-3-carboxamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-2-chlorobenzamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-2-pyridin-2-ylacétamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-2-[3-(diméthylamino) azétidin-1-yl] acétamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-2-pyridin-3-ylacétamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-2-(2-chlorophényl) acétamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-N-2-[3-(diméthylamino) propyl]-N-2-méthylglycinamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-N-2-éthyl-N-2-(2-hy-

droxyéthyl) glycinamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényle) amino] quinoxalin-2-yl] amino] sulfonyl] phényle)-2-[2-(phénylméthyle) pyrrolidin-1-yl] acétamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényle) amino] quinoxalin-2-yl] amino] sulfonyl] phényle) propanamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényle) amino] quinoxalin-2-yl] amino] sulfonyl] phényle) furan-2-carboxamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényle) amino] quinoxalin-2-yl] amino] sulfonyl] phényle)-2-chloropyridine-4-carboxamide ;

le N-2-acétyl-N-(3-[[[3-(3,5-bis (méthyloxy) phényle) amine] quinoxalin-2-yl] amino] sulfonyl] phényle) glycinamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényle) amino] quinoxalin-2-yl] amino] sulfonyl] phényle) butanamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényle) amino] quinoxalin-2-yl] amino] sulfonyl] phényle)-4-chlorobenzamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényle) amino] quinoxalin-2-yl] amino] sulfonyl] phényle)-4-méthylbenzamide ;

le {2-[[[3-(3,5-bis (méthyloxy) phényle) amino] quinoxalin-2-yl] amino] sulfonyl] phényle) amino]-2-oxoéthyle} carbamate de 1,1-diméthyléthyle ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényle) amino] quinoxalin-2-yl] amino] sulfonyl] phényle)-1,3-benzodioxole-5-carboxamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényle) amino] quinoxalin-2-yl] amino] sulfonyl] phényle)-N-2-([2-(méthyloxy) phényle] méthyle oxy) glycinamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényle) amino] quinoxalin-2-yl] amino] sulfonyl] phényle) pyridine-4-carboxamide ;

le N-(3-[[[3-(4-fluoro-3-(méthyloxy) phényle) amine] quinoxalin-2-yl] amino] sulfonyl] phényle)-N-2,N-2-diméthylglycinamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényle) amino] quinoxalin-2-yl] amino] sulfonyl] phényle)-2-[4-(3,4-dichlorophényle) pipérazin-1-yl] acétamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényle) amino] quinoxalin-2-yl] amino] sulfonyl] phényle)-3-pyridin-3-ylpropanamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényle) amino] quinoxalin-2-yl] amino] sulfonyl] phényle) tétrahydrofuran-3-carboxamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényle) amino] quinoxalin-2-yl] amino] sulfonyl] phényle)-N-2-([2-méthylphényle] méthyle) glycinamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényle) amino] quinoxalin-2-yl] amino] sulfonyl] phényle)-2-méthylbutanamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényle) amino] quinoxalin-2-yl] amino] sulfonyl] phényle)-2-(3-fluorophényle) acétamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényle) amino] quinoxalin-2-yl] amino] sulfonyl] phényle)-N-2-(1-méthyle-1-phényléthyle) glycinamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényle) amino] quinoxalin-2-yl] amino] sulfonyl] phényle)-2-méthylcyclopropane carboxamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényle) amino] quinoxalin-2-yl] amino] sulfonyl] phényle)-2-méthyle-4-(méthyloxy) benzamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényle) amino] quinoxalin-2-yl] amino] sulfonyl] phényle)-2-méthylpyridine-3-carboxamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényle) amino] quinoxalin-2-yl] amino] sulfonyl] phényle)-4-(méthyloxy) benzamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényle) amino] quinoxalin-2-yl] amino] sulfonyl] phényle)-2-(4-éthylpipérazin-1-yl) acétamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényle) amino] quinoxalin-2-yl] amino] sulfonyl] phényle) thiophène-2-carboxamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényle) amino] quinoxalin-2-yl] amino] sulfonyl] phényle)-3-fluoro-2-méthylbenzamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényle) amino] quinoxalin-2-yl] amino] sulfonyl] phényle)-2-bromothiophène-3-carboxamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényle) amino] quinoxalin-2-yl] amino] sulfonyl] phényle)-4-fluorobenzamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényle) amino] quinoxalin-2-yl] amino] sulfonyl] phényle)-2-(3-méthylpipéridin-1-yl) acétamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényle) amino] quinoxalin-2-yl] amino] sulfonyl] phényle)-2-méthylpropanamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényle) amino] quinoxalin-2-yl] amino] sulfonyl] phényle) pentanamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényle) amino] quinoxalin-2-yl] amino] sulfonyl] phényle)-2-(éthyleoxy)

acétamide ;

le N-(3-(((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-N-2-(2-fluorophényl) glycinamide ;

le N-(3-(((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-3-(diméthylamino) benzamide ;

le N-(3-(((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-2-(4-méthylpipéridin-1-yl) acétamide ;

le N-(3-(((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-N-2-(2-propylphényl) glycinamide ;

le N-(3-(((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl} phényl) benzamide ;

le N-(3-(((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl} phényl) pyrazine-2-carboxamide ;

le N-(3-(((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-3-fluoro-4-(méthyloxy) benzamide ;

le N-(3-(((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-2,2-diméthylbutanamide ;

le N-(3-(((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-2-[(4-fluorophényl) oxy] acétamide ;

le 1-acétyl-N-(3-(((3-([3,5-bis (méthyloxy) phényl] amine) quinoxalin-2-yl) amino) sulfonyl} phényl) azétidine-3-carboxamide ;

le N-(3-(((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-N-2-(4-méthylphényl) glycinamide ;

le N-(3-(((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-N-2-phénylglycinamide ;

le N-(3-(((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-2-(4-prop-2-én-1-yl-pipérazin-1-yl) acétamide ;

le N-(3-(((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-2-méthylbenzamide ;

le N-(3-(((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-3-(méthyloxy) propanamide ;

le N-(3-(((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-3-méthylfuran-2-carboxamide ;

le N-(3-(((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-2,2-diméthylpropanamide ;

le N-(3-(((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-N-2-[(phénylméthyl) oxy] glycinamide ;

le N-(3-(((3-([2-chloro-5-hydroxyphényl] amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-N-2,N-2-diméthylglycinamide ;

le N-(3-(((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-N-2-(3-chlorophényl) glycinamide ;

le N-(3-(((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl} phényl) cyclobutane carboxamide ;

le N-(3-(((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-2-[3-(méthyloxy) phényl] acétamide ;

le N-(3-(((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-1-méthylcyclopropane carboxamide ;

le N-(3-(((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-3-fluorobenzamide ;

le N-(3-(((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-4-(diméthylamino) benzamide ;

le N-(3-(((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-3,4-dichlorobenzamide ;

le N-(3-(((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-N-2-[[2-(méthylthio) phényl] méthyl] glycinamide ;

le N-(3-(((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-2-(2-fluorophényl) acétamide ;

le N-(3-(((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-N-2-éthyl-N-2-(1-méthyléthyl) glycinamide ;

le N-(3-(((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-1,3-thiazole-4-carboxamide ;

le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-N-2-méthyl-N-2-(phénylméthyl) glycnamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-N-2-(2-thiényméthyl) glycnamide ;
 5 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-N-2-(pyridin-2-ylméthyl) glycnamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-3-(méthyloxy) benzamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-N-2-[(3-chloro-4-méthylphényl) méthyl] glycnamide ;
 10 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-2-méthylpentanamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-2-(4-chlorophényl) acétamide ;
 15 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-3-fluoro-4-méthylbenzamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-2-[(2-méthylphényl) oxy] acétamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-2-
 20 cyclohexylacétamide ;
 le (1R, 2R)-N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-2-phénylcyclopropane carboxamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-3-chlorobenzamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-2-[2-(méthyloxy) phényl] acétamide ;
 25 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-3-[3-(méthyloxy) phényl] propanamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-N-2-(2-fluoro-4-méthylphényl) glycnamide ;
 30 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-N-2-[(3-fluorophényl) méthyl] glycnamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-2-[4-(méthyloxy) phényl] acétamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-2-phénylacétamide ;
 35 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-2,4-dichlorobenzamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-3-oxocyclohexane carboxamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-N-2-(3-fluorophényl) glycnamide ;
 40 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-2-(3-chlorophényl) acétamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-N-2-(2-phénylpropyl) glycnamide ;
 45 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-N-2-[(2,4-diméthylphényl) méthyl] glycnamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-2-(2-méthylpipéridin-1-yl) acétamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-N-2-[2-(méthyloxy) phényl] glycnamide ;
 50 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-2-(3,4-dihydroisoquinolin-2(1H)-yl) acétamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl) pent-4-énamide ;
 55 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-N-2-(2-méthylphényl) glycnamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-2-(4-oxopipéridin-1-yl) acétamide ;
 le N-(3-((3-((3,5-bis (méthyloxy) phényl) amino) quinoxalin-2-yl) amino) sulfonyl} phényl)-2-fluorobenzamide ;

le N-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-N-2-(1-phényléthyl) glycnamide ;
 le N-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-2-fluoro-6-(méthyloxy) benzamide ;
 5 le N-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-N-2-[2-(1-méthyléthyl) phényl] glycnamide ;
 le N-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-3-[2-(méthyloxy) phényl] propanamide ;
 le N-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-4-méthylpentanamide ;
 10 le N-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-2-(2-phénylmorpholin-4-yl) acétamide ;
 le N-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-3-[4-(méthyloxy) phényl] propanamide ;
 15 le N-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-N-2-cyclopentyl-N-2-prop-2-én-1-ylglycinamide ;
 le N-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-N-2-méthyl-N-2-[2-(méthyloxy) éthyl] glycnamide ;
 le N-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-4-cyclopropyl-4-oxobutanamide ;
 20 le N-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-N-2-[3-(1,1-diméthyléthyl) phényl] glycnamide ;
 le N-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-N-2-(cyclopropylméthyl)-N-2-propylglycinamide ;
 25 le N-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-2-(2-oxocyclopentyl) acétamide ;
 le N-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-N-2-(4-chlorophényl) glycnamide ;
 le 2-(1,4'-bipipéridin-1'-yl)-N-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl) acétamide ;
 30 le N-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-2-(4-cyclopentylpipérazin-1-yl) acétamide ;
 le N-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-2-(2-méthylphényl) acétamide ;
 35 le N-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-N-2-[(5-fluoro-2-méthylphényl) méthyl] glycnamide ;
 le N-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-3,3-diméthylbutanamide ;
 le 2-(2-chlorophénylamino)-N-(3-(N-(3-(3,5-diméthoxyphénylamino) quinoxalin-2-yl) sulfamoyl) phényl) acétamide ;
 40 le N-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-5-fluoro-2-méthylbenzamide ;
 le N-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-4-fluoro-3-méthylbenzamide ;
 45 le N-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-2,3-dichlorobenzamide ;
 le N-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-2-(phényloxy) acétamide ;
 le N-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-N-2-(2,3-diméthylphényl) glycnamide ;
 50 le 3-amino-N-(3-([3,5-bis (méthyloxy) phényl] amino) pyrido [2,3-b] pyrazin-2-yl) benzène sulfonamide ;
 le N-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-2-fluoro-5-méthylbenzamide ;
 le N-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-N-2-[(4-méthylphényl) méthyl] oxy] glycnamide ;
 55 le N-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-2-[4-(1-méthyléthyl) pipérazin-1-yl] acétamide ;
 le N-(3-((3-([3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl) amino) sulfonyl) phényl)-2-(4-fluorophényl)

acétamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl] amino] sulfonyl} phényl)-3-méthylbutanamide ;
le N-(3-[[[3-(3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl] amino] sulfonyl} phényl)-4-méthyl-2-(méthyloxy) benzamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl] amino] sulfonyl} phényl)-2-(4-propylpipéridin-1-yl) acétamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl] amino] sulfonyl} phényl)-2-[(3-méthylphényl) oxy] acétamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl] amino] sulfonyl} phényl) tétrahydrofuran-2-carboxamide ;

le 2-[[[3-(3-[[[3-(3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl] amino] sulfonyl} phényl] amino] carbonyl] pipéridine-1-carboxylate de 1,1-diméthyléthyle ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl] amino] sulfonyl} phényl)-N-2-méthyl-N-2-(pyridin-3-ylméthyl) glycinamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl] amino] sulfonyl} phényl)-N-2-éthyl-N-2-phénylglycinamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl] amino] sulfonyl} phényl)-2-[[2-(méthyloxy) éthyl] oxy] acétamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl] amino] sulfonyl} phényl)-3-cyclopentylpropanamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl] amino] sulfonyl} phényl)-2,5-dichlorobenzamide ;

le 2-(4-acétylpipérazin-1-yl)-N-(3-[[[3-(3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl] amino] sulfonyl} phényl) acétamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl] amino] sulfonyl} phényl)-5-fluoro-2-(méthyloxy) benzamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl] amino] sulfonyl} phényl)-N-2-cyclohexyl-N-2-éthylglycinamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl] amino] sulfonyl} phényl)-5-méthylisoxazole-3-carboxamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl] amino] sulfonyl} phényl)-3-méthylpyridine-2-carboxamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl] amino] sulfonyl} phényl)-2-(méthyloxy) pyridine-3-carboxamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl] amino] sulfonyl} phényl)-3,5-dichlorobenzamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl] amino] sulfonyl} phényl)-2-(1,3-thiazolidin-3-yl) acétamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl] amino] sulfonyl} phényl)-2-(4-formylpipérazin-1-yl) acétamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl] amino] sulfonyl} phényl)-2-(méthyloxy) benzamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl] amino] sulfonyl} phényl)-N-2-méthyl-N-2-(2-méthylpropyl) glycinamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl] amino] sulfonyl} phényl)-2-(4-formyl-1,4-diazépan-1-yl) acétamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl] amino] sulfonyl} phényl)-1-phénylcyclopropane carboxamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl] amino] sulfonyl} phényl)-2-(2,6-diméthylmorpholin-4-yl) acétamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl] amino] sulfonyl} phényl)-2-(2-phénylpyrrolidin-1-yl) acétamide ;

le N-(3-[[[3-(3,5-bis (méthyloxy) phényl] amino) quinoxalin-2-yl] amino] sulfonyl} phényl)-2-(2,6-diméthylpipéridin-1-yl) acétamide ;

le N-(3-[[[3-(4-chlorophényl) amino] quinoxalin-2-yl] amino] sulfonyl} phényl)-N-2-, N-2-diméthylglycinamide ;

le N-(3-[[[3-(3-fluorophényl) amino] quinoxalin-2-yl] amino] sulfonyl} phényl)-N-2-, N-2-diméthylglycinamide ;

le N-(3-[[[3-(3-chlorophényl) amino] quinoxalin-2-yl] amino] sulfonyl} phényl)-N-2-,

N-2-diméthylglycinamide ;

le 3-[[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-[2-(diméthylamino)-1-méthyléthyl] benzamide ;
le 3-[[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-[2-(diméthylamino) éthyl] benzamide ;
5 le 5-[[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-[2-(diméthylamino) éthyl]-2-fluorobenzamide ;
le 3-[[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-pyrrolidin-3-ylbenzamide ;
le 3-[[[3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-[2-(diméthylamino) éthyl] benzamide ;
10 le 3-[[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-(2-pyrrolidin-1-yléthyl) benzamide ;
le N-(2-aminoéthyl)-3-[[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl] benzamide ;
le 3-[[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-[2-(diméthylamino) éthyl]-N-méthylbenzamide ;
15 le 3-[[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-(pipéridin-2-ylméthyl) benzamide ;
le 3-[[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-(1-méthylazétidin-3-yl) benzamide ;
le 3-[[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-(2-pipéridin-1-yléthyl) benzamide ;
20 le 3-[[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-[2-(diéthylamino) éthyl] benzamide ;
le 3-[[[3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-[2-(diméthylamino) éthyl]-N-méthylbenzamide ;
25 le 3-[[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-(1-méthylpipéridin-3-yl) benzamide ;
le 3-[[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-pipéridin-3-ylbenzamide ;
le 3-[[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-[(1-méthylpipéridin-2-yl) méthyl] benzamide ;
30 le N-[2-bis (2-hydroxyéthyl) amino] éthyl]-3-[[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl] benzamide ;
le 3-[[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-(1-éthylpipéridin-3-yl) benzamide ;
le 3-[[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl] benzamide ;
35 le 3-[[3-aminopyrrolidin-1-yl] carbonyl]-N-(3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl) benzène sulfonamide ;
le 5-[[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-[2-(diméthylamino) éthyl]-2-(méthyloxy) benzamide ;
le N-(3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl)-3-[[3-(méthylamino) pyrrolidin-1-yl] carbonyl] benzène sulfonamide ;
40 l'acide 3-[[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl] benzoïque ;
le 3-[[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-(2-morpholin-4-yléthyl) benzamide ;
le 3-[[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-[(1-éthylpyrrolidin-2-yl) méthyl] benzamide ;
45 le 3-[[4-amino-3-oxopyrazolidin-1-yl] carbonyl]-N-(3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl) benzène sulfonamide ;
le 3-[[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-méthylbenzamide ;
le 3-[[3-aminoazétidin-1-yl] carbonyl]-N-(3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl) benzène sulfonamide ;
50 le 3-[[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-(pyridin-3-ylméthyl) benzamide ;
le 3-[[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-(pyridin-2-ylméthyl) benzamide ;
55 le 3-[[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-(2-hydroxyéthyl) benzamide ;
le 3-[[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-(3-oxopyrazolidin-4-yl) benzamide ;

le 3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-[2-(1 H-imidazol-4-yl) éthyl] benzamide ;
 le N-(3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl)-3-[[3-(diméthylamino) pyrrolidin-1-yl] carbonyl] benzène sulfonamide ;
 5 le 3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-(pyridin-4-ylméthyl) benzamide ;
 le 3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-méthyl-N-(1-méthylpyrrolidin-3-yl) benzamide ;
 10 le N-(3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl)-3-[[3-(diéthylamino) pyrrolidin-1-yl] carbonyl] benzène sulfonamide ;
 le 3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-1H-pyrrol-1-ylbenzamide ;
 le 3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-(3-pyrrolidin-1-ylpropyl) benzamide ;
 15 le 3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-(2-cyanoéthyl)-N-méthylbenzamide ;
 le 3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-[2-(méthyloxy) éthyl] benzamide ;
 le 3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-(2-cyanoéthyl)-N-éthylbenzamide ;
 20 le 3-[[3-aminopipéridin-1-yl] carbonyl]-N-(3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl) benzène sulfonamide ;
 l'acide 3-[[3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl] benzoïque ;
 le 3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-[3-(diméthylamino) propyl] benzamide ;
 25 le 3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-morpholin-4-ylbenzamide ;
 le N-(3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl)-3-[[2,2-diméthylhydrazino] carbonyl] benzène sulfonamide ;
 le 3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-[3-(1H-imidazol-1-yl) propyl] benzamide ;
 30 le 3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-[3-(diéthylamino) propyl] benzamide ;
 le 3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-(2-cyanoéthyl) benzamide ;
 le N-[[3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl] phényl] carbonyl]-bêta-alaninate de méthyle ;
 35 le 3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-[2-(méthylthio) éthyl] benzamide ;
 le 3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-[2-(éthylthio) éthyl] benzamide ;
 le 3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-[2-(éthylthio) éthyl] benzamide ;
 40 le 3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-[2-(diméthylamino) éthyl]-N-éthylbenzamide ;
 le 3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-[3-(2-oxopyrrolidin-1-yl) propyl] benzamide ;
 45 le 3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-(2-pyridin-4-yléthyl) benzamide ;
 le 3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-[3-(éthoxy) propyl] benzamide ;
 le 3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-(3-morpholin-4-ylpropyl) benzamide ;
 50 le 3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-[3-(méthyloxy) propyl] benzamide ;
 le 3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-[3-(propyloxy) propyl] benzamide ;
 55 le 3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-[3-(propyloxy) propyl] benzamide ;
 le N-[[3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl] phényl] carbonyl]-bêta-alaninate d'éthyle ;

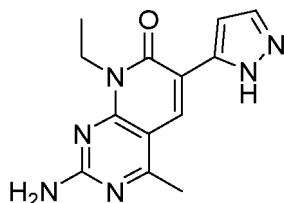
le 3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-{3-[(1-méthyléthyl) oxy] propyl} benzamide ;
 le 3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-(1,1-diméthyl-2-pipéridin-1-yléthyl) benzamide ;
 5 le 3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-méthyl-N-propylbenzamide ;
 le 3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-pipéridin-1-ylbenzamide ;
 le 3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-[1-méthyl-2-(méthyloxy) éthyl] benzamide ;
 10 le 3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-(1,1-diméthyl-2-morpholin-4-yléthyl) benzamide ;
 le N-(3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl)-3-{{2-[(diméthylamino) méthyl] pipéridin-1-yl} carbonyl} benzène sulfonamide ;
 le N-[3-(butyloxy) propyl]-3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl] benzamide ;
 15 le 3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-[4-(diéthylamino)-1-méthylbutyl] benzamide ;
 le 3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-N-(1,1-diméthyl-2-oxo-2-pipéridin-1-yléthyl) benzamide ;
 20 le N-(3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl)-3-[(4-méthylpipérazin-1-yl) carbonyl] benzène sulfonamide ;
 le N-(3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl)-3-[[2-(pipéridin-1-ylméthyl) pipéridin-1-yl] carbonyl] benzène sulfonamide ;
 le N-(3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl)-6-oxo-1,6-dihydropyridine-3-sulfonamide ;
 25 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-6-oxo-1,6-dihydropyridine-3-sulfonamide ;
 le 3-amino-N-(3-[[6-(méthyloxy) quinolin-8-yl] amino] quinoxalin-2-yl) benzène sulfonamide ;
 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl) thiophène-2-sulfonamide ;
 le N-(3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl)-3-cyanobenzène sulfonamide ;
 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-3-(méthylamino) benzène sulfonamide ;
 30 le N-(2-[[3,5-bis (méthyloxy) phényl] amino] pyrido [2,3-b] pyrazin-3-yl)-3-nitrobenzène sulfonamide ;
 le N-(3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl)-3-(1-[[2-(diméthylamino) éthyl] amino] éthyl) benzène sulfonamide ;
 le 3-amino-N-(3-[[3-(méthyloxy)-5-nitrophényl] amino] quinoxalin-2-yl) benzène sulfonamide ;
 le 3-acétyl-N-(3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl) benzène sulfonamide ;
 35 le 3-amino-N-(3-[[3-fluoro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl) benzène sulfonamide ;
 le N-(3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl)-N'-[2-(diméthylamino) éthyl] benzène-1,3-disulfonamide ;
 le N-(3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl)-N'-[3-(diméthylamino) propyl] benzène-1,3-disulfonamide ;
 40 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-6-chloropyridine-3-sulfonamide ;
 le N-(3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl)-3-{5-[(diméthylamino) méthyl]-1,3,4-oxadiazol-2-yl} benzène sulfonamide ;
 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-6-[[2-(diméthylamino) éthyl] amino] pyridine-3-sulfonamide ;
 45 le 3-amino-N-(3-[[3-amino-5-(méthyloxy) phényl] amino] quinoxalin-2-yl) benzène sulfonamide ;
 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-3-(diméthylamino) benzène sulfonamide ;
 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-6-[[2-(diméthylamino) éthyl] oxy] pyridine-3-sulfonamide ;
 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-6-(diméthylamino) pyridine-3-sulfonamide ;
 50 le N-[3-[[3-[[4-fluorophényl] amino] quinoxalin-2-yl] amino] sulfonyl] phényl]-N-2-, N-2-diméthylglycinamide ;
 le N-(3-[[2-chloro-6-(méthyloxy) pyridin-4-yl] amino] quinoxalin-2-yl)-3-nitrobenzène sulfonamide ;
 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-4-cyanobenzène sulfonamide ;
 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-4-fluorobenzène sulfonamide ;
 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-4-fluoro-2-méthylbenzène sulfonamide ;
 55 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-2-méthylbenzène sulfonamide ;
 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-3-cyanobenzène sulfonamide ;
 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-3,5-difluorobenzène sulfonamide ;
 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-2-chlorobenzène sulfonamide ;

le N-(4-[[3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl) phényl) acétamide ;
 le N-(3-[[6-(méthyloxy) quinolin-8-yl] amino] quinoxalin-2-yl)-3-nitrobenzène sulfonamide ;
 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-3-(2H-tétrazol-5-yl) benzène sulfonamide ;
 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl) naphtalène-1-sulfonamide ;
 5 le 3-nitro-N-[3-(pyridin-4-ylamino) quinoxalin-2-yl] benzène sulfonamide ;
 le N-(3-[[2,6-dichloropyridin-4-yl] amino] quinoxalin-2-yl)-3-nitrobenzène sulfonamide ;
 le N-(3-[[2-chloropyridin-4-yl] amino] quinoxalin-2-yl)-3-nitrobenzène sulfonamide ;
 le N-(3-[[4,6-bis (méthyloxy) pyrimidin-2-yl] amino] quinoxalin-2-yl)-3-nitrobenzène sulfonamide ;
 le N-(3-[[4-hydroxy-6-(méthyloxy) pyrimidin-2-yl] amino] quinoxalin-2-yl)-3-nitrobenzène sulfonamide ;
 10 le N-[[[3-[[3-[[2-chloro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl]-4-méthylphényl] amino]
 (diméthylamino) méthylidène)-N-méthylméthanaminium ;
 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-3-fluorobenzène sulfonamide ;
 le N-(3-[[2-bromo-5-(méthyloxy) phényl] amino] quinoxalin-2-yl)-3-nitrobenzène sulfonamide ;
 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-4-[[difluorométhyl] oxy] benzène sulfonamide ;
 15 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-2-(trifluorométhyl) benzène sulfonamide ;
 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-3-chloro-4-fluorobenzène sulfonamide ;
 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-4-(trifluorométhyl) benzène sulfonamide ;
 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-3-(méthylsulfonyl) benzène sulfonamide ;
 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-2,5-dichlorothiophène-3-sulfonamide ;
 20 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-3,5-dichlorobenzène sulfonamide ;
 le N-(3-[[2-méthyl-5-(méthyloxy) phényl] amino] quinoxalin-2-yl)-3-nitrobenzène sulfonamide ;
 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-4-[[trifluorométhyl] oxy] benzène sulfonamide ;
 le N-(3-[[[3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl] phényl)-2-[4-(diméthylamino)
 pipéridin-1-yl] acétamide ;
 25 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-5-chloro-2-(méthyloxy) benzène sulfonamide ;
 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-3-(trifluorométhyl) benzène sulfonamide ;
 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-2,5-bis (méthyloxy) benzène sulfonamide ;
 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-3,5-diméthylisoxazole-4-sulfonamide ;
 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-5-bromo-2-(méthyloxy) benzène sulfonamide ;
 30 le N-(3-[[3-fluoro-5-(méthyloxy) phényl] amino] quinoxalin-2-yl)-3-nitrobenzène sulfonamide ;
 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-3-fluoro-4-méthylbenzène sulfonamide ;
 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-3-chloro-4-méthylbenzène sulfonamide ;
 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-2,5-diméthylthiophène-3-sulfonamide ;
 le N-(3-[[3-(méthyloxy) phényl] amino] quinoxalin-2-yl)-3-nitrobenzène sulfonamide ;
 35 le N-(3-[[2-chloro-5-hydroxyphényl] amino] quinoxalin-2-yl)-3-nitrobenzène sulfonamide ;
 le N-(3-[[[3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl] amino] sulfonyl] phényl)-4-méthyl-3-(méthy-
 loxy) benzamide ;
 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-1-phénylméthane sulfonamide ;
 le N-(3-[[3-(méthyloxy)-5-nitrophényl] amino] quinoxalin-2-yl)-3-nitrobenzène sulfonamide ;
 40 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-1-(3-chlorophényl) méthane sulfonamide ;
 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-4,5-dichlorothiophène-2-sulfonamide ;
 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-5-chloro-1,3-diméthyl-1 H-pyrazole-4-
 sulfonamide ;
 le N-(3-[[3,5-bis (méthyloxy) phényl] amino] quinoxalin-2-yl)-3,5-bis (trifluorométhyl) benzène sulfonamide ;
 45 le N-(3-[[3-hydroxyphényl] amino] quinoxalin-2-yl)-3-nitrobenzène sulfonamide ;
 le 3-nitro-N-[3-((3-[[trifluorométhyl] oxy] phényl) amino) quinoxalin-2-yl] benzène sulfonamide ;
 le 3-nitro-N-[3-(pyridin-3-ylamino) quinoxalin-2-yl] benzène sulfonamide ;
 le N-[3-(morpholin-4-ylamino) quinoxalin-2-yl]-3-nitrobenzène sulfonamide ;
 le carbamate de 3-[[3-[[3-nitrophényl] sulfonyl] amino] quinoxalin-2-yl] amino] phényldiméthyle ;
 50 le N-(3-[[2-chloropyridin-3-yl] amino] quinoxalin-2-yl)-3-nitrobenzène sulfonamide ;
 le 3-nitro-N-[3-(tétrahydro-2H-pyran-4-ylamino) quinoxalin-2-yl] benzène sulfonamide ;
 le N-(3-[[4-fluorophényl] amino] quinoxalin-2-yl) benzène sulfonamide ;
 le N-(3-[[3-[[1-méthyléthyl] oxy] phényl] amino] quinoxalin-2-yl)-3-nitrobenzène sulfonamide ;
 le N-(3-[[3-hydroxy-2-méthylphényl] amino] quinoxalin-2-yl)-3-nitrobenzène sulfonamide ;
 55 le N-(3-[[2,5-difluorophényl] amino] quinoxalin-2-yl)-3-nitrobenzène sulfonamide ;
 le N-(3-[[3-[[difluorométhyl] oxy] phényl] amino] quinoxalin-2-yl)-3-nitrobenzène sulfonamide ;
 le N-(3-[[2-(méthyloxy) pyridin-3-yl] amino] quinoxalin-2-yl)-3-nitrobenzène sulfonamide ;
 le N-(3-[[3-(éthoxy) phényl] amino] quinoxalin-2-yl)-3-nitrobenzène sulfonamide ;

le N-{3-[(2,2-difluoro-1,3-benzodioxol-4-yl) amino] quinoxalin-2-yl}-3-nitrobenzène sulfonamide ;
 le N-{3-[(3-[(3-nitrophényl) sulfonyl] amino} quinoxalin-2-yl) amino] phényl} acétamide ;
 le N-{3-(4-amino-1H-indol-1-yl) quinoxalin-2-yl}-3-nitrobenzène sulfonamide ;
 le N-{3-(1H-indol-4-ylamino) quinoxalin-2-yl}-3-nitrobenzène sulfonamide ;
 le N-2-,N-2-diméthyl-N-{3-[(3-[(4-(méthyloxy) phényl] amino} quinoxalin-2-yl) amino] sulfonyl} phényl)
 glycineamide ;
 le N-{3-(1H-indazol-6-ylamino) quinoxalin-2-yl}-3-nitrobenzène sulfonamide ;
 le N-{4-(méthyloxy)-3-[(3-[(3-nitrophényl) sulfonyl] amino} quinoxalin-2-yl) amino] phényl} acétamide ;
 le N-{3-[(4-méthylpyridin-3-yl) amino] quinoxalin-2-yl}-3-nitrobenzène sulfonamide ;
 le N-{3-[(2,3-bis (méthyloxy) phényl] amino} quinoxalin-2-yl)-3-nitrobenzène sulfonamide ;
 le N-{3-[(3,5-bis (méthyloxy) phényl] amino} quinoxalin-2-yl)-2-cyanobenzène sulfonamide ;
 le 3-nitro-N-{3-(1H-pyrazolo [3,4-d] pyrimidin-4-ylamino) quinoxalin-2-yl} benzène sulfonamide ;
 le N-{3-(1,3-benzoxazol-4-ylamino) quinoxalin-2-yl}-3-nitrobenzène sulfonamide ;
 le N-{3-[(2,6-difluoro-3-(méthyloxy) phényl] amino} quinoxalin-2-yl)-3-nitrobenzène sulfonamide ;
 le N-{3-[(3-[(4-fluoro-3-méthylphényl) amino] quinoxalin-2-yl) amino] sulfonyl} phényl)-N-2-,N-2-
 diméthylglycineamide ;
 le N-{3-[(3-[(3,5-diméthylphényl) amino] quinoxalin-2-yl) amino] sulfonyl} phényl)-N-2-, N-2-
 diméthylglycineamide ;
 le N-{3-[(3-[(2,4-bis (méthyloxy) phényl] amino} quinoxalin-2-yl) amino] sulfonyl} phényl)-N-2-,N-2-
 diméthylglycineamide ;
 le N-{3-[(3,5-dihydroxyphényl) amino] quinoxalin-2-yl}-3-nitrobenzène sulfonamide ;
 le N-{3-[(3-[(2,3-dihydro-1H-indén-5-ylamino) quinoxalin-2-yl] amino] sulfonyl} phényl)-N-2-,N-2-
 diméthylglycineamide ;
 le N-{3-[(3,5-bis (méthyloxy) phényl] amino} quinoxalin-2-yl)-4-[(1-méthyléthyl) oxy] benzène sulfonamide ;
 le N-{3-[(3,5-bis (méthyloxy) phényl] amino} quinoxalin-2-yl) biphényl-4-sulfonamide ;
 le N-{3-[(2-chloro-5-[(difluorométhyl) oxy] phényl] amino} quinoxalin-2-yl)-3-nitrobenzène sulfonamide ; et

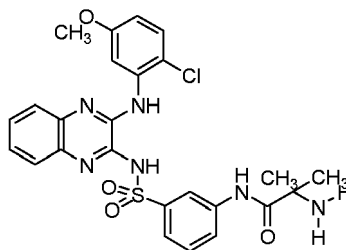
son sel ou son solvate pharmaceutiquement acceptable.

- 12.** Le composé ou la composition des revendications 1, 2, 3, 4, 5, 6, 7, 8, ou 9 destiné(e) à être utilisé(e) selon les revendications 1, 2, 3, 4, 5, 6, 7, 8, ou 9, le composé de Formule VIa ou VIb étant



la 2-amino-8-éthyl-4-méthyl-6-(1H-pyrazol-5-yl) pyrido [2,3-d] pyrimidin-7(8H)-one.

- 13.** Le composé ou la composition des revendications 1, 2, 3, 4, 5, 6, 7, 8, ou 9 destiné(e) à être utilisé(e) selon les revendications 1, 2, 3, 4, 5, 6, 7, 8, ou 9, le composé de Formule VIIa ou VIIb étant



le N-{3-[(3-[(2-chloro-5-(méthyloxy) phényl] amino} quinoxalin-2-yl) amino] sulfonyl} phényl)-2-méthylalaninamide.

REFERENCES CITED IN THE DESCRIPTION

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