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**C07D 209/88** <sup>(2006.01)</sup> **C07D 211/58** <sup>(2006.01)</sup>  
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(54) **NPYY5 ANTAGONISTS**  
NPYY5-ANTAGONISTEN  
ANTAGONISTES NPYY5

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**WO-A1-97/20820** **WO-A1-97/20821**  
**WO-A1-99/32466** **WO-A2-97/20823**  
**JP-A- 59 016 871**

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**Description**

## Technical Field

5 **[0001]** The present invention relates to a pharmaceutical composition for use as an NPY Y5 receptor antagonist, specifically, anti-obestic agent and novel compounds having an anti-obestic activity.

## Background Art

10 **[0002]** Neuropeptide Y (hereinafter referred to as NPY) is a peptide which consists of 36 amino acid residues and was isolated from porcine brain in 1982. NPY is widely distributed in the central nervous system and peripheral tissues of humans and animals.

15 **[0003]** It has been reported that NPY possesses a stimulating activity of food intake, an anti-seizure activity, a learning-promoting activity, an anti-anxiety activity, an antistress activity etc. in central nervous system, and it may be pivotally involved in the central nervous system diseases such as depression, Alzheimer's disease and Parkinson's disease. NPY is thought to be associated with the cardiovascular diseases, since it induces a contraction of smooth muscles such as blood vessels or cardiac muscles in the peripheral tissues. Furthermore, NPY is also known to be involved in the metabolic diseases such as obesity, diabetes, and hormone abnormalities (Trends in Pharmacological Sciences, Vol.15, and 153 (1994)). Therefore, an NPY receptor antagonist is expected as a medicine for preventing or treating various diseases involved in the NPY receptor.

20 **[0004]** Subtypes of Y1, Y2, Y3, Y4, Y5, and Y6 have now been identified as the NPY receptor (Trends in Pharmacological Sciences, Vol. 18, and 372 (1997)). It has been suggested that the Y5 receptor is at least involved in the feeding behavior and its antagonist is expected as an anti-obestic agent (Peptides, Vol. 18, and 445 (1997)).

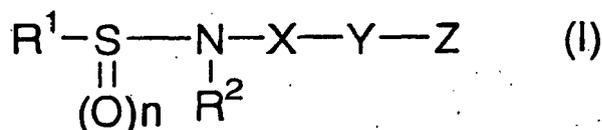
25 **[0005]** Quinazoline compounds having similar structures to those of the compounds of the present invention and exhibiting an NPY receptor antagonistic activity are described in WO97/20820, WO97/20821, WO97/20823 and the like. In addition, it is described that urea derivatives having a sulfonamide group and amide derivatives having a sulfonyl group in WO 99/64349 and benzyl sulfonamide derivatives in EP1010691-A, have an NPY antagonistic activity. Substituted sulfonamide derivatives, pharmaceutical composition comprising those and their use in the treatment of obesity and related disorders are disclosed in WO 99/32466. Compounds having similar structures to those of the compounds of the present invention are described in JP59-16871-A and WO97/15567. Their activities are quite different from that of the present invention and these documents do not suggest the present invention.

## Disclosure of Invention

35 **[0006]** The object of the present invention is to provide a superior pharmaceutical composition for use as an NPY Y5 receptor antagonist and novel compounds having the activity.

**[0007]** The present invention provides

40 [1] The use of a compound of the formula (I):



45 wherein R<sup>1</sup> is optionally substituted lower alkyl, or optionally substituted cycloalkyl  
R<sup>2</sup> is hydrogen or lower alkyl, and R<sup>1</sup> and R<sup>2</sup> taken together may form lower alkylene, n is 1 or 2,  
50 X is optionally substituted lower alkylene,  
optionally substituted lower alkenylene,  
optionally substituted -CO-lower alkylene,  
optionally substituted -CO-lower alkenylene or



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wherein R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> are each independently hydrogen or lower alkyl,

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is optionally substituted cycloalkylene, optionally substituted cycloalkenylene, optionally substituted bicycloalkylene, optionally substituted arylene or optionally substituted heterocyclediyl and p and q are each independently 0 or 1, -NR<sup>2</sup>-X- may be

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wherein

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is piperidinediyl, piperazinediyl, pyridinediyl, pyrazinediyl, pyrrolidinediyl or pyrrolediyl and U is single bond, lower alkylene or lower alkenylene,

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Y is OCONR<sup>7</sup>, CONR<sup>7</sup>, CSNR<sup>7</sup>, NR<sup>7</sup>CO or NR<sup>7</sup>CS,

R<sup>7</sup> is hydrogen or lower alkyl, and

Z is optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted amino, optionally substituted lower alkoxy, optionally substituted carbocyclyl or optionally substituted heterocyclyl,

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, pharmaceutically acceptable salt or solvate thereof, for the preparation of a pharmaceutical composition as an NPY Y5 receptor antagonist ist.

[2] The use as described in [1] wherein R<sup>2</sup> is hydrogen or lower alkyl and Z is optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkoxy, optionally substituted carbocyclyl, optionally substituted heterocyclyl or optionally substituted amino, provided that R<sup>1</sup> is optionally substituted C3 to C10 alkyl when Z is optionally substituted amino,

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[3] The use as

described in [1] wherein R<sup>1</sup> is optionally substituted lower alkyl or optionally substituted cycloalkyl, X is optionally substituted lower alkylene, optionally substituted lower alkenylene or

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wherein



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is the same as defined in [1], and

Z is optionally substituted lower alkyl, optionally substituted carbocyclyl or optionally substituted heterocyclyl,

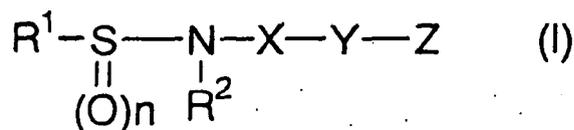
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[4] The use as described in any one of [1] to [3] wherein R<sup>1</sup> is optionally substituted C3 to C10 alkyl,

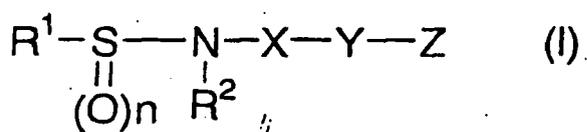
[5] The use as described in any one of [1] to [4] as an anti-obestic agent,

[6] The use as described in any one of [1] to [4] as an anorectic agent,

[7] A compound of the formula (I):



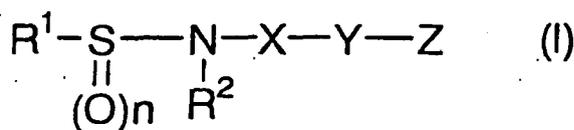
- 5  
10  
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- wherein X is C2 to C6 alkylene or C3 to C6 alkenylene, R<sup>1</sup> is optionally substituted C3 to C10 alkyl or optionally substituted C5 to C6 cycloalkyl and the other symbols are the same as defined in [1], provided that Z is not lower alkylphenylamino, hydroxy(lower)alkylphenylamino and acylphenylamino when Y is NR<sup>7</sup>CO, pharmaceutically acceptable salt or solvate thereof,  
[8] The compound described in [7] wherein Z is optionally substituted lower alkyl or optionally substituted phenyl, pharmaceutically acceptable salt or solvate thereof,  
[9] A compound of the formula (I):



- 20  
25
- wherein X is



- 30  
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- is optionally substituted cycloalkylene, optionally substituted cycloalkenylene, optionally substituted bicycloalkylene or optionally substituted piperidinylene, R<sup>1</sup> is optionally substituted C3 to C10 alkyl or optionally substituted C5 to C6 cycloalkyl and the other symbols are the same as defined in [1], prodrug, pharmaceutically acceptable salt or solvate thereof,  
[10] The compound described in [9] wherein is optionally substituted cyclohexylene or optionally substituted piperidinylene and p and q are simultaneously 0, prodrug, pharmaceutically acceptable salt or solvate thereof,  
[11] The compound described in [9] or [10] wherein Y is CONH, prodrug, pharmaceutically acceptable salt or solvate thereof,  
[12] The compound described in any one of [9] to [11] wherein Z is optionally substituted lower alkyl, optionally substituted phenyl, optionally substituted pyridyl or optionally substituted benzopyranyl, prodrug, pharmaceutically acceptable salt or solvate thereof,  
[13] A compound of the formula (I):



- 55
- wherein X is



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is heteroarylene, R<sup>1</sup> is optionally substituted C3 to C10 alkyl or optionally substituted C5 to C6 cycloalkyl and the other symbols are the same as defined in [1], , pharmaceutically acceptable salt or solvate thereof, [14] The compound described in [13] wherein

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is thiophenediyl or furandiyl, pharmaceutically acceptable salt or solvate thereof and [15] A pharmaceutical composition comprising the compound described in any one of [7] to [14], pharmaceutically acceptable salt or solvate thereof.

#### 25 Best Mode for Carrying out the Invention

**[0008]** In the present specification, the term "halogen" includes fluorine, chlorine, bromine and iodine. Fluorine or chlorine is preferable.

**[0009]** The term "protective group" in "optionally protected hydroxy" and "optionally protected hydroxy(lower)alkyl" includes all of hydroxy protecting groups usually used. For example, acyl such as acetyl, trichloroacetyl and benzoyl, lower alkoxy carbonyl such as t-butoxycarbonyl, lower alkylsulfonyl such as methane sulfonyl, lower alkoxy(lower)alkyl such as methoxymethyl, trialkylsilyl such as t-butyl dimethylsilyl are included.

**[0010]** The term "lower alkyl" includes C1 to C10 straight or branched alkyl. The examples of "lower alkyl" are methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, isopentyl, neopentyl, hexyl, isohexyl, n-heptyl, isoheptyl, n-octyl, isooctyl, n-nonyl and n-decyl.

**[0011]** "Lower alkyl" represented by R<sup>1</sup> is preferably C3 to C10 alkyl, more preferably C3 to C6 alkyl and most preferably isopropyl or t-butyl.

**[0012]** "Lower alkyl" in other cases is preferably C1 to C6 alkyl and more preferably C1 to C4 alkyl.

**[0013]** The examples of substituents of "optionally substituted lower alkyl" represented by Z are, (1) halogen; (2) cyano;

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(3) the following groups (i) to (xvi), which are optionally substituted with one or more substituents selected from "a substituents group β" defined below,

(i) hydroxy, (ii) lower alkoxy, (iii) mercapto, (iv) lower alkylthio. (v) acyl, (vi) acyloxy, (vii) carboxy, (viii) lower alkoxy carbonyl, (ix) imino, (x) carbamoyl, (xi) thiocarbamoyl, (xii) lower alkyl carbamoyl, (xiii) lower alkylthiocarbamoyl, (xiv) amino, (xv) lower alkylamino or (xvi) heterocyclyl carbonyl;

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or

(4) a group of the formula:

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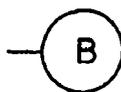


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wherein R<sup>10</sup> and R<sup>11</sup> are each independently hydrogen or lower alkyl and when this group has two or more of R<sup>10</sup> and/or two or more of R<sup>11</sup>, each R<sup>10</sup> and/or each R<sup>11</sup> may be different,

W is single bond, O, S or NR<sup>12</sup>,  
R<sup>12</sup> is hydrogen, lower alkyl or phenyl,

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10 is cycloalkyl, bicycloalkyl, cycloalkenyl, aryl or heterocyclyl, each of which is optionally substituted with one or more of substituents selected from "a substituents group  $\alpha$ " defined below and s is an integer of 0 to 4.

In the present specification, "a substituents group  $\alpha$ " is a group constituting of (1) halogen; (2) oxo; (3) cyano; (4) nitro; (5) imino optionally substituted with lower alkyl or hydroxy;

15 (6) the following groups (i) to (xxi), which are optionally substituted with one or more of groups selected from the substituents group  $\beta$ ,

20 (i) hydroxy, (ii) lower alkyl, (iii) lower alkenyl, (iv) lower alkoxy, (v) carboxy, (vi) lower alkoxy carbonyl, (vii) acyl, (viii) acyloxy, (ix) imino, (x) mercapto, (xi) lower alkylthio, (xii) carbamoyl, (xiii) lower alkylcarbamoyl, (xiv) cycloalkylcarbamoyl, (xv) thiocarbamoyl, (xvi) lower alkylthiocarbamoyl, (xvii) lower alkylsulfinyl, (xviii) lower alkylsulfonyl, (xix) sulfamoyl, (xx) lower alkylsulfamoyl and (xxi) cycloalkylsulfamoyl;

25 (7) the following groups (i) to (v), which are optionally substituted with the substituents group  $\beta$ , lower alkyl, lower alkoxy(lower)alkyl, optionally protected hydroxy(lower)alkyl, halogeno(lower)alkyl, lower alkylsulfonyl and/or arylsulfonyl,

(i) cycloalkyl, (ii) cycloalkenyl, (iii) cycloalkyloxy, (iv) amino and (v) alkylenedioxy;

30 and

(8) the following groups (i) to (xii), which are optionally substituted with the substituents group  $\beta$ , lower alkyl, halogeno(lower)alkyl and/or oxo,

35 (i) phenyl, (ii) naphthyl, (iii) phenoxy, (iv) phenyl(lower)alkoxy, (v) phenylthio, (vi) phenyl(lower)alkylthio, (vii) phenylazo, (viii) heterocyclyl, (ix) heterocycliloxy, (x) heterocyclylthio, (xi) heterocyclylcarbonyl and (xii) heterocyclylsulfonyl.

**[0014]** The preferable examples of the substituents group  $\alpha$  as substituents for B ring are halogen; nitro; hydroxy; optionally substituted lower alkyl wherein the substituents is halogen, cyano, phenyl, carboxy and/or lower alkoxy carbonyl; lower alkenyl; lower alkoxy carbonyl(lower)alkenyl; optionally substituted lower alkoxy wherein the substituents is halogen, hydroxy, lower alkoxy, carboxy, lower alkoxy-carbonyl, lower alkylamino and/or cyano; acyl; hydroxyimino; lower alkylthio; lower alkylsulfinyl; sulfamoyl; optionally substituted amino wherein the substituents is lower alkyl, optionally protected hydroxy(lower)alkyl, phenyl and/or acyl; alkylenedioxy; cyanophenyl; heterocyclylphenyl; biphenyl; phenoxy; phenylazo optionally substituted with lower alkyl; or optionally substituted heterocyclyl wherein the substituents is optionally protected hydroxy, mercapto, halogen, lower alkyl, cycloalkyl, lower alkoxy carbonyl, amino, lower alkoxy carbonyl amino, carbamoyl, oxo, phenyl, lower alkoxyphenyl or heterocyclyl.

50 More preferable examples are halogen; lower alkyl optionally substituted with halogen; or lower alkoxy optionally substituted with halogen.

**[0015]** "A substituents group  $\beta$ " is a group consisting of halogen, optionally protected hydroxy, mercapto, lower alkoxy, lower alkenyl, amino, lower alkylamino, lower alkoxy carbonylamino, lower alkylthio, acyl, carboxy, lower alkoxy carbonyl, carbamoyl, cyano, cycloalkyl, phenyl, phenoxy, lower alkylphenyl, lower alkoxyphenyl, halogenophenyl, naphthyl and heterocyclyl.

**[0016]** Examples of the substituents for "optionally substituted lower alkyl" represented by any other than Z (e.g., R<sup>1</sup>) are one or more substituents selected from the substituents group  $\beta$ . The lower alkyl may be substituted with these substituents at any possible positions.

- [0017]** The lower alkyl part in "lower alkoxy", "lower alkoxy carbonyl", "lower alkoxy carbonyl(lower)alkyl", "lower alkylphenyl", "lower alkoxyphenyl", "lower alkyl carbamoyl", "lower alkylthio carbamoyl", "lower alkylamino", "halogeno(lower)alkyl", "hydroxy(lower)alkyl", "phenyl(lower)alkoxy", "lower alkylthio", "phenyl(lower)alkylthio", "lower alkoxy carbonylamino", "lower alkoxy carbonyl(lower)alkenyl", "lower alkylsulfanyl", "lower alkylsulfonyl", "aryl(lower)alkoxy carbonyl", "lower alkylbenzoyl" and "lower alkoxybenzoyl" is the same as defined in the above "lower. alkyl".
- [0018]** Examples of substituents for "optionally substituted lower alkoxy" are one or more substituents selected from the substituents group  $\beta$ . Preferable examples are phenyl, lower alkylphenyl, lower alkoxyphenyl, naphthyl and heterocyclyl.
- [0019]** The term "cycloalkyl" includes C3 to C8 cyclic alkyl and preferably C5 to C6 cyclic alkyl. Examples are cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl and cyclooctyl.
- [0020]** Examples of substituents for "optionally substituted cycloalkyl" are one or more substituents selected from the substituents group  $\alpha$  and the cycloalkyl may be substituted with these substituents at any possible positions.
- [0021]** The term "bicycloalkyl" includes a group which is formed by excluding one hydrogen from a C5 to C8 aliphatic cycle containing two rings which possess two or more of atoms in common. Examples are bicyclo[2.1.0]pentyl, bicyclo[2.2.1]heptyl, bicyclo[2.2.2]octyl and bicyclo[3.2.1]octyl.
- [0022]** The term "lower alkenyl" includes C2 to C10, preferably C2 to C8 and more preferably C3 to C6 straight or branched alkenyl having one or more double bonds at any possible positions. Examples are vinyl, propenyl, isopropenyl, butenyl, isobutenyl, prenyl, butadienyl, pentenyl, isopentenyl, pentadienyl, hexenyl, isohexenyl, hexadienyl, heptenyl, octenyl, nonenyl and decenyl.
- [0023]** The "lower alkenyl" part in "lower alkoxy carbonyl(lower)alkenyl" is the same as the above "lower alkenyl".
- [0024]** Examples of the substituents for "optionally substituted lower alkenyl" are halogen, lower alkoxy, lower alkenyl, amino, lower alkylamino, lower alkoxy carbonylamino, lower alkylthio, acyl, carboxy, lower alkoxy carbonyl, carbamoyl, cyano, cycloalkyl, phenyl, lower alkylphenyl, lower alkoxyphenyl, naphthyl and/or heterocyclyl.
- [0025]** The term "acyl" includes (1) C1 to C10, preferably C1 to C6 and more preferably C1 to C4 straight or branched alkyl carbonyl or alkenyl carbonyl, (2) C4 to C9 and preferably C4 to C7 cycloalkyl carbonyl and (3) C7 to C11 aryl carbonyl. Examples are formyl, acetyl, propionyl, butyryl, isobutyryl, valeryl, pivaloyl, hexanoyl, acryloyl, propioloyl, methacryloyl, crotonoyl, cyclopropyl carbonyl, cyclohexyl carbonyl, cyclooctyl carbonyl and benzoyl.
- [0026]** The "acyl" part in "acyloxy" is the same as the above.
- [0027]** The term "cycloalkenyl" includes a group having at least one double bond at any possible positions in the above cycloalkyl. Examples are cyclopropenyl, cyclobutenyl, cyclopentenyl, cyclohexenyl and cyclohexadienyl.
- [0028]** Examples of substituents for "optionally substituted cycloalkenyl" are one or more substituents selected from the substituents group  $\beta$ .
- [0029]** Examples of substituents for "optionally substituted amino" are the substituents group  $\beta$ , optionally substituted benzoyl and/or optionally substituted heterocyclyl carbonyl wherein the substituents is hydroxy, lower alkyl, lower alkoxy and/or lower alkylthio.
- [0030]** The term "aryl" includes a monocyclic or polycyclic aromatic carbocyclyl group and examples are phenyl, naphthyl, anthryl and phenanthryl. "Aryl" includes aryl fused with other a non-aromatic carbocyclyl group, for example, indanyl, indenyl, biphenyl, acenaphthyl, tetrahydronaphthyl and fluorenyl. Phenyl is preferable.
- [0031]** The aryl part in "aryl lower alkoxy carbonyl" is the same as the above.
- [0032]** The term "optionally substituted aryl" and "optionally substituted phenyl" represented by Z include the above "aryl" and "phenyl" respectively, which may be substituted with the substituents group  $\alpha$  or lower alkyl which may be substituted with one or more group selected from the substituents group  $\alpha$ .
- [0033]** Examples of the substituents for "optionally substituted aryl" and "optionally substituted phenyl" represented by any other than Z are one or more groups selected from the substituents group  $\beta$ .
- [0034]** The term "carbocyclyl" includes the above "cycloalkyl", "cycloalkenyl", "bicycloalkyl" and "aryl".
- [0035]** The term "non-aromatic carbocyclyl" includes the above "cycloalkyl", "cycloalkenyl" and "bicycloalkyl".
- [0036]** The term "optionally substituted carbocyclyl" includes the above "optionally substituted cycloalkyl", "optionally substituted cycloalkenyl", "optionally substituted bicycloalkyl" and "optionally substituted aryl".
- [0037]** The term "heterocyclyl" includes a heterocyclic group containing at least one heteroatom arbitrarily selected from O, S and N. For example, 5- or 6-membered heteroaryl such as pyrrolyl, imidazolyl, pyrazolyl, pyridyl, pyridazinyl, pyrimidinyl, oxazolyl, oxadiazolyl, isothiazolyl, thiazolyl, thiadiazolyl, furyl and thienyl; fused heterocyclyl consisting of two rings such as indolyl, isoindolyl, indazolyl, indolizyl, indolyl, isoindolyl, quinolyl, isoquinolyl, cinolinyl, phthalazinyl, quinazolyl, naphthyridinyl, quinoxalyl, purinyl, pteridinyl, benzopyranyl, benzimidazolyl, benzisoxazolyl, benzoxazolyl, benzoxadiazolyl, benzisothiazolyl, benzothiazolyl, benzothiadiazolyl, benzofuryl, isobenzofuryl, benzothienyl, benzotriazolyl, imidazopyridyl, triazoropyridyl, imidazothiazolyl, pyrazinopyridazinyl, quinazolyl, isoquinolyl, naphthyridinyl, dihydropyridyl, tetrahydroquinolyl and tetrahydrobenzothienyl; fused heterocyclyl consisting of three rings such as carbazolyl, acridinyl, xanthenyl, phenothiazinyl, phenoxathiinyl, phenoxazinyl and dibenzofuryl; and non-aromatic heterocyclyl such as dioxanyl, thiiranyl, oxiranyl, oxathiolanyl, azetidyl, thianyl, pyrrolidinyl, pyrrolinyl, imidazolidinyl,

imidazolyl, pyrazolidinyl, pyrazolyl, piperidyl, piperazinyl, morpholyl, morpholino, thiomorpholyl, thiomorpholino, dihydropyridyl, tetrahydrofuryl, tetrahydropyranyl, tetrahydrothiazolyl and tetrahydroisothiazolyl.

[0038] "Fused heterocyclyl" fused with a ring other than a heterocycle (e.g., benzothiazolyl), may connect at any possible position.

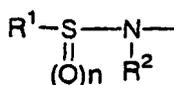
5 [0039] Substituents for "optionally substituted heterocyclyl" are the same as those for the above "optionally substituted aryl".

[0040] Heterocyclyl parts in "heterocyclylcarbonyl", "heterocycliloxy", "heterocyclylthio" and "heterocyclyl substituted phenyl" are the same as the above "heterocyclyl".

10 [0041] The term "lower alkylene" includes a bivalent group comprising 1 to 6 of methylene, preferably 2 to 6 of methylene and more preferably 3 to 6 of methylene. For example, methylene, ethylene, trimethylene, tetramethylene, pentamethylene and hexamethylene are included. Tetramethylene is preferable.

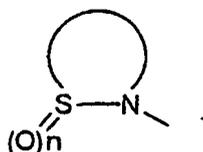
[0042] "R<sup>1</sup> and R<sup>2</sup> taken together may form lower alkylene" includes the case

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is

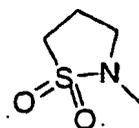
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Preferable examples are

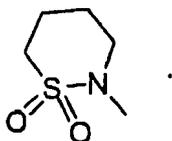
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and

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45

[0043] Lower alkylene part in "lower alkylenedioxy" is the same as the above "lower alkylene". Methylenedioxy or ethylenedioxy is preferable.

50 [0044] The term "lower alkenylene" includes a bivalent group comprising 2 to 6 of methylene, preferably 3 to 6 of methylene and more preferably 4 to 5 of methylene and including at least one double bond.

[0045] The term "cycloalkylene" includes a bivalent group which is formed by excluding one hydrogen from the above "cycloalkyl". A preferable example of cycloalkylene represented by X is 1, 4-cyclohexanediyl.

[0046] The term "cycloalkenylene" includes a group containing at least one double bonds in the above cycloalkylene.

55 [0047] The term "bicycloalkylene" includes a group which is formed by excluding one hydrogen from the above "bicycloalkyl". Examples are bicyclo[2. 1. 0]pentylene, bicyclo[2. 2. 1]heptylene, bicyclo[2. 2. 2]octylene, and bicyclo[3. 2. 1]octylene.

[0048] The term "heterocycllediyl" includes a bivalent group which is formed by excluding one hydrogen from the above "heterocyclyl". Piperidinediyl, piperazinediyl, pyridinediyl, pyrimidinediyl, pyrazinediyl, pyrrolidinediyl or pyrrolediyl is

preferable and piperidindyl is more preferable.

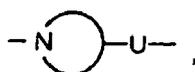
**[0049]** The term "arylene" includes a bivalent group which is formed by excluding one hydrogen from the above "aryl". Phenylene is preferable.

5 **[0050]** The term "heteroarylene" includes aromatic groups in the above "heterocyclediyl". Examples are pyrrolediyl, imidazole-diyl, pyrazole-diyl, pyridine-diyl, pyridazine-diyl, pyrimidine-diyl, pyrazine-diyl, triazole-diyl, triazine-diyl, isoxazole-diyl, oxazole-diyl, oxadiazole-diyl, isothiazole-diyl, thiazole-diyl, thiadiazole-diyl, furandiyl and thiophenediyl.

10 **[0051]** One or more groups selected from the substituents group  $\beta$  are examples of substituents for "optionally substituted lower alkylene", "optionally substituted lower alkenylene", "optionally substituted cycloalkylene", "optionally substituted cyclohexylene", "optionally substituted bicycloalkylene", "optionally substituted cycloalkenylene", "optionally substituted phenylene", "optionally substituted heterocyclediyl" and "optionally substituted piperidinylene". Halogen, hydroxy, lower alkyl, halogeno(lower)alkyl, lower alkoxy, amino, lower alkylamino, acyl, carboxy or lower alkoxy-carbonyl is preferable. These substituents may attach to any possible positions.

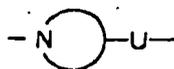
**[0052]** When  $-NR^2-X-$  is

15



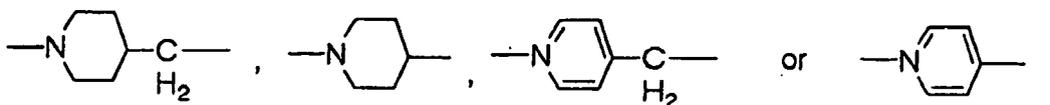
20 U is preferably single bond or methylene. More preferably,

25



is

30



35

**[0053]** The compounds of the present invention include any formable and pharmaceutically acceptable salts thereof. Examples of "the pharmaceutically acceptable salt" are salts with mineral acids such as hydrochloric acid, sulfuric acid, nitric acid and phosphoric acid; salts with organic acids such as para-toluenesulfonic acid, methanesulfonic acid, oxalic acid and citric acid; salts with organic bases such as ammonium, trimethylammonium and triethylammonium; salts with alkaline metals such as sodium and potassium; and salts with alkaline earth metals such as calcium and magnesium.

40

**[0054]** The compounds of the present invention include solvates thereof. Hydrate is preferable and arbitrary numbers of water molecules may coordinate to the compound of the present invention.

**[0055]** When the compound (I) of the present invention has an asymmetric carbon atom, it includes racemates, all of enantiomers and all of stereoisomers such as diastereomer, epimer and enantiomer thereof.

45

**[0056]** When the compound (I) of the present invention having one or more double bonds forms an E isomer or Z isomer, the compound (I) includes both isomers. When X is cycloalkylene, the compound (I) includes both of cis isomer and trans isomer.

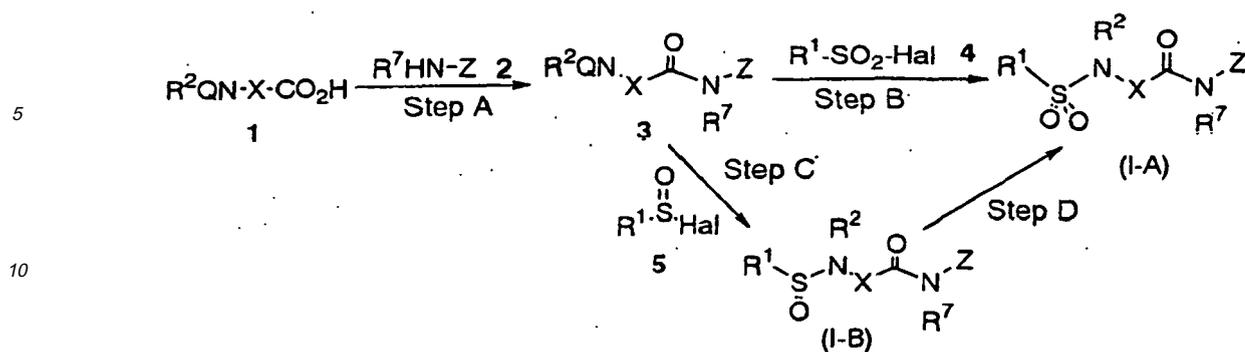
**[0057]** For example, the compound (I) of the present invention can be synthesized by the following method.

50

(Compounds wherein  $Y=CONR^7$ )

**[0058]**

55



wherein Hal is halogen, Q is an amino protecting group and the other symbols are the same as the above.

#### Step A

**[0059]** Compound 1 is reacted with Amino Compound 2 having the desired substituent Z and  $R^7$  in a suitable solvent at 0 °C to 50 °C for several minutes to several hours. As solvent, tetrahydrofuran, dimethylformamide, diethyl ether, dichloromethane, toluene, benzene, xylene, cyclohexane, hexane, chloroform, ethyl acetate, butyl acetate, pentane, heptane, dioxane, acetone, acetonitrile, water, a mixture thereof etc. can be used. An activator such as thionyl chloride, acid halide, acid anhydride and activated ester can be used, if necessary.

#### Step B

**[0060]** Compound 3 is deprotected by the usual method and reacted with Sulfonyl Halide 4 having the desired substituent  $R^1$  in a suitable solvent at 0 °C to 50 °C for several minutes to several hours to give Compound (I-A) wherein n is 2. Tetrahydrofuran, dimethylformamide, diethyl ether, dichloromethane, toluene, benzene, xylene, cyclohexane, hexane, chloroform, ethyl acetate, butyl acetate, pentane, heptane, dioxane, acetone, acetonitrile, water and the mixture thereof etc. can be used as a solvent.

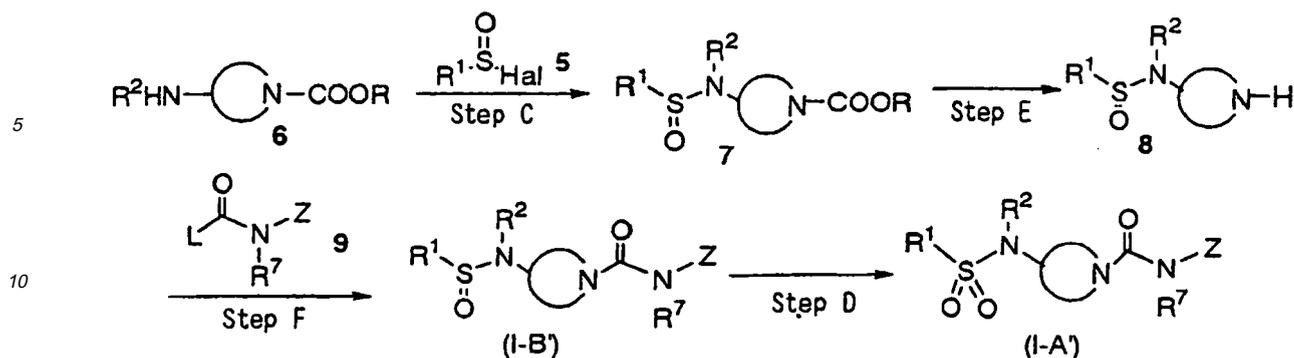
#### Step C

**[0061]** Compound (I-B) wherein n is 1 can be synthesized by reacting Compound 3 with Sulfinyl Halide 5 having substituent  $R^1$ . The conditions for the reaction are the same as those of the above Step B.

#### Step D

**[0062]** Compound (I-B) obtained in Step C is oxidized by the usual method to give Compound (I-A) wherein n is 2. m-Chloroperbenzoic acid, peracetic acid, hydrogen peroxide, trifluoroperacetic acid, sodium periodate, sodium hypochlorite, potassium permanganate etc. can be used as an oxidizer and the reaction may be carried out at 0 °C to 50 °C. Examples of solvents are tetrahydrofuran, dimethylformamide, diethyl ether, dichloromethane, toluene, benzene, xylene, cyclohexane, hexane, chloroform, ethyl acetate, butyl acetate, pentane, heptane, dioxane, acetone, acetonitrile, water, methanol, ethanol, isopropanol and mixture thereof.

**[0063]** In case X is heterocyclodiyl containing at least one N atom and the N atom connects to  $CONR^7 \cdot Z$  in the compound (I), the following reaction may be employed to obtain Compound (I-A') or (I-B'). Step D may be carried out just after Step C or Step E.



wherein R is lower alkyl or aryl and L is a leaving group.

Step C

**[0064]** Compound 5 is reacted with Compound 6 in a similar manner to the above Step C to give Compound 7.

Step E

**[0065]** Thus obtained Compound 7 is treated with a base in a suitable solvent to give Compound 8. For example, barium hydroxide, sodium hydroxide, potassium hydroxide, hydrazine or lithium propane thiolate can be used as a base. As a solvent, tetrahydrofuran, dimethylformamide, dioxane, acetone, acetonitrile, methanol, ethanol, propanol, water, the mixture thereof or the like can be used. The reaction can be carried out at 0 °C to 100 °C for several minutes to several tens hours.

Step F

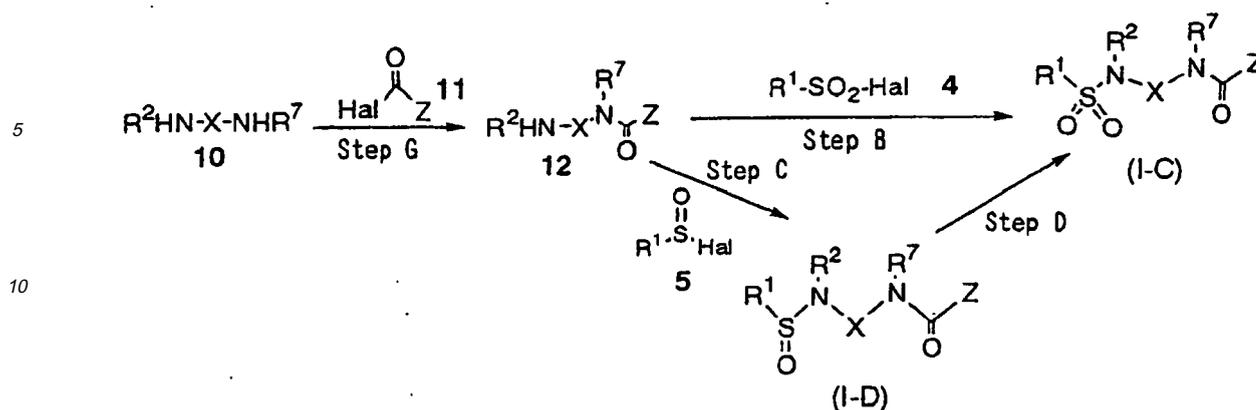
**[0066]** Compound 8 is reacted with Compound 9 having a leaving group and a desired substituent in a suitable solvent in the presence or absence of a base at 0 °C to 100 °C for several minutes to several days to give Compound (I-B'). Examples of the leaving group are phenoxy, chloro and trichloromethyl. Examples of the base are triethylamine, pyridine, diisopropylethylamine, sodium hydroxide, potassium carbonate and sodium hydrogencarbonate. Examples of the solvent are tetrahydrofuran, dimethylformamide, diethyl ether, dichloromethane, toluene, benzene, xylene, cyclohexane, hexane, chloroform, ethyl acetate, butyl acetate, pentane, heptane, dioxane, acetone, acetonitrile, methanol, ethanol and the mixture thereof.

Step D

**[0067]** Compound (I-B') is reacted in a similar manner to the above Step D to give Compound (I-A').

(Compound wherein Y=NR<sup>7</sup>CO)

**[0068]**



Step G and Step B

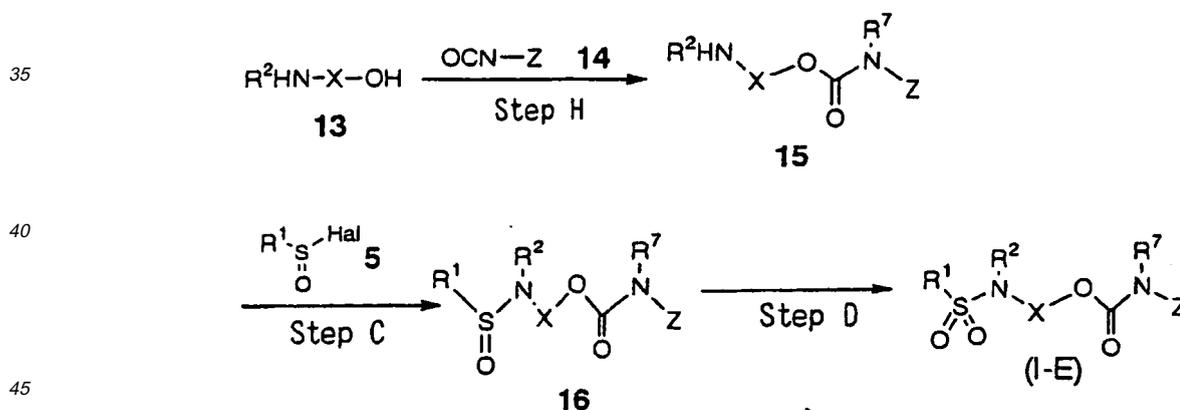
20 **[0069]** Compound 10 is reacted with Compound 11 under the same reaction condition as that in Step B. Thus obtained Compound 12 is reacted in a similar manner to the above Step B to give Compound (I-C) wherein n=2.

Step C and Step D

25 **[0070]** To synthesize Compound (I-D), Compound 12 obtained in Step G may be reacted in similar manners to the above Step C and Step D.

(Compound wherein Y=OCONR<sup>7</sup>)

30 **[0071]**



50 Step H

55 **[0072]** Compound 13 is reacted with Isocyanate Compound 14 having a substituent Z in a suitable solvent in the presence or absence of a suitable catalyst at 0 °C to 100 °C for several minutes to several days to give Compound 15. Examples of the solvent are tetrahydrofuran, dimethylformamide, diethyl ether, dichloromethane, toluene, benzene, xylene, cyclohexane, hexane, chloroform, ethyl acetate, butyl acetate, pentane, heptane, dioxane, acetone, acetonitrile and the mixture thereof.

Step C and Step D

**[0073]** Thus obtained Compound 15 is reacted in similar manners to Step C and Step D to give Compound (I-E) of the present invention.

5

(Compound wherein  $Y = \text{CSNR}^7$  or  $\text{NR}^7\text{CS}$ )

10

**[0074]** Compound (I) wherein Y is  $\text{CSNR}^7$  or  $\text{NR}^7\text{CS}$  can be synthesized by reacting compound (I) wherein Y is  $\text{CONR}^7$  or  $\text{NR}^7\text{CO}$  synthesized in any one of the above methods with the Lawesson's reagent or phosphorus pentasulfide in a suitable solvent at 30 °C to 100 °C for several minutes to several hours. Examples of the solvent are tetrahydrofuran, dimethylformamide, diethyl ether, dichloromethane, toluene, benzene, xylene, cyclohexane, hexane, chloroform, ethyl acetate, butyl acetate, pentane, heptane, dioxane, acetone, acetonitrile and the mixture thereof.

15

**[0075]** Amino groups may be protected with a suitable protecting group in the usual manner at a suitable step. For example, phthalimide, lower alkoxy carbonyl, lower alkenyloxy carbonyl, halogenoalkoxy carbonyl, aryl(lower)alkoxy carbonyl, trialkylsilyl, lower alkylsulfonyl, halogeno(lower)alkylsulfonyl, arylsulfonyl, lower alkyl carbonyl and aryl carbonyl can be used as the protecting group.

20

**[0076]** After protection of the amino group, the compound is subjected to the above-mentioned reactions and the obtained compound is deprotected by treatment of an acid or a base in a suitable solvent at a suitable stage. Examples of a solvent is tetrahydrofuran, dimethylformamide, diethyl ether, dichloromethane, toluene, benzene, xylene, cyclohexane, hexane, chloroform, ethyl acetate, butyl acetate, pentane, heptane, dioxane, acetone, acetonitrile and the mixture thereof. Examples of a base are hydrazine, pyridine, sodium hydroxide and potassium hydroxide and examples of an acid are hydrochloric acid, trifluoroacetic acid and hydrofluoric acid.

25

**[0077]** All of the compounds of the present invention have an NPY Y5 antagonistic activity and the following compounds are specifically preferable.

30

**[0078]** In the formula (I),  
a compound wherein  $R^1$  is optionally substituted lower alkyl or optionally substituted cycloalkyl (hereinafter referred to as " $R^1$  is R1-1"),

a compound wherein  $R^1$  is C3 to C10 alkyl or C5 to C6 cycloalkyl, each of which is optionally substituted with halogen (hereinafter referred to as " $R^1$  is R1-2"),

a compound wherein  $R^1$  is C3 to C10 alkyl optionally substituted with halogen (hereinafter referred to as " $R^1$  is R1-3"),

a compound wherein  $R^1$  is isopropyl or t-butyl (hereinafter referred to as " $R^1$  is R1-4"),

a compound wherein  $R^2$  is hydrogen or C1 to C3 alkyl (hereinafter referred to as " $R^2$  is R2-1"),

a compound wherein  $R^2$  is hydrogen (hereinafter referred to as " $R^2$  is R2-2"),

a compound wherein X is optionally substituted lower alkylene, optionally substituted lower alkenylene or

35



40

wherein



45

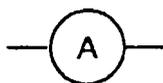
is optionally substituted cycloalkylene, optionally substituted cycloalkenylene, optionally substituted bicycloalkylene, optionally substituted phenylene or optionally substituted heterocyclediyl (hereinafter referred to as " $X$  is X-1"), a compound wherein X is C2 to C6 alkylene, C3 to C6 alkenylene or

50



55

wherein



5 is optionally substituted cycloalkylene, optionally substituted cycloalkenylene, optionally substituted bicycloalkylene, optionally substituted phenylene, optionally substituted piperidinylene, optionally substituted thiophenediyl or optionally substituted furandiyl (hereinafter referred to as "X is X-2"), a compound wherein X is C2 to C6 alkylene or



15 wherein is optionally substituted cycloalkylene, optionally substituted phenylene, optionally substituted piperidinylene, optionally substituted thiophenediyl or optionally substituted furandiyl (hereinafter referred to as "X is X-3"), a compound wherein X is (i) C2 to C6 alkylene or (ii) cycloalkylene or phenylene, each of which is optionally substituted with halogen, hydroxy, lower alkyl or halogeno(lower)alkyl (hereinafter referred to as "X is X-4"),  
 20 a compound wherein X is C2 to C6 alkylene or to C5 to C6 cycloalkylene (hereinafter referred to as "X is X-5"), a compound wherein X is C3 to C6 alkylene or 1,4-cyclohexylene (hereinafter referred to as "X is X-6"), a compound wherein Y is CONR<sup>7</sup>, CSNR<sup>7</sup>, NR<sup>7</sup>CO or NR<sup>7</sup>CS and R<sup>7</sup> is hydrogen or C1 to C3 alkyl (hereinafter referred to as "Y is Y-1"),  
 a compound wherein Y is CONH, CSNH or NHCO (hereinafter referred to as "Y is Y-2"), a compound wherein Y is CONH (hereinafter referred to as "Y is Y-3"),  
 25 a compound wherein Z is optionally substituted lower alkyl, optionally substituted carbocyclyl or optionally substituted heterocyclyl (hereinafter referred to as "Z is Z-1"), a compound wherein Z is -(CR<sup>8</sup> R<sup>9</sup>)<sub>r</sub>-W-(CR<sup>10</sup>R<sup>11</sup>)<sub>s</sub>-V  
 wherein R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup> and R<sup>11</sup> are each independently hydrogen or lower alkyl and when Z has two or more of R<sup>8</sup>, two or more of R<sup>9</sup>, two or more of R<sup>10</sup> and /or two or more of R<sup>11</sup>, each of R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup> and R<sup>11</sup> may be different, W is single  
 30 bond, O, S or NR<sup>12</sup>, R<sup>12</sup> is hydrogen, lower alkyl or phenyl, V is hydrogen, optionally substituted cycloalkyl, optionally substituted bicycloalkyl, optionally substituted aryl or optionally substituted heterocyclyl, r is an integer of 1 to 4 and s is an integer of 0 to 4  
 (hereinafter referred to as "Z is Z-2"),  
 a compound wherein Z is -(CH<sub>2</sub>)<sub>r</sub>-W-(CH<sub>2</sub>)<sub>s</sub>-V  
 35 wherein W is single bond, O, S or NR<sup>12</sup>, R<sup>12</sup> is hydrogen or lower alkyl, V is optionally substituted aryl or optionally substituted heterocyclyl wherein the substituents is halogen, hydroxy, lower alkyl, halogeno(lower)alkyl, lower alkoxy, lower alkenyl, amino, lower alkylamino, acyl, carboxy, lower alkoxy carbonyl, phenyl or monocyclic heteroaryl, r is an integer of 1 to 4 and s is an integer of 0 to 4  
 (hereinafter referred to as "Z is Z-3"),  
 40 a compound wherein Z is -(CH<sub>2</sub>)<sub>r</sub>-W-(CH<sub>2</sub>)<sub>s</sub>-V  
 wherein W is single bond, O, S, NH or NMe, V is optionally substituted phenyl or optionally substituted heteroaryl wherein the substituents is halogen, lower alkyl, halogeno(lower)alkyl, lower alkoxy, amino or lower alkylamino, r is an integer of 1 to 3 and s is an integer of 0 or 1  
 (hereinafter referred to as "Z is Z-4"),  
 45 a compound wherein Z is optionally substituted carbocyclyl,  
 wherein the substituent is halogen; hydroxy;  
 optionally substituted lower alkyl wherein the substituents is halogen, hydroxy, carboxy, lower alkoxy carbonyl, cyano and /or phenyl;  
 lower alkenyl optionally substituted with lower alkoxy carbonyl;  
 50 optionally substituted lower alkoxy wherein the substituents is halogen, hydroxy, lower alkoxy, carboxy, lower alkoxy carbonyl, lower alkylamino, cycloalkyl, cyano and /or heterocyclyl;  
 cycloalkyl; cycloalkyloxy; acyl; lower alkylthio; carbamoyl; lower alkyl carbamoyl; cycloalkyl carbamoyl; hydroxy imino;  
 optionally substituted amino wherein the substituents is lower alkyl, optionally protected hydroxy(lower)alkyl, lower alkoxy (lower)alkyl, acyl, lower alkylsulfonyl, arylsulfonyl and/or phenyl;  
 55 phenyl optionally substituted with halogen, cyano, phenyl and /or heterocyclyl;  
 lower alkylsulfinyl; lower alkylsulfamoyl; cycloalkylsulfamoyl;  
 nitro; cyano; alkylenedioxy; phenylazo optionally substituted with lower alkyl; phenoxy; oxo;  
 optionally substituted heterocyclyl wherein the substituents is optionally protected hydroxy, mercapto, halogen, lower

alkyl, cycloalkyl, lower alkoxy-carbonyl, acyl, amino, lower alkoxy-carbonylamino, carbamoyl, oxo, phenyl, lower alkoxy-phenyl, halogenophenyl, heterocyclyl and /or oxo;  
heterocyclylsulfonyl optionally substituted with lower alkyl: heterocyclylloxy;  
heterocyclylcarbonyl optionally substituted with lower alkyl  
5 (hereinafter referred to as "Z is Z-5"),  
a compound wherein Z is optionally substituted phenyl  
wherein the substituents is halogen; hydroxy; lower alkyl optionally substituted with halogen, hydroxy, lower alkoxy-carbonyl, cyano and /or phenyl; lower alkoxy-carbonyl(lower)alkenyl; lower alkoxy optionally substituted with halogen, lower alkoxy, lower alkoxy-carbonyl, cycloalkyl and /or heterocyclyl; cycloalkyl;  
10 cycloalkyloxy; acyl; lower alkylthio; carbamoyl; lower alkylcarbamoyl; amino optionally substituted with lower alkyl, hydroxy (lower)alkyl, acyl, lower alkylsulfonyl and /or phenyl; phenyl optionally substituted with halogen, cyano, phenyl and /or heterocyclyl;  
lower alkyl sulfamoyl; cycloalkylsulfamoyl; nitro; alkylenedioxy; phenylazo optionally substituted with lower alkyl; phenoxy; oxo;  
15 heterocyclyl optionally substituted with hydroxy, halogen, lower alkyl, lower alkoxy-carbonyl, amino, carbamoyl, phenyl, halogenophenyl, heterocyclyl and /or oxo;  
heterocyclylloxy; and/or heterocyclylsulfonyl optionally substituted with lower alkyl (hereinafter referred to as "Z is Z-6"),  
a compound wherein Z is optionally substituted phenyl  
20 wherein the substituents is halogen; lower alkyl optionally substituted with halogen, hydroxy, lower alkoxy-carbonyl and/or phenyl; lower alkoxy optionally substituted with halogen and/or cycloalkyl; cycloalkyl; cycloalkyloxy; acyl; lower alkylthio; lower alkylcarbamoyl; amino optionally substituted with lower alkyl, hydroxy(lower)alkyl, acyl and/or phenyl; phenyl optionally substituted with piperidyl; cycloalkylsulfamoyl; alkylenedioxy; phenoxy;  
morpholinyl or morpholino, each of which is optionally substituted with lower alkyl;  
25 piperidyl optionally substituted with hydroxy, lower alkyl, lower alkoxy-carbonyl, phenyl, halogenophenyl and/or oxo;  
pyrrolidinyl optionally substituted with hydroxy, carbamoyl and/or oxo;  
piperazinyl optionally substituted with phenyl or pyrimidinyl; dihydropyridyl; pyrrolyl; pyrrolinyl; imidazolyl optionally substituted with halogen and/or lower alkyl; pyrazolyl; thienyl; thiadiazolyl; furyl; oxazolyl; isoxazolyl; tetrazolyl optionally substituted with lower alkyl and/or phenyl; indolinyl; indolyl; tetrahydroquinolyl; benzothiazolyl optionally substituted with  
30 lower alkyl; tetrahydroisothiazolyl optionally substituted with oxo; benzopyranyl optionally substituted with oxo; tetrahydro-pyranyloxy; tetrahydrofuryloxy; morpholinyl optionally substituted with lower alkyl; and/or piperidylsulfonyl optionally substituted with lower alkyl (hereinafter referred to as "Z is Z-7"),  
a compound wherein Z is optionally substituted phenyl  
35 wherein the substituents is halogen, lower alkyl, halogeno(lower)alkyl, lower alkoxy, cycloalkyloxy, lower alkylcarbamoyl, phenyl, lower alkyl morpholino and/or tetrahydro-pyranyloxy (hereinafter referred to as "Z is Z-8"),  
a compound wherein Z is optionally substituted heterocyclyl  
wherein the substituents is halogen, hydroxy, lower alkyl, halogeno(lower)alkyl, lower alkoxy, mercapto, lower alkylthio,  
40 acyl, carboxy, lower alkoxy-carbonyl, amino, lower alkylamino, phenyl, naphthyl, phenylthio optionally substituted with halogen, phenoxy optionally substituted with halogen, oxo, and/or heterocyclyl optionally substituted with lower alkyl (hereinafter referred to as "Z is Z-9"),  
a compound wherein Z is thienyl, pyrazolyl, thiazolyl, thiadiazolyl, pyridyl, pyrimidinyl, pyrazinyl, triazinyl, indolyl, isoindolyl, indolinyl, isoindolinyl, indazolyl, benzopyranyl, benzoxazolyl, benzothienyl, benzothiazolyl, benzothiazolinyl, benzo-thiadiazolyl, quinolyl, isoquinolyl, dihydrobenzofuryl, carbazolyl, acridinyl or dibenzofuryl, each of which is optionally substituted with substituents selected from the group of lower alkyl; halogeno(lower)alkyl; lower alkoxy; lower alkoxy-carbonyl; acyl; lower alkoxy-carbonyl(lower)alkyl; mercapto; phenyl, naphthyl, phenylthio or phenoxy, each of which is optionally substituted with halogen; furyl; nitro; oxo; and morpholino optionally substituted with lower alkyl) (hereinafter referred to as "Z is Z-10"),  
50 a compound wherein Z is thienyl, thiazolyl, thiadiazolyl, pyridyl, pyrazinyl, indolyl, isoindolinyl, benzopyranyl, quinolyl, carbazolyl, dibenzofuryl, benzopyranyl, benzothienyl or benzothiazolyl, each of which is optionally substituted with one or more substituents selected from the group of lower alkyl, halogeno(lower)alkyl, lower alkoxy, lower alkoxy-carbonyl, acyl, phenyl, naphthyl, phenylthio, lower alkyl morpholino and oxo) (hereinafter referred to as "Z is Z-11"),  
a compound wherein R<sup>1</sup> is R1-2, R<sup>2</sup> is R2-2, n is 2 and a combination of X, Y and Z, i.e., (X, Y, Z), is any one of the followings.  
55 (X, Y, Z) = (X-3, Y-2, Z-1), (X-3, Y-2, Z-2), (X-3, Y-2, Z-3), (X-3, Y-2, Z-4), (X-3, Y-2, Z-5), (X-3, Y-2, Z-6), (X-3, Y-2, Z-7), (X-3, Y-2, Z-8), (X-3, Y-2, Z-9), (X-3, Y-2, Z-10), (X-3, Y-2, Z-11),  
(X-3, Y-3, Z-1), (X-3, Y-3, Z-2), (X-3, Y-3, Z-3), (X-3, Y-3, Z-4), (X-3, Y-3, Z-5), (X-3, Y-3, Z-6), (X-3, Y-3, Z-7), (X-3, Y-3, Z-8), (X-3, Y-3, Z-9), (X-3, Y-3, Z-10), (X-3, Y-3, Z-11), (X-4, Y-2, Z-1), (X-4, Y-2, Z-2), (X-4, Y-2, Z-3), (X-4, Y-2, Z-

4), (X-4, Y-2, Z-5), (X-4, Y-2, Z-6), (X-4, Y-2, Z-7), (X-4, Y-2, Z-8), (X-4, Y-2, Z-9), (X-4, Y-2, Z-10), (X-4, Y-2, Z-11), (X-4, Y-3, Z-1), (X-4, Y-3, Z-2), (X-4, Y-3, Z-3), (X-4, Y-3, Z-4), (X-4, Y-3, Z-5), (X-4, Y-3, Z-6), (X-4, Y-3, Z-7), (X-4, Y-3, Z-8), (X-4, Y-3, Z-9), (X-4, Y-3, Z-10), (X-4, Y-3, Z-11), (X-5, Y-2, Z-1), (X-5, Y-2, Z-2), (X-5, Y-2, Z-3), (X-5, Y-2, Z-4), (X-5, Y-2, Z-5), (X-5, Y-2, Z-6), (X-5, Y-2, Z-7), (X-5, Y-2, Z-8), (X-5, Y-2, Z-9), (X-5, Y-2, Z-10), (X-5, Y-2, Z-11), (X-5, Y-3, Z-1), (X-5, Y-3, Z-2), (X-5, Y-3, Z-3), (X-5, Y-3, Z-4), (X-5, Y-3, Z-5), (X-5, Y-3, Z-6), (X-5, Y-3, Z-7), (X-5, Y-3, Z-8), (X-5, Y-3, Z-9), (X-5, Y-3, Z-10) or (X-5, Y-3, Z-11), the pharmaceutically acceptable salt, solvate or prodrug thereof.

**[0079]** The NPY Y5 receptor antagonist of the present invention is effective for all of the diseases in which NPY Y5 is involved and it is especially useful for preventing and/or treating obesity and suppressing food intake. Moreover, the antagonist is effective for preventing and/or treating the diseases in which obesity acts as a risk factor, for example, diabetes, hypertension, hyperlipemia, atherosclerosis and acute coronary syndrome.

**[0080]** In addition, the NPY Y5 receptor antagonist of the present invention has a low affinity for NPY Y1 and Y2 receptors, and has a high selectivity for NPY Y5 receptor. NPY causes a sustained vasoconstrictive action in the periphery and this action is mainly via Y1 receptor. Since Y5 receptor is not involved in this action at all, the NPY Y5 receptor antagonist has a low risk of inducing side effects based on the peripheral vasoconstriction, and is expected to be suitably used as a safe medicine.

**[0081]** The NPY Y5 receptor antagonist shows an anti-obestic effect by suppressing food intake. Therefore, it is one of the features that this antagonist does not induce side effects, e.g., an indigestion caused by an anti-obestic agent which inhibits digestion and absorption, and a central side effect such as anti-depression caused by a serotonin transporter inhibitor showing an anti-obesity effect.

**[0082]** A compound of the present invention can be administered orally or parenterally as an anti-obestic agent or anorectic agent. In the case of oral administration, it may be in any usual form such as tablets, granules, powders, capsules, pills, solutions, syrups, buccal tablets and sublingual tablets. When the compound is parenterally administered, any usual form is preferable, for example, injections (e.g., intravenous, intramuscular), suppositories, endermic agents and vapors. Oral administration is particularly preferable because the compounds of the present invention show a high oral absorbability.

**[0083]** A pharmaceutical composition may be manufactured by mixing an effective amount of a compound of the present invention with various pharmaceutical additives suitable for the administration form, such as excipients, binders, moistening agents, disintegrators, lubricants and diluents. When the composition is of an injection, an active ingredient together with a suitable carrier can be sterilized to give a pharmaceutical composition.

**[0084]** Examples of the excipients include lactose, saccharose, glucose, starch, calcium carbonate and crystalline cellulose. Examples of the binders include methylcellulose, carboxymethylcellulose, hydroxypropylcellulose, gelatin and polyvinylpyrrolidone. Examples of the disintegrators include carboxymethylcellulose, sodium carboxymethylcellulose, starch, sodium alginate, agar and sodium lauryl sulfate. Examples of the lubricants include talc, magnesium stearate and macrogol. Cacao oil, macrogol, methylcellulose etc. may be used as base materials of suppositories. When the composition is manufactured as solutions, emulsified injections or suspended injections, dissolving accelerators, suspending agents, emulsifiers, stabilizers, preservatives, isotonic agents and the like may be added. For oral administration, sweetening agents, flavors and the like may be added.

**[0085]** Although the dosage of a compound of the present invention as an anti-obestic agent or anorectic agent should be determined in consideration of the patient's age and body weight, the type and degree of diseases, the administration route etc., a usual oral dosage for an adult is 0.05 to 100 mg/kg/day and preferable is 0.1 to 10 mg/kg/day. For parenteral administration, although the dosage highly varies with administration routes, a usual dosage is 0.005 to 10 mg/kg/day, preferably, 0.01 to 1 mg/kg/day. The dosage may be administered in one to several divisions per day.

**[0086]** The present invention is further explained by the following Examples and Experiments, which are not intended to limit the scope of the present invention.

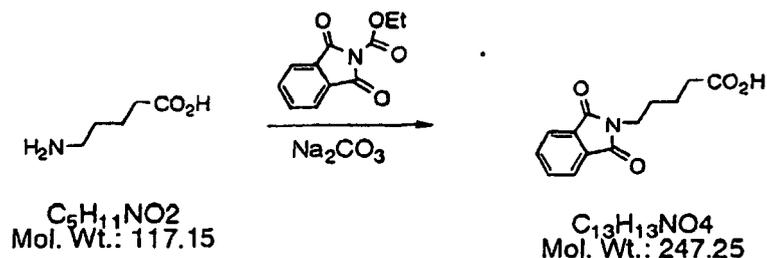
## Examples

### Example 1 Synthesis of Compound (I-7)

#### Step 1

#### **[0087]**

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**[0088]** Sodium carbonate (995 mg, 9.38 mmol) was dissolved in 30 ml of water and starting material amino acid (1.0 g, 8.53 mmol) and N-carboethoxyphthalimide (2.49 g, 11.4 mmol) were added thereto. The mixture was stirred at room temperature overnight. The pH of the mixture was adjusted to 1 by adding conc. hydrochloric acid. Precipitated crystals were washed with water and dried to give the desired compound (1.72 g, 82 % yield).

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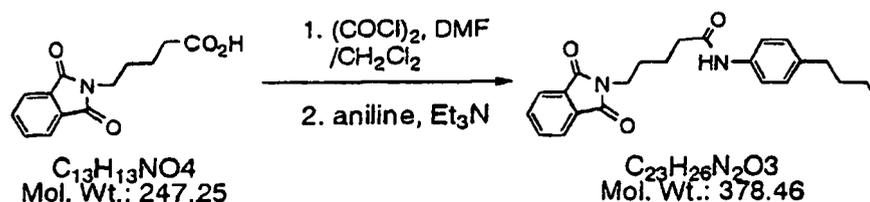
$^1\text{H-NMR}$  ( $\text{CD}_3\text{OD}$ )  $\delta$  ppm: 1.59-1.77 (m, 4H), 2.34 (t, 2H,  $J = 6.3$  Hz), 3.69 (t, 2H,  $J = 6.6$  Hz), 7.78-7.87 (m, 4H).

Step 2

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**[0089]**

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**[0090]** The compound obtained in Step 1 (1.0 g, 4.0 mmol) was dissolved in 5 ml of dichloromethane at room temperature. Oxalyl chloride (0.459 ml, 5.2 mmol) and trace amounts of DMF were added to the mixture under ice-cooling and the mixture was reacted under ice-cooling and at room temperature, each for 30 min. After the solvent was removed under reduced pressure, 5 ml of dichloromethane was added. Under ice-cooling, 4-butylaniline (664 mg, 4.4 mmol) and triethylamine (0.564 ml, 4.4 mmol) were added thereto and the mixture was reacted for 30 min. at room temperature. The reactant was poured into water and extracted with chloroform. The organic layer was washed with water and dried over magnesium sulfate anhydride. The solvent was removed under reduced pressure and the residue was purified by silica gel chromatography to give the desired compound (1.49 g, 97 % yield).

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$^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 0.91 (t, 3H,  $J = 7.5$  Hz), 1.27-1.39 (m, 2H), 1.51-1.62 (m, 2H), 1.72-1.84 (m, 4H), 2.40-2.46 (m, 2H), 2.56 (t, 2H,  $J = 7.5$  Hz), 3.76 (t, 1H,  $J = 5.7$  Hz), 7.12 (d, 2H,  $J = 7.8$  Hz), 7.33 (s, 1H), 7.42 (d, 2H,  $J = 8.1$  Hz), 7.71-7.73 (m, 2H), 7.83-7.86 (m,

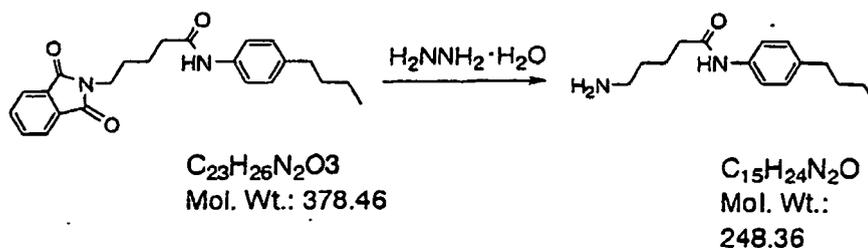
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Step 3

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**[0091]**

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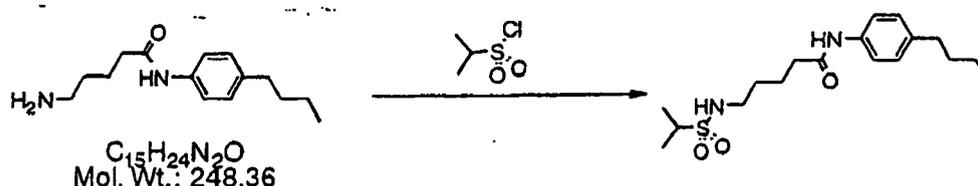


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**[0092]** After the compound obtained in Step 2 (1.49 g, 3.9 mmol) was dissolved in 30 ml of ethanol, hydrazine mono-

hydrate (0.591 mg, 11.8 mmol) was added and the mixture was reacted at 50 °C for 3 hours. The solvent was removed, 1 mol/l aqueous NaOH was added and the solution was extracted with ethyl acetate. The organic layer was washed with water and dried over magnesium sulfate anhydride. The solvent was removed under reduced pressure to give the desired compound (808 mg, 83 % yield)

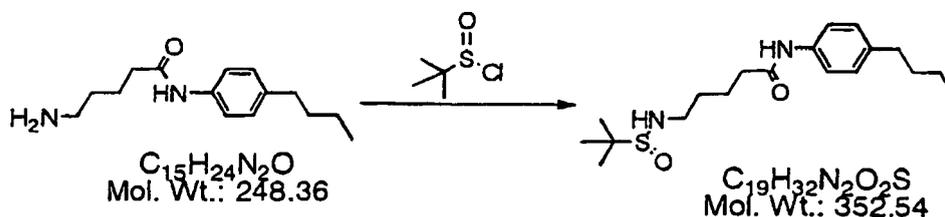
<sup>1</sup>H-NMR (CD<sub>3</sub>OD) δ ppm: 0.93 (t, 3H, J = 7.2 Hz), 1.28-1.40 (m, 2H), 1.50-1.62 (m, 4H), 1.67-1.77 (m, 2H), 2.37 (t, 2H, J = 7.5 Hz), 2.56 (t, 2H, J = 7.8 Hz), 2.68 (t, 2H, J = 7.2 Hz), 7.11 (d, 2H, J = 8.1 Hz), 7.42 (d, 2H, J = 8.4 Hz).



**[0093]** The compound obtained in Step 3 (808 mg, 3.25 mmol) was suspended in 5 ml of dichloromethane under ice-cooling and isopropylsulfonyl chloride (696 mg, 4.9 mmol) and triethylamine (494 mg, 4.9 mmol) were added. After the mixture was reacted under ice-cooling for an hour, the reactant was poured into water and extracted with chloroform. The organic layer was washed with water and dried over magnesium sulfate anhydride. The solvent was removed under reduced pressure and the residue was purified by silica gel chromatography to give the desired compound quantitatively. <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.91 (t, 3H, J = 7.2 Hz), 1.27-1.40 (m, 2H), 1.36 (d, 6H, J = 6.6 Hz), 1.51-1.69 (m, 4H), 1.77-1.86 (m, 2H), 2.38 (t, 2H, J = 7.2 Hz), 2.56 (t, 2H, J = 7.5 Hz), 3.12-3.21 (m, 3H), 4.38 (t, 1H, J = 5.7 Hz), 7.11 (d, 2H, J = 8.4 Hz), 7.36-7.41 (m, 3H).

Example 2 Synthesis of Compound (I-10)

**[0094]**

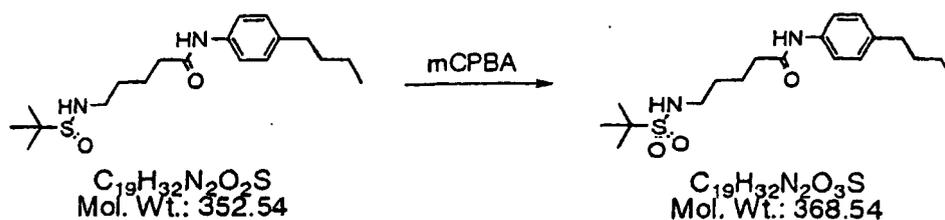


**[0095]** The desired compound was synthesized in a similar manner to Step 4 in Example 1 except that tert-butylsulfonyl chloride (689 mg, 4.9 mmol) and triethylamine (494 mg, 4.9 mmol) were added to the compound obtained in Step 3 in Example 1.

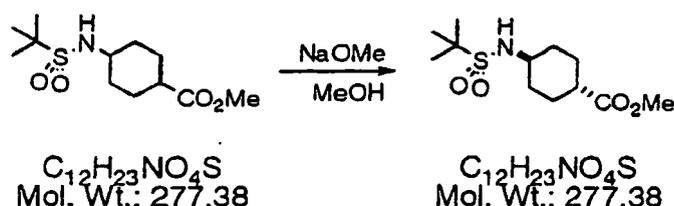
<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.91 (t, 3H, J = 7.5 Hz), 1.22 (s, 9H), 1.30-1.37 (m, 2H), 1.51-1.68 (m, 4H), 1.76-1.86 (m, 2H), 2.31-2.40 (m, 2H), 2.56 (t, 2H, J = 7.5 Hz), 3.15-3.26 (m, 3H), 7.11 (t, 2H, J = 8.7 Hz), 7.42 (d, 2H, J = 8.1 Hz), 7.54 (s, 1H).

Example 3 Synthesis of Compound (I-11)

**[0096]**





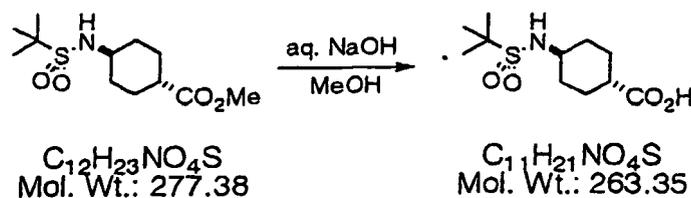


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**[0103]** Starting material sulfonamide (19.4 g, 70.0 mmol, a mixture of cis isomer and trans isomer) was dissolved in 30 ml of methanol. To the mixture, 28 % sodium methoxide (284 ml, 140.0 mmol) was added and refluxed with stirring under ice-cooling. After the solvent was removed, the residue was diluted with chloroform, and 1 mol/l HCl was added with stirring under ice-cooling until pH of an aqueous layer reached 3. The aqueous layer was extracted with chloroform and the organic layer was washed with water and dried over magnesium sulfate anhydride. The obtained crude crystals were recrystallized from hexane-ethyl acetate to give the desired sulfonamide (trans isomer, 7.75 g, 40 % yield).

trans isomer  
 $^1H$ -NMR ( $CD_3OD$ )  $\delta$  ppm: 1.16-1.32 (m, 2H), 1.39 (s, 9H), 1.44-1.52 (m, 2H), 1.98-2.09 (m, 2H), 2.14-2.29 (m, 3H), 3.18-3.37 (m, 1H), 3.63 (d, 1H,  $J = 9.0$  Hz), 3.67 (s, 3H).

Step 4

**[0104]**

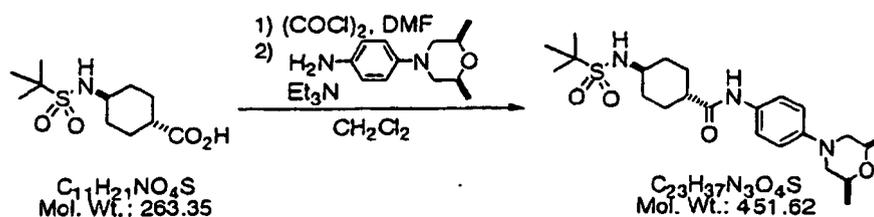


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**[0105]** Starting material methyl ester (4.77 g, 17.2 mmol) was dissolved in 95 ml of methanol and 1 mol/l NaOH (43 ml, 43.0 mmol) was added with stirring under ice-cooling. The mixture was stirred at room temperature overnight and concentrated under reduced pressure. After 1 mol/l HCl was added with stirring until pH of the mixture reached 3 under ice-cooling, the precipitated crystals were collected by filtration, washed with water and dried. The obtained crude crystals were recrystallized from hexane-ethylacetate to give the desired carboxylic acid (4.20 g, 93 % yield).

$^1H$ -NMR ( $CDCl_3$ )  $\delta$  ppm: 1.18-1.35 (m, 2H), 1.39 (s, 9H), 1.46-1.63 (m, 2H), 2.01-2.14 (m, 2H), 2.14-2.32 (m, 3H), 3.18-3.35 (m, 1H), 3.80 (d, 1H,  $J = 9.6$  Hz).

Step 5

**[0106]**



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**[0107]** A starting material carboxylic acid (5.86 g, 22.3 mmol) was dissolved in 88 ml of dichloromethane at room temperature. To the mixture, oxalyl chloride (2.34 ml, 26.7 mmol) and catalytic amount of DMF were added under ice-cooling and stirred at room temperature for an hour. After the solvent was removed under reduced pressure, dichlo-

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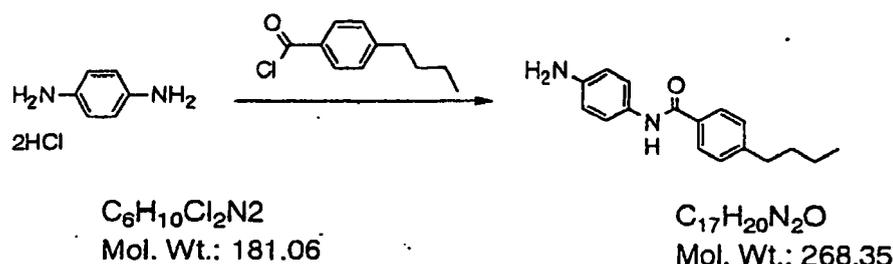
romethane (115 ml), substituted aniline (5.05 g, 24.5 mmol) and triethylamine (4.65 ml, 33.4 mmol) were added. The mixture was stirred at room temperature for 2.5 hours, the ice-cooling water was poured thereto, and the mixture was extracted with chloroform. An organic layer was washed with water and dried over magnesium sulfate anhydride. The solvent was removed under reduced pressure and ethyl acetate and hexane were added to the residue. The precipitated

crystals were collected with filtration to give the desired amide (7.00 g, 70 % yield).  
<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.25 (d, 6H, J = 6.3 Hz), 1.17-1.42 (m, 2H), 1.40 (s, 9H), 1.60-1.78 (m, 2H), 1.98-2.43 (m, 7H), 3.20-3.43 (m, 3H), 3.67 (d, 1H, J = 9.6 Hz), 3.74-3.86 (m, 2H), 6.86 (d, 2H, J = 9.0 Hz), 7.04 (s, 1H), 7.38 (d, 2H, J = 9.0 Hz).

Example 5 Synthesis of Compound (I-2)

Step 1

[0108]

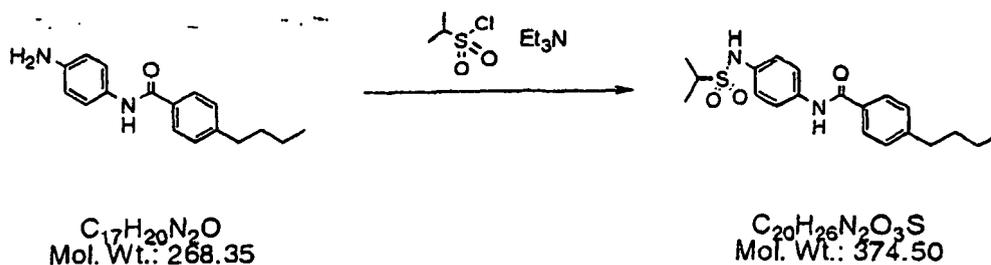


[0109] After starting material diamine (461 mg, 2.5 mmol) was suspended in dichloromethane under ice-cooling, an acid chloride (500 mg, 2.5 mmol) and triethylamine (773 mg, 7.5 mmol) were added and the mixture was reacted for 30 min. Water and dichloromethane were added to the reactant and insoluble materials were filtered off. The organic layer was washed with water and dried over magnesium sulfate anhydride. The solvent was removed under reduced pressure to give the desired compound as a residue (100 mg, 15 % yield).

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.93 (t, 3H, J = 7.2 Hz), 1.30-1.42 (m, 2H), 1.57-1.67 (m, 2H), 2.66 (t, 2H, J = 7.8 Hz), 3.50 (brs, 1H), 6.57 (s, 1H), 6.68 (d, 2H, J = 8.7 Hz), 7.26 (d, 2H, J = 8.4 Hz), 7.39 (d, 2H, J = 8.7 Hz), 7.68 (s, 1H), 7.75 (d, 2H, J = 8.1 Hz).

Step 2

[0110]



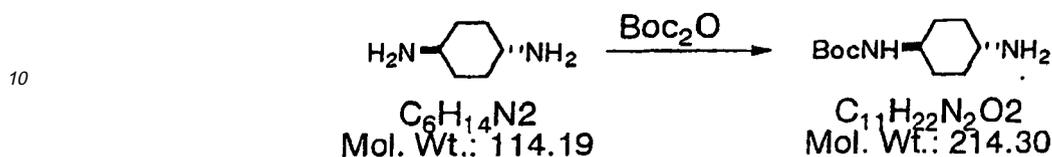
[0111] The desired compound was synthesized in a similar manner to Step 4 in Example 1.

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.94 (t, 3H, J = 7.5 Hz), 1.34-1.44 (m, 2H), 1.40 (d, 6H, J = 6.6 Hz), 1.59-1.68 (m, 2H), 2.69 (t, 2H, J = 7.8 Hz), 3.24-3.35 (m, 1H), 6.49 (s, 1H), 7.23-7.32 (m, 4H), 7.6 (d, 2H, J = 8.7 Hz), 7.79 (d, 2H, J = 8.1 Hz), 7.85 (s, 1H).

## Example 6 Synthesis of Compound (I-31)

## Step 1

5 [0112]

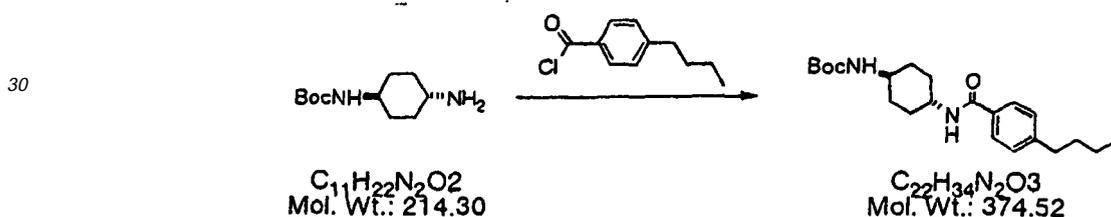


15 [0113] A starting material diamine (8.37 g, 73.3 mmol) was dissolved in 30 ml of dioxane at room temperature and a solution of  $\text{Boc}_2\text{O}$  (2 g, 9.2 mmol) in dioxane (30 ml) was added. The mixture was reacted at room temperature for 3 days and the solvent was removed. Water was added to the residue and the mixture was extracted with chloroform. The organic layer was washed with water and dried over magnesium sulfate anhydride. The solvent was removed under reduced pressure to give the desired compound as a residue (1.8 g, 92 % yield based on  $\text{Boc}_2\text{O}$ )

20  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 1.07-1.26 (m, 6H), 1.44 (s, 9H), 1.84-2.00 (m, 4H), 2.58-2.67 (m, 1H), 3.37 (brs, 1H), 4.43 (brs, 1H).

## Step 2

25 [0114]



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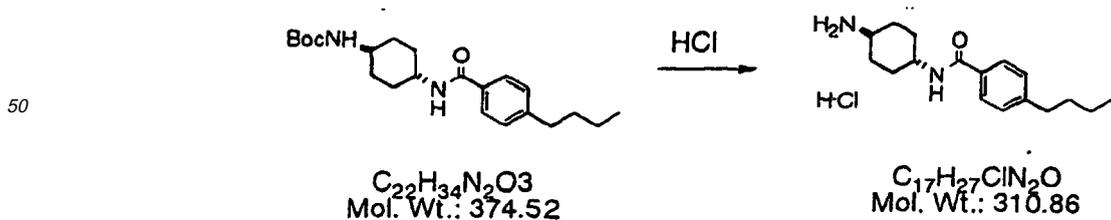
[0115] The desired compound was synthesized in a similar manner to Step 1 in Example 5.

40  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 0.92 (t, 3H, J = 7.2 Hz), 1.26-1.42 (m, 6H), 1.45 (s, 9H), 1.54-1.68 (m, 2H), 1.99-2.12 (m, 4H), 2.64 (t, 2H, J = 7.8 Hz), 3.43 (brs, 1H), 3.90-4.00 (m, 1H), 4.48 (d, 1H, J = 5.7 Hz), 5.95 (d, 1H, J = 8.4 Hz), 7.21 (d, 2H, J = 8.4 Hz), 7.65 (d, 2H, J = 8.4 Hz).

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## Step 3

45 [0116]



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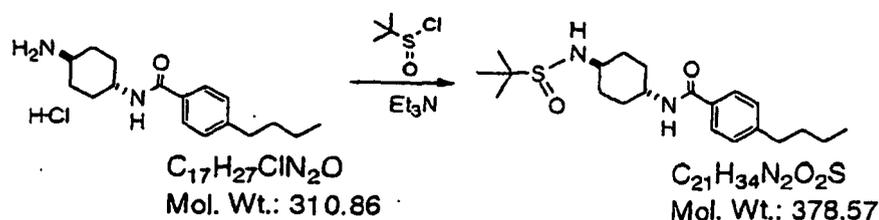
[0117] A starting material Boc compound (2.08 g, 5.55 mmol) was dissolved in 20 ml of ethyl acetate under ice-cooling and 20 ml of 4mol/l  $\text{HCl}/\text{AcOEt}$  was added. The mixture was reacted at room temperature for an hour and the solvent was removed under reduced pressure to give the desired compound as a residue (1.7 g, 98 % yield).

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<sup>1</sup>H-NMR (CD<sub>3</sub>OD) δ ppm: 0.93 (t, 3H, J = 7.2 Hz), 1.29-1.41 (m, 2H), 1.50-1.66 (m, 6H), 2.02-2.18 (m, 4H), 2.66 (t, 2H, J = 7.8 Hz), 3.13 (brs, 1H), 3.82-3.94 (m, 1H), 7.26 (d, 2H, J = 8.7 Hz), 7.72 (d, 2H, J = 8.4 Hz).

Step 4

[0118]

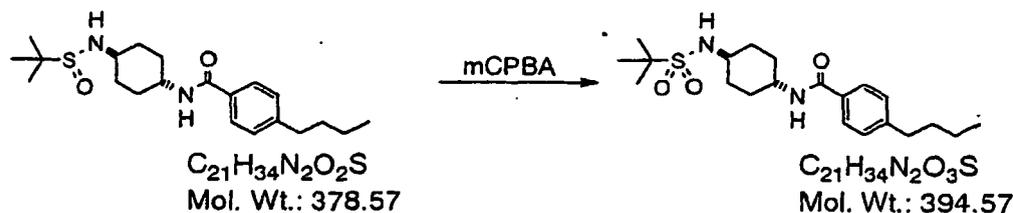


[0119] The desired compound was synthesized in a similar manner to Step 4 in Example 1.

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.92 (t, 3H, J = 7.5 Hz), 1.21 (s, 9H), 1.28-1.62 (m, 8H), 2.07-2.14 (m, 4H), 2.64 (t, 2H, J = 7.8 Hz), 3.11 (d, 1H, J = 5.1 Hz), 3.20 (brs, 1H), 3.90-4.04 (m, 1H), 6.06-6.14 (m, 1H), 7.21 (t, 2H, J = 8.1 Hz), 7.67 (t, 2H, J = 8.4 Hz).

Example 7 Synthesis of Compound (I-32)

[0120]



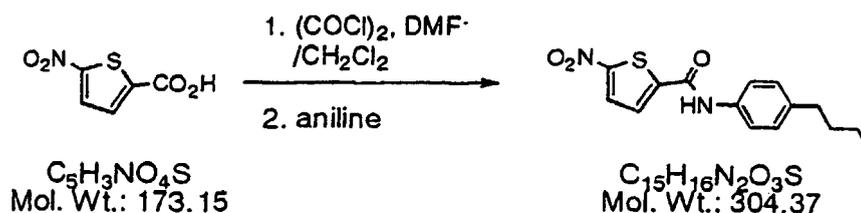
[0121] The desired compound was synthesized from the compound obtained in Example 6 in a similar manner to Example 3.

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.92 (t, 3H, J = 7.2 Hz), 1.27-1.65 (m, 8H), 1.40 (s, 9H), 2.10-2.23 (m, 4H), 2.65 (t, 2H, J = 7.5 Hz), 3.23-3.35 (m, 1H), 3.49 (s, 1H), 3.88-4.02 (m, 1H), 5.84-5.92 (m, 1H), 7.13 (t, 2H, J = 8.4 Hz), 7.65 (d, 2H, J = 8.1 Hz).

Example 8 Synthesis of Compound (I-5)

Step 1

[0122]



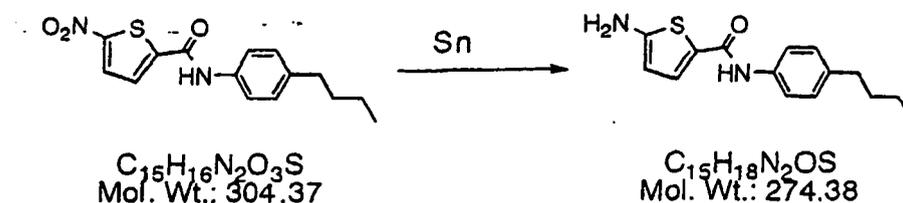
[0123] The desired compound was synthesized in a similar manner to Step 2 in Example 1.

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$^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 0.94 (t, 3H,  $J = 7.5$  Hz), 1.30-1.42 (m, 2H), 1.50-1.65 (m, 2H), 2.61 (t, 2H,  $J = 7.8$  Hz), 7.20 (d, 2H,  $J = 7.2$  Hz), 7.48-7.51 (m, 3H), 7.72 (s, 1H), 7.88-7.90 (m, 1H).

Step 2

[0124]

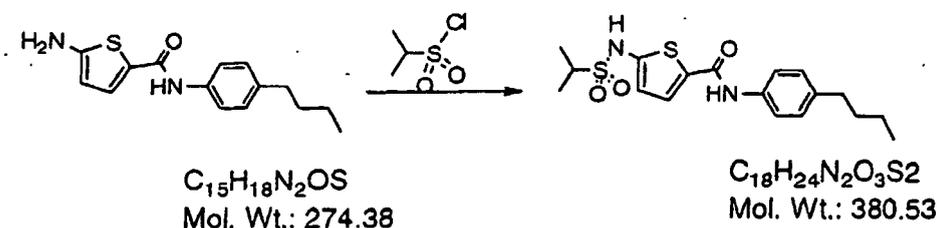


[0125] To a mixture of a starting material nitro compound (593 mg, 1.95 mmol) and tin (358 mg, 3.0 mmol), 30 ml of 6 mol/l HCl and 6 ml of THF were added and reacted at 50 °C for 3 hours. After cooling, the solvent was removed and the residue was neutralized 10 % with NaOH and extracted with chloroform. The organic layer was washed with water and dried over magnesium sulfate anhydride. The solvent was removed under reduced pressure and the residue was purified by silica gel chromatography to give the desired compound (110 mg, 21 % yield).

$^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 0.91 (t, 3H,  $J = 7.2$  Hz), 1.26-1.39 (m, 2H), 1.49-1.59 (m, 2H), 2.50 (t, 2H,  $J = 7.8$  Hz), 4.37 (s, 1H), 6.65 (d, 2H,  $J = 8.4$  Hz), 6.97 (d, 2H,  $J = 8.4$  Hz), 7.14 (d, 1H,  $J = 8.4$  Hz), 7.43 (d, 1H,  $J = 8.7$  Hz).

Step 3

[0126]



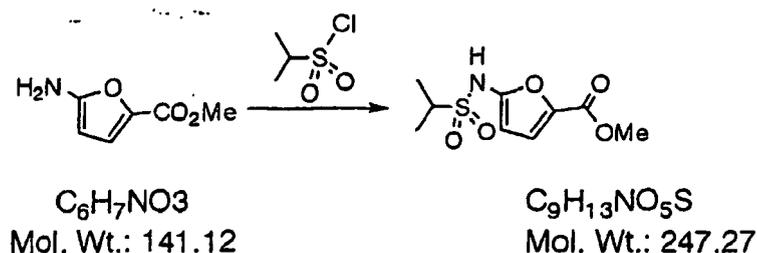
[0127] The desired compound was synthesized in a similar manner to Step 4 in Example 1.

$^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 0.92 (t, 3H,  $J = 7.2$  Hz), 1.28-1.41 (m, 2H), 1.46 (d, 6H,  $J = 6.9$  Hz), 1.53-1.63 (m, 2H), 2.59 (t, 2H,  $J = 7.8$  Hz), 3.35-3.44 (m, 1H), 7.15 (d, 2H,  $J = 8.7$  Hz), 7.38 (s, 1H), 7.45 (d, 2H,  $J = 8.7$  Hz), 7.57 (s, 1H).

Example 9 Synthesis of Compound (I-4)

Step 1

[0128]



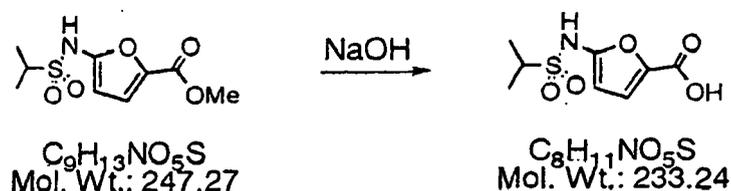
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[0129] The desired compound was synthesized in a similar manner to Step 4 in Example 1.

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.44 (d, 6H, J = 6.9 Hz), 3.33-3.43 (m, 1H), 3.88 (s, 9H), 6.24-6.26 (m, 1H), 7.11-7.14 (m, 2H).

Step 2

[0130]

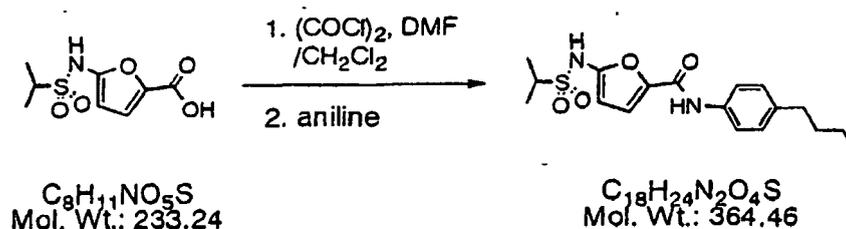


[0131] The desired compound was synthesized in a similar manner to Step 4 in Example 4.

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.44 (d, 6H, J = 6.3 Hz), 3.33-3.45 (m, 1H), 6.25-6.28 (m, 1H), 7.27-7.28 (m, 1H), 7.51 (s, 1H).

Step 3

[0132]



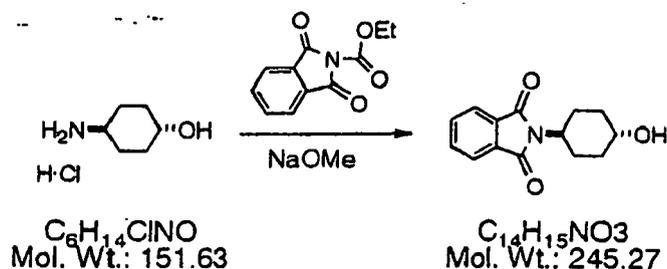
[0133] The desired compound was synthesized in a similar manner to Step 2 in Example 1.

<sup>1</sup>H-NMR (CD<sub>3</sub>OD) δ ppm: 0.92 (t, 3H, J = 6.9 Hz), 1.28-1.41 (m, 2H), 1.46 (d, 6H, J = 6.3 Hz), 1.53-1.63 (m, 2H), 2.58 (t, 2H, J = 7.8 Hz), 3.33-3.43 (m, 1H), 6.27-6.29 (m, 1H), 7.14-7.16 (m, 3H), 7.50 (d, 2H, J = 8.4 Hz), 7.90 (s, 1H).

Example 10 Synthesis of Compound (I-28)

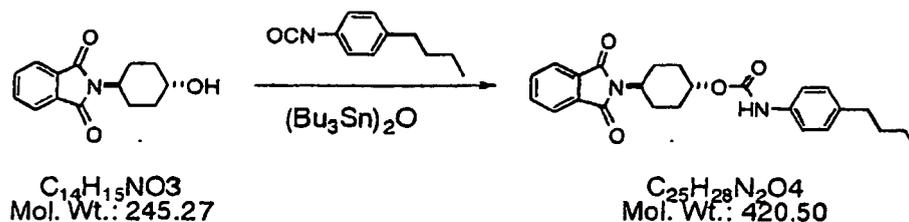
Step 1

[0134]



[0135] The desired compound was synthesized in a similar manner to Step 1 in Example 1.

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.37-1.52 (m, 3H), 1.74-1.79 (m, 2H), 2.07-2.13 (m, 2H), 2.28-2.42 (m, 2H), 3.72-3.81 (m, 1H), 4.09-4.20 (m, 1H), 7.68-7.73 (m, 2H), 7.81-7.85 (m, 2H). Step 2

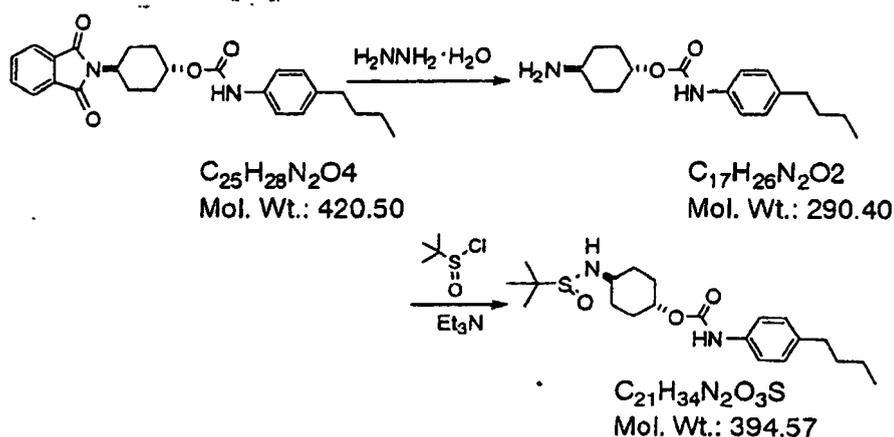


10 [0136] 4-butylphenyl isocyanate (2.85 g, 16.3 mmol) was dissolved in 30 ml of THF, and a starting material alcohol (1.0 g, 4.08 mmol) and bis(tributyltin)oxide (972 mg, 1.63 mmol) were added. After the mixture was stirred overnight, the solvent was removed, water was added and the solution was extracted with chloroform. The organic layer was washed with water and dried over magnesium sulfate anhydride. The solvent was removed under reduced pressure and the residue was purified by silica gel chromatography to give the desired compound (332 mg, 19 % yield).

15  $^1H$ -NMR ( $CDCl_3$ )  $\delta$  ppm: 0.92 (t, 3H, J = 6.9 Hz), 1.30-1.40 (m, 2H), 1.48-1.62 (m, 4H), 1.79-1.83 (m, 2H), 2.21-2.25 (m, 2H), 2.37-2.50 (m, 2H), 2.57 (t, 2H, J = 7.8 Hz), 4.11-4.22 (m, 1H), 4.77-4.87 (m, 1H), 6.49 (s, 1H), 7.11 (d, 2H, J = 8.7 Hz), 7.28 (d, 2H, J = 8.7 Hz), 7.69-7.73 (m, 2H), 7.80-7.84 (m, 2H).

20 Step 3

[0137]

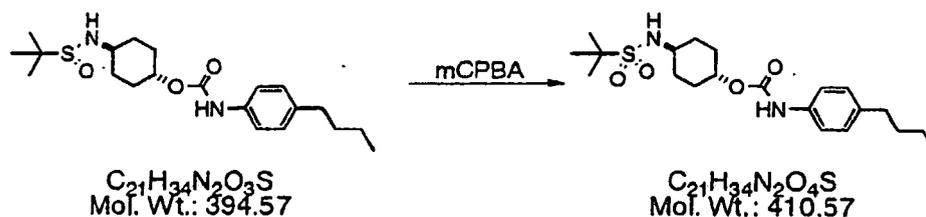


40 [0138] The desired compound was synthesized in similar manners to Step 3 in Example 1 and Example 2.

$^1H$ -NMR ( $CDCl_3$ )  $\delta$  ppm: 0.91 (t, 3H, J = 7.2 Hz), 1.21 (s, 9H), 1.30-1.62 (m, 8H), 2.08 (d, 4H, J = 11.1 Hz), 2.56 (t, 2H, J = 7.8 Hz), 3.04 (d, 1H, J = 4.8 Hz), 3.20-3.30 (m, 1H), 4.65-4.76 (m, 1H), 6.57 (s, 1H), 7.10 (d, 2H, J = 8.7 Hz), 7.26 (d, 2H, J = 8.1 Hz).

45 Example 11 Synthesis of Compound (I-29)

[0139]



[0140] The desired compound was synthesized in a similar manner to Example 3.

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.91 (t, 3H, J = 7.2 Hz), 1.23-1.62 (m, 8H), 1.40 (s, 9H), 2.12 (d, 4H, J = 14.4 Hz), 2.56 (t, 2H, J = 7.8 Hz), 3.28-3.40 (m, 1H), 3.90 (s, 1H), 4.60-4.73 (m, 1H), 6.57 (s, 1H), 7.10 (d, 2H, J = 8.4 Hz), 7.25 (d, 2H, J = 8.4 Hz).

5 Example 12 Synthesis of Compound (I-114)

[0141]

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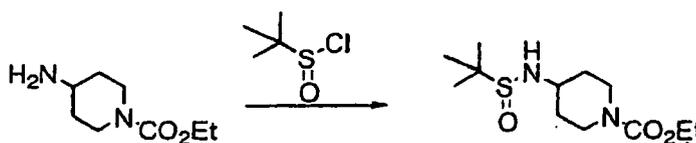
[0142] Lawesson's reagent [2,4-bis(4-methoxyphenyl)-1,3-dithia-2,4-diphosphetane-2,4-disulfide] (132 mg) was added to a solution of 100 mg of Compound (I-110) synthesized in a similar manner to Example 1 in toluene (2.7 ml) and the mixture was stirred at 80 °C for 3 hours. The reactant was concentrated under reduced pressure and the residue was purified by silica gel chromatography (ethyl acetate: n-hexane=1:1) to give pale yellow crystals (82.3 mg, 79 %).  
20 The crystals were recrystallized from methylene chloride-diisopropyl ether to give the desired compound as colorless needles (50.5 mg, 48 %).

Example 13 Synthesis of Compound (I-120)

25 Step 1

[0143]

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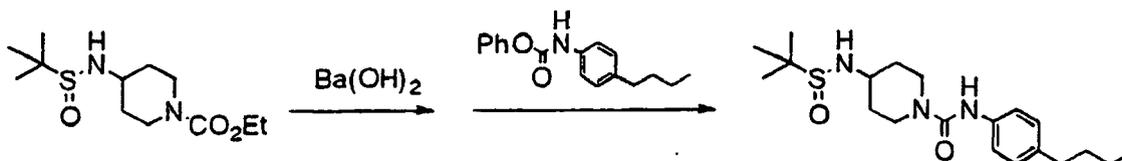
[0144] Ethyl 4-amino-1-piperidinecarboxylate (300 mg) and triethylamine (258 mg) were dissolved in 5 ml of dichloromethane. To the mixture, 2 ml of solution of t-butylsulfonyl chloride (222 mg) in dichloromethane was added and the mixture was stirred at room temperature for 4 hours. The solution was partitioned into an aqueous solution of potassium hydrogen sulfate and ethyl acetate. The organic layer was washed with brine and dried over magnesium sulfate anhydride.  
40 The solvent was removed under reduced pressure and the residue was purified by silica gel chromatography to give 378 mg of 4-t-butylsulfonyl amino-1-ethoxycarbonyl piperidine.

Step 2

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[0145]

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[0146] In a mixture of 5 ml of 2-propanol and 5 ml of water, 378 mg of 4-t-butylsulfonylamino-1-ethoxycarbonyl piperidine was suspended and 1.77 g of barium hydroxide was added. The mixture was refluxed with stirring and heating for 4 hours. The mixture was diluted with methanol and the insoluble material was filtered off. The solvent was removed under

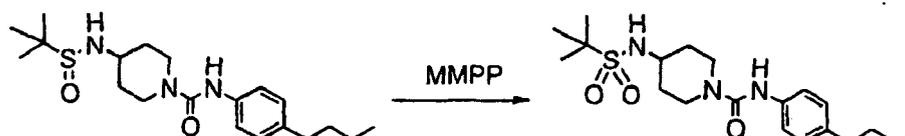
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reduced pressure to give 4-t-butylsulfinylaminopiperidine. Without purification, the obtained material was dissolved in 5 ml of THF, and 984 mg of N-phenoxycarbonyl-4-butyl aniline and 236 mg of diisopropyl ethylamine were added, followed by stirring at room temperature overnight. An aqueous solution of potassium hydrogen sulfate was added to the mixture and the mixture was extracted with ethyl acetate. The organic layer was washed with brine and dried over magnesium sulfate anhydride. The solvent was removed under reduced pressure and the residue was purified by silica gel chromatography to give 291 mg of 4-t-butylsulfinylaminopiperidine-1-carboxylic acid(4-t-butylphenyl)amide.

$^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 0.89(t, 3H, J = 7.3Hz), 1.19(s, 9H), 1.25-1.38(m, 4H), 1.40-1.60(m, 4H), 1.89-2.03(m, 3H), 2.52(t, 2H, J = 7.7Hz), 2.89-3.04(m, 2H), 3.14(d, 1H, J = 5.2 Hz), 3.37(m, 1H), 3.96(m, 2H), 6.67(s, 1H), 7.05(d, 2H, J = 8.5Hz), 7.22(d, 2H, J = 8.5Hz).

Step 3

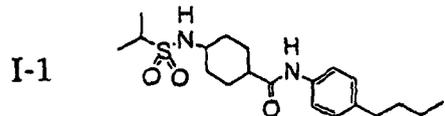
[0147]



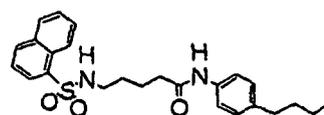
[0148] In a mixture of 2 ml of methanol and 2 ml of methylene chloride, 291 mg of 4-t-butylsulfinyl aminopiperidine-1-carboxylic acid(4-t-butylphenyl)amide was dissolved. To the mixture, 570 mg of 80%-MMPP (magnesium monoperoxyphthalate hexahydrate) was added and the mixture was stirred at room temperature for 2 hours. The solution was diluted with water and extracted with ethyl acetate. The organic layer was washed with brine and dried over magnesium sulfate anhydride. The solvent was removed under reduced pressure and the residue was purified by silica gel chromatography to give 130 mg of 4-t-butylsulfonylaminopiperidine-1-carboxylic acid(4-butylphenyl)amide (I-120).

[0149] Other Compounds (I) are synthesized by the similar methods. The structures and physical properties are shown below.

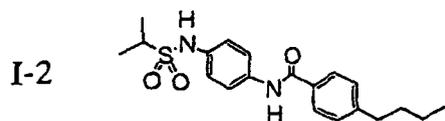
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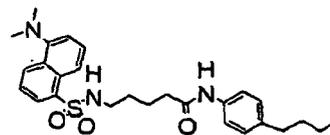
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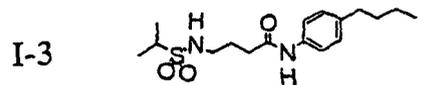
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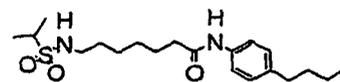
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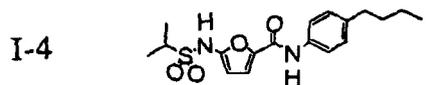
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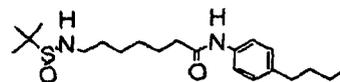
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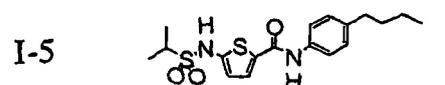
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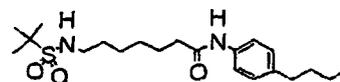
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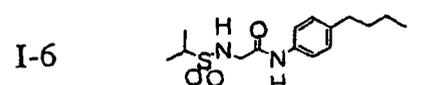
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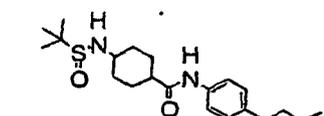
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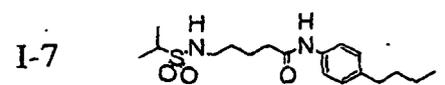
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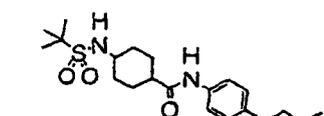
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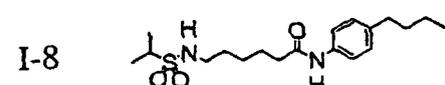
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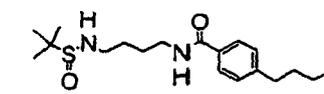
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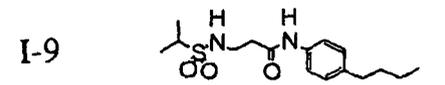
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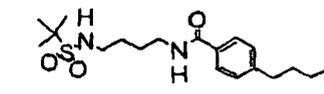
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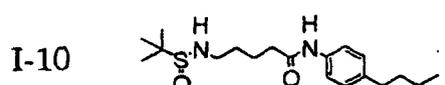
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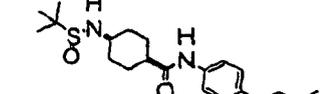
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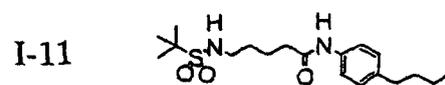
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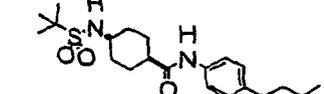
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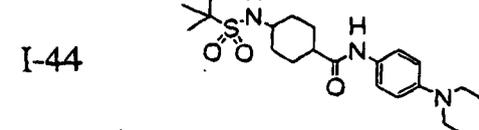
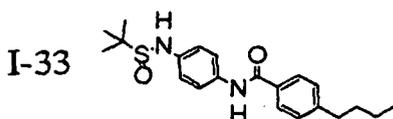
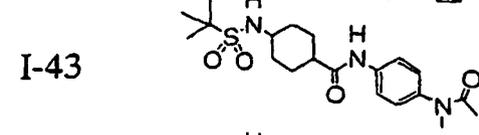
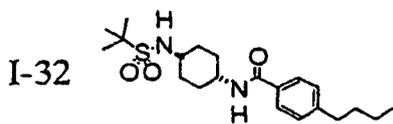
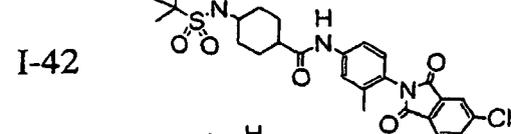
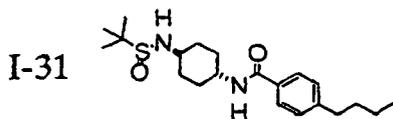
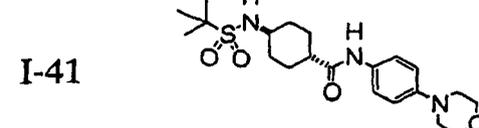
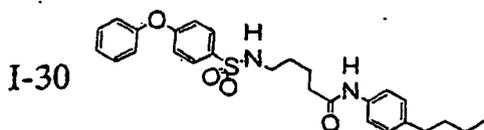
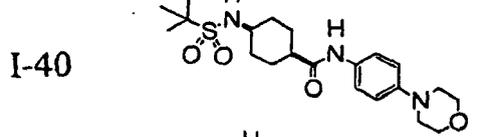
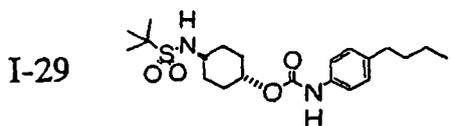
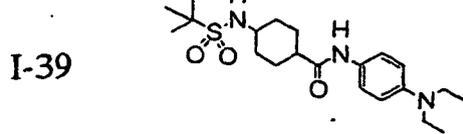
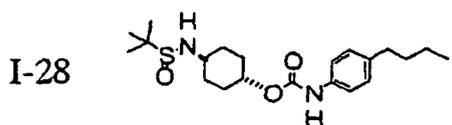
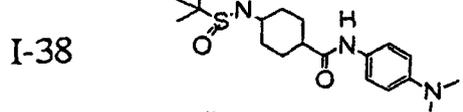
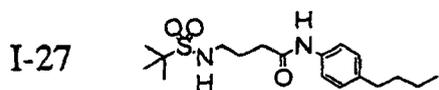
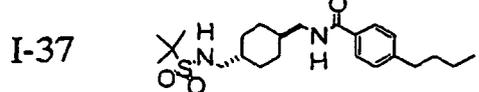
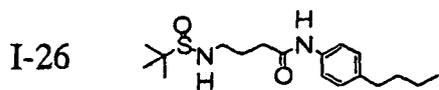
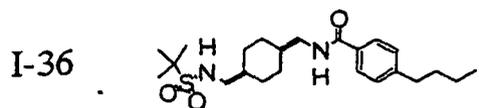
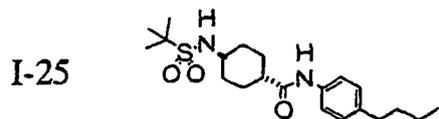
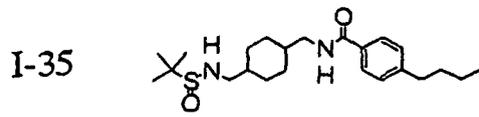
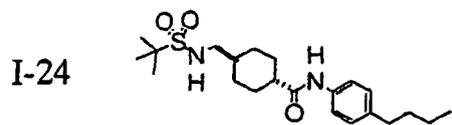
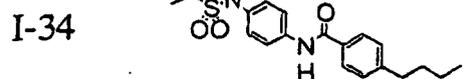
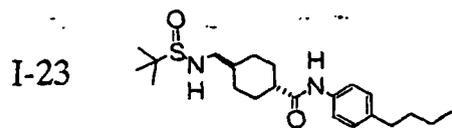
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I-22

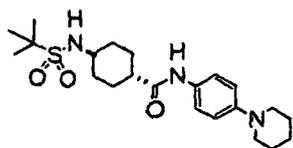


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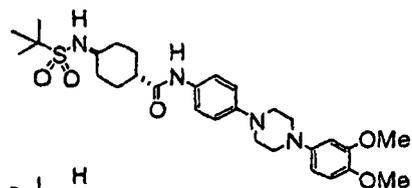


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I-45

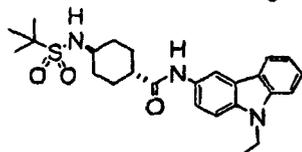


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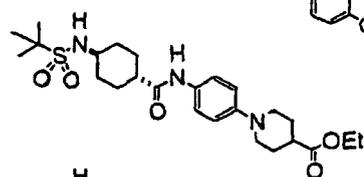


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I-46

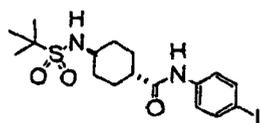


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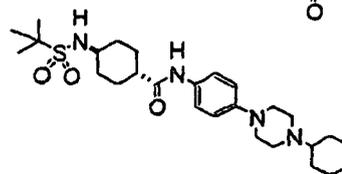


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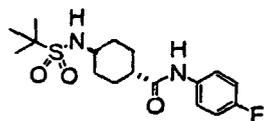


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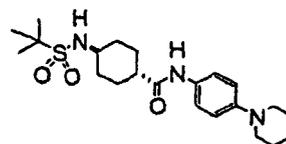


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I-48

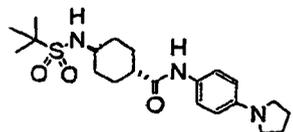


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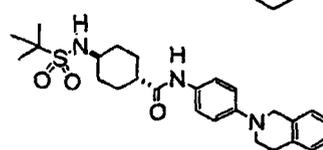


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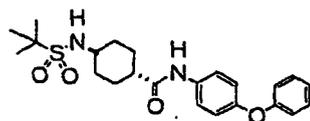


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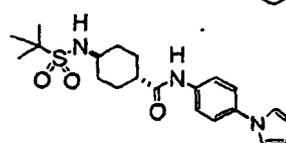


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I-50

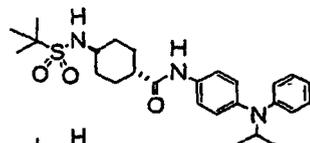


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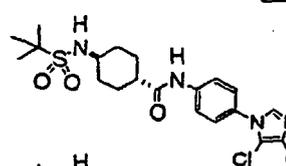


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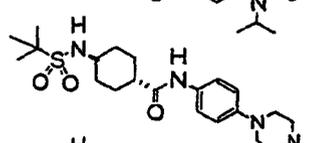


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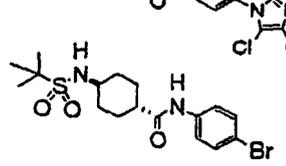


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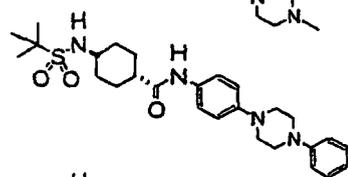


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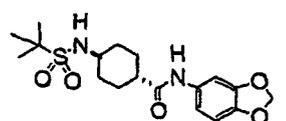


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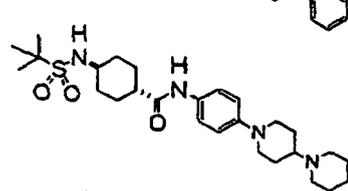


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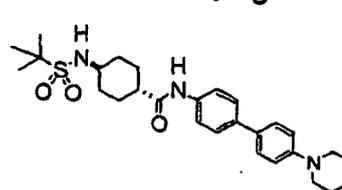


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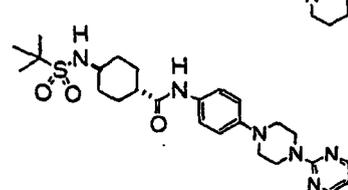


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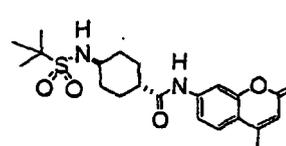


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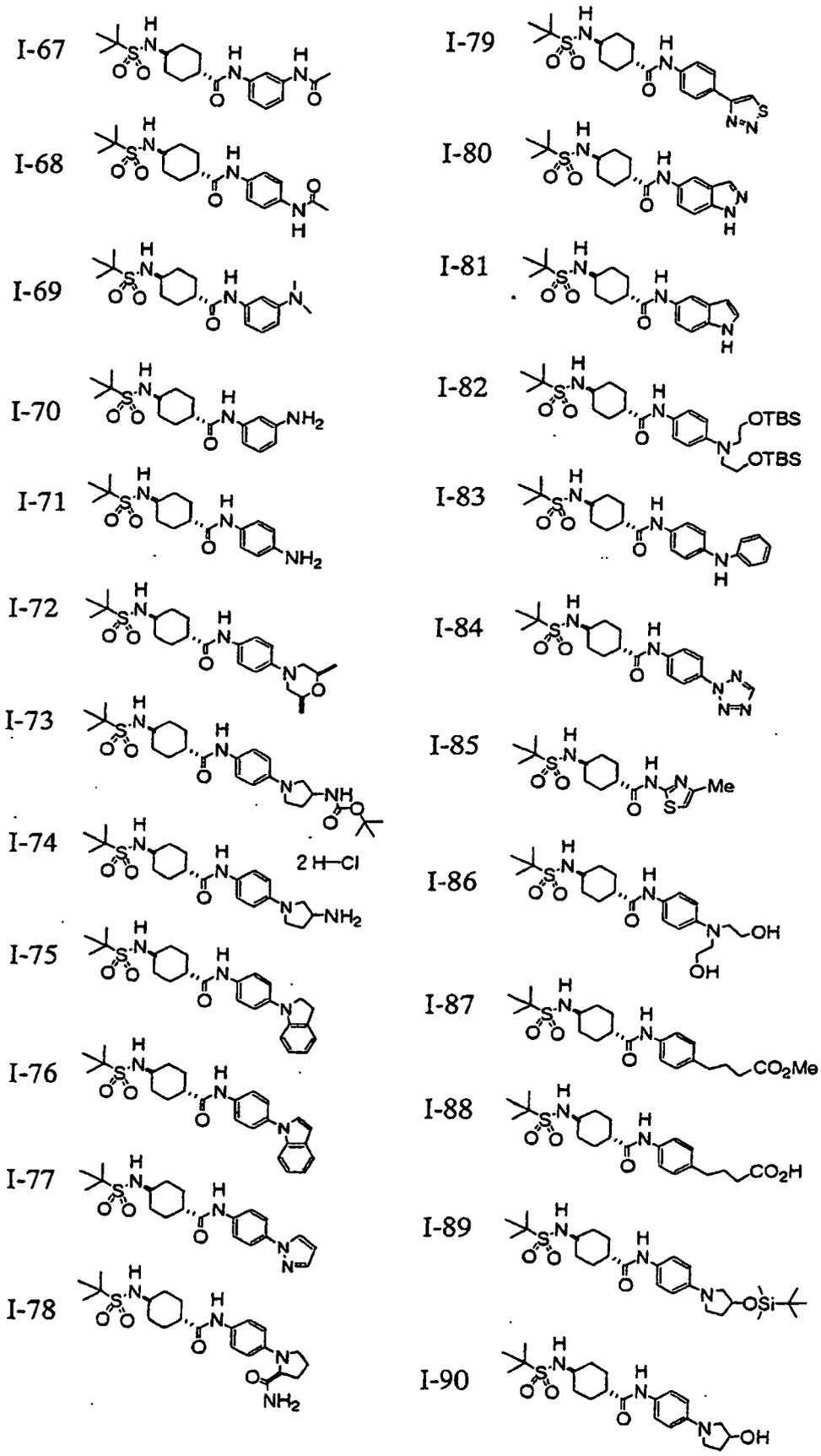
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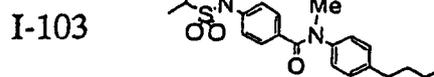
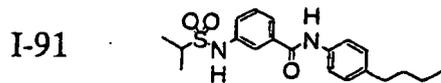
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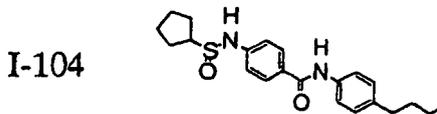
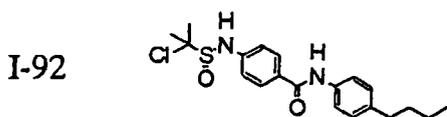
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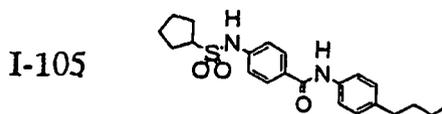
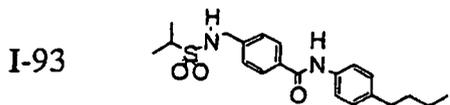
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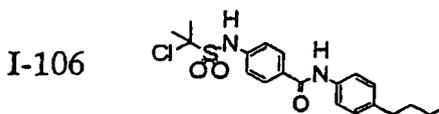
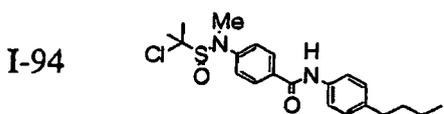
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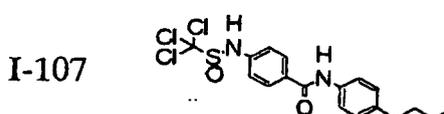
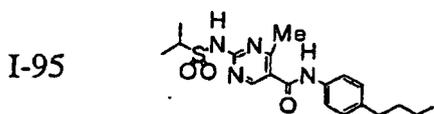
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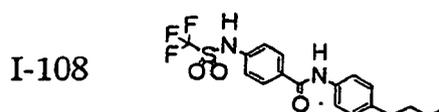
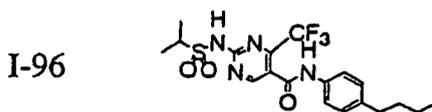
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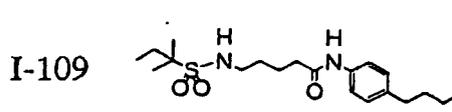
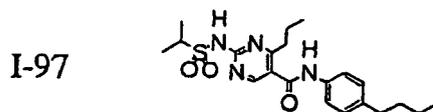
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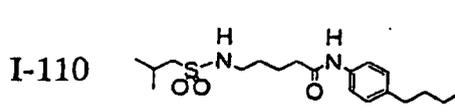
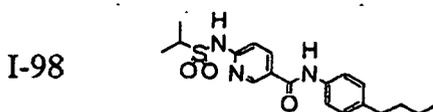
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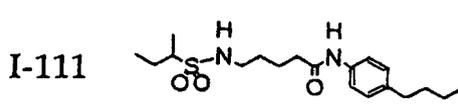
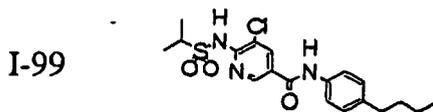
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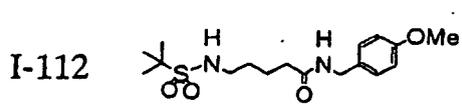
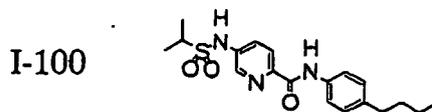
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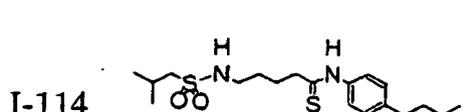
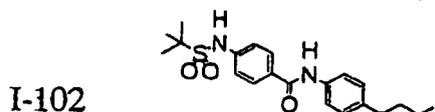
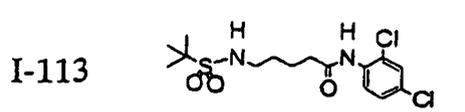
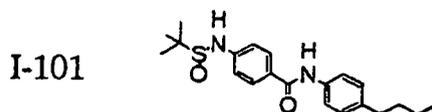
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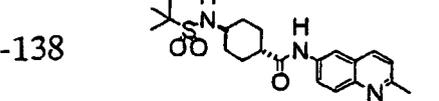
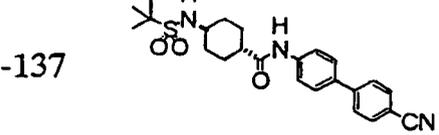
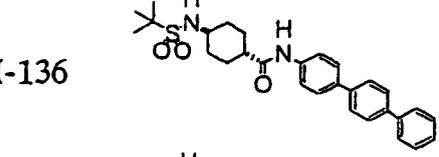
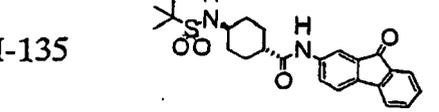
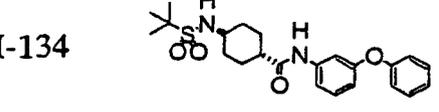
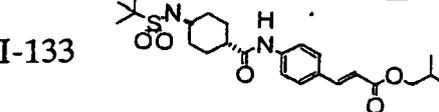
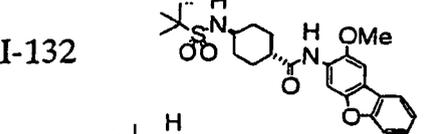
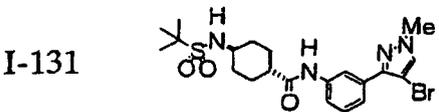
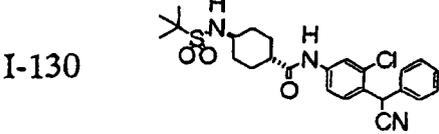
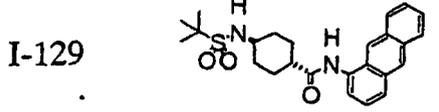
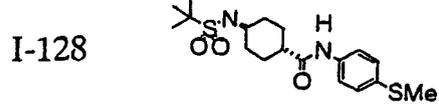
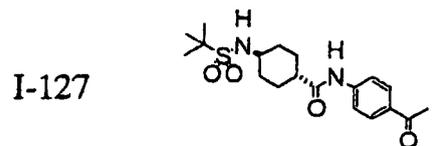
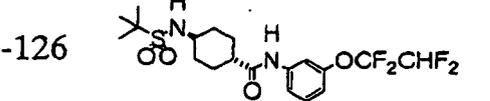
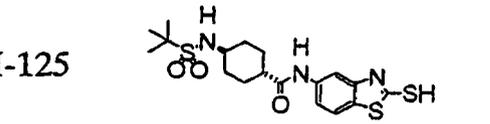
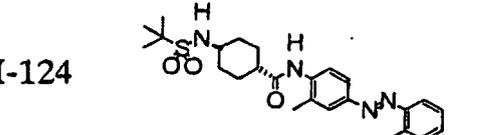
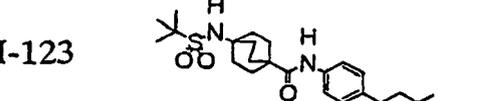
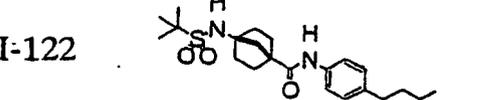
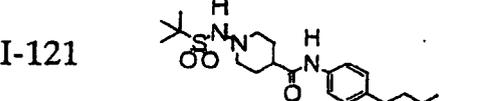
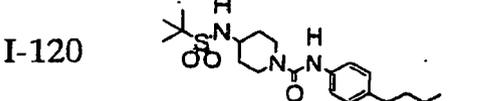
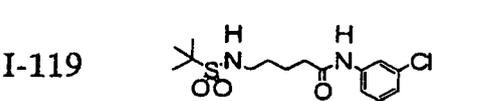
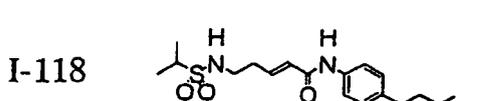
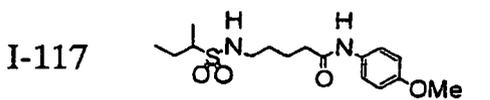
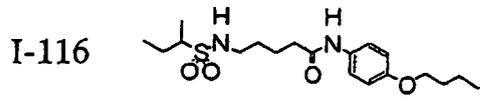
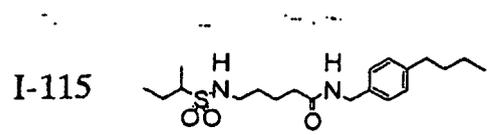
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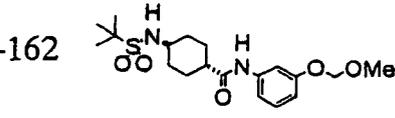
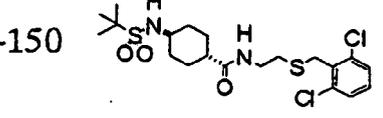
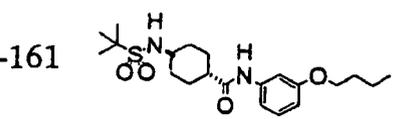
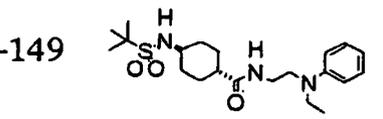
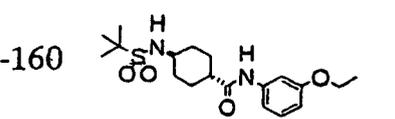
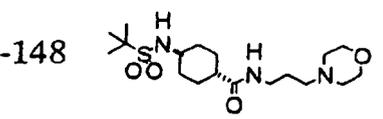
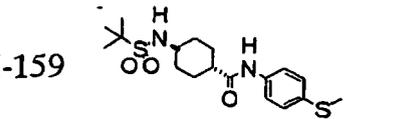
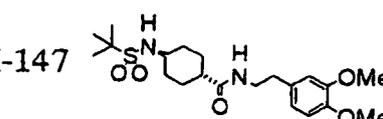
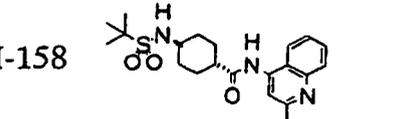
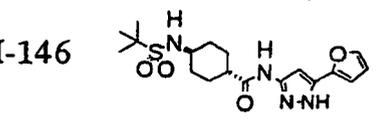
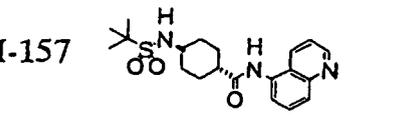
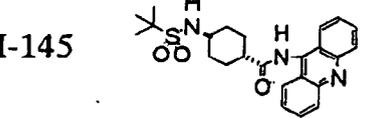
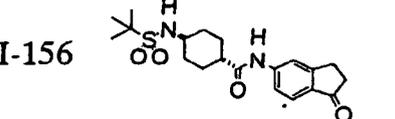
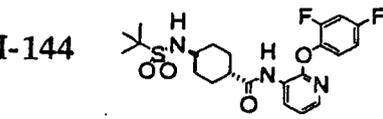
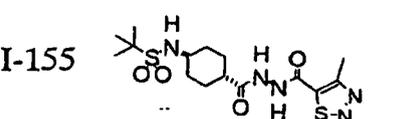
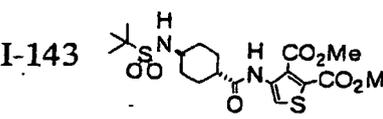
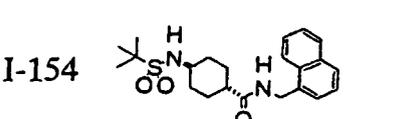
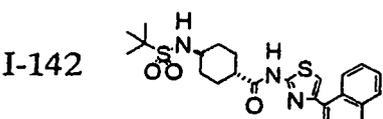
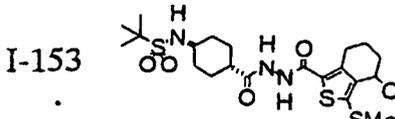
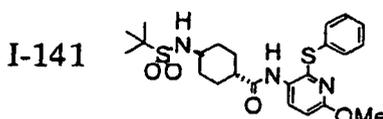
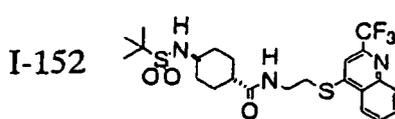
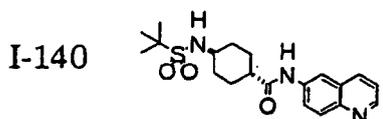
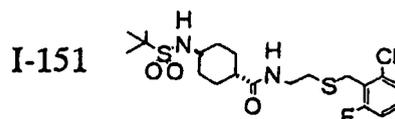
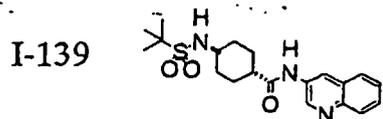


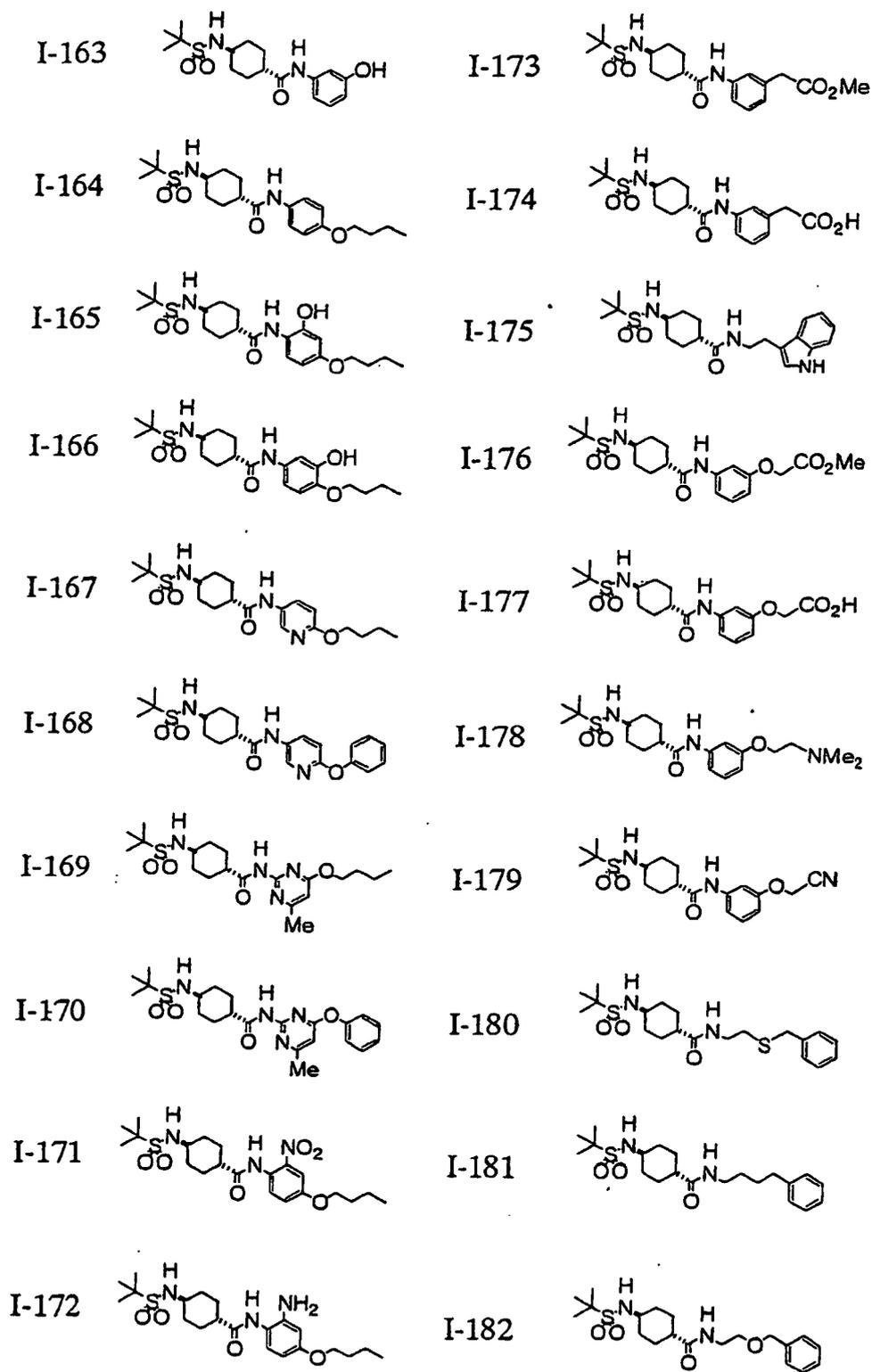
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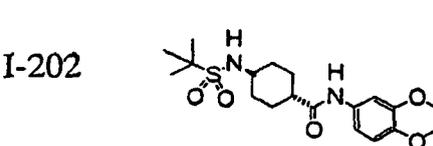
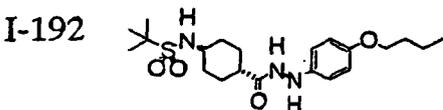
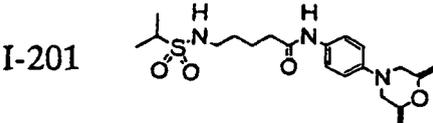
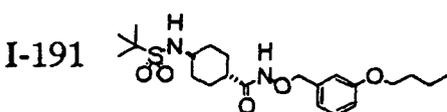
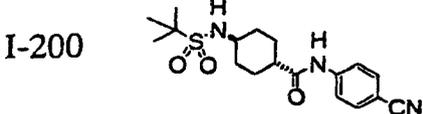
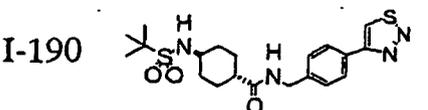
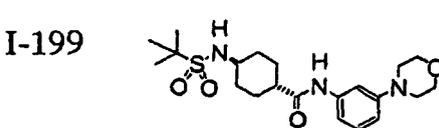
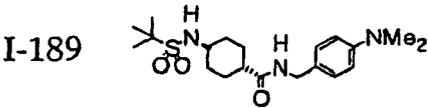
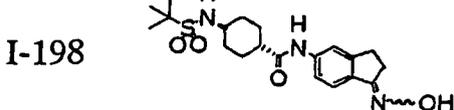
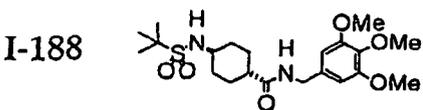
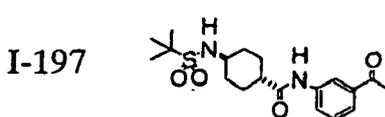
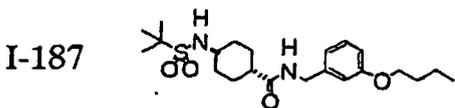
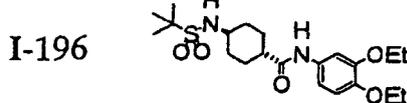
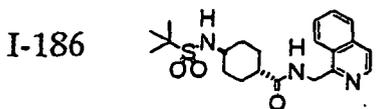
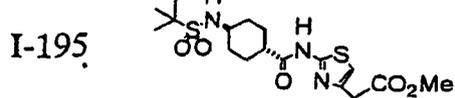
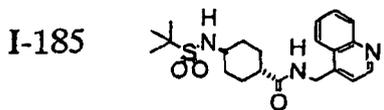
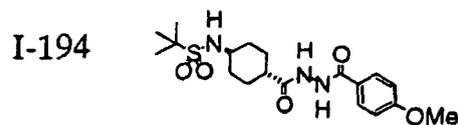
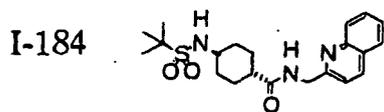
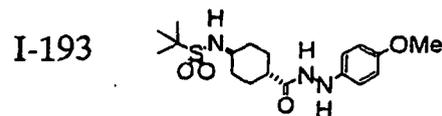
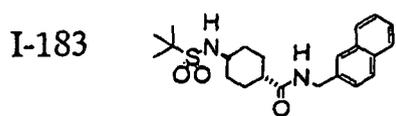


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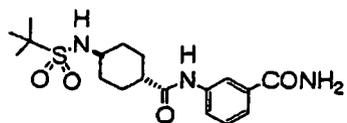




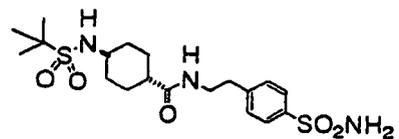


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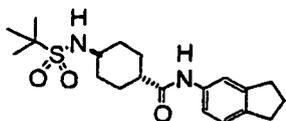


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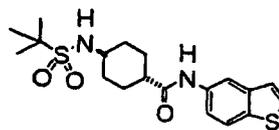


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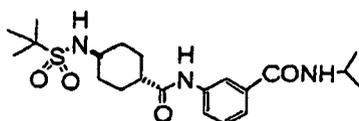


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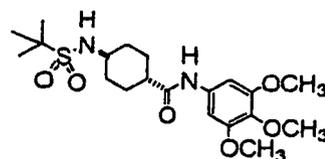


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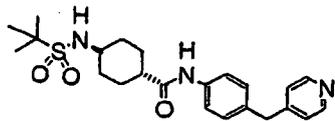


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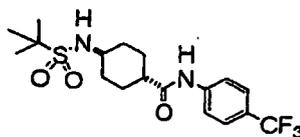


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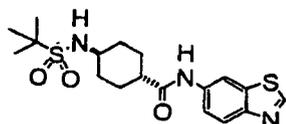


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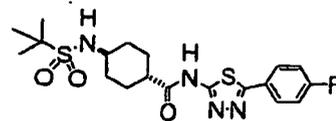


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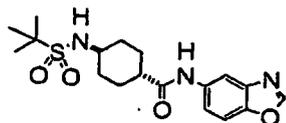


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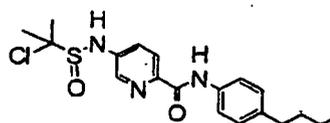


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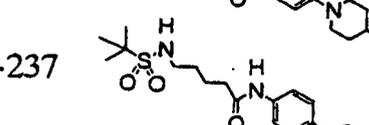
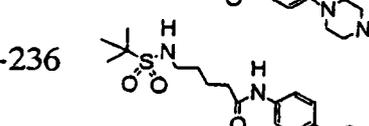
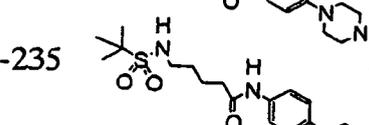
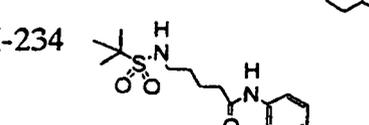
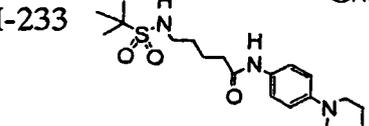
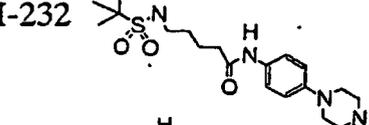
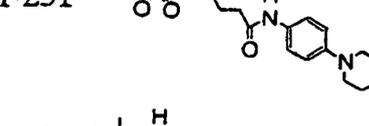
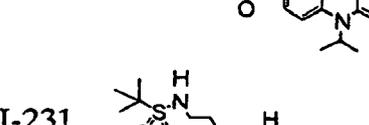
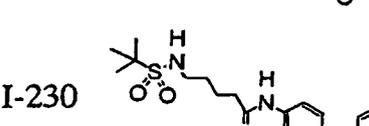
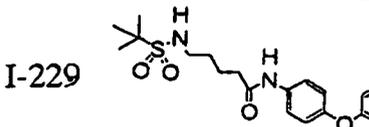
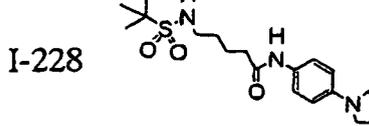
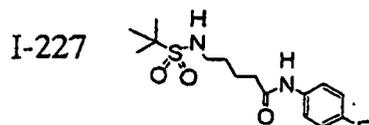
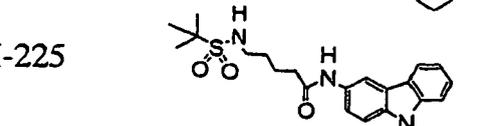
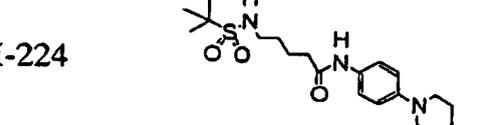
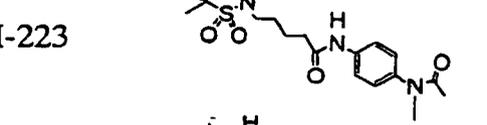
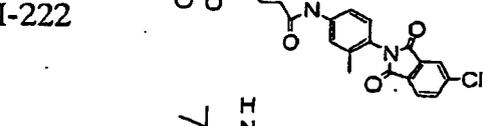
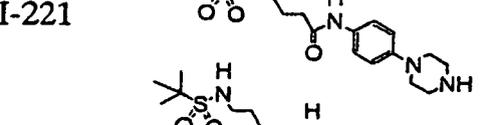
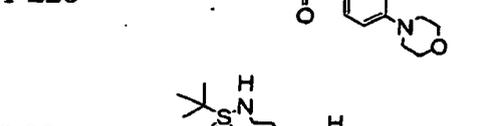
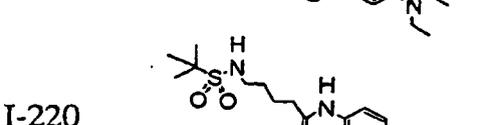
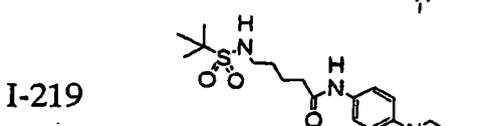
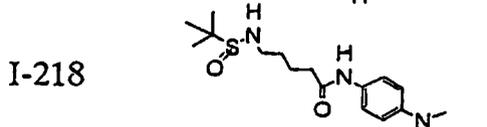
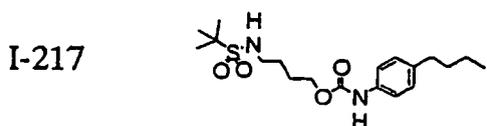
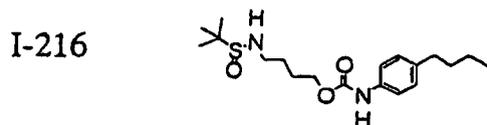


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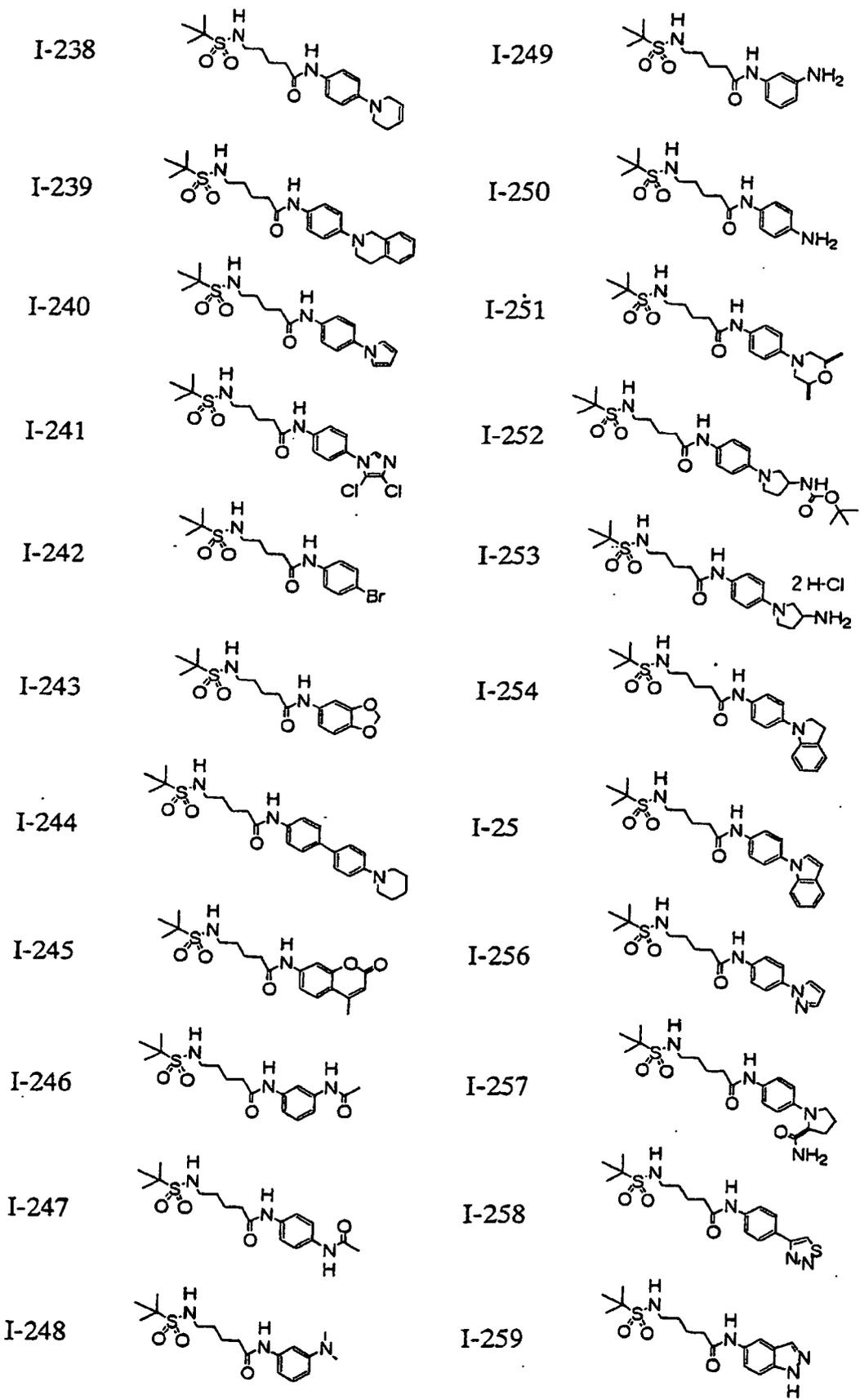
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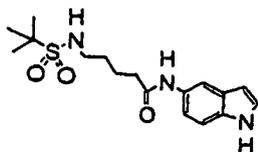


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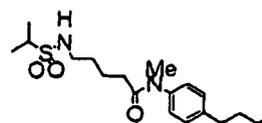


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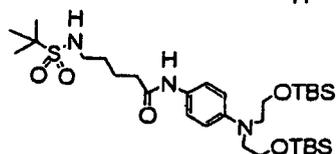


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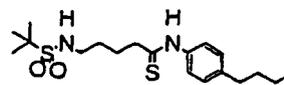


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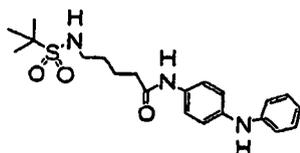


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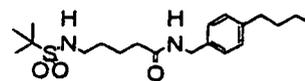


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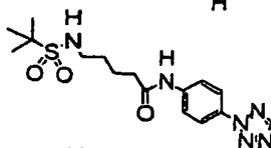


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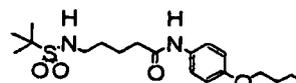


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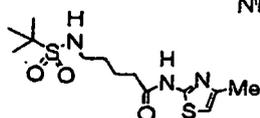


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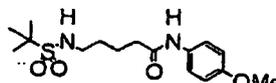


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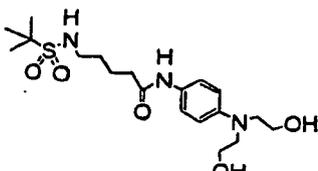


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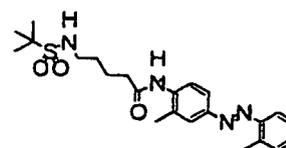


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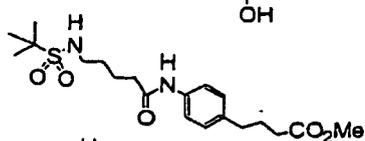


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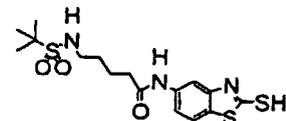


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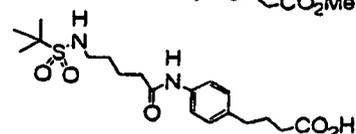


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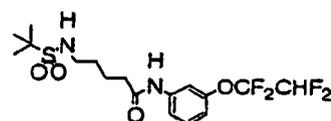


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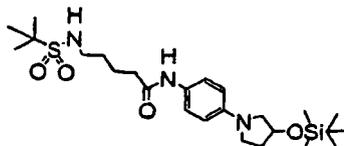


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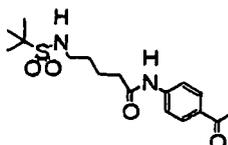


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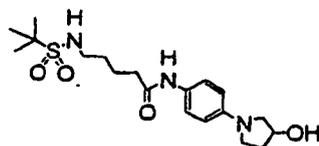


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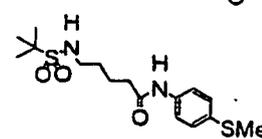


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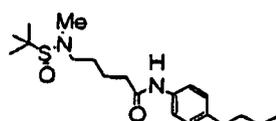


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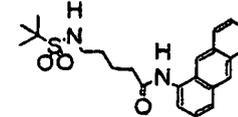


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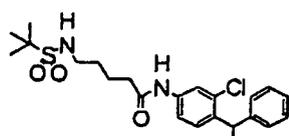


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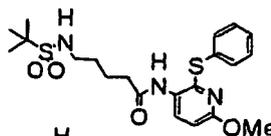


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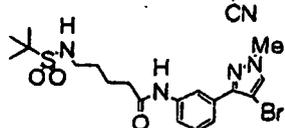


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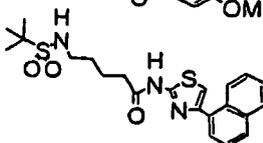


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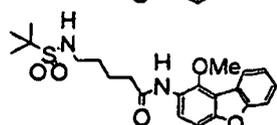


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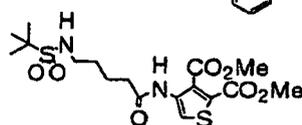


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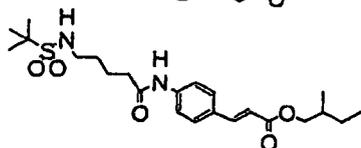


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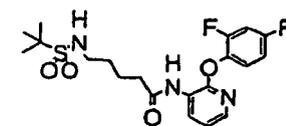


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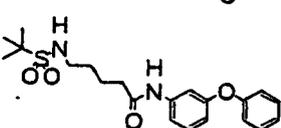


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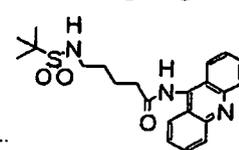


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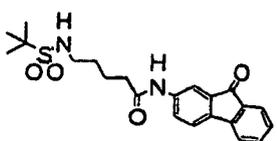


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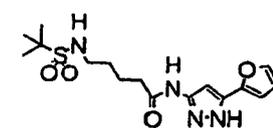


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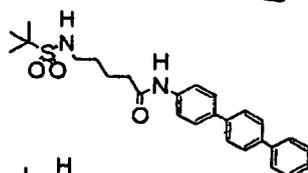


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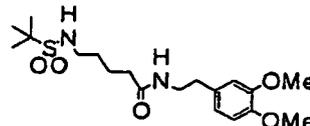


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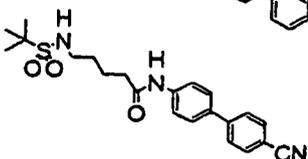


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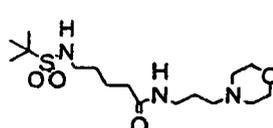


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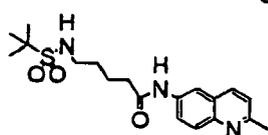


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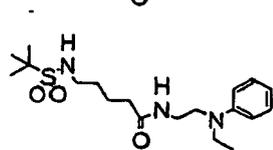


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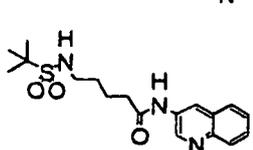


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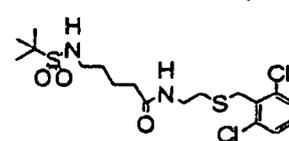


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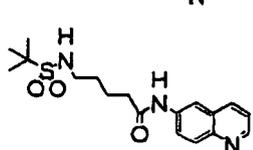


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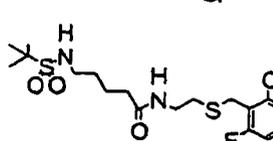


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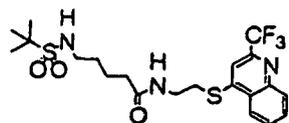


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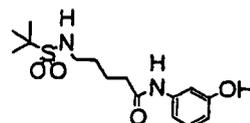


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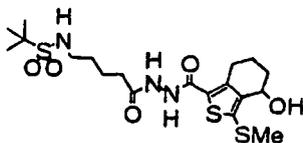


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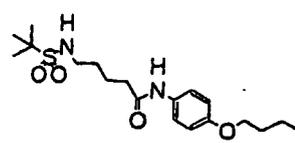


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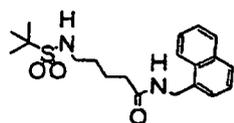


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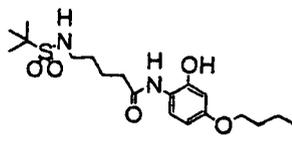


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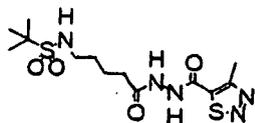


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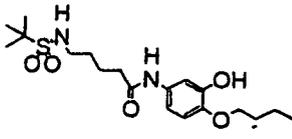


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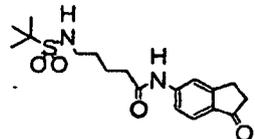


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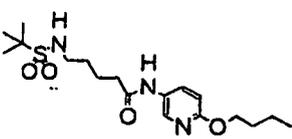


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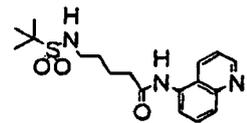


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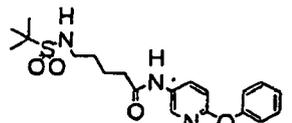


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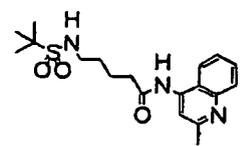


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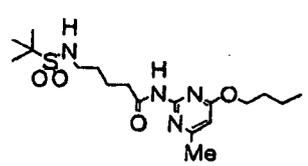


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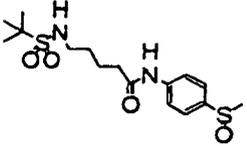


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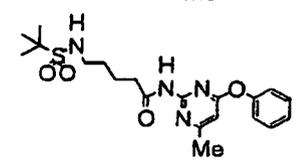


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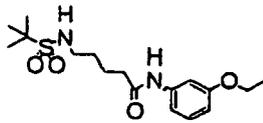


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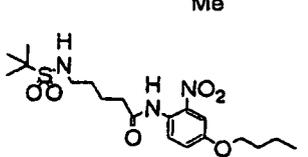


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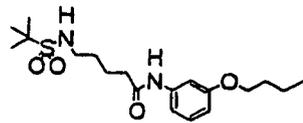


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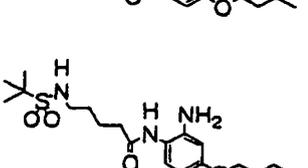


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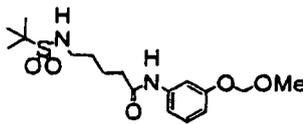


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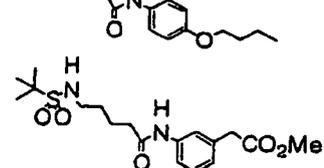


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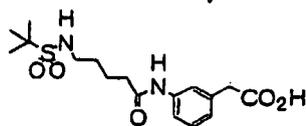


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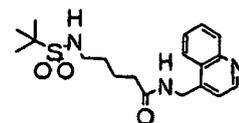


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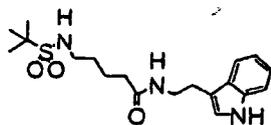


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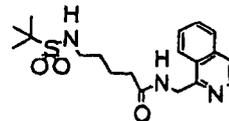


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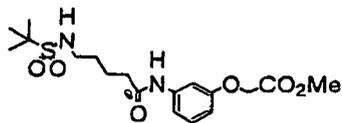


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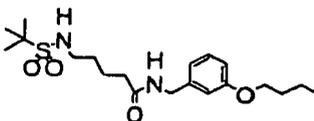


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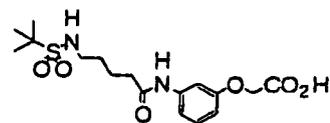


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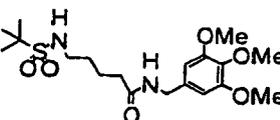


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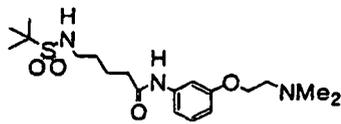


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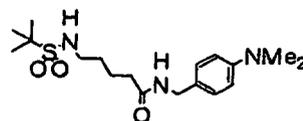


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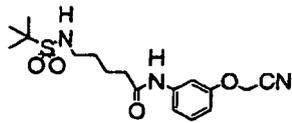


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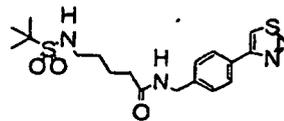


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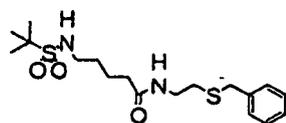


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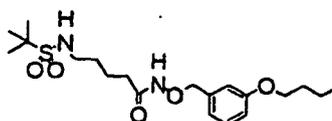


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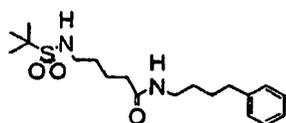


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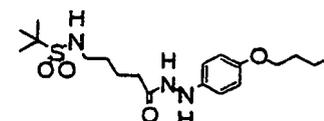


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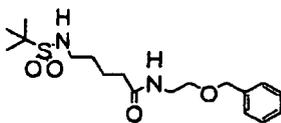


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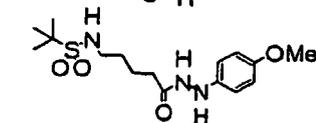


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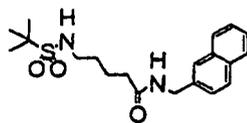


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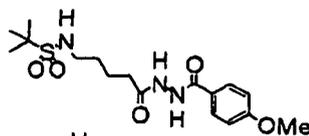


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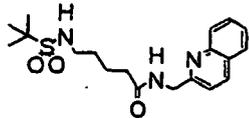


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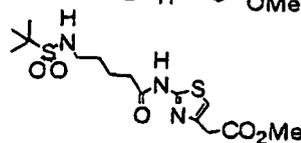


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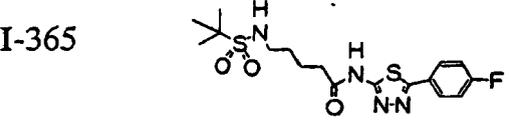
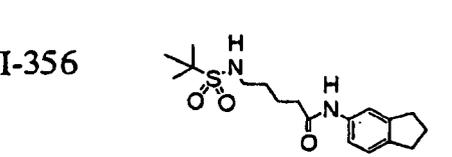
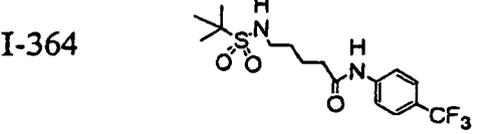
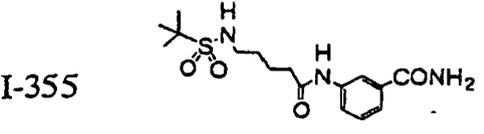
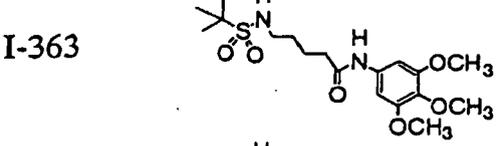
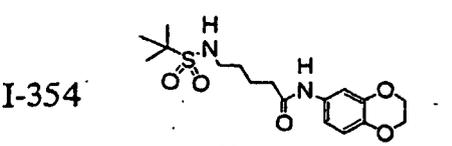
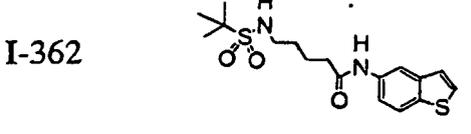
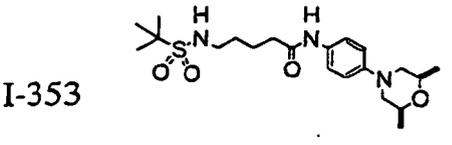
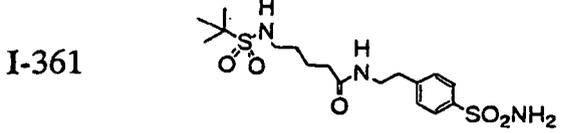
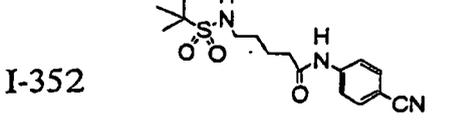
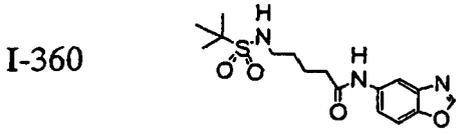
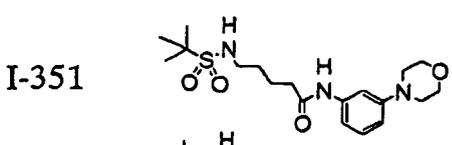
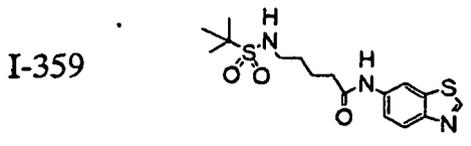
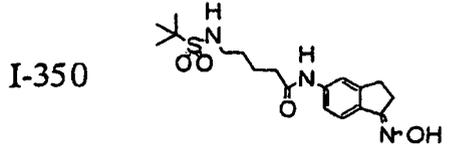
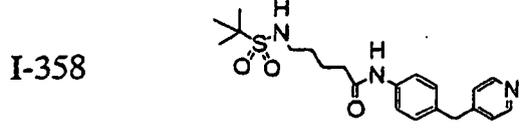
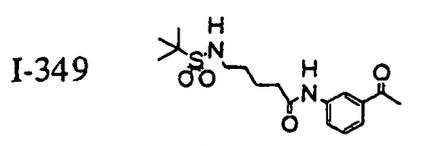
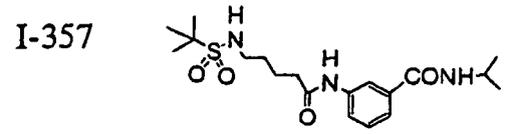
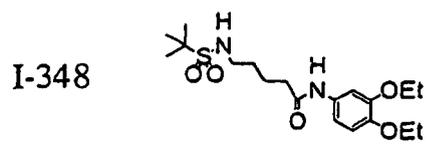
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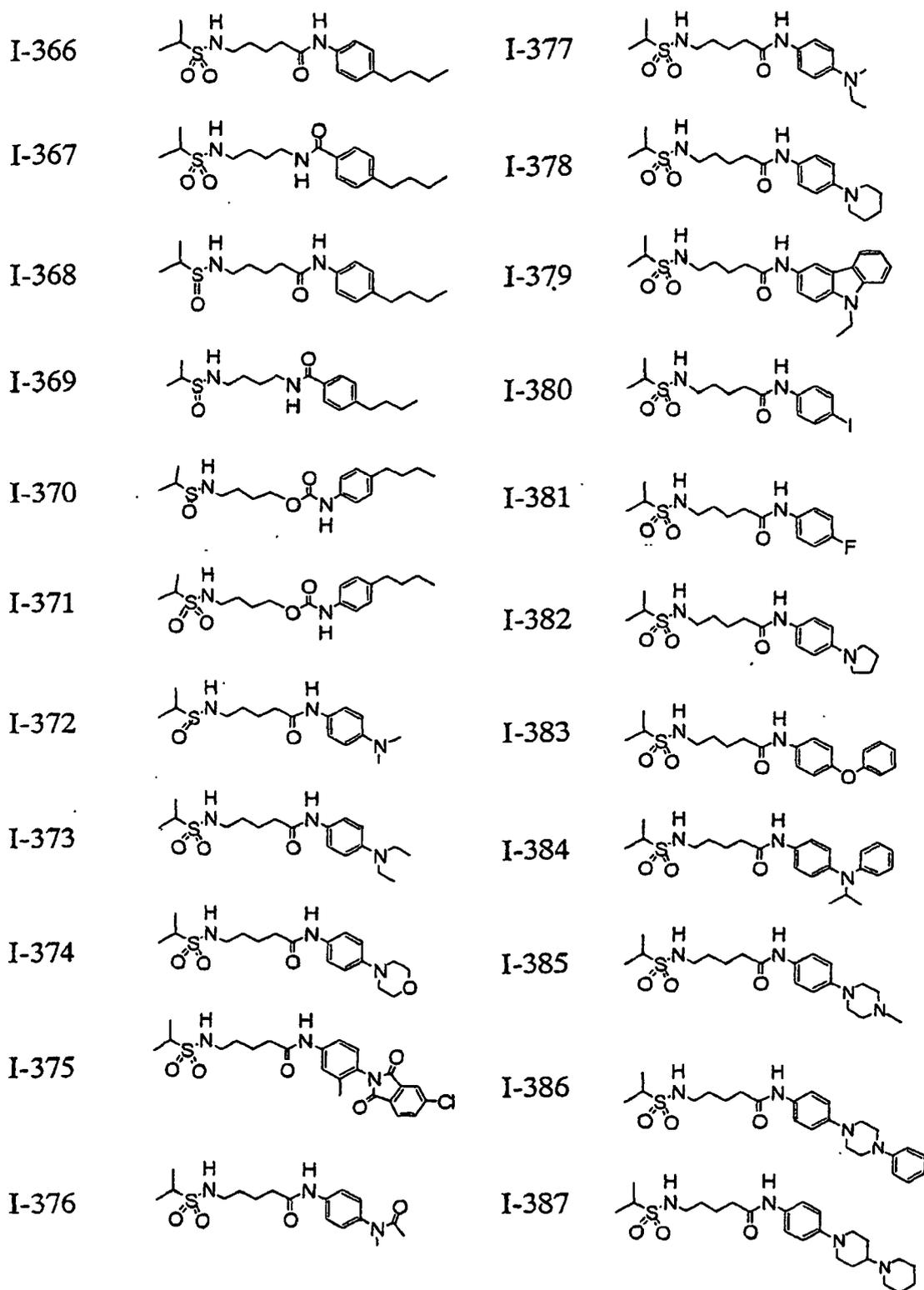


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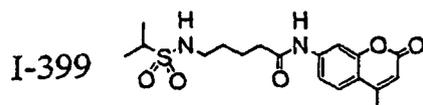
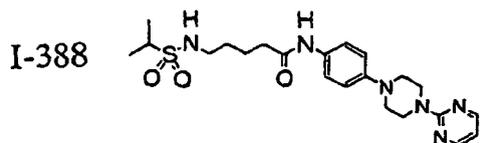


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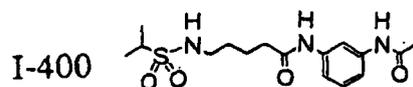
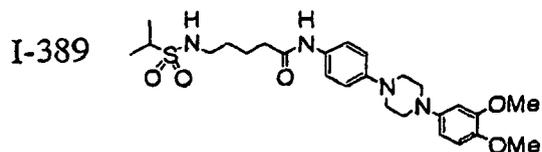




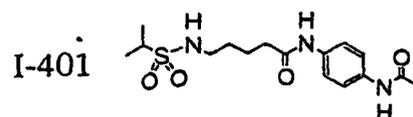
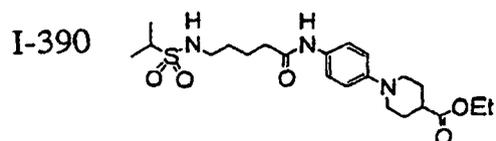
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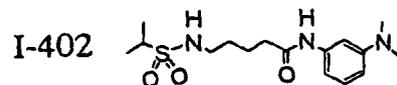
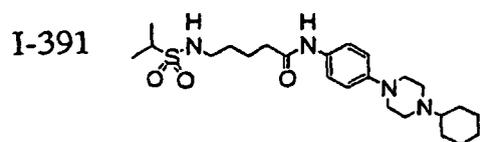
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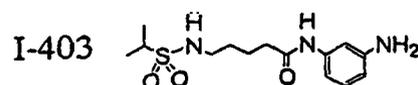
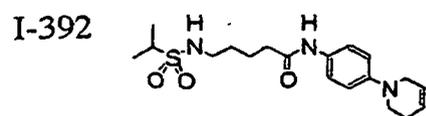
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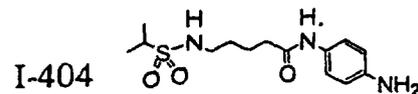
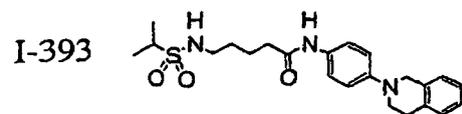
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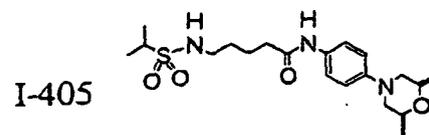
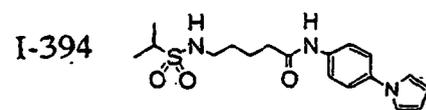
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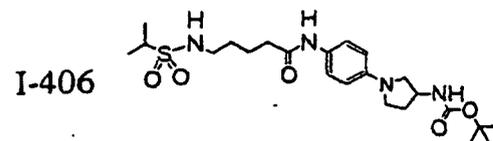
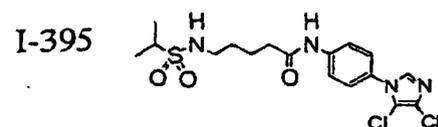
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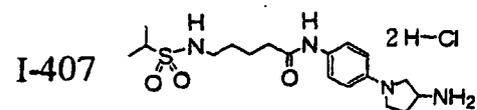
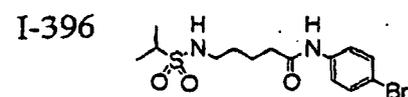
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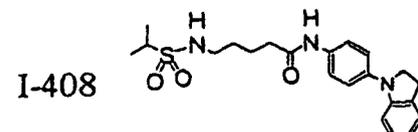
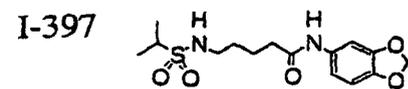
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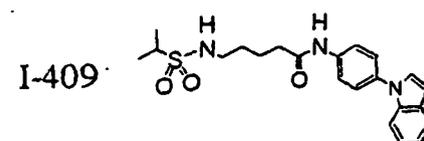
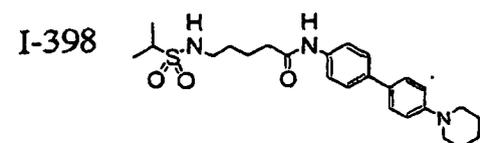
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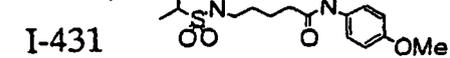
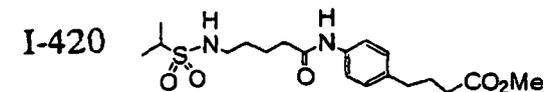
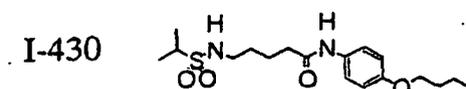
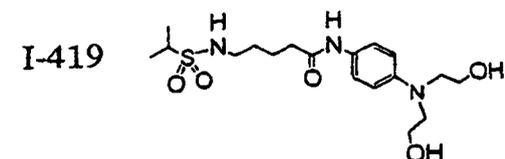
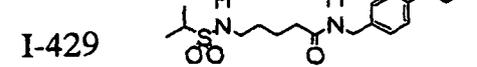
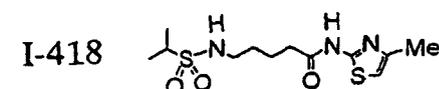
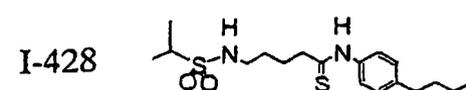
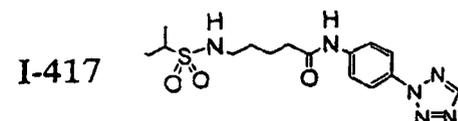
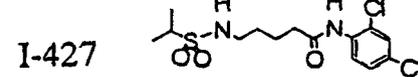
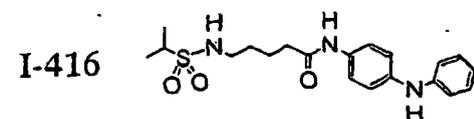
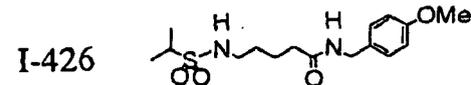
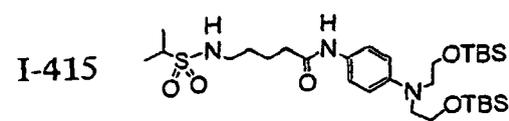
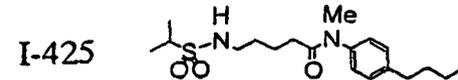
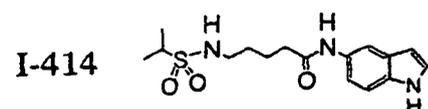
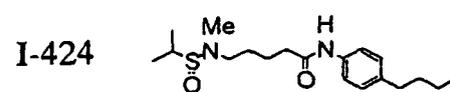
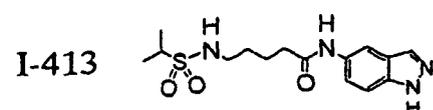
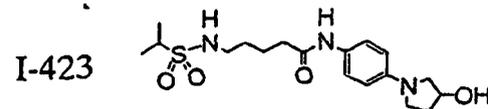
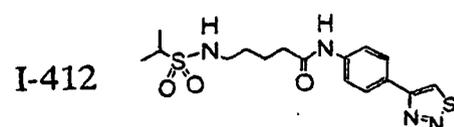
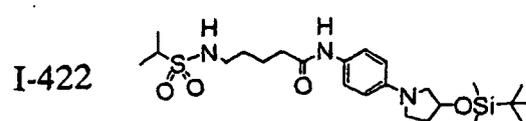
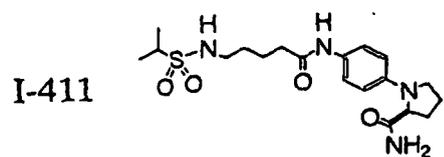
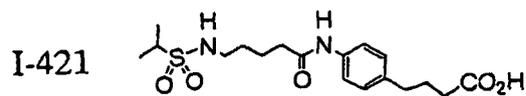
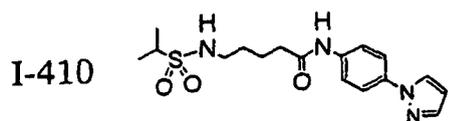


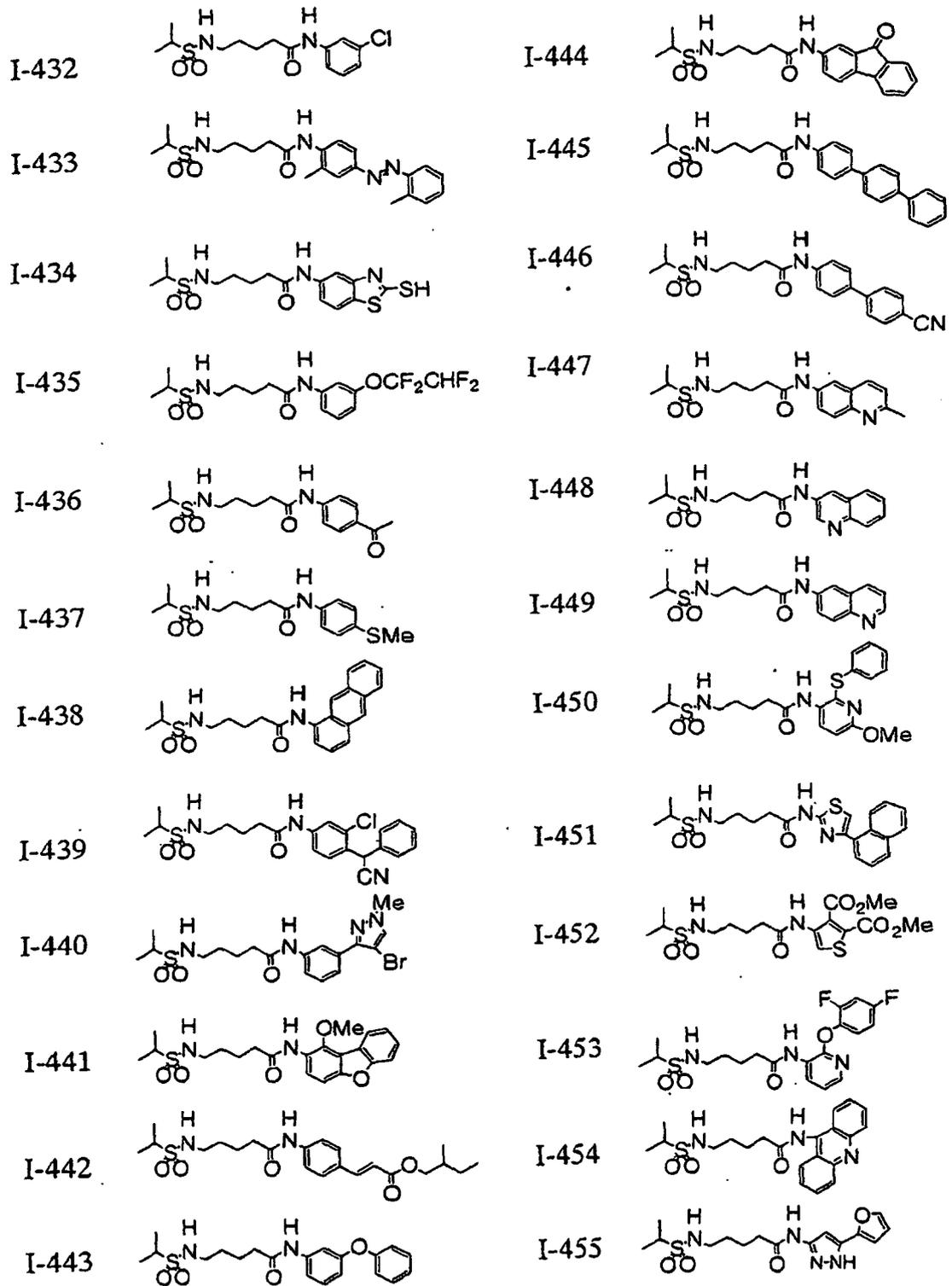
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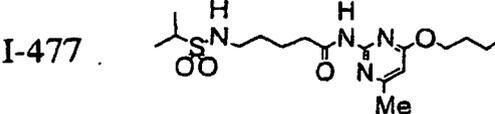
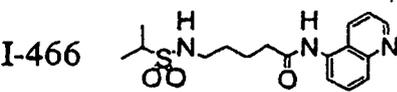
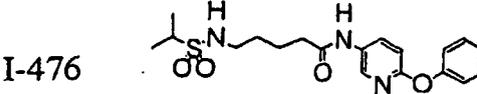
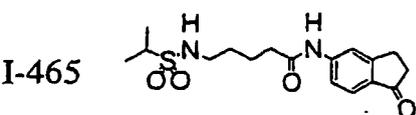
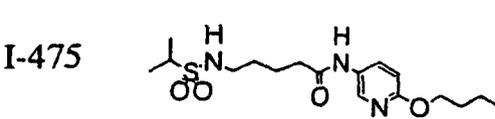
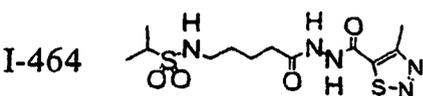
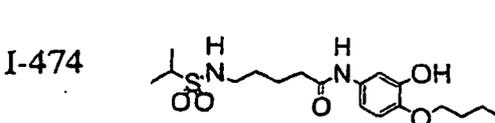
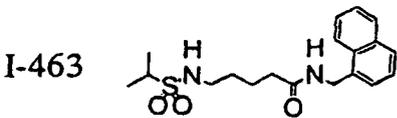
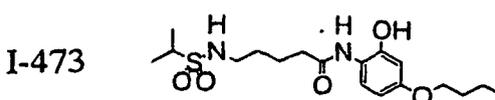
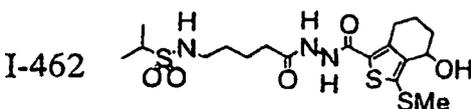
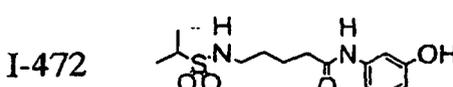
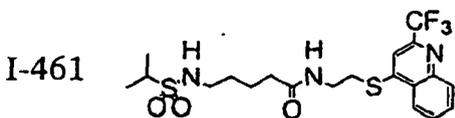
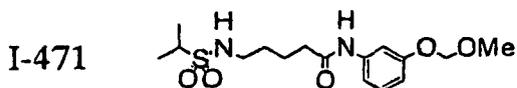
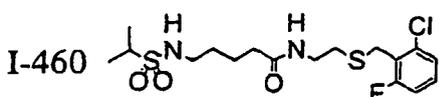
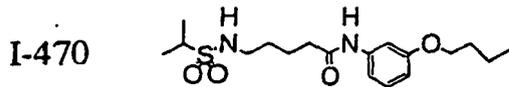
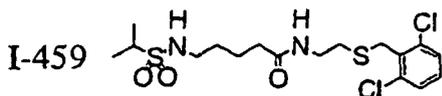
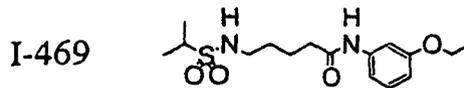
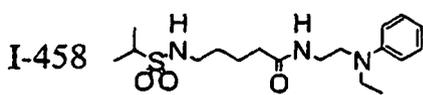
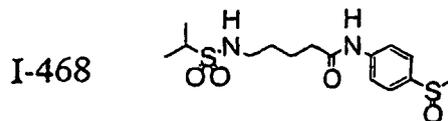
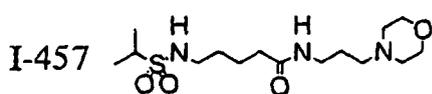
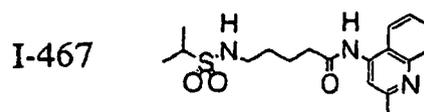
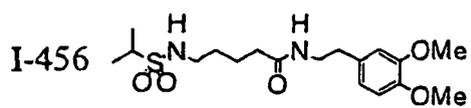


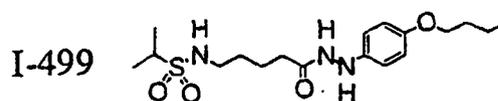
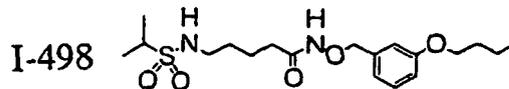
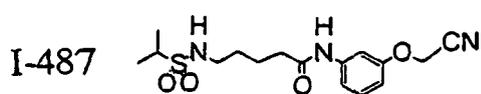
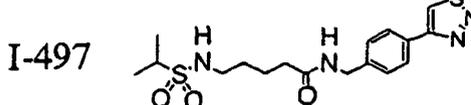
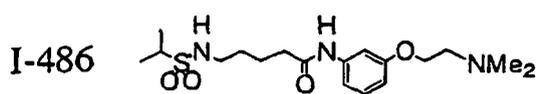
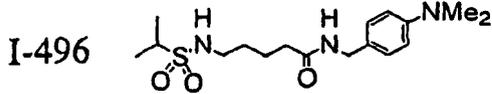
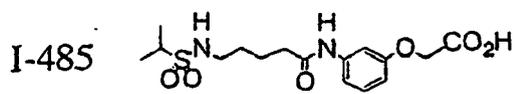
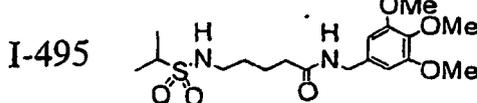
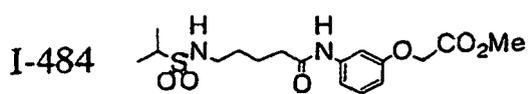
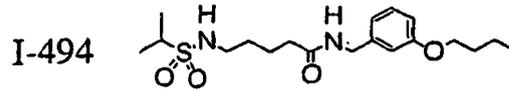
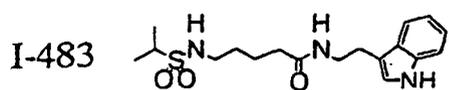
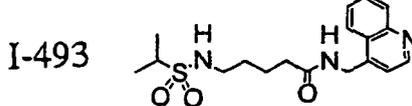
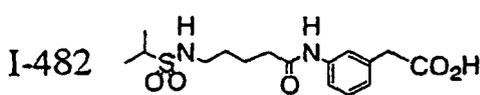
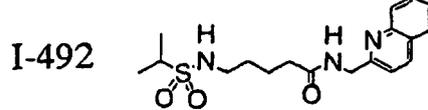
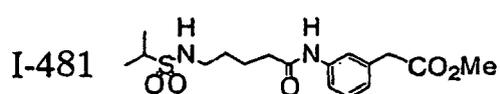
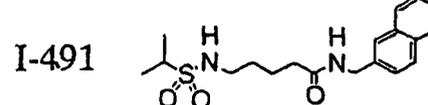
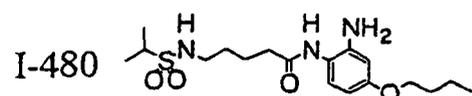
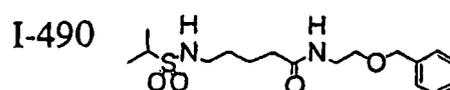
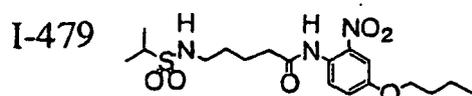
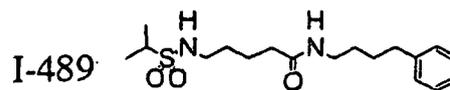
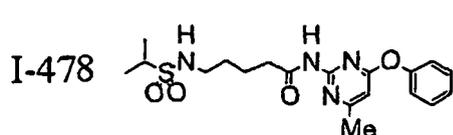
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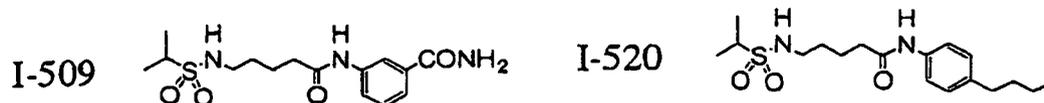
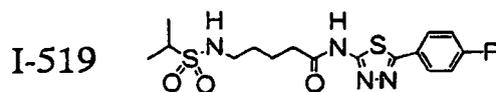
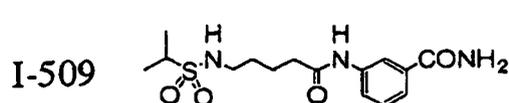
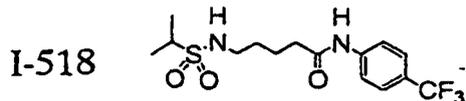
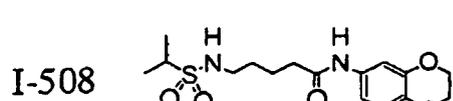
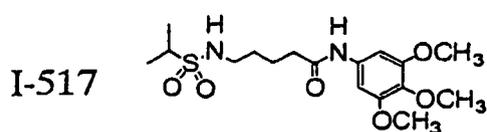
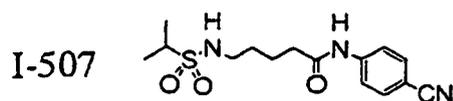
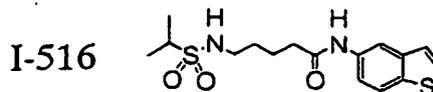
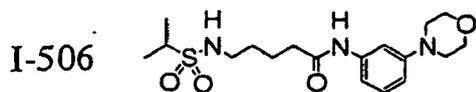
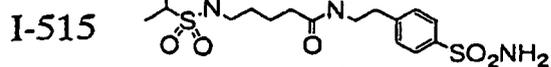
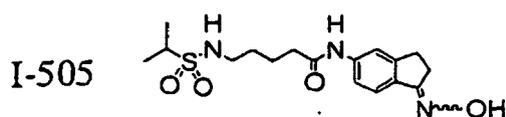
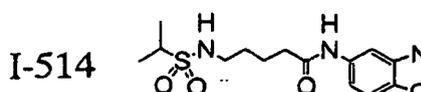
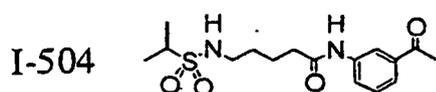
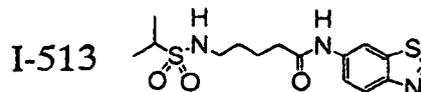
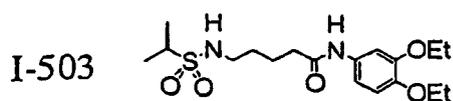
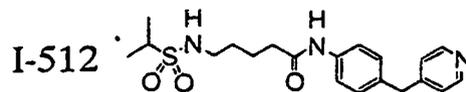
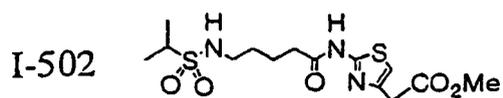
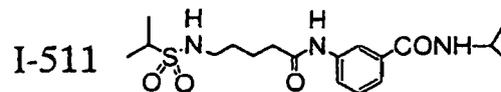
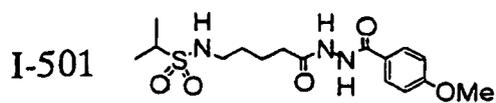
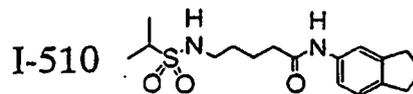
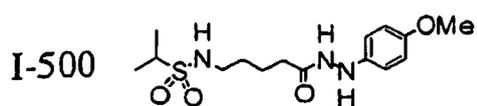


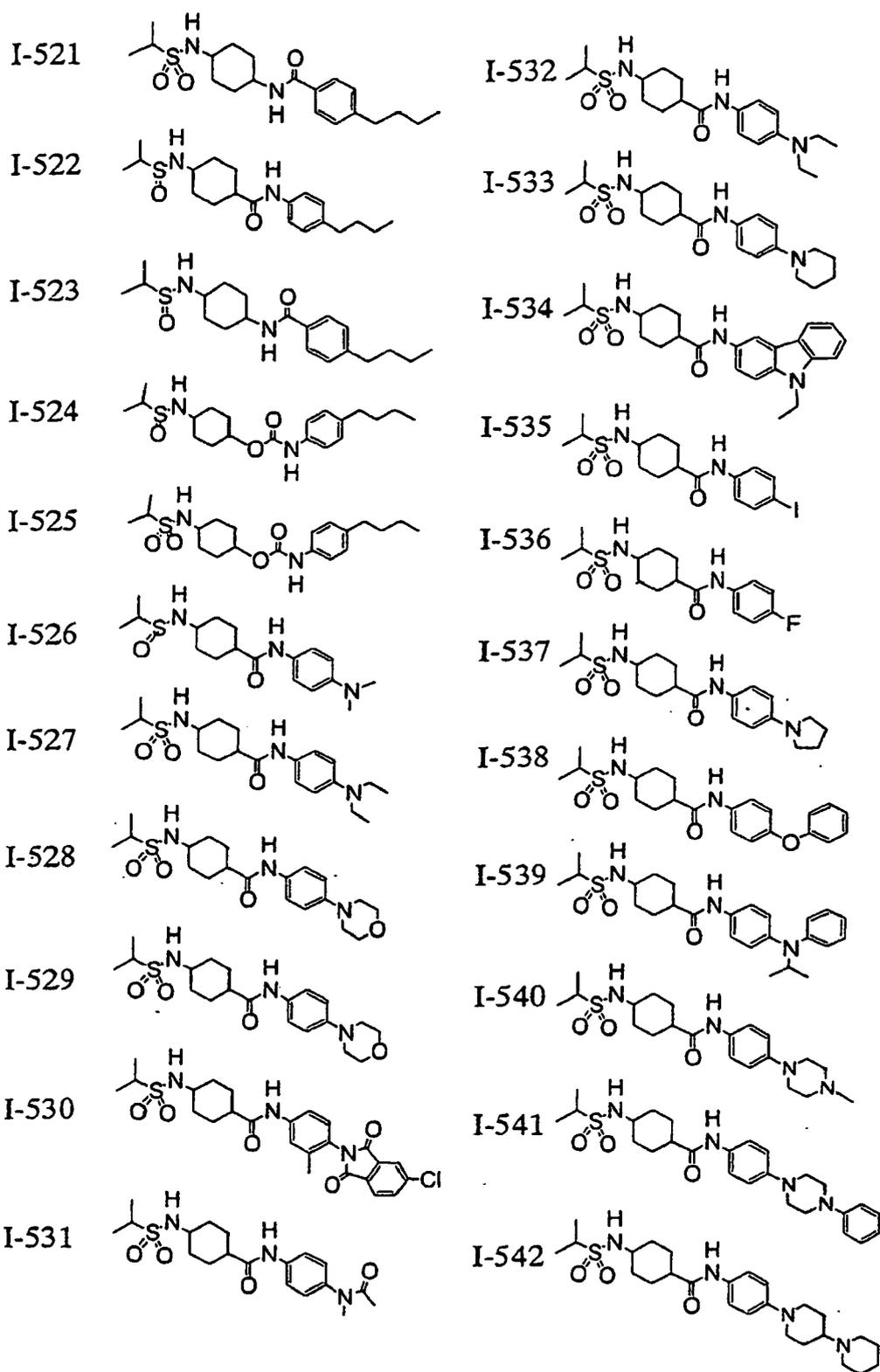




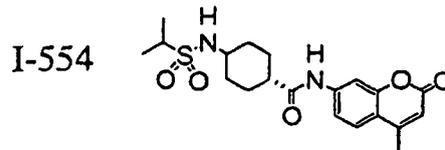
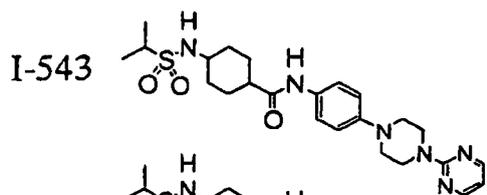




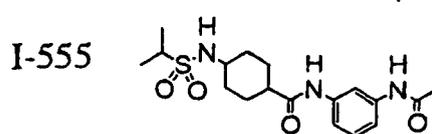




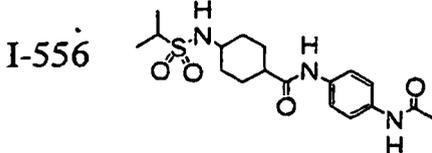
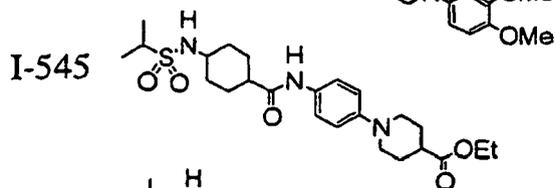
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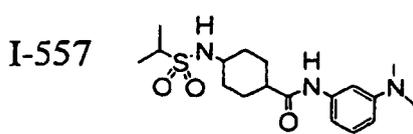
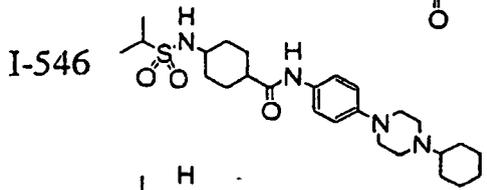
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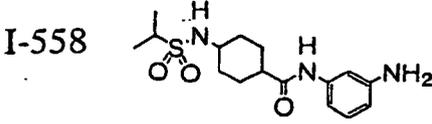
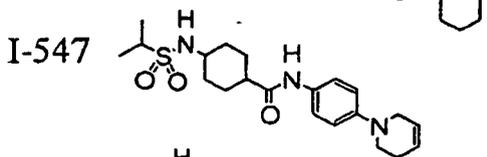
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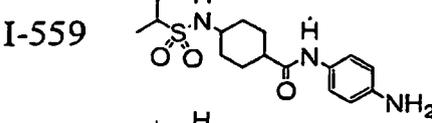
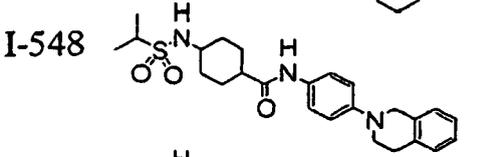
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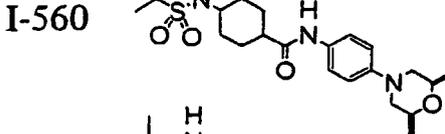
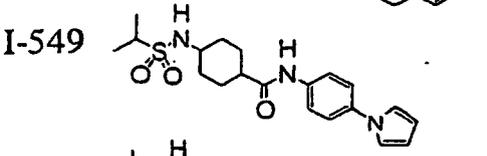
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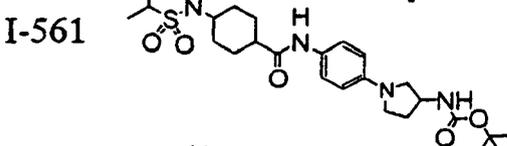
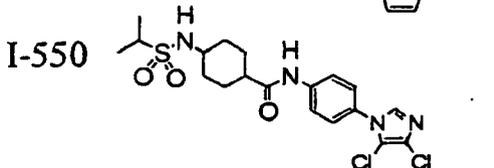
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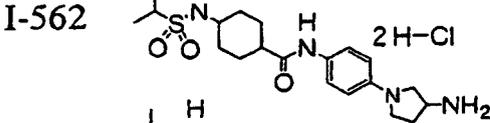
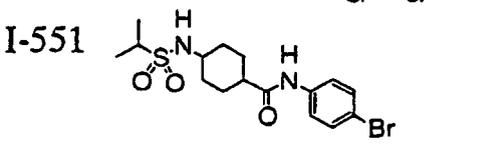
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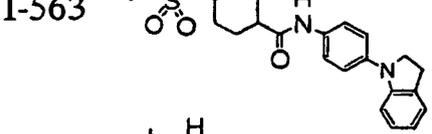
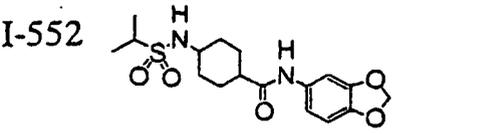
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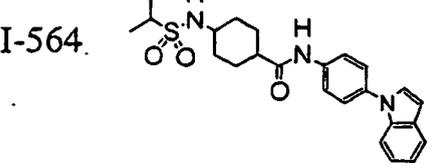
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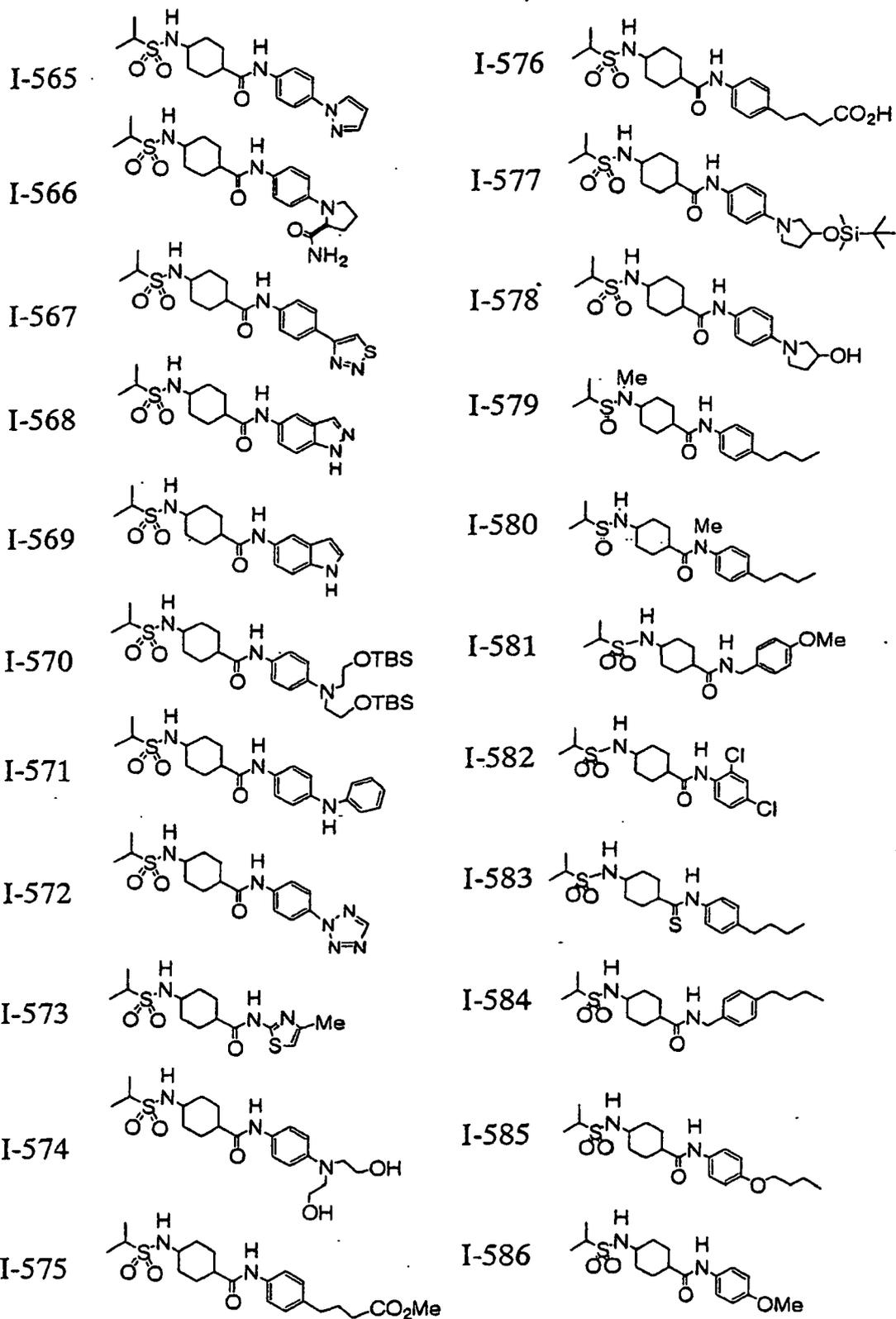


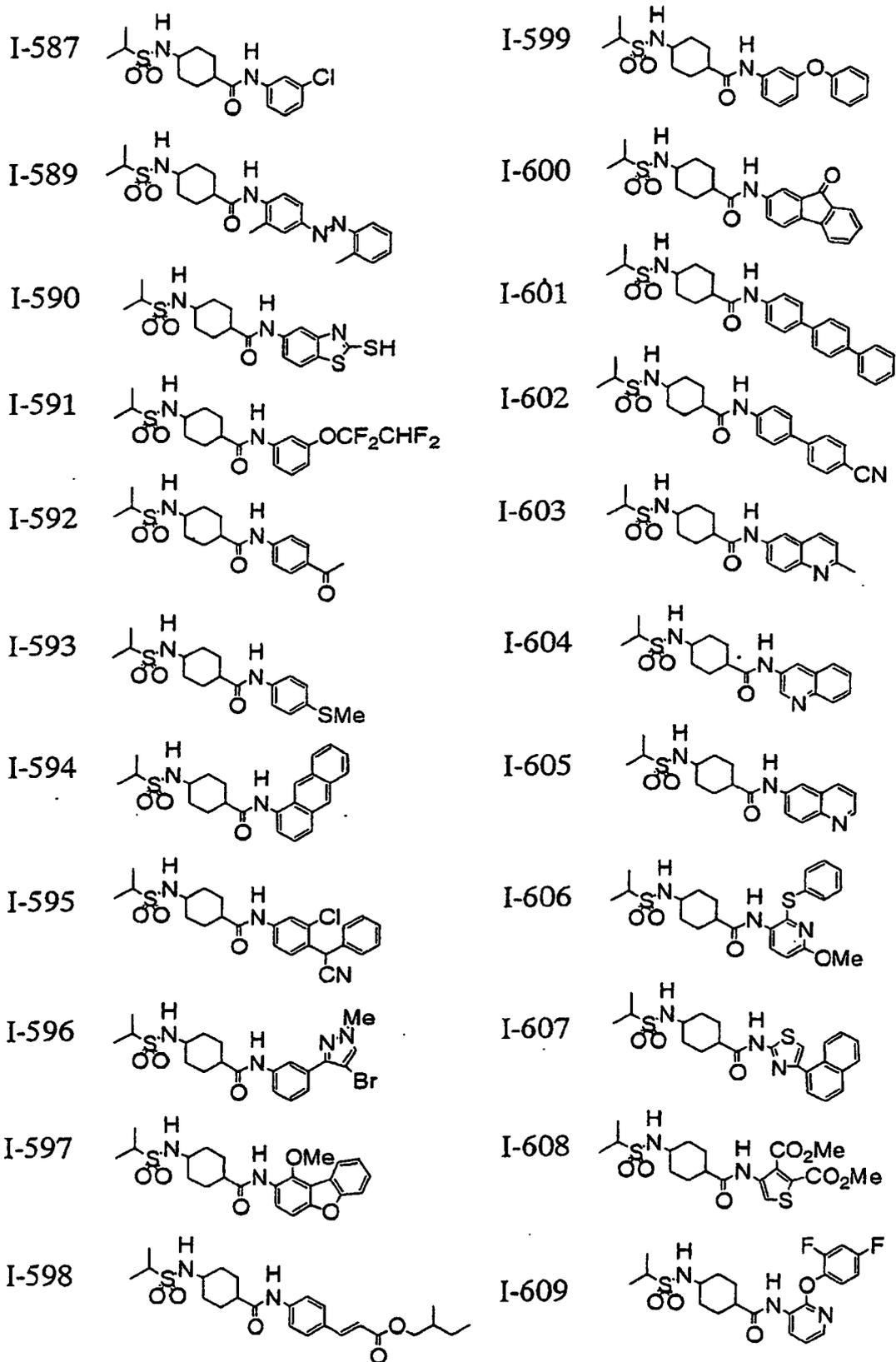
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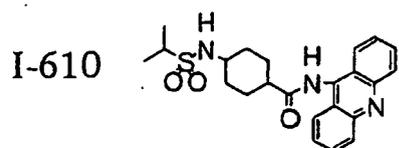
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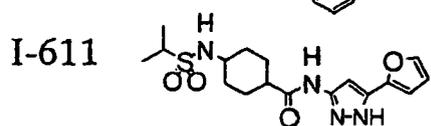




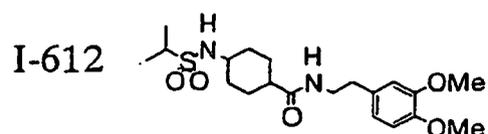
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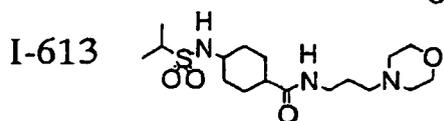
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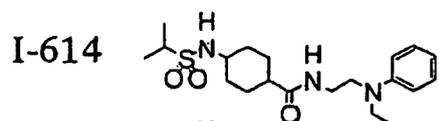
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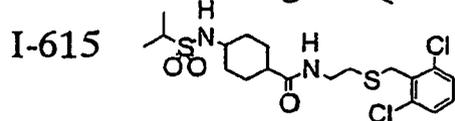
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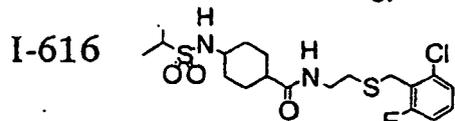
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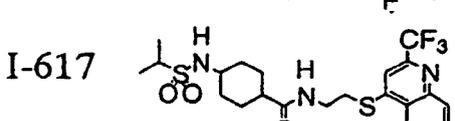
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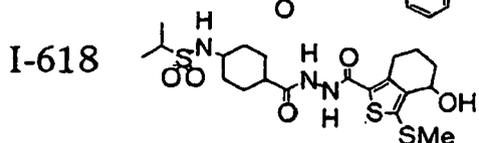
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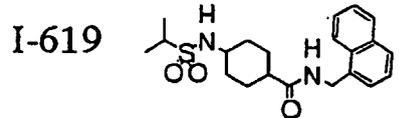
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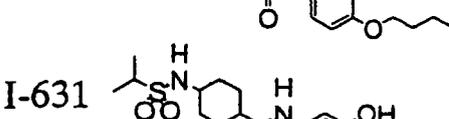
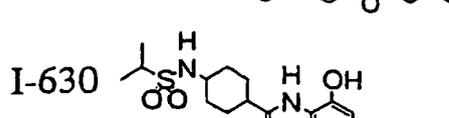
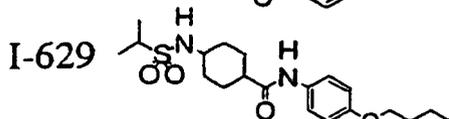
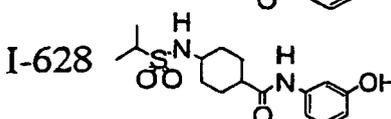
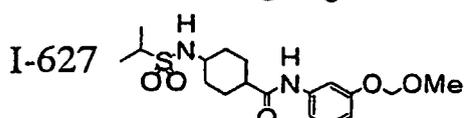
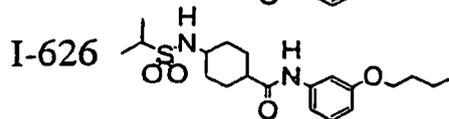
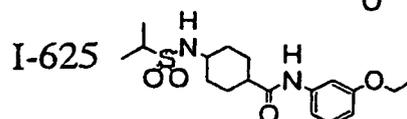
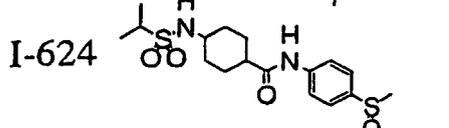
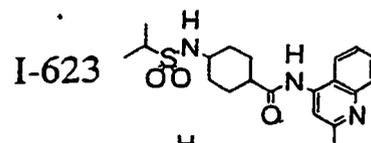
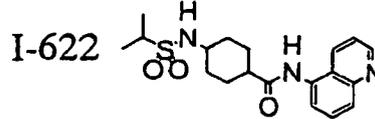
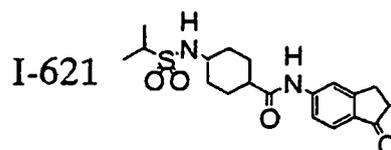
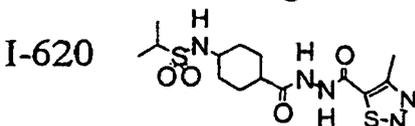
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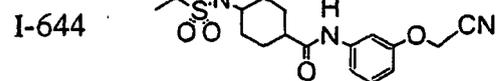
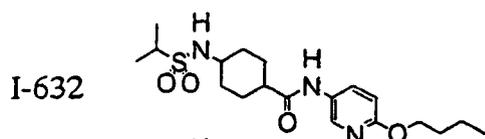
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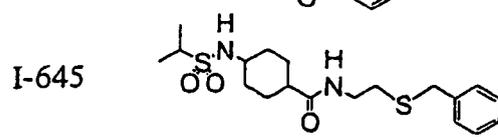
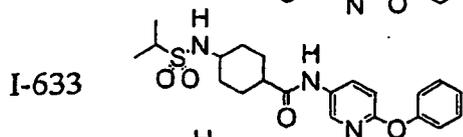
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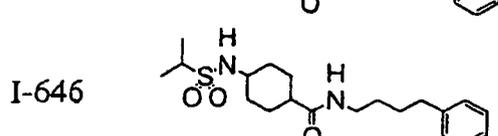
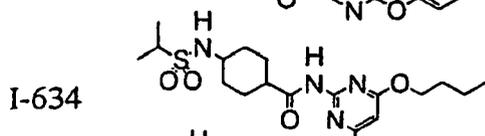
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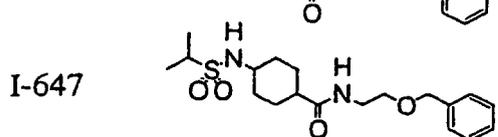
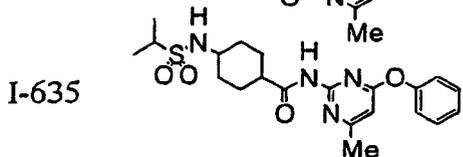
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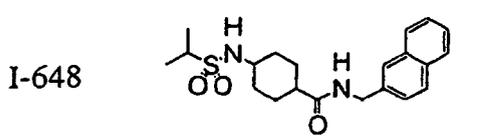
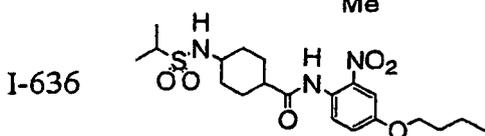
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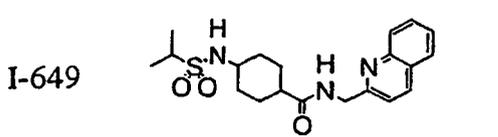
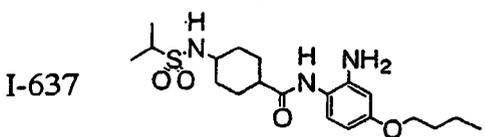
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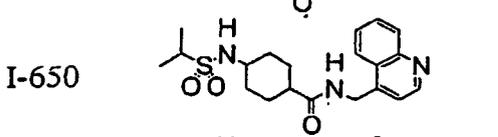
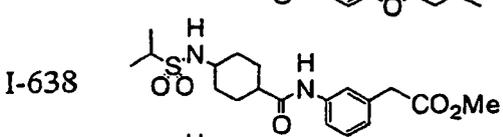
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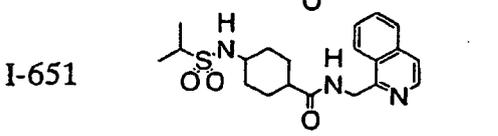
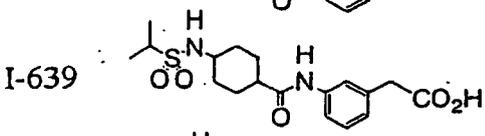
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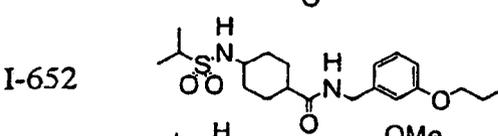
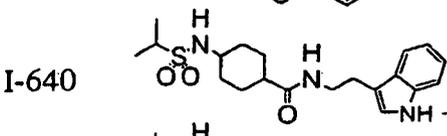
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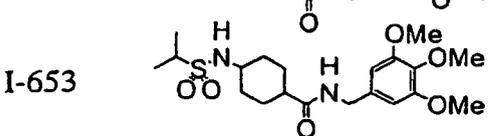
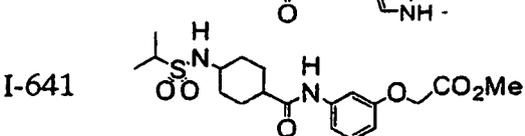
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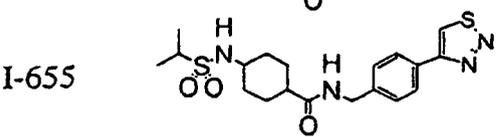
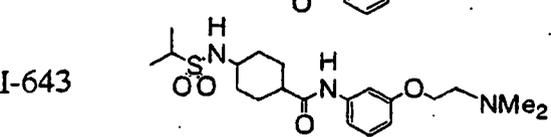
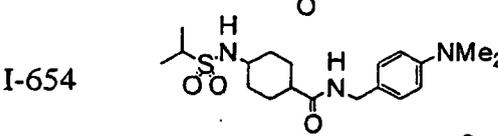
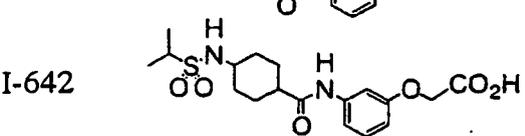
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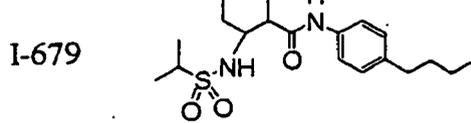
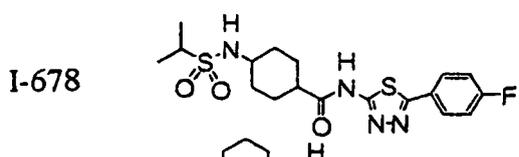
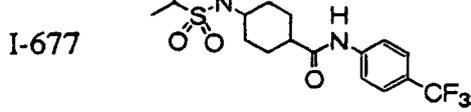
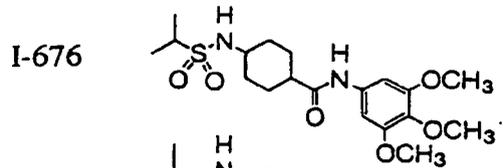
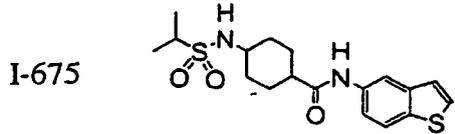
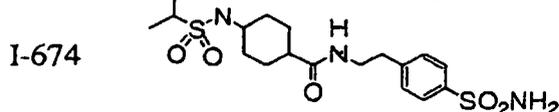
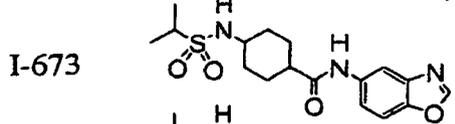
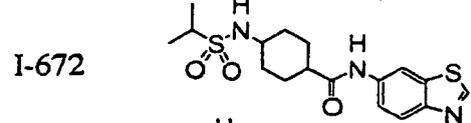
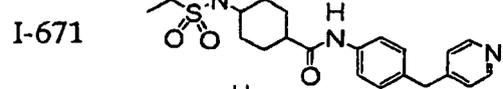
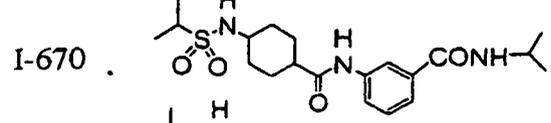
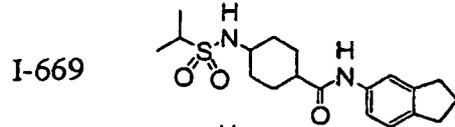
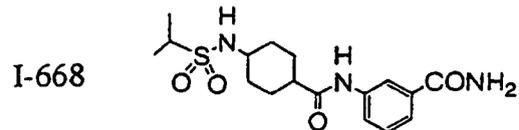
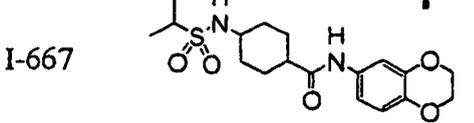
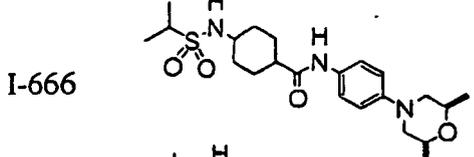
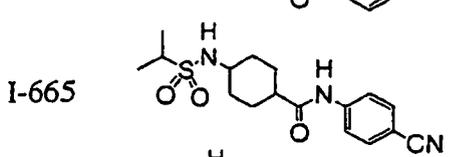
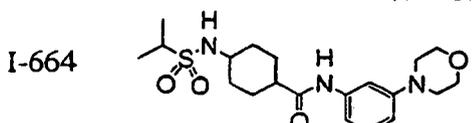
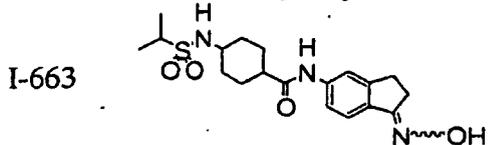
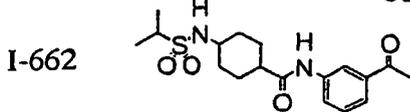
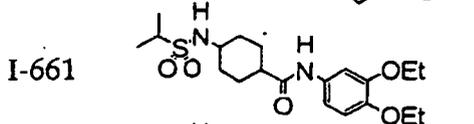
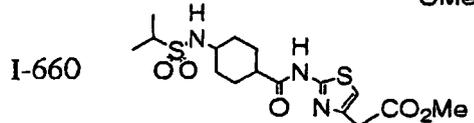
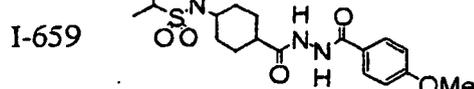
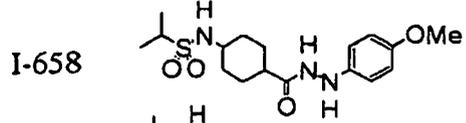
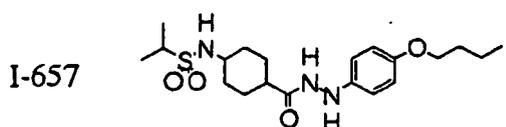
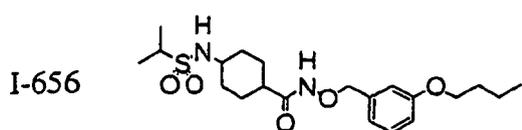
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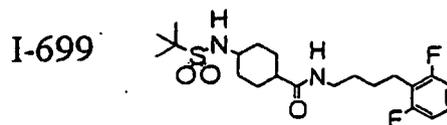
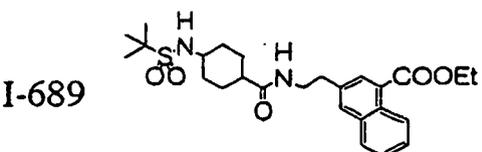
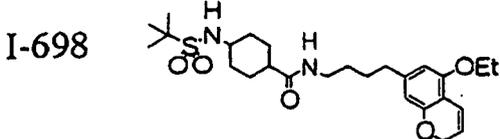
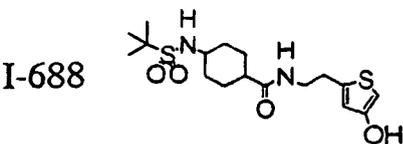
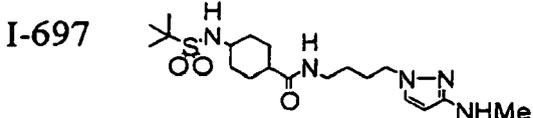
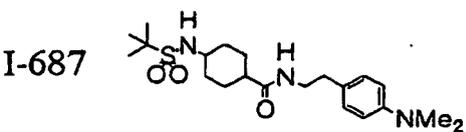
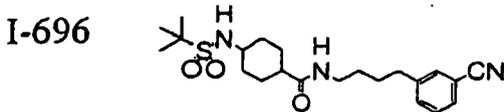
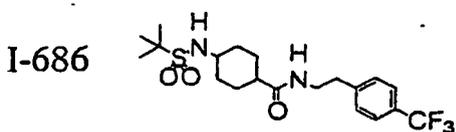
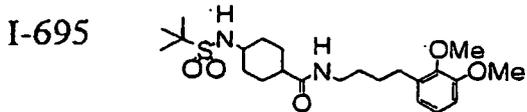
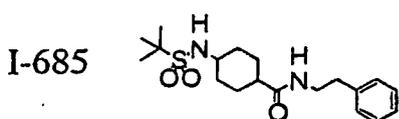
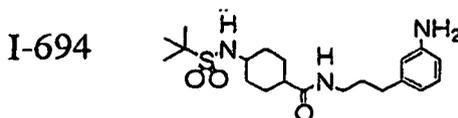
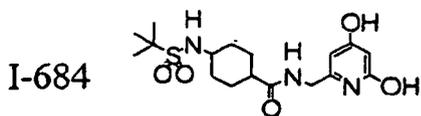
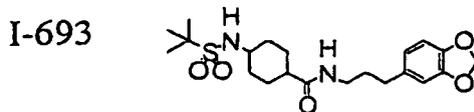
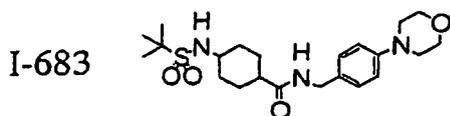
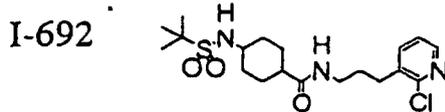
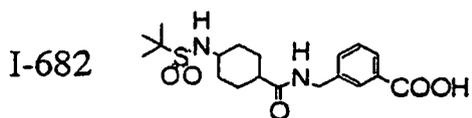
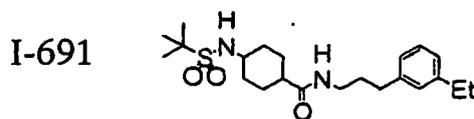
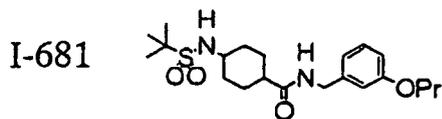
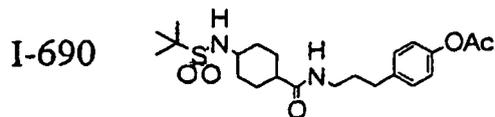
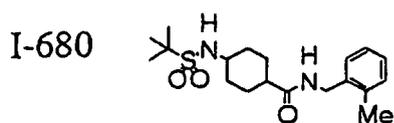


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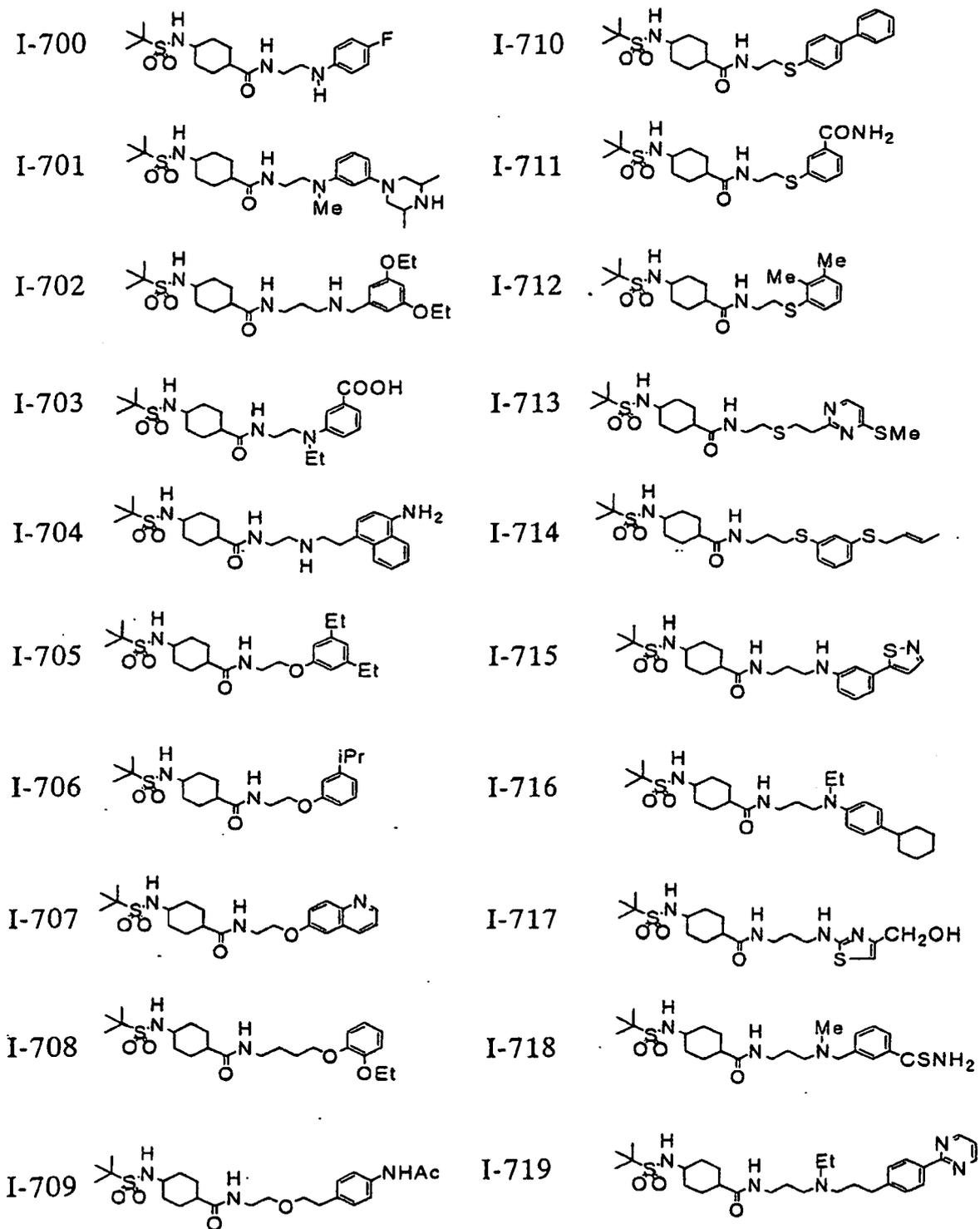


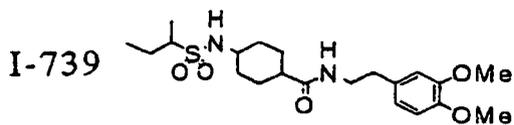
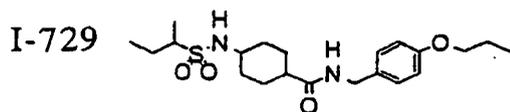
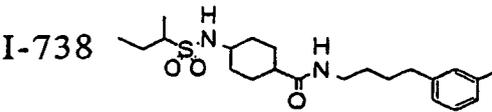
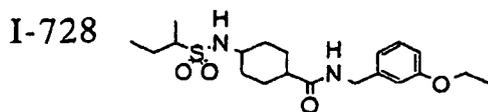
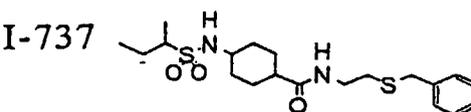
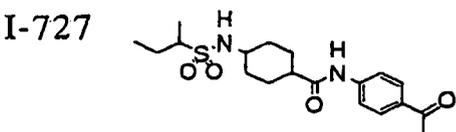
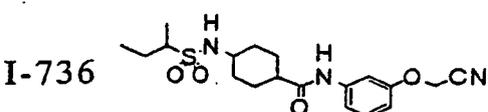
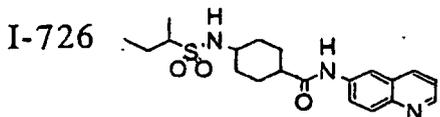
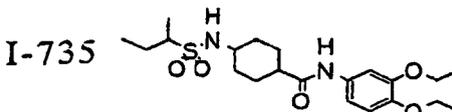
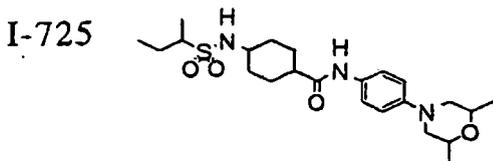
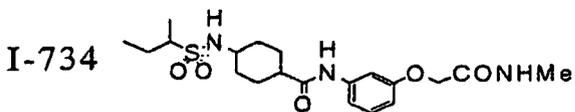
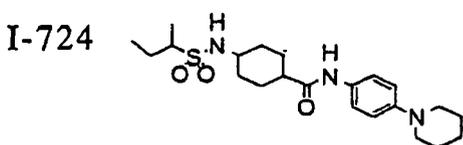
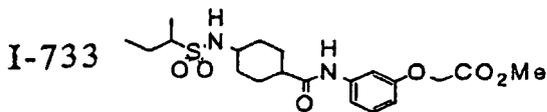
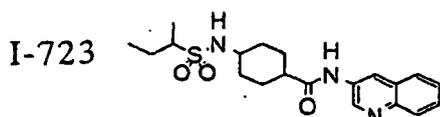
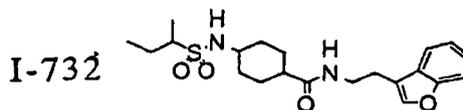
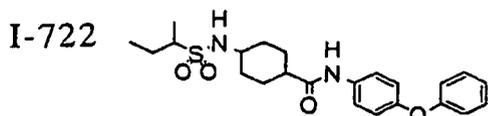
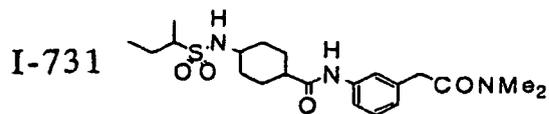
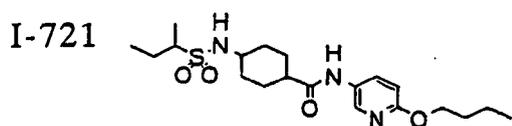
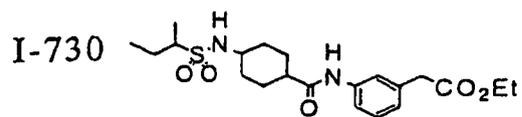
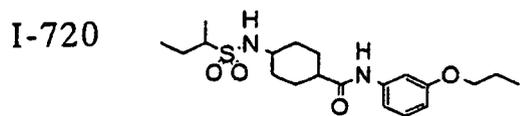
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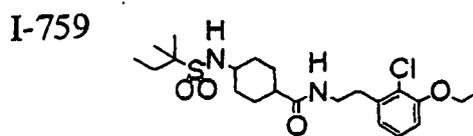
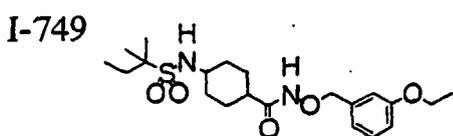
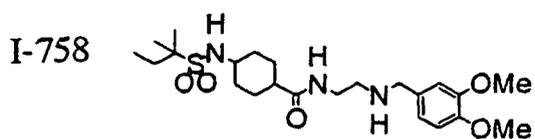
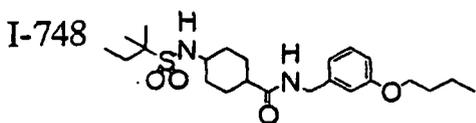
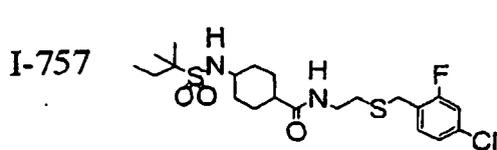
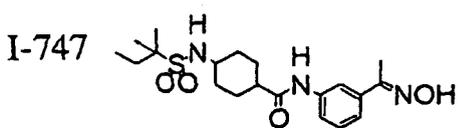
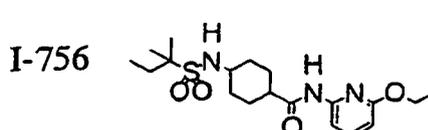
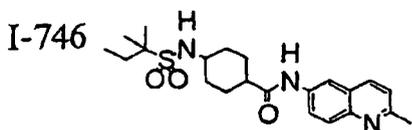
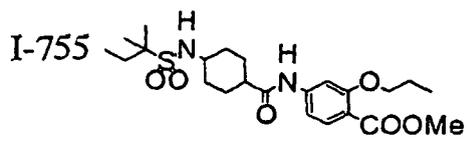
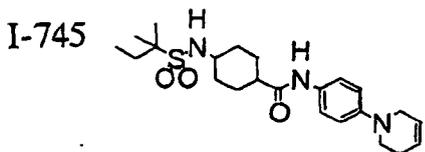
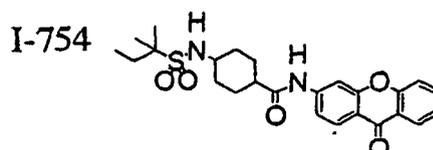
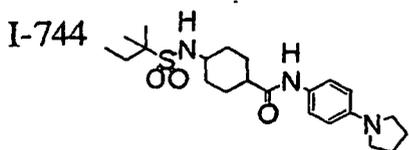
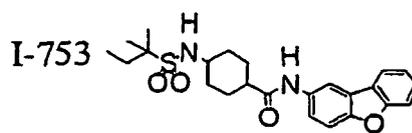
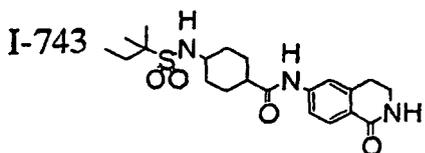
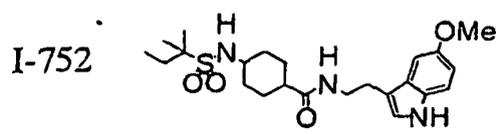
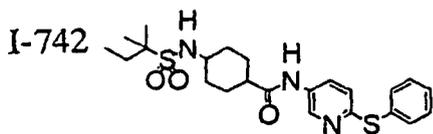
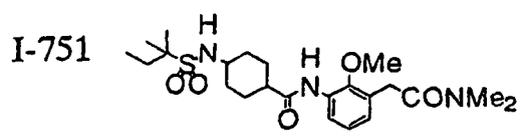
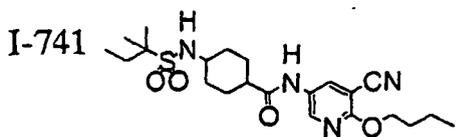
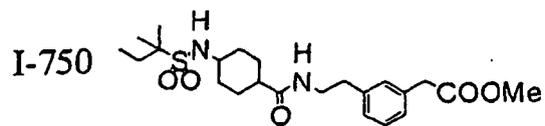
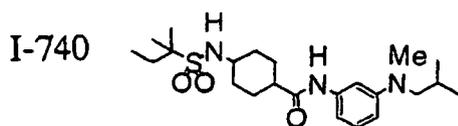




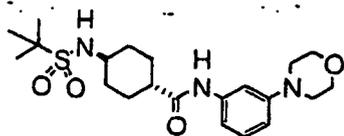
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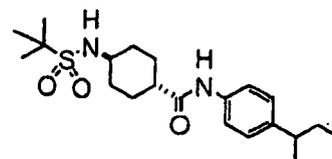




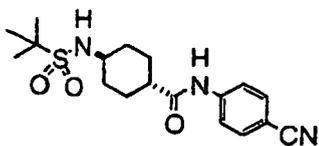
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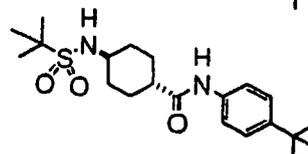
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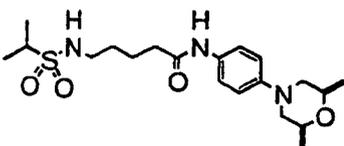
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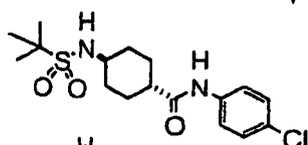
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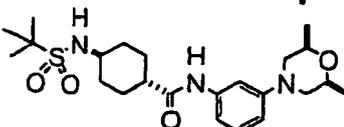
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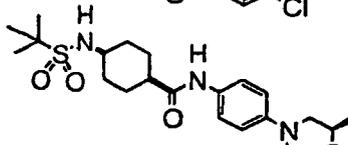
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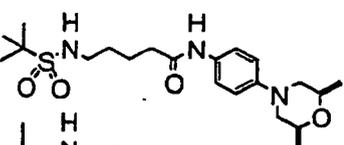
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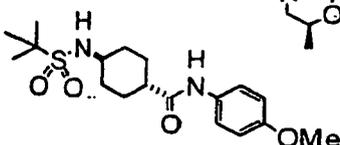
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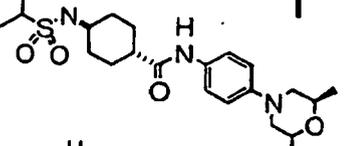
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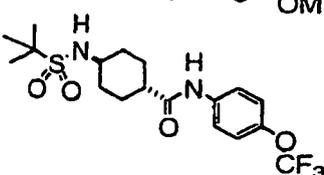
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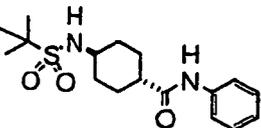
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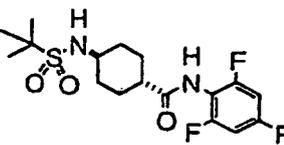
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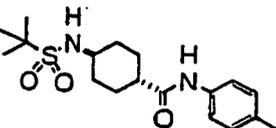
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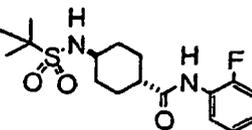
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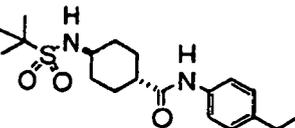
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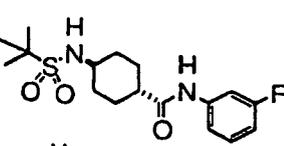
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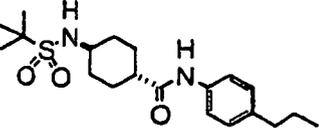
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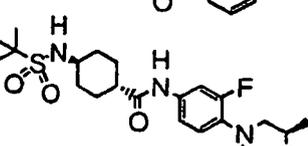
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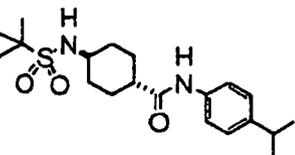
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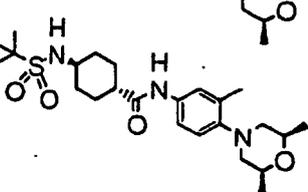
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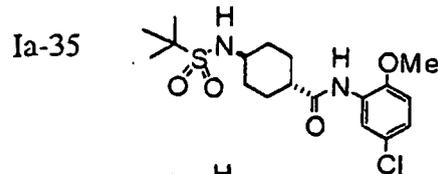
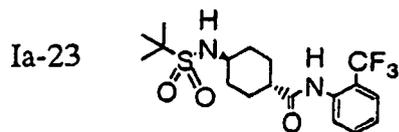
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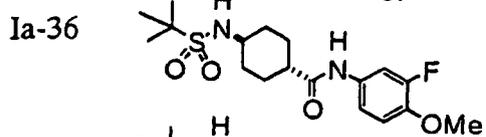
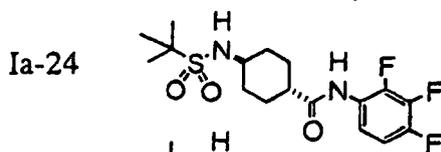
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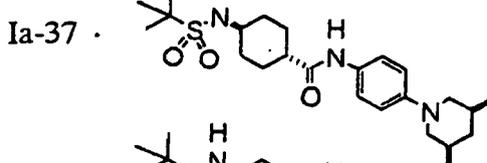
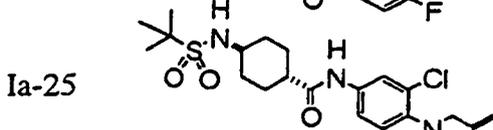
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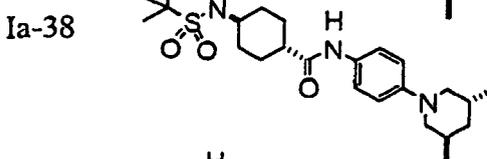
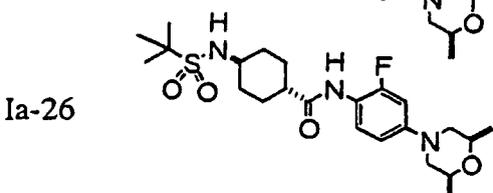
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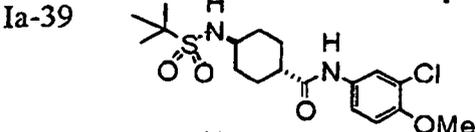
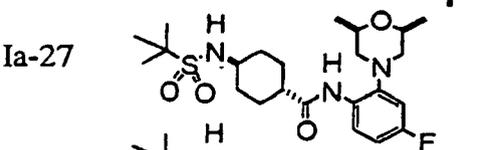
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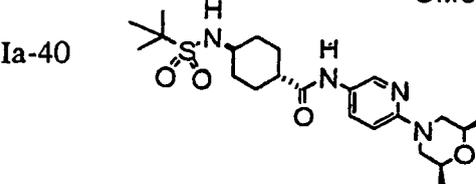
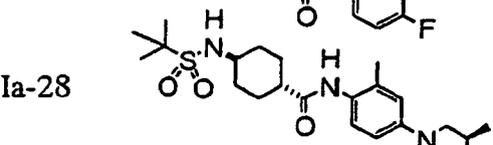
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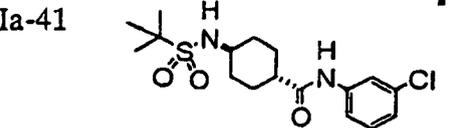
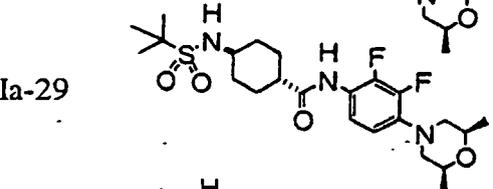
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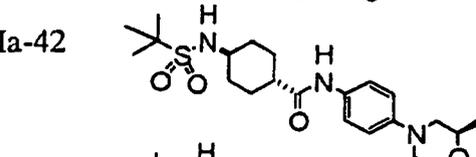
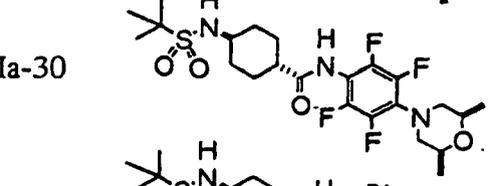
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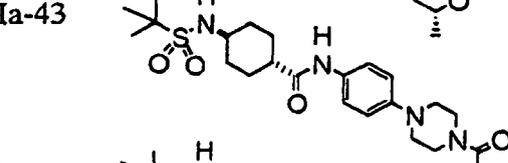
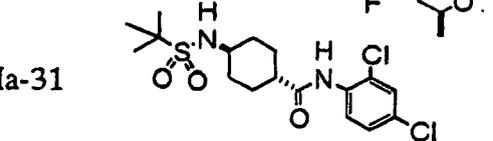
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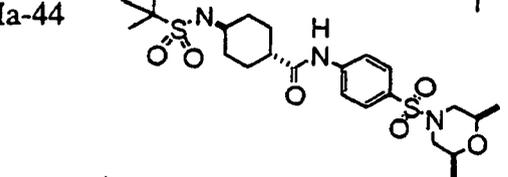
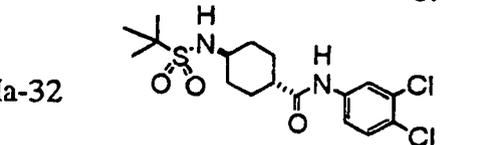
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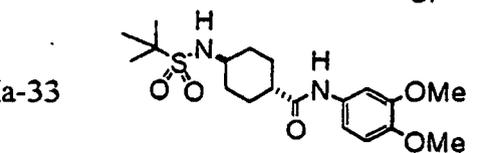
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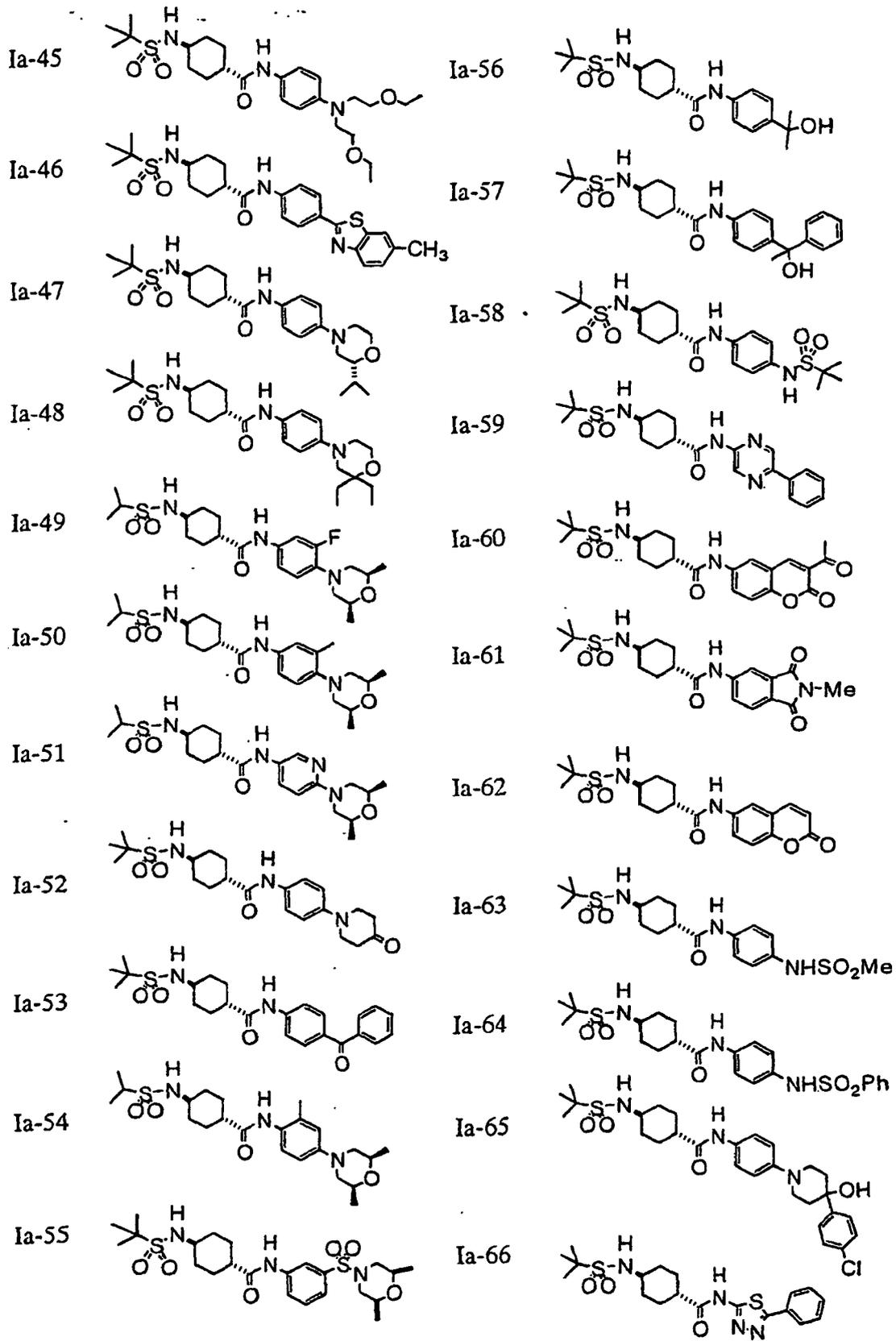
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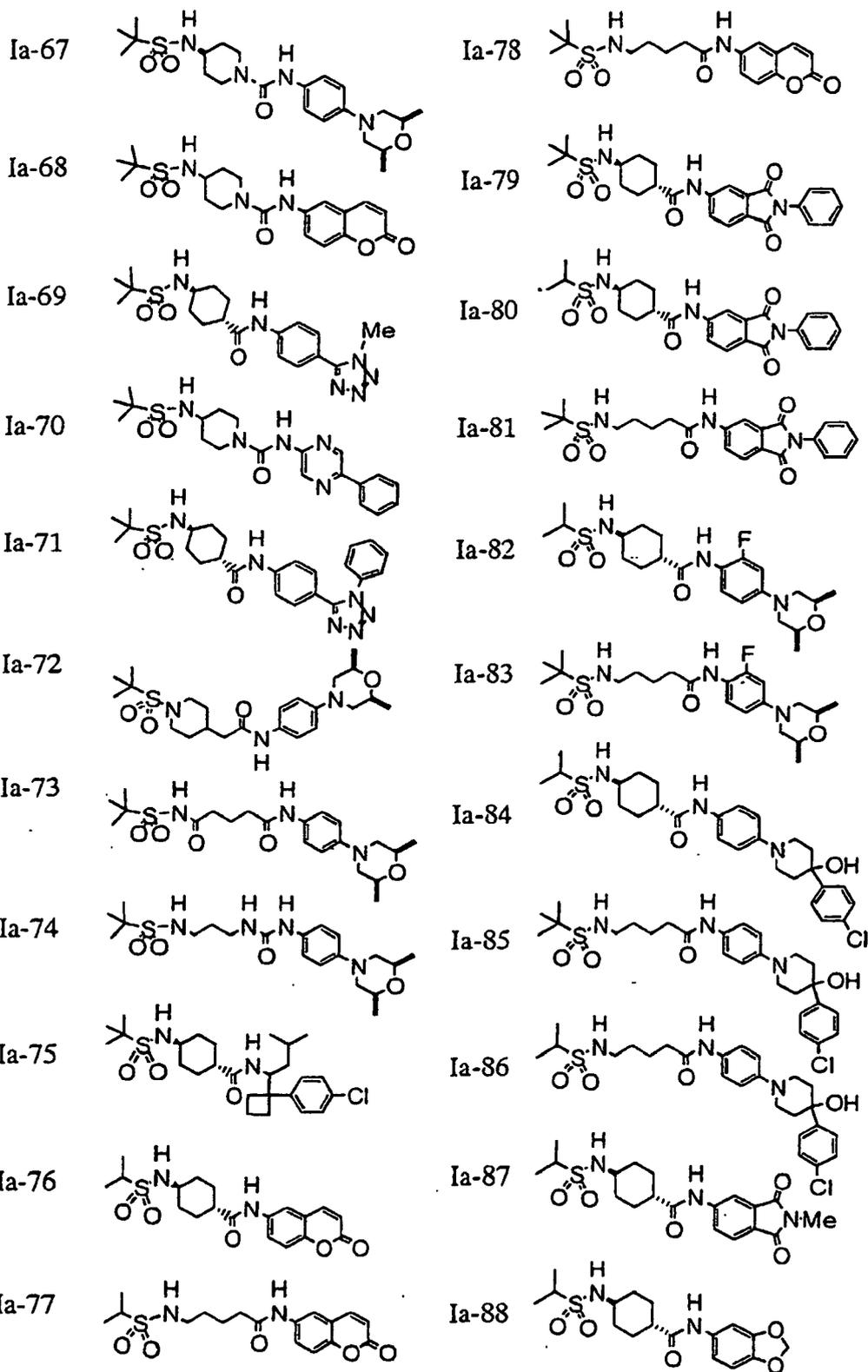


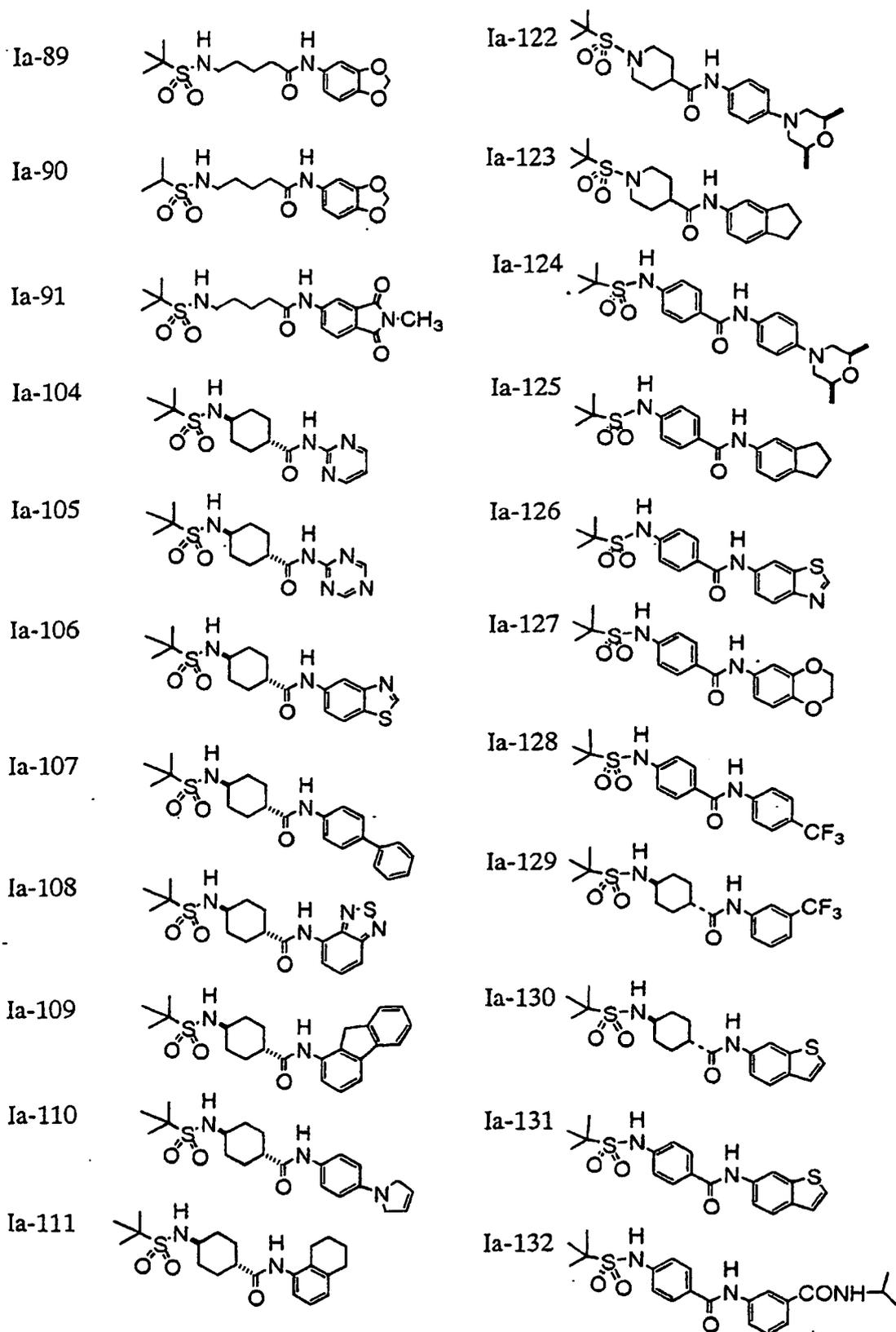
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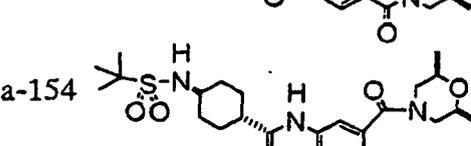
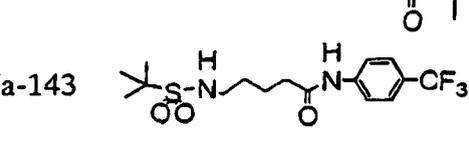
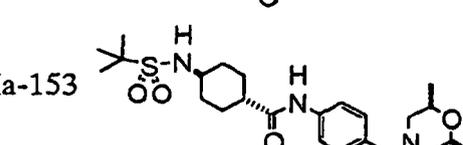
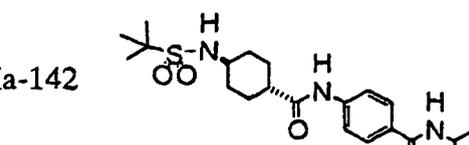
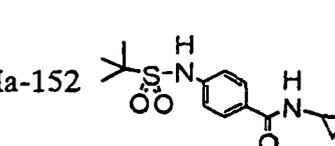
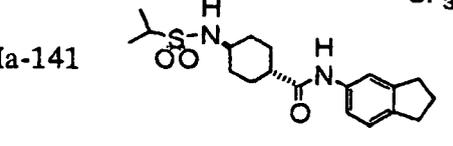
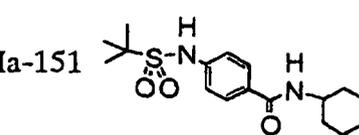
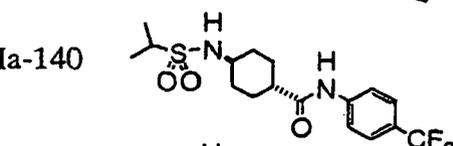
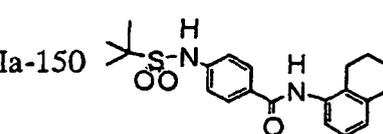
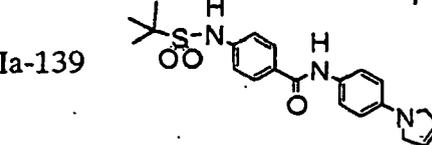
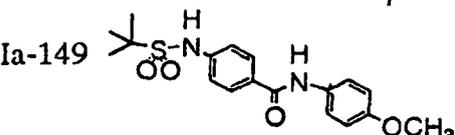
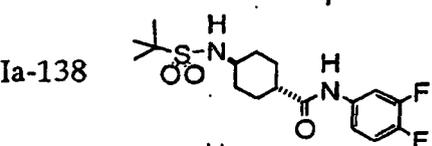
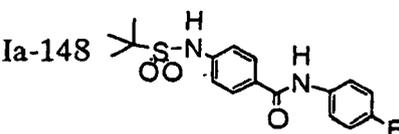
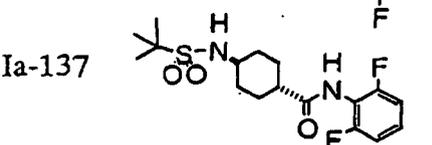
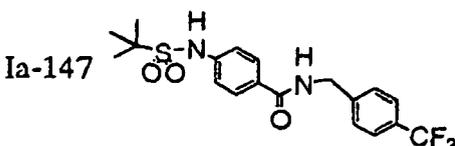
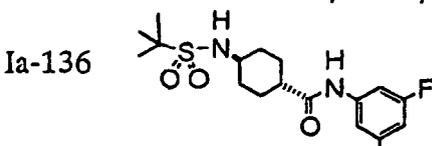
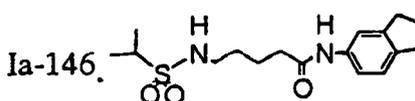
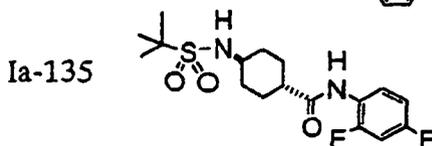
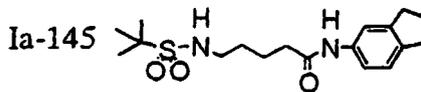
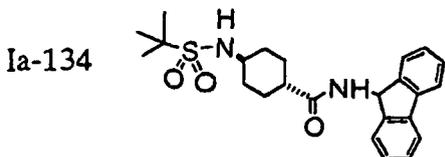
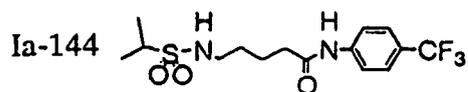
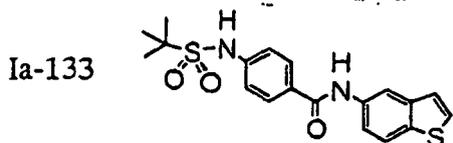
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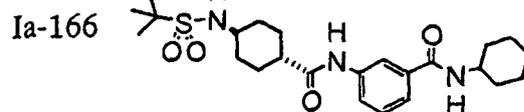
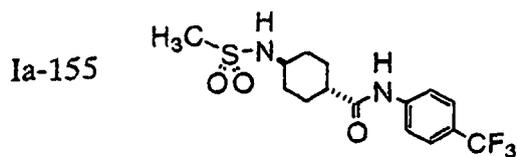




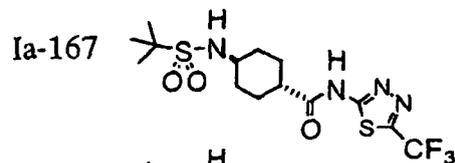
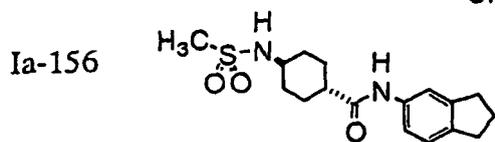
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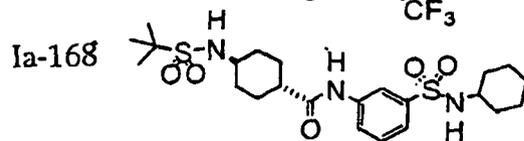
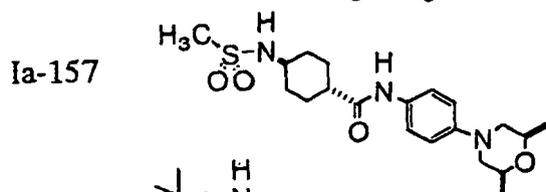
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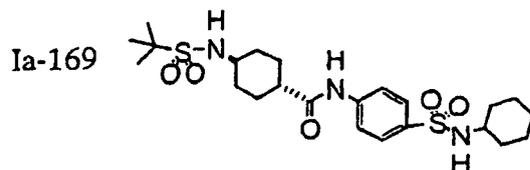
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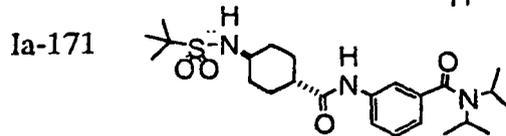
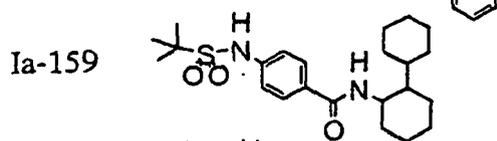
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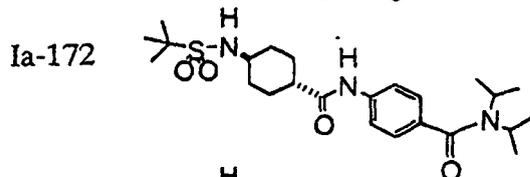
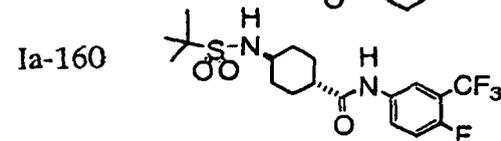
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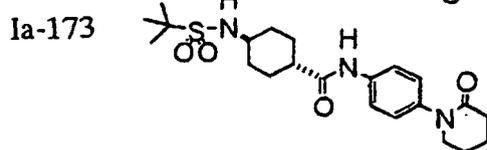
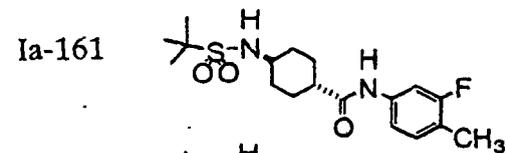
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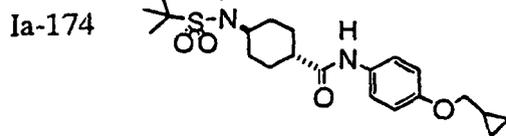
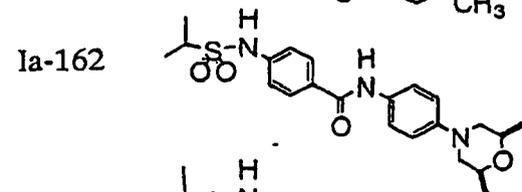
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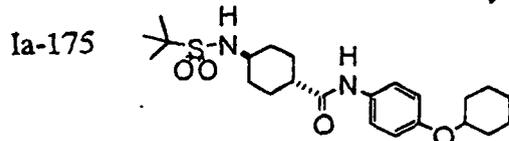
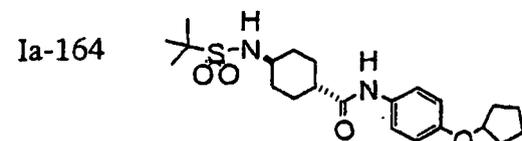
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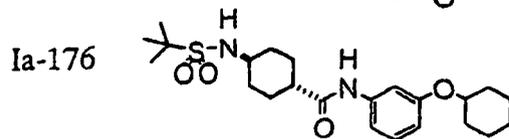
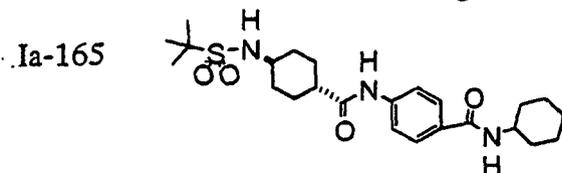
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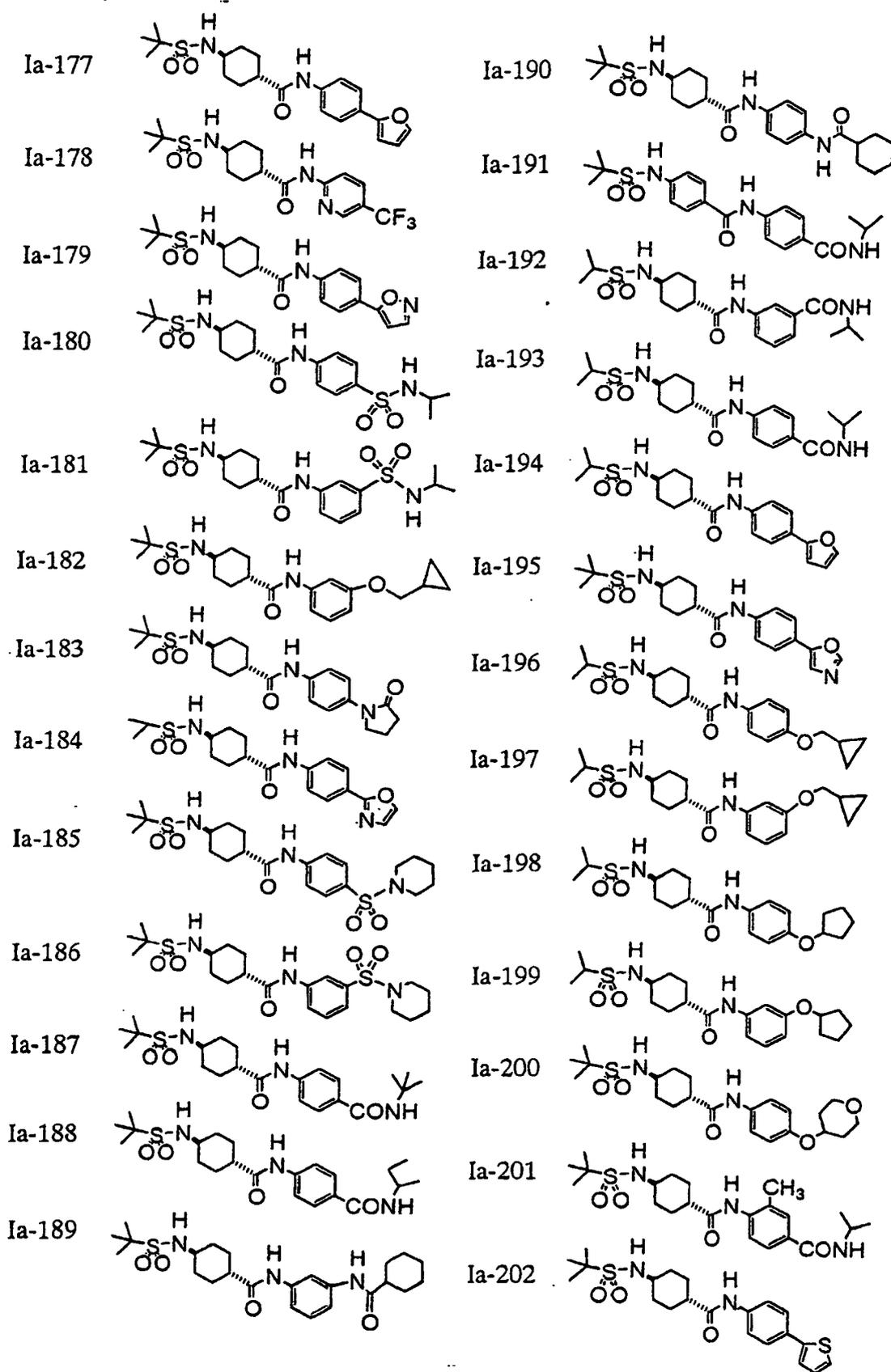
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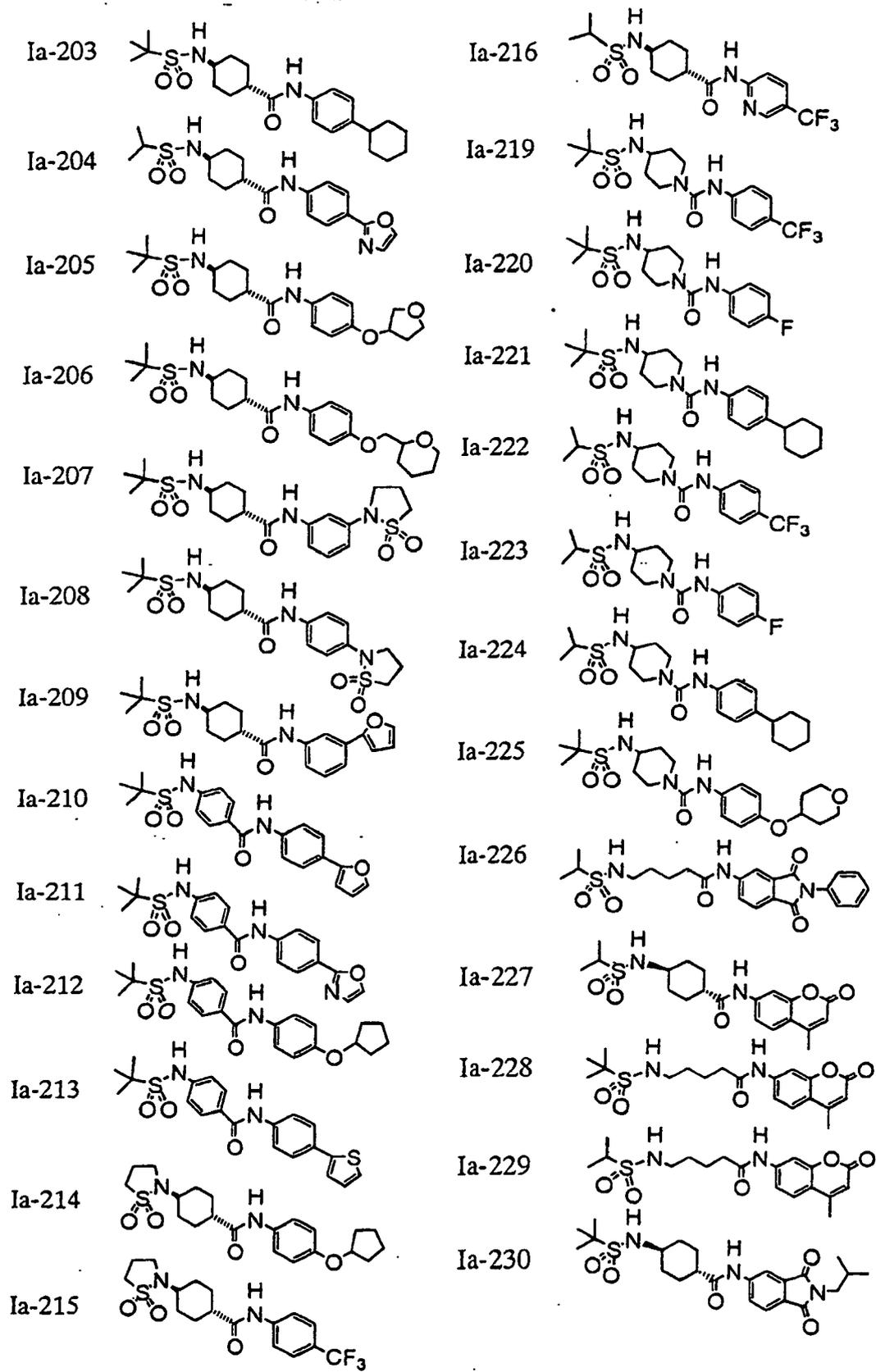


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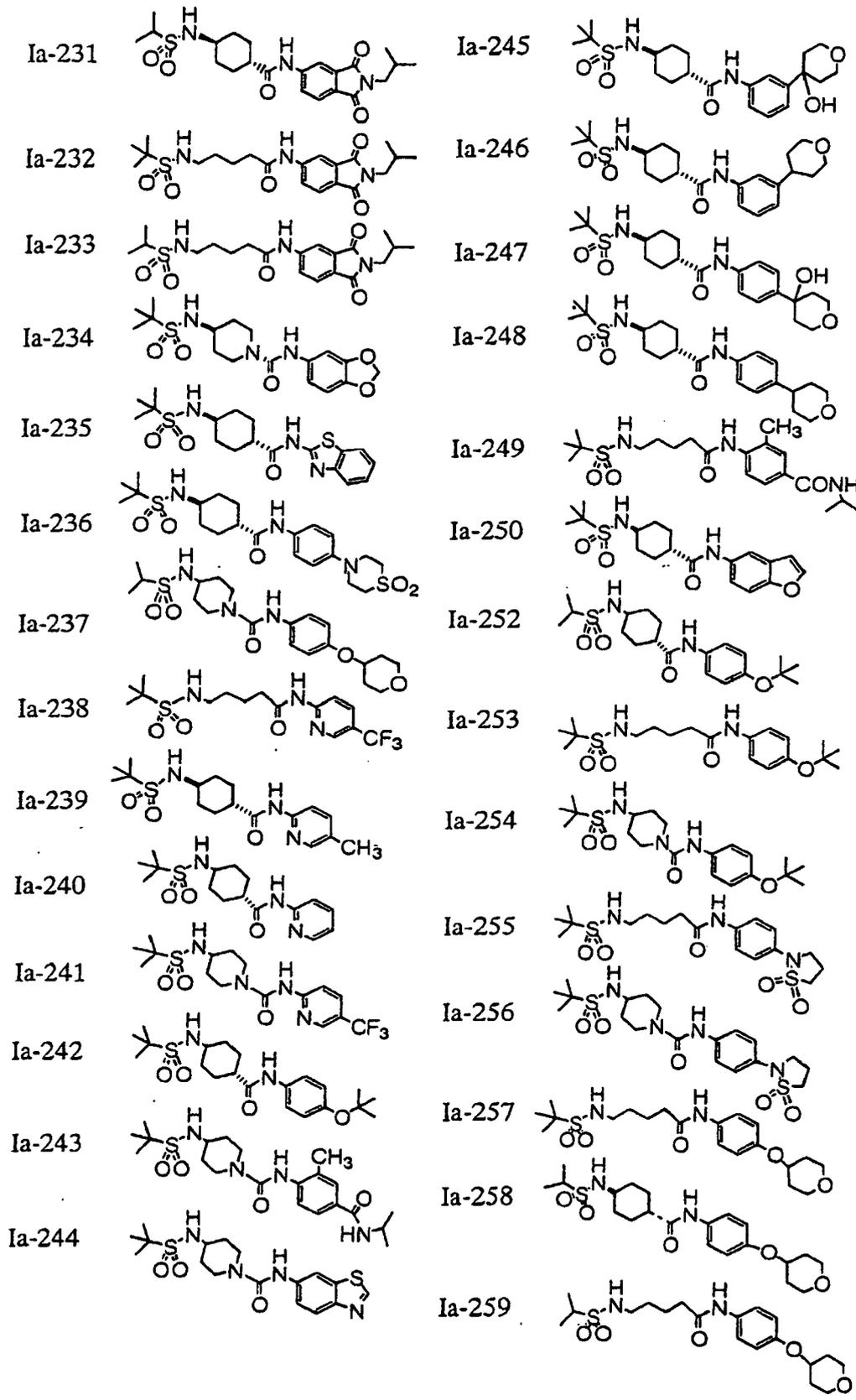


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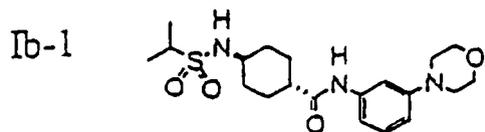




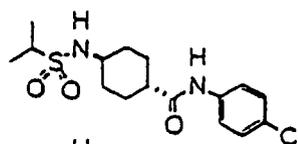
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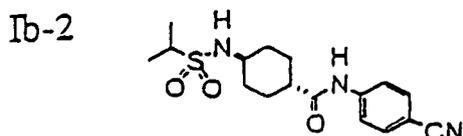
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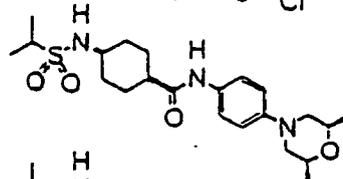
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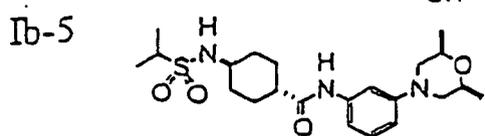
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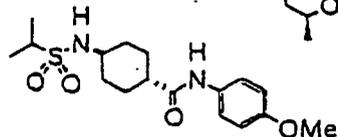
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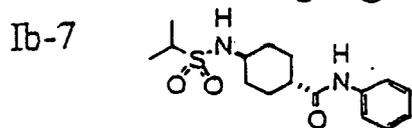
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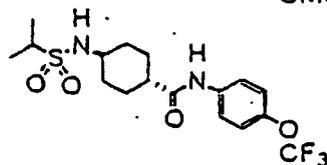
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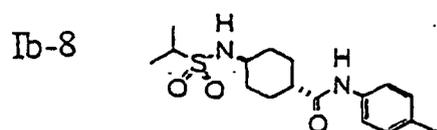
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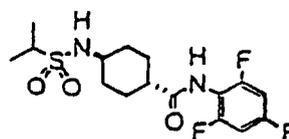
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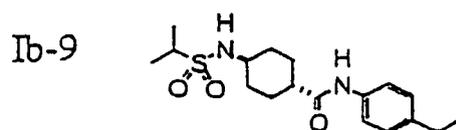
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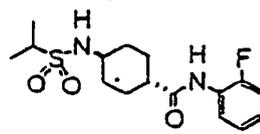
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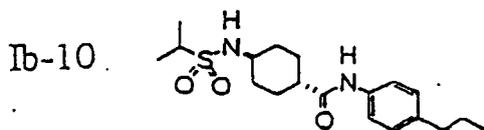
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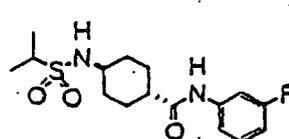
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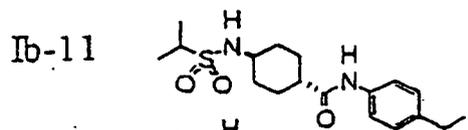
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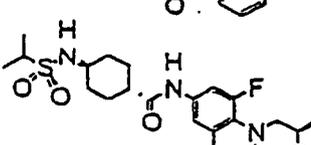
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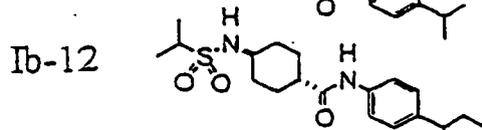
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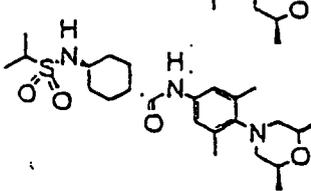
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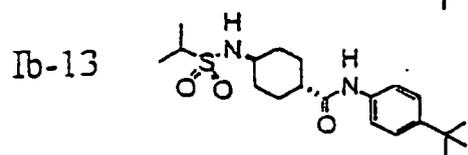
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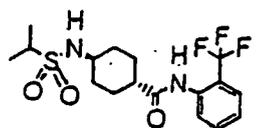
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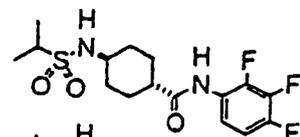
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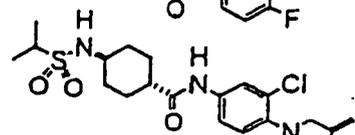
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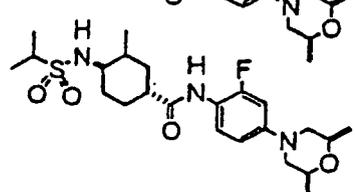
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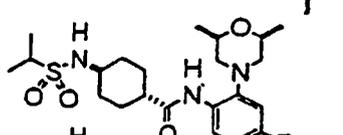
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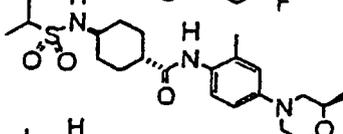
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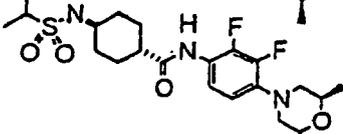
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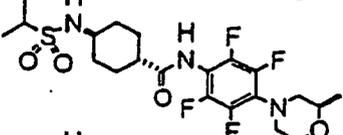
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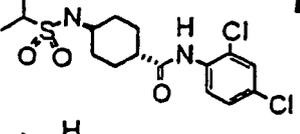
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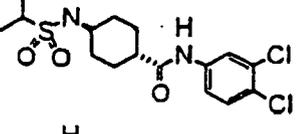
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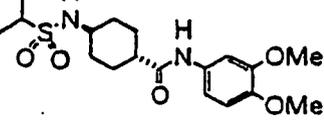
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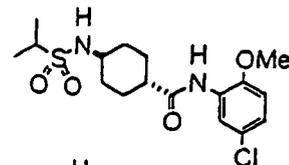


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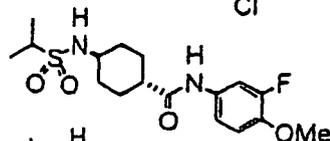
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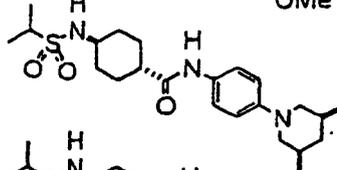
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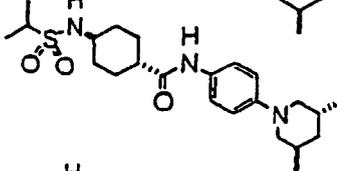
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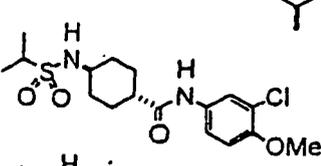
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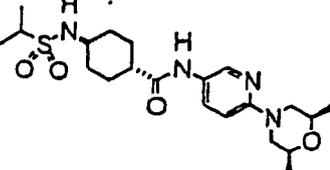
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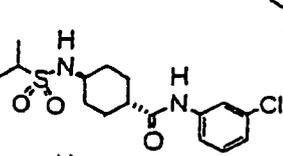
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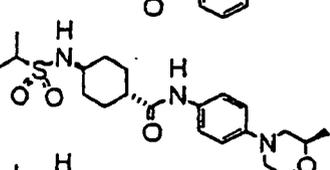
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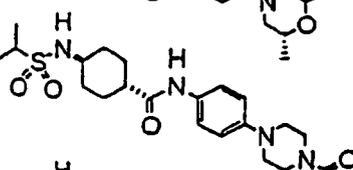
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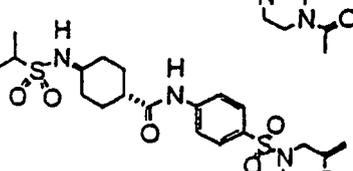
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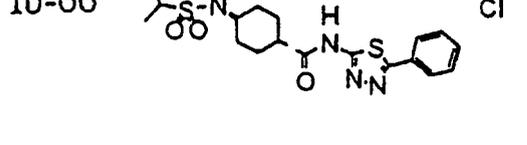
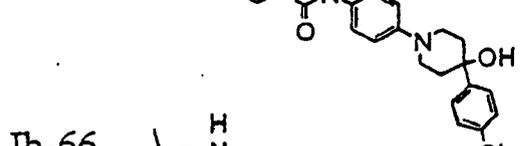
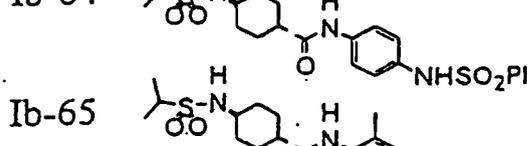
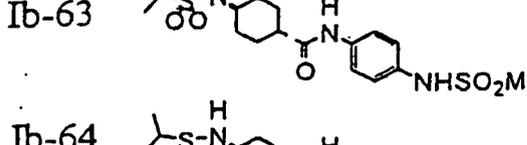
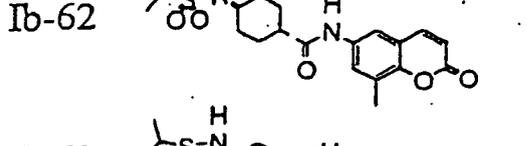
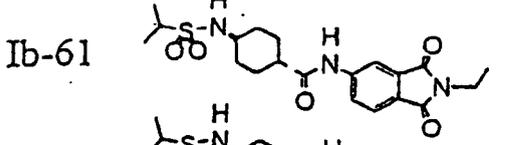
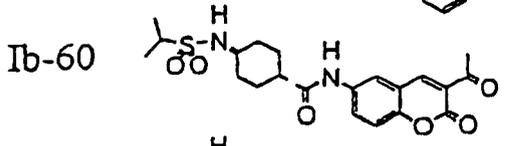
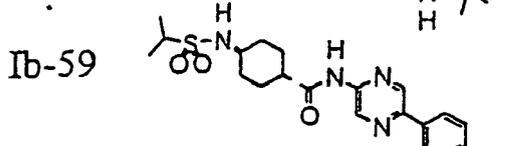
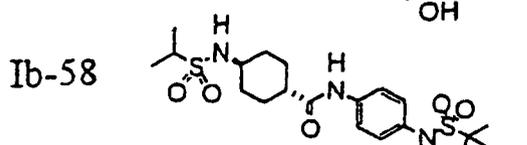
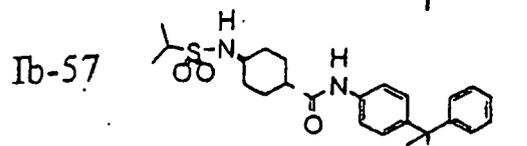
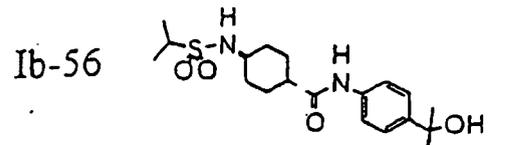
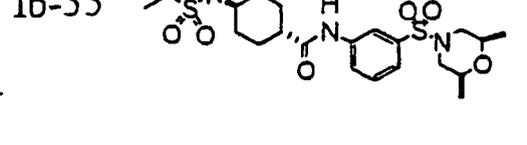
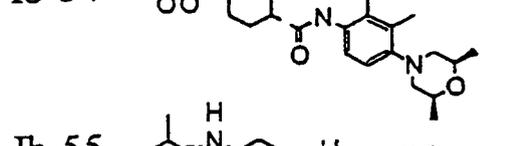
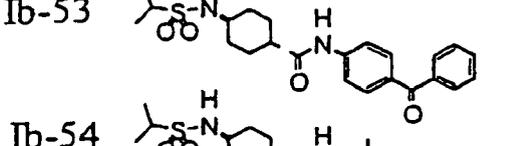
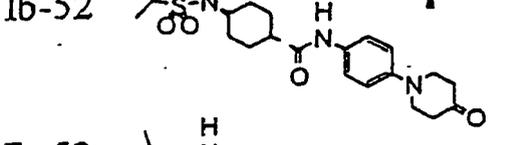
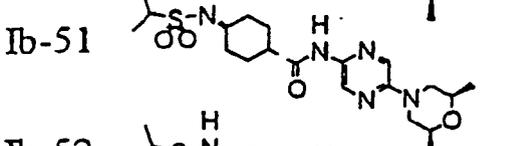
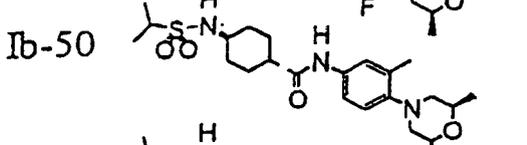
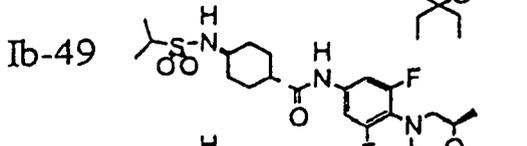
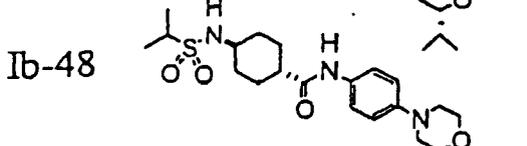
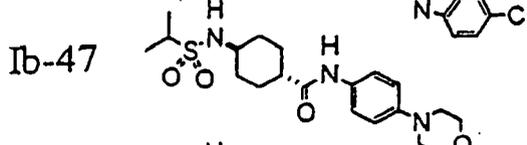
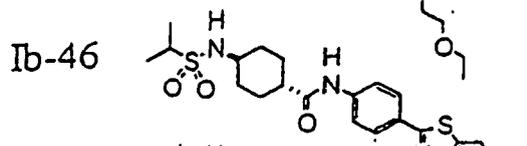
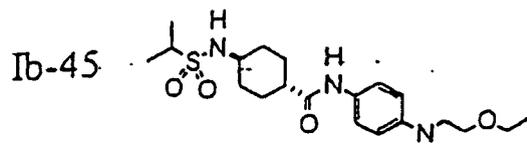
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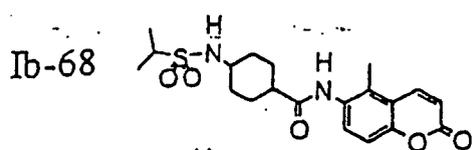
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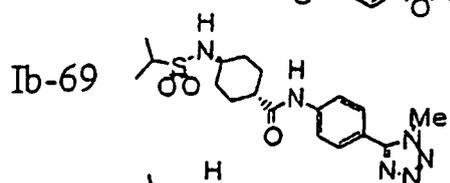
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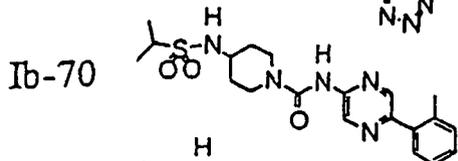
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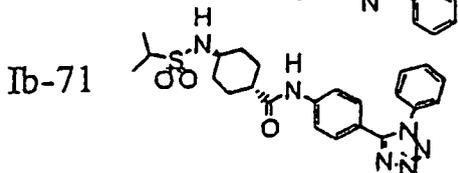
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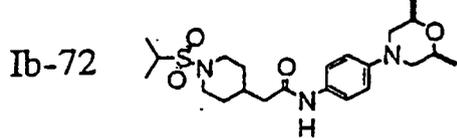
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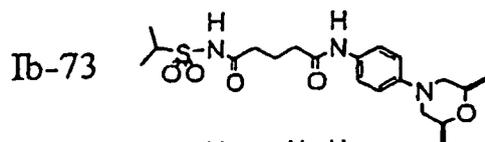
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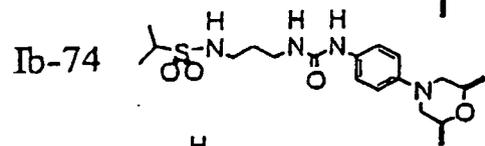
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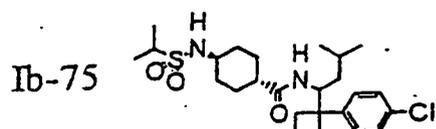
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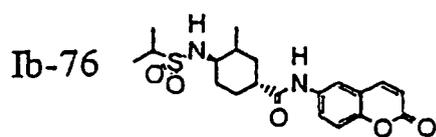
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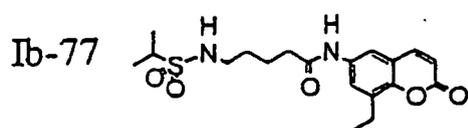
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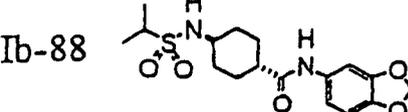
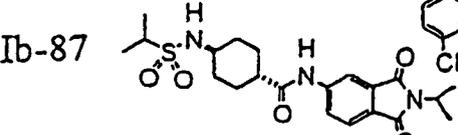
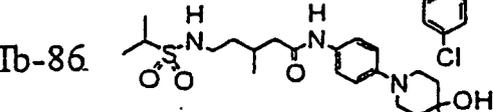
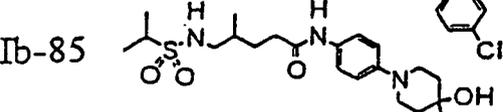
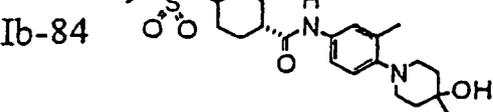
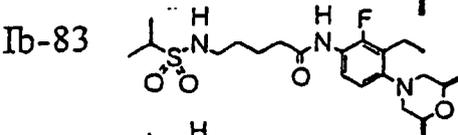
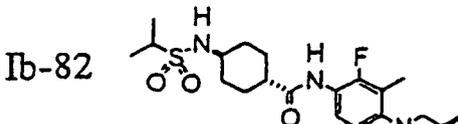
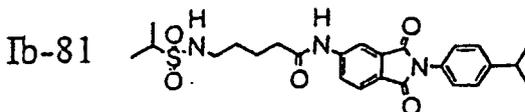
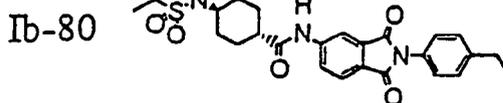
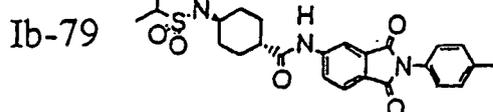
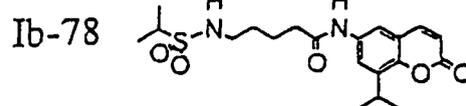
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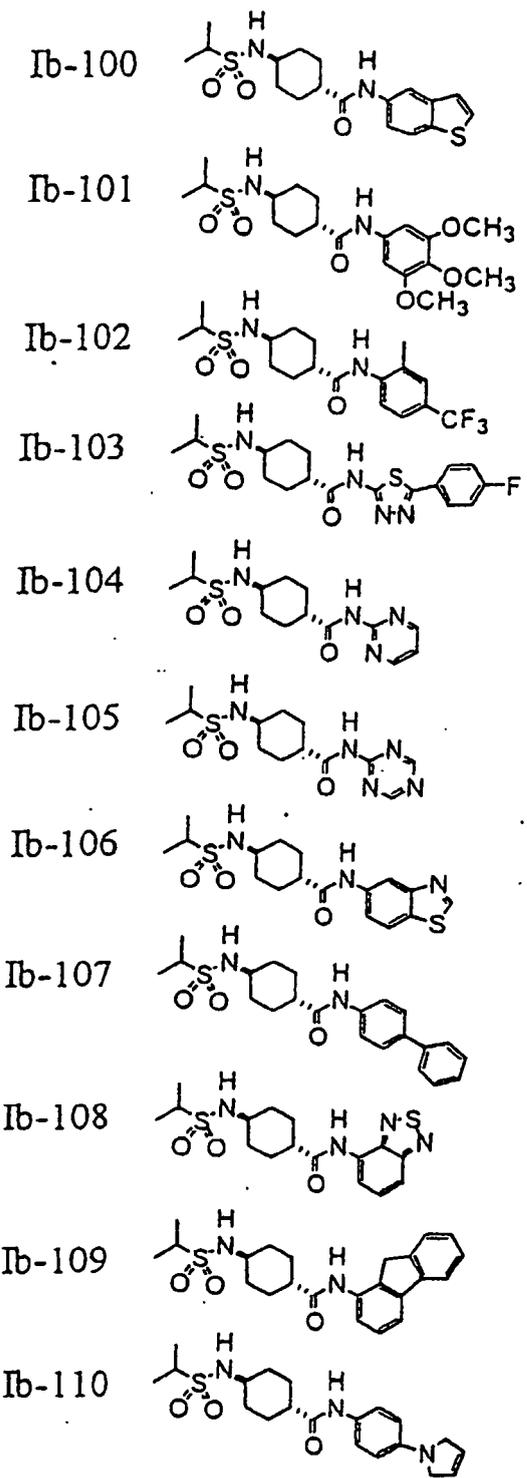
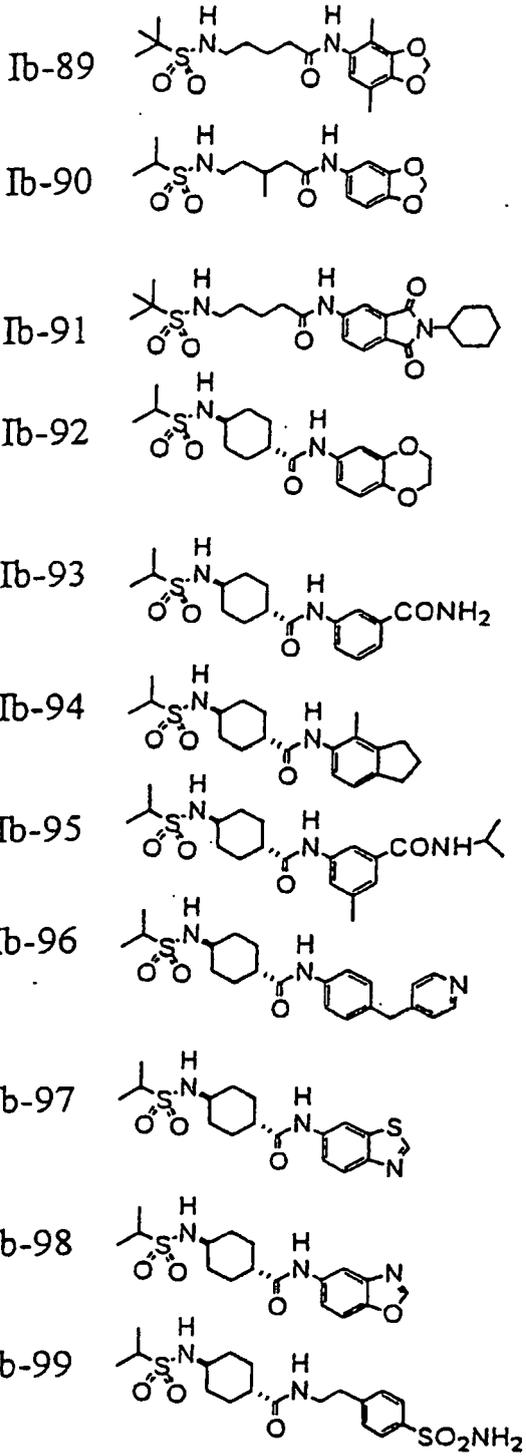
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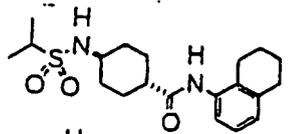


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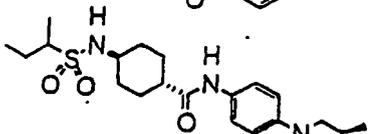


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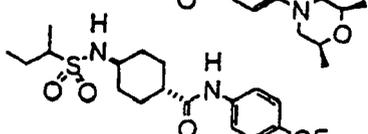
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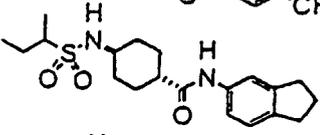
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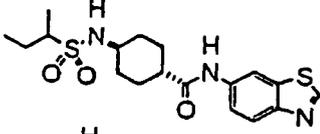
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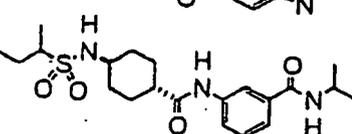
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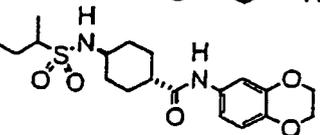
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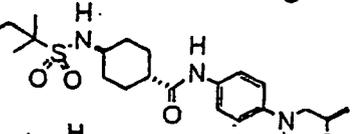
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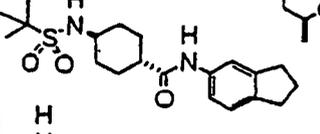
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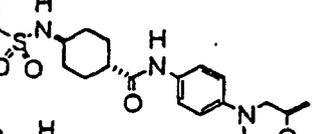
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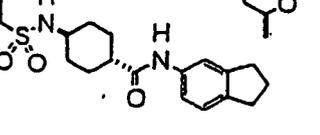
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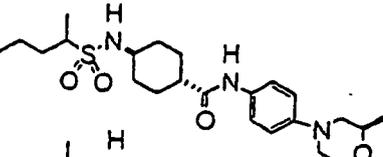
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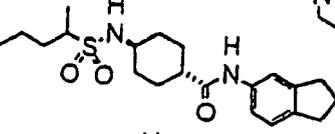
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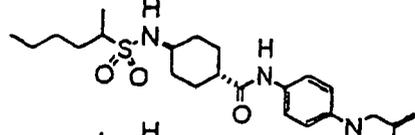
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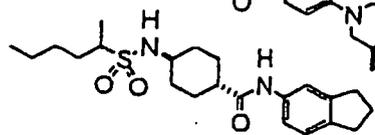
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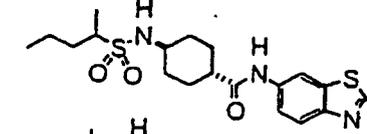
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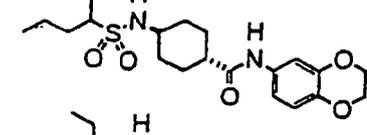
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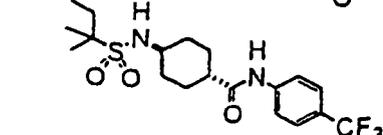
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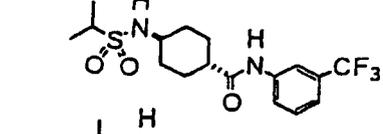
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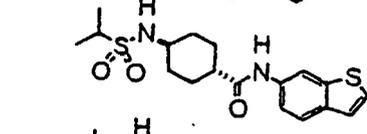
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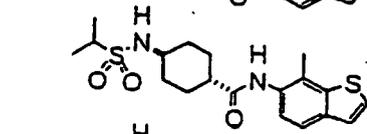
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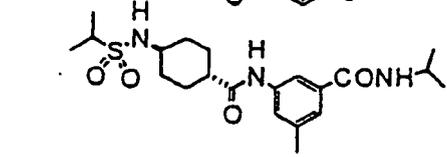
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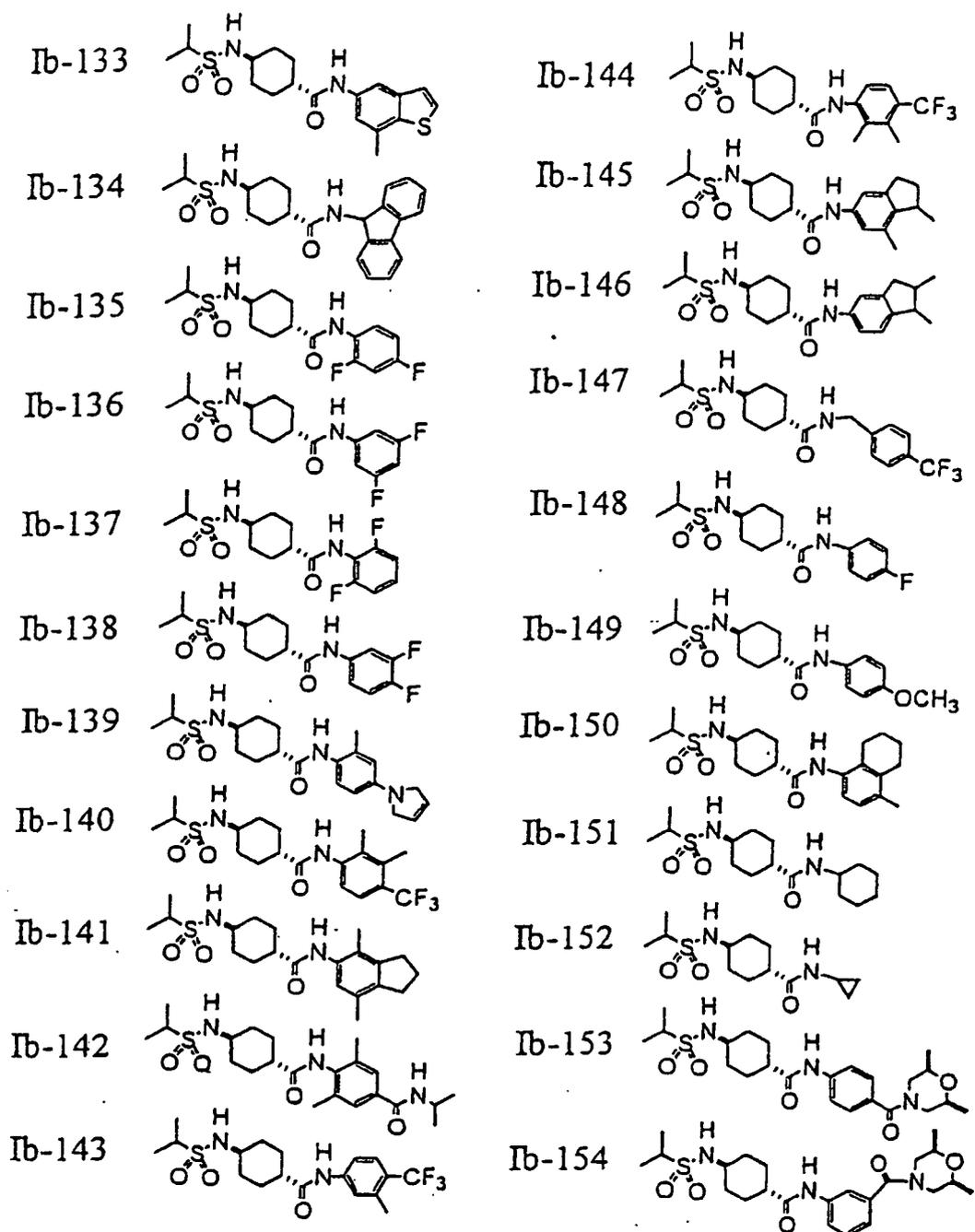
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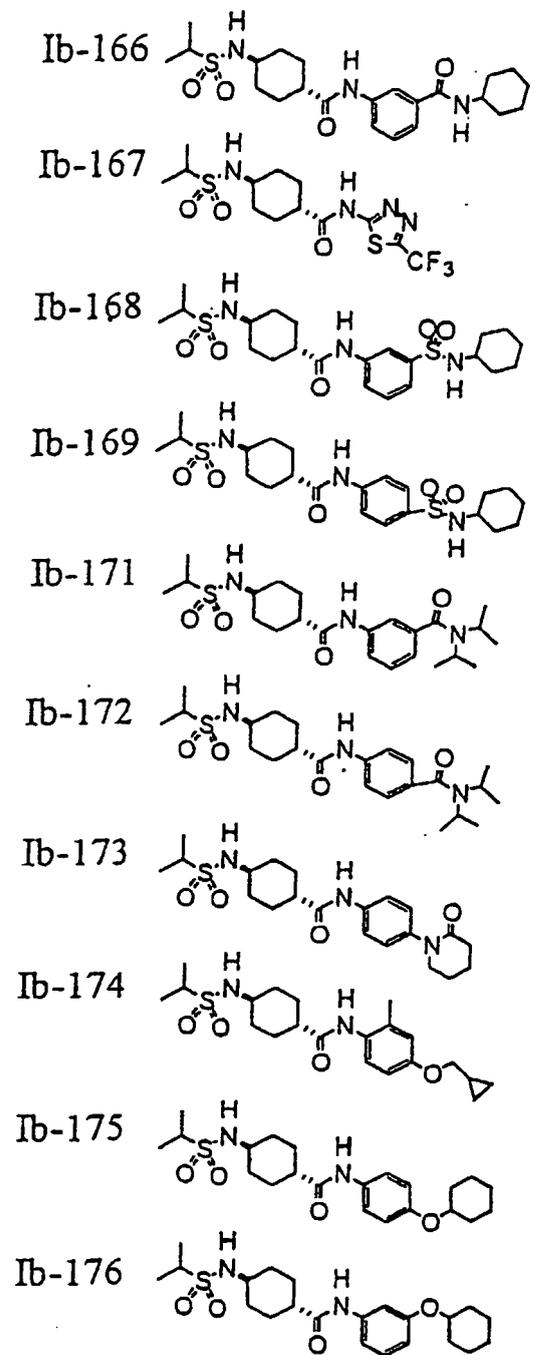
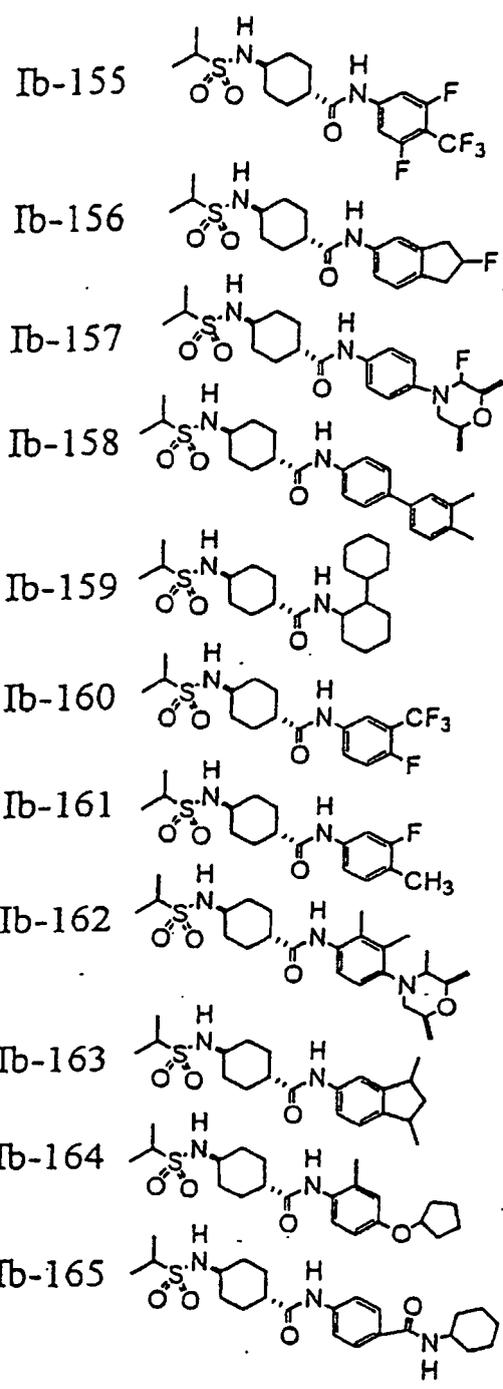
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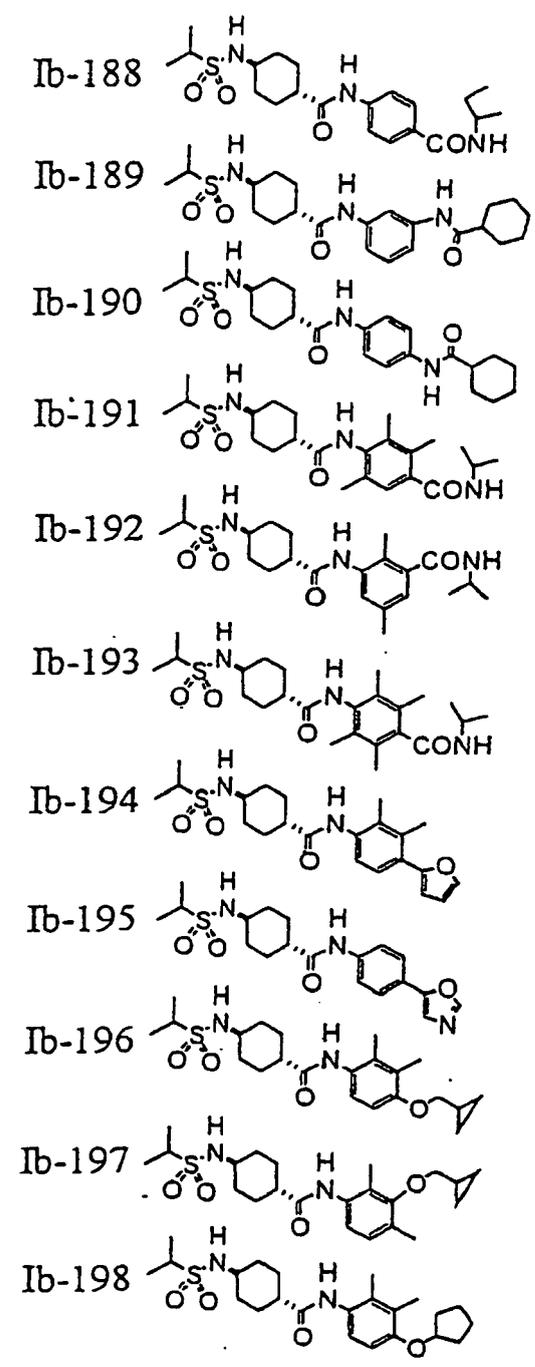
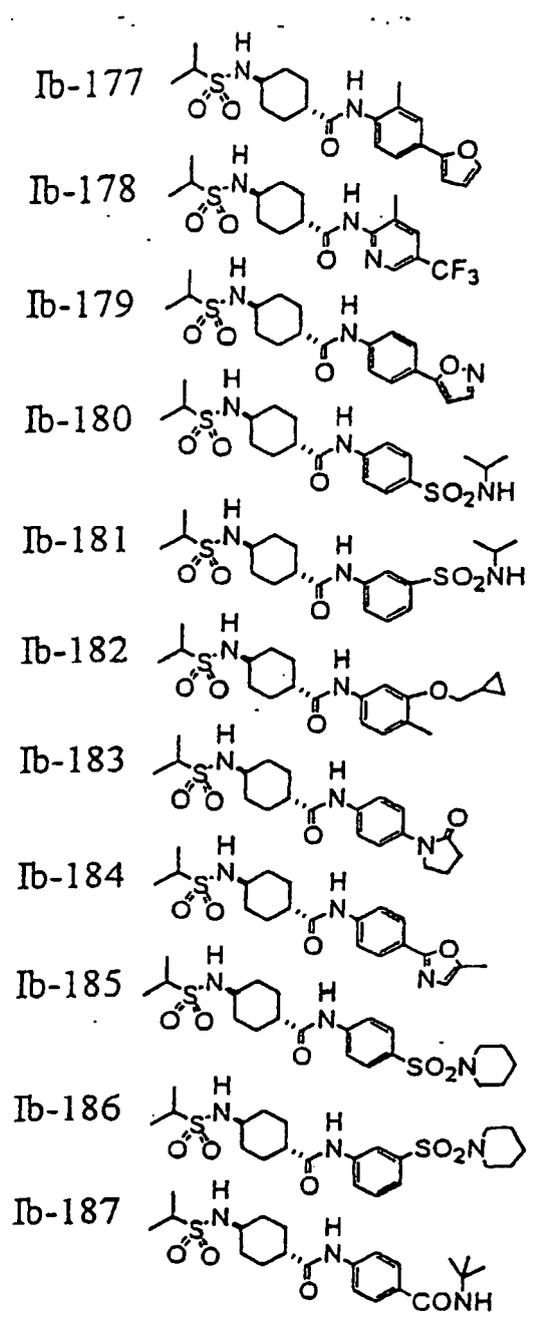


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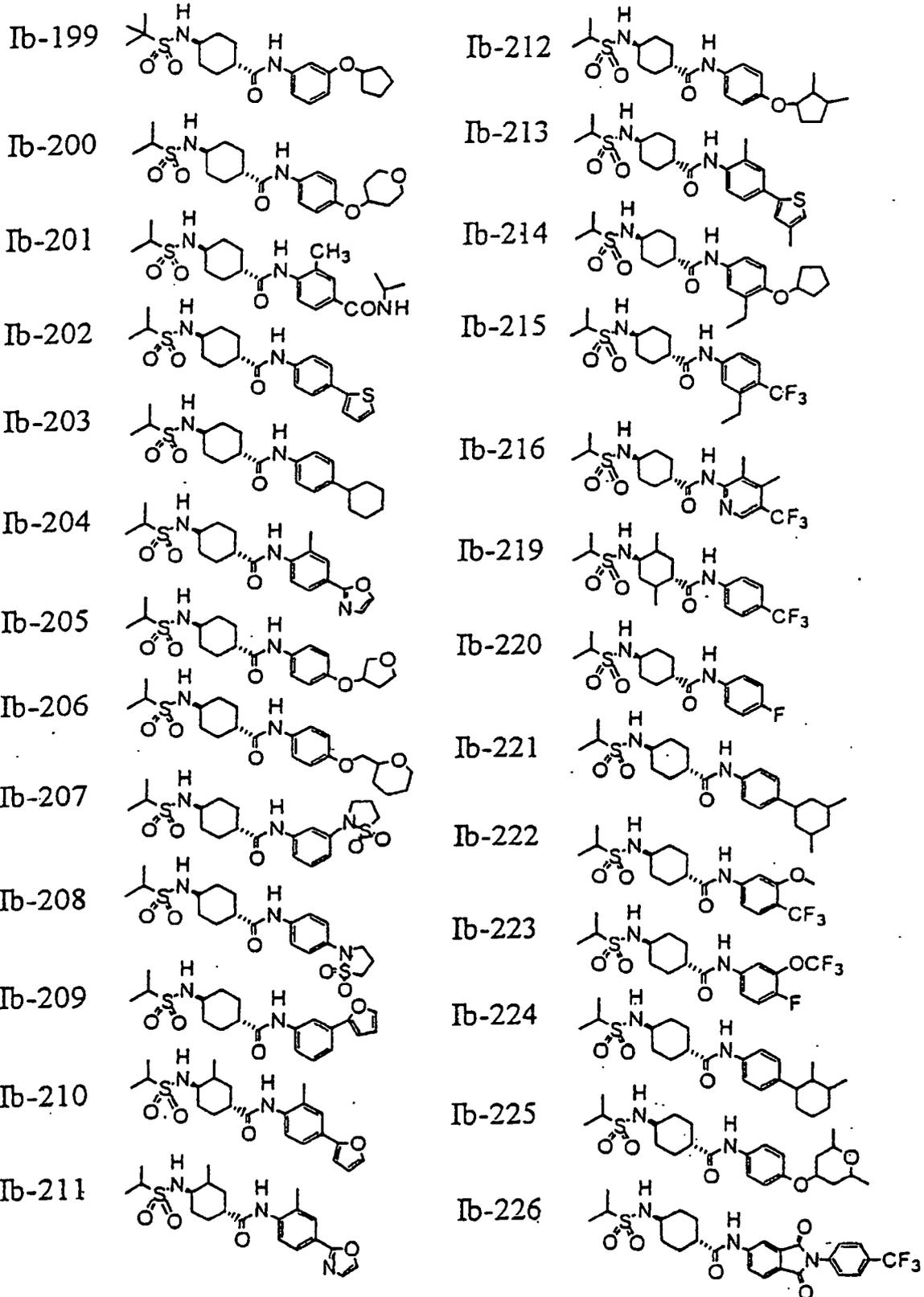


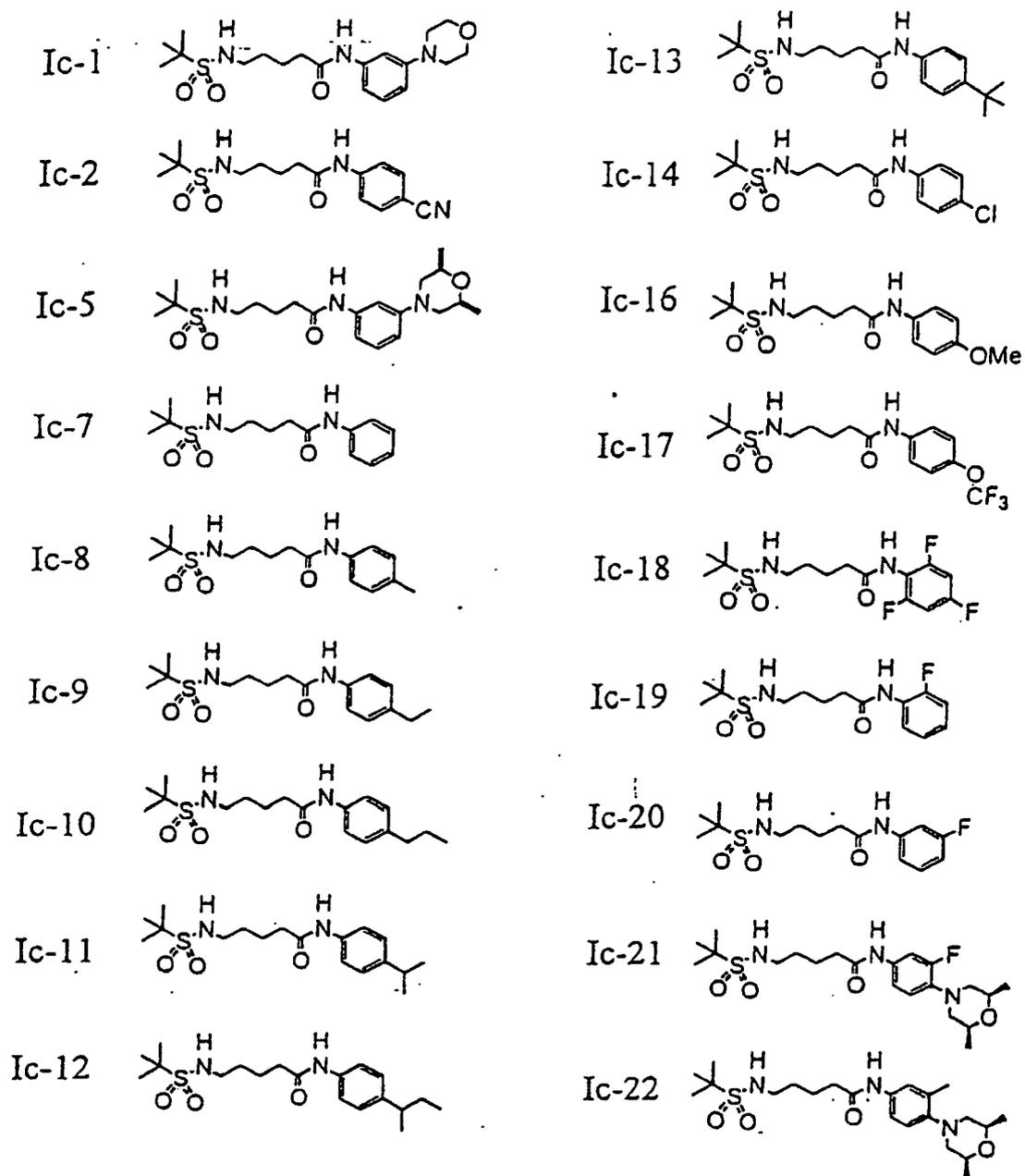
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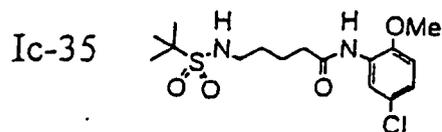
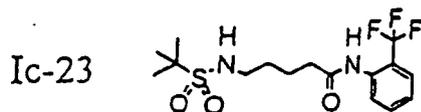


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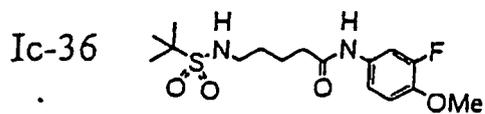
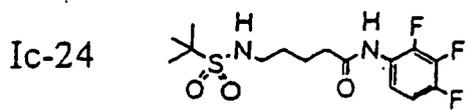




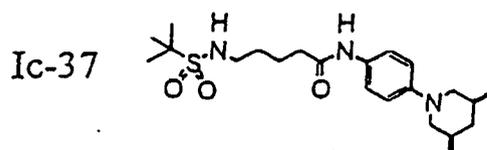
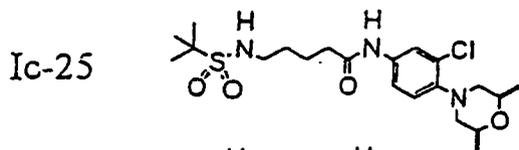
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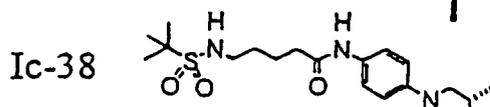
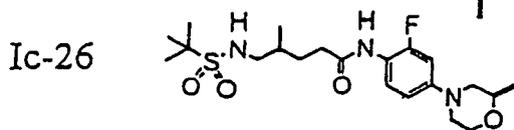
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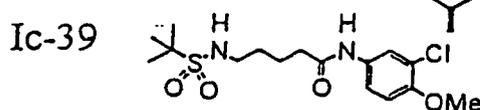
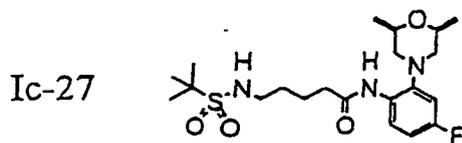
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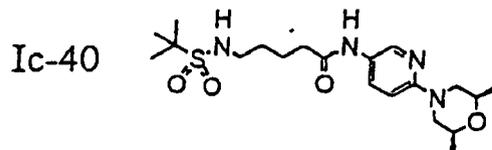
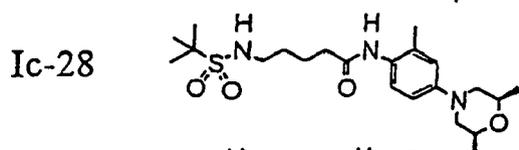
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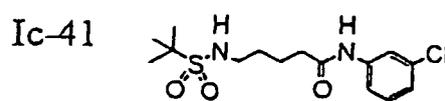
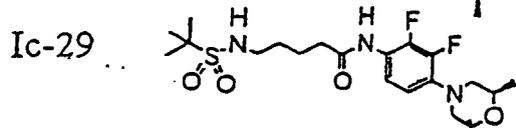
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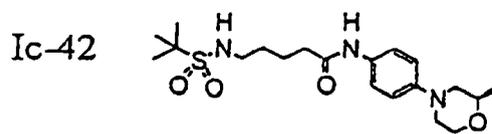
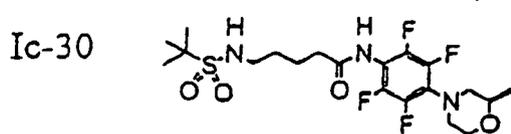
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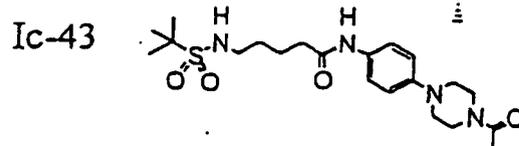
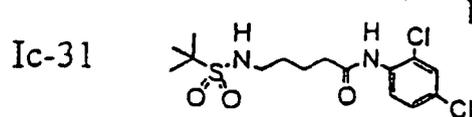
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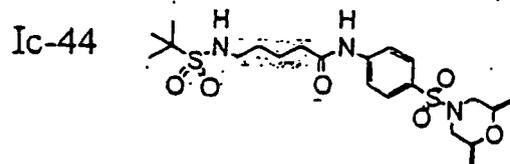
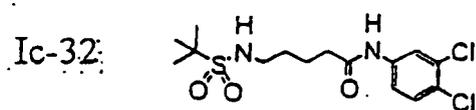
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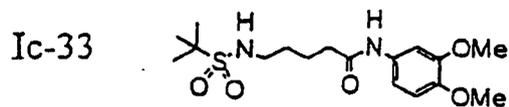
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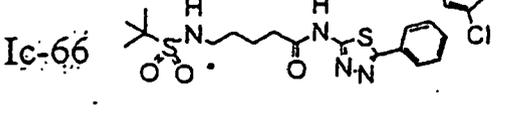
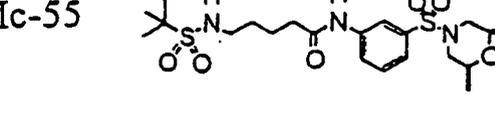
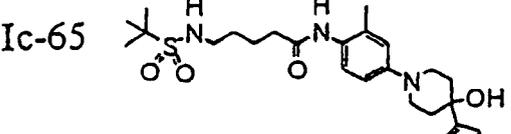
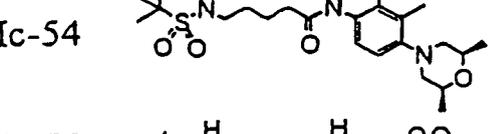
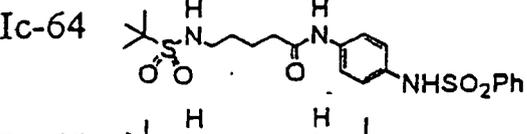
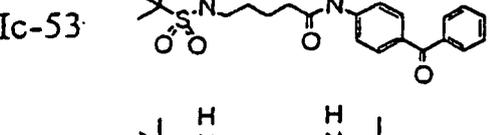
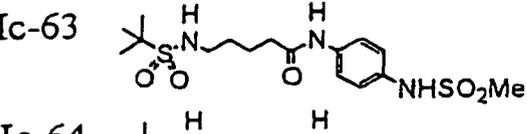
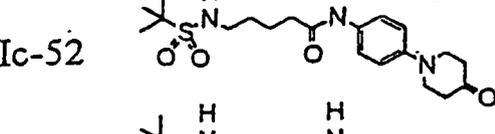
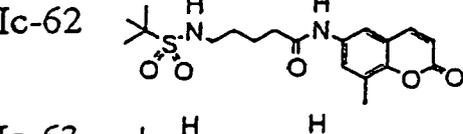
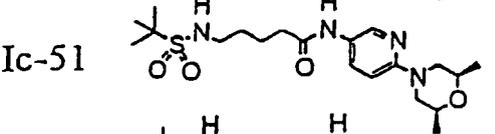
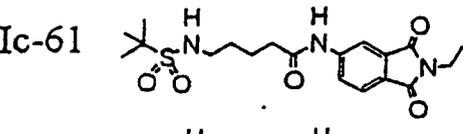
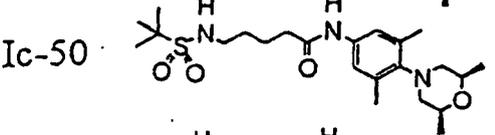
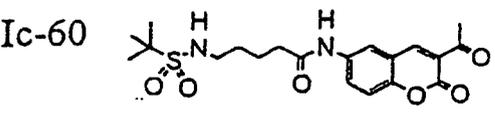
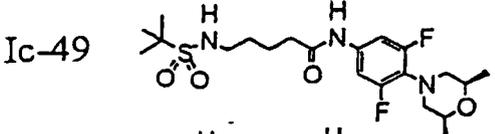
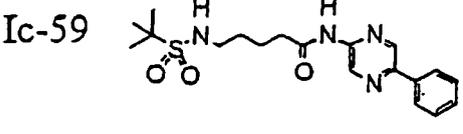
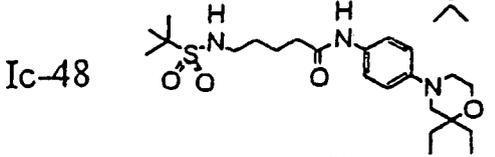
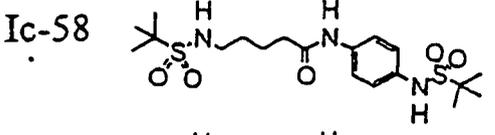
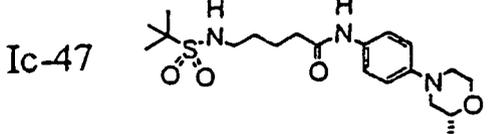
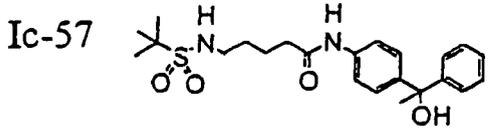
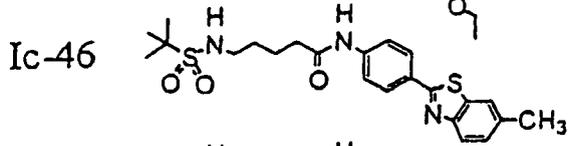
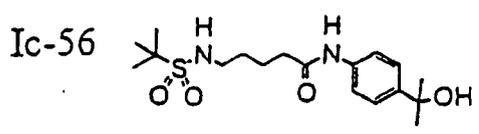
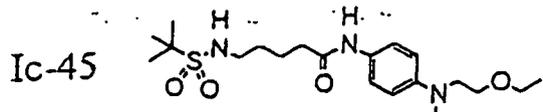
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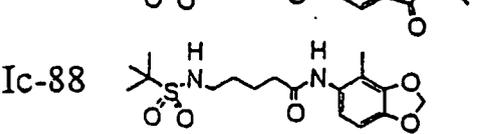
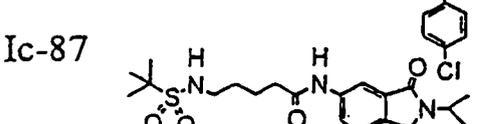
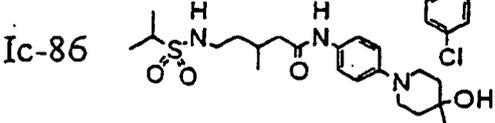
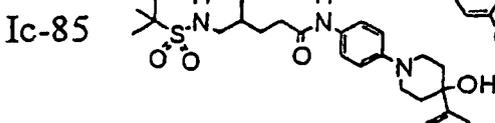
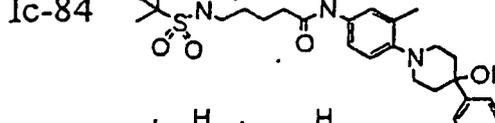
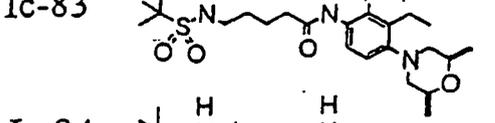
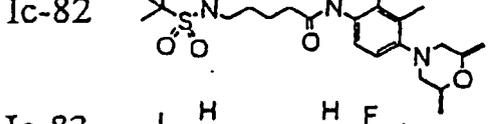
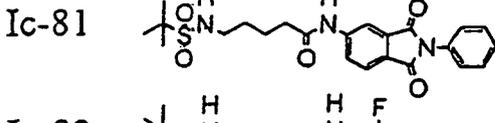
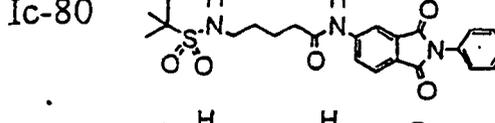
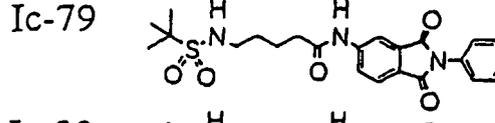
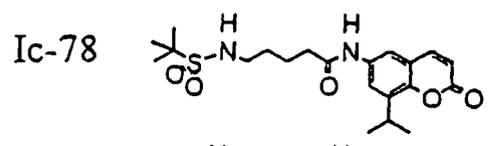
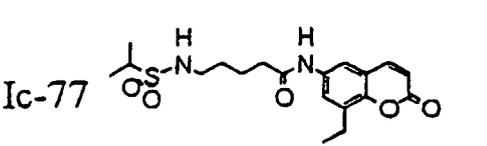
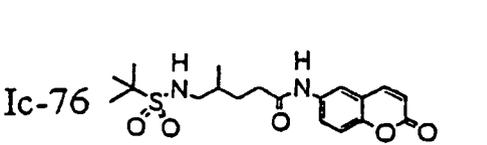
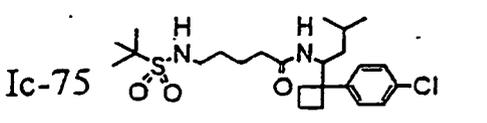
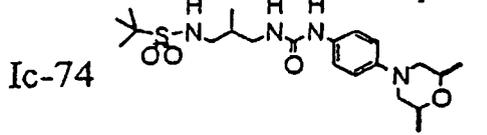
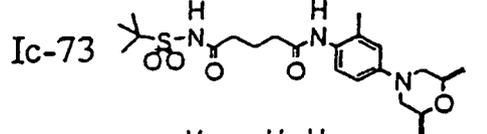
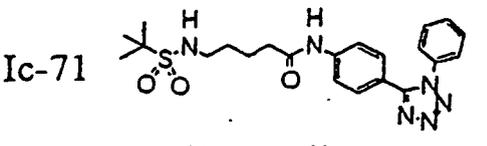
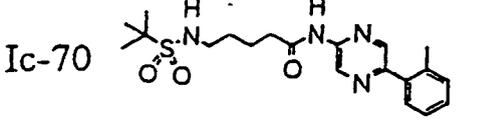
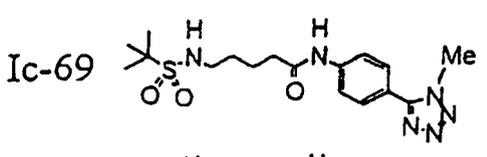
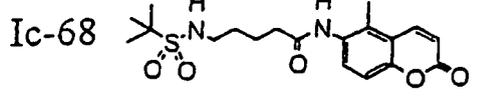
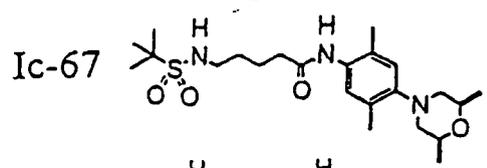
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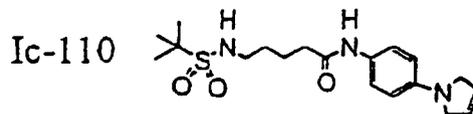
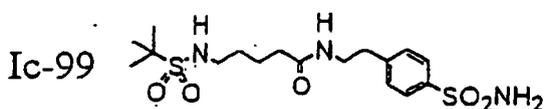
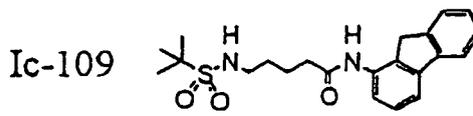
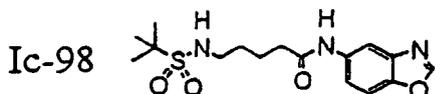
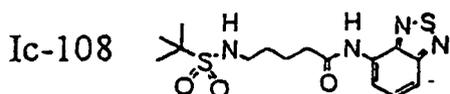
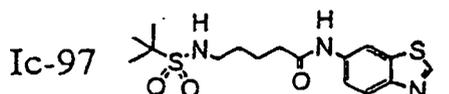
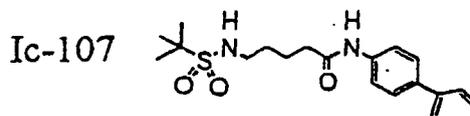
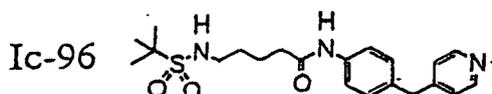
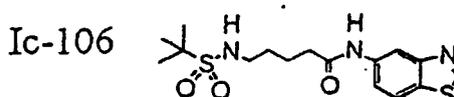
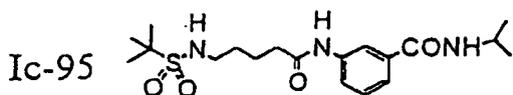
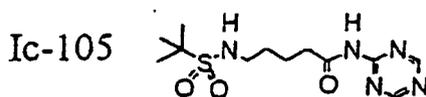
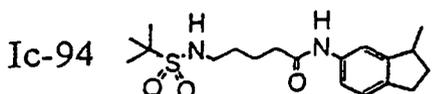
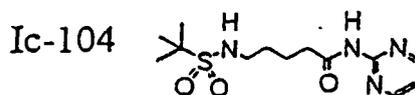
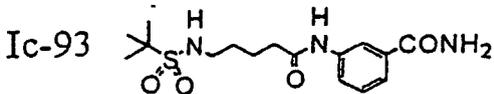
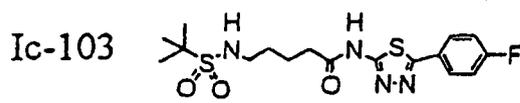
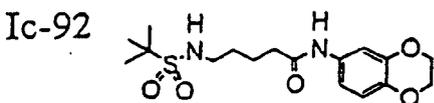
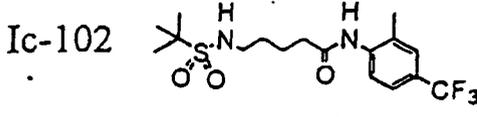
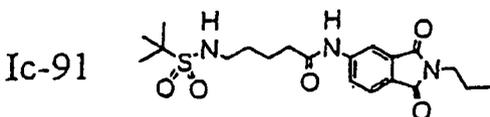
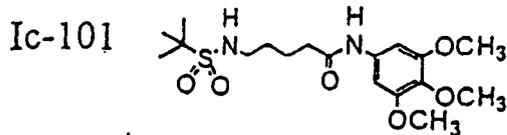
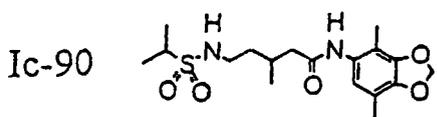
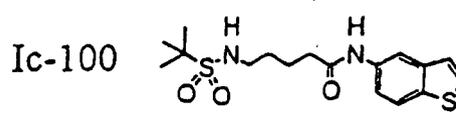
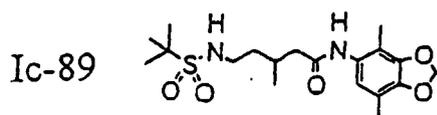
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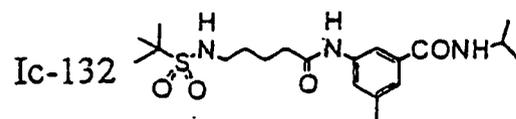
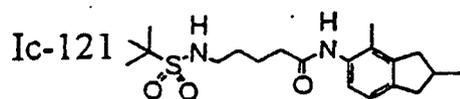
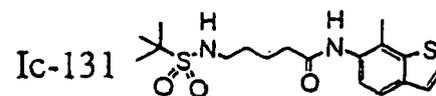
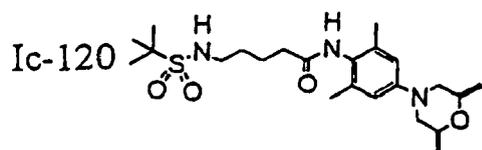
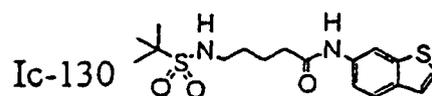
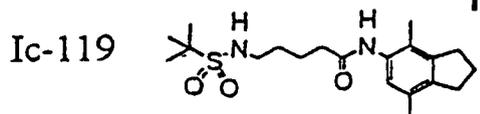
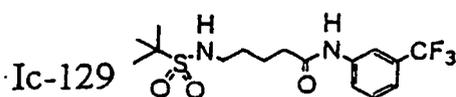
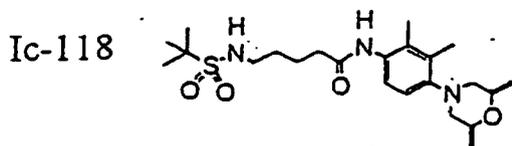
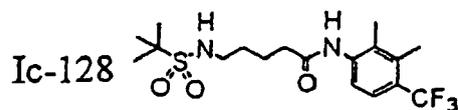
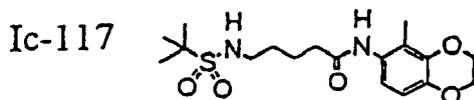
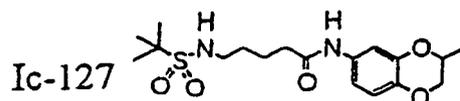
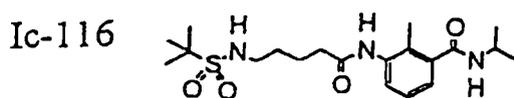
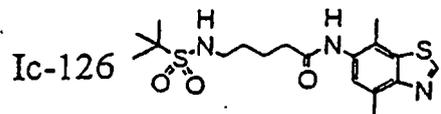
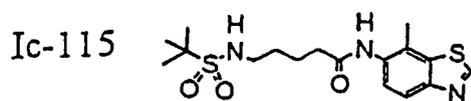
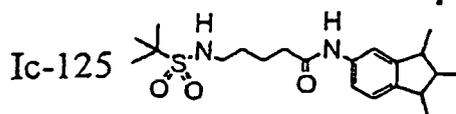
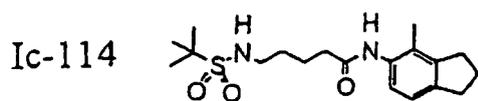
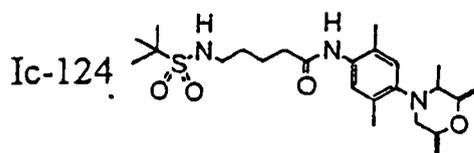
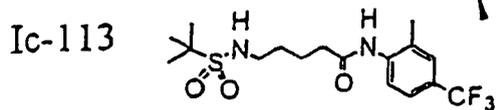
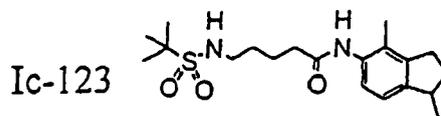
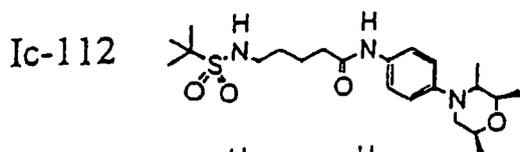
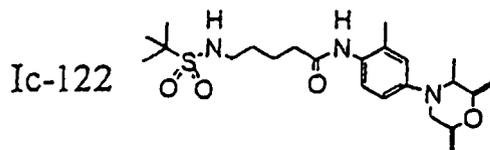
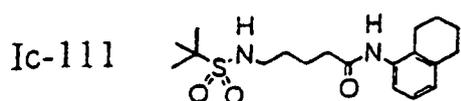


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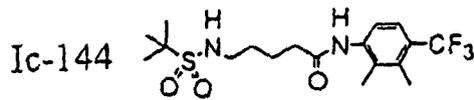
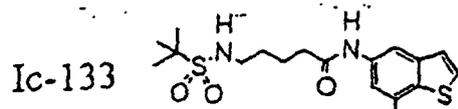


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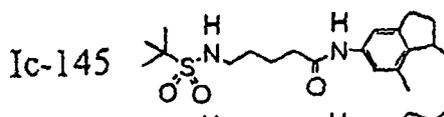
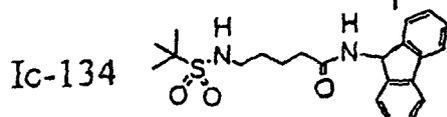




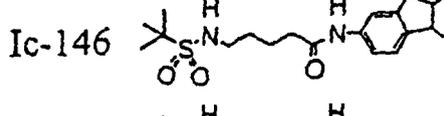
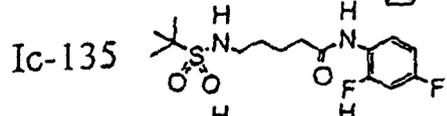
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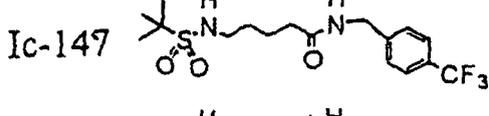
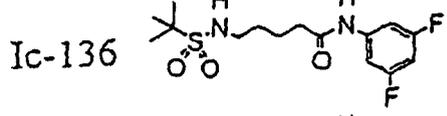
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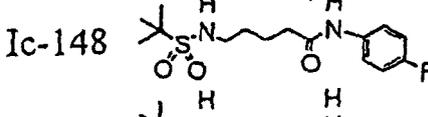
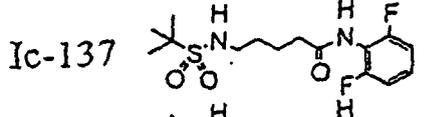
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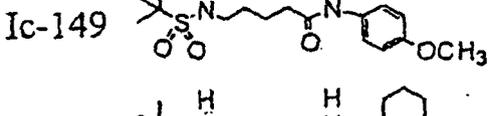
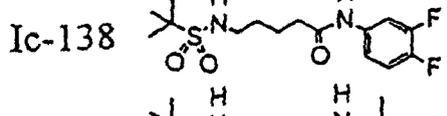
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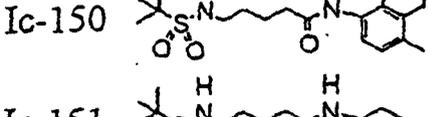
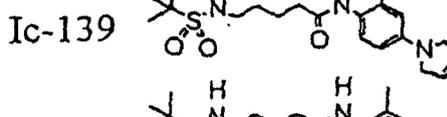
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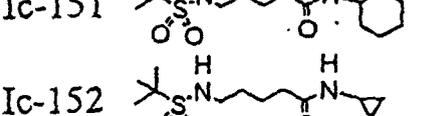
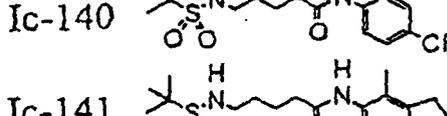
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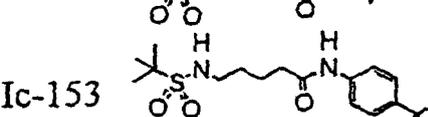
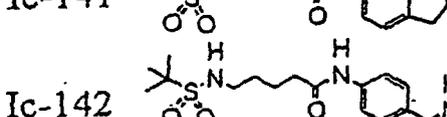
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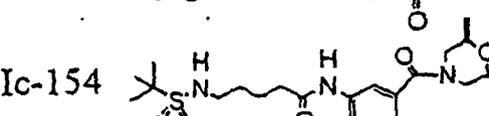
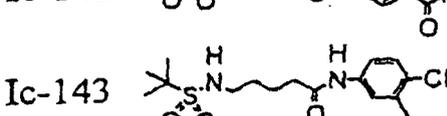
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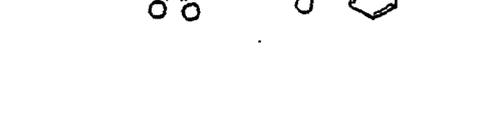
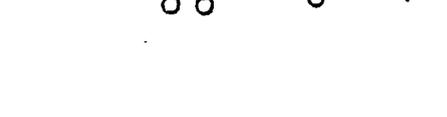
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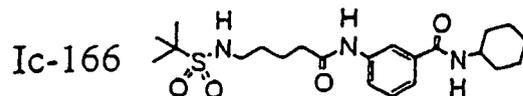
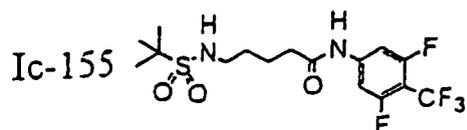
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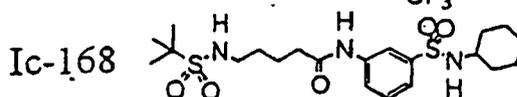
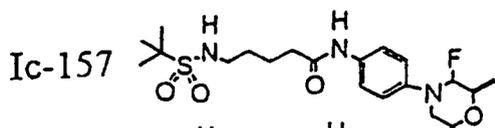
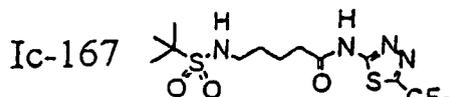
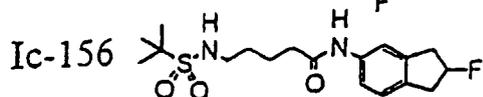
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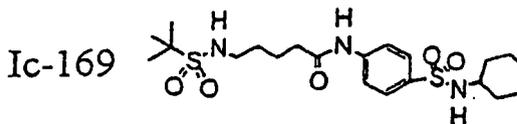
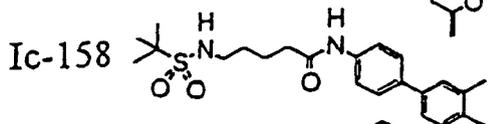
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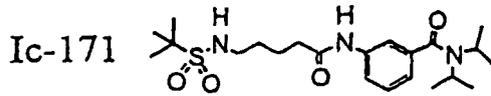
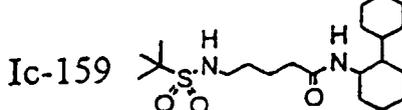
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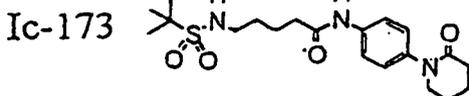
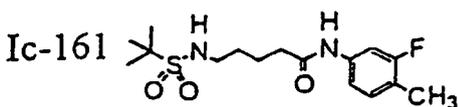
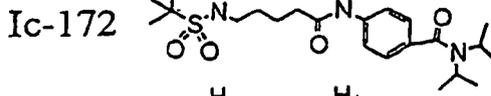
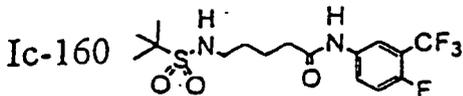
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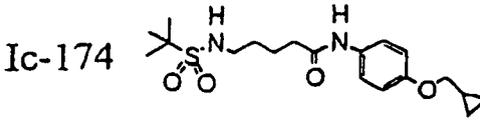
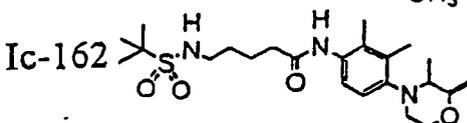
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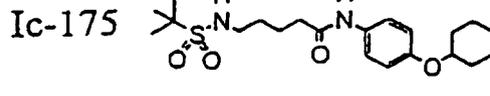
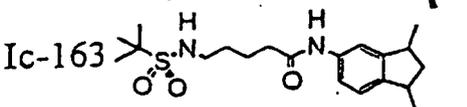
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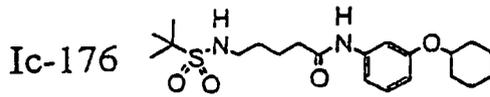
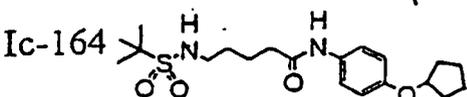
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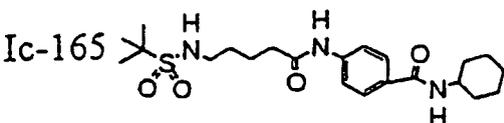
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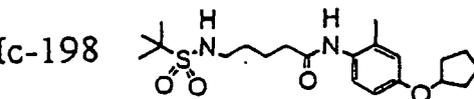
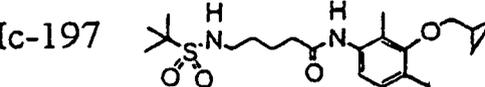
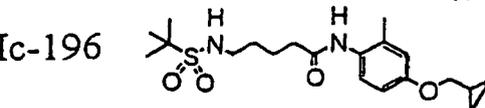
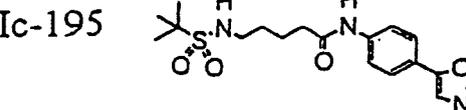
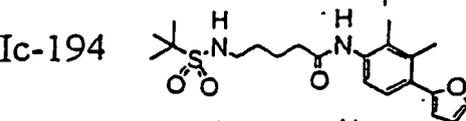
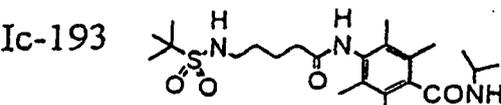
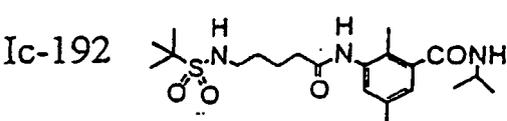
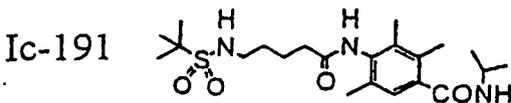
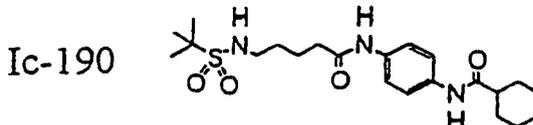
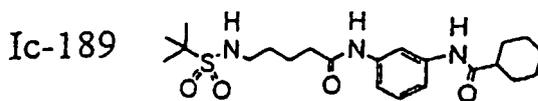
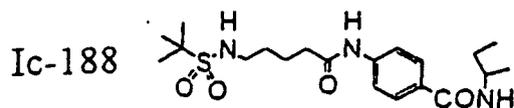
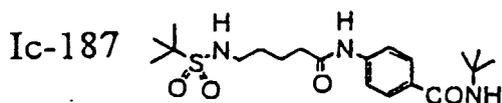
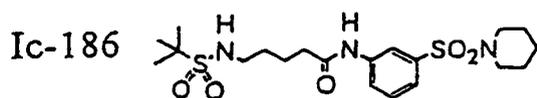
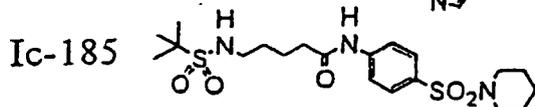
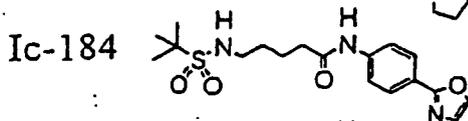
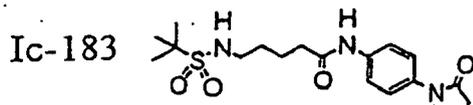
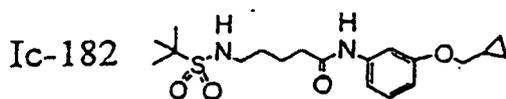
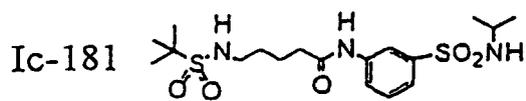
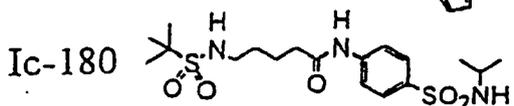
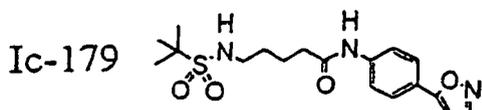
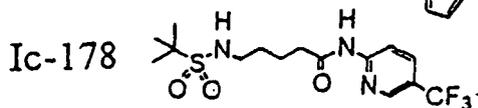
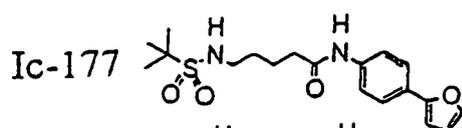


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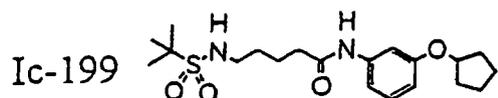


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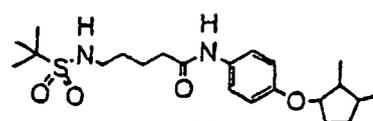
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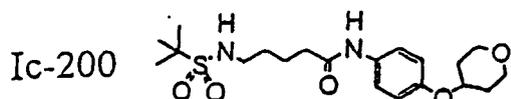
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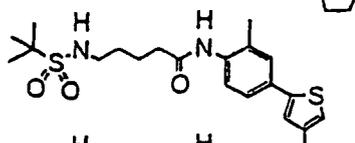
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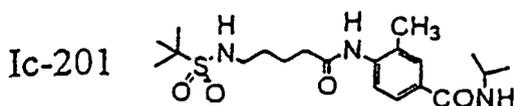
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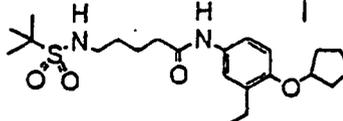
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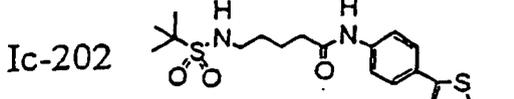
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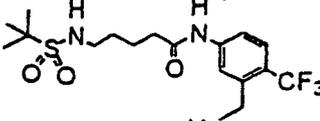
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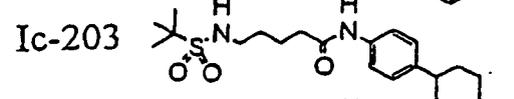
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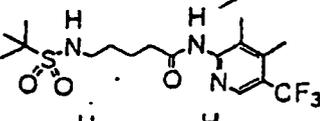
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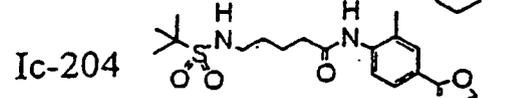
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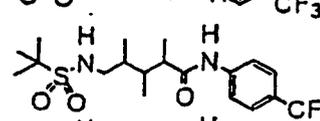
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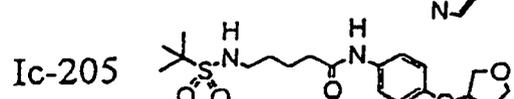
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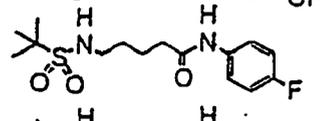
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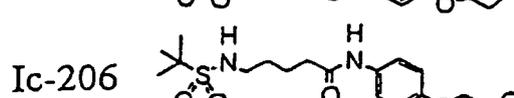
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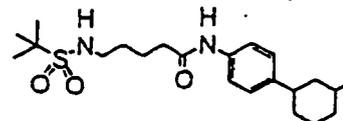
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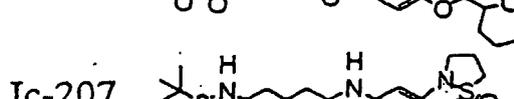
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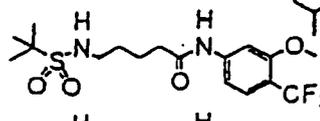
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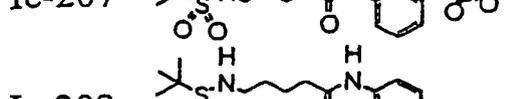
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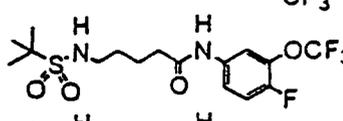
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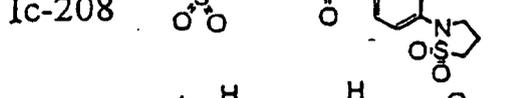
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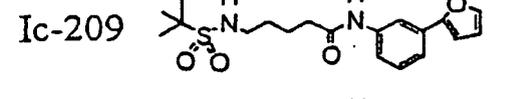
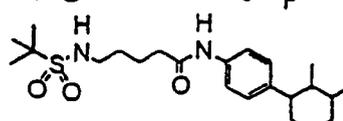
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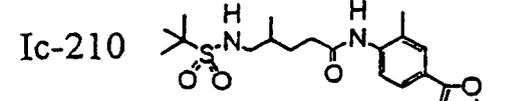
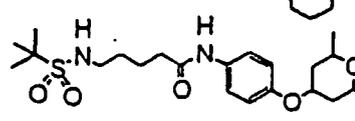
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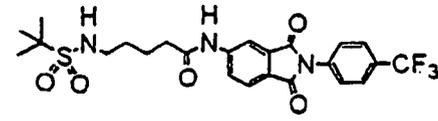
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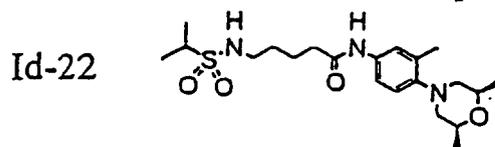
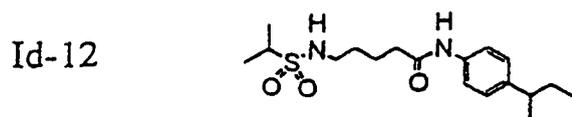
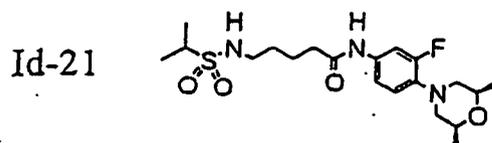
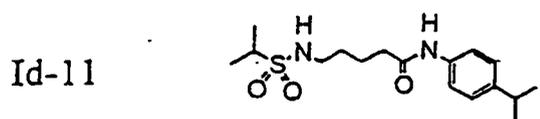
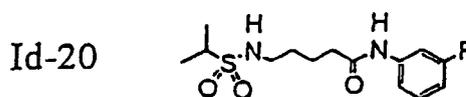
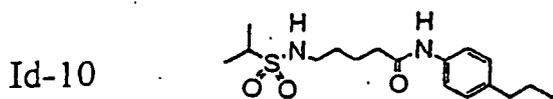
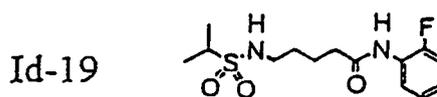
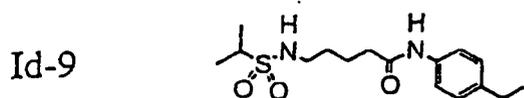
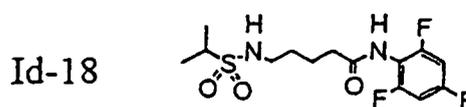
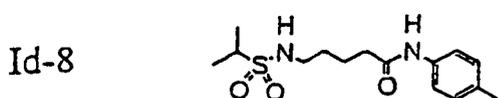
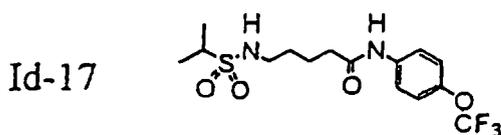
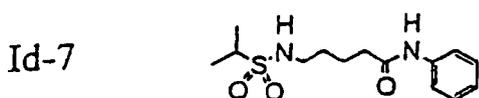
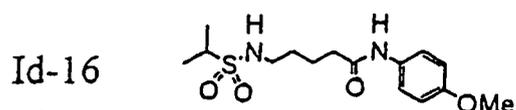
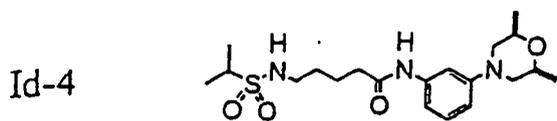
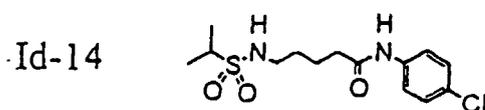
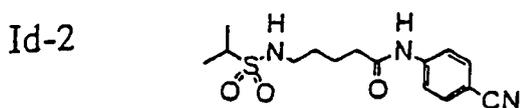
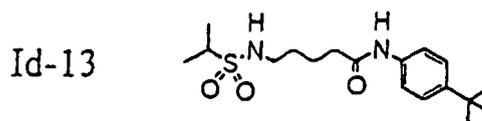
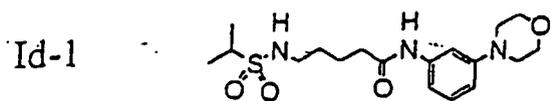


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Ic-226



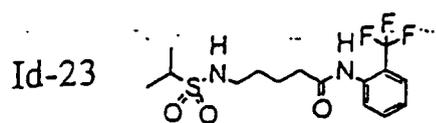


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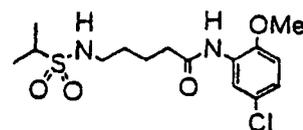
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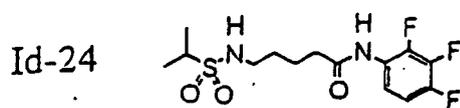
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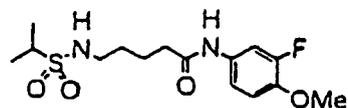
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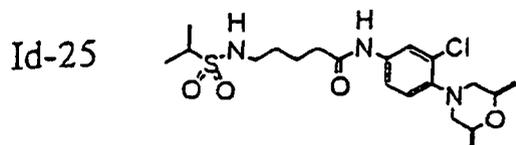
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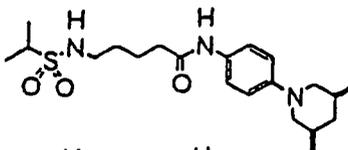
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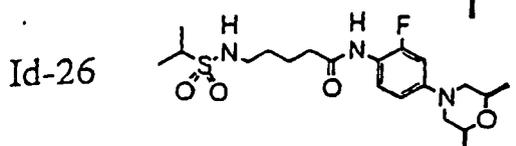
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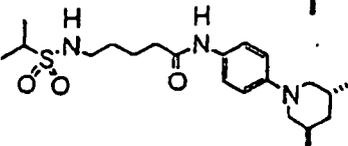
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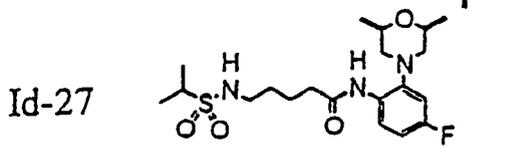
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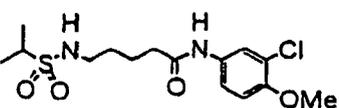
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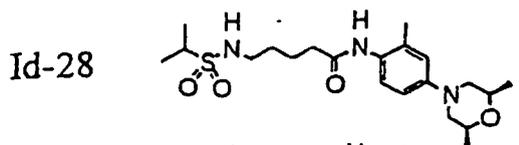
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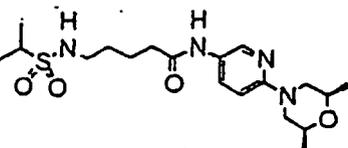
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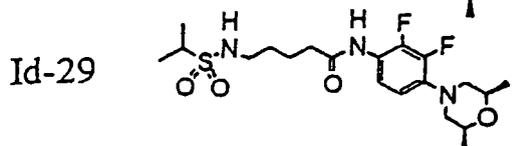
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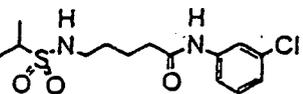
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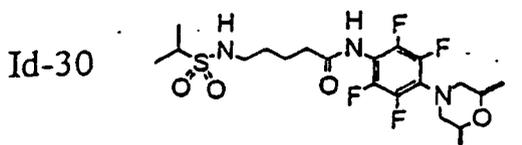
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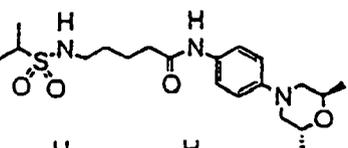
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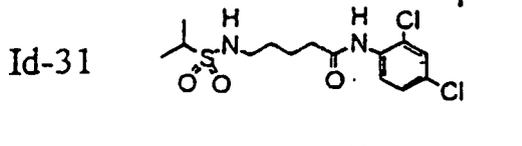
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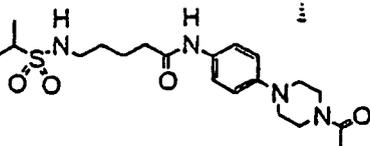
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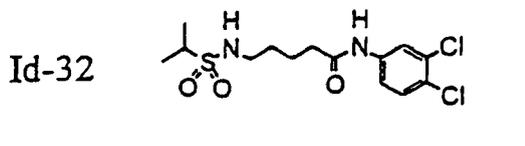
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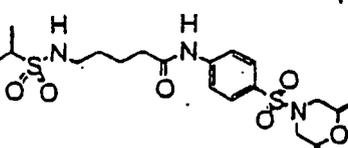
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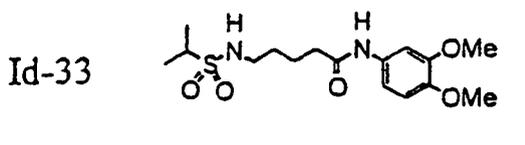
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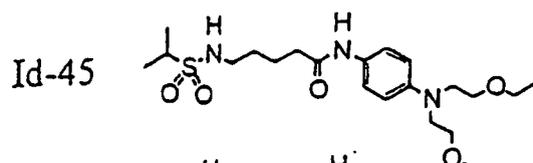
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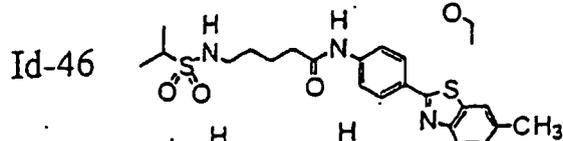
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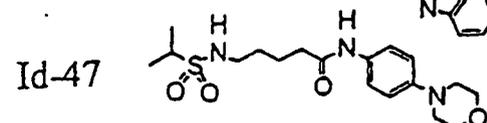
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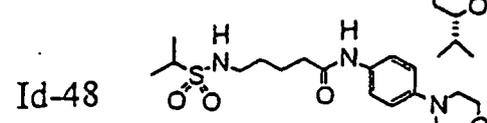
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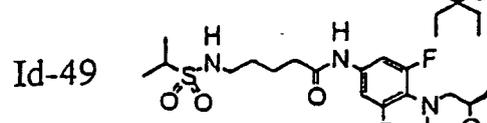
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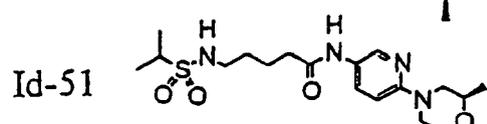
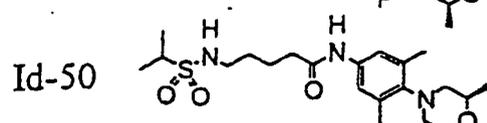
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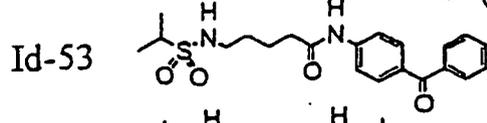
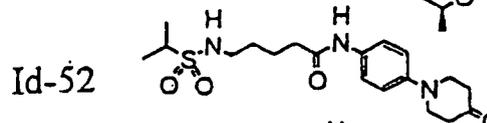
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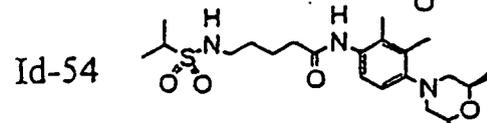
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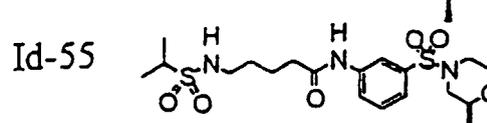
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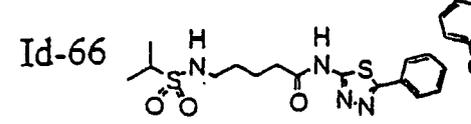
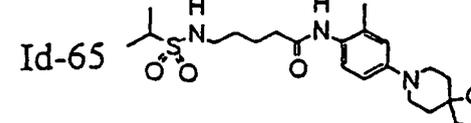
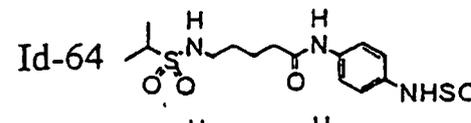
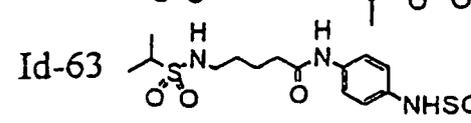
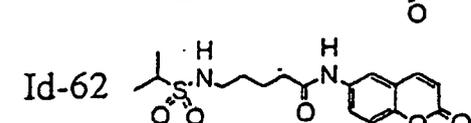
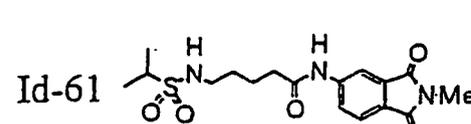
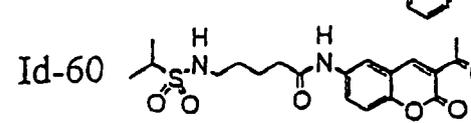
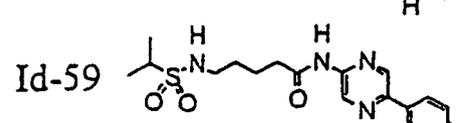
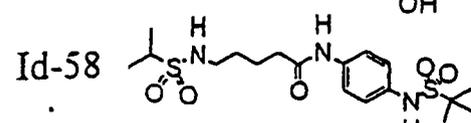
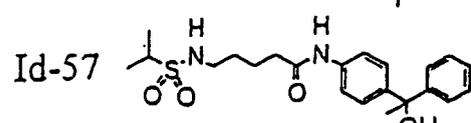
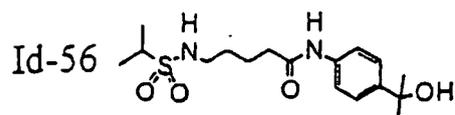


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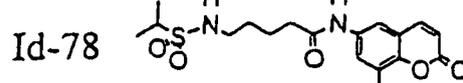
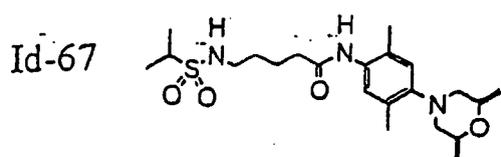


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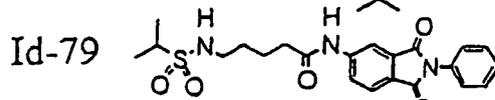
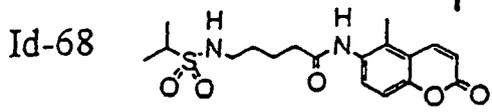
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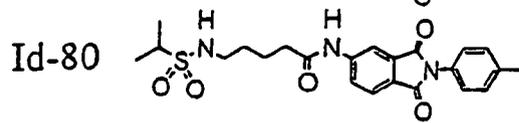
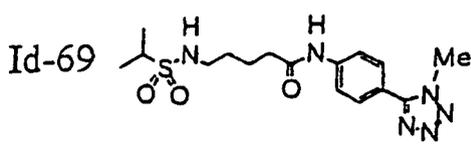
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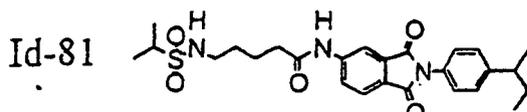
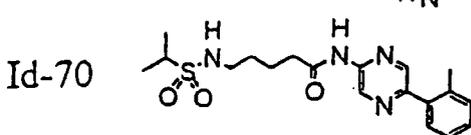
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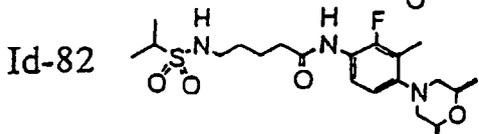
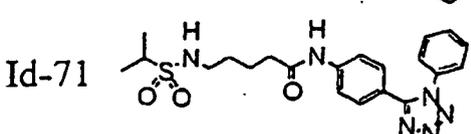
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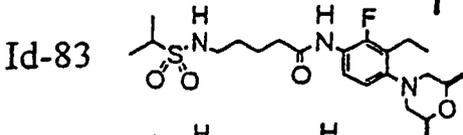
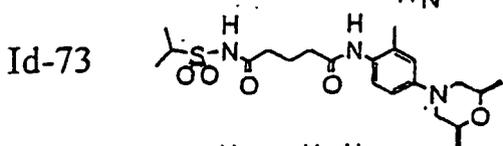
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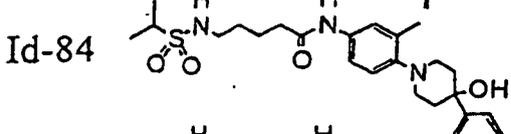
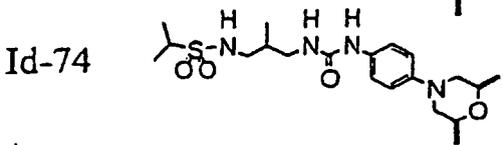
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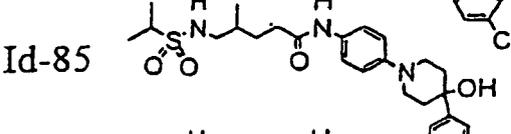
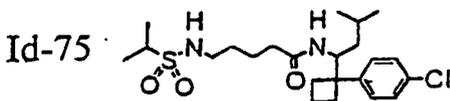
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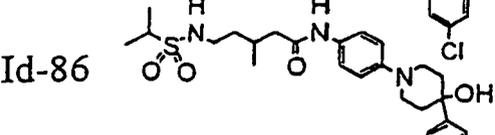
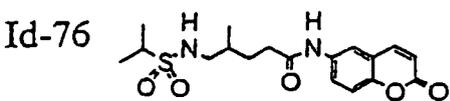
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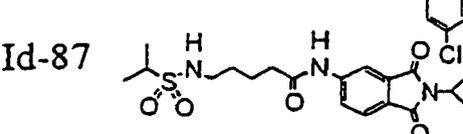
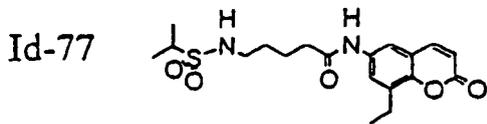
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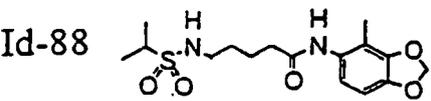
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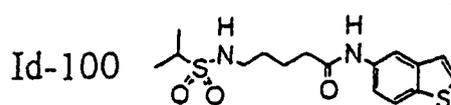
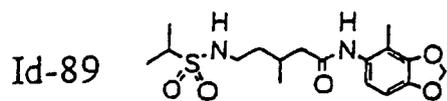
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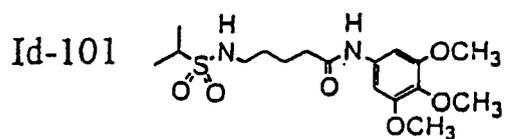
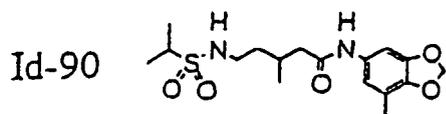
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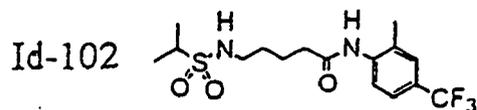
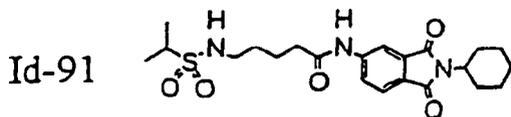
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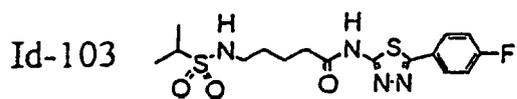
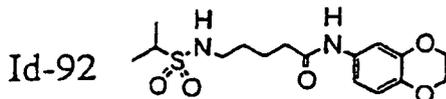
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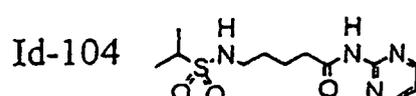
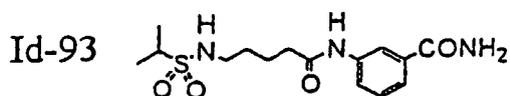
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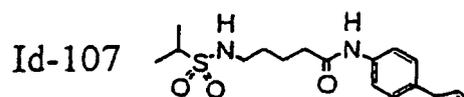
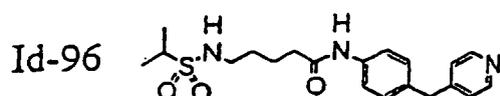
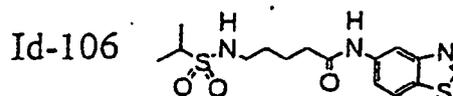
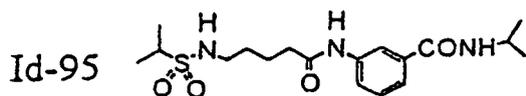
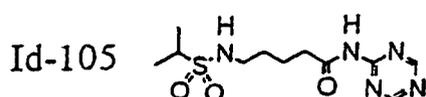
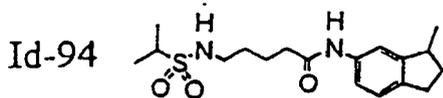
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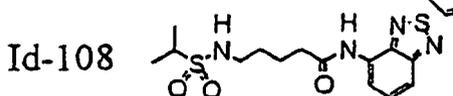
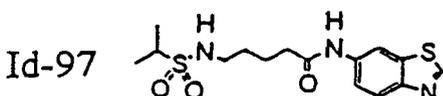
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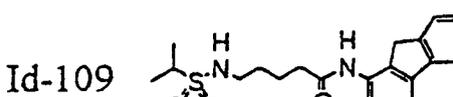
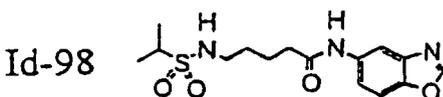
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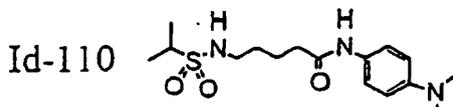
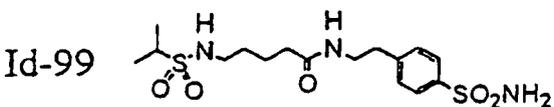
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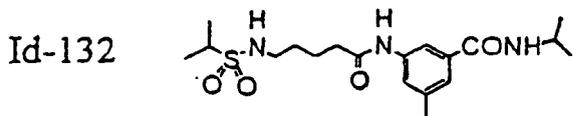
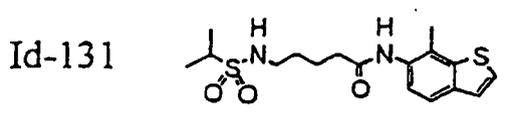
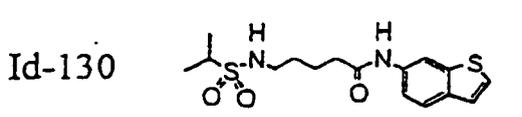
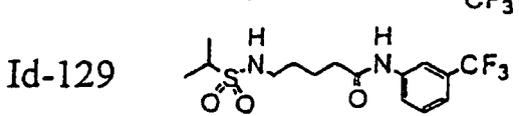
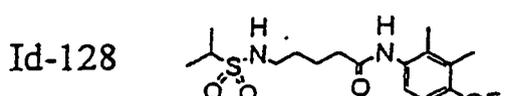
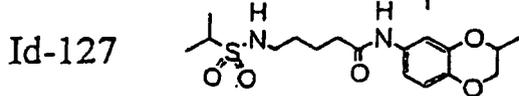
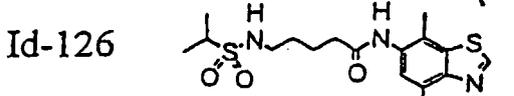
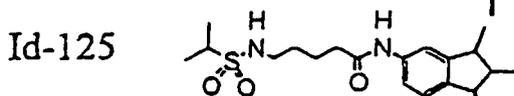
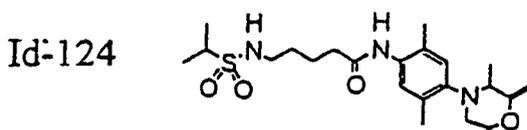
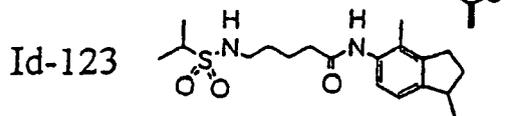
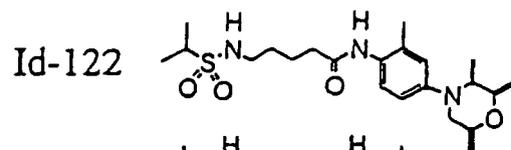
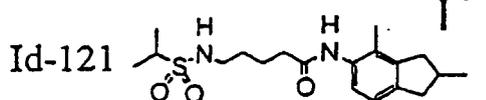
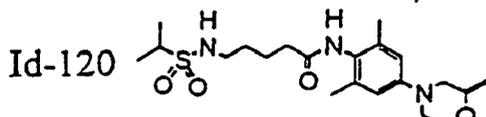
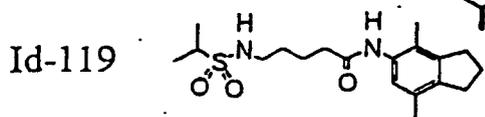
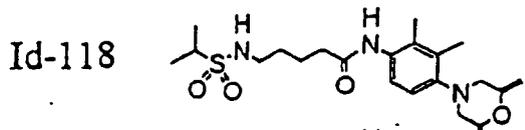
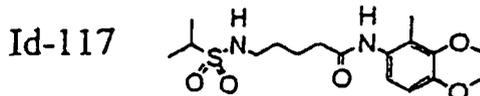
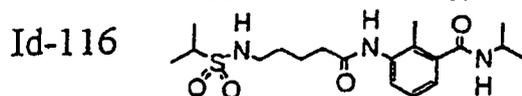
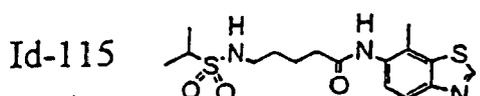
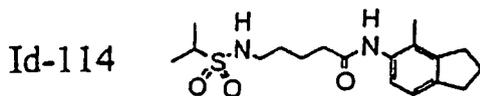
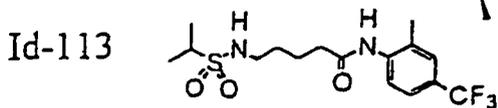
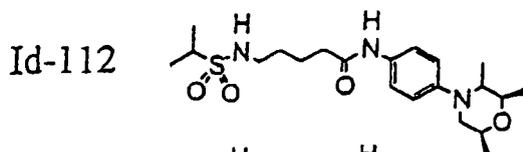
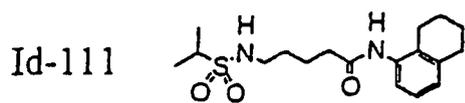


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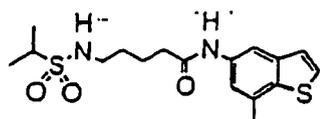
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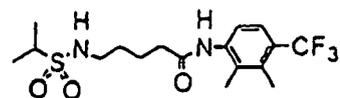


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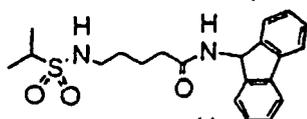


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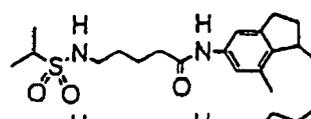


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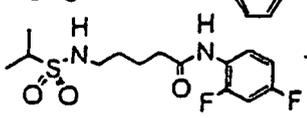


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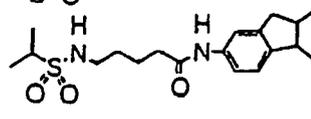


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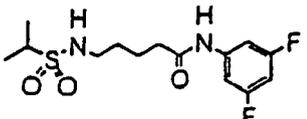
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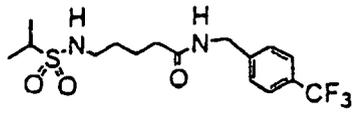
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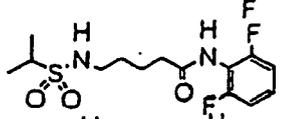


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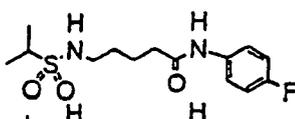


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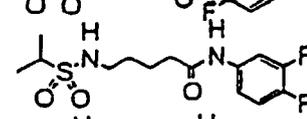
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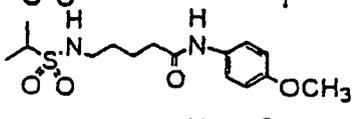
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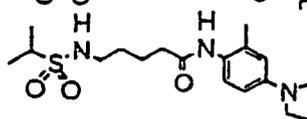


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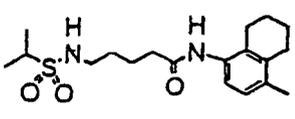


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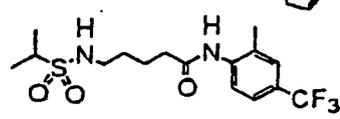


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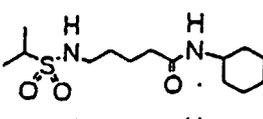


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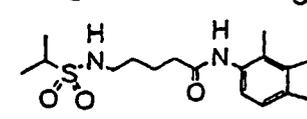
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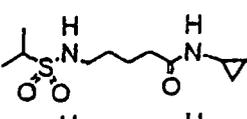
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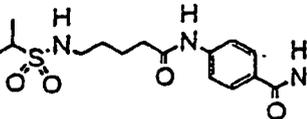


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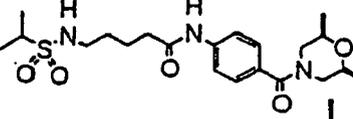


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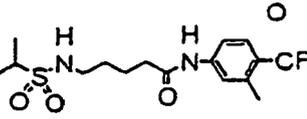


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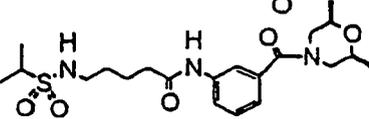


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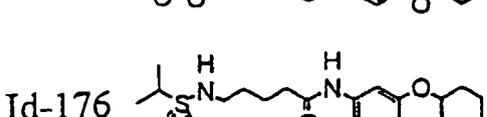
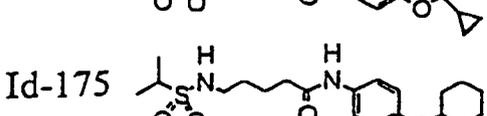
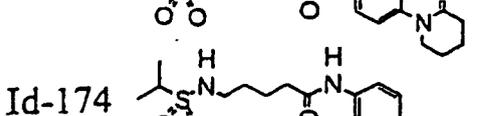
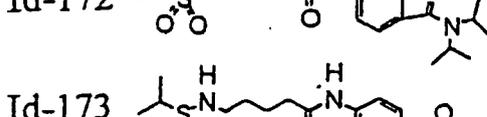
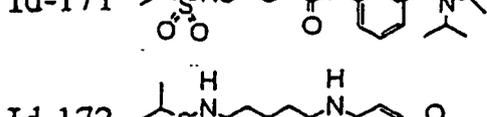
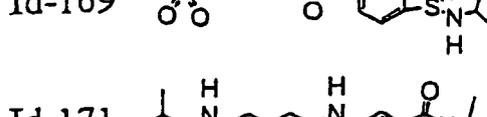
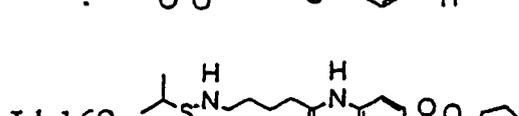
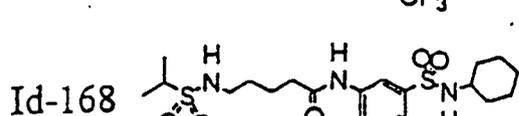
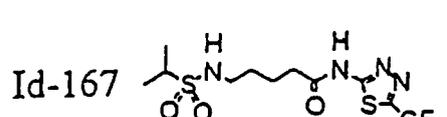
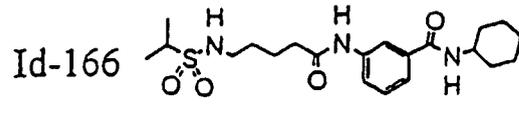
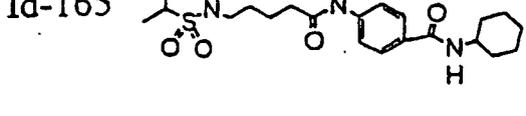
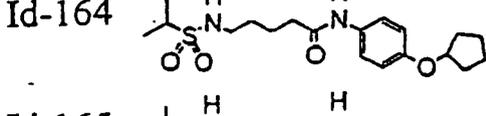
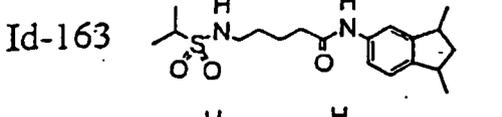
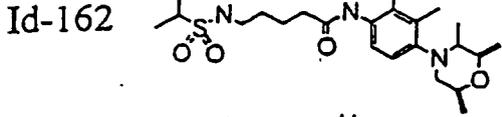
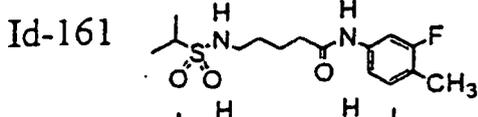
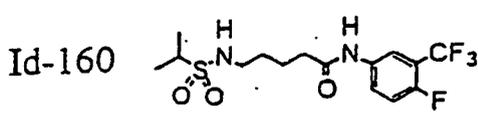
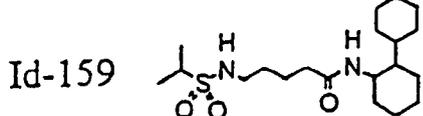
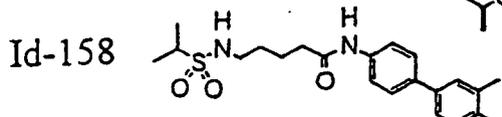
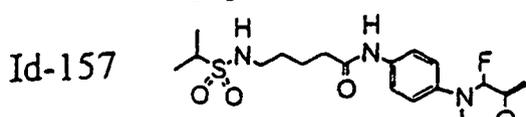
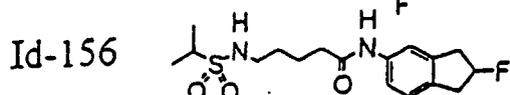
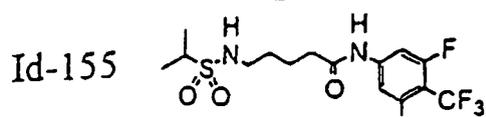


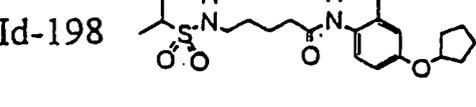
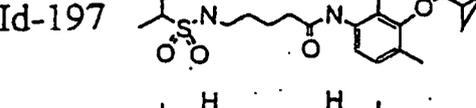
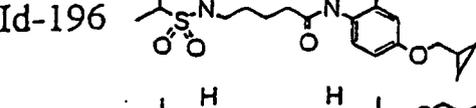
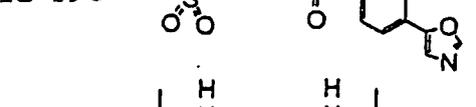
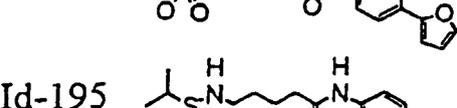
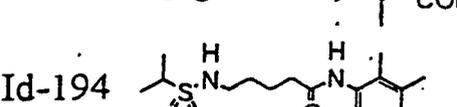
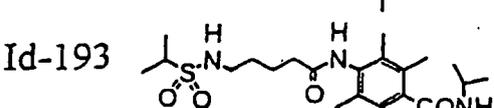
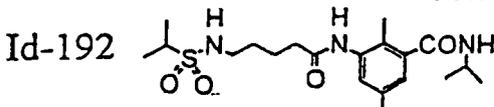
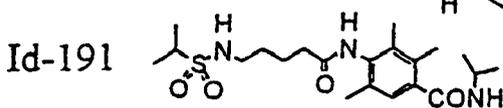
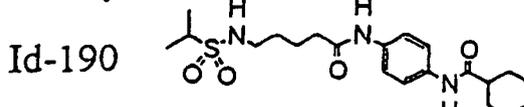
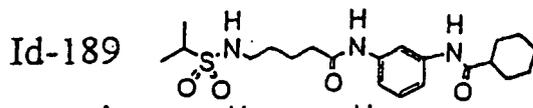
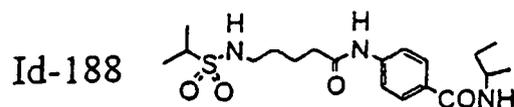
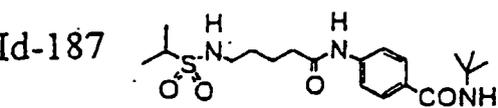
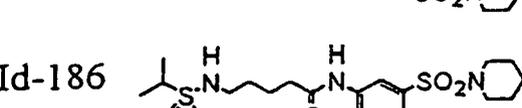
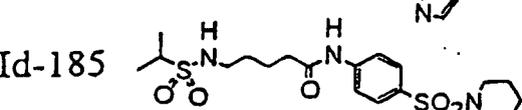
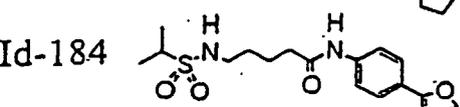
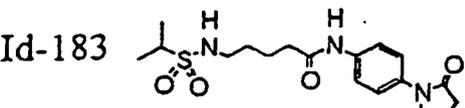
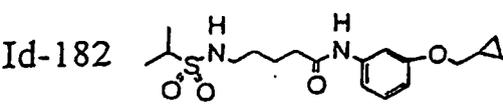
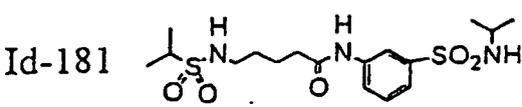
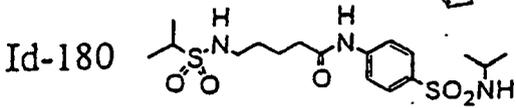
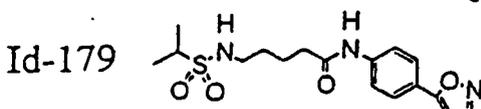
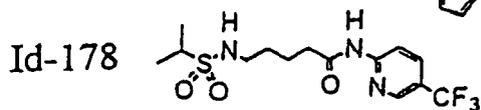
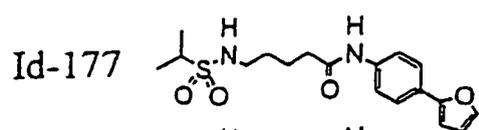
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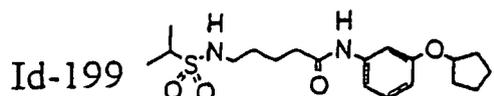
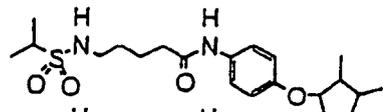


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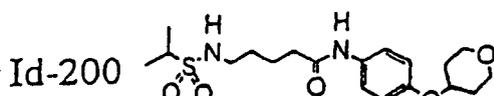
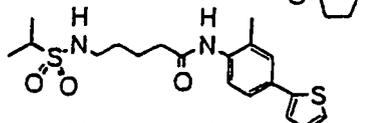
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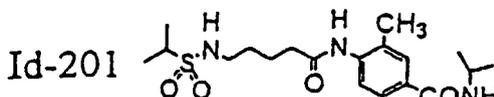
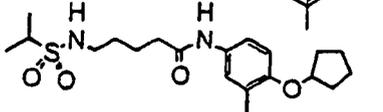
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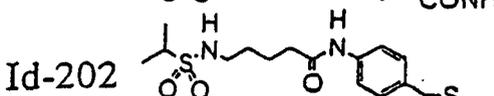
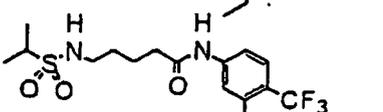
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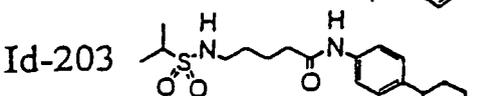
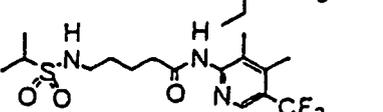
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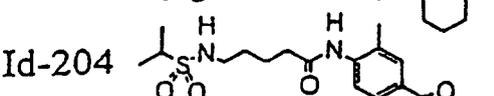
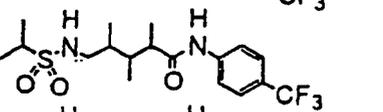
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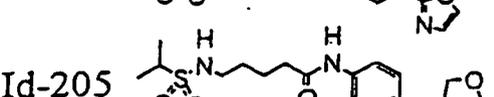
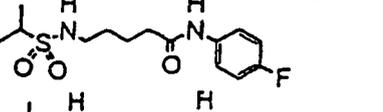
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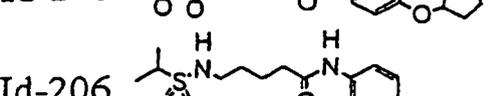
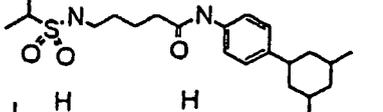
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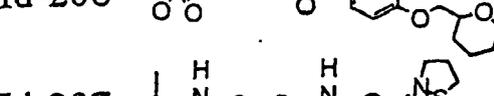
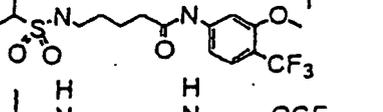
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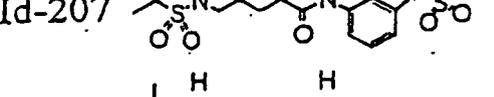
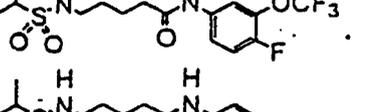
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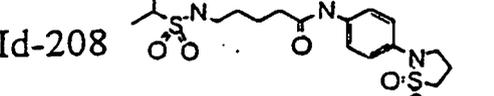
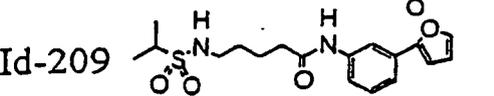
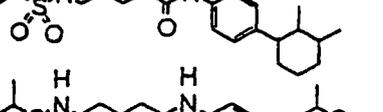
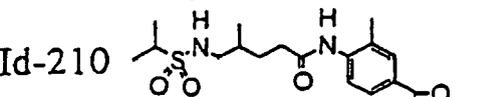
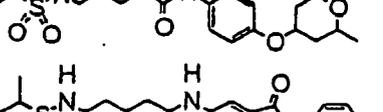
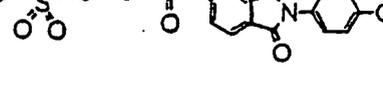
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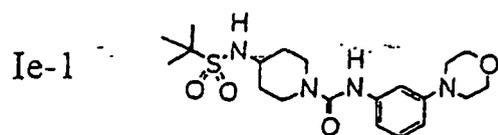
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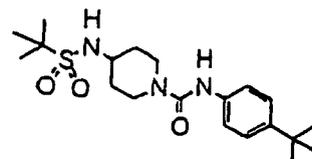
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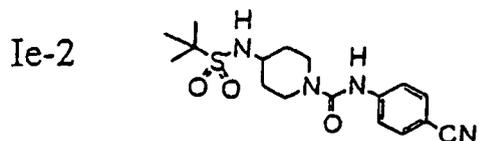
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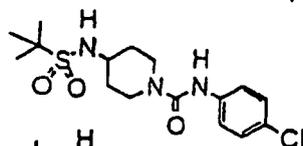
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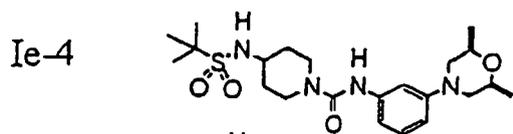
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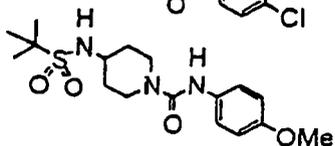
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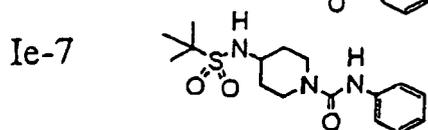
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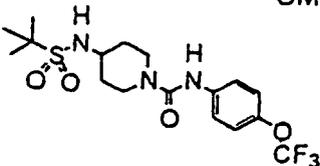
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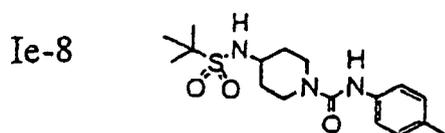
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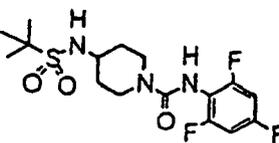
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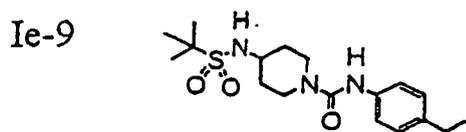
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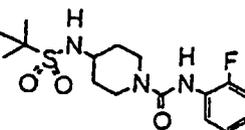
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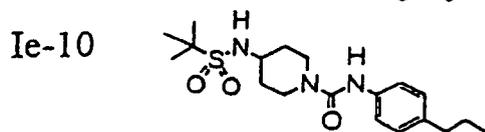
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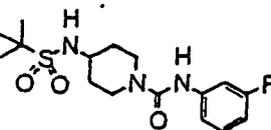
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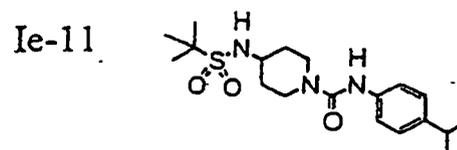
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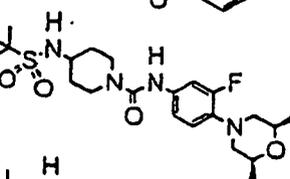
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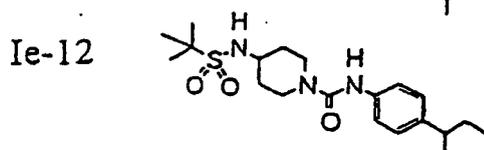
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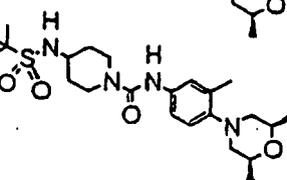
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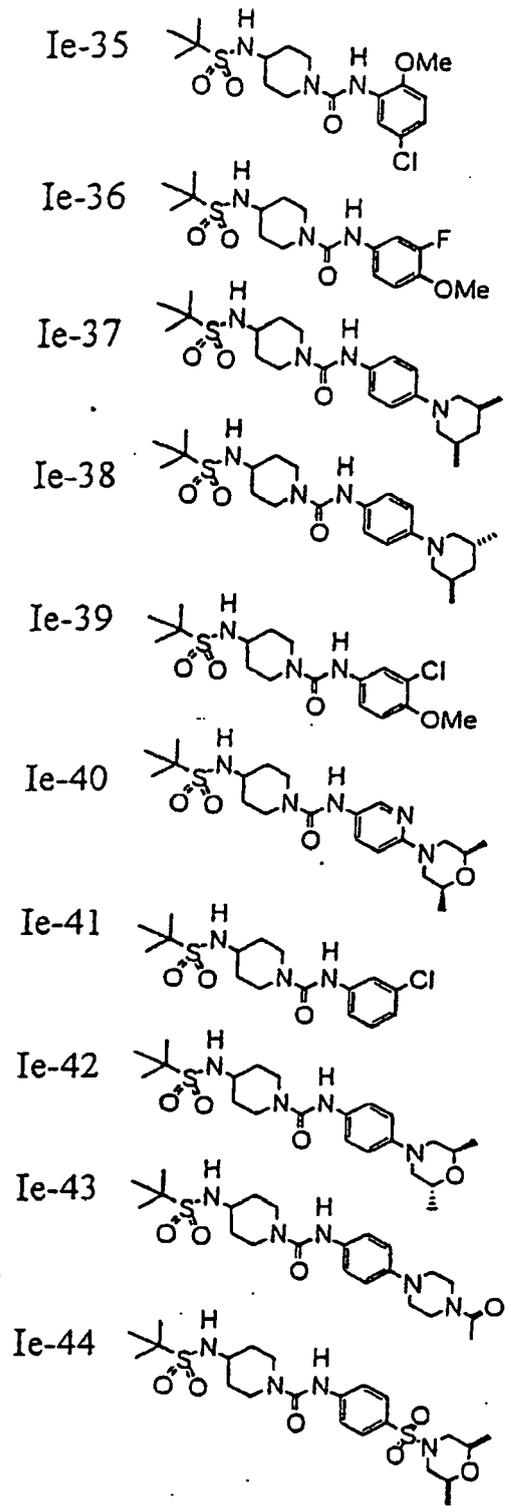
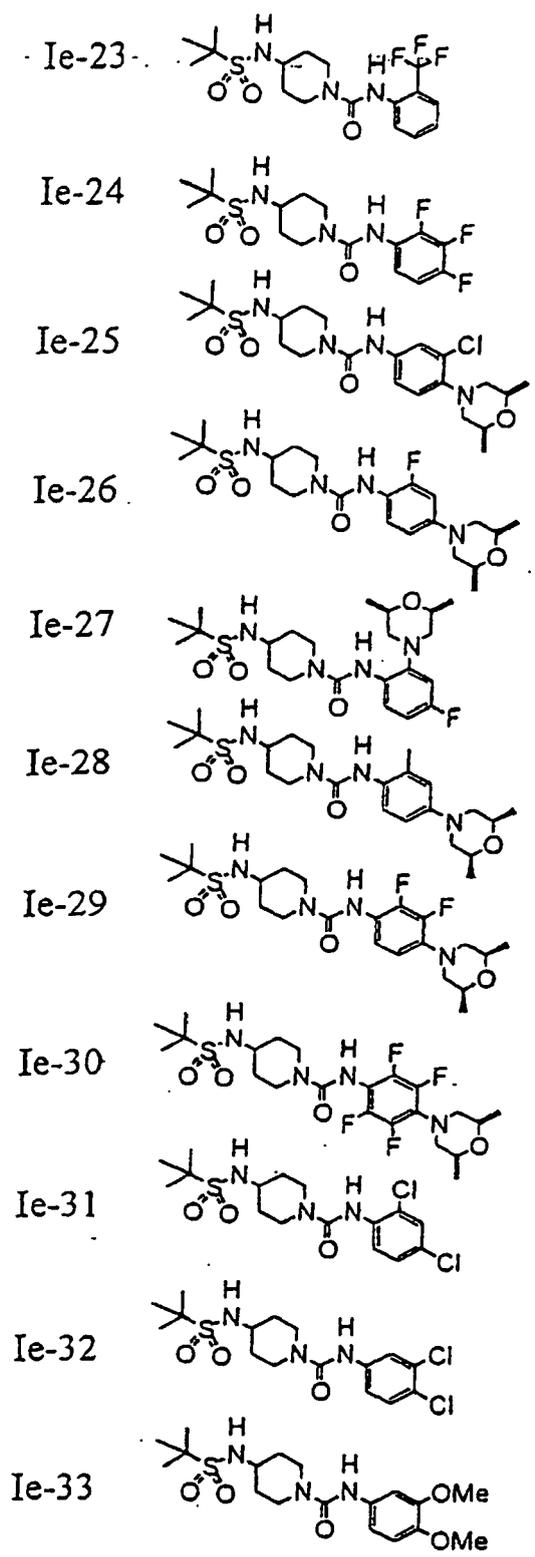
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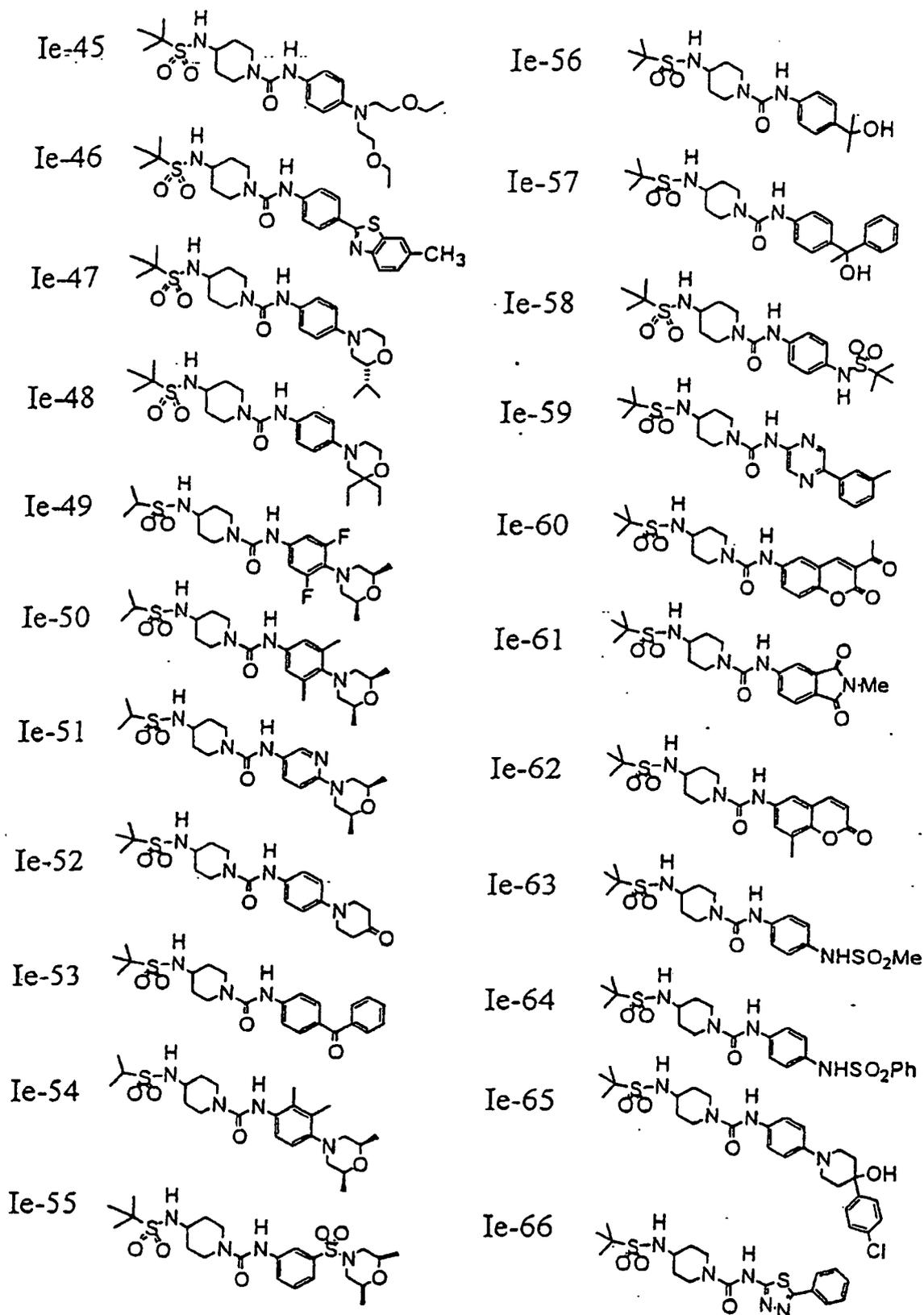


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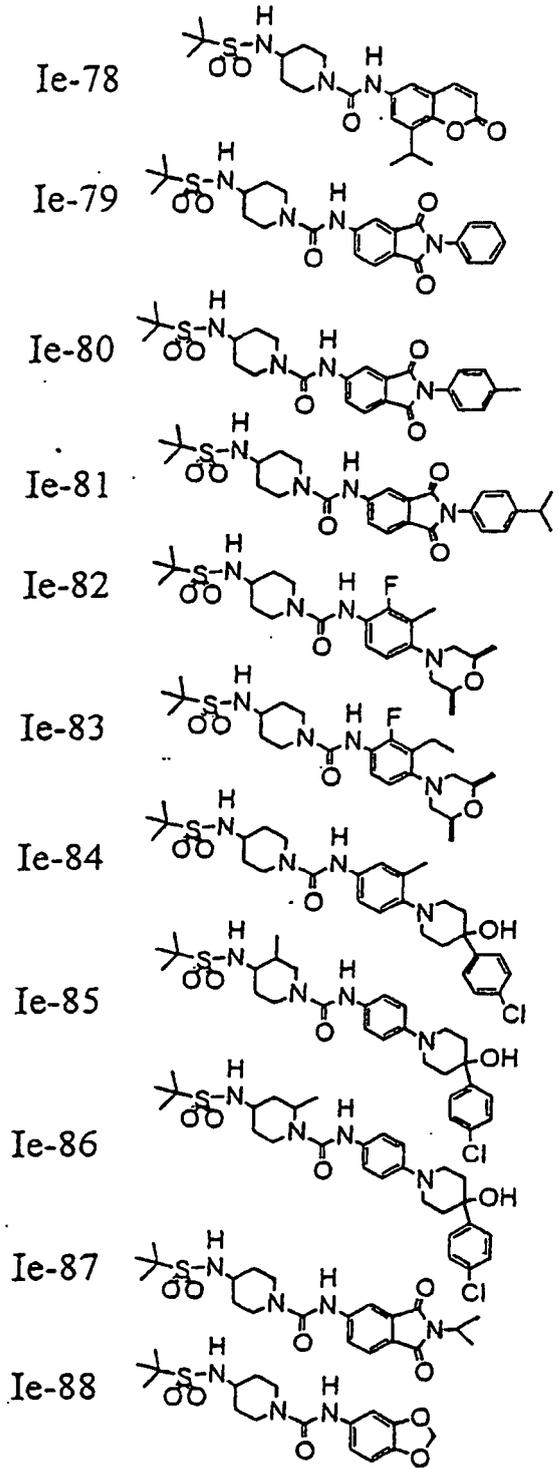
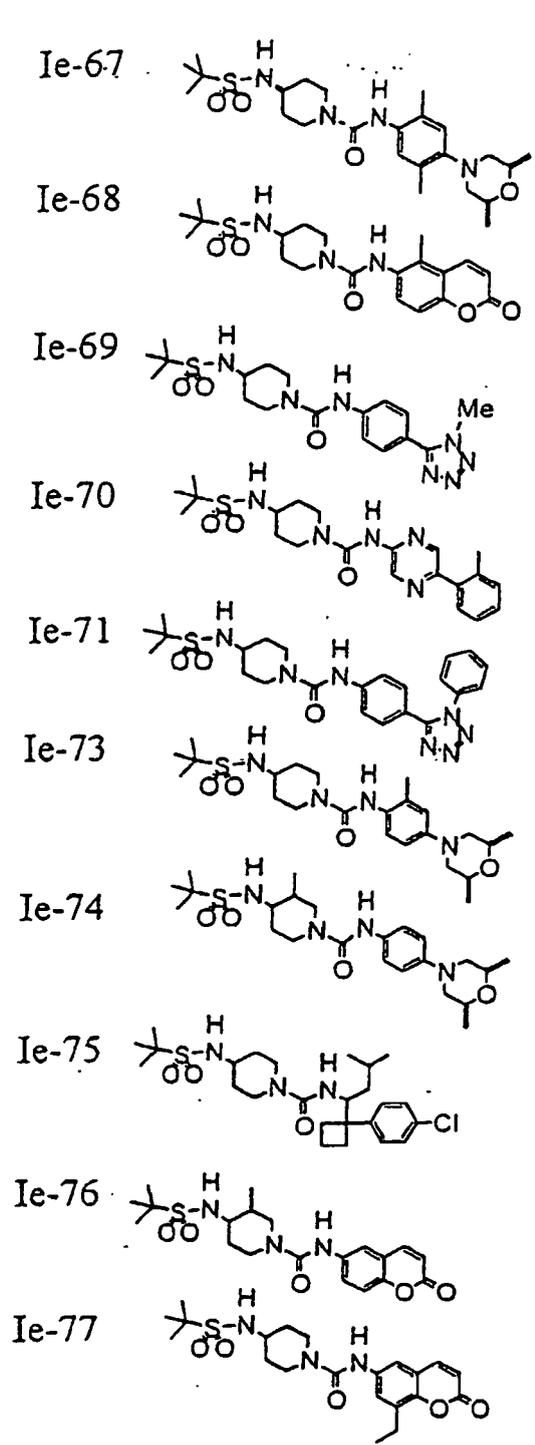
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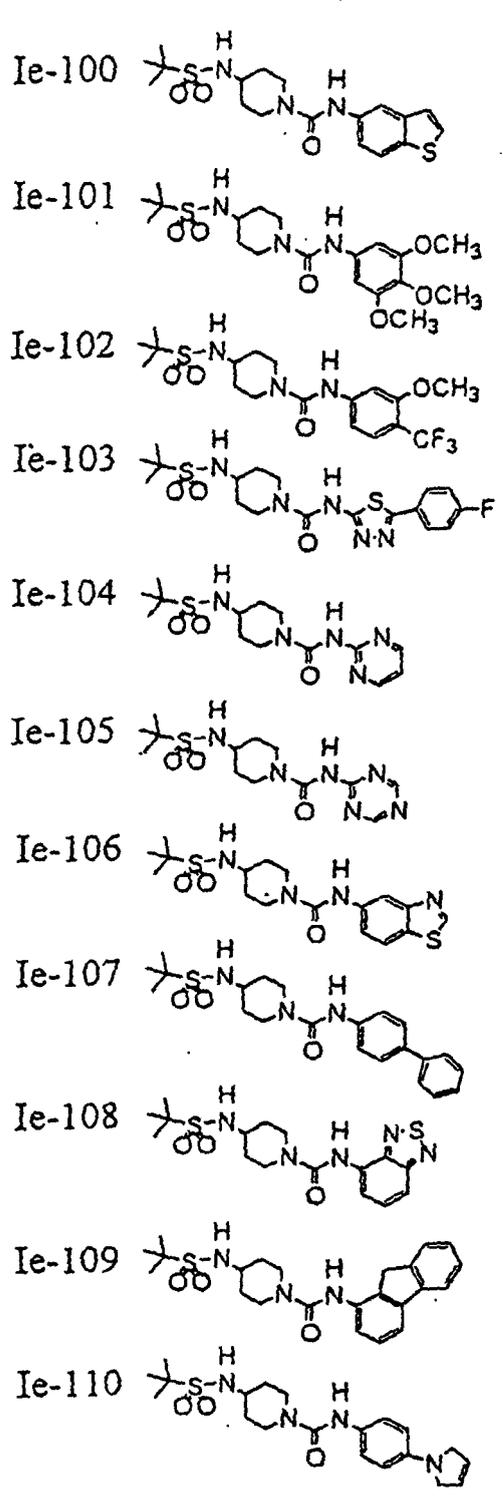
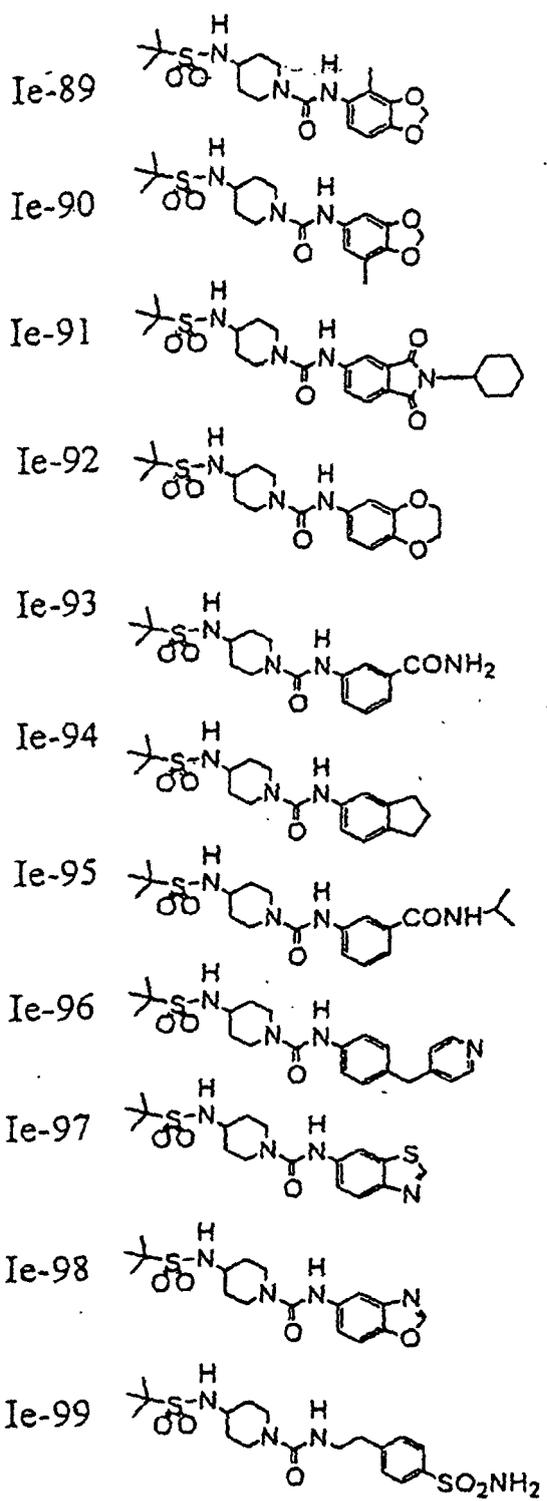




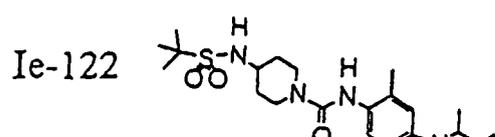
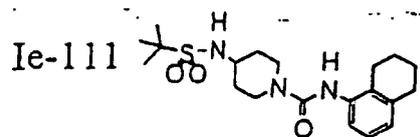
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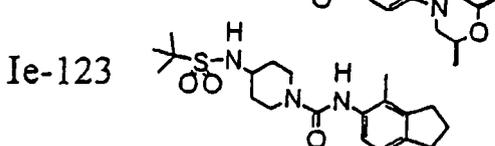
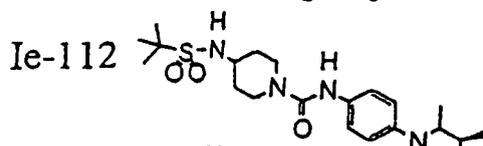
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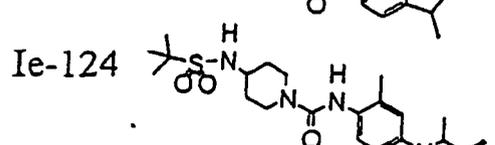
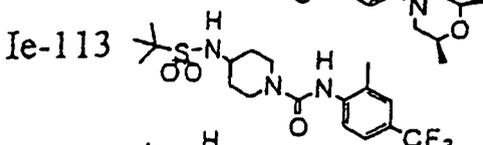
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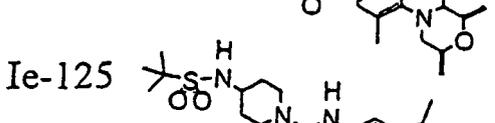
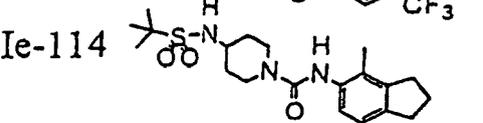
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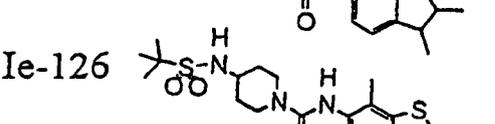
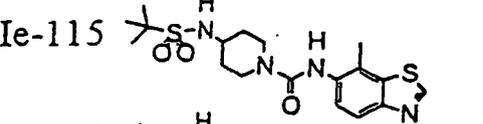
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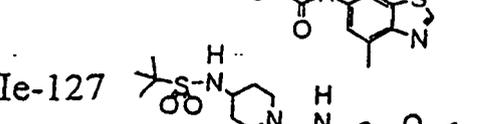
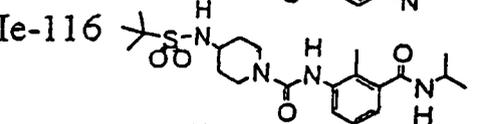
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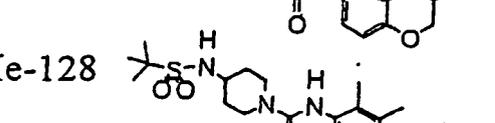
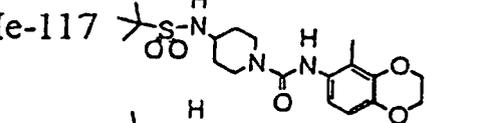
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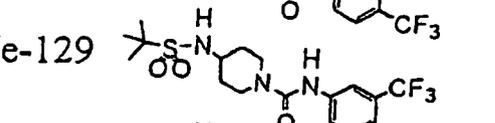
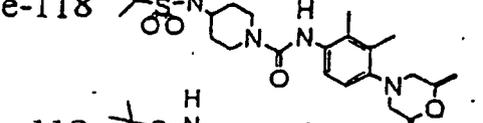
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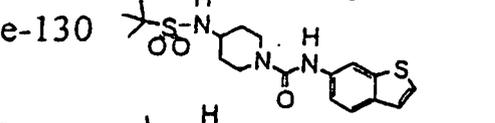
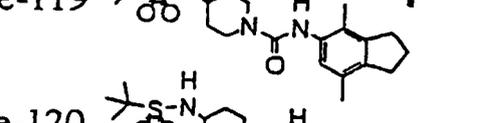
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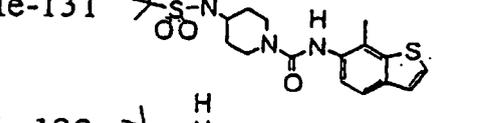
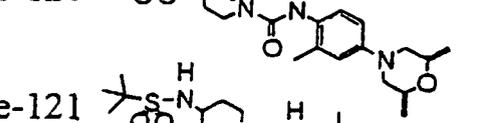
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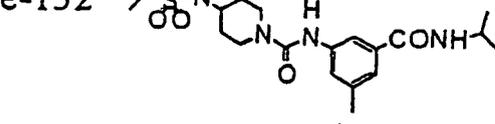
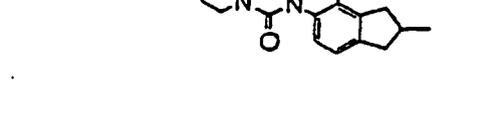
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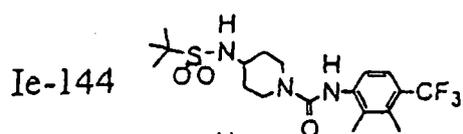
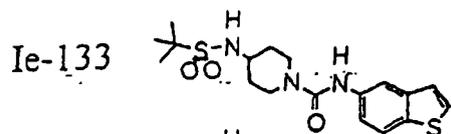
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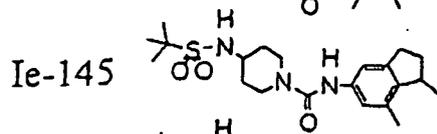
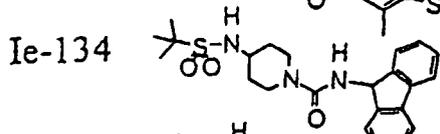
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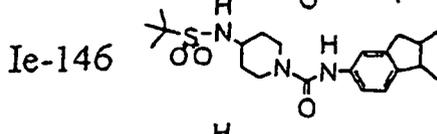
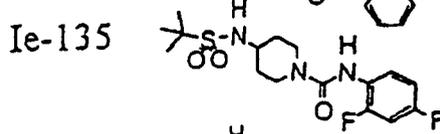
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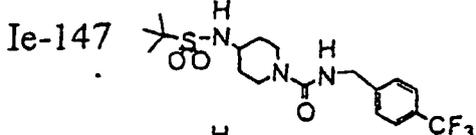
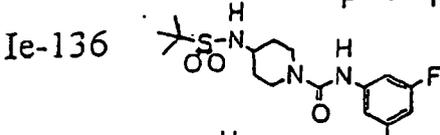
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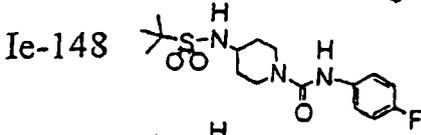
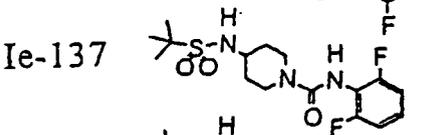
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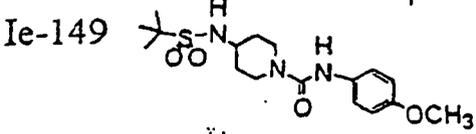
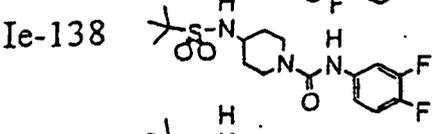
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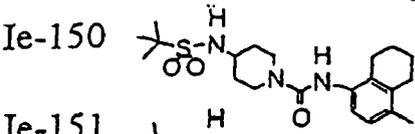
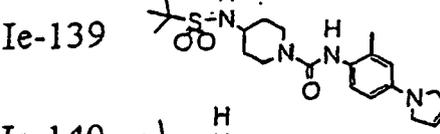
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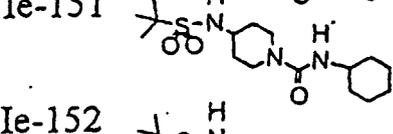
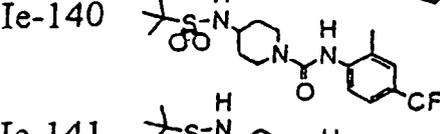
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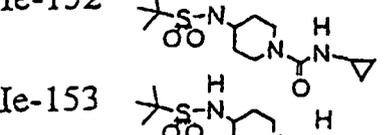
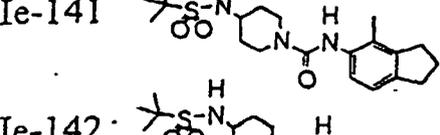
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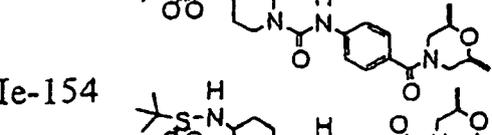
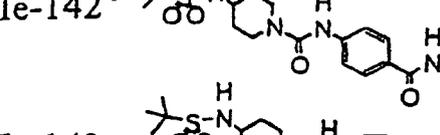
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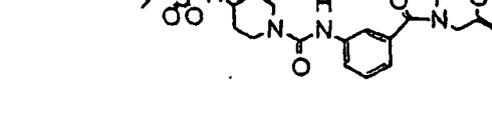
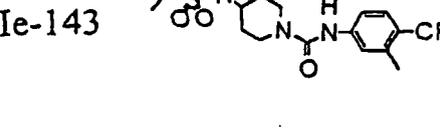
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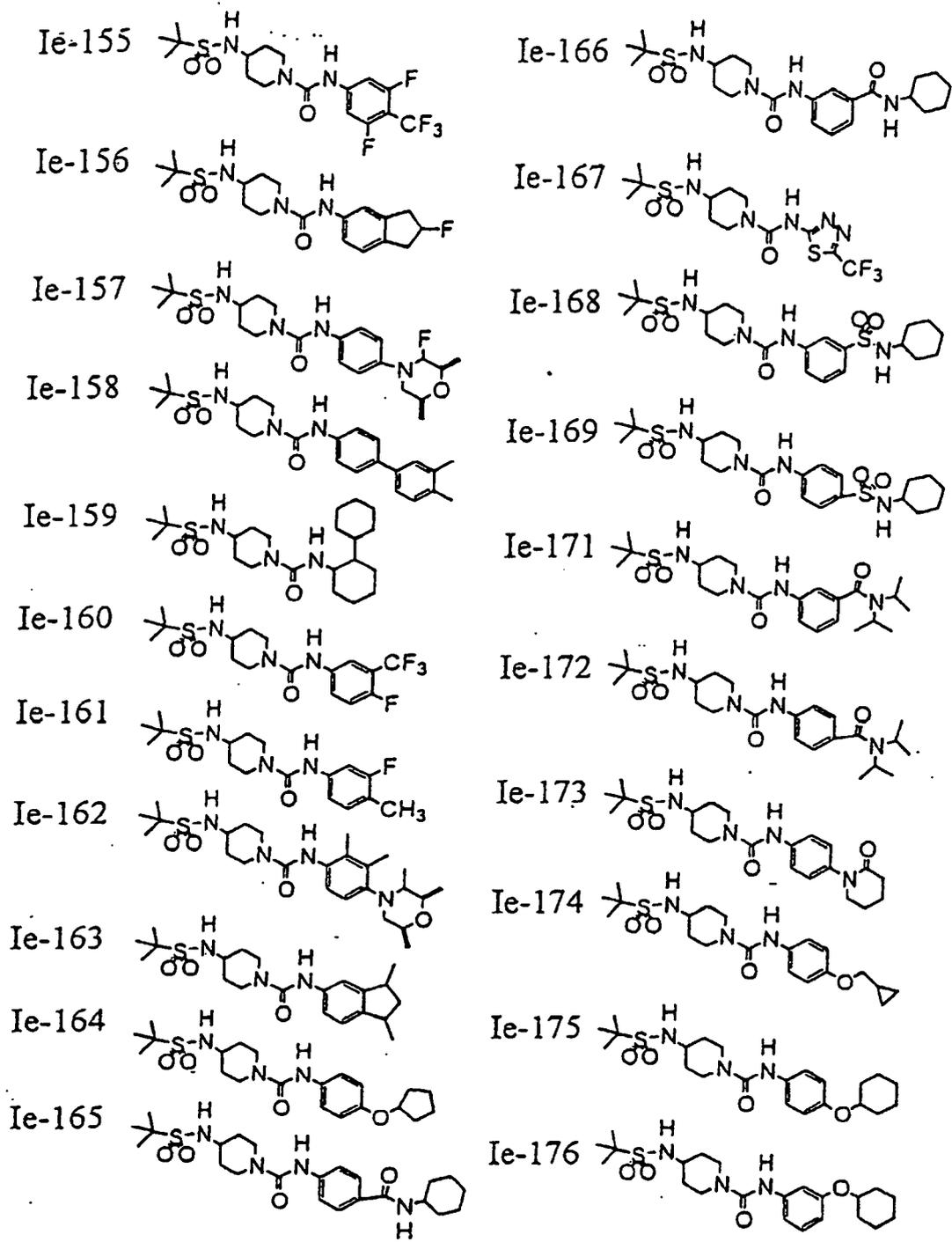
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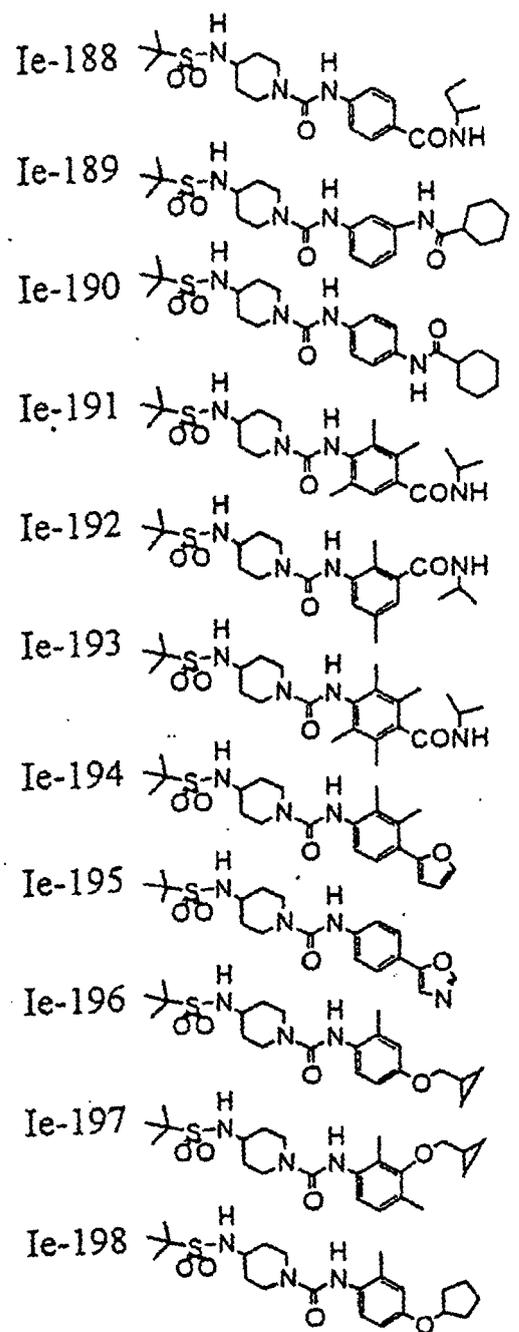
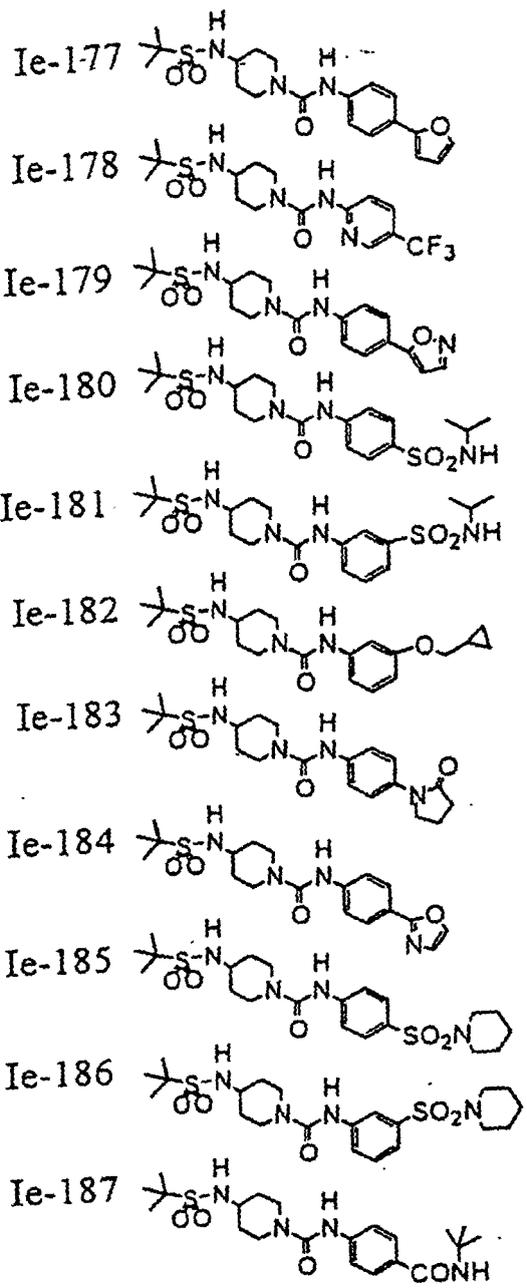


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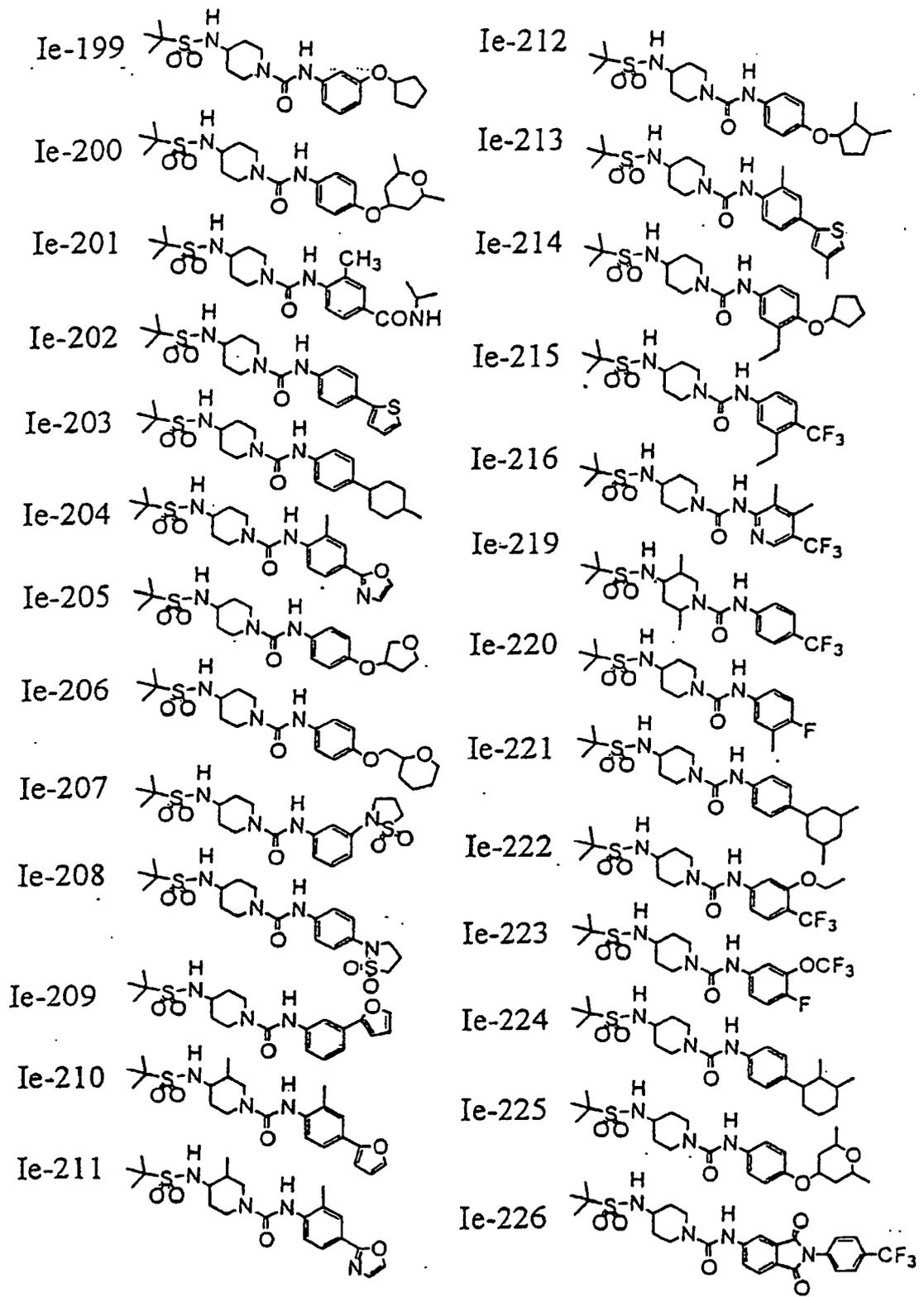


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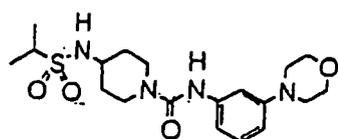


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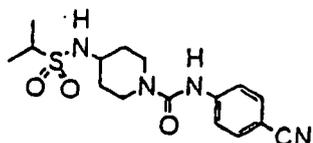
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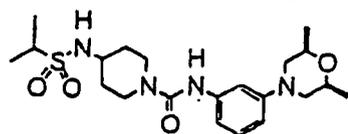
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If-2



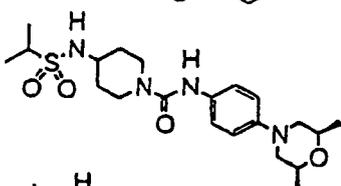
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If-4



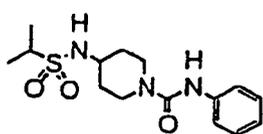
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If-6



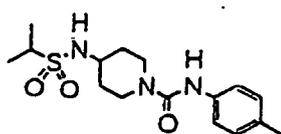
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If-7



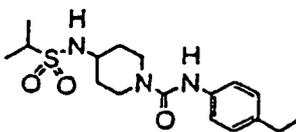
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If-8



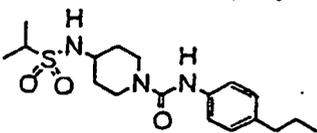
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If-9



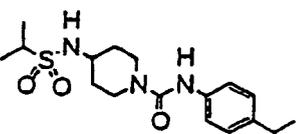
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If-10



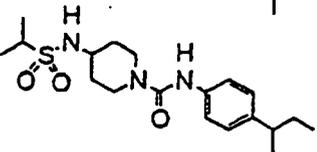
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If-11



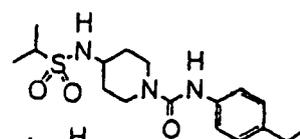
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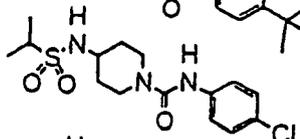


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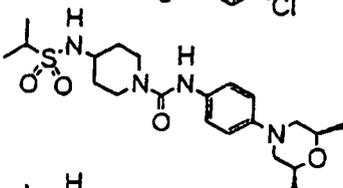
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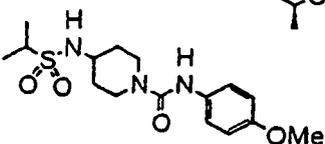
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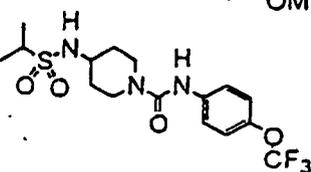
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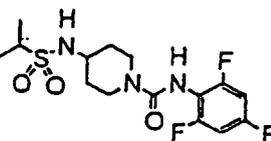
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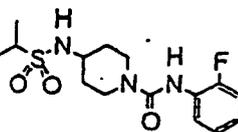
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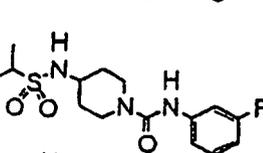
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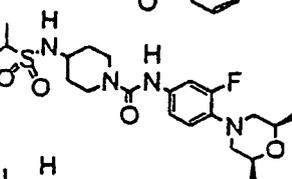
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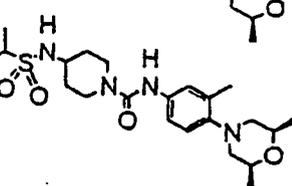
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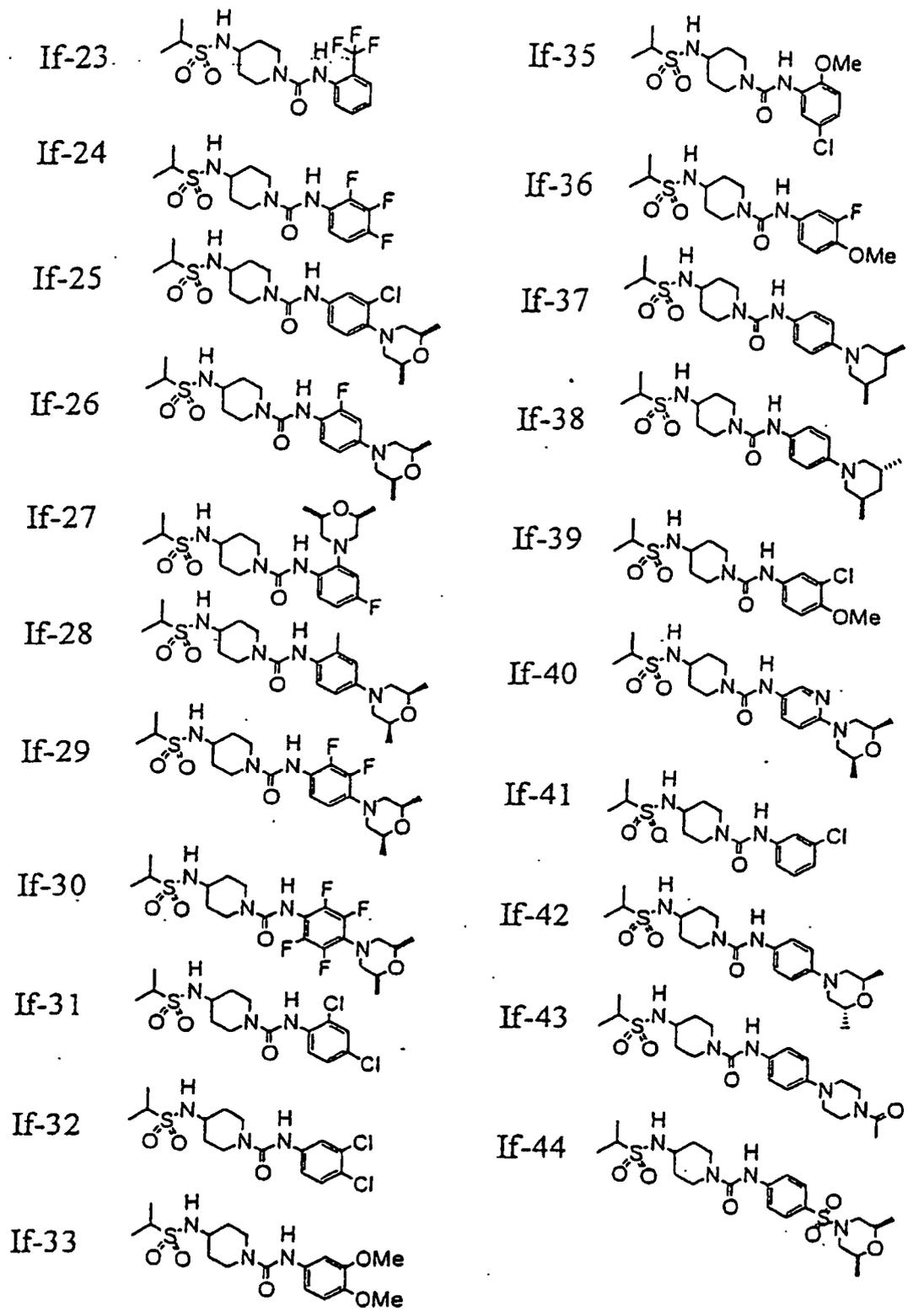
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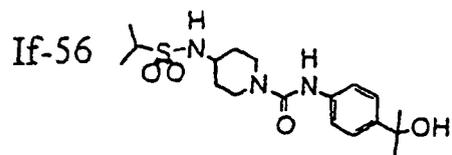
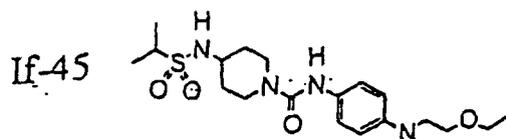
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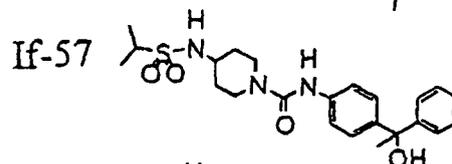
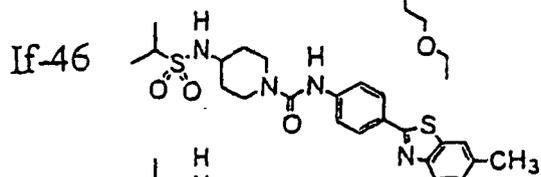
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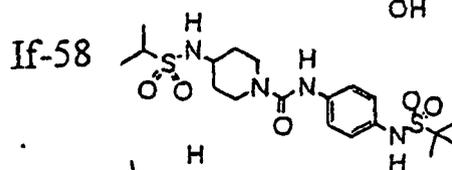
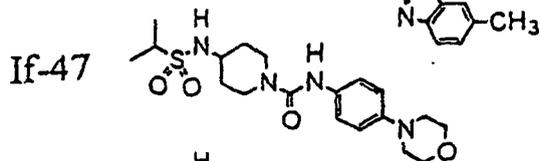
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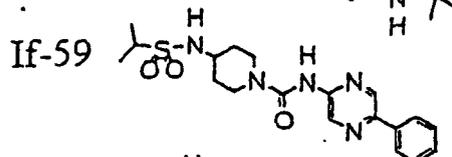
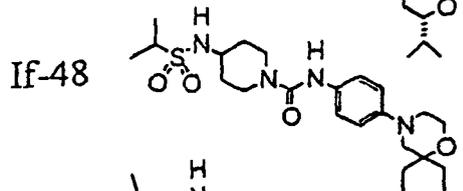
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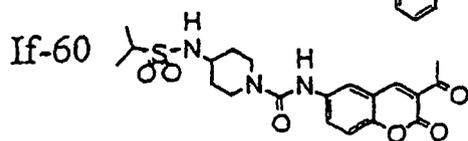
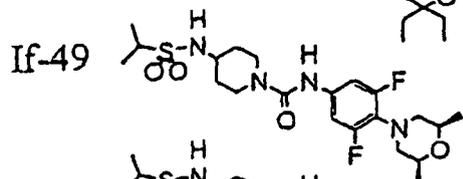
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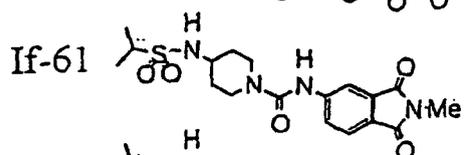
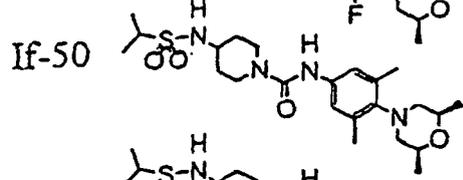
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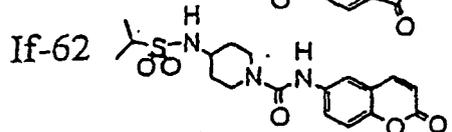
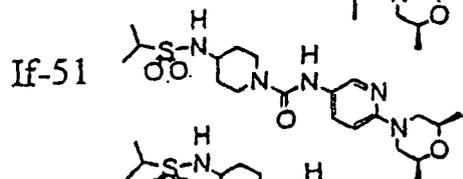
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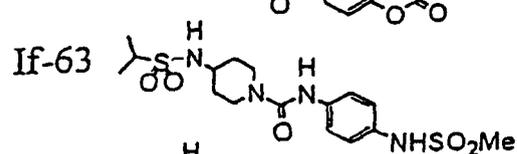
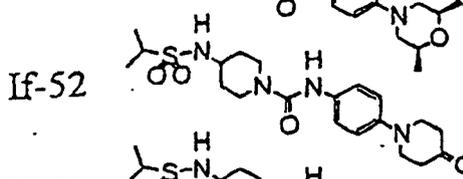
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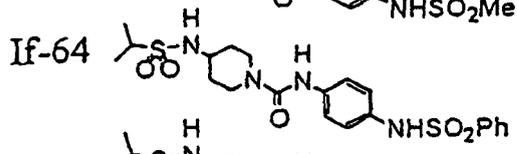
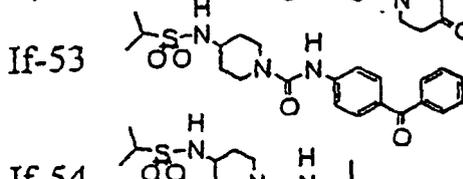
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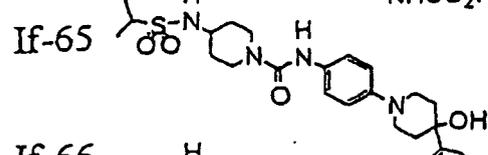
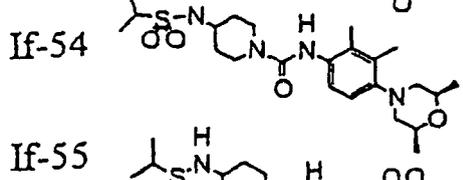
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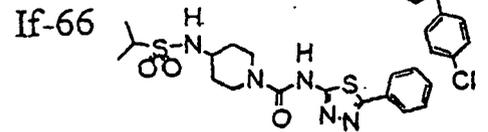
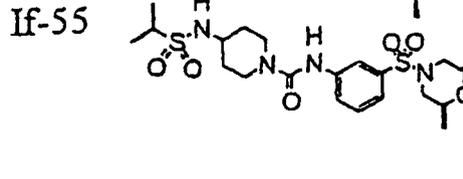
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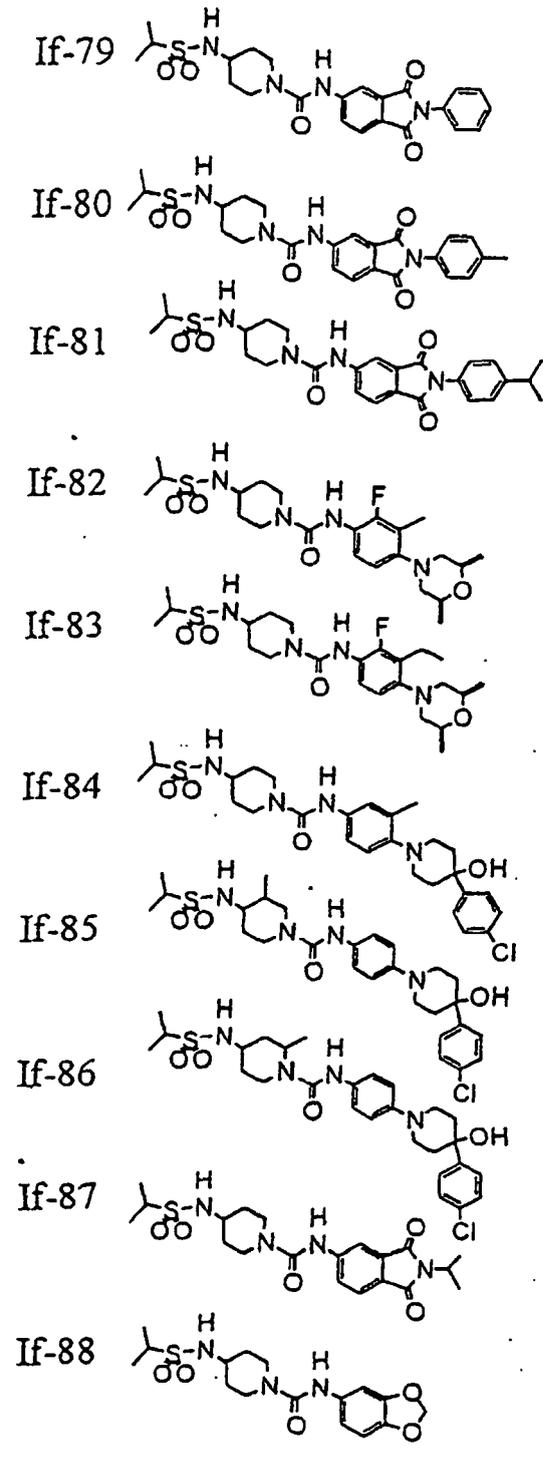
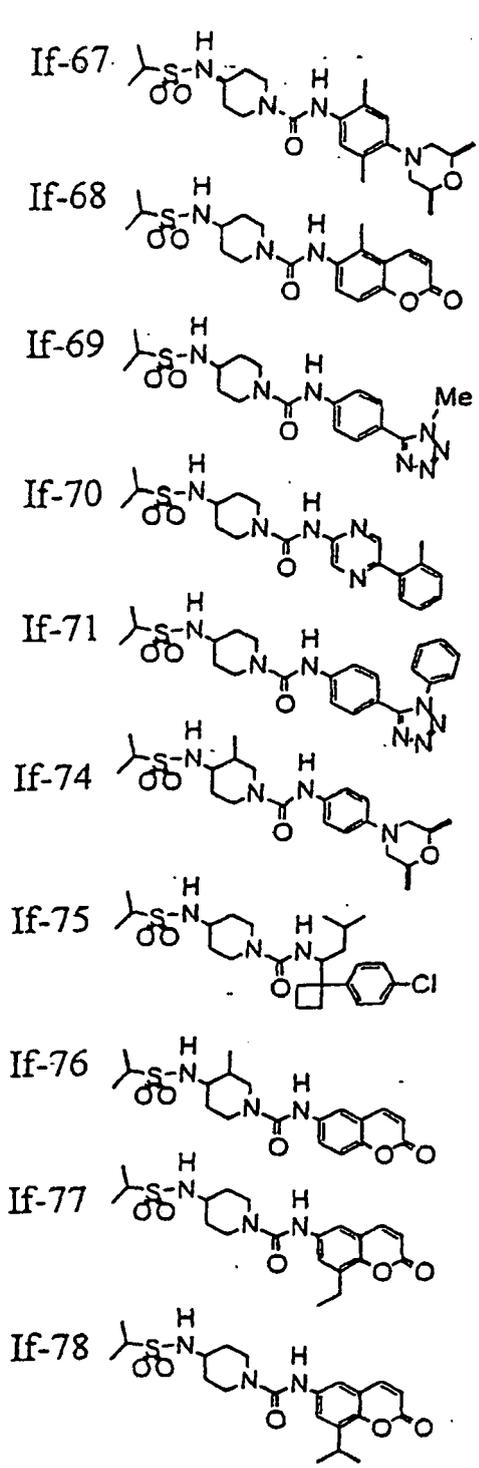
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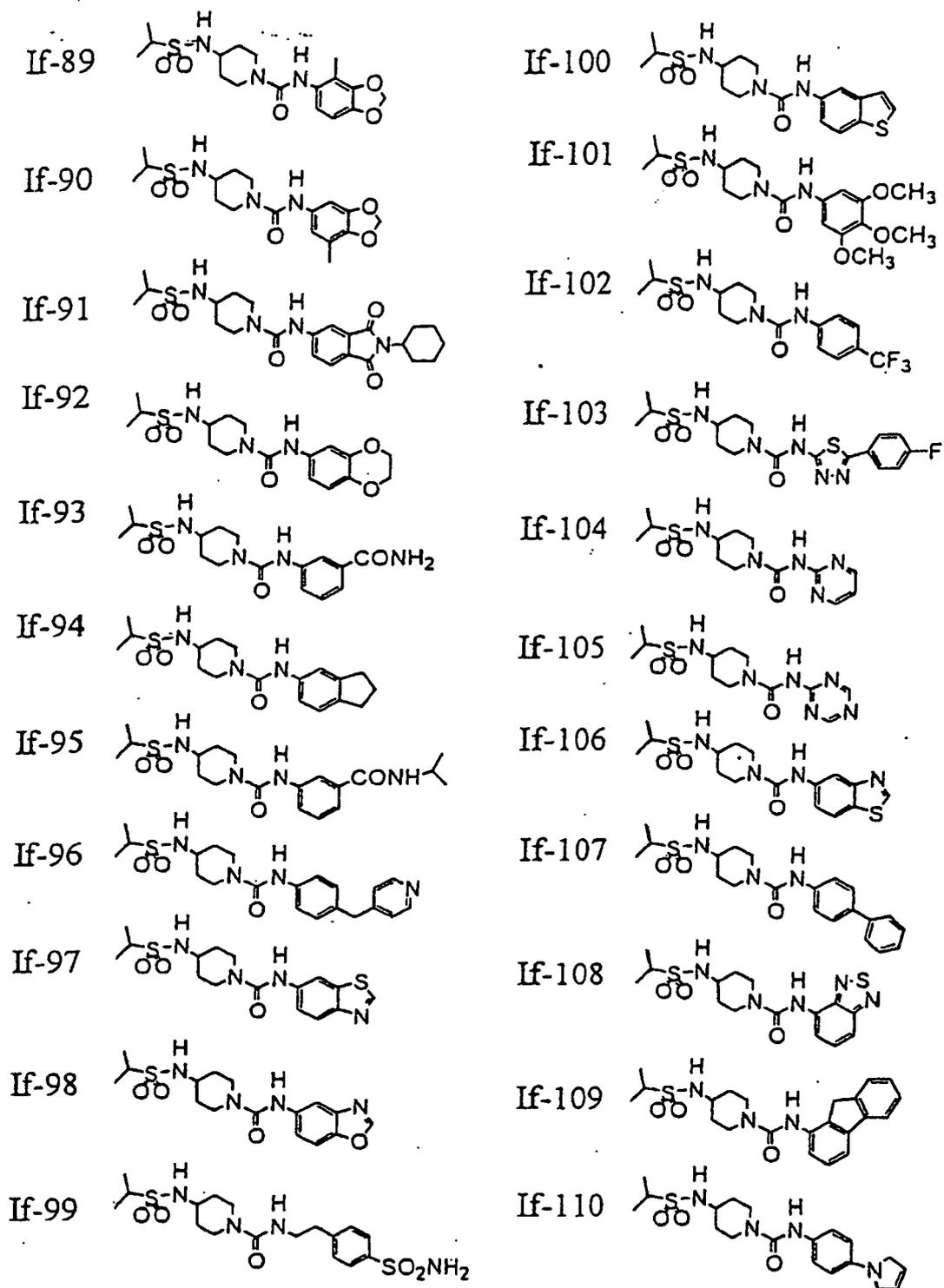


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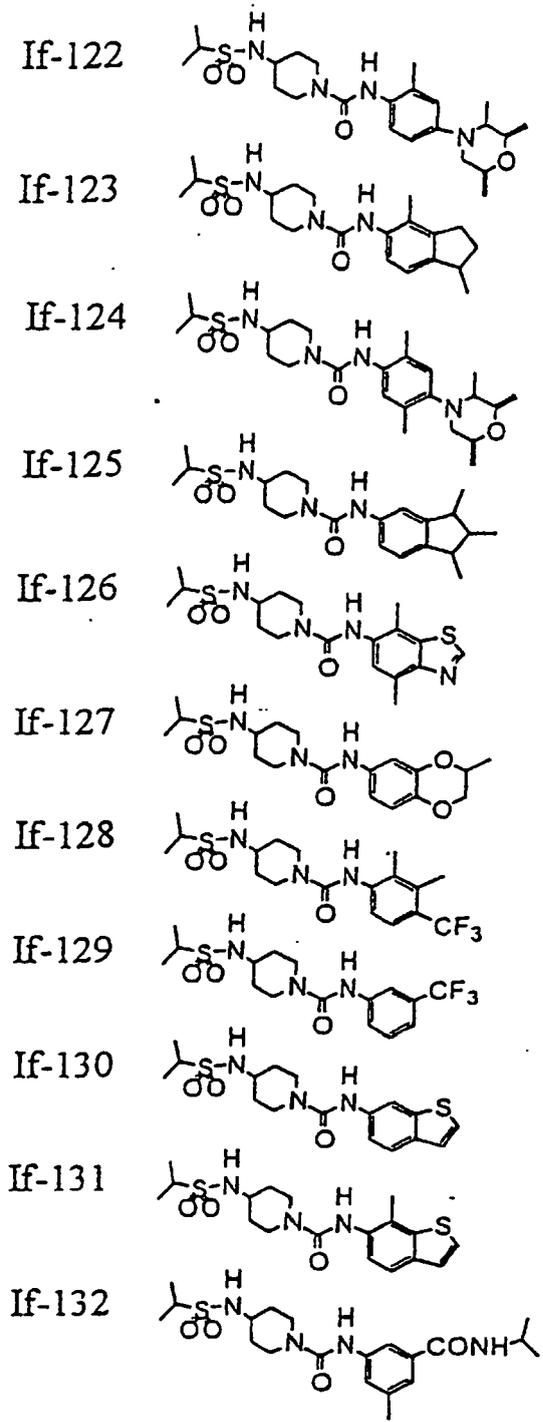
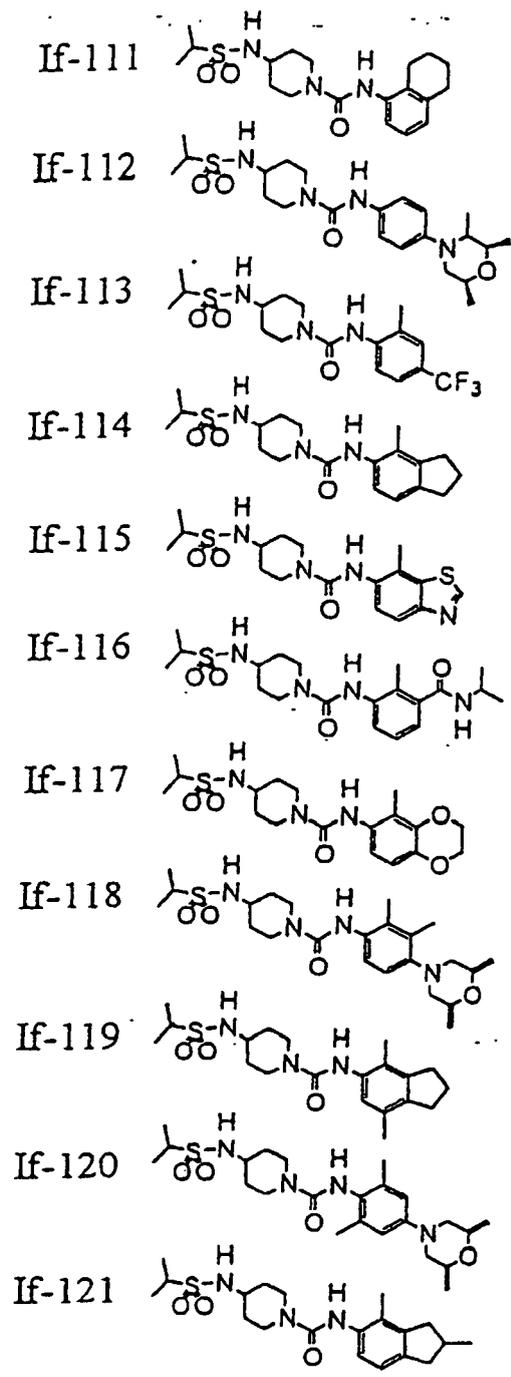


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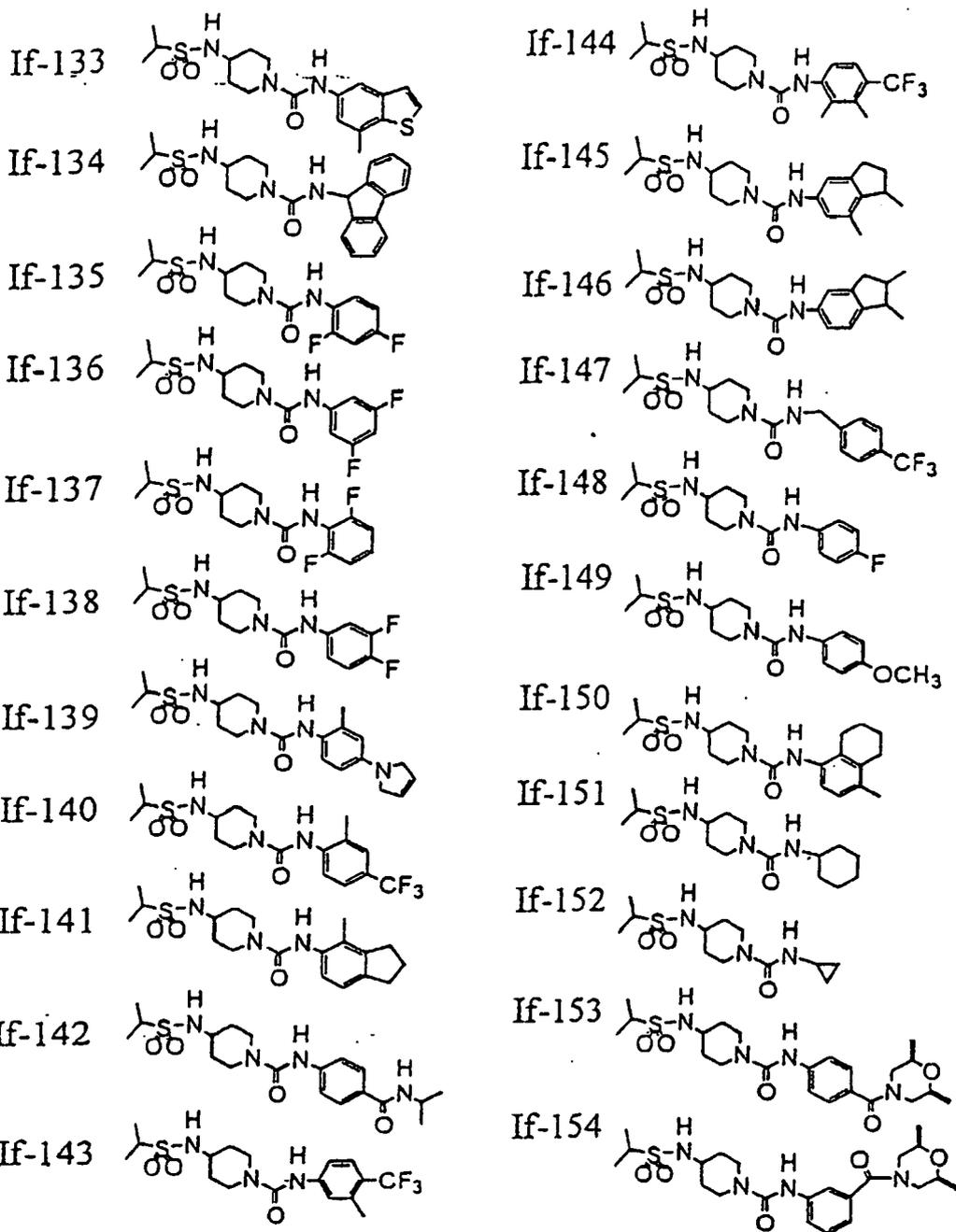




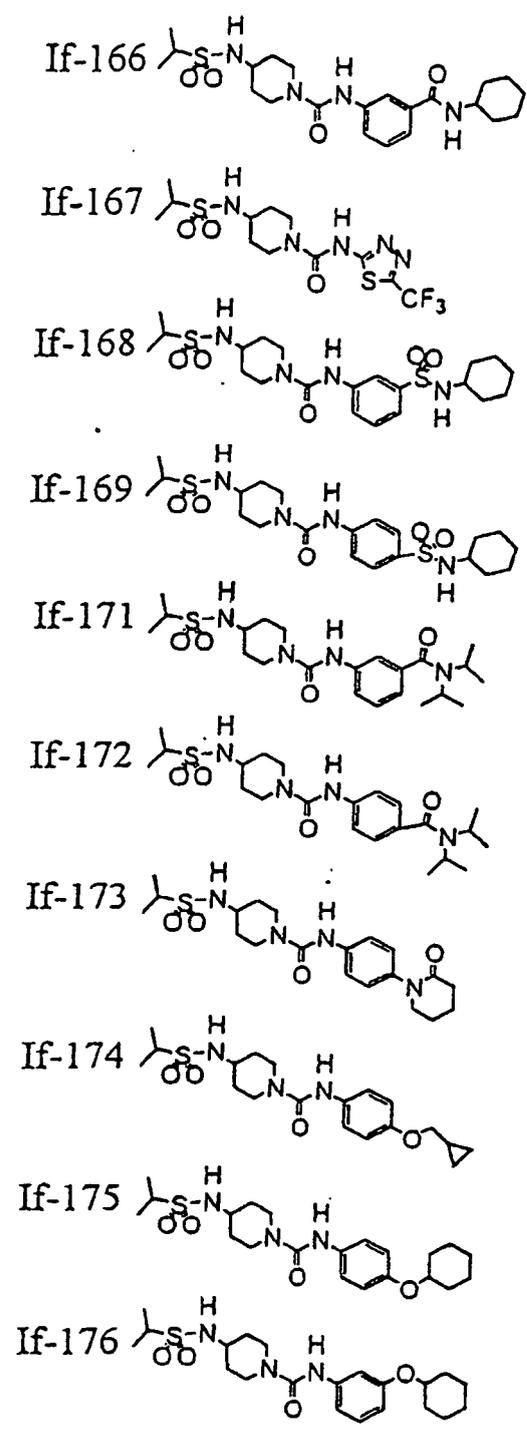
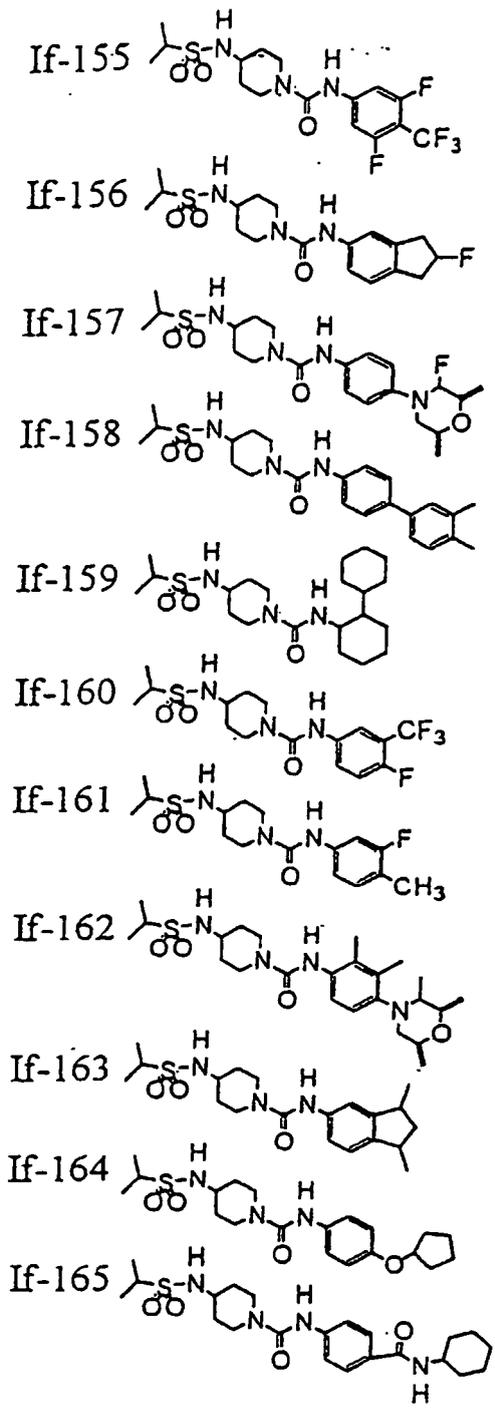
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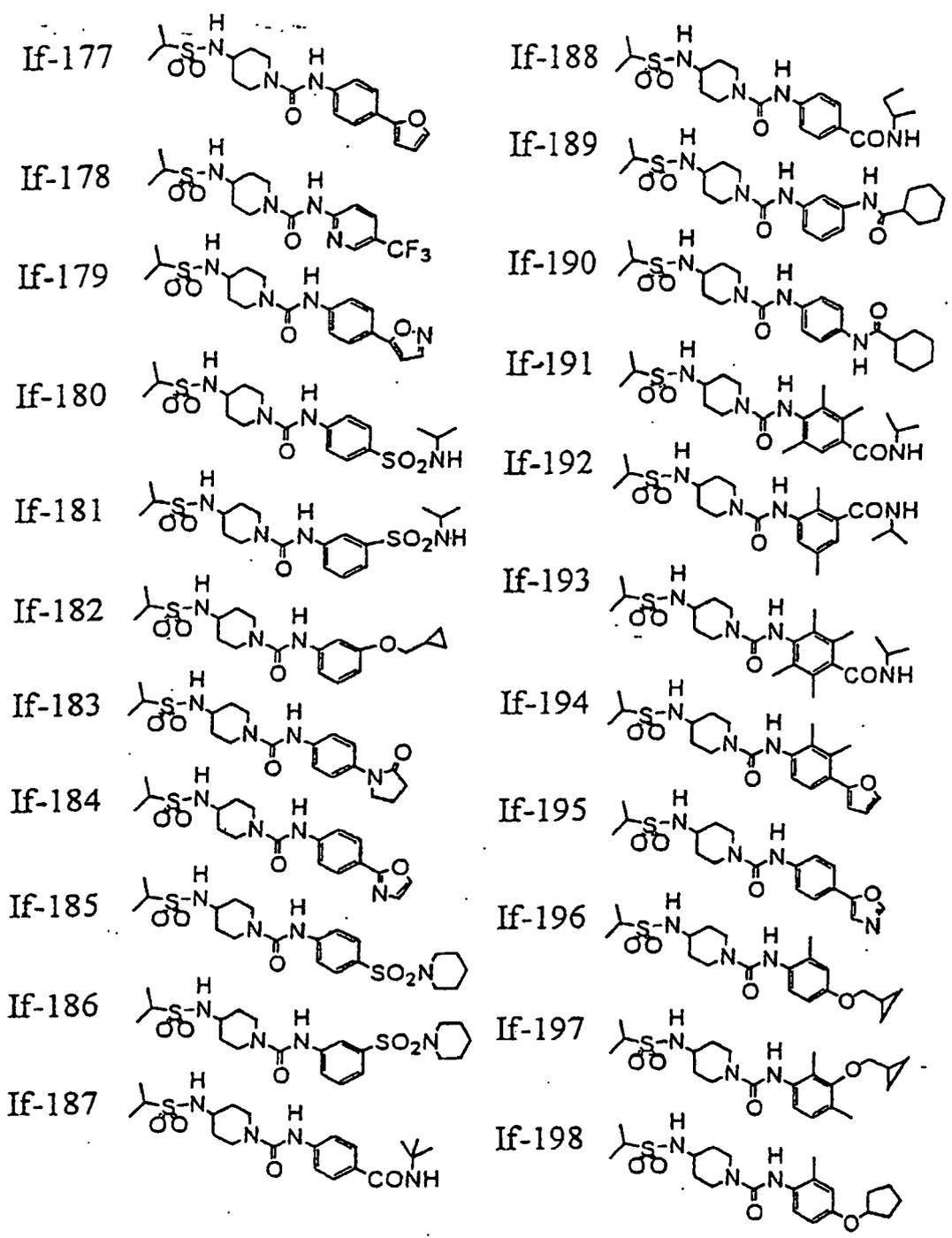


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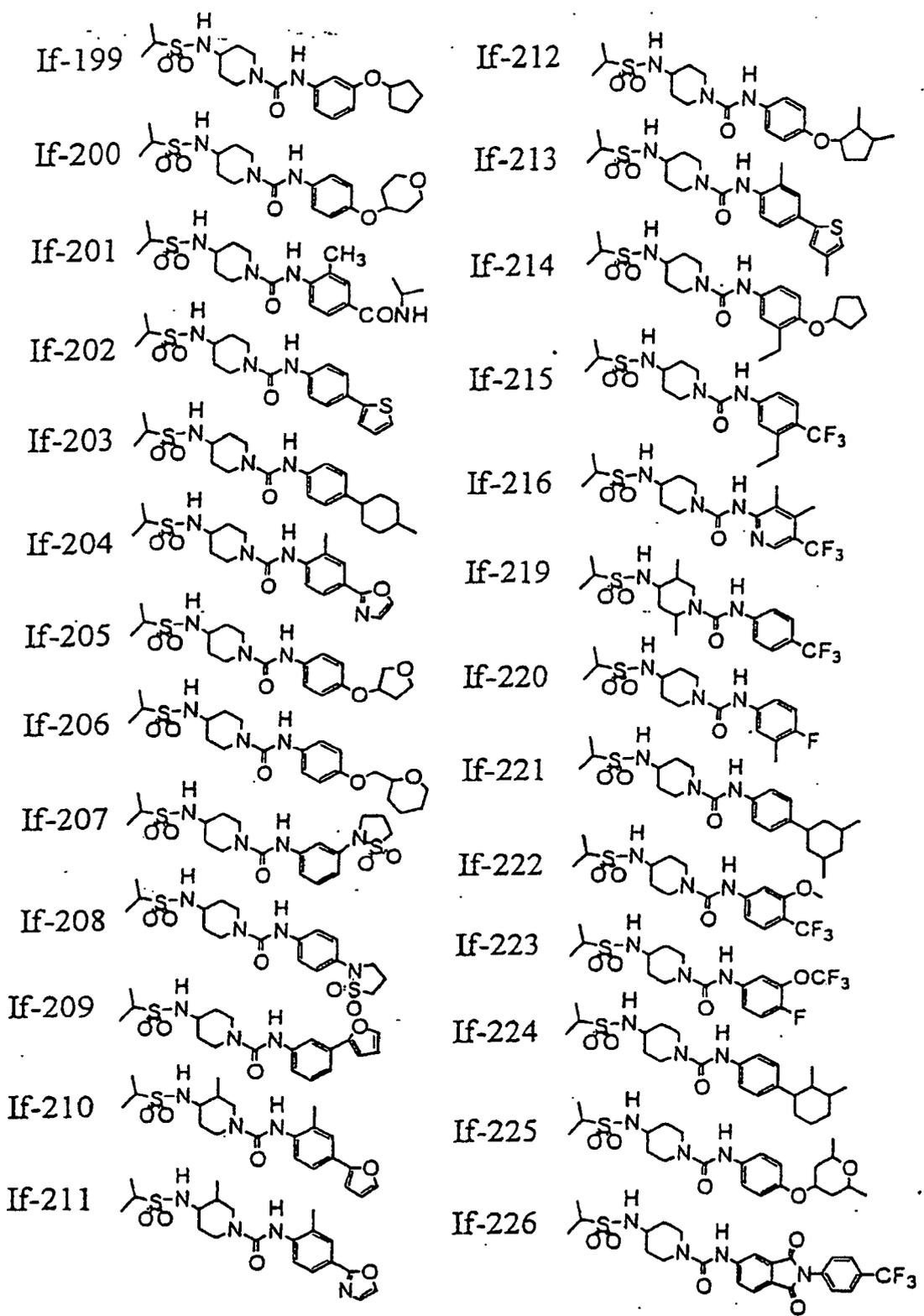


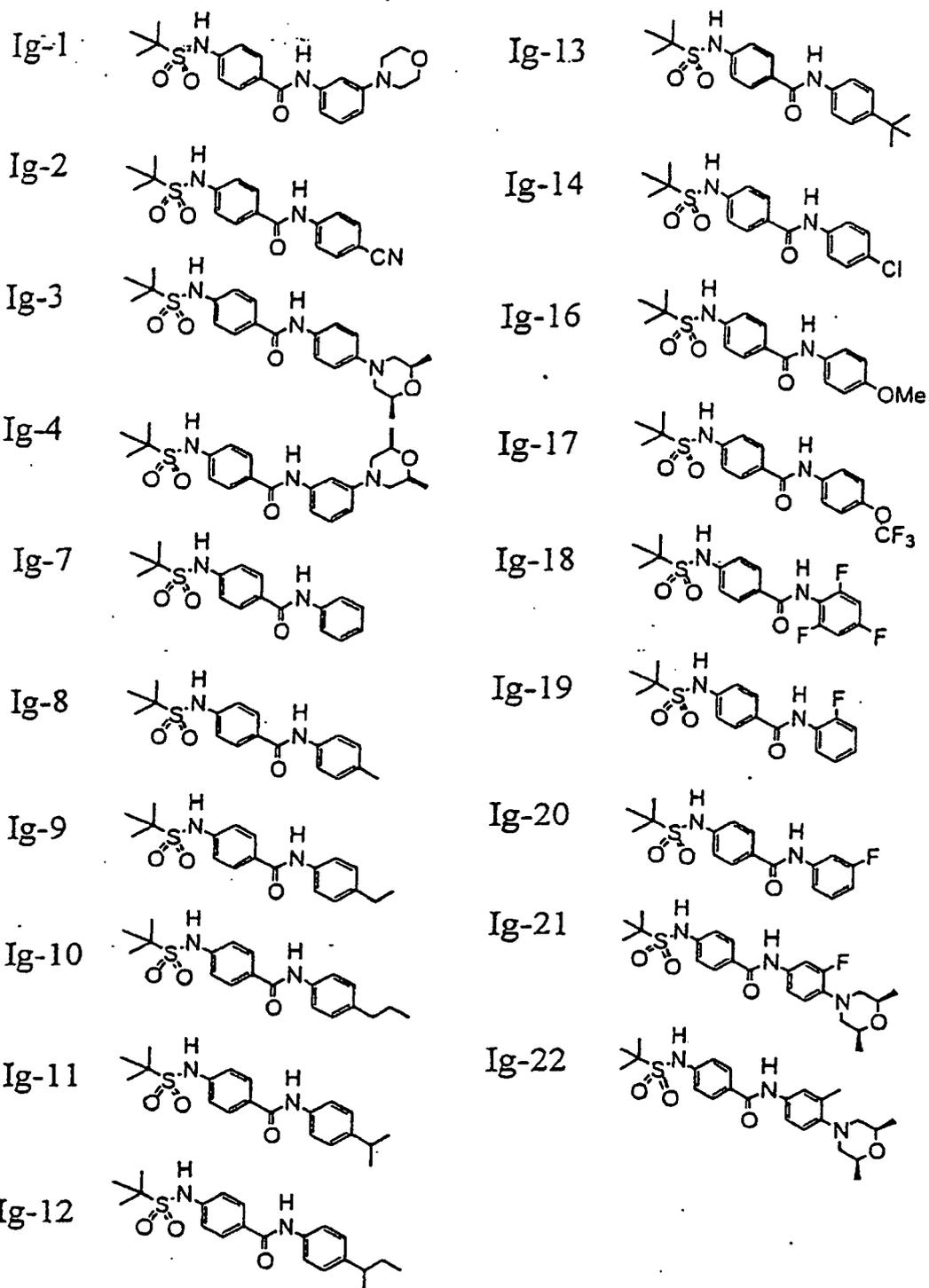
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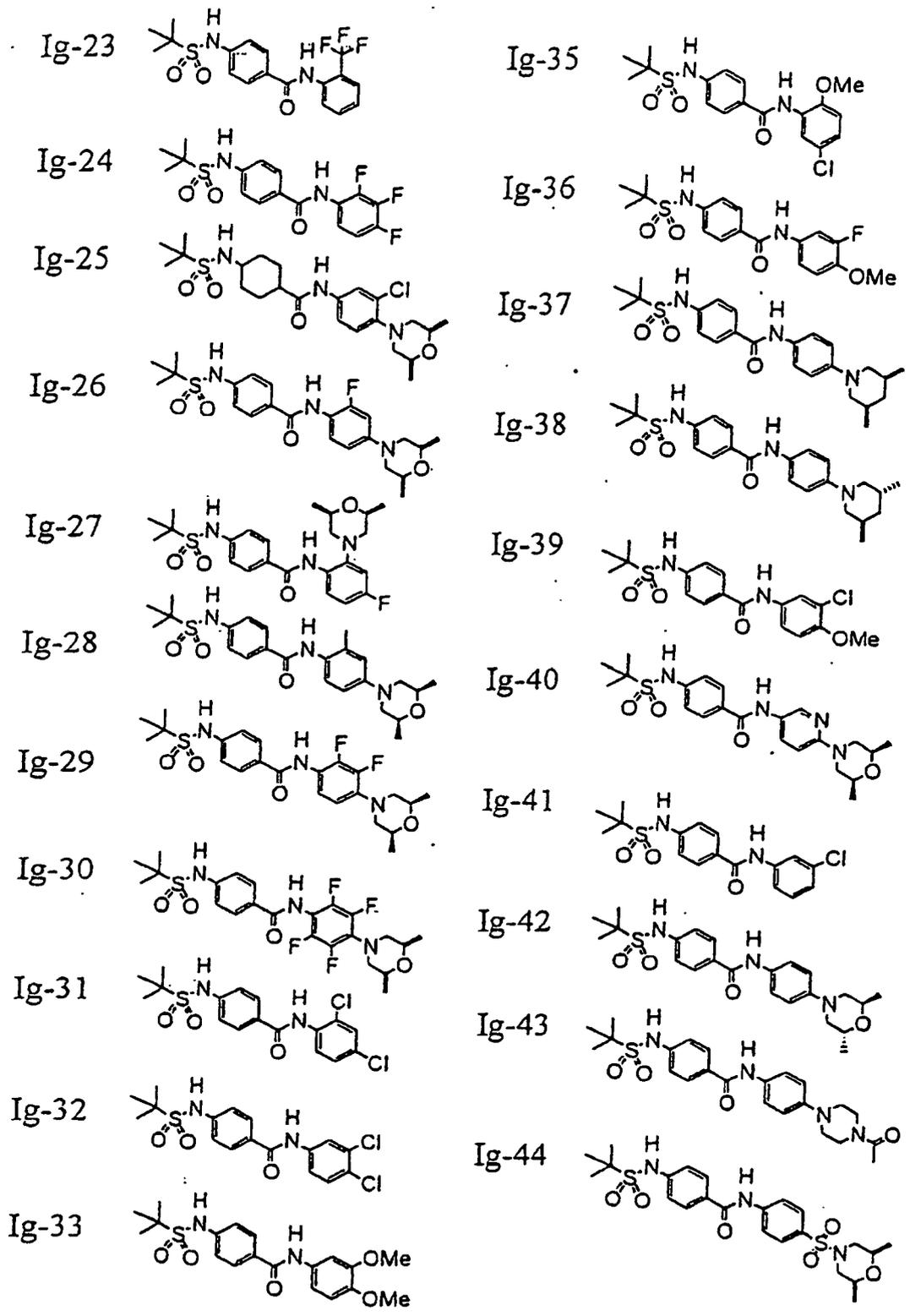


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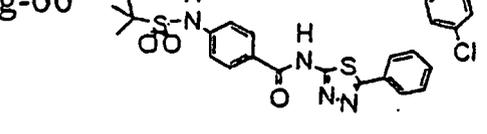
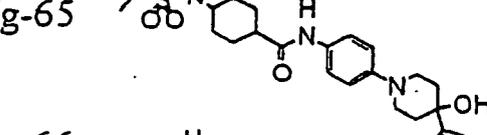
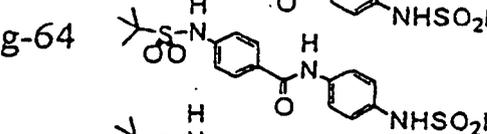
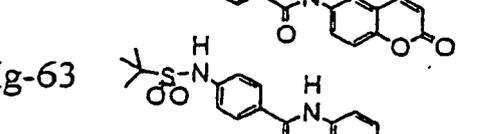
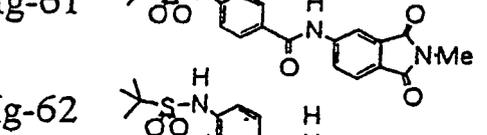
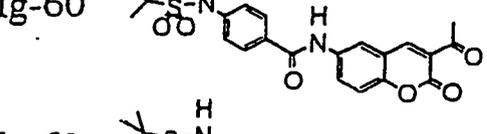
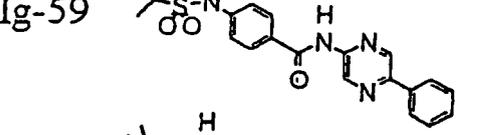
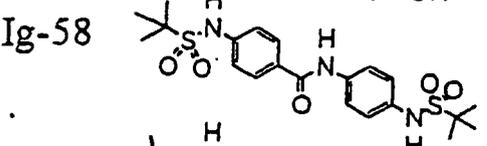
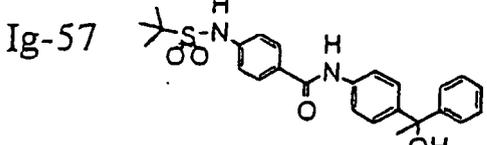
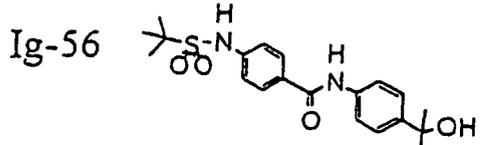
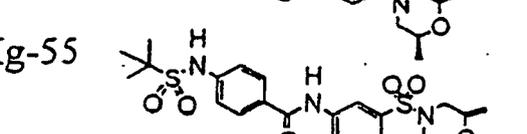
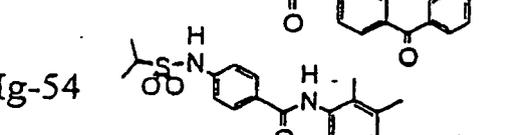
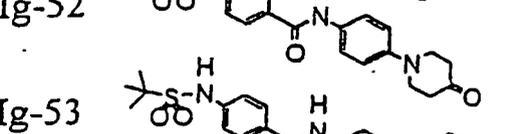
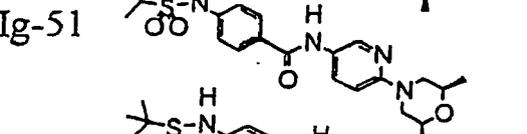
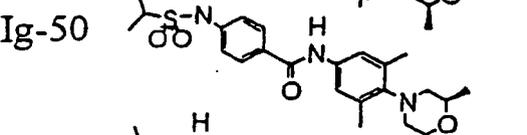
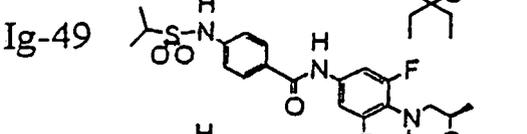
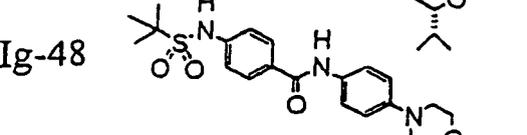
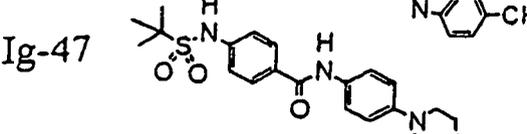
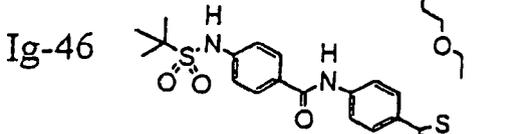
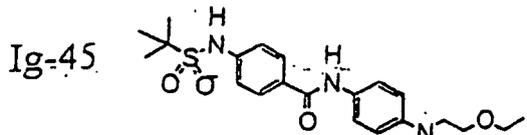




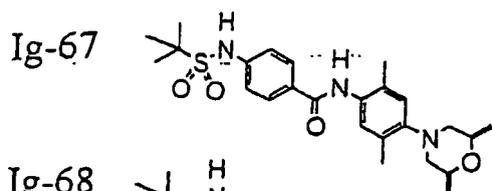
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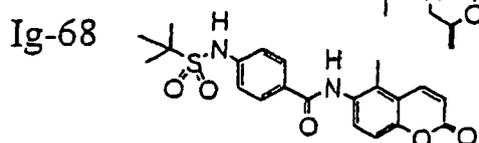
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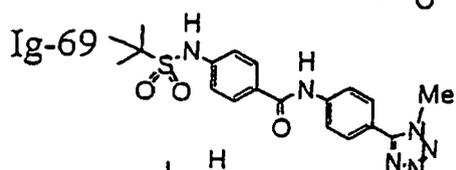
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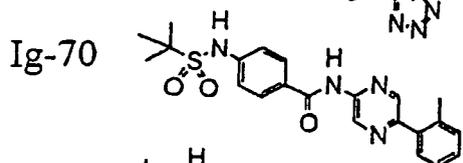
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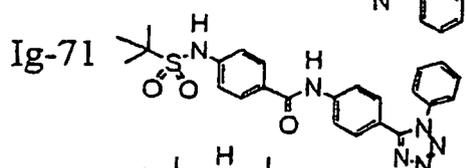
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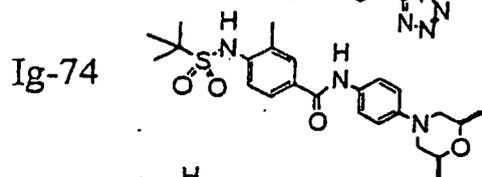
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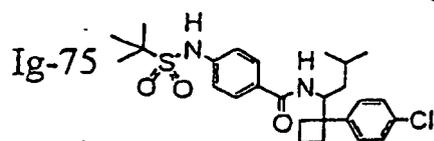
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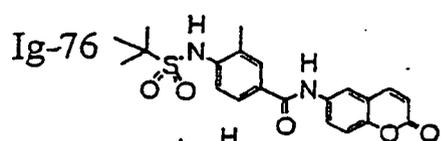
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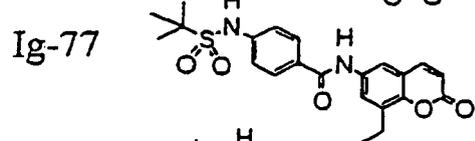
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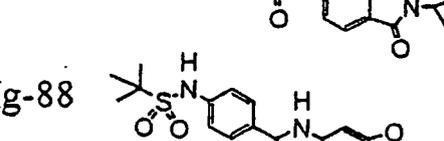
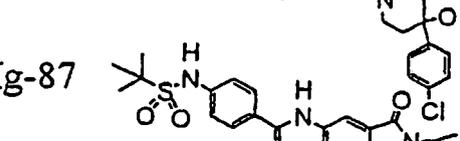
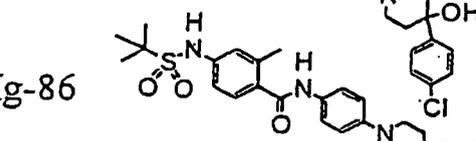
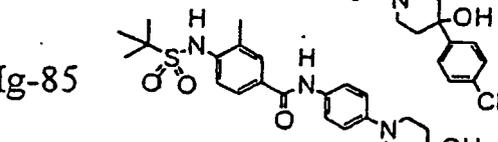
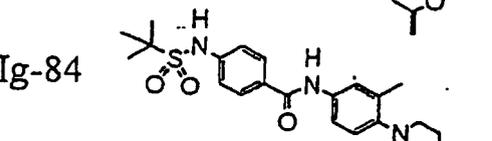
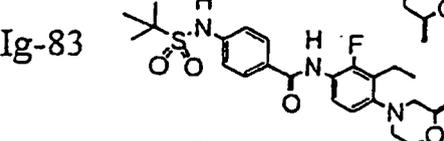
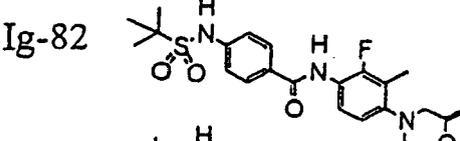
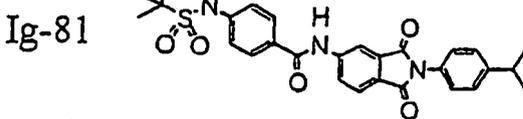
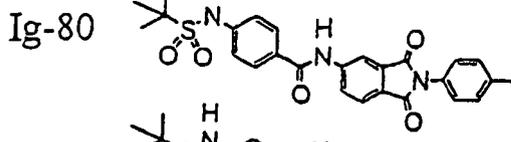
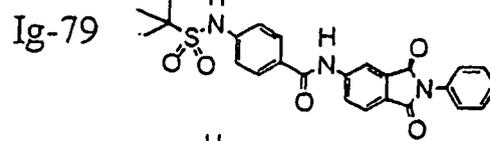
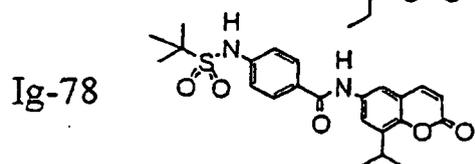
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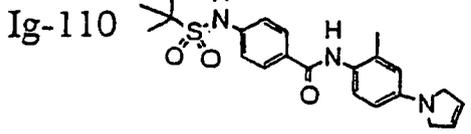
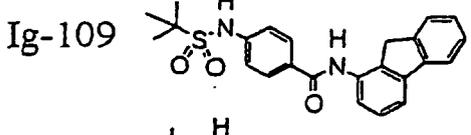
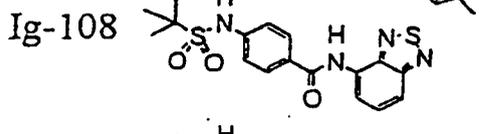
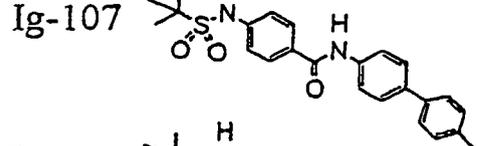
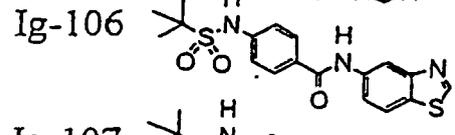
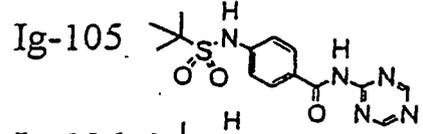
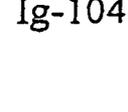
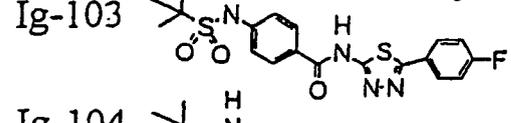
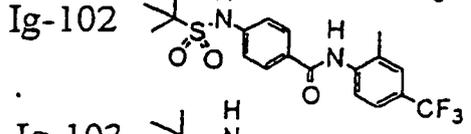
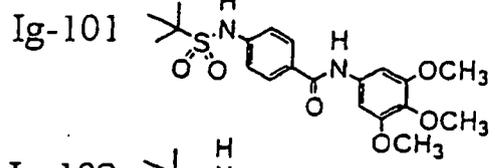
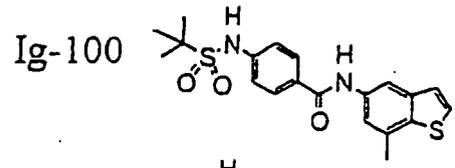
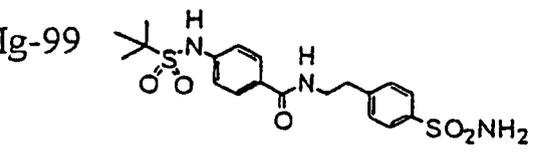
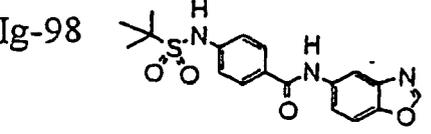
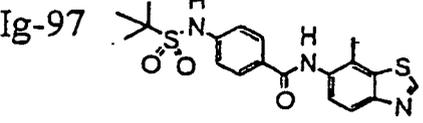
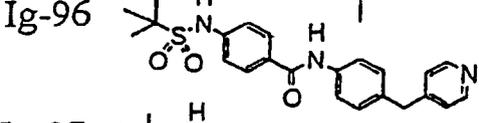
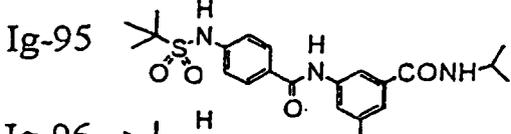
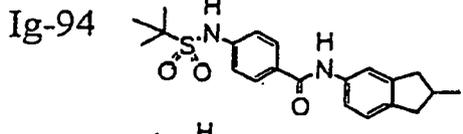
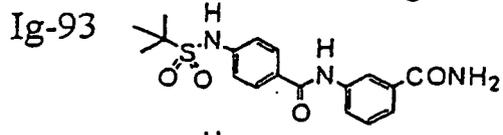
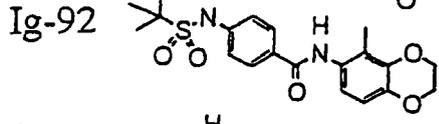
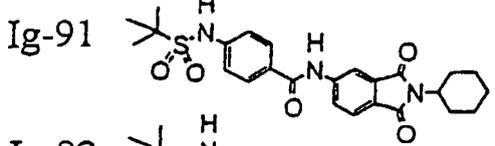
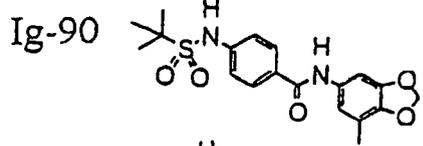
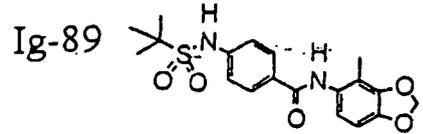


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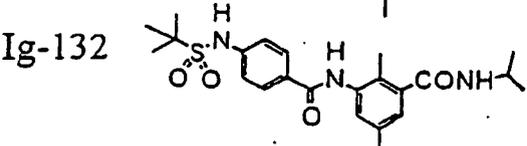
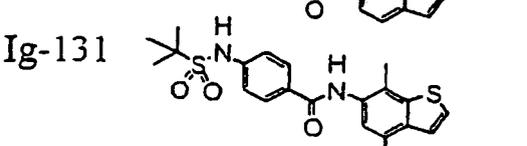
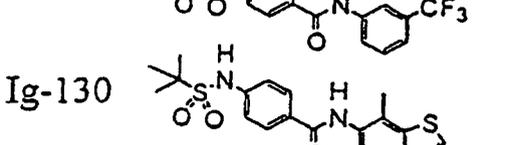
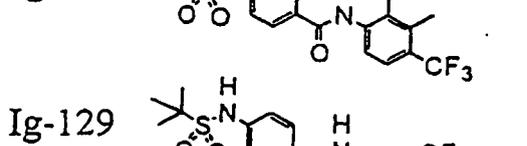
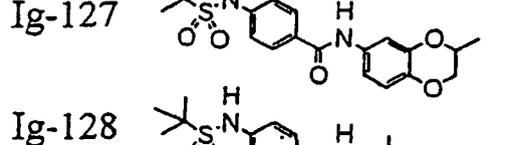
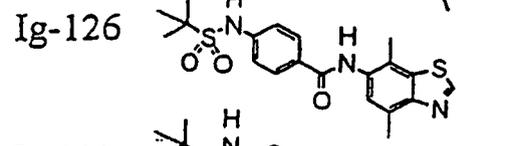
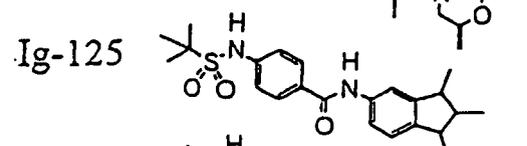
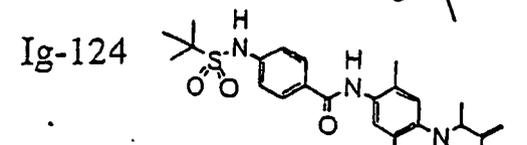
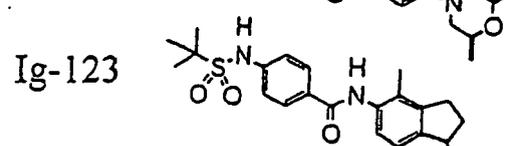
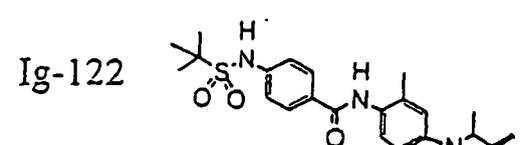
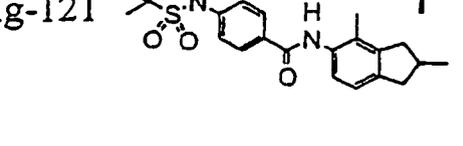
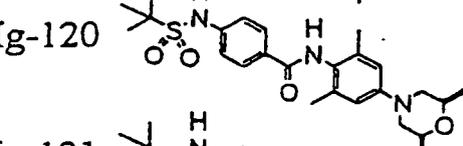
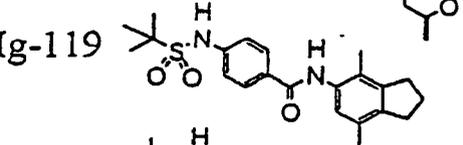
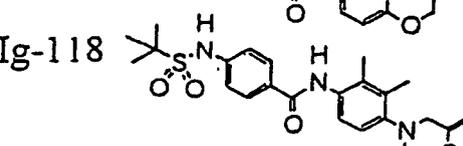
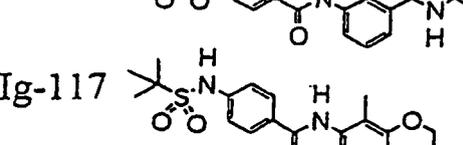
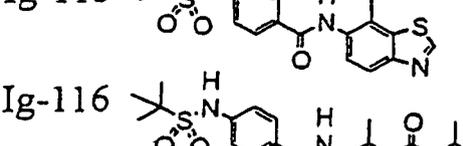
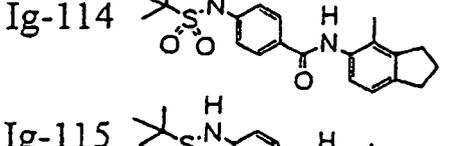
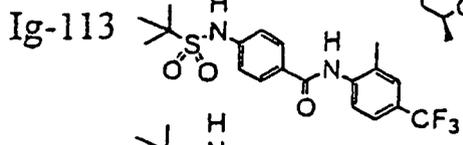
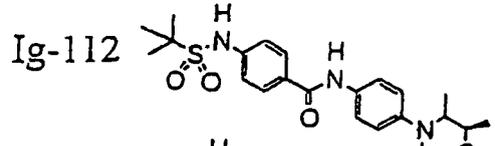
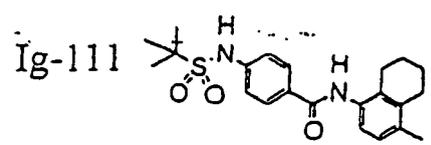


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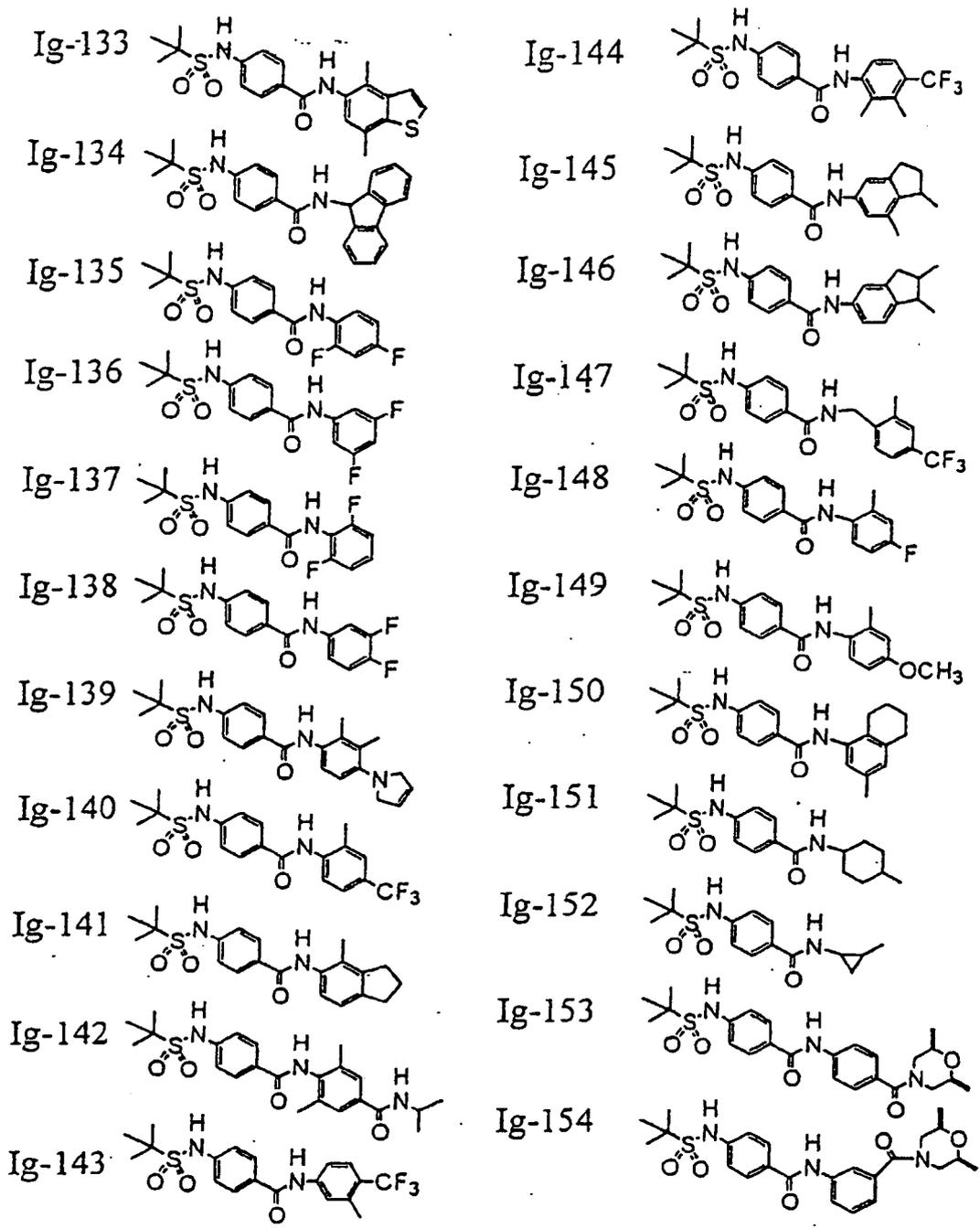


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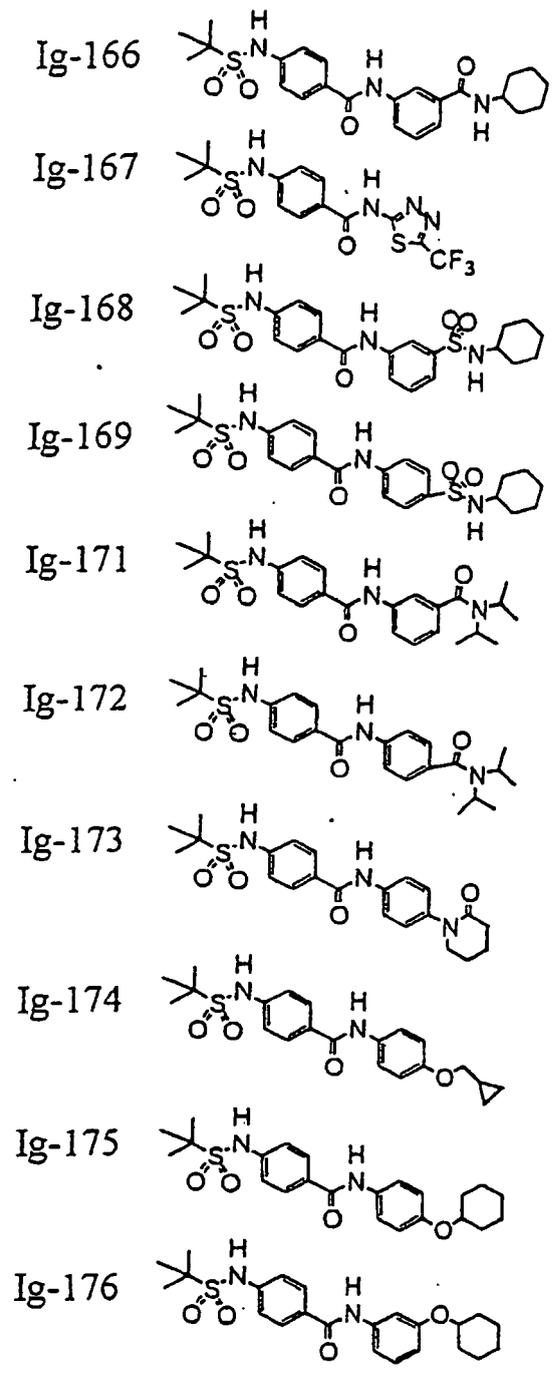
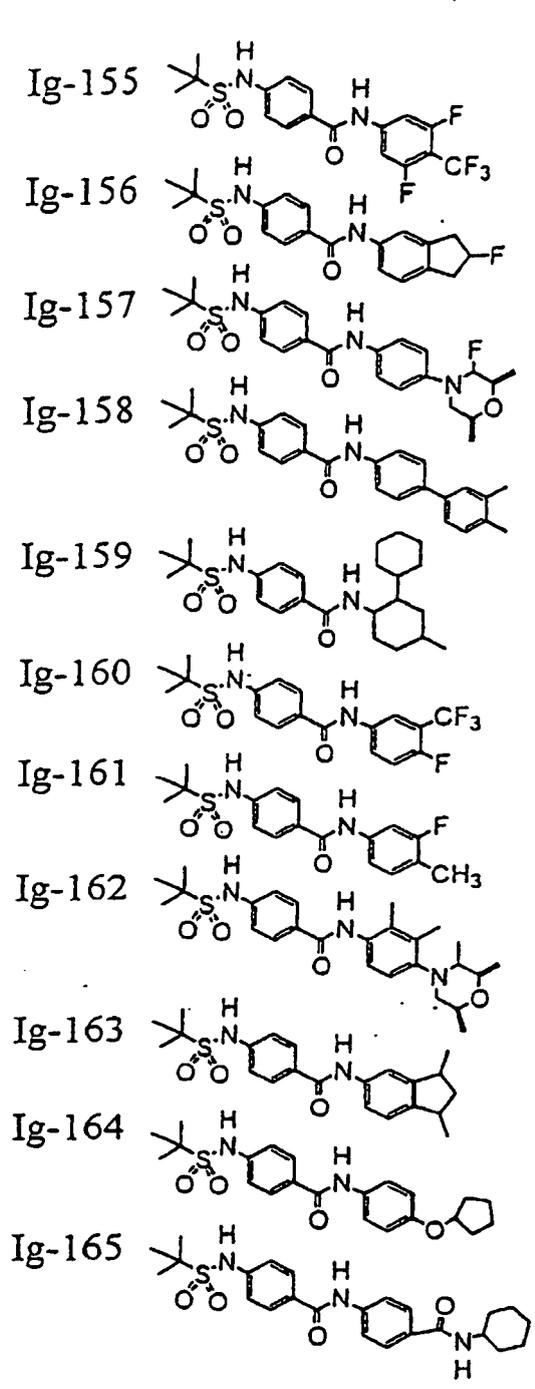


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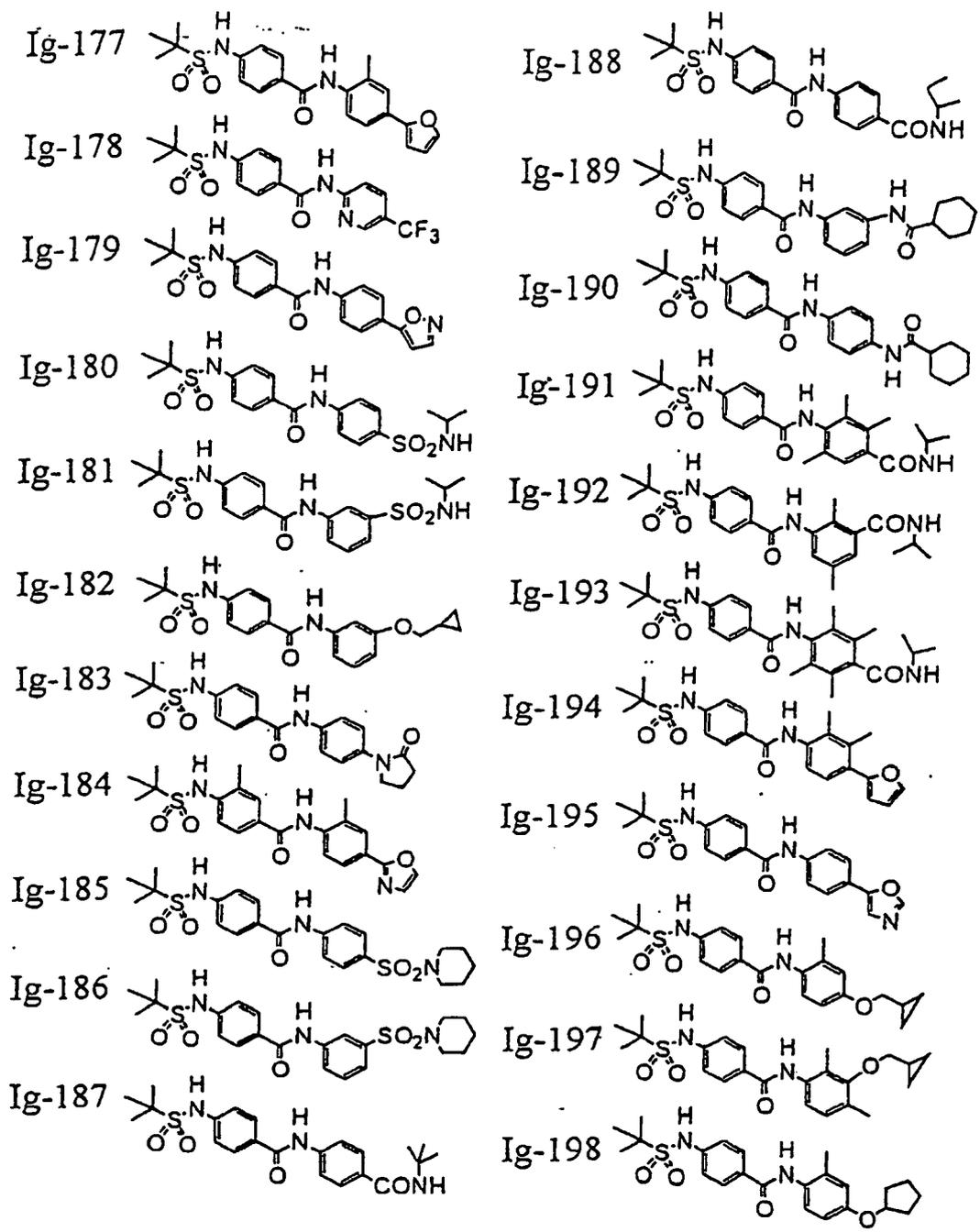


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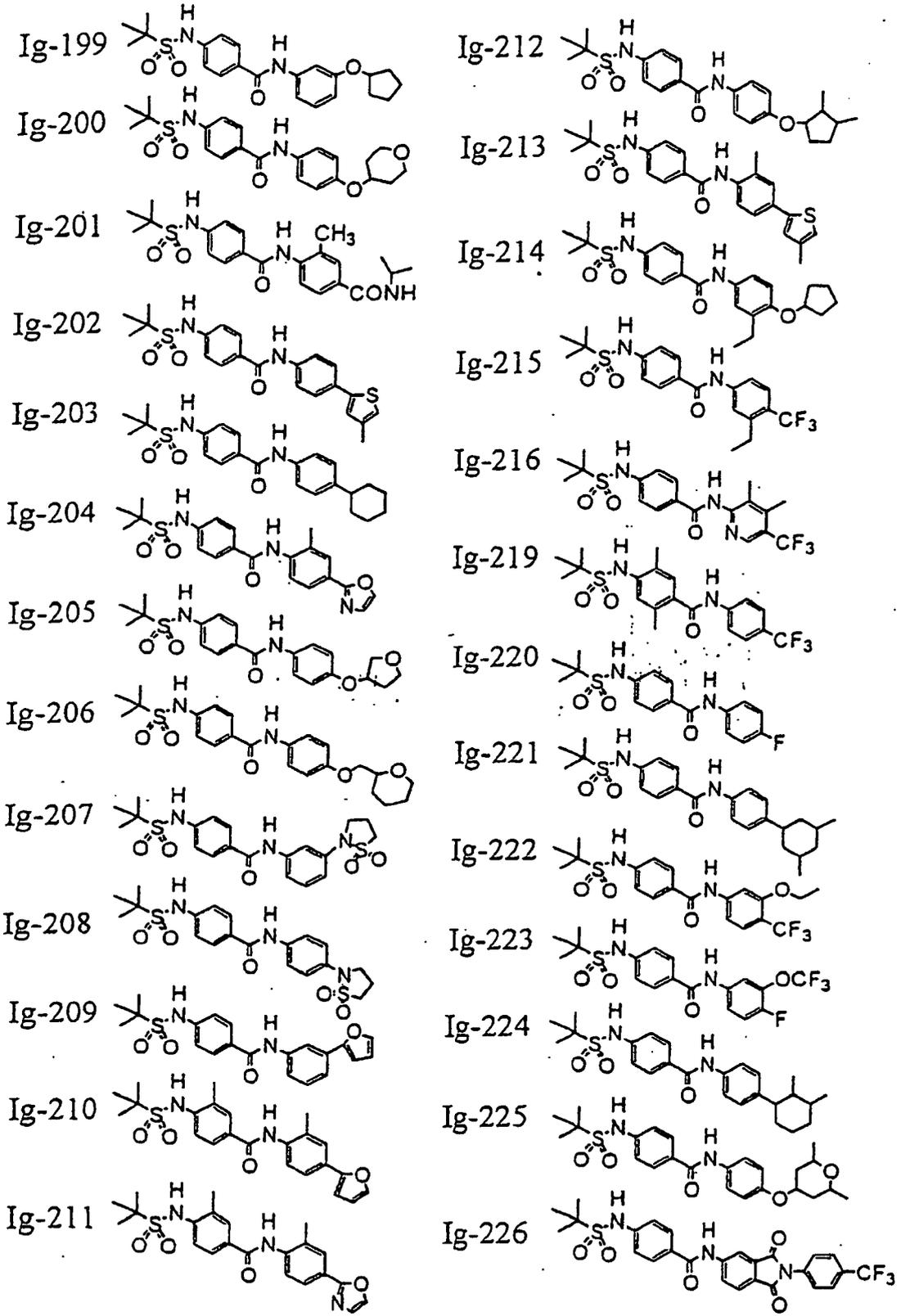


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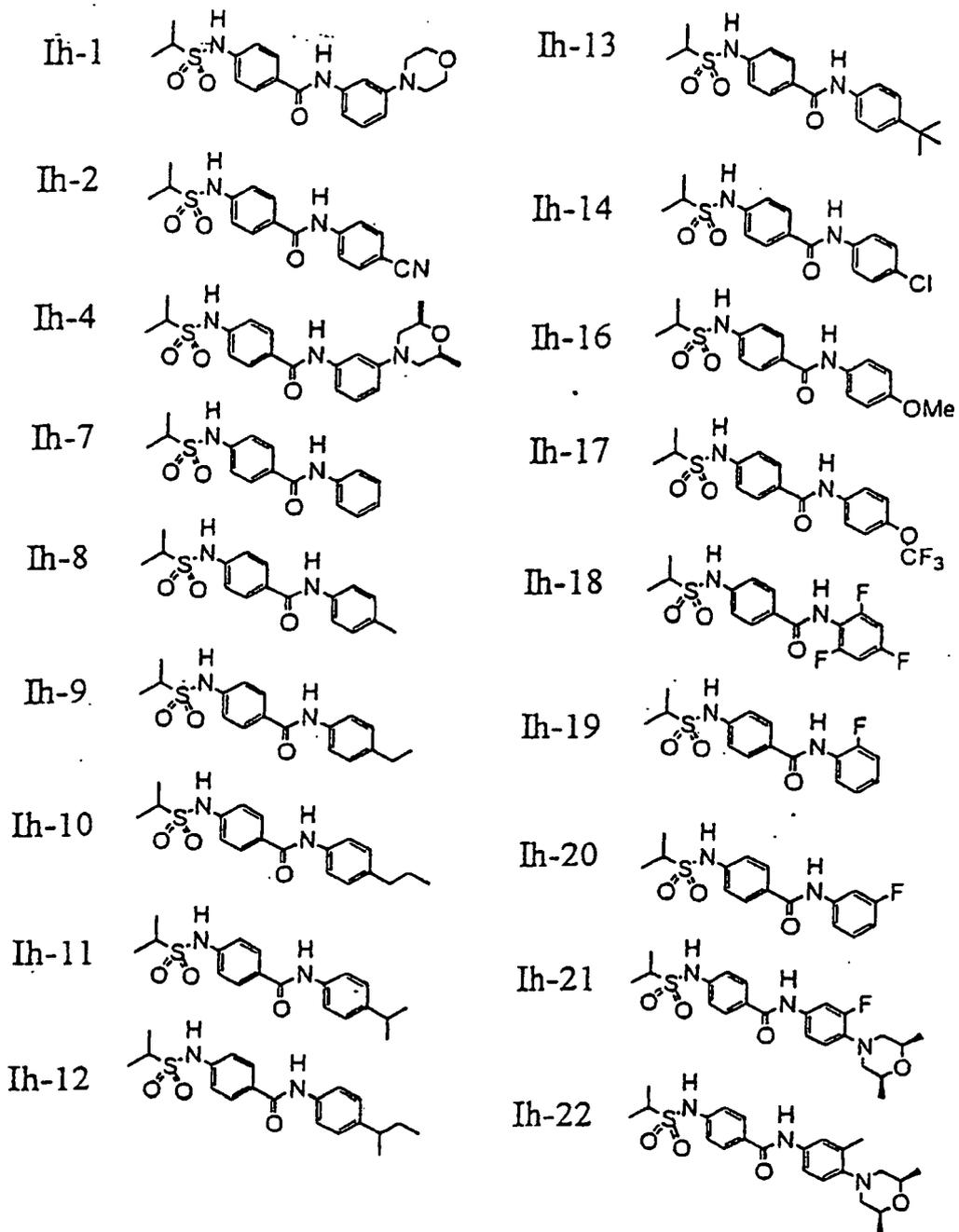
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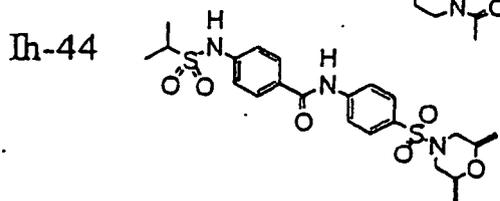
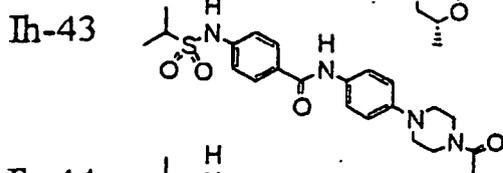
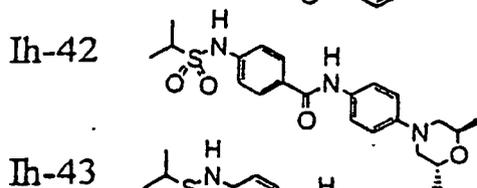
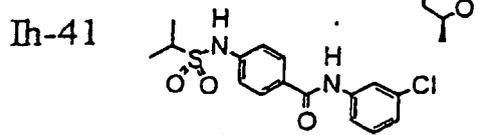
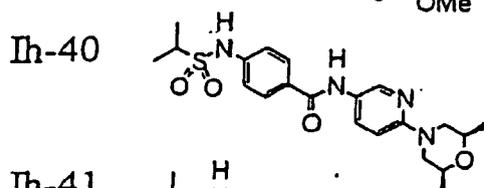
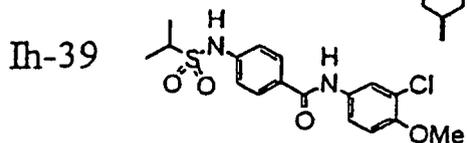
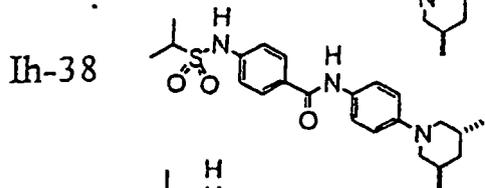
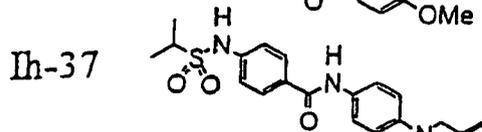
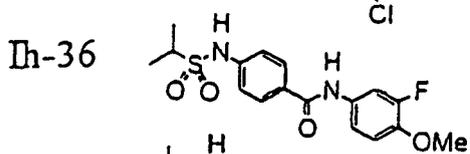
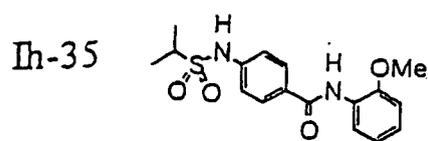
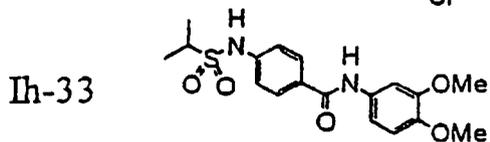
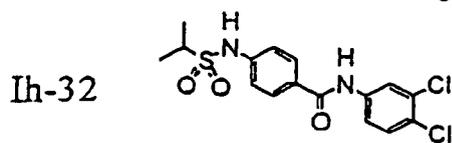
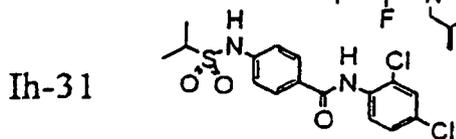
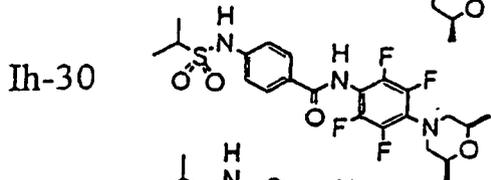
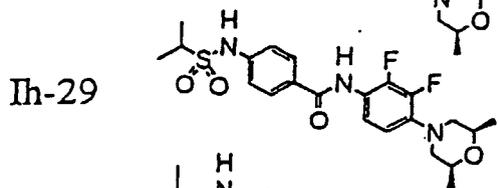
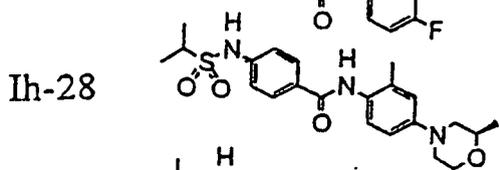
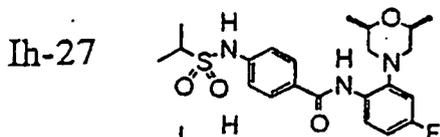
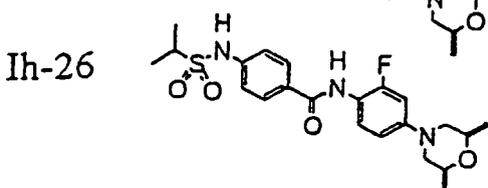
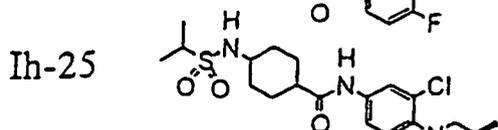
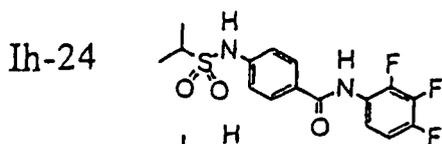
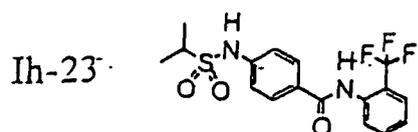


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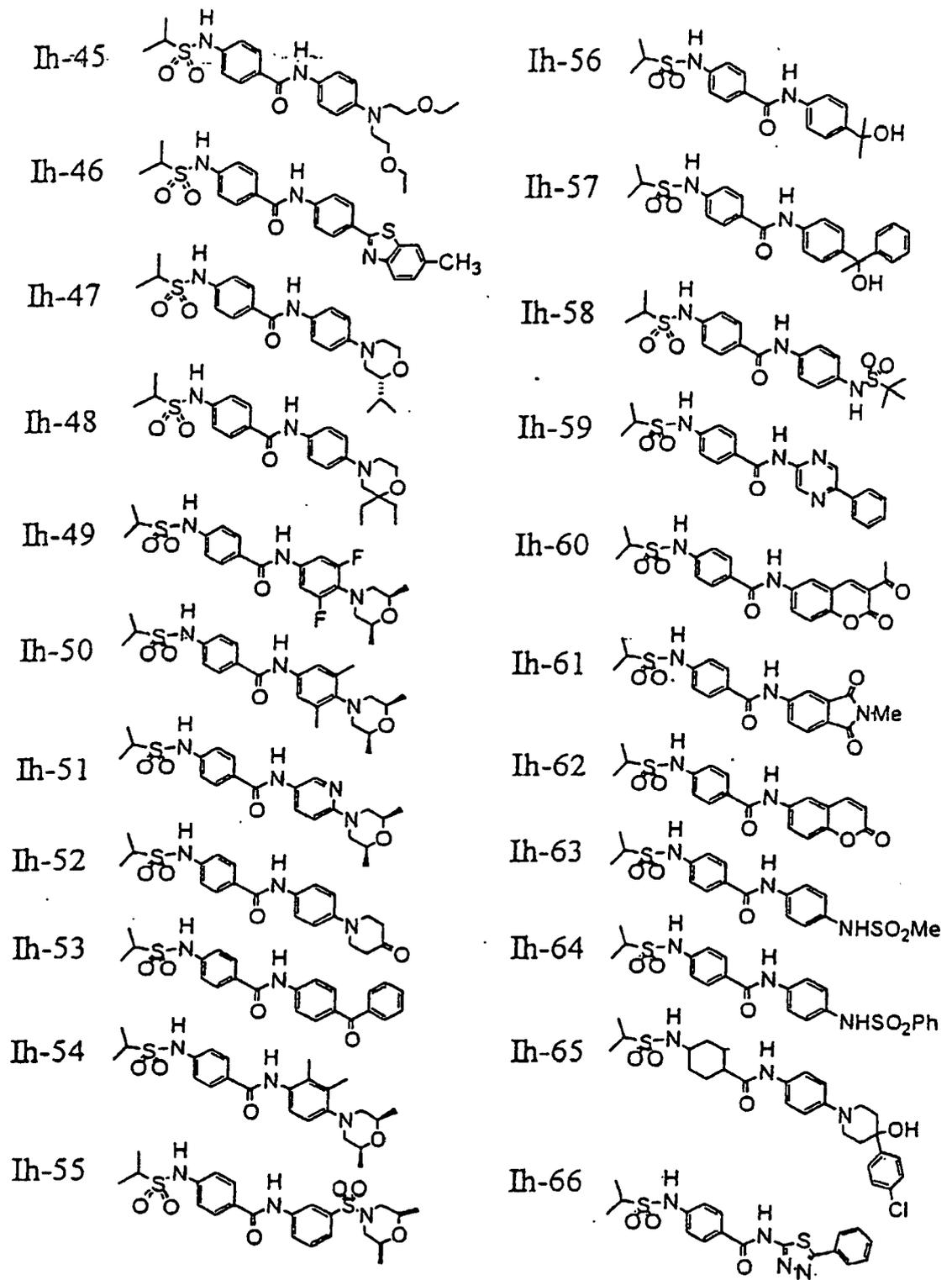


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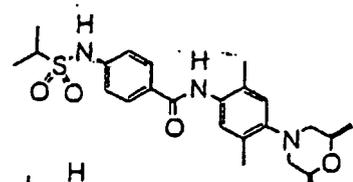


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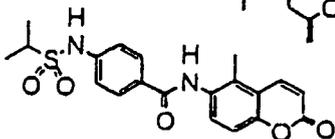


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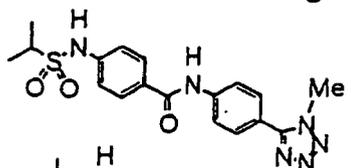
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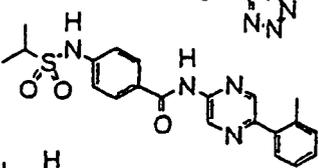
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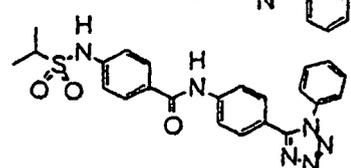
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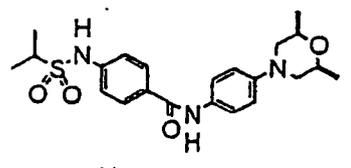
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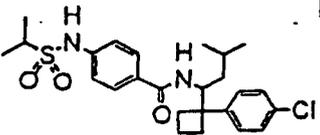
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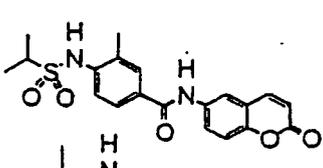
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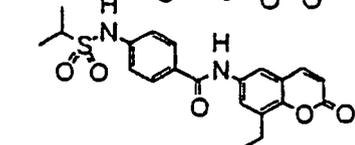
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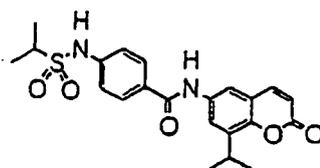
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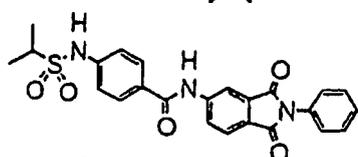
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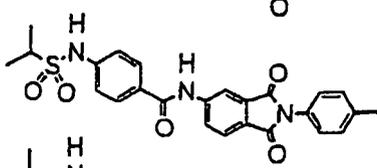
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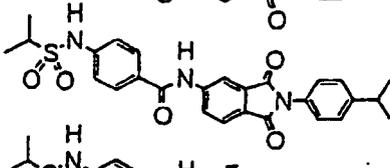
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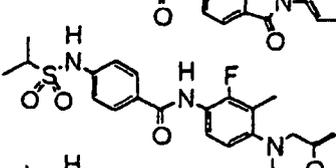
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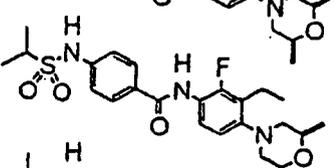
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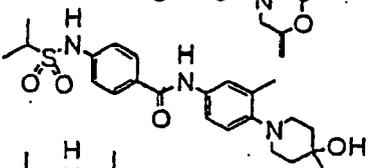
Ih-82



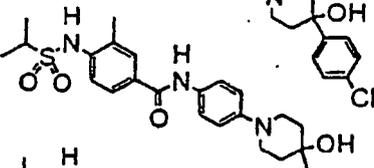
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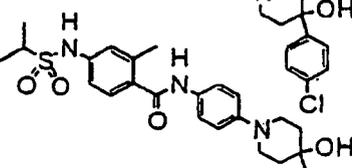
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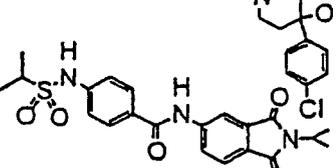
Ih-85



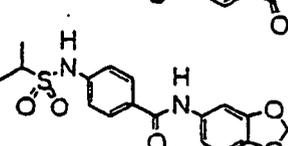
Ih-86



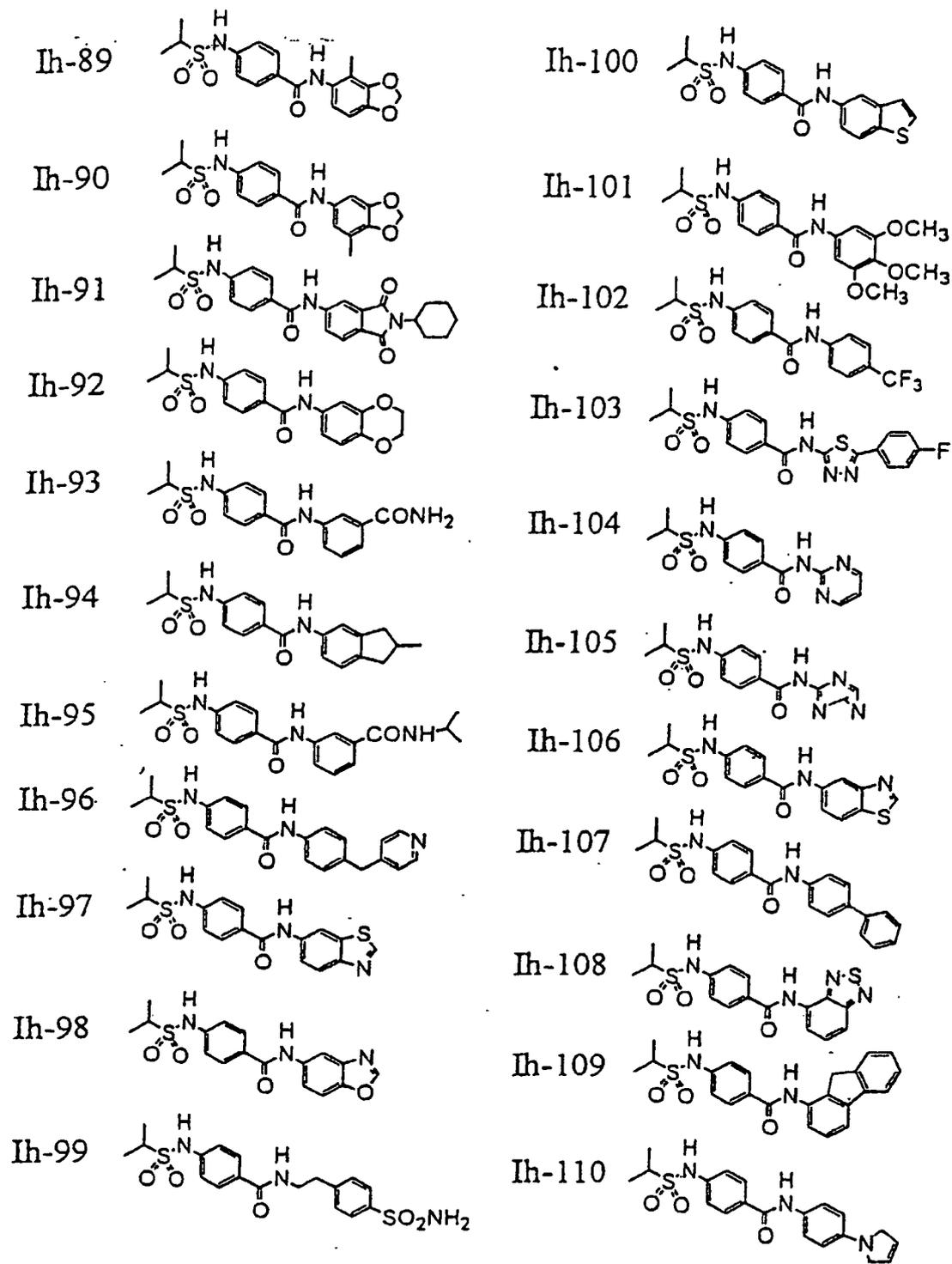
Ih-87



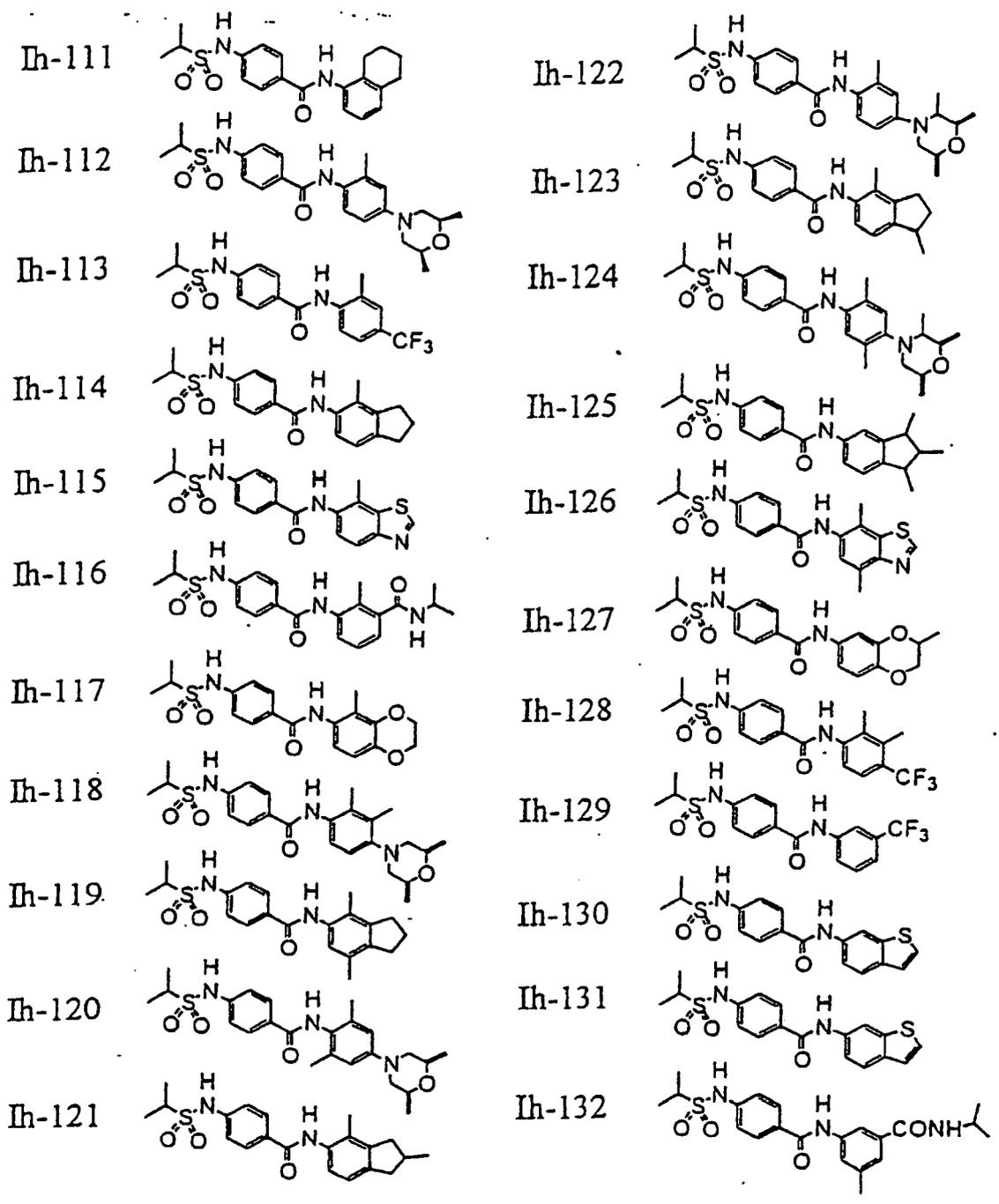
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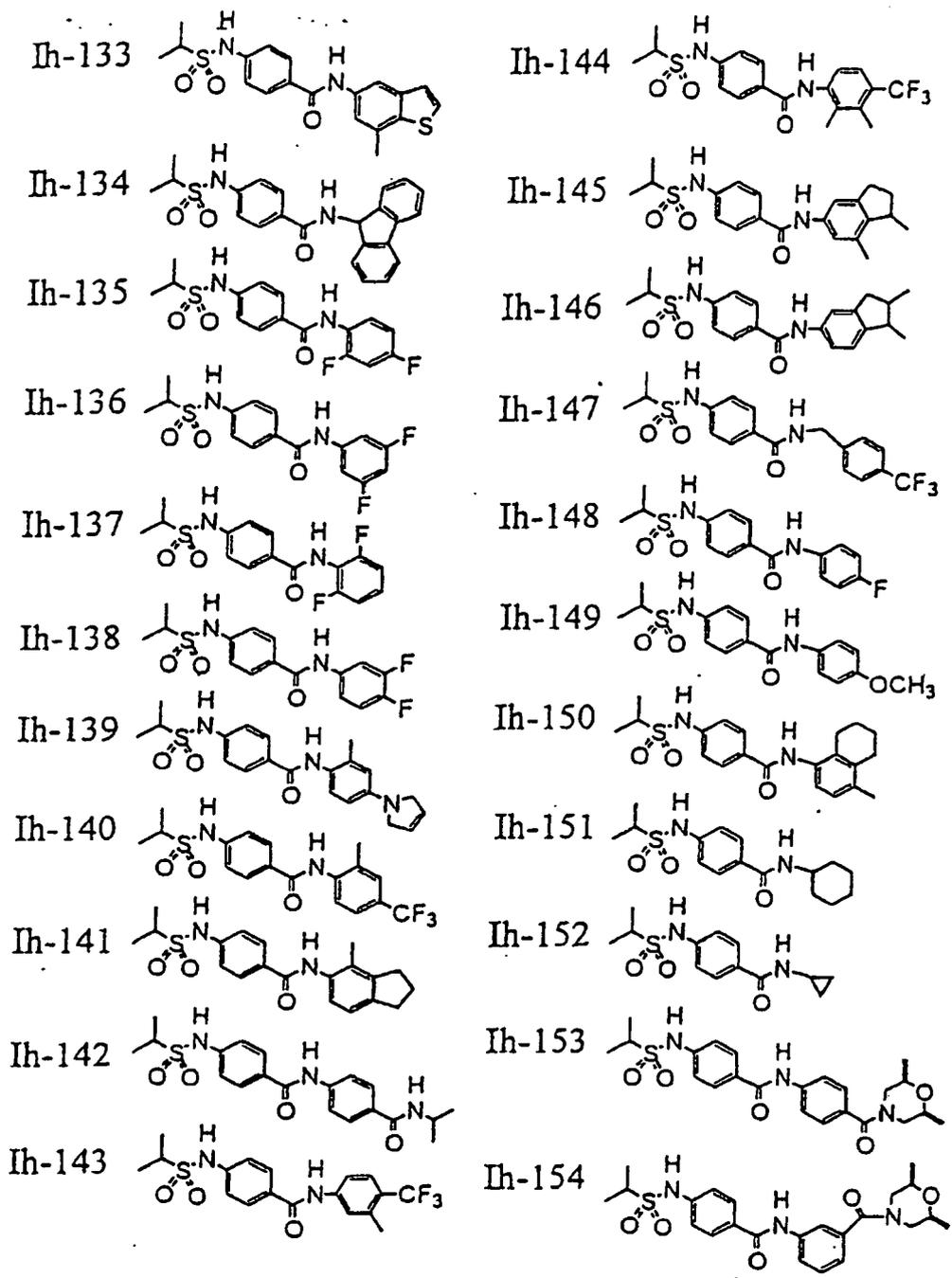
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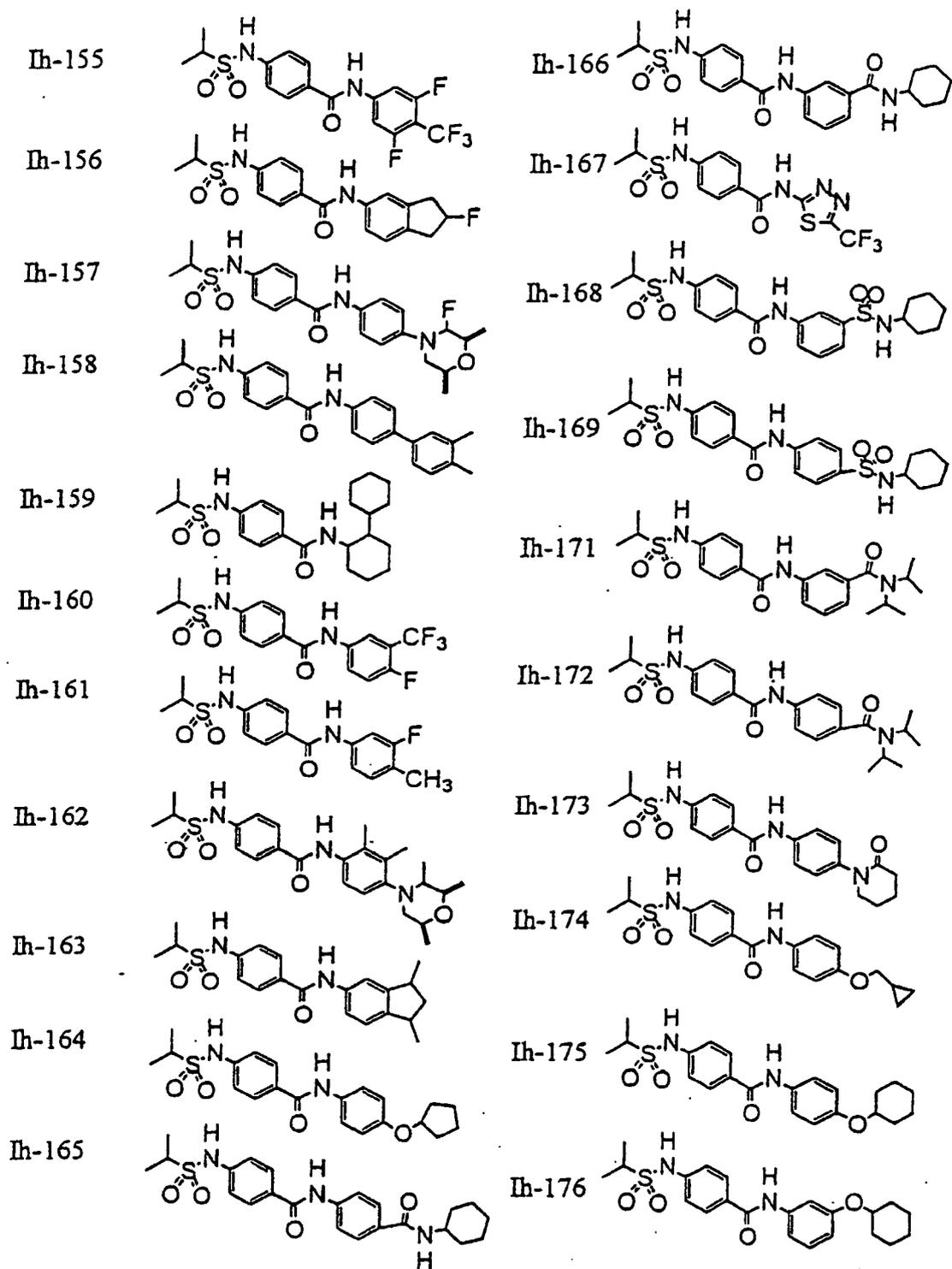


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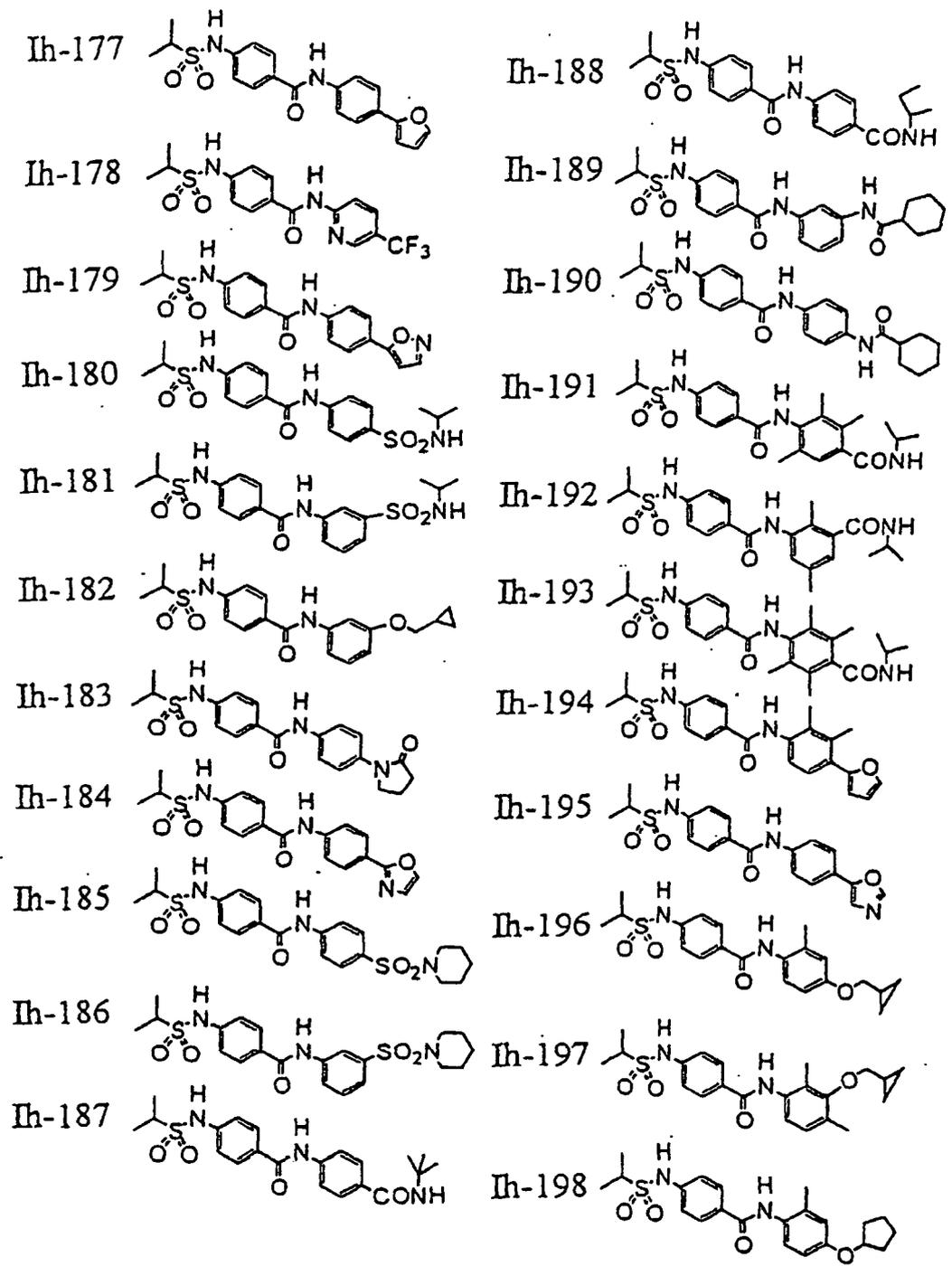


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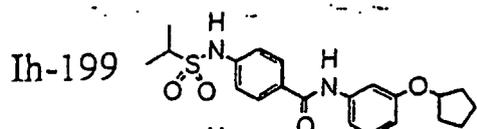




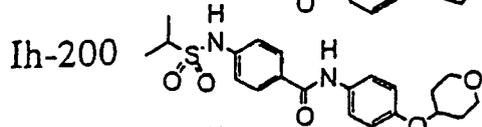
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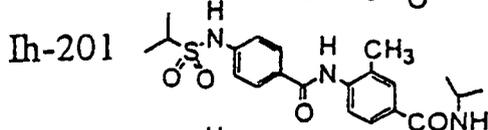
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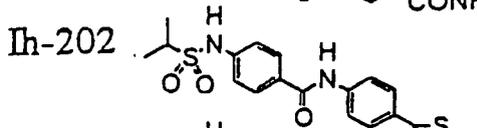
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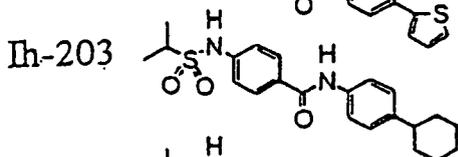
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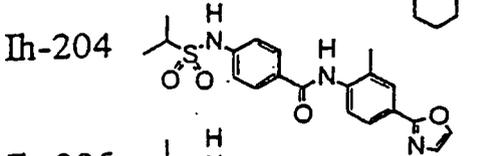
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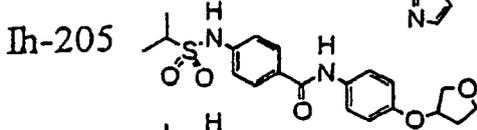
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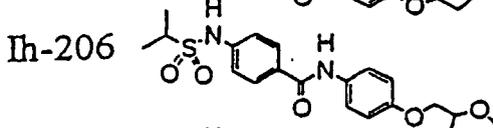
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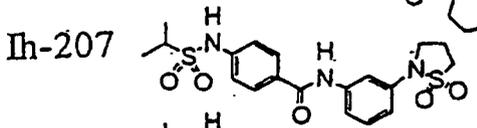
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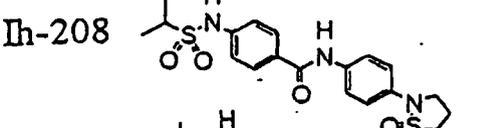
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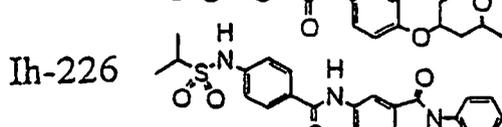
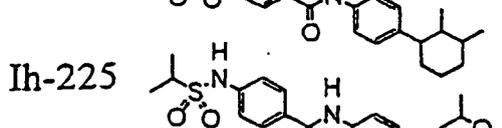
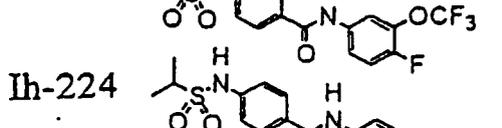
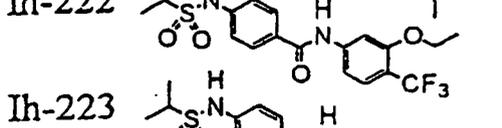
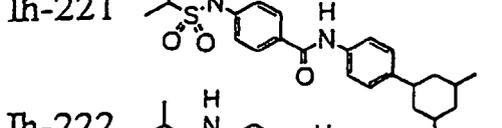
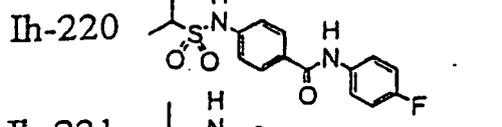
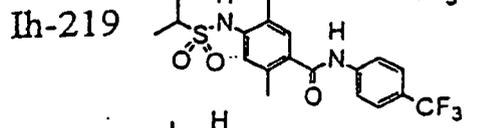
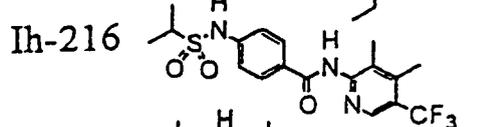
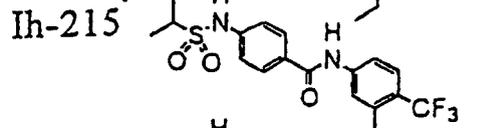
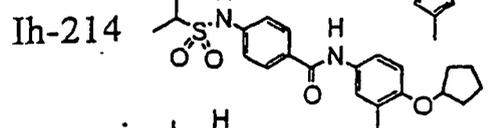
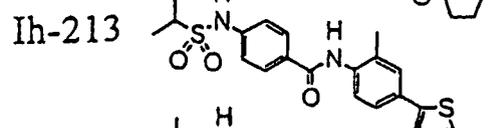
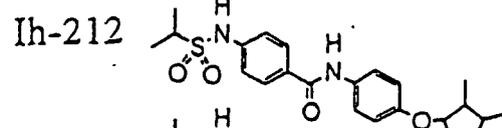
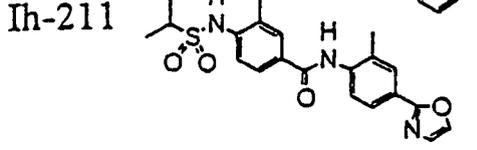
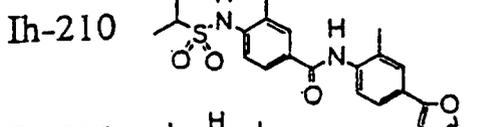
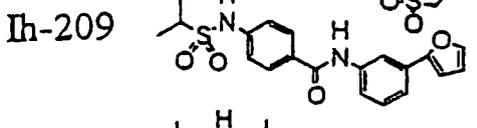
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I-2

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.94 (t, 3H, J = 7.5 Hz), 1.34-1.44 (m, 2H), 1.40 (d, 6H, J = 6.6 Hz), 1.59-1.68 (m, 2H),

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2.69 (t, 2H, J = 7.8 Hz), 3.24-3.35 (m, 1H), 6.49 (s, 1H), 7.23-7.32 (m, 4H), 7.6 (d, 2H, J = 8.7 Hz), 7.79 (d, 2H, J = 8.1 Hz), 7.85 (s, 1H).

I-3

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.92 (t, 3H, J = 7.2 Hz), 1.30-1.39 (m, 2H), 1.37 (d, 6H, J = 6.9 Hz), 1.57 (quint, 2H, J = 7.5 Hz), 1.96 (quint, 2H, J = 6.6 Hz), 2.49 (t, 2H, J = 6.6 Hz), 2.57 (t, 2H, J = 7.8 Hz), 3.16-3.26 (m, 3H), 4.62 (brs, 1H), 7.12 (d, 2H, J = 8.1 Hz), 7.43 (d, 2H, J = 8.4 Hz), 7.64 (s, 1H).

I-4

<sup>1</sup>H-NMR (CD<sub>3</sub>OD) δ ppm: 0.92 (t, 3H, J = 6.9 Hz), 1.28-1.41 (m, 2H), 1.46 (d, 6H, J = 6.3 Hz), 1.53-1.63 (m, 2H), 2.58 (t, 2H, J = 7.8 Hz), 3.33-3.43 (m, 1H), 6.27-6.29 (m, 1H), 7.14-7.16 (m, 3H), 7.50 (d, 2H, J = 8.4 Hz), 7.90 (s, 1H).

I-5

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.92 (t, 3H, J = 7.2 Hz), 1.28-1.41 (m, 2H), 1.46 (d, 6H, J = 6.9 Hz), 1.53-1.63 (m, 2H), 2.59 (t, 2H, J = 7.8 Hz), 3.35-3.44 (m, 1H), 7.15 (d, 2H, J = 8.7 Hz), 7.38 (s, 1H), 7.45 (d, 2H, J = 8.7 Hz), 7.57 (s, 1H).

I-6

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.91 (t, 3H, J = 7.5 Hz), 1.29-1.39 (m, 2H), 1.37 (d, 6H, J = 6.9 Hz), 1.55 (quint, 2H, J = 7.5 Hz), 2.55 (t, 2H, J = 5.1 Hz), 3.18-3.27 (m, 1H), 3.92 (d, 2H, J = 6.0 Hz), 5.51 (t, 1H, J = 5.7 Hz), 7.10 (d, 2H, J = 8.4 Hz), 7.39 (d, 2H, J = 8.4 Hz), 8.23 (s, 1H).

I-7

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.91 (t, 3H, J = 7.2 Hz), 1.28-1.38 (m, 2H), 1.37 (d, 6H, J = 6.9 Hz), 1.51-1.67 (m, 4H), 1.78-1.88 (m, 2H), 2.39 (t, 2H, J = 7.2 Hz), 2.57 (t, 2H, J = 7.5 Hz), 3.12-3.22 (m, 3H), 4.30-4.37 (m, 1H), 7.12 (d, 2H, J = 8.4 Hz), 7.36-7.42 (m, 3H).

I-8

<sup>1</sup>R-NMR (CDCl<sub>3</sub>) δ ppm: 0.91 (t, 3H, J = 7.5 Hz), 1.21-1.47 (m, 4H), 1.35 (d, 6H, J = 6.6 Hz), 1.51-1.63 (m, 4H), 1.67-1.77 (m, 2H), 2.34 (t, 2H, J = 7.5 Hz), 2.55 (t, 2H, J = 7.8 Hz), 3.08-3.17 (m, 3H), 4.71 (t, 1H, J = 6.0 Hz), 7.09 (d, 2H, J = 8.1 Hz), 7.43 (d, 2H, J = 8.4 Hz), 7.74 (s, 1H).

I-9

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.91 (t, 3H, J = 7.2 Hz), 1.29-1.39 (m, 2H), 1.35 (d, 6H, J = 6.9 Hz), 1.50-1.60 (m, 2H), 2.54 (t, 2H, J = 7.8 Hz), 2.64 (t, 2H, J = 5.7 Hz), 3.14-3.23 (m, 1H), 3.41-3.47 (m, 2H), 5.29 (t, 1H, J = 6.3 Hz), 7.10 (d, 2H, J = 8.4 Hz), 7.39 (d, 2H, J = 8.4 Hz), 7.91 (s, 1H).

I-10

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.91 (t, 3H, J = 7.5 Hz), 1.22 (s, 9H), 1.30-1.37 (m, 2H), 1.51-1.68 (m, 4H), 1.76-1.86 (m, 2H), 2.31-2.40 (m, 2H), 2.56 (t, 2H, J = 7.5 Hz), 3.15-3.26 (m, 3H), 7.11 (t, 2H, J = 8.7 Hz), 7.42 (d, 2H, J = 8.1 Hz), 7.54 (s, 1H).

I-11

mp : 128-129 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.91 (t, 3H, J = 7.5 Hz), 1.29-1.39 (m, 2H), 1.39 (s, 9H), 1.51-1.68 (m, 4H), 1.76-1.84 (m, 2H), 2.37 (t, 2H, J = 7.5 Hz), 2.56 (t, 2H, J = 7.8 Hz), 3.19-3.26 (m, 2H), 4.20 (t, 1H, J = 5.7 Hz), 7.11 (d, 2H, J = 8.1 Hz), 7.42 (d, 2H, J = 8.7 Hz), 7.46 (s, 1H).

I-12

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.91 (t, 3H, J = 7.5 Hz), 1.28-1.37 (m, 2H), 1.47-1.68 (m, 6H), 2.23 (t, 2H, J = 7.2 Hz), 2.56 (t, 2H, J = 7.5 Hz), 2.90-2.97 (m, 2H), 5.10 (brs, 1H), 7.11 (d, 2H, J = 8.4 Hz), 7.36 (d, 2H, J = 8.1 Hz), 7.50-7.68 (m, 3H), 7.93 (d, 1H, J = 8.1 Hz), 8.06 (d, 1H, J = 8.4 Hz), 8.24 (d, 1H, J = 7.5 Hz), 8.66 (d, 1H, J = 8.7 Hz).

I-13

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.91 (t, 3H, J = 7.5 Hz), 1.28-1.40 (m, 2H), 1.45-1.73 (m, 6H), 2.23 (t, 2H, J = 7.5 Hz), 2.56 (t, 2H, J = 7.8 Hz), 2.88 (s, 6H), 2.88-2.95 (m, 2H), 5.04 (brs, 1H), 7.10 (d, 2H, J = 8.1 Hz), 7.17 (d, 1H, J = 7.2 Hz), 7.37 (d, 2H, J = 8.4 Hz), 7.48-7.54 (m, 2H), 8.23 (d, 1H, J = 7.2 Hz), 8.30 (d, 1H, J = 8.7 Hz), 8.53 (d, 1H, J = 8.4 Hz).

I-14

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.91 (t, 3H, J = 7.2 Hz), 1.30-1.43 (m, 6H), 1.36 (d, 6H, J = 6.6 Hz), 1.51-1.62 (m, 4H), 1.67-1.78 (m, 2H), 2.34 (t, 2H, J = 7.5 Hz), 2.56 (t, 2H, J = 7.8 Hz), 3.09-3.20 (m, 3H), 4.34 (brs, 1H), 7.10 (d, 2H, J = 8.4 Hz), 7.41-7.44 (m, 3H).

I-15

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.91 (t, 3H, J = 7.5 Hz), 1.23 (s, 9H), 1.27-1.80 (m, 12H), 2.30-2.38 (m, 2H), 2.56 (t, 2H, J = 7.5 Hz), 3.15 (brs, 2H), 7.11 (d, 2H, J = 7.8 Hz), 7.43 (d, 2H, J = 7.8 Hz), 7.59 (s, 1H).

I-16

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.91 (t, 3H, J = 7.5 Hz), 1.29-1.44 (m, 6H), 1.39 (s, 9H), 1.51-1.61 (m, 4H), 1.68-1.78 (m, 2H), 2.35 (t, 2H, J = 7.5 Hz), 2.56 (t, 2H, J = 8.1 Hz), 3.15-3.21 (m, 2H), 4.14-4.23 (m, 1H), 7.11 (d, 2H, J = 7.8 Hz), 7.36-7.44 (m, 3H).

I-19

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.92 (t, 3H, J = 7.5 Hz), 1.21 (s, 9H), 1.30-1.40 (m, 2H), 1.55-1.72 (m, 6H), 2.64 (t, 2H, J

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= 7.8 Hz), 3.08-3.33 (m, 3H), 3.42-3.50 (m, 2H), 6.39 (s, 1H), 7.22 (d, 2H, J = 8.4 Hz), 7.69 (d, 2H, J = 8.1 Hz).

I-20

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.92 (t, 3H, J = 7.2 Hz), 1.31-1.39 (m, 2H), 1.39 (s, 9H), 1.55-1.72 (m, 6H), 2.64 (t, 2H, J = 7.8 Hz), 3.24 (quart, 2H, J = 6.6 Hz), 3.48 (quart, 2H, J = 6.6 Hz), 4.21 (t, 1H, J = 6.3 Hz), 6.29 (s, 1H), 7.22 (d, 2H, J = 7.8 Hz), 7.67 (d, 2H, J = 8.1 Hz).

I-21

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.91 (t, 3H, J = 7.2 Hz), 1.23 (s, 9H), 1.30-1.42 (m, 2H), 1.50-2.02 (m, 10H), 2.30-2.42 (m, 1H), 2.57 (t, 2H, J = 8.1 Hz), 3.10 (brs, 1H), 3.57 (brs, 1H), 7.12 (d, 2H, J = 8.4 Hz), 7.41 (d, 2H, J = 7.8 Hz).

I-22

mp : 78-79 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.91 (t, 3H, J = 7.2 Hz), 1.30-1.40 (m, 2H), 1.40 (s, 9H), 1.50-1.65 (m, 4H), 1.70-1.98 (m, 8H), 2.30-2.40 (m, 1H), 2.57 (t, 2H, J = 7.5 Hz), 3.58-3.70 (m, 1H), 4.16 (d, 1H, J = 9.3 Hz), 7.11-7.15 (m, 3H), 7.40 (d, 2H, J = 8.1 Hz).

I-23

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.91 (t, 3H, J = 7.2 Hz), 1.21 (s, 9H), 1.21-1.41 (m, 4H), 1.51-1.64 (m, 4H), 1.86-2.01 (m, 4H), 2.12-2.25 (m, 1H), 2.56 (t, 2H, J = 7.5 Hz), 2.87-2.96 (m, 1H), 3.00-3.12 (m, 1H), 3.23-3.34 (m, 1H), 3.67-3.75 (m, 1H), 7.11 (d, 2H, J = 8.1 Hz), 7.40 (d, 2H, J = 8.4 Hz).

I-24

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.91 (t, 3H, J = 7.2 Hz), 1.25-1.37 (m, 2H), 1.40 (s, 9H), 1.48-1.65 (m, 6H), 1.90 (d, 2H, J = 11.7 Hz), 2.02 (d, 2H, J = 11.7 Hz), 2.12-2.24 (m, 1H), 2.56 (t, 2H, J = 7.5 Hz), 3.04 (t, 2H, J = 6.3 Hz), 4.31 (t, 1H, J = 5.7 Hz), 7.11 (d, 2H, J = 8.1 Hz), 7.42 (d, 2H, J = 8.4 Hz).

I-25

mp : 232-233 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.91 (t, 3H, J = 7.5 Hz), 1.23-1.40 (m, 4H), 1.40 (s, 9H), 1.51-1.76 (m, 4H), 2.01-2.26 (m, 5H), 2.56 (t, 2H, J = 7.5 Hz), 3.22-3.38 (m, 1H), 3.79 (d, 1H, J = 9.3 Hz), 7.11 (d, 2H, J = 8.7 Hz), 7.17 (s, 1H), 7.40 (d, 2H, J = 8.4 Hz).

I-26

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.91 (t, 3H, J = 7.2 Hz), 1.22 (s, 9H), 1.28-1.40 (m, 2H), 1.52-1.62 (m, 2H), 1.85-1.96 (m, 1H), 2.00-2.14 (m, 1H), 2.38-2.53 (m, 2H), 2.56 (t, 2H, J = 7.5 Hz), 3.22-3.37 (m, 3H), 7.11 (d, 2H, J = 8.4 Hz), 7.45 (d, 2H, J = 8.4 Hz), 8.19 (s, 1H).

I-27

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.91 (t, 3H, J = 7.2 Hz), 1.30-1.40 (m, 2H), 1.40 (s, 9H), 1.52-1.61 (m, 2H), 1.95 (quint, 2H, J = 6.3 Hz), 2.50 (t, 2H, J = 6.9 Hz), 2.56 (t, 2H, J = 7.8 Hz), 3.31 (quart, 2H, J = 6.0 Hz), 4.30-4.36 (m, 1H), 7.12 (d, 2H, J = 8.4 Hz), 7.43 (d, 2H, J = 8.4 Hz), 7.65 (s, 1H).

I-28

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.91 (t, 3H, J = 7.2 Hz), 1.21 (s, 9H), 1.30-1.62 (m, 8H), 2.08 (d, 4H, J = 11.1 Hz), 2.56 (t, 2H, J = 7.8 Hz), 3.04 (d, 1H, J = 4.8 Hz), 3.20-3.30 (m, 1H), 4.65-4.76 (m, 1H), 6.57 (s, 1H), 7.10 (d, 2H, J = 8.7 Hz), 7.26 (d, 2H, J = 8.1 Hz).

I-29

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.91 (t, 3H, J = 7.2 Hz), 1.23-1.62 (m, 8H), 1.40 (s, 9H), 2.12 (d, 4H, J = 14.4 Hz), 2.56 (t, 2H, J = 7.8 Hz), 3.28-3.40 (m, 1H), 3.90 (s, 1H), 4.60-4.73 (m, 1H), 6.57 (s, 1H), 7.10 (d, 2H, J = 8.4 Hz), 7.25 (d, 2H, J = 8.4 Hz).

I-30

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.91 (t, 3H, J = 7.5 Hz), 1.26-1.39 (m, 2H), 1.51-1.64 (m, 4H), 1.72-1.81 (m, 2H), 2.34 (t, 2H, J = 6.9 Hz), 2.56 (t, 2H, J = 7.8 Hz), 2.95-3.01 (m, 2H), 4.84 (t, 1H, J = 5.7 Hz), 6.99-7.12 (m, 6H), 7.19-7.24 (m, 1H), 7.30 (s, 1H), 7.38-7.43 (m, 4H), 7.79 (d, 2H, J = 8.7 Hz).

I-31

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.92 (t, 3H, J = 7.5 Hz), 1.21 (s, 9H), 1.28-1.62 (m, 8H), 2.07-2.14 (m, 4H), 2.64 (t, 2H, J = 7.8 Hz), 3.11 (d, 1H, J = 5.1 Hz), 3.20 (brs, 1H), 3.90-4.04 (m, 1H), 6.06-6.14 (m, 1H), 7.21 (t, 2H, J = 8.1 Hz), 7.67 (t, 2H, J = 8.4 Hz).

I-32

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.92 (t, 3H, J = 7.2 Hz), 1.27-1.65 (m, 8H), 1.40 (s, 9H), 2.10-2.23 (m, 4H), 2.65 (t, 2H, J = 7.5 Hz), 3.23-3.35 (m, 1H), 3.49 (s, 1H), 3.88-4.02 (m, 1H), 5.84-5.92 (m, 1H), 7.13 (t, 2H, J = 8.4 Hz), 7.65 (d, 2H, J = 8.1 Hz).

I-33

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.94 (t, 3H, J = 7.2 Hz), 1.30-1.42 (m, 2H), 1.32 (s, 9H), 1.57-1.66 (m, 2H), 2.67 (t, 2H, J = 7.8 Hz), 5.61 (s, 1H), 6.93 (d, 2H, J = 8.7 Hz), 7.25 (d, 2H, J = 8.4 Hz), 7.49 (d, 2H, J = 9.0 Hz), 7.80 (d, 2H, J = 8.1 Hz), 8.22 (s, 1H).

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I-34

$^1\text{H-NMR}$  ( $\text{CD}_3\text{OD}$ )  $\delta$  ppm: 0.95 (t, 3H, J = 7.5 Hz), 1.35 (s, 9H), 1.35-1.44 (m, 2H), 1.57-1.69 (m, 2H), 2.69 (t, 2H, J = 7.5 Hz), 7.28-7.33 (m, 4H), 7.56 (d, 2H, J = 9.0 Hz), 7.83 (d, 2H, J = 8.4 Hz).

I-36

5  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 0.92 (t, 3H, J = 7.5 Hz), 1.31-1.70 (m, 11H), 1.39 (s, 9H), 1.75-1.85 (m, 1H), 2.65 (t, 2H, J = 8.1 Hz), 3.13 (t, 2H, J = 6.6 Hz), 3.40 (t, 2H, J = 7.2 Hz), 4.10 (t, 1H, J = 5.7 Hz), 6.21 (t, 1H, J = 5.7 Hz), 7.23 (d, 2H, J = 8.1 Hz), 7.67 (d, 2H, J = 8.4 Hz).

I-37

10  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 0.92 (t, 3H, J = 7.5 Hz), 0.95-1.10 (m, 2H), 1.31-1.40 (m, 2H), 1.39 (s, 9H), 1.55-1.63 (m, 4H), 1.80-1.92 (m, 4H), 2.65 (t, 2H, J = 7.8 Hz), 3.03 (t, 2H, J = 6.6 Hz), 3.31 (t, 2H, J = 6.6 Hz), 4.06 (t, 1H, J = 6.0 Hz), 6.22 (t, 1H, J = 6.0 Hz), 7.23 (d, 2H, J = 8.4 Hz), 7.67 (d, 2H, J = 8.1 Hz).

I-39

15  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 1.13 (t, 3H, J = 7.2 Hz), 1.39 (s, 9H), 1.69-1.97 (m, 8H), 2.27-2.38 (m, 1H), 3.29-3.35 (m, 4H), 3.60-3.70 (m, 1H), 4.52 (d, 1H, J = 9.3 Hz), 6.64 (d, 2H, J = 8.4 Hz), 7.22 (s, 1H), 7.31 (d, 2H, J = 9.0 Hz).

I-40

$^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 1.38 (s, 9H), 1.68-1.96 (m, 8H), 2.30-2.40 (m, 1H), 3.11 (t, 4H, J = 4.8 Hz), 3.60-3.72 (m, 1H), 3.86 (t, 4H, J = 4.8 Hz), 4.51 (brs, 1H), 6.89 (d, 2H, J = 9.0 Hz), 7.42 (d, 2H, J = 8.7 Hz).

I-41

mp : >278 °C (dec.)

20  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 1.18-1.40 (m, 2H), 1.40 (s, 9H), 1.62-1.75 (m, 2H), 2.01-2.27 (m, 5H), 3.10-3.13 (m, 4H), 3.22-3.38 (m, 1H), 3.72 (d, 1H, J = 9.3 Hz), 3.85-3.88 (m, 4H), 6.87 (d, 2H, J = 9.0 Hz), 7.10 (s, 1H), 7.40 (d, 2H, J = 9.0 Hz).

I-42

25  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 1.40 (s, 9H), 1.61-1.97 (m, 8H), 2.16 (s, 3H), 2.33-2.43 (m, 1H), 3.60-3.70 (m, 1H), 4.66 (brs, 1H), 7.12 (d, 1H, J = 8.7 Hz), 7.46-7.50 (m, 1H), 7.62 (s, H), 7.75-7.78 (m, 1H), 7.86-7.91 (m, 2H).

I-43

$^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 1.40 (s, 9H), 1.62-2.00 (m, 8H), 1.87 (s, 3H), 2.36-2.47 (m, 1H), 3.24 (s, 3H), 3.64-3.74 (m, 1H), 4.87 (brs, 1H), 7.13 (d, 2H, J = 9.0 Hz), 7.64 (d, 2H, J = 8.4 Hz), 7.81 (s, H).

I-44

30 mp : 235-236 °C

$^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 1.13 (t, 6H, J = 6.9 Hz), 1.18-1.33 (m, 2H), 1.40 (s, 9H), 1.60-1.77 (m, 2H), 2.00-2.26 (m, 5H), 3.28-3.35 (m, 4H), 3.73 (d, 1H, J = 9.3 Hz), 6.60-6.70 (m, 2H), 7.03 (brs, 1H), 7.31 (d, 2H, J = 7.8 Hz).

I-45

mp : >268 °C (dec.)

35  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 1.20-1.34 (m, 2H), 1.40 (s, 9H), 1.56-1.76 (m, 8H), 2.00-2.26 (m, 5H), 3.06-3.14 (m, 4H), 3.24-3.36 (m, 1H), 3.72 (d, 1H, J = 9.3 Hz), 6.90 (d, 2H, J = 8.7 Hz), 7.09 (s, 1H), 7.36 (d, 2H, J = 8.7 Hz).

I-46

mp : >272 °C (dec.)

40  $^1\text{H-NMR}$  ( $\text{DMSO-d}_6$ )  $\delta$  ppm: 1.28 (s, 9H), 1.31-1.59 (m, 7H), 1.87-2.00 (m, 4H), 2.23-2.34 (m, 1H), 3.00-3.16 (m, 1H), 4.35-4.45 (m, 2H), 6.81 (d, 1H, J = 9.0 Hz), 7.16 (t, 1H, J = 7.2 Hz), 7.43 (t, 1H, J = 8.4 Hz), 7.52-7.58 (m, 3H), 8.04 (d, 1H, J = 7.8 Hz), 8.43 (s, 1H).

I-47

$^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 1.20-1.36 (m, 2H), 1.40 (s, 9H), 1.62-1.77 (m, 2H), 1.98-2.32 (m, 5H), 3.31-3.40 (m, 1H), 3.62 (d, 1H, J = 9.0 Hz), 7.08 (s, 1H), 7.29 (d, 2H, J = 9.0 Hz), 7.61 (d, 2H, J = 9.0 Hz).

45 I-48

$^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 1.22-1.36 (m, 2H), 1.40 (s, 9H), 1.62-1.77 (m, 2H), 2.00-2.31 (m, 5H), 3.24-3.40 (m, 1H), 3.62 (d, 1H, J = 10.2 Hz), 7.01 (t, 2H, J = 8.7 Hz), 7.09 (s, 1H), 7.42-7.50 (m, 2H).

I-49

mp : 270 °C (dec.)

50  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 1.20-1.36 (m, 2H), 1.40 (s, 9H), 1.61-1.77 (m, 2H), 1.95-2.30 (m, 9H), 3.17-3.38 (m, 5H), 3.67 (d, 1H, J = 9.3 Hz), 6.50 (d, 2H, J = 9.0 Hz), 6.97 (s, 1H), 7.30 (d, 2H, J = 9.0 Hz).

I-50

mp : 252-253 °C

55  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 1.21-1.37 (m, 2H), 1.40 (s, 9H), 1.62-1.78 (m, 2H), 1.98-2.32 (m, 5H), 3.26-3.40 (m, 1H), 3.68 (d, 1H, J = 9.6 Hz), 6.94-7.02 (m, 4H), 7.08 (t, 1H, J = 7.5 Hz), 7.13 (s, 1H), 7.31 (t, 2H, J = 7.5 Hz), 7.46 (d, 2H, J = 9.0 Hz).

I-51

mp : 278-279 °C

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<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.02 (d; 6H, J = 6.9 Hz), 1.35 (s, 9H), 1.39-1.71 (m, 6H), 1.90-2.09 (m, 2H), 3.16-3.30 (m, 1H), 3.46 (d, 1H, J = 9.0 Hz), 4.92-5.01 (m, 1H), 6.91-6.95 (m, 2H), 7.00-7.07 (m, 3H), 7.13-7.16 (m, 2H), 7.30-7.36 (m, 2H).

I-52

5 mp : 276-277 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.20-1.36 (m, 2H), 1.40 (s, 9H), 1.60-1.78 (m, 2H), 1.98-2.30 (m, 5H), 2.36 (s, 3H), 2.58 (t, 4H, J = 4.5 Hz), 3.17 (t, 4H, J = 4.5 Hz), 3.21-3.40 (m, 1H), 3.64 (d, 1H, J = 9.0 Hz), 6.88 (d, 2H, J = 9.0 Hz), 7.01 (s, 1H), 7.37 (d, 2H, J = 9.0 Hz).

I-53

10 mp : >300 °C

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.20-1.54 (m, 4H), 1.27 (s, 9H), 1.73-1.88 (m, 2H), 1.89-2.01 (m, 2H), 2.13-2.25 (m, 1H), 2.98-3.12 (m, 1H), 3.15-3.31 (m, 8H), 6.76-6.84 (m, 2H), 6.93 (d, 2H, J = 9.0 Hz), 6.99 (d, 2H, J = 8.1 Hz), 7.24 (d, 2H, J = 8.1 Hz), 7.46 (d, 2H, J = 9.0 Hz), 9.60 (s, 1H).

I-54

15 mp : >215 °C (dec.)

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.27 (s, 9H), 1.27-2.00 (m, 18H), 2.14-2.26 (m, 1H), 2.53-2.84 (m, 4H), 2.86-3.30 (m, 2H), 3.46-3.54 (m, 1H), 3.62-3.74 (m, 2H), 6.78 (d, 1H, J = 8.7 Hz), 6.87 (d, 2H, J = 7.8 Hz), 7.42 (d, 2H, J = 8.7 Hz), 9.58 (s, 1H).

I-55

20 mp : >290 °C (dec.)

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.23-1.40 (m, 2H), 1.40 (s, 9H), 1.60-1.76 (m, 2H), 2.02-2.27 (m, 5H), 3.20 (t, 4H, J = 5.4 Hz), 3.21-3.32 (m, 1H), 3.67 (d, 1H, J = 9.3 Hz), 3.98 (t, 4H, J = 4.8 Hz), 6.52 (t, 1H, J = 4.8 Hz), 6.93 (d, 2H, J = 8.4 Hz), 7.06 (s, 1H), 7.41 (d, 2H, J = 8.7 Hz), 8.33 (d, 2H, J = 4.8 Hz).

I-56

25 mp : >232 °C (dec.)

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.27 (s, 9H), 1.27-1.48 (m, 4H), 1.80-1.99 (m, 4H), 2.14-2.25 (m, 1H), 3.04-3.24 (m, 8H), 3.68 (s, 3H), 3.76 (s, 3H), 6.44-6.47 (m, 1H), 6.66 (s, 1H), 6.76-6.84 (m, 2H), 6.92 (d, 2H, J = 8.4 Hz), 7.46 (d, 2H, J = 8.4 Hz), 9.61 (s, 1H).

I-57

30 mp : 284-285 °C (dec.)

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.27 (t, 3H, J = 7.2 Hz), 1.40 (s, 9H), 1.61-2.24 (m, 9H), 2.35-2.49 (m, 1H), 2.76 (t, 2H, J = 10.2 Hz), 3.04-3.15 (m, 2H), 3.20-3.36 (m, 1H), 3.55-3.59 (m, 2H), 3.87 (d, 1H, J = 9.6 Hz), 4.12-4.19 (m, 2H), 6.90 (d, 2H, J = 8.7 Hz), 2.79 (s, 1H), 7.40 (d, 2H, J = 8.7 Hz).

I-58

35 mp : >299 °C (dec.)

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.26-1.33 (m, 2H), 1.40 (s, 9H), 1.56-2.42 (m, 19H), 2.73-2.81 (m, 4H), 3.16-3.26 (m, 4H), 3.64 (d, 1H, J = 9.6 Hz), 6.87 (d, 2H, J = 8.7 Hz), 7.04 (s, 1H), 7.37 (d, 2H, J = 9.0 Hz).

I-59

mp : >270 °C (dec.)

40 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.26-1.47 (m, 2H), 1.47 (s, 9H), 1.60-1.80 (m, 4H), 2.01-2.32 (m, 5H), 3.28-3.40 (m, 3H), 3.62-3.74 (m, 3H), 5.74-5.96 (m, 2H), 6.92 (d, 2H, J = 8.7 Hz), 7.13 (s, 1H), 7.39 (d, 2H, J = 9.0 Hz).

I-60

mp : 247-250 °C (dec.)

45 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.20-1.37 (m, 2H), 1.40 (s, 9H), 1.60-1.78 (m, 2H), 1.98-2.33 (m, 5H), 2.93-3.03 (m, 2H), 3.22-3.40 (m, 1H), 3.52 (t, 2H, J = 6.0 Hz), 3.62 (d, 1H, J = 8.4 Hz), 4.36 (s, 2H), 6.93 (d, 2H, J = 8.7 Hz), 7.00 (s, 1H), 7.11-7.22 (m, 4H), 7.39 (d, 2H, J = 8.7 Hz).

I-61

mp : 280-281 °C

50 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.21-1.38 (m, 2H), 1.41 (s, 9H), 1.64-1.80 (m, 2H), 2.02-2.33 (m, 5H), 3.24-3.40 (m, 1H), 3.61 (d, 1H, J = 9.0 Hz), 6.33 (t, 2H, J = 2.1 Hz), 7.04 (t, 2H, J = 2.1 Hz), 7.14 (s, 1H), 7.34 (d, 2H, J = 9.0 Hz), 7.56 (d, 2H, J = 9.0 Hz).

I-62

mp : 260-262 °C

55 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.22-1.39 (m, 2H), 1.41 (s, 9H), 1.64-1.82 (m, 2H), 2.02-2.35 (m, 5H), 3.24-3.40 (m, 1H), 3.62 (d, 1H, J = 9.6 Hz), 7.31 (d, 2H, J = 9.0 Hz), 7.51 (s, 1H), 7.69 (d, 2H, J = 9.0 Hz).

I-63

mp : 248 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.20-1.38 (m, 2H), 1.40 (s, 9H), 1.61-1.78 (m, 2H), 1.98-2.32 (m, 5H), 3.22-3.45 (m, 1H),

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3.64 (d, 1H, J = 9.3 Hz), 7.11 (s, 1H), 7.37-7.46 (m, 4H).

I-64

mp : 272-275 °C (dec.)

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.20-1.53 (m, 4H), 1.27 (s, 9H), 1.75-1.88 (m, 2H), 1.88-2.00 (m, 2H), 2.11-2.24 (m, 1H), 2.96-3.12 (m, 1H), 5.96 (s, 2H), 6.77 (d, 1H, J = 8.7 Hz), 6.82 (d, 1H, J = 8.4 Hz), 6.95 (dd, 1H, J = 1.8, 8.4 Hz), 7.29 (d, 1H, J = 1.8 Hz), 9.70 (s, 1H).

I-65

mp : 293-296 °C (dec.)

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.20-1.70 (m, 10H), 1.27 (s, 9H), 1.79-2.038 (m, 4H), 2.18-2.33 (m, 1H), 2.98-3.30 (m, 5H), 6.79 (d, 1H, J = 9.0 Hz), 6.97 (d, 2H, J = 8.1 Hz), 7.43-7.57 (m, 4H), 7.62 (d, 2H, J = 8.1 Hz), 9.82 (s, 1H).

I-66

mp : >300 °C

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.27 (s, 9H), 1.27-1.53 (m, 4H), 1.86-1.99 (m, 4H), 2.22-2.34 (m, 1H), 2.39 (s, 3H), 3.00-3.14 (m, 1H), 6.25 (s, 1H), 6.79 (d, 1H, J = 9.0 Hz), 7.47-7.50 (m, 1H), 7.69-7.76 (m, 1H), 10.27 (s, 1H).

I-67

mp : 248-249 °C

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.20-1.54 (m, 4H), 1.27 (s, 9H), 1.77-1.90 (m, 2H), 1.90-2.02 (m, 2H), 2.02 (s, 3H), 2.17-2.32 (m, 1H), 2.96-3.13 (m, 1H), 6.78 (d, 1H, J = 8.7 Hz), 7.12-7.30 (m, 3H), 7.89 (s, 1H), 9.79 (s, 1H), 9.88 (s, 1H).

I-68

mp : >300 °C

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.20-1.54 (m, 4H), 1.27 (s, 9H), 1.77-1.89 (m, 2H), 1.89-2.03 (m, 2H), 2.00 (s, 3H), 2.14-2.28 (m, 1H), 2.95-3.13 (m, 1H), 6.78 (d, 1H, J = 8.7 Hz), 7.40-7.54 (m, 4H), 9.72 (s, 1H), 9.83 (s, 1H).

I-69

mp : 199-201 °C

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.21-1.53 (m, 4H), 1.27 (s, 9H), 1.76-1.89 (m, 2H), 1.89-2.02 (m, 2H), 2.13-2.30 (m, 1H), 2.85 (s, 6H), 2.94-3.14 (m, 1H), 6.40 (dd, 1H, J = 2.4, 8.4 Hz), 6.78 (d, 1H, J = 8.7 Hz), 6.90 (d, 1H, J = 8.4 Hz), 7.05 (t, 2H, J = 8.4 Hz), 9.60 (s, 1H).

I-70

mp : 227-230 °C

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.22-1.52 (m, 4H), 1.27 (s, 9H), 1.72-1.87 (m, 2H), 1.87-2.01 (m, 2H), 2.12-2.29 (m, 1H), 2.96-3.12 (m, 1H), 5.00 (s, 2H), 6.22 (d, 1H, J = 7.5 Hz), 6.66 (d, 1H, J = 7.5 Hz), 6.78 (d, 1H, J = 9.0 Hz), 6.86 (d, 1H, J = 7.5 Hz), 6.89-6.95 (m, 1H), 9.46 (s, 1H).

I-71

mp : 270-272 °C

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.22-1.52 (m, 4H), 1.26 (s, 9H), 1.73-1.86 (m, 2H), 1.88-2.00 (m, 2H), 2.08-2.22 (m, 1H), 2.95-3.11 (m, 1H), 4.80 (s, 2H), 6.47 (d, 2H, J = 8.4 Hz), 6.77 (d, 1H, J = 8.4 Hz), 7.20 (d, 2H, J = 8.4 Hz), 9.35 (s, 1H).

I-72

mp : 262-263 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.25 (d, 6H, J = 6.3 Hz), 1.17-1.42 (m, 2H), 1.40 (s, 9H), 1.60-1.78 (m, 2H), 1.98-2.43 (m, 7H), 3.20-3.43 (m, 3H), 3.67 (d, 1H, J = 9.6 Hz), 3.74-3.86 (m, 2H), 6.86 (d, 2H, J = 9.0 Hz), 7.04 (s, 1H), 7.38 (d, 2H, J = 9.0 Hz).

I-73

mp : 218-219 °C

<sup>1</sup>H-NMR (CD<sub>3</sub>OD) δ ppm: 1.36 (s, 9H), 1.36-1.69 (m, 4H), 1.45 (s, 9H), 1.88-2.02 (m, 3H), 2.06-2.30 (m, 4H), 3.05-3.44 (m, 3H), 3.46-3.56 (m, 1H), 4.16-4.26 (m, 1H), 6.51 (d, 2H, J = 9.0 Hz), 7.30 (d, 2H, J = 8.7 Hz).

I-74

mp : 295-296 °C (dec.)

<sup>1</sup>H-NMR (CD<sub>3</sub>OD) δ ppm: 1.36 (s, 9H), 1.36-1.67 (m, 4H), 1.92-2.13 (m, 4H), 2.26-2.40 (m, 2H), 2.62-2.75 (m, 1H), 3.16-3.25 (m, 1H), 3.58-3.98 (m, 4H), 4.16-4.25 (m, 1H), 7.20-7.30 (m, 2H), 7.62 (d, 2H, J = 9.0 Hz).

I-75

mp : 250-251 °C

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.23-1.55 (m, 4H), 1.27 (s, 9H), 1.78-1.90 (m, 2H), 1.90-2.02 (m, 2H), 2.15-2.28 (m, 1H), 2.98-3.14 (m, 1H), 3.06 (t, 2H, J = 8.4 Hz), 3.87 (t, 2H, J = 8.4 Hz), 6.67 (dd, 1H, J = 1.5, 7.2 Hz), 6.80 (d, 1H, J = 8.4 Hz), 6.94-7.05 (m, 2H), 7.12-7.19 (m, 1H), 7.16 (d, 2H, J = 9.3 Hz), 7.57 (d, 2H, J = 9.3 Hz), 9.73 (s, 1H).

I-76

mp : 265-266 °C

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.23-1.58 (m, 4H), 1.28 (s, 9H), 1.83-2.04 (m, 4H), 2.20-2.36 (m, 1H), 2.97-3.16 (m,

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1H), 6.67 (d, 1H, J = 3.0 Hz), 6.82 (d, 1H, J = 8.4 Hz), 7.07-7.22 (m, 2H), 7.47-7.53 (m, 1H), 7.50 (d, 2H, J = 9.0 Hz), 7.58 (d, 1H, J = 3.0 Hz), 7.64 (d, 1H, J = 7.5 Hz), 7.79 (d, 2H, J = 9.0 Hz), 10.02 (s, 1H).

I-77

mp : 281 °C

5 <sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.21-1.56 (m, 4H), 1.27 (s, 9H), 1.80-2.03 (m, 4H), 2.18-2.31 (m, 1H), 2.97-3.14 (m, 1H), 6.51 (dd, 1H, J = 2.1, 2.7 Hz), 6.81 (d, 1H, J = 9.0 Hz), 7.67-7.78 (m, 5H), 8.41 (d, 1H, J = 2.1 Hz), 9.96 (s, 1H).

I-78

mp : >300 °C (dec.)

10 <sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.27 (s, 9H), 1.27-1.52 (m, 4H), 1.74-2.04 (m, 7H), 2.10-2.25 (m, 2H), 2.96-3.20 (m, 2H), 3.48-3.58 (m, 1H), 3.75-3.84 (m, 1H), 6.39 (d, 2H, J = 8.4 Hz), 6.79 (d, 1H, J = 8.4 Hz), 7.02 (s, 1H), 7.30 (s, 1H), 7.36 (d, 2H, J = 8.1 Hz), 9.48 (s, 1H).

I-79

mp : 248-250 °C (dec.)

15 <sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.27 (s, 9H), 1.27-1.54 (m, 4H), 1.85-1.99 (m, 4H), 2.24-2.33 (m, 1H), -3.00-3.14 (m, 1H), 6.82 (d, 1H, J = 8.7 Hz), 7.77 (d, 2H, J = 8.4 Hz), 8.07 (d, 2H, J = 8.4 Hz).

I-80

mp : >300 °C

20 <sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.22-1.58 (m, 4H), 1.27 (s, 9H), 1.80-2.03 (m, 4H), 2.18-2.32 (m, 1H), 2.98-3.14 (m, 1H), 6.80 (d, 1H, J = 8.7 Hz), 7.35-7.50 (m, 2H), 7.99 (s, 1H), 8.11 (s, 1H), 9.79 (s, 1H), 12.94 (s, 1H).

I-81

mp : 261-262 °C

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.21-1.57 (m, 4H), 1.27 (s, 9H), 1.78-2.02 (m, 4H), 2.17-2.30 (m, 1H), 2.96-3.16 (m, 1H), 6.34 (s, 1H), 6.80 (d, 1H, J = 8.7 Hz), 7.14-7.32 (m, 3H), 7.85 (s, 1H), 9.58 (s, 1H), 10.95 (s, 1H).

I-82

25 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.86 (s, 18H), 1.24-1.37 (m, 2H), 1.37 (s, 9H), 1.56-1.74 (m, 2H), 1.95-2.19 (m, 5H), 3.18-3.32 (m, 1H), 3.44 (t, 4H, J = 6.3 Hz), 3.70 (t, 4H, J = 6.3 Hz), 4.39 (d, 1H, J = 9.0 Hz), 6.59 (d, 2H, J = 9.0 Hz), 7.31 (d, 2H, J = 8.7 Hz), 7.43 (s, 1H).

I-83

mp : 264-265 °C

30 <sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.27 (s, 9H), 1.27-1.52 (m, 4H), 1.78-1.88 (m, 2H), 1.90-2.00 (m, 2H), 2.14-2.26 (m, 1H), 2.96-3.14 (m, 1H), 6.72-6.82 (m, 2H), 6.99 (t, 4H, J = 7.8 Hz), 7.18 (t, 2H, J = 7.5 Hz), 7.46 (d, 2H, J = 9.0 Hz), 8.00 (s, 1H), 9.65 (s, 1H).

I-84

mp : 257 °C (dec.)

35 <sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.23-1.57 (m, 4H), 1.27 (s, 9H), 1.83-2.03 (m, 4H), 2.23-2.35 (m, 1H), 2.98-3.15 (m, 1H), 6.80 (d, 1H, J = 8.1 Hz), 7.87 (d, 2H, J = 9.0 Hz), 8.34 (d, 2H, J = 9.0 Hz), 9.21 (s, 1H), 10.20 (s, 1H).

I-85

mp : 256-258 °C

40 <sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.22-1.53 (m, 4H), 1.26 (s, 9H), 1.79-2.01 (m, 4H), 2.25 (s, 3H), 2.28-2.42 (m, 1H), 2.97-3.02 (m, 1H), 6.71 (d, 1H, J = 0.9 Hz), 6.80 (d, 1H, J = 8.1 Hz), 11.91 (s, 1H).

I-86

mp : 228-230 °C

45 <sup>1</sup>H-NMR (CD<sub>3</sub>OD) δ ppm: 1.36 (s, 9H), 1.36-1.48 (m, 2H), 1.55-1.70 (m, 2H), 1.87-1.98 (m, 2H), 2.08-2.17 (m, 2H), 2.20-2.32 (m, 1H), 3.15-3.27 (m, 1H), 3.50 (t, 4H, J = 5.7 Hz), 3.69 (t, 4H, J = 5.7 Hz), 6.72 (d, 2H, J = 9.0 Hz), 7.29-7.33 (m, 2H).

I-87

mp : 183-184 °C

50 <sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.27 (s, 9H), 1.27-1.48 (m, 4H), 1.73-1.89 (m, 4H), 1.90-2.00 (m, 2H), 2.16-2.28 (m, 1H), 2.28 (t, 2H, J = 7.5 Hz), 2.51-2.54 (m, 2H), 2.97-3.13 (m, 1H), 3.58 (s, 3H), 6.79 (d, 1H, J = 8.7 Hz), 7.08 (d, 2H, J = 8.7 Hz), 7.49 (d, 2H, J = 8.4 Hz), 9.73 (s, 1H).

I-88

mp : 217-218 °C

<sup>1</sup>H-NMR (CD<sub>3</sub>OD) δ ppm: 1.36 (s, 9H), 1.36-1.46 (m, 2H), 1.55-1.69 (m, 2H), 1.83-2.00 (m, 4H), 2.07-2.18 (m, 2H), 2.26-2.36 (m, 3H), 2.61 (t, 2H, J = 7.5 Hz), 3.14-3.26 (m, 1H), 7.13 (d, 2H, J = 8.1 Hz), 7.44 (d, 2H, J = 8.1 Hz).

55 I-89

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.08 (d, 6H, J = 3.3 Hz), 0.88 (s, 9H), 1.21-1.36 (m, 2H), 1.39 (s, 9H), 1.61-1.74 (m, 2H), 1.88-2.23 (m, 6H), 3.06-3.11 (m, 1H), 3.24-3.74 (m, 4H), 3.92 (d, 1H, J = 9.6 Hz), 4.48-4.56 (m, 1H), 6.47 (d, 2H, J = 9.0 Hz), 7.17 (s, 1H), 7.32 (d, 2H, J = 9.0 Hz).

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I-90

mp : amorphous

$^1\text{H-NMR}$  ( $\text{CD}_3\text{OD}$ )  $\delta$  ppm: 1.36 (s, 9H), 1.36-1.47 (m, 2H), 1.56-1.70 (m, 3H), 1.88-2.30 (m, 6H), 3.05-3.49 (m, 5H), 4.50 (brs, 1H), 6.50 (d, 2H,  $J = 9.0$  Hz), 7.29 (d, 2H,  $J = 9.0$  Hz).

5 I-91

mp : 105-106 °C

$^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 0.92(t, 3H,  $J = 7.3$  Hz), 1.25-1.27(m, 2H), 1.36(d, 6H,  $J = 6.9$  Hz), 1.51-1.59(m, 2H), 2.56 (t, 2H,  $J = 7.8$  Hz), 3.27(sept, 1H,  $J = 6.9$  Hz), 7.12(d, 2H,  $J = 8.6$  Hz), 7.32(t, 1H,  $J = 7.8$  Hz), 7.45(brd, 1H,  $J = 7.8$  Hz), 7.53(d, 2H,  $J = 8.6$  Hz), 7.58(d, 1H,  $J = 7.8$  Hz), 7.71-7.72(m, 2H), 8.27(s, 1H).

10 I-92

mp : 163-164 °C

$^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 0.93(t, 3H,  $J = 7.3$  Hz), 1.32-1.39(m, 2H), 1.55-1.65(m, 2H), 1.87(s, 3H), 1.95(s, 3H), 2.60 (t, 2H,  $J = 7.6$  Hz), 7.07(d, 2H,  $J = 8.4$  Hz), 7.18(d, 2H,  $J = 8.5$  Hz), 7.54(d, 2H,  $J = 8.5$  Hz), 7.91 (brs, 1H), 8.18(d, 2H,  $J = 8.4$  Hz), 8.77(s, 1H).

15 I-93

mp : 173 °C

$^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 0.93(t, 3H,  $J = 7.3$  Hz), 1.32-1.40(m, 2H), 1.39(d, 6H,  $J = 6.9$  Hz), 1.55-1.62(m, 2H), 2.60 (t, 2H,  $J = 7.8$  Hz), 3.13(sept, 1H,  $J = 6.9$  Hz), 4.39(d, 2H,  $J = 6.3$  Hz), 4.45(t, 1H,  $J = 6.3$  Hz), 7.18(d, 2H,  $J = 8.7$  Hz), 7.46(d, 2H,  $J = 8.7$  Hz), 7.54 (d, 2H,  $J = 8.7$  Hz), 7.80(s, 1H), 7.85(d, 2H,  $J = 8.7$  Hz).

20 I-94

mp : 159-160 °C

$^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 0.93(t, 3H,  $J = 7.3$  Hz), 1.32-1.39(m, 2H), 1.54-1.80(m, 2H), 1.79(s, 3H), 1.80(s, 3H), 2.60t, 2H,  $J = 7.7$  Hz), 3.18(s, 3H), 7.18(d, 2H,  $J = 8.5$  Hz), 7.30(d, 2H,  $J = 8.8$  Hz), 7.52(d, 2H,  $J = 8.5$  Hz), 7.70(brs, 1H), 7.84(d, 2H,  $J = 8.8$  Hz), 8.77(s, 1H).

25 I-95

mp : 177-178 °C

$^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 0.94(t, 3H,  $J = 7.2$ Hz), 1.31-1.48(m, 8H), 1.54-1.66(m, 2H), 2.55(s, 3H), 2.62(t, 2H,  $J = 7.6$ Hz), 3.92(sept, 1H,  $J = 6.6$ Hz), 7.20(d, 2H,  $J = 8.45$ Hz), 7.74(d, 2H,  $J = 8.5$ Hz), 9.01(brs, 1H), 9.17(s, 1H).

30 I-96

mp : 220-223 °C

$^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 0.93(t, 3H,  $J = 7.3$ Hz), 1.28-1.42(m, 2H), 1.50(d, 6H,  $J = 6.8$ Hz), 1.54-1.65(m, 2H), 2.62 (t, 2H,  $J = 7.6$ Hz), 4.08(sept, 1H,  $J = 7.1$ Hz), 7.20(d, 2H,  $J = 8.5$ Hz), 7.48(d, 2H,  $J = 8.5$ Hz), 7.71(brs, 1H), 8.51(brs, 1H), 8.95(s, 1H).

35 I-97

mp : 195-197 °C

$^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 0.91(t, 3H,  $J = 7.6$ Hz), 0.94(t, 3H,  $J = 7.3$ Hz), 1.32-1.44(m, 6H), 1.54-1.64(m, 2H), 1.66-1.78 (m, 2H), 2.62(t, 2H,  $J = 7.7$ Hz), 2.86(brs, 2H), 3.98(sept, 1H,  $J = 7.1$ Hz), 7.19(d, 2H,  $J = 8.5$ Hz), 7.63(d, 2H,  $J = 8.4$ Hz), 8.72(brs, 1H), 8.81(brs, 1H).

40 I-98

mp : 216-218 °C

$^1\text{H-NMR}$  ( $\text{CDCl}_3 + \text{CD}_3\text{OD}$ )  $\delta$  ppm: 0.93(t, 3H,  $J = 7.4$ Hz), 1.29-1.40(m, 2H), 1.43(d, 2H,  $J = 6.9$ Hz), 1.51-1.63(m, 2H), 2.60(t, 2H,  $J = 7.8$ Hz), 3.65(sept, 1H,  $J = 6.9$ Hz), 7.18(d, 2H,  $J = 8.5$ Hz), 7.22(d, 1H,  $J = 8.8$ Hz), 7.55(d, 2H,  $J = 8.5$ Hz), 8.18(dd, 1H,  $J = 8.8, 2.4$ Hz), 8.63(d, 1H,  $J = 2.4$ Hz).

45 I-99

mp : 201-202 °C

$^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 0.93(t, 3H,  $J = 7.3$ Hz), 1.22-1.40(m, 2H), 1.49(d, 2H,  $J = 7.1$ Hz), 1.51-1.63(m, 2H), 2.59(t, 2H,  $J = 7.7$ Hz), 4.22(sept, 1H,  $J = 7.1$ Hz), 7.16(d, 2H,  $J = 8.4$ Hz), 7.41(brs, 1H), 7.52(d, 2H,  $J = 8.4$ Hz), 8.10(brs, 1H), 8.13(d, 1H,  $J = 2.2$ Hz), 8.61(brs, 1H).

50 I-100

mp : 160-162 °C

$^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 0.93(t, 3H,  $J = 7.3$ Hz), 1.22-1.42(m, 2H), 1.45(d, 2H,  $J = 6.9$ Hz), 1.51-1.63(m, 2H), 2.61 (t, 2H,  $J = 7.8$ Hz), 3.37(sept, 1H,  $J = 6.9$ Hz), 6.89(brs, 1H), 7.19(d, 2H,  $J = 8.4$ Hz), 7.65(d, 2H,  $J = 8.4$ Hz), 7.80(dd, 1H,  $J = 8.4, 2.4$ Hz), 8.27(d, 1H,  $J = 8.4$ Hz), 8.45(d, 1H,  $J = 2.4$ Hz), 9.75(brs, 1H).

55 I-101, I-214

mp : 192-194 °C

$^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 0.93(t, 3H,  $J = 7.3$ Hz), 1.27-1.41(m, 2H), 1.35(s, 9H), 1.50-1.66(m, 2H), 2.60(t, 2H,  $J = 7.6$ Hz), 5.58(brs, 1H), 7.07(d, 2H,  $J = 8.5$ Hz), 7.17(d, 2H,  $J = 8.5$ Hz), 7.52(d, 2H,  $J = 8.5$ Hz), 7.71(brs, 1H), 7.79(d, 2H,  $J = 8.5$ Hz).

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I-102

mp : 216-217 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.93(t, 3H, J = 7.3Hz), 1.26-1.42(m, 2H), 1.45(s, 9H), 1.70-1.83(m, 2H), 2.60(t, 2H, J = 7.7Hz), 6.42(brs, 1H), 7.18(d, 2H, J = 8.5Hz), 7.35(d, 2H, J = 8.5Hz), 7.51(d, 2H, J = 8.5Hz), 7.68(brs, 1H), 7.82(d, 2H, J = 8.5Hz).

I-103

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.91(t, 3H, J = 7.3 Hz), 1.28-1.36(m, 2H), 1.32(d, 6H, J = 6.9 Hz), 1.49-1.59(m, 2H), 2.54(t, 2H, J = 7.7 Hz), 3.23(sept, 1H, J = 6.9 Hz), 3.46(s, 3H), 6.76(brs, 1H), 6.91(d, 2H, J = 8.2 Hz), 6.99(d, 2H, J = 8.8 Hz), 7.03(d, 2H, J = 8.2 Hz), 7.25(d, 2H, J = 8.8 Hz).

I-104

mp : 182-183 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.93(t, 3H, J = 7.3Hz), 1.28-1.40(m, 2H), 1.51-1.63(m, 2H), 1.64-1.88(m, 4H), 1.90-2.23(m, 4H), 2.60(t, 2H, J = 7.6Hz), 3.39(m, 1H), 6.16(brs, 1H), 7.07(d, 2H, J = 8.5Hz), 7.16(d, 2H, J = 8.5Hz), 7.52(d, 2H, J = 8.5Hz), 7.74(brs, 1H), 7.77(d, 2H, J = 8.5Hz).

I-105

mp : 190-191 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.93(t, 3H, J = 7.3Hz), 1.28-1.41(m, 2H), 1.52-1.69(m, 4H), 1.75-1.90(m, 2H), 1.92-2.07(m, 4H), 2.58(t, 2H, J = 7.6Hz), 3.59(m, 1H), 6.53(brs, 1H), 7.18(d, 2H, J = 8.5Hz), 7.31(d, 2H, J = 8.5Hz), 7.52(d, 2H, J = 8.5Hz), 7.67(brs, 1H), 7.84(d, 2H, J = 8.5Hz).

I-106

mp : 194-197 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.93(t, 3H, J = 7.3Hz), 1.22-1.41(m, 2H), 1.53-1.65(m, 2H), 1.90(s, 6H), 2.60(t, 2H, J = 7.8Hz), 6.86(brs, 1H), 7.18(d, 2H, J = 8.5Hz), 7.43(d, 2H, J = 8.5Hz), 7.51(d, 2H, J = 8.5Hz), 7.71(brs, 1H), 7.84(d, 2H, J = 8.5Hz).

I-107

mp : 211-212 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.93(t, 3H, J = 7.3Hz), 1.24-1.40(m, 2H), 1.50-1.62(m, 2H), 2.60(t, 2H, J = 7.6Hz), 6.19(brs, 1H), 7.17(d, 2H, J = 8.5Hz), 7.18(d, 2H, J = 8.5Hz), 7.51(d, 2H, J = 8.5Hz), 7.66(brs, 1H), 7.86(d, 2H, J = 8.5Hz).

I-108

mp : 298-300 °C

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 0.90(t, 3H, J = 7.3Hz), 1.22-1.39(m, 2H), 1.48-1.60(m, 2H), 2.54(t, 2H, J = 7.3Hz), 7.04(d, 2H, J = 8.8Hz), 7.12(d, 2H, J = 8.5Hz), 7.64(d, 2H, J = 8.5Hz), 7.69(d, 2H, J = 8.8Hz), 9.80(s, 1H).

I-109

mp : 122-123 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.90(t, 3H, J = 7.4Hz), 0.97(t, 3H, J = 7.7Hz), 1.26-1.38(m, 2H), 1.30(s, 6H), 1.50-1.66(m, 4H), 1.72-1.83(m, 4H), 2.34(t, 2H, J = 7.1Hz), 2.55(t, 2H, J = 7.6Hz), 3.19(q, 1H, J = 6.0Hz), 4.60(brs, 1H), 7.08(d, 2H, J = 8.5Hz), 7.42(d, 2H, J = 8.5Hz), 7.85(s, 1H).

I-110

mp : 109-110 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.91(t, 3H, J = 7.4Hz), 1.10(d, 6H, J = 6.7Hz), 1.29-1.38(m, 2H), 1.55(s, 9H), 1.60-1.70(m, 2H), 1.78-1.89(m, 2H), 2.26(m, 1H), 2.39(t, 2H, J = 7.0Hz), 2.57(t, 2H, J = 7.7Hz), 2.90(d, 2H, J = 6.6Hz), 3.16(brs, 1H), 4.24(brs, 1H), 7.12(d, 2H, J = 8.5Hz), 7.40(d, 2H, J = 8.5Hz).

I-111

mp : 64-65 °C

<sup>1</sup>H-NMR, (CDCl<sub>3</sub>) δ ppm: 0.91(t, 3H, J = 7.3Hz), 1.02(t, 3H, J = 7.5Hz), 1.35(d, 3H, J = 6.7Hz), 1.26-1.38(m, 2H), 1.48-1.69(m, 5H), 1.76-1.87(m, 2H), 2.04(m, 1H), 2.38(t, 2H, J = 7.3Hz), 2.56(t, 2H, J = 7.6Hz), 2.91(m, 1H), 3.16(brs, 2H), 4.42(brs, 1H), 7.11(d, 2H, J = 8.5Hz), 7.42(d, 2H, J = 8.5Hz), 7.47(brs, 1H).

I-112

mp : 79-80 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.36(s, 9H), 1.52-1.62(m, 2H), 1.67-1.76(m, 2H), 2.22(t, 2H, J = 7.4Hz), 3.16(q, 2H, J = 6.3Hz), 3.78(s, 3H), 4.33(d, 2H, J = 5.4Hz), 4.62(brs, 1H), 6.20(brs, 1H), 6.85(d, 2H, J = 8.8Hz), 7.19(d, 2H, J = 8.8Hz).

I-113

mp : 125-126 °C

<sup>1</sup>H-NMR, (CDCl<sub>3</sub>) δ ppm: 1.38(s, 9H), 1.62-1.70(m, 2H), 1.76-1.88(m, 2H), 2.46(t, 2H, J = 7.4Hz), 3.22(q, 2H, J = 6.1Hz), 4.22(t, 1H, J = 6.1Hz), 7.24(dd, 1H, J = 8.9, 2.3Hz), 7.36(d, 1H, J = 2.3Hz), 7.65(brs, 1H), 8.29(d, 1H, J = 8.9Hz).

I-114

mp : 89-91 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.92 (t, 3H, J = 7.0Hz), 1.06 (d, 6H, J = 7.0Hz), 1.36 (m 1H), 1.50-1.72 (m ,5H), 1.94-2.06

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(m, 2H), 2.26 (m, 1H), 2.60 (t, 2H, J = 7.7Hz), 2.84 (t, 2H, J = 7.7Hz), 2.93 (d, 2H, J = 6.3Hz), 3.20 (t, 2H, J = 6.6Hz), 4.30 (brs, 1H), 7.19 (d, 2H, J = 8.5Hz), 7.63 (d, 2H, J = 8.5Hz), 9.15(brs, 1H).

I-115

mp : 94-95 °C

5  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm:0.92 (t, 3H, J = 7.5Hz), 1.03 (t, 3H, J = 7.5Hz), 1.23-1.40 (m, 5H), 1.42-1.65 (m, 6H), 1.75 (m, 1H), 2.02 (m, 1H), 2.24 (t, 2H, J = 7.0Hz), 2.59 (t, 2H, J = 8.0Hz), 2.90 (m, 1H), 3.14 (q, 2H, J = 6.6Hz), 4.20 (m, 1H), 4.40 (d, 2H, J = 5.4Hz), 5.70 (brs, 1H), 7.14 (d, 2H, J = 8.1Hz), 7.18(d, 2H, J = 8.1Hz).

I-116

mp: 89-91 °C

10  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm:0.97 (t, 3H, J = 7.3Hz), 1.02 (t, 3H, J = 7.5Hz), 1.35 (d, 3H, J = 7.0Hz), 1.40-1.90 (m, 9H), 2.04 (m, 1H), 2.37 (t, 2H, J = 7.0Hz), 2.90 (m, 1H), 3.17 (q, 2H, J = 6.6Hz), 3.93 (t, 2H, J = 6.6Hz), 4.32 (m, 1H), 6.84 (d, 2H, J = 9.0Hz), 7.31 (brs, 1H), 7.40 (d, 2H, J = 9.0Hz).

I-117

mp: 110-111 °C

15  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm:1.02 (t, 3H, J = 7.5Hz), 1.34 (d, 3H, J = 6.6Hz), 1.45-1.70 (m, 3H), 1.75-1.85 (m, 2H), 2.05 (m, 1H), 2.36 (t, 2H, J = 7.5Hz), 2.90 (m, 1H), 3.16 (q, 2H, J = 6.6Hz), 3.78 (s, 3H), 4.50 (m, 1H), 6.84 (d, 2H, J = 6.8Hz), 7.42 (d, 2H, J = 6.8Hz), 7.48 (brs, 1H).

I-118

mp: 113-115 °C

20  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm:0.92 (t, 3H, J = 7.0Hz), 1.20-1.34 (m, 1H), 1.37 (d, 6H, J = 7.0Hz), 1.48-1.70 (m, 3H), 2.43 (q, 2H, J = 6.6Hz), 2.58 (t, 2H, J = 7.7Hz), 3.10-3.31 (m, 3H), 4.75 (m, 1H), 6.04 (d, 1H, J = 15.0Hz), 6.77 (dt, 1H, J = 7.7, 15.0Hz), 7.14 (d, 2H, J = 8.4Hz), 7.55 (d, 2H, J = 8.4Hz), 7.85 (brs, 1H).

I-119

mp : 139-140 °C

25  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm:1.19(s, 9H), 1.47(m, 2H), 1.61(m, 2H), 2.18(t, 2H, J = 7.6Hz), 3.03(q, 2H, J = 6.3Hz), 4.09 (t, 1H, J = 5.9Hz), 6.85(brd, 1H, J = 8.0Hz), 7.00(t, 1H, J = 8.0Hz), 7.16(brd, 1H, J = 8.0), 7.48(brs, 1H), 7.57(brs, 1H).

I-120

mp: 183°C

30  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm:0.91(t, 3H, J = 7.3Hz), 1.20-1.58(m, 6H), 1.40(s, 9H), 2.07(dd, 1H, J = 12.9, 3.1Hz), 2.52 (t, 2H, J = 7.7Hz), 2.95(dd, 2H, J = 11.5, 2.5Hz), 3.46(m, 1H), 3.88-4.07(m, 3H), 6.47(s, 1H), 7.08(d, 2H, J = 8.5Hz), 7.22(d, 2H, J = 8.5Hz).

I-121

mp : 163-166 °C

35  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm:0.91(t, 3H, J = 7.3Hz), 1.32-1.62(m, 6H), 1.45(s, 9H), 1.95-2.07(m, 3H), 2.20(m, 1H), 2.46 (td, 1H, J = 10.4, 3.7Hz), 2.37(t, 2H, J = 7.6Hz), 3.43(brd, 2H, J = 10.4Hz), 4.80(s, 1H), 7.12(d, 2H, J = 8.4Hz), 7.14 (s, 1H), 7.39(d, 2H, J = 8.4Hz).

I-122

mp : 188-189 °C

40  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm:0.91 (t, 3H, J = 7.5Hz), 1.25-1.41 (m, 2H), 1.42 (s, 9H), 1.50-1.62 (m, 2H), 1.78-1.95 (m, 4H), 2.00-2.20 (m, 6H), 2.57 (t, 2H, J = 7.5Hz), 3.99 (brs, 1H), 7.10 (brs, 1H), 7.12 (d, 2H, J = 6.5Hz), 7.41 (d, 2H, J = 6.5Hz).

I-123

mp : 197-198 °C

45  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm:0.91 (t, 3H, J = 7.5Hz), 1.24-1.40 (m, 2H), 1.39 (s, 9H), 1.50-1.70 (m, 2H), 1.99 (brs, 12H), 2.56 (t, 2H, J = 7.5Hz), 3.47 (brs, 1H), 7.10 (s, 1H), 7.11 (d, 2H, J = 8.5Hz), 7.38 (d, 2H, J = 8.5Hz).

I-124

mp : 258-260 °C

50  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm:1.20-1.40 (m, 2H), 1.41 (s, 9H), 1.62-1.81 (m, 2H), 2.03-2.35 (m, 5H), 2.37 (s, 3H), 2.71 (s, 3H), 3.32 (m, 1H), 3.64 (d, 1H, J = 8.4Hz), 7.08 (brs, 1H), 7.24 (m, 1H), 7.33 (m, 2H), 7.60 (d, 1H, J = 8.1Hz), 7.77 (s, 1H), 7.80 (d, 1H, J = 8.4Hz), 8.14 (m, 1H).

I-125

mp : 297-299 °C

55  $^1\text{H-NMR}$ -( $\text{DMSO}-d_6$ )-  $\delta$  ppm 1.27 (s, 9H), 1.28-1.56 (m, 4H), 1.80-2.01 (m, 4H), 2.47 (m, 1H), 2.76 (brs, 1H), 3.05 (m, 2H), 6.78 (d, 1H, J = 9.0Hz), 7.23 (d, 1H, J = 9.0Hz), 7.46 (dd, 1H, J = 2.0, 9.0Hz), 8.03 (d, 1H, J = 2.0Hz).

I-126

mp : 198-199 °C

$^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm:1.18-1.39 (m, 2H), 1.40 (s, 9H), 1.60-1.79 (m, 2H), 1.98-2.35 (m, 5H), 3.30 (m, 1H), 3.67 (d, 1H, J = 9.6Hz), 5.89 (tt, 1H, J = 3.0, 50.0Hz), 6.97 (d, 1H, J = 7.8Hz), 7.21 (s, 1H), 7.30-7.40 (m, 2H), 7.55 (s, 1H)

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I-127

mp : 262-264 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm:1.20-1.39 (m, 2H), 1.41 (s, 9H), 1.60-1.80 (m, 2H), 2.00-2.36 (m, 5H), 2.57 (s, 3H), 3.33 (m, 1H), 3.62 (d, 1H, J = 8.7Hz), 7.28 (brs, 1H), 7.62 (d, 2H, J = 8.7Hz), 7.94 (d, 2H, J = 8.7Hz).

5 I-128

mp : 252-254 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm:1.18-1.39 (m, 2H), 1.40 (s, 9H), 1.58-1.79 (m, 2H), 1.99-2.30 (m, 5H), 2.46 (s, 3H), 3.32 (m, 1H), 3.64 (m, 1H), 7.11 (brs, 1H), 7.23 (d, 2H, J = 9.0Hz), 7.44 (d, 2H, J = 9.0Hz).

I-129

10 mp : >300 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>+CD<sub>3</sub>OD) δ ppm:1.30-1.45(m, 2H), 1.42(s, 9H), 1.70-1.88(m, 2H), 2.10-2.37(m, 4H), 2.52(m, 1H), 3.34(m, 1H), 7.43-7.54(m, 3H), 7.82(d, 1H, J = 6.7Hz), 7.88(d, 1H, J = 8.5Hz), 7.98-8.07(m, 2H), 8.44(s, 1H), 8.46 (s, 1H).

I-130

15 mp : 123-124 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm:1.18-1.34(m, 2H), 1.40(s, 9H), 1.62-1.75(m, 2H), 2.00-2.28(m, 5H), 3.31(m, 1H), 3.61(d, 1H, J = 9.5Hz), 5.59(s, 1H), 7.17(s, 1H), 7.30-7.37(m, 6H), 7.41(d, 1H, J = 8.5Hz), 7.84(d, 1H, J = 2.1Hz).

I-131

20 mp: 202-204 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm:1.27-1.38(m, 2H), 1.38(s, 9H), 1.62-1.75(m, 2H), 1.97-2.04(m, 2H), 2.18-2.27(m, 3H), 3.26 (m, 1H), 3.81(s, 3H), 4.62(d, 1H, J = 7.9Hz), 7.12(d, 1H, J = 7.8Hz), 7.40(t, 1H, J = 7.8Hz), 7.51(s, 3H), 7.61(d, 1H, J = 7.8Hz), 7.71(s, 1H), 8.21(brs, 1H).

I-132

25 mp : 236-237 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm:1.23-1.43(m, 2H), 1.41(s, 9H), 1.66-1.80(m, 2H), 2.08-2.12(m, 2H), 2.23-2.31(m, 3H), 3.34 (m, 1H), 3.87(d, 1H, J = 9.5Hz), 4.02(s, 3H), 7.30(td, 1H, J = 7.3, 1.1Hz), 7.36(s, 1H), 7.39(td, 1H, J = 7.3, 1.5Hz), 7.53(brd, 1H, J = 7.3Hz), 7.84(brd, 1H, J = 7.3Hz), 8.05(s, 1H), 8.73(s, 1H).

I-133

30 mp : 198-200 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm:0.93(t, 3H, J = 7.3Hz), 0.97(t, 3H, J = 6.7Hz), 1.18-1.81(m, 7H), 1.39(s, 9H), 1.98-2.05(m, 2H), 2.21-2.24(m, 3H), 3.29(m, 1H), 4.00(dd, 1H, J = 10.7, 6.7Hz), 4.09(dd, 1H, J = 10.7, 6.1Hz), 4.27(d, 1H, J = 9.8Hz), 6.37(d, 1H, J = 15.9Hz), 7.47(d, 2H, J = 8.5Hz), 7.59(d, 2H, J = 8.5Hz), 7.62(d, 1H, J = 15.9Hz), 7.83(brs, 1H).

I-134

35 mp : 212-213 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm:1.21-1.32(m, 2H), 1.39(s, 9H), 1.59-1.73(m, 2H), 1.99-2.04(m, 2H), 2.10-2.26(m, 3H), 3.26 (m, 1H), 3.72(d, 1H, J = 9.6Hz), 6.74(m, 1H), 7.02(d, 2H, J = 7.4Hz), 7.11(t, 1H, J = 7.4Hz), 7.13-7.19(m, 2H), 7.22-7.26(m, 2H), 7.34(t, 2H, J = 7.4Hz).

I-135

40 mp : 294-296 °C

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm:1.27 (s, 9H), 1.28-1.55 (m, 4H), 1.81-2.05 (m, 4H), 2.26 (m, 1H), 2.98-3.20 (m, 2H), 6.78 (d; 1H, J = 9.0Hz), 7.31 (t, 1H, J = 7.5Hz), 7.54-7.72 (m, 5H), 7.94 (brs, 1H).

I-136

45 mp : >300 °C

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm:1.28 (s, 9H), 1.29-1.59 (m, 4H), 1.81-2.02 (m, 4H), 2.27 (m, 1H), 3.06 (m, 1H), 6.81 (d, 1H, J = 8.7Hz), 7.38 (t, 1H, J = 7.2Hz), 7.48 (t, 2H, J = 7.2Hz), 7.62-7.81 (m, 10H), 9.93 (brs, 1H).

I-137

mp : 291-292 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm:1.25-1.39 (m, 2H), 1.41 (s, 9H), 1.61-1.80 (m, 2H), 2.01-2.36 (m, 5H), 3.32 (m, 1H), 3.63 (d, 1H, J = 9.3Hz), 7.20 (brs, 1H), 7.53-7.74 (m, 8H).

50 I-138

mp : 259-262 °C

<sup>1</sup>H-NMR (CD<sub>3</sub>OD) δ ppm:1.40 (s, 9H), 1.40-1.80 (m, 4H), 2.00-2.30 (m, 4H), 2.45 (m, 1H), 3.00 (s, 3H), 3.15-3.30 (m, 2H), 7.90 (d, 1H, J = 8.4Hz), 8.12 (d, 1H, J = 9.0Hz), 8.39 (d, 1H, J = 9.0Hz), 8.72 (s, 1H), 8.92 (d, 1H, J = 8.4Hz), 10.4 (s, 1H).

55 I-139

mp : 265-268 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm:1.25-1.40(m, 2H), 1.40(s, 9H), 1.68-1.81(m, 2H), 2.05-2.10(m, 2H), 2.23-2.37(m, 3H), 3.32 (m, 1H), 4.27(d, 1H, J = 9.1Hz), 7.53(t, 1H, J = 7.9Hz), 7.63(td, 1H, J = 7.9, 1.4Hz), 7.77(d, 1H, J = 7.9Hz), 8.03(d,

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$^1\text{H}$ ,  $J = 7.9\text{Hz}$ ), 8.37(brs, 1H), 8.85-8.86(m, 2H).

I-140

mp : 258-260 °C

$^1\text{H}$ -NMR ( $\text{CDCl}_3$ )  $\delta$  ppm:1.20-1.40 (m, 2H), 1.41 (s, 9H), 1.52-1.85 (m, 2H), 2.03-2.35 (m, 5H), 3.34 (m, 1H), 3.75 (m, 1H), 7.35-7.66 (m, 3H), 8.05 (d, 1H,  $J = 9.0\text{Hz}$ ), 8.11 (d, 1H,  $J = 9.0\text{Hz}$ ), 8.40 (brs, 1H), 8.83 (s, 1H).

I-141

mp : 205-206 °C

$^1\text{H}$ -NMR ( $\text{CDCl}_3$ )  $\delta$  ppm:1.20-1.37(m, 2H), 1.40(s, 9H), 1.43-1.62(m, 2H), 1.90-2.01(m, 2H), 2.02-2.23(m, 3H), 3.27 (m, 1H), 3.63(d, 1H,  $J = 9.6\text{Hz}$ ), 3.70(s, 3H), 6.64(d, 1H,  $J = 8.8\text{Hz}$ ), 7.28-7.41(m, 5H), 7.45(brs, 1H), 8.26(d, 1H,  $J = 8.8\text{Hz}$ ).

I-142

mp : 277-280 °C

$^1\text{H}$ -NMR ( $\text{CDCl}_3$ )  $\delta$  ppm:0.23-0.34(m, 2H), 1.34(s, 9H), 1.34-1.55(m, 5H), 1.76-1.80(m, 2H), 2.97(m, 1H), 3.31(d, 1H,  $J = 9.6\text{Hz}$ ), 7.18(s, 1H), 7.50-7.59(m, 4H), 7.77(dd, 1H,  $J = 7.4, 1.0\text{Hz}$ ), 7.91-7.98(m, 2H), 8.39(dd, 1H,  $J = 7.4, 1.9\text{Hz}$ ).

I-143

mp : 202-203 °C

$^1\text{H}$ -NMR ( $\text{CDCl}_3$ )  $\delta$  ppm:1.23-1.40(m, 2H), 1.40(s, 9H), 1.57-1.71(m, 2H), 2.05-2.10(m, 2H), 2.18-2.28(m, 3H), 3.31 (m, 1H), 3.91(s, 3H), 3.93(s, 3H), 4.05(d, 1H,  $J = 9.5\text{Hz}$ ), 8.15(s, 1H), 9.56(s, 1H).

I-144

mp : 177-178 °C

$^1\text{H}$ -NMR ( $\text{CDCl}_3$ )  $\delta$  ppm:1.27-1.39(m, 2H), 1.40(s, 9H), 1.65-1.79(m, 2H), 2.04-2.07(m, 2H), 2.12-2.34(m, 3H), 3.22 (m, 1H), 3.93(d, 1H,  $J = 9.1\text{Hz}$ ), 6.90-7.03(m, 3H), 7.25(m, 1H), 7.77(dd, 1H,  $J = 4.9, 1.7\text{Hz}$ ), 7.81(brs, 1H), 8.72 (dd, 1H,  $J = 7.8, 1.5\text{Hz}$ ).

I-145

mp : >300 °C

$^1\text{H}$ -NMR ( $\text{DMSO}-d_6$ )  $\delta$  ppm:1.30(s, 9H), 1.44-1.70(m, 4H), 2.05-2.19(m, 4H), 2.73(m, 1H), 3.18(m, 1H), 6.86(d, 1H,  $J = 8.8\text{Hz}$ ), 7.62(t, 2H,  $J = 8.5\text{Hz}$ ), 7.86(t, 2H,  $J = 8.5\text{Hz}$ ), 7.89(d, 2H,  $J = 8.5\text{Hz}$ ), 8.16(d, 2H,  $J = 8.5\text{Hz}$ ).

I-146

mp : 240-242 °C

$^1\text{H}$ -NMR ( $\text{DMSO}-d_6$ )  $\delta$  ppm:1:26-1.53(m, 4H), 1.27(s, 9H), 1.74-1.83(m, 2H), 1.90-1.97(m, 2H), 2.26(m, 1H), 3.04 (m, 1H), 6.59(brs, 1H), 6.74-6.79(m, 3H), 7.74(s, 1H), 10.32(s, 1H), 12.80(s, 1H). I-147

mp : 167-169 °C

$^1\text{H}$ -NMR ( $\text{CDCl}_3$ )  $\delta$  ppm:1.05-1.28 (m, 2H), 1.38 (s, 9H), 1.47-1.70 (m, 2H), 1.80-2.00 (m, 3H), 2.13-2.25 (m, 2H), 2.75 (t, 2H,  $J = 6.9\text{Hz}$ ), 3.24 (m, 1H), 3.49 (dt, 2H,  $J = 6.3, 6.9\text{Hz}$ ), 3.58 (d, 1H,  $J = 8.7\text{Hz}$ ), 3.87 (s, 6H), 5.40 (brs, 1H), 6.71 (m, 2H), 6.82 (d, 1H,  $J = 8.7\text{Hz}$ ).

I-148

mp : 171-172 °C

$^1\text{H}$ -NMR ( $\text{CDCl}_3$ )  $\delta$  ppm:1.16-1.38 (m, 2H), 1.39 (s, 9H), 1.50-1.79 (m, 4H), 1.85-2.02 (m, 3H), 2.15-2.30 (m, 2H), 2.35-2.56 (m, 6H), 3.25 (m, 1H), 3.33 (q, 2H,  $J = 6.0\text{Hz}$ ), 3.63 (d, 1H,  $J = 9.0\text{Hz}$ ), 3.72 (t, 4H,  $J = 4.6\text{Hz}$ ), 6.77 (brs, 1H).

I-149

$^1\text{H}$ -NMR ( $\text{CDCl}_3$ )  $\delta$  ppm:1.20-1.36 (m, 2H), 1.28 (t, 3H,  $J = 7.2\text{Hz}$ ), 1.39 (s, 9H), 1.45-1.70 (m, 2H), 1.85-2.30 (m, 7H), 2.43 (s, 3H), 3.05-3.42 (m, 3H), 3.46-3.80 (m, 3H), 7.31 (d, 1H,  $J = 7.2\text{Hz}$ ), 7.40-7.52 (m, 3H), 8.18 (brs, 1H).

I-150

mp : 203-204 °C

$^1\text{H}$ -NMR ( $\text{CDCl}_3$ )  $\delta$  ppm:1.15-1.37 (m, 2H), 1.39 (s, 9H), 1.42-1.70 (m, 2H), 1.85-2.29 (m, 5H), 2.76 (t, 2H,  $J = 6.0\text{Hz}$ ), 3.26 (m, 1H), 3.49 (q, 2H,  $J = 6.0\text{Hz}$ ), 3.61 (m, 1H), 4.03 (s, 2H), 5.88 (brs, 1H), 7.15 (dd, 1H,  $J = 7.0, 8.8\text{Hz}$ ), 7.30-7.35 (m, 2H).

I-151

mp : 181-183 °C

$^1\text{H}$ -NMR ( $\text{CDCl}_3$ )  $\delta$  ppm:1.15-1.30 (m, 2H), 1.39 (s, 9H), 1.45-1.64 (m, 2H), 1.88-2.05 (m, 3H), 2.15-2.25 (m, 2H), 2.69 (t, 2H,  $J = 6.0\text{Hz}$ ), 3.28 (m, 1H), 3.47 (q, 2H,  $J = 6.0\text{Hz}$ ), 3.58 (d, 1H,  $J = 9.9\text{Hz}$ ), 3.87 (s, 2H), 5.83 (brs, 1H), 7.00 (m, 1H), 7.20 (m, 2H).

I-152

mp : 222-224 °C

$^1\text{H}$ -NMR ( $\text{CDCl}_3$ )  $\delta$  ppm:1.16-1.37 (m, 2H), 1.39 (s, 9H), 1.49-1.70 (m, 2H), 1.90-2.25 (m, 5H), 3.26 (m, 1H), 3.36 (t, 2H,  $J = 6.4\text{Hz}$ ), 3.66 (dt, 3H,  $J = 6.0, 6.4\text{Hz}$ ), 5.87 (t, 1H,  $J = 6.0\text{Hz}$ ), 7.58 (s, 1H), 7.68 (dd, 1H,  $J = 7.0, 8.5\text{Hz}$ ), 7.83 (dd, 1H,  $J = 7.0, 8.5\text{Hz}$ ), 8.19 (t, 2H,  $J = 8.5\text{Hz}$ ).

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I-153

mp : 207-209 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm:1.05-1.25 (m, 2H), 1.38 (s, 9H), 1.40-2.03 (m, 10H), 2.05-2.25 (m, 2H), 2.58 (s, 3H), 2.76 (m, 1H), 3.05-3.35 (m, 2H), 3.97 (d, 1H, J = 9.5Hz), 4.94 (t, 1H, J = 4.0Hz), 8.42 (d, 1H, J = 5.5Hz), 8.97 (d, 1H, J = 5.5Hz).

I-154

mp : 184-185 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm:1.05-1.25 (m, 2H), 1.37 (s, 9H), 1.50-1.69 (m, 2H), 1.85-2.05 (m, 3H), 2.10-2.21 (m, 2H), 3.24 (m, 1H), 3.64 (m, 1H), 4.87 (s, 1H), 4.88 (s, 1H), 5.67 (brs, 1H), 7.42 (d, 2H, J = 5.5Hz), 7.52 (m, 2H), 7.78 (m, 1H), 7.82 (m, 1H), 7.95 (d, 1H, J = 7.0Hz).

I-155

mp : 208-210 °C

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm:1.26 (s, 9H), 1.27-1.50 (m, 4H), 1.75-2.00 (m, 4H), 2.16 (m, 1H), 2.81 (s, 3H), 3.02 (m, 1H), 6.79 (d, 1H, J = 8.5Hz), 10.00 (s, 1H), 10.66 (s, 1H).

I-156

mp : 256-257 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm:1.20-1.39 (m, 2H), 1.41 (s, 9H), 1.60-1.81 (m, 2H), 2.01-2.35 (m, 5H), 2.69 (t, 2H, J = 6.0Hz), 3.11 (t, 2H, J = 6.0Hz), 3.30 (m, 1H), 3.61 (d, 1H, J = 9.3Hz), 7.21 (d, 1H, J = 8.0Hz), 7.31 (s, 1H), 7.70 (d, 1H, J = 8.0Hz), 7.99 (s, 1H).

I-157

mp : 269-271 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm:1.20-1.45 (m, 2H), 1.41 (s, 9H), 1.70-1.90 (m, 2H), 2.10-2.45 (m, 5H), 3.37 (m, 1H), 3.68 (m, 1H), 7.45 (dd, 1H, J = 4.0, 8.0Hz), 7.53 (brs, 1H), 7.72 (t, 1H, J = 8.0Hz), 7.83 (d, 1H, J = 8.0Hz), 8.02 (d, 1H, J = 8.0Hz), 8.18 (d, 1H, J = 8.0Hz), 8.93 (d, 1H, J = 4.0Hz).

I-158

mp : 253-255 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm:1.20-1.40 (m, 2H), 1.42 (s, 9H), 1.60-1.90 (m, 2H), 2.06-2.50 (m, 5H), 2.72 (s, 3H), 3.33 (m, 1H), 3.78 (d, 1H, J = 9.2Hz), 7.52 (t, 1H, J = 7.0Hz), 7.62-7.80 (m, 2H), 7.94 (brs, 1H), 8.05 (d, 1H, J = 8.5Hz), 8.20 (s, 1H).

I-159

mp : 253-255 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm:1.20-1.39 (m, 2H), 1.40 (s, 9H), 1.60-1.80 (m, 2H), 1.98-2.30 (m, 5H), 2.71 (s, 3H), 3.31 (m, 1H), 3.68 (d, 1H, J = 9.0Hz), 7.41 (brs, 1H), 7.61 (d, 2H, J = 9.0Hz), 7.70 (d, 2H, J = 9.0Hz).

I-160

mp : 211-212 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm:1.20-1.32 (m, 2H), 1.39 (t, 3H, J = 7.0Hz), 1.40 (s, 9H), 1.55-1.79 (m, 2H), 1.98-2.35 (m, 5H), 3.31 (m, 1H), 3.65 (d, 1H, J = 9.5Hz), 4.03 (q, 2H, J = 7.0Hz), 6.64 (d, 1H, J = 8.0Hz), 6.92 (d, 1H, J = 8.0Hz), 7.10 (s, 1H), 7.19 (t, 1H, J = 8.0Hz), 7.30 (brs, 1H).

I-161

mp : 202-203 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm:0.96 (t, 1H, J = 7.3Hz), 1.29-1.39 (m, 2H), 1.40 (s, 9H), 1.41-1.58 (m, 2H), 1.60-1.80 (m, 4H), 1.98-2.31 (m, 5H), 3.31 (m, 1H), 3.66 (d, 1H, J = 8.5Hz), 3.96 (t, 2H, J = 6.4Hz), 6.64 (d, 1H, J = 8.0Hz), 6.90 (d, 1H, J = 8.0Hz), 7.11 (s, 1H), 7.19 (t, 1H, J = 8.0Hz), 7.31 (brs, 1H).

I-162

mp : 177-180 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm:1.18-1.38 (m, 2H), 1.39 (s, 9H), 1.59-1.78 (m, 2H), 1.95-2.05 (m, 2H), 2.07-2.25 (m, 3H), 3.26 (m, 1H), 3.46 (s, 3H), 4.17 (d, 1H, J = 9.5Hz), 5.15 (s, 2H), 6.77 (d, 1H, J = 8.0Hz), 7.10-7.23 (m, 2H), 7.34 (s, 1H), 7.58 (s, 1H).

I-163

mp : 175-178 °C

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.27 (s, 9H), 1.28-1.50 (m, 4H), 1.78-2.00 (m, 4H), 2.22 (m, 1H), 2.96-3.15 (m, 2H), 6.67 (m, 1H), 6.79 (d, 1H, J = 8.5Hz), 7.18 (m, 2H), 7.38 (s, 1H), 9.81 (s, 1H).

I-164

mp : 232-233 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm:0.97(t, 3H, J = 7.3Hz), 1.22-1.30(m, 2H), 1.40(s, 9H), 1.44-1.51(m, 2H), 1.67-1.77(m, 4H), 2.02-2.24(m, 5H), 3.22(m, 1H), 3.62(d, 1H, J = 9.6Hz), 4.25(t, 2H, J = 6.8Hz), 6.71(d, 1H, J = 8.4Hz), 7.01(brs, 1H), 7.91(dd, 1H, J = 8.4, 3.3Hz), 8.08(d, 1H, J = 3.3Hz).

I-165

**EP 1 249 233 B9**

mp : 199-200 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm:0.96(t, 3H, J = 7.4Hz), 1.24-1.50(m, 4H), 1.40(s, 9H), 1.67-1.76(m, 3H), 2.03-2.08(m, 2H), 2.24-2.35(m, 3H), 3.29(m, 1H), 3.76(d, 1H, J = 9.1Hz), 3.91(t, 2H, J = 6.6Hz), 6.41(dd, 1H, J = 8.8, 2.5Hz), 6.55(d, 1H, J = 2.5Hz), 6.82(d, 1H, J = 8.8Hz), 7.43(s, 1H), 8.95(s, 1H).

5 I-166

mp : 215-218 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>+CD<sub>3</sub>OD) δ ppm:0.97(t, 3H, J = 7.4Hz), 1.24-1.40(m, 4H), 1.39(s, 9H), 1.42-1.50(m, 2H), 1.54-1.72(m, 2H), 1.76-1.82(m, 2H), 1.91-2.00(m, 2H), 2.06-2.22(m, 3H), 3.24(m, 1H), 4.00(t, 2H, J = 6.6Hz), 6.78(d, 1H, J = 8.8Hz), 6.98(dd, 1H, J = 8.8, 2.5Hz), 7.09(d, 1H, J = 8.8Hz).

10 I-167

mp : 212-213 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm:0.96(t, 3H, J = 7.5Hz), 1.26-1.34(m, 2H), 1.40(s, 9H), 1.45-1.50(m, 2H), 1.68-1.77(m, 4H), 2.03-2.08(m, 2H), 2.17(m, 1H), 2.26-2.29(m, 2H), 3.29(m, 1H), 3.60(d, 1H, J = 9.0Hz), 4.25(t, 2H, J = 6.8Hz), 6.71(d, 1H, J = 8.4Hz), 7.01(brs, 1H), 7.91(dd, 1H, J = 8.4, 3.3Hz), 8.08(d, 1H, J = 3.3Hz).

15 I-168

mp : 230-232 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm:1.22-1.35(m, 2H), 1.40(s, 9H), 1.63-1.77(m, 2H), 2.03-2.08(m, 2H), 2.15-2.29(m, 3H), 3.31(m, 1H), 3.63(d, 1H, J = 9.3Hz), 6.89(d, 1H, J = 9.4Hz), 7.10(brd, 2H, J = 7.4Hz), 7.12(brs, 1H), 7.18(t, 1H, J = 7.4Hz), 7.36(brt, 2H, J = 7.4Hz), 8.09-8.15(m, 2H).

20 I-169

mp: 159-160 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm:0.97(t, 3H, J = 7.3), 1.20-1.35(m, 2H), 1.40(s, 9H), 1.37-1.49(m, 2H), 1.61-1.78(m, 4H), 2.05-2.08(m, 2H), 2.23-2.26(m, 2H), 2.36(s, 3H), 2.97(brs, 1H), 3.32(m, 1H), 3.86(brs, 1H), 4.30(t, 2H, J = 6.5Hz), 6.25(s, 1H), 7.92(brs, 1H).

25 I-170

mp : 180-181 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm:0.88-0.89(m, 2H), 1.39(s, 9H), 1.42-1.60(m, 2H), 1.86-1.90(m, 2H), 2.04-2.09(m, 2H), 2.42(s, 3H), 2.91(m, 1H), 3.20(m, 1H), 3.63(d, 1H, J = 9.2Hz), 6.38(s, 1H), 7.15(m, 2H), 7.28(m, 1H), 7.45(m, 2H), 7.84(brs, 1H).

30 I-171

mp : 173-174°C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm:0.98(t, 3H, J = 7.5Hz), 1.29-1.40(m, 2H), 1.40(s, 9H), 1.55(m, 2H), 1.62-1.83(m, 4H), 2.09-2.12(m, 2H), 2.24-2.32(m, 3H), 3.32(m, 1H), 3.63(d, 1H, J = 9.5Hz), 3.99(t, 2H, J = 6.4Hz), 7.22(dd, 1H, J = 9.4, 2.7Hz), 7.66(d, 1H, J = 2.7Hz), 8.63(d, 1H, J = 9.4Hz), 10.17(s, 1H).

35 I-172

mp : 238-242 °C

<sup>1</sup>H-NMF (CDCl<sub>3</sub>) δ ppm:0.96(t, 3H, J = 7.3Hz), 1.23-1.52(m, 4H), 1.40(s, 9H), 1.61-1.78(m, 4H), 2.05-2.28(m, 5H), 3.30(m, 1H), 3.66(d, 1H, J = 9.4Hz), 3.84(brs, 2H), 3.90(t, 2H, J = 6.4Hz), 6.32-6.35(m, 2H), 6.96(brs, 1H), 6.97(d, 1H, J = 9.4Hz).

40 I-173

mp : 165-166 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm:1.23-1.26(m, 2H), 1.40(s, 9H), 1.67-1.72(m, 2H), 2.01-2.06(m, 2H), 2.11-2.28(m, 3H), 3.31(m, 1H), 3.60(s, 2H), 3.69(s, 3H), 4.02(brs, 1H), 7.01(d, 1H, J = 8.0Hz), 7.25(t, 1H, J = 8.0Hz), 7.43(d, 1H, J = 8.0Hz), 7.49(brs, 1H), 7.51(brs, 1H).

45 I-174

mp : 264-265 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>+CD<sub>3</sub>OD) δ ppm: 1.26-1.29(m, 2H), 1.39(s, 9H), 1.62-1.69(m, 2H), 1.96-2.00(m, 2H), 2.18-2.21(m, 3H), 3.25(m, 1H), 3.58(s, 2H), 7.01(d, 1H, J = 7.5Hz), 7.26(t, 1H, J = 7.5Hz), 7.42(brs, 1H), 7.50(d, 1H, J = 7.5Hz).

50 I-175

mp : 90-94 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm:1.16-1.23(m, 2H), 1.37(s, 9H), 1.44-1.56(m, 2H), 1.73-1.85(m, 3H), 2.11-2.15(m, 2H), 3.57(t, 2H, J = 6.4Hz), 3.21(m, 1H), 3.58(m, 2H), 3.84(d, 1H, J = 9.3Hz), 5.56(brs, 1H), 7.01(s, 1H), 7.11(t, 1H, J = 7.5Hz), 7.21(t, 1H, J = 7.5Hz), 7.38(d, 1H, J = 7.5Hz), 7.59(d, 1H, J = 7.5Hz), 8.24(brs, 1H).

55 I-176

mp : 116-118 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm:1.18-1.38 (m, 2H), 1.40 (s, 9H), 1.60-1.79 (m, 2H), 1.95-2.30 (m, 5H), 3.30 (m, 1H), 3.69 (m, 1H), 3.80 (s, 3H), 4.64 (s, 2H), 6.67 (d, 1H, J = 8.0Hz), 7.00 (d, 1H, J = 8.5Hz), 7.15-7.24 (m, 2H), 7.32 (brs, 1H).

I-177

**EP 1 249 233 B9**

mp : 219-220 °C

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.27 (s, 9H), 1.28-1.50 (m, 4H), 1.75-2.01 (m, 4H), 2.18-2.30 (m, 1H), 2.95-3.15 (m, 2H), 4.61 (s, 2H), 6.56 (m, 1H), 6.80 (d, 1H, J = 8.5Hz), 7.16 (m, 2H), 7.28 (brs, 1H), 9.87 (brs, 1H).

I-178

5 mp : 170-173 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.18-1.39 (m, 2H), 1.40 (s, 9H), 1.50-1.80 (m, 2H), 1.90-2.33 (m, 5H), 2.36 (s, 6H), 2.75 (t, 2H, J = 5.5 Hz), 3.30 (m, 1H), 3.70 (m, 1H), 4.08 (t, 2H, J = 5.5Hz), 6.68 (d, 1H, J = 8.0Hz), 6.94 (d, 1H, J = 7.5Hz), 7.15-7.23 (m, 2H), 7.33 (brs, 1H).

I-179

10 mp : 191-193 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.20-1.39 (m, 2H), 1.40 (s, 9H), 1.58-1.80 (m, 2H), 1.98-2.32 (m, 5H), 3.30 (m, 1H), 3.70 (d, 1H, J = 9.5 Hz), 4.77 (s, 2H), 6.73 (d, 1H, J = 8.0Hz), 7.04 (d, 1H, J = 8.0Hz), 7.20-7.31 (m, 2H), 7.48 (brs, 1H).

I-180

mp : 174-176 °C

15 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.10-1.30 (m, 2H), 1.40 (s, 9H), 1.45-1.65 (m, 2H), 1.81-2.02 (m, 3H), 2.15-2.30 (m, 2H), 2.58 (t, 2H, J = 6.5Hz), 3.25 (m, 1H), 3.37 (dt, 2H, J = 5.5, 6.5Hz), 3.60 (d, 1H, J = 9.5Hz), 3.71 (s, 2H), 5.73 (brs, 1H), 7.20-7.40 (m, 5H).

I-181

mp : 176-178 °C

20 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.15-1.30 (m, 2H), 1.39 (s, 9H), 1.45-1.70 (m, 6H), 1.85-2.01 (m, 3H), 2.15-2.28 (m, 2H), 2.63 (t, 2H, J = 7.0Hz), 3.25 (dt, 2H, J = 6.0, 7.0Hz), 3.27 (m, 1H), 3.63 (m, 1H), 5.35 (brs, 1H), 7.17 (m, 3H), 7.29 (m, 2H).

I-182

mp : 152-154 °C

25 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.15-1.30 (m, 2H), 1.39 (s, 9H), 1.45-1.65 (m, 2H), 1.85-2.05 (m, 3H), 2.09-2.25 (m, 2H), 3.25 (m, 1H), 3.45 (dt, 2H, J = 5.0, 5.0Hz), 3.55 (t, 2H, J = 5.0Hz), 3.60 (m, 1H), 4.51 (s, 2H), 5.81 (brs, 1H), 7.29-7.40 (m, 5H).

I-183

mp : 208-211 °C

30 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.20-1.31 (m, 2H), 1.39 (s, 9H), 1.62-1.68 (m, 2H), 1.98-2.25 (m, 5H), 3.30 (m, 1H), 3.57 (d, 1H, J = 9.2Hz), 4.59 (d, 2H, J = 5.8Hz), 5.76 (brs, 1H), 7.37 (dd, 1H, J = 8.4, 2.0Hz), 7.46-7.52 (m, 2H), 7.69 (brs, 1H), 7.78-7.83 (m, 3H).

I-184

mp : 180-182 °C

35 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.22-1.37 (m, 2H), 1.40 (s, 9H), 1.60-1.69 (m, 2H), 2.05-2.09 (m, 2H), 2.21-2.27 (m, 3H), 3.45 (m, 1H), 3.64 (d, 1H, J = 9.6Hz), 4.77 (d, 2H, J = 4.9Hz), 7.43 (d, 1H, J = 8.6Hz), 7.46 (brs, 1H), 7.61 (t, 1H, J = 7.7Hz), 7.73 (t, 1H, J = 7.7Hz), 7.87 (t, 1H, J = 7.7Hz), 8.20 (t, 1H, J = 7.7Hz), 8.24 (d, 1H, J = 8.6Hz).

I-185

mp : 260-261 °C

40 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.22-1.32 (m, 2H), 1.39 (s, 9H), 1.60-1.70 (m, 2H), 1.97-2.01 (m, 2H), 2.11 (m, 1H), 2.21-2.24 (m, 2H), 3.30 (m, 1H), 3.61 (d, 1H, J = 9.3Hz), 4.95 (d, 2H, J = 6.0Hz), 5.85 (brs, 1H), 7.33 (d, 1H, J = 4.8Hz), 7.62 (dd, 1H, J = 8.4, 6.9Hz), 7.75 (dd, 1H, J = 8.1, 6.9Hz), 8.00 (d, 1H, J = 8.1Hz), 8.20 (d, 1H, J = 8.4Hz), 8.42 (d, 1H, J = 4.8Hz).

I-186

mp : 231-233 °C

45 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.23-1.40 (m, 2H), 1.40 (s, 9H), 1.62-1.76 (m, 2H), 2.04-2.10 (m, 2H), 2.22-2.32 (m, 3H), 3.30 (m, 1H), 3.95 (d, 1H, J = 9.3Hz), 5.04 (d, 2H, J = 4.1Hz), 7.61 (d, 1H, J = 5.8Hz), 7.63 (brs, 1H), 7.65 (dd, 1H, J = 8.2, 6.9Hz), 7.73 (dd, 1H, J = 8.5, 6.9Hz), 7.86 (d, 1H, J = 8.2Hz), 8.10 (d, 1H, J = 8.5Hz), 8.42 (d, 1H, J = 5.8Hz).

I-187

mp : 184-187 °C

50 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.97 (t, 3H, J = 7.3 Hz), 1.18-1.30 (m, 2H), 1.39 (s, 9H), 1.42-1.65 (m, 4H), 1.70-1.80 (m, 2H), 1.94-2.08 (m, 3H), 2.18-2.26 (m, 2H), 3.29 (m, 1H), 3.61 (d, 1H, J = 9.5Hz), 3.93 (t, 2H, J = 6.4Hz), 4.39 (d, 2H, J = 5.5Hz), 5.67 (brs, 1H), 6.79-6.83 (m, 3H), 7.23 (t, 1H, J = 7.6Hz).

I-188

mp : 224-226 °C

55 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.16-1.31 (m, 2H), 1.38 (s, 9H), 1.55-1.70 (m, 2H), 1.92-2.07 (m, 3H), 2.17-2.23 (m, 2H), 3.21 (m, 1H), 3.81 (s, 3H), 3.83 (s, 6H), 4.05 (d, 1H, J = 9.8Hz), 4.34 (d, 2H, J = 5.8Hz), 5.96 (brs, 1H), 6.47 (s, 2H).

I-189

mp : 217-218 °C

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$^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 1.15-1.30(m, 2H), 1.37(s, 9H), 1.52-1.66(m, 2H), 1.90-2.06(m, 3H), 2.13-2.20(m, 2H), 2.93(s, 6H), 3.24(m, 1H), 3.94(d, 1H,  $J = 9.5\text{Hz}$ ), 4.30(d, 2H,  $J = 5.5\text{Hz}$ ), 5.73(brs, 1H), 6.69(d, 2H,  $J = 8.9\text{Hz}$ ), 7.12(d, 2H,  $J = 8.9\text{Hz}$ ).

I-190

5 mp : amorphous solid

$^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 1.17-1.32(m, 2H), 1.39(s, 9H), 1.54-1.72(m, 2H), 1.96-2.13(m, 3H), 2.18-2.27(m, 2H), 3.30(m, 1H), 3.63(d, 1H,  $J = 9.2\text{Hz}$ ), 4.51(d, 2H,  $J = 5.8\text{Hz}$ ), 5.82(brs, 1H), 7.40(d, 2H,  $J = 8.5\text{Hz}$ ), 8.02(d, 2H,  $J = 8.5\text{Hz}$ ), 8.64(s, 1H).

I-191

10 mp : 126-128 °C

$^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 0.97(t, 3H,  $J = 7.4\text{ Hz}$ ), 1.10-1.28(m, 2H), 1.36(s, 9H), 1.42-1.86(m, 9H), 2.06-2.18(m, 2H), 3.22(m, 1H), 3.95(t, 2H,  $J = 4.5\text{Hz}$ ), 4.16(brs, 1H), 4.85(s, 2H), 6.82-6.95(m, 3H), 7.26(t, 1H,  $J = 7.8\text{Hz}$ ), 8.54(brs, 1H).

I-192

15 mp : 178-181 °C

$^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 0.96(t, 3H,  $J = 7.3\text{ Hz}$ ), 1.18-1.52(m, 4H), 1.39(s, 9H), 1.58-1.76(m, 4H), 1.92-2.00(m, 2H), 2.02-2.29(m, 3H), 3.28(m, 1H), 3.78(d, 1H,  $J = 9.5\text{Hz}$ ), 3.89(t, 2H,  $J = 6.6\text{Hz}$ ), 6.00(brs, 1H), 6.78(s, 4H), 7.35(brs, 1H).

I-193

20 mp : 187-188 °C

$^1\text{H-NMR}$  ( $\text{CDCl}_3 + \text{CD}_3\text{OD}$ )  $\delta$  ppm: 1.21-1.40(m, 2H), 1.38(s, 9H), 1.52-1.69(m, 2H), 1.90-2.00(m, 2H), 2.02-2.20(m, 3H), 3.22(m, 1H), 3.75(s, 3H), 6.79(s, 4H).

I-194

25 mp : 251-253 °C

$^1\text{H-NMR}$  ( $\text{DMSO}-d_6$ )  $\delta$  ppm: 1.27(s, 9H), 1.24-1.50(m, 4H), 1.72-1.83(m, 2H), 1.91-1.99(m, 2H), 2.16(m, 1H), 3.02(m, 1H), 3.82(s, 3H), 6.79(d, 1H,  $J = 8.2\text{Hz}$ ), 7.01(d, 2H,  $J = 8.8\text{Hz}$ ), 7.85(d, 2H,  $J = 8.8\text{Hz}$ ), 9.72(brs, 1H), 8.64(brs, 1H).

I-195

30 mp : 183-185 °C

$^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 1.22-1.37(m, 2H), 1.40(s, 9H), 1.58-1.75(m, 2H), 2.05-2.10(m, 2H), 2.20-2.30(m, 3H), 3.32(m, 1H), 3.70(s, 2H), 3.73(s, 3H), 6.79(s, 1H), 8.83(brs, 1H).

I-196

35 mp : 185-187 °C

$^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 1.20-1.39 (m, 2H), 1.40 (s, 9H), 1.44 (t, 6H,  $J = 7.0\text{ Hz}$ ), 1.60-1.80 (m, 2H), 1.95-2.35 (m, 5H), 3.30 (m, 1H), 3.62 (d, 1H,  $J = 8.9\text{ Hz}$ ), 4.06 (q, 2H,  $J = 7.0\text{ Hz}$ ), 4.09 (q, 2H,  $J = 7.0\text{ Hz}$ ), 6.08 (s, 1H), 7.02 (s, 1H), 7.36 (s, 1H).

I-197

40 mp : 211-213 °C

$^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 1.20-1.40 (m, 2H), 1.41 (s, 9H), 1.60-1.80 (m, 2H), 2.00-2.36 (m, 5H), 2.61 (s, 3H), 3.32 (m, 1H), 3.64 (d, 1H,  $J = 9.2\text{ Hz}$ ), 7.28 (s, 1H), 7.43 (t, 1H,  $J = 7.5\text{ Hz}$ ), 7.69 (d, 1H,  $J = 7.5\text{ Hz}$ ), 7.85 (d, 1H,  $J = 7.5\text{ Hz}$ ), 8.02 (s, 1H).

I-198

45 mp : 268-269 °C

$^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$  ppm: 1.20-1.39 (m, 2H), 1.40 (s, 9H), 1.42-2.32 (m, 7H), 2.90-3.10 (m, 4H), 3.30 (m, 1H), 3.68 (d, 1H,  $J = 8.8\text{ Hz}$ ), 6.59 (s, 1H), 7.18 (d, 1H,  $J = 8.7\text{ Hz}$ ), 7.59 (d, 1H,  $J = 8.7\text{ Hz}$ ), 7.77 (brs, 1H).

I-199 mp : 221-224 °C

I-200 mp : 237-240 °C

50 I-201 mp : 87-90 °C

I-202 mp : 222-223 °C

I-203 mp : 255-257 °C

I-204 mp : 234-236 °C

I-205 mp : 208-210 °C

55 I-206 mp : 217-218 °C

I-207 mp : 275-279 °C

I-208 mp : 248-250 °C

I-209 mp : 256-258 °C

I-210 mp : 270-271 °C

I-211 mp : 219-220 °C

I-212 mp : 260-261 °C

I-213 mp : >300 °C

I-214 mp : 206-207 °C

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<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.93(t, 3H, J = 7.4 Hz), 1.30-1.42(m, 2H), 1.49(d, 6H, J = 6.9 Hz), 1.53-1.65(m, 2H), 2.61(t, 2H, J = 7.7 Hz), 4.15(sept, 1H, J = 6.9 Hz), 7.04(d, 1H, J = 8.2 Hz), 7.20(d, 2H, J = 8.2 Hz), 7.51(d, 2H, J = 8.2 Hz), 7.89(d, 1H, J = 8.8 Hz), 8.18(s, 1H), 10.55(s, 1H).

l-215

5 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.93(t, 3H, J = 7.3 Hz), 1.30-1.41(m, 2H), 1.52-1.63(m, 2H), 1.95(s, 6H), 2.61(t, 2H, J = 7.8 Hz), 6.99(brs, 1H), 7.20(d, 2H, J = 8.5 Hz), 7.65(d, 2H, J = 8.5 Hz), 7.93(dd, 1H, J = 8.5, 2.5 Hz), 8.28(d, 1H, J = 8.5 Hz), 8.55(d, 1H, J = 2.5 Hz), 9.76(brs, 1H).

la-1

mp 221-224 °C

10 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.19-1.38 (m, 2H), 1.40 (s, 9H), 1.62-1.77 (m, 2H), 2.00-2.31 (m, 5H), 3.18 (t, 4H, J = 4.8 Hz), 3.21-3.38 (m, 1H), 3.85 (t, 4H, J = 4.8 Hz), 6.64-6.32 (m, 2H), 7.11 (s, 1H), 7.20 (t, 1H, J = 7.8 Hz), 7.45 (s, 1H).

la-3

mp 87-90 °C

15 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.25 (d, 6H, J = 6.3 Hz), 1.37 (d, 6H, J = 6.9 Hz), 1.59-1.70 (m, 2H), 1.76-1.88 (m, 2H), 2.32-2.42 (m, 4H), 3.11-3.23 (m, 3H), 3.39 (d, 2H, J = 10.8 Hz), 3.74-3.86 (m, 2H), 4.34 (t, 1H, J = 9.0 Hz), 6.86 (d, 2H, J = 9.0 Hz), 7.30 (s, 1H), 7.40 (d, 2H, J = 9.0 Hz).

la-4

mp 233-234 °C

20 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.25 (d, 6H, J = 6.3 Hz), 1.40 (s, 9H), 1.26-1.37 (m, 2H), 1.62-1.78 (m, 2H), 2.00-2.22 (m, 5H), 2.42 (t, 2H, J = 11.7 Hz), 3.20-3.40 (m, 1H), 3.46 (d, 2H, J = 10.5 Hz), 3.67 (d, 1H, J = 9.3 Hz), 3.72-3.84 (m, 2H), 6.62-6.76 (m, 2H), 7.10 (s, 1H), 7.18 (t, 1H, J = 7.8 Hz), 7.42 (s, 1H).

la-5

mp 125-126 °C

25 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.25 (d, 6H, J = 6.3 Hz); 1.40 (s, 9H), 1.59-1.70 (m, 2H), 1.77-1.84 (m, 2H), 2.30-2.46 (m, 4H), 3.24 (q, 2H, J = 6.6 Hz), 3.38 (d, 2H, J = 11.7 Hz), 3.74-3.88 (m, 2H), 4.08 (t, 1H, J = 5.7 Hz), 6.87 (d, 2H, J = 8.7 Hz), 7.30 (s, 1H), 7.41 (d, 2H, J = 8.7 Hz).

la-6

mp 229-230 °C

30 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.25 (d, 6H, J = 6.3 Hz), 1.26-1.34 (m, 2H), 1.39 (d, 6H, J = 6.9 Hz), 1.61-1.77 (m, 2H), 1.98-1.26 (m, 5H), 2.32-2.46 (m, 2H), 3.15 (quintet, 1H, J = 6.6 Hz), 3.22-3.35 (m, 1H), 3.39 (d, 2H, J = 11.4 Hz), 3.74-3.92 (m, 2H), 3.88 (d, 1H, J = 8.4 Hz), 6.96-6.71 (m, 2H), 7.05 (brs, 1H), 7.39 (d, 2H, J = 9.3 Hz).

la-7

mp 253-254 °C

35 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.24-1.60 (m, 4H), 1.27 (s, 9H), 1.77-2.07 (m, 4H), 2.16-2.34 (m, 1H), 2.97-3.15 (m, 1H), 6.78 (d, 1H, J = 7.2 Hz), 7.01 (t, 1H, J = 6.0 Hz), 7.27 (t, 2H, J = 6.6 Hz), 7.58 (d, 2H, J = 7.5 Hz), 9.78 (s, 1H).

la-8

mp 257-258 °C

40 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.22-1.54 (m, 4H), 1.27 (s, 9H), 1.77-1.88 (m, 2H), 1.88-2.00 (m, 2H), 2.16-2.34 (m, 1H), 2.23 (s, 3H), 2.92-3.14 (m, 1H), 6.77 (d, 1H, J = 8.4 Hz), 7.07 (d, 2H, J = 8.4 Hz), 7.46 (d, 2H, J = 8.1 Hz), 9.68 (s, 1H).

la-9

mp 231-232 °C

45 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.21 (t, 3H, J = 7.5 Hz), 1.22-1.38 (m, 2H), 1.40 (s, 9H), 1.62-1.78 (m, 2H), 1.98-2.31 (m, 5H), 2.61 (q, 2H, J = 7.5 Hz), 3.24-3.38 (m, 1H), 3.70 (d, 1H, J = 9.9 Hz), 7.11 (s, 1H), 7.14 (d, 2H, J = 8.7 Hz), 7.40 (d, 2H, J = 8.7 Hz).

la-10

mp 233-234 °C

50 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.96 (t, 3H, J = 7.2 Hz), 1.20-1.37 (m, 2H), 1.40 (s, 9H), 1.56-1.78 (m, 4H), 1.98-2.32 (m, 5H), 2.54 (t, 2H, J = 7.2 Hz), 3.23-3.39 (m, 1H), 3.66 (d, 1H, J = 9.6 Hz), 7.08 (s, 1H), 7.12 (d, 2H, J = 8.4 Hz), 7.39 (d, 2H, J = 8.4 Hz).

la-11

mp 243-244 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.22 (d, 6H, J = 6.9), 1.22-1.77 (m, 4H), 1.40 (s, 9H), 2.01-2.30 (m, 5H), 2.83-2.92 (m, 1H), 3.24-3.40 (m, 1H), 3.66-3.69 (m, 1H), 7.09 (s, 1H), 7.17 (d, 2H, J = 8.4 Hz), 7.41 (d, 2H, J = 8.1 Hz).

la-12

55 mp 246-247 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.80 (t, 3H, J = 7.5), 1.20 (d, 3H, J = 7.2), 1.26-1.77 (m, 6H), 1.40 (s, 9H), 2.01-2.27 (m, 5H), 2.51-2.60 (m, 1H), 3.20-3.38 (m, 1H), 3.64-3.69 (m, 1H), 7.08 (s, 1H), 7.12 (d, 2H, J = 8.4 Hz), 7.41 (d, 2H, J = 8.4 Hz).

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la-13

mp 278-279 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.22-1.52 (m, 4H), 1.29 (s, 9H), 1.40 (s, 9H), 1.61-1.77 (m, 2H), 2.02-2.30 (m, 5H), 3.20-3.38 (m, 1H), 3.66-3.69 (m, 1H), 7.10 (s, 1H), 7.33 (d, 2H, J = 9.0 Hz), 7.42 (d, 2H, J = 8.7 Hz).

5 la-14

mp 263-264 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.24-1.51 (m, 4H), 1.27 (s, 9H), 1.82-1.99 (m, 4H), 2.19-2.28 (m, 1H), 2.98-3.12 (m, 1H), 6.78 (d, 1H, J = 8.7 Hz), 7.33 (d, 2H, J = 8.7 Hz), 7.61 (d, 2H, J = 9.0 Hz), 9.94 (s, 1H).

10 la-15

mp 209-210 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.25 (d, 6H, J = 6.3 Hz), 1.40 (s, 9H), 1.70-1.98 (m, 8H), 2.19-2.38 (m, 3H), 3.39 (d, 2H, J = 11.7 Hz), 3.58-3.92 (m, 3H), 4.12-4.26 (m, 1H), 6.82-6.96 (m, 2H), 7.10 (br, 1H), 7.41 (d, 2H, J = 8.1 Hz).

la-16

mp 238-240 °C

15 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.22-1.52 (m, 4H), 1.27 (s, 9H), 1.81-1.84 (m, 2H), 1.93-1.97 (m, 2H), 2.16-2.23 (m, 1H), 2.95-3.12 (m, 1H), 3.70 (s, 3H), 6.77 (d, 1H, J = 8.4 Hz), 6.85 (d, 2H, J = 9.0 Hz), 7.48 (d, 2H, J = 9.3 Hz), 9.64 (s, 1H).

la-17

mp 245-246 °C

20 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.22-1.52 (m, 4H), 1.27 (s, 9H), 1.83-1.87 (m, 2H), 1.94-1.99 (m, 2H), 2.20-2.28 (m, 1H), 2.98-3.12 (m, 1H), 6.78 (d, 1H, J = 8.7 Hz), 7.28 (d, 2H, J = 8.7 Hz), 7.69 (d, 2H, J = 9.0 Hz), 9.64 (s, 1H).

la-18

mp 240-241 °C

25 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.22-1.78 (m, 4H), 1.40 (s, 9H), 2.05-2.33 (m, 5H), 3.22-3.44 (m, 1H), 3.64-3.67 (m, 1H), 6.61 (s, 1H), 6.69-6.77 (m, 2H).

la-19

mp 240-241 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.24-1.77 (m, 4H), 1.40 (s, 9H), 2.05-2.30 (m, 5H), 3.22-3.38 (m, 1H), 3.70-3.74 (m, 1H), 7.00-7.15 (m, 3H), 7.36 (s, 1H), 8.29-8.34 (m, 1H).

la-20

mp 239-240 °C

30 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.24-1.78 (m, 4H), 1.40 (s, 9H), 2.02-2.30 (m, 5H), 3.22-3.40 (m, 1H), 3.63-3.66 (m, 1H), 6.89-6.84 (m, 1H), 7.10-7.17 (m, 2H), 7.22-7.34 (m, 1H), 7.48-7.51 (m, 1H).

la-21

mp 259-260 °C

35 <sup>1</sup>H-NMR (CDCl<sub>3</sub>/DMSO) δ ppm: 1.21 (d, 6H, J = 6.0 Hz), 1.22-1.44 (m, 2H), 1.40 (s, 9H), 1.60-1.78 (m, 2H), 1.87-2.03 (m, 2H), 2.08-2.29 (m, 3H), 2.39 (t, 2H, J = 10.2 Hz), 3.14-3.32 (m, 1H), 3.19 (d, 2H, J = 11.4 Hz), 3.77-3.93 (m, 2H), 5.33 (d, 1H, J = 9.0 Hz), 6.84 (dd, 1H, JFH, HH = 8.1, 8.1 Hz), 7.20 (d, 1H, J = 7.8 Hz), 7.49 (d, 1H, JFH = 14.7 Hz), 8.86 (s, 1H). la-22

mp 234-235 °C

40 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.20 (d, 6H, J = 5.7 Hz), 1.22-1.44 (m, 2H), 1.38 (s, 9H), 1.54-1.76 (m, 2H), 1.94-2.32 (m, 5H), 2.27 (s, 3H), 2.39 (t, 2H, J = 10.8 Hz), 2.87 (d, 2H, J = 11.4 Hz), 3.20-3.40 (m, 1H), 3.76-3.92 (m, 2H), 3.91 (d, 1H, J = 9.3 Hz), 6.93 (d, 1H, J = 8.1 Hz), 7.21 (brs, 1H), 7.27 (brs, 1H), 7.36 (brs, 1H).

la-23

mp 195-196 °C

45 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.20-1.44 (m, 4H), 1.41 (s, 9H), 1.59-1.76 (m, 2H), 2.03-2.14 (m, 2H), 2.15-2.33 (m, 3H), 3.20-3.40 (m, 1H), 3.64 (s, 1H, J = 9.0 Hz), 7.19-7.24 (m, 1H), 7.44 (brs, 1H), 7.52-7.63 (m, 2H), 8.17 (d, 1H, J = 8.7 Hz).

la-24

mp 209-210 °C

50 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.22-1.39 (m, 2H), 1.56 (s, 9H), 1.61-1.78 (m, 2H), 2.00-2.12 (m, 2H), 2.17-2.33 (m, 3H), 3.24-3.39 (m, 1H), 3.67 (d, 1H, J = 9.6 Hz), 6.90-7.01 (m, 1H), 7.21 (s, 1H), 7.95-8.06 (m, 1H).

la-25

mp 278-281 °C

55 <sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.10 (d, 6H, J = 6.3 Hz), 1.27 (s, 9H), 1.28-1.55 (m, 4H), 1.78-2.00 (m, 4H), 2.11-2.26 (m, 1H), 2.31 (t, 2H, J = 11.1 Hz), 3.00-3.10 (m, 1H), 3.08 (d, 1H, J = 10.8 Hz), 3.67-3.80 (m, 2H), 6.78 (d, 1H, J = 8.7 Hz), 7.08 (d, 1H, J = 9.0 Hz), 7.41 (dd, 1H, J = 2.4, 8.7 Hz), 7.78 (d, 1H, J = 8.7 Hz), 9.85 (s, 1H).

la-26

mp 253-255 °C

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.13 (d, 6H, J = 6.0 Hz), 1.27 (s, 9H), 1.28-1.52 (m, 4H), 1.78-2.00 (m, 4H), 2.21 (t, 2H,

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J = 11.1 Hz), 2.26-2.36 (m, 1H), 2.96-3.10 (m, 1H), 3.56 (d, 1H, J = 12.3 Hz), 3.60-3.72 (m, 2H), 6.66-6.84 (m, 4H), 7.47 (t, 1H, J = 9.3 Hz), 9.28 (s, 1H).

la-27

mp 223-226 °C

5 <sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.09 (d, 6H, J = 6.3 Hz), 1.27 (s, 9H), 1.28-1.54 (m, 4H), 1.77-2.01 (m, 4H), 2.32 (t, 2H, J = 11.1 Hz), 2.32-2.42 (m, 1H), 2.90 (d, 1H, J = 11.4 Hz), 2.96-3.12 (m, 1H), 3.76-3.92 (m, 2H), 6.78-6.98 (m, 3H), 7.68 (dd, 1H, J = 3.3, 8.7 Hz), 8.84 (s, 1H).

la-28

mp 237-238 °C

10 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.22-1.44 (m, 2H), 1.25 (d, 6H, J = 6.3 Hz), 1.40 (s, 9H), 1.61-1.79 (m, 2H), 2.05-2.32 (m, 5H), 2.21 (s, 3H), 2.38 (t, 2H, J = 10.2 Hz), 3.22-3.42 (m, 1H), 3.40 (d, 2H, J = 11.1 Hz), 3.65 (d, 1H, J = 9.3 Hz), 3.72-3.90 (m, 2H), 6.70-6.78 (m, 2H), 6.81 (brs, 1H), 7.50 (d, 1H, J = 9.6 Hz).

la-29

mp 208-209 °C

15 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.22 (d, 6H, J = 6.0 Hz), 1.23-1.40 (m, 2H), 1.40 (s, 9H), 1.60-1.78 (m, 2H), 2.00-2.16 (m, 2H), 2.14-2.33 (m, 3H), 2.45 (t, 2H, J = 11.1 Hz), 3.21 (d, 2H, J = 10.8 Hz), 3.24-3.38 (m, 1H), 3.63 (d, 1H, J = 9.3 Hz), 3.80-3.94 (m, 2H), 5.33 (d, 1H, J = 9.0 Hz), 6.66 (dd, 1H, JFH, HH = 6.6, 6.6 Hz), 7.16 (brs, 1H), 7.89 (dd, 1H, JFH, HH = 9.0, 9.0 Hz).

la-30

20 mp 284-287 °C

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.08 (d, 6H, J = 6.0 Hz), 1.26 (s, 9H), 1.28-1.53 (m, 4H), 1.82-2.22 (m, 4H), 2.25-2.39 (m, 1H), 2.78 (t, 2H, J = 10.5 Hz), 2.97-3.14 (m, 1H), 3.18 (d, 2H, J = 11.4 Hz), 3.65-3.76 (m, 2H), 6.79 (d, 1H, J = 8.7 Hz), 9.75 (s, 1H).

la-31

25 mp 200-201 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.22-1.40 (m, 2H), 1.40 (s, 9H), 1.62-1.76 (m, 2H), 2.04-2.32 (m, 5H), 3.22-3.40 (m, 1H), 3.62-3.66 (m, 1H), 7.22-7.24 (m, 1H), 7.38-7.38 (m, 1H), 7.60 (s, 1H), 8.33-8.36 (m, 1H).

la-32

mp 260-261 °C

30 <sup>1</sup>H-NMR (CDCl<sub>3</sub>/DMSO) δ ppm: 1.25-1.42 (m, 2H), 1.38 (s, 9H), 1.64 (q, 2H, J = 13.5 Hz), 1.95 (d, 2H, J = 12.3 Hz), 2.16 (d, 2H, J = 10.5 Hz), 2.18-2.32 (m, 1H), 3.14-3.30 (m, 1H), 5.53 (d, 1H, J = 9.0 Hz), 7.31 (d, 1H, J = 8.7 Hz), 7.46 (dd, 1H, J = 2.4, 8.7 Hz), 7.90 (d, 1H, J = 2.1 Hz), 9.35 (s, 1H).

la-33

mp 227 °C

35 <sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.27 (s, 9H), 1.30-1.56 (m, 4H), 1.78-2.01 (m, 2H), 2.12-2.36 (m, 2H), 2.96-3.13 (m, 1H), 3.70 (s, 3H), 3.71 (s, 3H), 6.77 (d, 1H, J = 8.7 Hz), 6.85 (d, 1H, J = 8.7 Hz), 7.06 (dd, 1H, J = 2.4, 8.7 Hz), 7.33 (d, 1H, J = 2.4 Hz), 9.65 (s, 1H).

la-35

mp 214-216 °C

40 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.23-1.38 (m, 2H), 1.40 (s, 9H), 1.6a-1.76 (m, 2H), 2.00-2.12 (m, 2H), 2.20-2.32 (m, 3H), 3.24-3.39 (m, 1H), 3.68 (d, 1H, J = 9.0 Hz), 6.77 (d, 1H, J = 8.7 Hz), 7.00 (dd, 1H, J = 2.4, 8.7 Hz), 7.77 (s, 1H), 8.45 (d, 1H, J = 2.4 Hz).

la-36

mp 241-242 °C

45 <sup>1</sup>H-NMR (CDCl<sub>3</sub>/DMSO) δ ppm: 1.25-1.42 (m, 2H), 1.37 (s, 9H), 1.62 (q, 2H, J = 11.7 Hz), 1.93 (d, 2H, J = 12.0 Hz), 2.12 (d, 2H, J = 10.8 Hz), 2.16-2.30 (m, 1H), 3.12-3.28 (m, 1H), 3.84 (s, 3H), 6.07 (d, 1H, J = 8.4 Hz), 6.89 (dd, 1H, JFH, HH = 9.3, 9.3 Hz), 7.24 (d, 1H, J = 8.7 Hz), 7.55 (d, 1H, JFH = 13.5 Hz), 9.32 (s, 1H).

la-37

mp 248-249 °C

50 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.60-0.73 (m, 1H), 0.91 (d, 6H, J = 6.6), 1.12-1.40 (m, 2H), 1.40 (s, 9H), 1.54-1.88 (m, 5H), 1.98-2.29 (m, 7H), 3.22-3.37 (m, 1H), 3.51-3.54 (m, 2H), 3.72 (d, 1H, J = 9.6), 6.88 (d, 1H, J = 8.7), 7.06 (s, 1H), 7.35 (d, 1H, J = 9.0).

la-38

mp 237-238 °C

55 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.01 (d, 6H, J = 6.6), 1.20-1.40 (m, 2H), 1.40 (s, 9H), 1.60-1.74 (m, 4H), 1.99-2.28 (m, 7H), 2.69-2.82 (m, 2H), 3.02-3.14 (m, 2H), 3.20-3.38 (m, 1H), 3.80-3.90 (m, 1H), 6.83-6.86 (m, 2H), 7.14 (s, 1H), 7.34 (d, 1H, J = 8.4).

la-39

## EP 1 249 233 B9

mp 234-235 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.20-1.36 (m, 2H), 1.40 (s, 9H), 1.60-1.77 (m, 2H), 1.90-2.32 (m, 5H), 3.21-3.39 (m, 1H), 3.65 (d, 1H, J = 9.6 Hz), 6.87 (d, 1H, J = 8.7 Hz), 7.04 (s, 1H), 7.37 (dd, 1H, J = 2.7, 8.7 Hz), 7.56 (d, 1H, J = 2.7 Hz).

la-40

mp 257-258 °C

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.14 (d, 6H, J = 6.0 Hz), 1.27 (s, 9H), 1.28-1.53 (m, 4H), 1.78-2.00 (m, 4H), 2.13-2.256 (m, 1H), 2.30 (t, 2H, J = 11.7 Hz), 2.97-3.12 (m, 1H), 3.53-3.67 (m, 2H), 4.01 (d, 1H, J = 12.3 Hz), 6.80 (dd, 1H, J = 3.0, 9.0 Hz), 7.79 (d, 1H, J = 9.0 Hz), 8.27 (s, 1H), 9.66 (s, 1H).

la-41

mp 245-246 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>/DMSO) δ ppm: 1.25-1.42 (m, 2H), 1.37 (s, 9H), 1.62 (q, 2H, J = 12.6 Hz), 1.94 (d, 2H, J = 11.1 Hz), 2.13 (d, 2H, J = 11.1 Hz), 2.18-2.35 (m, 1H), 3.11-3.29 (m, 1H), 6.07 (d, 1H, J = 8.1 Hz), 6.95-7.06 (m, 1H), 7.14-7.27 (m, 1H), 7.44 (d, 1H, J = 7.2 Hz), 7.79 (s, 1H), 9.48 (s, 1H).

la-43

mp 294-295 °C

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.26 (s, 9H), 1.28-1.53 (m, 4H), 1.76-1.87 (m, 2H), 1.89-2.00 (m, 2H), 2.13-2.25 (m, 1H), 2.96-3.10 (m, 5H), 3.52-3.60 (m, 4H), 6.78 (d, 1H, J = 9.0 Hz), 6.88 (d, 2H, J = 9.0 Hz), 7.44 (d, 2H, J = 9.0 Hz), 9.59 (s, 1H).

la-44

mp 250-252 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.13 (d, 6H, J = 6.3 Hz), 1.21-1.38 (m, 2H), 1.41 (s, 9H), 1.63-1.80 (m, 2H), 1.93 (t, 2H, J = 10.8 Hz), 2.00-2.10 (m, 2H), 2.16-2.32 (m, 3H), 3.24-3.39 (m, 1H), 3.54 (d, 2H, J = 10.2 Hz), 3.64-3.78 (m, 3H), 7.47 (s, 1H), 7.69 (d, 2H, J = 9.0 Hz), 7.73 (d, 2H, J = 9.0 Hz).

la-45

mp 193 °C

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.10 (t, 6H, J = 7.2 Hz), 1.26 (s, 9H), 1.28-1.52 (m, 4H), 1.75-1.86 (m, 2H), 1.89-2.01 (m, 2H), 2.10-2.22 (m, 1H), 2.96-3.10 (m, 1H), 3.30-3.52 (m, 12H), 6.60 (d, 2H, J = 9.0 Hz), 6.80 (d, 1H, J = 9.0 Hz), 7.33 (d, 2H, J = 9.0 Hz), 9.46 (s, 1H).

la-46

mp >300 °C

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.28 (s, 9H), 1.28-1.58 (m, 4H), 1.83-2.04 (m, 4H), 2.23-2.36 (m, 1H), 2.46 (s, 3H), 3.00-3.14 (m, 1H), 6.79 (d, 1H, J = 8.7 Hz), 7.34 (d, 1H, J = 8.7 Hz), 7.78 (d, 2H, J = 8.7 Hz), 7.89 (d, 1H, J = 8.4 Hz), 7.91 (s, 1H), 8.00 (d, 2H, J = 8.7 Hz), 10.13 (s, 1H).

la-47

mp 236-237 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.97 (d, 6H, J = 6.6 Hz), 1.01 (d, 6H, J = 6.6 Hz), 1.20-1.37 (m, 2H), 1.40 (s, 9H), 1.60-1.84 (m, 3H), 1.97-2.31 (m, 5H), 2.50 (t, 1H, J = 10.8 Hz), 2.78 (dt, 1H, J = 3.3, 11.4 Hz), 3.25-3.38 (m, 1H), 3.45 (d, 1H, J = 11.4 Hz), 3.75 (dt, 1H, J = 2.4, 11.4 Hz), 4.02 (dt, 1H, J = 2.4, 11.4 Hz), 6.88 (d, 2H, J = 9.0 Hz), 7.05 (s, 1H), 7.39 (d, 2H, J = 9.0 Hz).

la-48

mp 228-229 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.88 (t, 6H, J = 7.2 Hz), 1.19-1.45 (m, 4H), 1.40 (s, 9H), 1.45-1.76 (m, 4H), 1.76-1.92 (m, 1H), 1.96-2.30 (m, 5H), 2.66-3.20 (m, 3H), 3.20-3.40 (m, 1H), 3.78 (d, 1H, J = 9.3 Hz), 3.82 (s, 1H), 6.62-6.98 (m, 2H), 7.09 (brs, 1H), 7.37 (d, 2H, J = 7.8 Hz).

la-49

mp 262-263 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>/DMSO) δ ppm: 1.21 (d, 6H, J = 5.7 Hz), 1.26-1.34 (m, 2H), 1.37 (d, 6H, J = 5.4 Hz), 1.52-1.76 (m, 2H), 1.85-2.03 (m, 2H), 2.03-2.30 (m, 3H), 2.30-2.53 (m, 2H), 3.02-3.33 (m, 4H), 3.75-3.98 (m, 2H), 5.70 (brs, 1H), 6.73-6.98 (m, 1H), 7.14-7.25 (m, 1H), 7.52 (d, 1H, JFH = 13.5 Hz), 8.86 (brs, 1H).

la-50

mp 232-233 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.21 (d, 6H, J = 6.3 Hz), 1.22-1.37 (m, 2H), 1.38 (d, 6H, J = 6.9 Hz), 1.68 (q, 2H, J = 12.6 Hz), 1.98-2.26 (m, 5H), 2.29 (s, 3H), 2.41 (t, 2H, J = 10.2 Hz), 2.88 (d, 2H, J = 11.1 Hz), 3.15 (septet, 1H, J = 6.6 Hz), 3.21-3.37 (m, 1H), 3.77-3.92 (m, 2H), 3.87 (d, 1H, J = 7.8 Hz), 6.88-7.06 (m, 3H), 7.35 (s, 1H).

la-51

mp 211-212 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.20-1.42 (m, 2H), 1.26 (d, 6H, J = 6.3 Hz), 1.38 (d, 6H, J = 6.9 Hz), 1.62-1.78 (m, 2H), 1.99-2.28 (m, 5H), 2.49 (dd, 2H, J = 10.5, 10.5 Hz), 3.17 (quint, 1H, J = 6.9 Hz), 3.20-3.38 (m, 1H), 3.66-3.99 (m,

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2H), 3.90-4.01 (m, 3H), 6.62 (d, 1H, J = 9.0 Hz), 7.06 (s, 1H), 7.90 (dd, 1H, J = 2.4, 9.0 Hz), 8.09 (d, 1H, J = 2.4 Hz).

la-52

mp 247-249 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.21-1.36 (m, 2H), 1.40 (s, 9H), 1.62-1.78 (m, 2H), 1.98-2.32 (m, 5H), 2.55 (t, 4H, J = 6.0 Hz), 3.23-3.38 (m, 1H), 3.55 (t, 4H, J = 6.0 Hz), 3.72 (d, 1H, J = 9.6 Hz), 6.94 (d, 2H, J = 9.0 Hz), 7.10 (s, 1H), 7.42 (d, 1H, J = 9.0 Hz).

la-53

mp 234-235 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.22-1.38 (m, 2H), 1.41 (s, 9H), 1.64-1.80 (m, 2H), 2.00-2.32 (m, 5H), 3.25-3.40 (m, 1H), 3.73 (d, 1H, J = 9.3 Hz), 7.43 (s, 1H), 7.48 (t, 2H, J = 7.5 Hz), 7.55-7.66 (m, 3H), 7.68-7.89 (m, 4H).

la-54

mp 235-236 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.24-1.39 (m, 2H), 1.25 (d, 6H, J = 6.3 Hz), 1.39 (d, 6H, J = 6.9 Hz), 1.60-1.80 (m, 2H), 2.00-2.28 (m, 5H), 2.21 (s, 3H), 2.38 (t, 2H, J = 10.8 Hz), 3.15 (septet, 1H, J = 6.3 Hz), 3.23-3.38 (m, 1H), 3.40 (d, 2H, J = 11.7 Hz), 3.72-3.88 (m, 2H), 3.87 (d, 1H, J = 9.3 Hz), 6.78-6.86 (m, 3H), 7.50 (d, 1H, J = 9.6 Hz).

la-55

mp 185-186 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.14 (d, 6H, J = 6.3 Hz), 1.22-1.38 (m, 2H), 1.41 (s, 9H), 1.62-1.78 (m, 2H), 2.02 (t, 2H, J = 10.5 Hz), 2.02-2.10 (m, 2H), 2.16-2.31 (m, 3H), 3.24-3.39 (m, 1H), 3.56 (d, 2H, J = 9.3 Hz), 3.63-3.80 (m, 3H), 7.46 (dd, 1H, J = 1.5, 8.1 Hz), 7.51 (t, 1H, J = 8.1 Hz), 7.63 (s, 1H), 7.81 (t, 1H, J = 1.8 Hz), 7.98 (dt, 1H, J = 1.8, 8.1 Hz).

la-56

mp 229-230 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.27 (s, 9H), 1.28-1.54 (m, 4H), 1.38 (s, 6H), 1.78-1.84 (m, 2H), 1.90-2.00 (m, 2H), 2.15-2.30 (m, 1H), 2.97-3.13 (m, 1H), 4.90 (s, 1H), 6.79 (d, 1H, J = 9.0 Hz), 7.34 (d, 2H, J = 8.7 Hz), 7.48 (d, 2H, J = 8.4 Hz), 9.72 (s, 1H).

la-57

mp 211-212 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>/DMSO) δ ppm: 1.24-1.40 (m, 2H), 1.38 (s, 9H), 1.57-1.74 (m, 2H), 1.91 (s, 3H), 1.92-2.01 (m, 2H), 2.12-2.24 (m, 2H), 2.51 (brs, 1H), 3.18-3.33 (m, 1H), 4.96 (d, 1H, J = 9.3 Hz), 7.16-7.53 (m, 9H), 7.41 (s, 1H).

la-58

mp 298-299 °C

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.24 (s, 9H), 1.27 (s, 9H), 1.28-1.54 (m, 4H), 1.75-2.02 (m, 4H), 2.14-2.28 (m, 1H), 2.97-3.11 (m, 1H), 6.78 (d, 1H, J = 8.4 Hz), 7.18 (d, 2H, J = 9.0 Hz), 7.48 (d, 2H, J = 9.0 Hz), 9.46 (s, 1H), 9.76 (s, 1H).

la-59

mp 253-254 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.22-1.40 (m, 2H), 1.41 (s, 9H), 1.65-1.81 (m, 2H), 2.04-2.16 (m, 2H), 2.22-2.36 (m, 2H), 3.24-3.41 (m, 1H), 3.74 (d, 1H, J = 9.6 Hz), 7.40-7.54 (m, 3H), 7.88-8.01 (m, 3H), 8.66 (d, 1H, J = 1.5 Hz), 9.57 (d, 1H, J = 1.2 Hz).

la-60

mp 213-214 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.32-1.50 (m, 2H), 1.35 (s, 9H), 1.52-1.70 (m, 2H), 1.88-2.00 (m, 2H), 2.04-2.16 (m, 2H), 2.22-2.38 (m, 1H), 2.65 (s, 3H), 2.99-3.15 (m, 1H), 6.46 (d, 1H, J = 9.3 Hz), 7.28 (d, 1H, J = 9.0 Hz), 7.81 (s, 1H), 8.20 (s, 1H), 8.47 (s, 1H), 9.89 (s, 1H).

la-61

mp 274-275 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.27 (s, 1H), 1.28-1.58 (m, 4H), 1.84-2.08 (m, 4H), 2.22-2.40 (m, 1H), 2.99-3.15 (m, 1H), 3.01 (s, 3H), 6.81 (d, 1H, J = 8.1 Hz), 7.78 (d, 2H, J = 7.8 Hz), 7.84 (d, 2H, J = 8.4 Hz), 8.18 (s, 1H), 10.43 (s, 1H).

la-62

mp 235-236 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.22-1.39 (m, 2H), 1.41 (s, 3H), 1.66-1.80 (m, 2H), 2.01-2.12 (m, 2H), 2.14-2.22 (m, 1H), 2.23-2.34 (m, 2H), 3.24-3.42 (m, 1H), 3.69 (d, 1H, J = 9.5 Hz), 6.44 (d, 1H, J = 9.3 Hz), 7.27 (brs, 1H), 7.28 (d, 1H, J = 9.3 Hz), 7.37 (dd, 1H, J = 2.4, 9.0 Hz), 7.68 (d, 1H, J = 9.6 Hz), 8.04 (d, 1H, J = 2.4 Hz).

la-63

mp 277-279 °C

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.27 (s, 9H), 1.28-1.54 (m, 4H), 1.77-2.02 (m, 4H), 2.15-2.29 (m, 1H), 2.90 (s, 3H), 2.96-3.13 (m, 1H), 6.79 (d, 1H, J = 8.7 Hz), 7.12 (d, 2H, J = 9.0 Hz), 7.54 (d, 2H, J = 9.0 Hz), 9.50 (s, 1H), 9.81 (s, 1H).

la-64

## EP 1 249 233 B9

mp 259-260 °C

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.26 (s, 9H), 1.26-1.50 (m, 4H), 1.74-1.99 (m, 4H), 2.10-2.25 (m, 1H), 2.95-3.10 (m, 1H), 6.78 (d, 1H, J = 8.7 Hz), 6.97 (d, 2H, J = 9.0 Hz), 7.42 (d, 2H, J = 9.0 Hz), 7.50-7.71 (m, 5H), 9.73 (s, 1H), 10.05 (s, 1H).

5 la-65

mp 292-293 °C

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.27 (s, 9H), 1.28-1.54 (m, 4H), 1.62-1.72 (m, 2H), 1.77-1.87 (m, 2H), 1.91-2.10 (m, 4H), 2.13-2.25 (m, 1H), 2.98-3.12 (m, 1H), 3.41-3.52 (m, 2H), 5.09 (s, 1H), 6.79 (d, 1H, J = 9.0 Hz), 6.91 (d, 2H, J = 9.0 Hz), 7.37 (d, 2H, J = 9.0 Hz), 7.42 (d, 2H, J = 9.0 Hz), 7.51 (d, 2H, J = 9.0 Hz), 9.56 (s, 1H).

10 la-66

mp >300 °C

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.27 (s, 9H), 1.28-1.58 (m, 4H), 1.85-2.02 (m, 4H), 2.40-2.52 (m, 1H), 3.00-3.16 (m, 1H), 6.81 (d, 1H, J = 9.0 Hz), 7.50-7.58 (m, 3H), 7.90-7.97 (m, 2H), 12.58 (s, 1H).

la-67

15 mp 199-200 °C

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.14 (d, 6H, J = 6.3 Hz), 1.28 (s, 9H), 1.31-1.48 (m, 4H), 1.76-1.88 (m, 2H), 2.17 (t, 2H, J = 11.1 Hz), 2.82 (t, 2H, J = 11.7 Hz), 3.46 (d, 2H, J = 11.4 Hz), 3.20-3.36 (m, 1H), 3.62-3.74 (m, 2H), 4.02 (d, 2H, J = 12.9 Hz), 6.83 (d, 2H, J = 9.0 Hz), 6.89 (d, 1H, J = 8.7 Hz), 7.28 (d, 2H, J = 9.0 Hz), 8.27 (s, 1H).

la-68

20 mp 237-239 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>/DMSO) δ ppm: 1.40 (s, 9H), 1.49-1.65 (m, 2H), 1.99-2.10 (m, 2H), 2.95 (t, 2H, J = 11.1 Hz), 3.36-3.52 (m, 1H), 4.17 (d, 1H, J = 12.9 Hz), 5.84 (d, 1H, J = 8.7 Hz), 6.39 (d, 1H, J = 9.6 Hz), 7.21 (d, 1H, J = 9.3 Hz), 7.51 (dd, 1H, J = 2.4, 9.3 Hz), 7.72 (d, 1H, J = 9.9 Hz), 7.85 (d, 1H, J = 2.7 Hz), 8.04 (s, 1H).

la-69

25 mp 259-260 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.25-1.55 (m, 4H), 1.27 (s, 9H), 1.82-2.05 (m, 4H), 2.22-2.36 (m, 1H), 2.98-3.17 (m, 1H), 4.16 (s, 3H), 6.80 (d, 1H, J = 8.4 Hz), 7.77-7.87 (m, 4H), 10.16 (s, 1H).

la-70

mp 259-260 °C

30 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.28 (s, 9H), 1.36-1.56 (m, 2H), 1.80-1.92 (m, 2H), 2.86-3.02 (m, 2H), 3.36-3.52 (m, 1H), 4.04-4.20 (m, 2H), 6.92 (d, 1H, J = 7.5 Hz), 7.38-7.58 (m, 3H), 8.00-8.14 (m, 2H), 8.90 (s, 1H), 9.08 (s, 1H), 9.63 (s, 1H).

la-71

mp 228.229 °C

35 <sup>1</sup>H-NMR (CDCl<sub>3</sub>/DMSO) δ ppm: 1.27-1.42 (m, 2H), 1.38 (s, 9H), 1.57-1.75 (m, 2H), 1.90-2.02 (m, 2H), 2.12-2.34 (m, 3H), 3.14-3.32 (m, 1H), 5.37 (d, 1H, J = 9.3 Hz), 7.38-7.43 (m, 3H), 7.46 (d, 2H, J = 8.7 Hz), 7.51-7.60 (m, 2H), 7.68 (d, 2H, J = 9.0 Hz), 9.33 (s, 1H).

la-75

mp 169-170 °C

40 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.58-0.72 (m, 1H), 0.80 (d, 3H, J = 6.6 Hz), 0.94 (d, 3H, J = 6.0 Hz), 1.14-1.35 (m, 3H), 1.39 (s, 9H), 1.48-1.66 (m, 2H), 1.74-2.06 (m, 5H), 2.06-2.44 (m, 6H), 3.18-3.35 (m, 1H), 3.64-3.74 (m, 1H), 4.46-4.60 (m, 1H), 6.98-7.38 (m, 5H).

la-76

mp 236-237 °C

45 <sup>1</sup>H-NMR (CDCl<sub>3</sub>/DMSO) δ ppm: 1.27-1.42 (m, 2H), 1.38 (d, 6H, J = 6.6 Hz), 1.60-1.78 (m, 2H), 1.94-2.06 (m, 2H), 2.12-2.30 (m, 3H), 3.06-3.34 (m, 2H), 5.10 (brs, 1H), 6.41 (d, 1H, J = 9.9 Hz), 7.25 (d, 1H, J = 8.4 Hz), 7.48 (dd, 1H, J = 2.4, 8.7 Hz), 7.68 (d, 1H, J = 9.9 Hz), 8.12 (d, 1H, J = 2.4 Hz), 8.88 (brs, 1H).

la-77

mp 117-118 °C

50 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.38 (d, 6H, J = 6.9 Hz), 1.65 (quintet, 2H, J = 5.4 Hz), 1.75-1.91 (m, 2H), 2.42 (t, 2H, J = 7.4 Hz), 3.10-3.24 (m, 3H), 4.77 (brs, 1H), 6.41 (d, 1H, J = 9.6 Hz), 7.18-7.26 (m, 1H), 7.48 (dd, 1H, J = 1.8, 8.7 Hz), 7.67 (d, 1H, J = 9.9 Hz), 8.01 (s, 1H), 8.23 (brs, 1H).

la-78

mp 138-139 °C

55 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.41 (s, 9H), 1.64 (quintet, 2H, J = 6.6 Hz), 1.84 (quintet, 2H, J = 7.3 Hz), 2.42 (t, 2H, J = 7.5 Hz), 3.26 (q, 2H, J = 6.5 Hz), 4.59 (brs, 1H), 6.41 (d, 1H, J = 9.3 Hz), 7.23 (d, 1H, J = 8.7 Hz), 7.49 (dd, 1H, J = 2.4, 9.0 Hz), 7.67 (d, 1H, J = 9.9 Hz), 8.03 (d, 1H, J = 2.4 Hz), 8.28 (brs, 1H).

la-79

mp 289-290 °C

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<sup>1</sup>H-NMR (DMSO) δ ppm: 1.24-1.63 (m, 4H), 1.28 (s, 9H), 1.84-2.08 (m, 4H), 2.24-2.41 (m, 1H), 3.00-3.16 (m, 1H), 6.82 (d, 1H, J = 8.1 Hz), 7.36-7.60 (m, 5H), 7.86-7.99 (m, 2H), 8.28 (s, 1H), 10.50 (s, 1H).

la-80

mp 239-240 °C

5 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.22 (d, 1H, J = 6.6 Hz), 1.23-1.40 (m, 2H), 1.40-1.59 (m, 2H), 1.83-2.04 (m, 4H), 2.23-2.39 (m, 1H), 2.98-3.23 (m, 2H), 7.00 (d, 1H, J = 7.8 Hz), 7.36-7.59 (m, 5H), 7.85-7.97 (m, 2H), 8.29 (s, 1H), 10.50 (s, 1H).

la-81

mp 205-206 °C

10 <sup>1</sup>H-NMR (CDCl<sub>3</sub>/DMSO) δ ppm: 1.40 (s, 9H), 1.66 (quintet, 2H, J = 7.0 Hz), 1.85 (quintet, 2H, J = 7.2 Hz), 2.45 (t, 2H, J = 7.5 Hz), 3.24 (t, 2H, J = 6.5 Hz), 5.17 (brs, 1H), 7.36-7.54 (m, 5H), 7.85 (d, 1H, J = 8.4 Hz), 8.07 (dd, 1H, J = 1.8, 8.1 Hz), 8.23 (d, 1H, J = 1.8 Hz), 9.61 (s, 1H).

la-82

mp 216-217 °C

15 <sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.14 (d, 6H, J = 6.3 Hz), 1.22 (d, 6H, J = 6.9 Hz), 1.22-1.53 (m, 4H), 1.76-1.98 (m, 2H), 2.21 (t, 2H, J = 10.8 Hz), 2.22-2.36 (m, 1H), 2.96-3.20 (m, 2H), 3.57 (d, 2H, J = 12.0 Hz), 3.60-3.74 (m, 1H), 6.66-6.85 (m, 2H), 6.98 (d, 1H, J = 7.8 Hz), 7.47 (d, 1H, J = 8.7 Hz), 9.30 (s, 1H).

la-83

mp 118-119 °C

20 <sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.41 (d, 6H, J = 6.3 Hz), 1.26 (s, 9H), 1.40-1.67 (m, 4H), 2.17-2.36 (m, 3H), 2.97-3.10 (m, 2H), 3.57 (d, 2H, J = 12.0 Hz), 3.61-3.74 (m, 1H), 6.67-6.92 (m, 3H), 7.48 (t, 1H, J = 9.0 Hz), 9.37 (s, 1H).

la-84

mp 265-267 °C

25 <sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.21 (d, 6H, J = 6.6 Hz), 1.20-1.57 (m, 4H), 1.60-2.30 (m, 9H), 2.99-3.20 (m, 4H), 3.40-3.52 (m, 2H), 5.09 (s, 1H), 6.91 (d, 2H, J = 8.7 Hz), 6.98 (d, 1H, J = 7.5 Hz), 7.37 (d, 2H, J = 8.7 Hz), 7.42 (d, 2H, J = 8.7 Hz), 7.51 (d, 2H, J = 8.7 Hz), 9.56 (s, 1H).

la-85

mp 185-186 °C

30 <sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.26 (s, 9H), 1.42-1.72 (m, 6H), 1.96-2.10 (m, 2H), 2.26 (t, 2H, J = 6.9 Hz), 2.96-3.12 (m, 4H), 3.41-3.52 (m, 2H), 5.09 (s, 1H), 6.88 (d, 1H, J = 8.7 Hz), 6.92 (d, 2H, J = 9.0 Hz), 7.37 (d, 2H, J = 8.7 Hz), 7.43 (d, 2H, J = 9.0 Hz), 7.52 (d, 2H, J = 8.7 Hz), 9.63 (s, 1H).

la-86

mp 162-164 °C

35 <sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.21 (d, 6H, J = 6.6 Hz), 1.41-1.73 (m, 6H), 1.96-2.10 (m, 2H), 2.26 (t, 2H, J = 7.2 Hz), 2.91-3.20 (m, 5H), 3.42-3.52 (m, 2H), 5.09 (s, 1H), 6.92 (d, 2H, J = 9.3 Hz), 6.99 (t, 1H, J = 6.0 Hz), 7.37 (d, 2H, J = 8.7 Hz), 7.43 (d, 2H, J = 9.3 Hz), 7.52 (d, 2H, J = 8.7 Hz), 9.64 (s, 1H).

la-87

mp 245-247 °C

40 <sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.22 (d, 6H, J = 6.6 Hz), 1.22-1.58 (m, 4H), 1.81-2.02 (m, 4H), 2.22-2.36 (m, 1H), 3.00-3.20 (m, 2H), 3.01 (s, 3H), 6.99 (d, 1H, J = 8.4 Hz), 7.75-7.88 (m, 2H), 8.19 (d, 1H, J = 1.2 Hz), 10.43 (s, 1H).

la-88

mp 208-209 °C

45 <sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.22 (d, 6H, J = 6.9 Hz), 1.22-1.55 (m, 4H), 1.75-1.98 (m, 4H), 2.11-2.24 (m, 1H), 2.98-3.20 (m, 2H), 5.96 (s, 2H), 6.82 (d, 1H, J = 8.4 Hz), 6.91-7.03 (m, 2H), 7.30 (d, 1H, J = 1.8 Hz), 9.72 (s, 1H).

la-89

mp 142-143 °C

50 <sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.27 (s, 9H), 1.40-1.66 (m, 4H), 2.26 (t, 2H, J = 7.5 Hz), 3.02 (q, 2H, J = 6.6 Hz), 5.96 (s, 2H), 6.82 (d, 1H, J = 8.4 Hz), 6.88 (t, 1H, J = 8.4 Hz), 6.94 (dd, 1H, J = 1.8, 8.4 Hz), 7.30 (d, 1H, J = 1.8 Hz), 9.78 (s, 1H).

la-90

mp 100 °C

55 <sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.20 (d, 6H, J = 6.9 Hz), 1.40-1.66 (m, 4H), 2.26 (t, 2H, J = 7.5 Hz), 2.89-2.99 (m, 2H), 3.13 (quint, 1H, J = 6.6 Hz), 5.96 (s, 2H), 6.83 (d, 1H, J = 8.1 Hz), 6.91-7.02 (m, 2H), 7.30 (d, 1H, J = 1.8 Hz), 9.78 (s, 1H).

la-91

mp 189-190 °C

55 <sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.26 (s, 9H), 1.43-1.71 (m, 4H), 2.40 (t, 2H, J = 7.5 Hz), 2.97-3.09 (m, 2H), 3.01 (s, 3H), 6.85-6.93 (m, 1H), 7.76-7.88 (m, 2H), 8.20 (d, 1H, J = 1.2 Hz), 10.49 (s, 1H).

la-104

mp 238-241 °C

## EP 1 249 233 B9

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.27 (s, 9H), 1.3-1.5 (m, 4H), 1.8-2.0 (m, 4H), 2.50 (m, 1H), 3.05 (m, 1H), 6.55 (br s, 1H), 6.79 (d, 1H, J = 8.2), 7.15 (t, 1H, J = 4.8), 8.64 (d, 2H, J = 4.8). la-105

mp 232-234 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.26 (s, 9H), 1.2-1.5 (m, 4H), 1.8-2.0 (m, 4H), 2.55 (m, 1H), 3.05 (m, 1H), 6.77 (d, 1H, J = 8.7), 9.92 (s, 2H), 10.93 (s, 1H).

la-106

mp 226-228 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.28 (s, 9H), 1.22-1.58 (m, 4H), 1.82-2.04 (m, 4H), 2.29 (m, 1H), 3.07 (m, 1H), 6.79 (d, 1H, J = 8.7 Hz), 7.61 (d-d, 1H, J = 1.8 Hz, 8.7 Hz), 8.04 (d, 1H, J = 8.7 Hz), 8.48 (d, 1H, 2.1 Hz), 9.35 (s, 1H), 10.05 (s, 1H):

la-107

mp 282-283 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.22-1.57 (m, 4H), 1.27 (s, 9H), 1.80-2.04 (m, 4H), 2.27 (m, 1H), 3.06 (m, 1H), 6.81 (d, 1H, J = 8.7 Hz), 7.32 (m, 1H), 7.44 (t, 2H, J = 7.5 Hz), 7.57-7.72 (m, 6H), 9.91 (s, 1H).

la-108

mp 191-192 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.24-1.58 (m, 4H), 1.28 (s, 9H), 1.86-2.04 (m, 4H), 2.70 (m, 1H), 3.08 (m, 1H), 6.83 (d, 1H, J = 8.7 Hz), 7.63-7.79 (m, 2H), 8.31 (d, 1H, J = 7.2 Hz), 10.27 (s, 1H).

la-109

mp 283-285 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.24-1.60 (m, 4H), 1.28 (s, 9H), 1.87-2.04 (m, 4H), 2.42 (m, 1H), 3.09 (m, 1H), 3.87 (s, 2H), 6.82 (d, 1H, J = 8.7 Hz), 7.28-7.43 (m, 3H), 7.60 (d, 2H, J = 7.8 Hz), 7.68 (d, 1H, J = 7.2 Hz), 7.89 (d, 1H, J = 7.5 Hz), 9.48 (s, 1H).

la-110

mp 263-265 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.24-1.54 (m, 4H), 1.27 (s, 9H), 1.76-1.87 (m, 2H), 1.89-2.01 (m, 2H), 2.17 (m, 1H), 3.04 (m, 1H), 4.01 (s, 4H), 6.01 (s, 2H), 6.44 (d, 2H, J = 8.7 Hz), 6.77 (d, 1H, J = 8.7 Hz), 7.39 (d, 2H, J = 9.0 Hz), 9.44 (s, 1H).

la-111

mp 239-241 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.24-1.54 (m, 4H), 1.27 (s, 9H), 1.62-1.76 (m, 4H), 1.80-2.02 (m, 4H), 2.30 (m, 1H), 2.47-2.59 (m, 2H), 2.66-2.76 (m, 2H), 6.08 (m, 1H), 6.79 (d, 1H, J = 9.0 Hz), 6.88 (d, 1H, J = 6.9 Hz), 7.02 (t, 1H, J = 7.5 Hz), 7.13 (d, 1H, J = 7.5 Hz), 8.98 (s, 1H).

la-124

mp 247-249 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.15 (d, 6H, J = 6.3 Hz), 1.30 (s, 9H), 2.15-2.26 (m, 2H), 3.48-3.57 (m, 2H), 3.63-3.76 (m, 2H), 6.92 (d, 2H, J = 8.7 Hz), 7.59 (d, 2H, J = 9.0 Hz), 7.38 (d, 2H, J = 9.0 Hz), 7.87 (d, 2H, J = 8.7 Hz), 9.92 (brs, 1H), 9.98 (brs, 1H).

la-125

mp 228.-232 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.30 (s, 9H), 1.95-2.08 (m, 2H), 2.77-2.89 (m, 4H), 7.17 (d, 1H, J = 8.4 Hz), 7.39 (d, 2H, J = 9.0 Hz), 7.42-7.48 (m, 1H), 7.64 (brs, 1H), 7.87 (d, 2H, J = 9.0 Hz), 9.99 (brs, 2H).

la-126

mp 244-246 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.31 (s, 9H), 7.42 (d, 2H, J = 8.4 Hz), 7.81 (d-d, 1H, J = 2.1 Hz, 8.7 Hz), 7.93 (d, 2H, J = 9.0 Hz), 8.05 (d, 1H, J = 9.0 Hz), 8.66 (d, 1H, J = 2.1 Hz), 9.29 (s, 1H), 10.05 (brs, 1H), 10.39 (brs, 1H).

la-127

mp 238-239 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.30 (s, 9H), 4.18-4.27 (m, 4H), 6.81 (d, 1H, J = 8.4 Hz), 7.16 (d-d, 1H, J = 2.7 Hz, 9.0 Hz), 7.34-7.42 (m, 3H), 7.85 (d, 2H, J = 8.4 Hz), 9.94 (brs, 1H), 9.99 (brs, 1H).

la-128

mp 286-287 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.31 (s, 9H), 7.41 (d, 2H, J = 8.7 Hz), 7.71 (d, 2H, J = 8.4 Hz), 7.91 (d, 2H, J = 8.7 Hz), 7.99 (d, 2H, J = 8.7 Hz), 10.05 (brs, 1H), 10.44 (brs, 1H).

la-129

mp 232-234 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.27 (s, 9H), 1.3-1.5 (m, 4H), 1.8-2.0 (m, 4H), 2.25 (1H, m), 3.07 (m, 1H), 6.80 (d, 1H, J = 9.0), 7.37 (d, 1H, J = 8.1), 7.53 (t, 1H, J = 8.1), 7.75 (t, 1H, J = 8.1), 8.12 (s, 1H), 10.16 (s, 1H).

la-130

## EP 1 249 233 B9

mp 274-277 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.27 (s, 9H), 1.23-1.58 (m, 4H), 1.81-2.03 (m, 4H), 2.28 (m, 1H), 3.07 (m, 1H), 6.80 (d, 1H, J = 8.4 Hz), 7.36 (d-d, 1H, J = 0.9 Hz, 5.7 Hz), 7.43 (d-d, 1H, J = 2.1 Hz, 8.7 Hz), 7.60 (d, 1H, J = 5.4 Hz), 7.78 (d, 1H, J = 8.7 Hz), 8.40 (d, 1H, 1.8 Hz), 9.97 (brs, 1H).

5 la-131

mp 259-260 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.31 (s, 9H), 7.40 (d, 1H, J = 4.8 Hz), 7.41 (d, 2H, J = 8.7 Hz), 7.66 (d, 1H, J = 5.1 Hz), 7.67 (d-d, 1H, J = 1.8 Hz, 8.7 Hz), 7.84 (d, 1H, J = 9.0 Hz), 7.92 (d, 2H, J = 8.7 Hz), 8.50 (s, 1H), 10.03 (brs, 1H), 10.27 (brs 1H).

10 la-132

mp 265-266 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.17 (d, 6H, J = 6.6 Hz), 1.31 (s, 9H), 4.10 (m, 1H), 7.35-7.46 (m, 3H), 7.54 (d, 1H, J = 7.5 Hz), 7.87-7.97 (m, 3H), 8.15 (brs, 1H), 8.20 (d, 1H, J = 7.5 Hz), 10.03 (brs, 1H), 10.25 (brs, 1H).

15 la-133

mp 249-250 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.31 (s, 9H), 7.41 (d, 2H, J = 8.7 Hz), 7.45 (d, 1H, J = 5.4 Hz), 7.67 (d-d, 1H, J = 1.8 Hz, 8.7 Hz), 7.76 (d, 1H, J = 5.4 Hz), 7.92 (d, 2H, J = 8.7 Hz), 7.95 (d, 1H, J = 8.1 Hz), 8.39 (d, 1H, J = 1.8 Hz), 10.02 (brs, 1H), 10.23 (brs, 1H).

20 la-134

mp 305-306 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.25 (m, 2H), 1.25 (s, 9H), 1.52 (m, 2H), 1.82 (m, 2H), 1.94 (m, 2H), 2.13 (m, 1H), 3.04 (m, 1H), 6.00 (d, 1H, J = 8.1), 6.74 (d, 1H, J = 8.4), 7.3-7.5 (m, 6H), 7.85 (d, 2H, J = 7.5), 8.31 (d, 1H, J = 8.4).

la-135

mp 220-222 °C

25 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.27 (s, 9H), 1.3-1.5 (m, 4H), 1.8-2.0 (m, 4H), 2.37 (m, 1H), 3.03 (m, 1H), 6.80 (d, 1H, J = 8.7), 7.04 (m, 1H), 7.29 (m, 1H), 7.79 (m, 1H), 9.60 (s, 1H). la-136

mp 263-264 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.27 (s, 9H), 1.3-1.5 (m, 4H), 1.8-2.0 (m, 4H), 2.20 (m, 1H), 3.03 (m, 1H), 6.80 (d, 1H, J = 8.4), 6.87 (m, 1H), 7.31 (m, 2H), 10.21 (s, 1H).

30 la-137

mp 260-262 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.27 (s, 9H), 1.3-1.5 (m, 4H), 1.8-2.0 (m, 4H), 2.30 (m, 1H), 3.05 (m, 1H), 6.80 (d, 1H, J = 8.4), 7.13 (t, 2H, J = 8.1), 7.31 (m, 1H), 9.52 (s, 1H).

la-138

35 mp 270-273 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.27 (s, 9H), 1.3-1.5 (m, 4H), 1.8-2.0 (m, 4H), 2.12 (m, 1H), 3.05 (m, 1H), 6.79 (d, 1H, J = 9.0), 7.31 (m, 2H), 7.80 (m, 1H), 10.05 (s, 1H).

la-139

mp 267-270 °C

40 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.30 (s, 9H), 4.05 (s, 4H), 6.04 (s, 2H), 6.51 (d, 2H, J = 8.7 Hz), 7.34 (d, 2H, J = 8.4 Hz), 7.54 (d, 2H, J = 8.4 Hz), 7.87 (d, 2H, J = 8.4 Hz), 9.82 (brs, 1H), 9.97 (brs, 1H).

la-140

mp 227-229 °C

45 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.22 (d, 6H, J = 6.6 Hz), 1.20-1.57 (m, 4H), 1.80-2.01 (m, 4H), 2.27 (m, 1H), 2.95-3.22 (m, 2H), 6.99 (d, 1H, J = 7.8 Hz), 7.65 (d, 2H, J = 8.7 Hz), 7.80 (d, 2H, J = 8.4 Hz), 10.18 (brs, 1H).

la-141

mp 205-207 °C

50 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.22 (d, 6H, J = 6.9 Hz), 1.20-1.55 (m, 4H), 1.75-2.05 (m, 6H), 2.21 (m, 1H), 2.72-2.85 (m, 4H), 2.93-3.20 (m, 2H), 6.98 (d, 1H, J = 8.1 Hz), 7.10 (d, 1H, J = 8.1 Hz), 7.26 (d-d, 1H, J = 2.1 Hz, 8.1 Hz), 7.51 (s, 1H), 9.67 (brs, 1H).

la-142

mp 295-296 °C

55 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.15 (d, 6H, J = 6.6), 1.27 (s, 9H), 1.3-1.5 (m, 4H), 1.8-2.0 (m, 4H), 2.27 (m, 1H), 3.05 (m, 1H), 4.07 (m, 1H), 6.80 (d, 1H, J = 8.7), 7.64 (d, 2H, J = 8.7), 7.79 (d, 2H, J = 8.7), 8.06 (d, 1H, J = 7.5), 10.01 (s, 1H).

la-143

mp 146-147 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.26 (s, 9H), 1.5-1.7 (m, 4H), 2.36 (t, 2H, J = 7.8), 3.03 (q, 2H, J = 6.3), 6.89 (t, 1H, J = 6.3), 7.66 (d, 2H, J = 8.4), 7.80 (d, 2H, J = 8.4), 10.25 (s, 1H).

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- la-144  
mp 138-140 °C  
<sup>1</sup>H-NMR (DMSO) δ ppm: 1.21 (d, 6H, J=6.0), 1.4-1.7 (m, 4H), 2.37 (t, 2H, J=7.5), 2.96 (q, 2H, J=6.3), 3.14 (m, 1H), 6.99 (t, 1H, J=5.4), 7.66 (d, 2H, J=7.8), 7.81 (d, 2H, J=7.8), 10.26 (s, 1H).
- 5 la-145  
mp 134-136 °C  
<sup>1</sup>H-NMR (DMSO) δ ppm: 1.26 (s, 9H), 1.39 (m, 2H), 1.4-1.7 (m, 4H), 2.28 (t, 2H, J=7.2), 2.79 (m, 4H), 3.02 (q, 2H, J=7.2), 6.88 (t, 1H, J=6.0), 7.10 (t, 1H, J=6.0), 7.51 (s, 1H), 9.73 (s, 1H).
- 10 la-146  
mp 135-137 °C  
<sup>1</sup>H-NMR (DMSO) δ ppm: 1.20 (d, 6H, J=6.6), 1.4-1.7 (m, 4H), 1.99 (m, 2H), 2.28 (t, 2H, J=7.2), 2.79 (m, 4H), 2.94 (q, 2H, J=6.3), 3.13 (m, 1H), 6.98 (t, 1H, J=6.9), 7.10 (d, 2H, J=8.1), 7.26 (d, 2H, J=8.1), 7.51 (s, 1H), 9.73 (s, 1H).
- 15 la-147  
mp 206-207 °C  
<sup>1</sup>H-NMR (DMSO) δ ppm: 1.29 (s, 9H), 4.54 (d, 2H, J = 5.7 Hz), 7.35 (d, 2H, J = 9.0 Hz), 7.52 (d, 2H, J = 7.8 Hz), 7.69 (d, 2H, J = 8.1 Hz), 7.83 (d, 2H, J = 8.7Hz), 9.02 (t, 1H, J = 5.7 Hz), 9.97 (brs, 1H).
- 20 la-148  
mp 250-251 °C  
<sup>1</sup>H-NMR (DMSO) δ ppm: 1.30 (s, 9H), 7.18 (t, 2H, J = 9.3 Hz), 7.40 (d, 2H, J = 8.7 Hz), 7.76 (d-d, 2H, J = 5.1 Hz, 9.3 Hz), 7.88 (d, 2H, J = 9.0 Hz), 10.02 (brs, 1H), 10.17 (brs, 1H).
- 25 la-149  
mp 220-222 °C  
<sup>1</sup>H-NMR (DMSO) δ ppm: 1.30 (s, 9H), 3.74 (s, 3H), 6.92 (d, 2H, J = 9.0 Hz), 7.38 (d, 2H, J = 9.0 Hz), 7.64 (d, 2H, J = 9.0 Hz), 7.87 (d, 2H, J = 9.0 Hz), 9.99 (s, 2H).
- 30 la-150  
mp 264-266 °C  
<sup>1</sup>H-NMR (DMSO) δ ppm: 1.31 (s, 9H), 1.66-1.76 (m, 4H), 2.57- 2.66 (m, 2H), 2.71-2.80 (m, 2H), 6.98 (m, 1H), 7.06-7.16 (m, 2H), 7.38 (d, 2H, J = 9.0 Hz), 7.90 (d, 2H, J = 8.7 Hz), 9.60 (s, 1H), 9.99 (s, 1H).
- 35 la-151  
mp 235-236 °C  
<sup>1</sup>H-NMR (DMSO) δ ppm: 1.03-1.39 (m, 5H), 1.27 (s, 9H), 1.55-1.87 (m, 5H), 3.73 (m, 1H), 7.31 (d, 2H, J = 8.7 Hz), 7.76 (d, 2H, J = 8.4 Hz), 8.01 (d, 1H, J = 7.8 Hz), 9.90 (s, 1H).
- 40 la-152  
mp 244-246 °C  
<sup>1</sup>H-NMR (DMSO) δ ppm: 0.50-0.72 (m, 4H), 1.27 (s, 9H), 2.81 (m, 1H), 7.31 (d, 2H, J = 8.7 Hz), 7.73 (d, 2H, J = 8.7 Hz), 8.30 (d, 1H, J = 4.2 Hz), 9.91 (brs, 1H).
- 45 la-153  
mp >300 °C  
<sup>1</sup>H-NMR (DMSO) δ ppm: 1.06 (m, 6H), 1.27 (s, 9H), 1.2-1.5 (m, 4H), 1.8-2.0 (m, 4H), 2.25 (m, 1H), 2.7 (m, 1H), 3.05 (m, 1H), 3.51 (m, 4H), 4.30 (m, 1H), 6.80 (d, 1H, J=8.4), 7.34 (d, 2H, J=8.4), 7.65 (d; 2H, J=8.4), 10.01 (s, 1H).
- 50 la-154  
mp 247-249 °C  
<sup>1</sup>H-NMR (DMSO) δ ppm: 1.05 (m,6H), 1.27 (s, 9H), 1.2-1.5 (m, 4H), 1.8-2.0 (m, 4H), 2.23 (m, 1H), 2.77 (m, 1H), 3.05 (m, 1H), 3.52 (m, 4H), 4.33 (m, 1H), 6.80 (d, 1H, J=9.0), 7.03 (d, 1H, J=7.8), 7.35 (t, 1H, J=7.8), 7.59 (d, 1H, J=7.8), 7.68 (s, 1H), 9.96 (s, 1H).
- 55 la-155  
mp 258-259 °C  
<sup>1</sup>H-NMR (DMSO) δ ppm: 1.25(m, 2H), 1.50 (m,2H), 1.86 (m, 2H), 1.99 (m, 2H), 2.28 (m , 1H), 2.93 (s, 3H), 3.10 (m, 1H), 7.02 (d, 1H, J=7.5), 7.65 (d, 2H, J=8.4), 7.80 (d, 2H, J=8.4). 10.20 (s, 1H).
- la-156  
mp 250-253 °C  
<sup>1</sup>H-NMR (DMSO) δ ppm: 1.28 (m, 2H), 1.50 (m, 2H), 1.82 (m, 2H), 2.00 (m, 4H), 2.22 (m, 1H), 2.79 (m, 4H), 2.92 (s, 3H), 3.11 (m, 1H), 7.01 (d, 1H, J=(-1), 7.26 (d, 1H, J=8.1), 7.51 (s, 1H), 9.68 (s, 1H).
- la-157  
mp 259-262 °C  
<sup>1</sup>H-NMR (DMSO) δ ppm: 1.13 (d, 6H, J=6.0), 1.25 (m, 2H), 1.50 (m, 2H), 1.80 (m, 2H), 1.95 (m, 2H), 2.17 (m, 3H), 2.92 (s, 3H), 3.10 (m, 1H), 3.70 (m,2H), 3.68 (m, 2H), 6.86 (d, 2H J=9.3), 7.00 (d, 1H, J=7.2), 7.43 (d, 2H, J=9.3), 9.58 (s, 1H).

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la-158

mp 298-300 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.31 (s, 9H), 7.30-7.50 (m, 5H), 7.63-7.71 (m, 4H), 7.87 (d, 2H, J = 8.7 Hz), 7.91 (d, 2H, J = 9.0 Hz), 10.03 (brs, 1H), 10.22 (brs, 1H).

5

la-159

mp 278-281 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 0.74-1.87 (m, 20H), 1.29 (s, 9H), 3.76 (m, 1H), 7.32 (d, 2H, J = 8.4 Hz), 7.75 (d, 2H, J = 8.7 Hz), 7.75 (d, 1H, J = 8.7 Hz), 7.90 (brs, 1H).

la-160

10

mp 227-228 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.22-1.55 (m, 4H), 1.27 (s, 9H), 1.80-2.02 (m, 4H), 2.23 (m, 1H), 3.06 (m, 1H), 6.78 (d, 1H, J = 8.7 Hz), 7.45 (t, 1H, J = 9.9 Hz), 7.82 (m, 1H), 8.12 (d-d, 1H, J = 2.4 Hz, 6.3 Hz), 10.17 (brs, 1H).

la-161

15

mp 259-260 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.22-1.54 (m, 4H), 1.27 (s, 9H), 1.78-2.01 (m, 4H), 2.16 (s, 3H), 2.21 (m, 1H), 3.05 (m, 1H), 6.77 (d, 1H, J = 8.4 Hz), 7.12-7.21 (m, 2H), 7.53 (m, 1H), 9.90 (brs, 1H).

la-162

20

mp 222-226 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.15 (d, 6H, J = 6.3 Hz), 1.26 (d, 6H, J = 6.9 Hz), 2.16-2.26 (m, 2H), 3.31 (m, 1H), 3.48-3.58 (m, 2H), 3.63-3.76 (m, 2H), 6.92 (d, 2H, J = 9.0 Hz), 7.32 (d, 2H, J = 8.7 Hz), 7.59 (d, 2H, J = 9.0 Hz), 7.89 (d, 2H, J = 9.0 Hz), 9.92 (s, 1H), 10.13 (brs, 1H).

la-163

25

mp 197-200 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.26 (d, 6H, J = 6.3 Hz), 1.95-2.09 (m, 2H), 2.77-2.90 (m, 4H), 3.32 (m, 1H), 7.17 (d, 1H, J = 8.1 Hz), 7.32 (d, 2H, J = 8.7 Hz), 7.45 (d-d, 1H, J = 1.8 Hz, 8.1 Hz), 7.64 (brs, 1H), 7.90 (d, 2H, J = 8.7 Hz), 9.99 (brs, 1H), 10.13 (brs, 1H).

la-164

30

mp 145-247 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.27 (s, 9H), 1.3-2.0 (m, 16H), 2.19 (m, 1H), 3.05 (m, 1H), 4.74 (m, 1H), 6.79 (d, 1H, J=9.0), 6.80 (d, 2H, J=9.0), 7.47 (d, 2H, J=9.0), 9.63 (s, 1H).

la-165

35

mp >300 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.03-2.02 (m, 18H), 1.27 (s, 9H), 2.26 (m, 1H), 3.06 (m, 1H), 3.73 (m, 1H), 6.78 (d, 1H, J = 8.7 Hz), 7.63 (d, 2H, J = 9.0 Hz), 7.78 (d, 2H, J = 8.7 Hz), 8.02 (d, 1H, J = 8.1 Hz), 10.00 (brs, 1H).

la-166

mp 200-201 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.03-2.02 (m, 18H), 1.27 (s, 9H), 2.25 (m, 1H), 3.06 (m, 1H), 3.73 (m, 1H), 6.78 (d, 1H, J = 8.7 Hz), 7.33 (t, 1H, J = 8.1 Hz), 7.46 (d, 1H, J = 8.1 Hz), 7.76 (m, 1H), 7.94 (m, 1H), 8.14 (d, 1H, J = 8.1 Hz), 9.92 (brs, 1H).

40

la-167

mp 282-285 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.22-1.57 (m, 4H), 1.27 (s, 9H), 1.87-2.03 (m, 4H), 2.49 (m, 1H), 3.07 (m, 1H), 6.83 (d, 1H, J = 8.7 Hz), 13.20 (brs, 1H).

la-168

45

mp 120-124 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 0.94-1.66 (m, 14H), 1.27 (s, 9H), 1.80-2.04 (m, 4H), 2.25 (m, 1H), 2.92 (m, 1H), 3.06 (m, 1H), 6.78 (d, 1H, J = 8.7 Hz), 7.42-7.53 (m, 2H), 7.63 (d, 1H, J = 7.2 Hz), 7.73 (m, 1H), 8.17 (m, 1H), 10.11 (brs, 1H).

la-169

50

mp 256-257 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 0.93-1.20 (m, 5H), 1.24-1.64 (m, 9H), 1.27 (s, 9H), 1.80-2.02 (m, 4H), 2.27 (m, 1H), 2.87 (m, 1H), 3.06 (m, 1H), 6.79 (d, 1H, J = 9.0 Hz), 7.48 (d, 1H, J = 7.2 Hz), 7.68-7.79 (m, 4H), 10.17 (brs, 1H).

la-171

55

mp 242-244 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.27 (m, 12H), 1.45 (m, 4H), 1.90 (m, 4H), 2.25 (m, 1H), 3.07 (m, 1H), 3.67 (m, 2H), 6.77 (d, 1H, J=8.7), 6.90 (d, 1H, J=7.8), 7.31 (t, 1H, J=7.5), 7.53 (d, 1H, J=7.8), 7.59 (s, 1H), 9.89 (s, 1H).

la-172

mp >310 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.27 (m, 12H), 1.38 (m, 4H), 1.84 (m, 2H), 1.97 (m, 2H), 2.25 (m, 1H), 3.07 (m, 1H), 3.66

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(m, 2H), 6.81 (d, 1H, J=8.7), 7.20 (d, 2H, J=6.7), 7.61 (d, 2H, J=8.7), 9.94 (s, 1H).

la-173

mp 279-281 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.27 (s, 9H), 1.3-1.5 (m, 4H), 1.83 (m, 6H), 1.93 (m, 2H), 2.21 (m, 1H), 2.36 (m, 2H), 3.05 (m, 1H), 3.54 (m, 2H), 6.79 (d, 1H, J=8.7), 7.16 (d, 2H, J=9.0), 7.56 (d, 2H, J=9.0), 9.83 (s, 1H).

la-174

mp 258-262 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 0.29 (m, 2H), 0.53 (m, 2H), 1.20 (m, 1H), 1.27 (s, 9H), 1.3-1.5 (m, 4H), 1.7-2.0 (m, 4H), 2.20 (m, 1H), 3.05 (m, 1H), 3.75 (d, 2H, J=6.9), 6.79 (d, 1H, J=9.0), 6.83 (d, 2H, J=9.0), 7.46 (d, 2H, J=9.0), 9.64 (s, 1H).

la-175

mp 246-248 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.27 (s, 9H), 1.3-2.0 (m, 18H), 2.19 (m, 1H), 3.04 (m, 1H), 4.23 (m, 1H), 6.79 (d, 1H, J=8.7), 6.84 (d, 2H, J=9.0), 7.45 (d, 2H, J=9.0), 9.64 (s, 1H).

la-176

mp 200-202 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.27 (s, 9H), 1.3-2.0 (m, 18H), 2.21 (m, 1H), 3.05 (m, 1H), 4.23 (m, 1H), 6.57 (d, 1H, J=6.9), 6.80 (d, 1H, J=9.0), 7.0-7.2 (m, 2H), 7.28 (s, 1H), 9.74 (s, 1H).

mp 266-268 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.22-1.56 (m, 4H), 1.27 (s, 9H), 1.79-2.02 (m, 4H), 2.25 (m, 1H), 3.05 (m, 1H), 6.56 (m, 1H), 6.77-6.84 (m, 2H), 7.58-7.71 (m, 5H), 9.92 (brs, 1H).

la-178

mp 223-224 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.26-1.54 (m, 4H), 1.27 (s, 9H), 1.81-2.02 (m, 4H), 2.45 (m, 1H), 3.06 (m, 1H), 6.80 (d, 1H, J = 8.7 Hz), 8.15 (d-d, 1H, J = 2.4 Hz, 9.0 Hz), 8.27 (d, 1H, J = 9.0 Hz), 8.70 (m, 1H), 10.85 (brs, 1H).

la-179

mp 224-227 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.24-1.56 (m, 4H), 1.27 (s, 9H), 1.80-2.03 (m, 4H), 2.27 (m, 1H), 3.06 (m, 1H), 6.80 (d, 1H, J = 8.7 Hz), 6.90 (d, 1H, J = 1.8 Hz), 7.72-7.84 (m, 4H), 8.60 (d, 1H, J = 1.8 Hz), 10.09 (brs, 1H).

la-180

mp 226-227 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 0.92 (d, 6H, J = 6.6 Hz), 1.26-1.55 (m, 4H), 1.27 (s, 9H), 1.80-2.03 (m, 4H), 2.27 (m, 1H), 3.05 (m, 1H), 3.20 (m, 1H), 6.80 (d, 1H, J = 8.7 Hz), 7.42 (d, 1H, J = 7.2 Hz), 7.67-7.79 (m, 4H), 10.19 (brs, 1H).

la-181

mp 191-192 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 0.95 (d, 6H, J = 6.6 Hz), 1.26-1.55 (m, 4H), 1.27 (s, 9H), 1.80-2.03 (m, 4H), 2.25 (m, 1H), 3.06 (m, 1H), 3.23 (m, 1H), 6.80 (d, 1H, J = 8.4 Hz), 7.41-7.53 (m, 2H), 7.58 (d, 1H, J = 7.2 Hz), 7.73 (m, 1H), 8.18 (m, 1H), 10.13 (brs, 1H).

la-182

mp 192-193 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 0.30 (m, 2H), 0.55 (m, 2H), 1.2-1.5 (m, 5H), 1.27 (s, 1H), 1.8-2.0 (m, 4H), 2.20 (m, 1H), 3.04 (m, 1H), 3.75 (d, 2H, J=6.9), 6.58 (m, 1H), 6.79 (d, 1H, J=8.7), 7.0-7.2 (m, 2H), 7.31 (s, 1H), 9.76 (s, 1H).

la-183

mp >310 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.27 (s, 9H), 1.3-1.5 (m, 4H), 1.82 (m, 2H), 1.97 (m, 2H), 2.04 (m, 2H), 2.39 (m, 1H), 2.46 (t, 2H, J=7.8), 3.07 (m, 1H), 3.79 (t, 2H, J=7.5), 6.79 (d, 1H, J=8.7), 7.56 (m, 4H), 9.80 (s, 1H).

la-184

mp 281.283 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.24-1.57 (m, 4H), 1.27 (s, 9H), 1.80-2.04 (m, 4H), 2.27 (m, 1H), 3.06 (m, 1H), 6.80 (d, 1H, J = 9.0 Hz), 7.33 (s, 1H), 7.75 (d, 2H, J = 9.3 Hz), 7.91 (d, 2H, J = 8.7 Hz), 8.16 (s, 1H), 10.09 (brs, 1H).

la-185

mp 226-227 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.24-1.58 (m, 10H), 1.27 (s, 9H), 1.81-2.02 (m, 4H), 2.28 (m, 1H), 2.78-2.88 (m, 4H), 3.06 (m, 1H), 6.80 (d, 1H, J = 8.7 Hz), 7.64 (d, 2H, J = 8.7 Hz), 7.82 (d, 2H, J = 8.7 Hz), 10.25 (brs, 1H).

la-186

mp 148-150 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.25-1.60 (m, 10H), 1.27 (s, 9H), 1.82-2.03 (m, 4H), 2.24 (m, 1H), 2.82-2.92 (m, 4H), 3.06 (m, 1H), 6.79 (d, 1H, J = 8.4 Hz), 7.36 (m, 1H), 7.55 (t, 1H, J = 7.8 Hz), 7.84 (m, 1H), 8.06 (m, 1H), 10.18 (brs, 1H).

la-187

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mp >310 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.27 (s, 9H), 1.36 (s, 9H), 1.43 (m, 4H), 1.85 (m, 2H), 1.93 (m, 2H), 2.27 (m, 1H), 3.06 (m, 1H), 6.80 (d, 1H, J=8.7), 7.58 (s, 1H), 7.62 (d, 2H), 7.75 (d, 2H, J=9.0), 10.00 (s, 1H).

la-188

5 mp 285-292 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 0.85 (t, 3H, J=7.5), 1.11 (d, 3H, J=6.3), 1.26 (s, 9H), 1.3-1.6 (m, 6H), 1.85 (m, 2H), 1.95 (m, 2H), 2.27 (m, 1H), 3.06 (m, 1H), 3.90 (m, 1H), 6.80 (d, 1H, J=8.4), 7.64 (d, 2H, J=8.7), 7.79 (d, 2H, J=8.7), 7.99 (d, 1H, J=8.1), 10.02 (s, 1H).

la-189

10 mp 278-281 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.27 (s, 9H), 1.2-2.0 (m, 17H), 2.03 (m, 2H), 3.03 (m, 1H), 6.79 (d, 1H, J=8.4), 7.1-7.3 (m, 3H), 7.94 (s, 1H), 9.78 (m, 2H).

la-190

15 mp >310 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.1-2.0 (m, 17H), 1.27 (s, 9H), 2.25 (m, 2H), 3.03 (m, 1H), 6.79 (d, 1H, J=8.7), 7.48 (m, 4H), 9.71 (m, 2H).

la-191

mp 275-277 °C

20 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.16 (d, 6H, J = 6.6 Hz), 1.31 (s, 9H), 4.09 (m, 1H), 7.41 (d, 2H, J = 8.7 Hz), 7.84 (s, 4H), 7.90 (d, 2H, J = 9.0 Hz), 8.11 (d, 1H, J = 7.5 Hz), 10.04 (brs, 1H), 10.30 (brs, 1H).

la-192

mp 204-205 °C

25 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.15 (d, 6H, J = 6.6 Hz), 1.20-1.56 (m, 4H), 1.22 (d, 6H, J = 6.6 Hz), 1.78-2.00 (m, 4H), 2.25 (m, 1H), 2.98-3.22 (m, 2H), 4.06 (m, 1H), 6.99 (d, 1H, J = 8.1 Hz), 7.34 (t, 1H, J = 8.1 Hz), 7.46 (d, 1H, J = 7.8 Hz), 7.75 (m, 1H), 7.96 (m, 1H), 8.17 (d, 1H, J = 8.7 Hz), 9.94 (brs, 1H).

la-193

mp 285-286 °C

30 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.15 (d, 6H, J = 6.6 Hz), 1.20-1.56 (m, 4H), 1.22 (d, 6H, J = 6.9 Hz), 1.79-2.00 (m, 4H), 2.26 (m, 1H), 2.97-3.20 (m, 2H), 4.07 (m, 1H), 6.99 (d, 1H, J = 7.8 Hz), 7.64 (d, 2H, J = 8.7 Hz), 7.79 (d, 2H, J = 8.7 Hz), 8.06 (d, 1H, J = 7.5 Hz), 10.02 (brs, 1H).

la-194

mp 248-250 °C

35 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.22-1.57 (m, 4H), 1.22 (d, 6H, J = 6.6 Hz), 1.78-2.00 (m, 4H), 2.25 (m, 1H), 2.98-3.22 (m, 2H), 6.56 (m, 1H), 6.82 (d, 1H, J = 3.3 Hz), 6.99 (d, 1H, J = 7.8 Hz), 7.58- 7.71 (m, 5H), 9.92 (brs, 1H).

la-195

mp 271-275 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.27 (s, 9H), 1.28-1.56 (m, 4H), 1.80-2.02 (m, 4H), 2.25 (m, 1H), 3.06 (m, 1H), 6.80 (d, 1H, J = 9.0 Hz), 7.57 (s, 1H), 7.62-7.74 (m, 4H), 8.39 (s, 1H), 9.99 (brs, 1H).

la-196

40 mp 226-228 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.30 (m, 2H), 1.23 (d, 6H, J=6.9), 1.2-2.0 (m, 4H), 2.20 (m, 1H), 3.10 (m, 2H), 3.76 (d, 2H, J=6.9), 6.83 (d, 2H, J=8.7), 6.99 (d, 1H, J=8.1), 7.46 (d, 2H, J=8.7), 9.65 (s, 1H).

la-197

mp 173-175 °C

45 <sup>1</sup>H-NMR (DMSO) δ ppm: 0.31 (m, 2H), 0.56 (m, 2H), 1.22 (d, 6H, J=6.6), 1.2-1.5 (m, 4H), 1.8-2.0 (m, 4H), 2.22 (m, 1H), 3.10 (m, 1H), 3.76 (d, 1H, J=7.2), 6.58 (d, 1H, J=8.1), 7.0-7.2 (m, 2H), 7.32 (s, 1H), 9.78 (s, 1H).

la-198

mp 233-235 °C

50 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.25 (d, 6H, J=6.9), 1.2-2.0 (m, 16H), 2.19 (m, 1H), 3.10 (m, 2H), 4.73 (m, 1H), 6.80 (d, 2H, J=8.7), 6.98 (d, 1H, J=7.8), 7.45 (d, 2H, J=8.7), 9.63 (s, 1H). la-199

mp 185-186 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.22 (d, 6H, J=6.9), 1.2-2.0 (m, 16H), 2.22 (m, 1H), 3.10 (m, 2H), 4.73 (m, 1H), 6.54 (m, 1H), 7.0-7.2 (m, 2H), 7.3 (s, 1H), 9.75 (s, 1H).

la-200

55 mp 235-237 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.27 (s, 9H), 1.3-1.6 (m, 6H), 1.8-2.0 (m, 6H), 2.20 (m, 1H), 3.05 (m, 1H), 3.45 (m, 2H), 3.82 (m, 2H), 4.47 (m, 1H), 6.79 (d, 1H, J=9.0), 6.89 (d, 2H, J=9.0), 7.47 (d, 2H, J=9.0), 9.66 (s, 1H).

la-201

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mp 300-301 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.15 (d, 6H, J = 6.6 Hz), 1.26-1.56 (m, 4H), 1.27 (s, 9H), 1.82-2.03 (m, 4H), 2.23 (s, 3H), 2.37 (m, 1H), 3.06 (m, 1H), 4.07 (m, 1H), 6.81 (d, 1H, J = 8.7 Hz), 7.52 (d, 1H, J = 8.4 Hz), 7.62 (d, 1H, J = 8.4 Hz), 7.68 (s, 1H), 8.09 (d, 1H, J = 7.5 Hz), 9.22 (brs, 1H).

5 la-202

mp 269-270 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.25-1.26 (m, 4H), 1.27 (s, 9H), 1.80-2.03 (m, 4H), 2.25 (m, 1H), 3.07 (m, 1H), 6.80 (d, 1H, J = 8.4 Hz), 7.11 (m, 1H), 7.42 (d, 1H, J = 3.6 Hz), 7.48 (m, 1H), 7.58 (d, 2H, J = 8.7 Hz), 7.64 (d, 2H, J = 8.4 Hz), 9.92 (brs, 1H).

10 la-203

mp 271-273 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.14-1.54 (m, 9H), 1.26 (s, 9H), 1.63-1.88 (m, 7H), 1.89-2.01 (m, 2H), 2.21 (m, 1H), 2.42 (m, 1H), 3.04 (m, 1H), 6.79 (d, 1H, J = 9.0 Hz), 7.11 (d, 2H, J = 8.4 Hz), 7.47 (d, 2H, J = 8.1 Hz), 9.70 (brs, 1H).

la-204

15 mp 250-251 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.22-1.39 (m, 2H), 1.22 (d, 6H, J = 6.6 Hz), 1.40-1.57 (m, 2H), 1.80-2.01 (m, 4H), 2.28 (m, 1H), 2.98-3.21 (m, 2H), 7.00 (d, 1H, J = 7.8 Hz), 7.34 (s, 1H), 7.75 (d, 2H, J = 9.0 Hz), 7.91 (d, 2H, J = 8.7 Hz), 8.17 (s, 1H), 10.10 (brs, 1H).

la-205

20 mp 239-240 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.27 (s, 9H), 1.2-1.5 (m, 4H), 1.8-2.0 (m, 5H), 2.08 (m, 2H), 3.05 (m, 1H), 3.80 (m, 4H), 4.95 (m, 1H), 6.79 (d, 1H, J=8.7), 6.83 (d, 2H, J=8.7), 7.48 (d, 2H, J=8.7), 9.66 (s, 1H).

la-206

mp 236-238 °C

25 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.27 (s, 9H), 1.2-1.7 (m, 8H), 1.8-2.0 (m, 6H), 2.18 (m, 1H), 3.04 (m, 1H), 3.3-3.6 (m, 2H), 3.85 (m, 3H), 6.80 (d, 1H, J=9.0), 6.84 (d, 2H, J=9.0), 7.47 (d, 2H, J=9.0), 9.65 (s, 1H).

la-207

mp 224-226 °C

30 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.27 (s, 9H), 1.2-1.5 (m, 4H), 1.8-2.0 (m, 4H), 2.24 (m, 1H), 2.39 (m, 2H), 3.06 (m, 1H), 3.50 (t, 2H, J=7.5), 3.70 (t, 2H, J=6.3), 6.78 (d, 1H, J=6.6), 6.83 (m, 1H), 7.25 (m, 1H), 7.27 (m, 1H), 7.54 (s, 1H), 9.61 (s, 1H).

la-208

mp 275-277 °C

35 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.27 (s, 9H), 1.3-1.5 (m, 4H), 1.8-2.0 (m, 4H), 2.22 (m, 1H), 2.38 (m, 2H), 3.07 (m, 1H), 3.47 (t, 2H, J=6.9), 3.69 (t, 2H, J=6.6), 6.80 (d, 1H, J=8.7), 7.14 (d, 2H, J=8.4), 7.58 (d, 2H, J=8.4), 9.83 (s, 1H).

la-209

mp 214-215 °C

40 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.26-1.56 (m, 4H), 1.27 (s, 9H), 1.80-2.03 (m, 4H), 2.25 (m, 1H), 3.06 (m, 1H), 6.59 (m, 1H), 6.81 (d, 1H, J = 8.4 Hz), 6.86 (d, 1H, J = 2.7 Hz), 7.28-7.40 (m, 2H), 7.47 (m, 1H), 7.75 (s, 1H), 8.01 (s, 1H), 9.91 (brs, 1H).

la-210

mp 272-275 °C

45 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.31 (s, 9H), 6.59 (m, 1H), 6.87 (d, 1H, J = 3.3 Hz), 7.41 (d, 2H, J = 8.7 Hz), 7.68 (d, 2H, J = 8.7 Hz), 7.72 (m, 1H), 7.83 (d, 2H, J = 8.7 Hz), 7.90 (d, 2H, J = 8.7 Hz), 10.03 (brs, 1H), 10.22 (brs, 1H).

la-211

mp 251-255 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.31 (s, 9H), 7.36 (s, 1H), 7.41 (d, 2H, J = 8.4 Hz), 7.91 (d, 2H, J = 8.4 Hz), 7.92-8.00 (m, 4H), 8.19 (s, 1H), 10.06 (brs, 1H), 10.38 (brs, 1H).

la-212

50 mp 241-244 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.30 (s, 9H), 1.50-1.78 (m, 6H), 1.81-1.97 (m, 2H), 4.78 (m, 1H), 6.87 (d, 2H, J = 9.0 Hz), 7.38 (d, 2H, J = 8.7 Hz), 7.61 (d, 2H, J = 9.0 Hz), 7.87 (d, 2H, J = 8.7 Hz), 9.97 (brs, 1H), 9.99 (brs, 1H).

la-213

mp 283-286 °C

55 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.31 (s, 9H), 7.12 (d-d, 1H, J = 3.6 Hz, 5.1 Hz), 7.41 (d, 2H, J = 9.0 Hz), 7.46 (m, 1H), 7.50 (d-d, 1H, J = 1.2 Hz, 5.1 Hz), 7.64 (d, 2H, J = 8.7 Hz), 7.82 (d, 2H, J = 8.7 Hz), 7.90 (d, 2H, J = 9.3 Hz), 10.03 (brs, 1H), 10.22 (brs, 1H).

la-216

## EP 1 249 233 B9

mp 224-225 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.22 (d, 6H, J=6.9), 1.2-1.5 (m, 4H), 1.8-2.0 (m, 4H), 2.45 (m, 1H), 3.12 (m, 2H), 6.99 (d, 1H, J=8.1), 8.15 (m, 1H), 8.27 (d, 1H, J=9.0), 8.69 (s, 1H), 10.86 (s, 1H).

la-219

5 mp 270-272 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.28 (s, 9H), 1.34-1.51 (m, 2H), 1.80-1.92 (m, 2H), 2.83- 2.97 (m, 2H), 3.32 (m, 1H), 3.99-4.12 (m, 2H), 6.92 (d, 1H, J = 8.7 Hz), 7.57 (d, 2H, J = 8.7 Hz), 7.68 (d, 2H, J = 9.0 Hz), 8.90 (brs, 1H).

la-220

10 mp 187-189 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.28 (s, 9H), 1.31-1.51 (m, 2H), 1.78-1.90 (m, 2H), 2.78-2.93 (m, 2H), 3.30 (m, 1H), 3.97-4.09 (m, 2H), 6.90 (d, 1H, J = 8.7 Hz), 7.06 (t, 2H, J = 9.0 Hz), 7.44 (d-d, 2H, J = 4.8 Hz, 9.0 Hz), 8.53 (brs, 1H).

la-221

mp 260-262 °C

15 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.12-1.50 (m, 7H), 1.28 (s, 9H), 1.63-1.90 (m, 7H), 2.40 (m, 1H), 2.76-2.91 (m, 2H), 3.28 (m, 1H), 3.96-4.09 (m, 2H), 6.90 (d, 1H, J = 8.7 Hz), 7.06 (d, 2H, J = 8.4 Hz), 7.32 (d, 2H, J = 8.4 Hz), 8.40 (brs, 1H).

la-222

mp 265-267 °C

20 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.23 (d, 6H, J = 6.6 Hz), 1.31-1.48 (m, 2H), 1.77-1.90 (m, 2H), 2.84-2.98 (m, 2H), 3.16 (m, 1H), 3.33 (m, 1H), 3.96-4.10 (m, 2H), 7.11 (d, 1H, J = 7.8 Hz), 7.57 (d, 2H, J = 8.7 Hz), 7.67 (d, 2H, J = 8.4 Hz), 8.90 (brs, 1H).

la-223

mp 183-186 °C

25 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.23 (d, 6H, J = 6.9 Hz), 1.28-1.47 (m, 2H), 1.76-1.88 (m, 2H), 2.80-3.16 (m, 2H), 3.16 (m, 1H), 3.32 (m, 1H), 3.94-4.07 (m, 2H), 7.00-7.14 (m, 3H), 7.44 (d-d, 2H, J = 4.8 Hz, 9.0 Hz), 8.53 (brs, 1H).

la-224

mp 232-234 °C

30 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.12-1.46 (m, 7H), 1.23 (d, 6H, J = 6.6 Hz), 1.63-1.87 (m, 7H), 2.40 (m, 1H), 2.78-2.93 (m, 2H), 3.15 (m, 1H), 3.31 (m, 1H), 3.94-4.07 (m, 2H), 7.06 (d, 2H, J = 8.4 Hz), 7.09 (d, 1H, J = 8.1 Hz), 7.32 (d, 2H, J = 8.4 Hz), 8.39 (brs, 1H).

la-225

mp 222-224 °C

35 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.28 (s, 9H), 1.30-1.61 (m, 4H), 1.77-1.98 (m, 4H), 2.66-2.90 (m, 2H), 3.28 (m, 1H), 3.40-3.50 (m, 2H), 3.79-3.88 (m, 2H), 3.96-4.08 (m, 2H), 4.44 (m, 1H), 6.85 (d, 2H, J = 9.0 Hz), 6.91 (d, 1H, J = 9.0 Hz), 7.31 (d, 2H, J = 9.3 Hz), 8.34 (brs, 1H).

la-226

mp 194-195 °C

40 <sup>1</sup>H-NMR (CDCl<sub>3</sub>/DMSO) δ ppm: 1.39 (d, 6H, J = 7.2 Hz), 1.66 (quintet, 2H, J = 6.8 Hz), 1.87 (quintet, 2H, J = 7.7 Hz), 2.47 (t, 2H, J = 7.5 Hz), 3.11-3.22 (m, 1H), 3.21 (t, 2H, J = 6.2 Hz), 5.00 (brs, 1H), 7.35-7.56 (m, 5H), 7.86 (d, 1H, J = 8.4 Hz), 8.05 (dd, 1H, J = 1.8, 8.1 Hz), 8.20 (d, 1H, J = 1.8 Hz), 9.24 (s, 1H).

la-227

mp >300 °C

45 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.22 (d, 6H, J = 6.3 Hz), 1.20-1.40 (m, 4H), 1.74-2.10 (m, 4H), 2.20-2.40 (m, 1H), 2.39 (s, 3H), 3.00-3.30 (m, 2H), 6.25 (s, 1H), 6.99 (brs, 1H), 7.43-7.57 (m, 1H), 7.71 (d, 1H, J = 8.1 Hz), 7.76 (s, 1H), 10.27 (s, 1H).

la-228

mp 168-169 °C

50 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.26 (s, 9H), 1.49 (quintet, 2H, J = 7.5 Hz), 1.64 (quintet, 2H, J = 7.4 Hz), 2.38 (t, 2H, J = 7.2 Hz), 2.40 (s, 3H), 3.04 (q, 2H, J = 6.5 Hz), 6.25 (s, 1H), 6.89 (t, 1H, J = 6.0 Hz), 7.48 (dd, 1H, J = 1.8, 8.4 Hz), 7.71 (d, 1H, J = 8.4 Hz), 7.77 (d, 1H, J = 1.8 Hz), 10.33 (s, 1H).

la-229

mp 174-175 °C

55 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.21 (d, 6H, J = 6.6 Hz), 1.42-1.56 (m, 2H), 1.56-1.70 (m, 2H), 2.33-2.42 (m, 2H), 2.40 (s, 3H), 2.90-3.02 (m, 2H), 3.14 (septet, 1H, J = 6.5 Hz), 6.26 (s, 1H), 6.99 (brs, 1H), 7.48 (d, 1H, J = 8.4 Hz), 7.71 (d, 1H, J = 8.7 Hz), 7.77 (s, 1H), 10.33 (s, 1H).

la-230

mp 194-195 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 0.86 (d, 6H, J = 6.9 Hz), 1.25-1.65 (m, 4H), 1.27 (s, 9H), 1.81-2.05 (m, 5H), 2.23-2.35 (m, 1H), 2.99-3.15 (m, 1H), 3.36 (d, 2H, J = 7.2 Hz), 6.80 (d, 1H, J = 8.4 Hz), 7.80 (d, 1H, J = 8.4 Hz), 7.87 (d, 1H, J =

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8.4 Hz), 8.19 (s, 1H), 10.44 (s, 1H).

la-231

mp 221-222 °C

5 <sup>1</sup>H-NMR (DMSO) δ ppm: 0.86 (d, 6H, J = 6.9 Hz), 1.22-1.40 (m, 2H), 1.23 (d, 6H, J = 6.9 Hz), 1.40-1.58 (m, 2H), 1.82-2.04 (m, 5H), 2.22-2.37 (m, 1H), 3.00-3.16 (m, 1H), 3.15 (septet, 1H, J = 6.6 Hz), 3.36 (d, 2H, J = 7.5 Hz), 6.99 (d, 1H, J = 7.5 Hz), 7.80 (d, 1H, J = 8.4 Hz), 7.86 (d, 1H, J = 8.4 Hz), 8.19 (s, 1H), 10.45 (s, 1H).

la-232

mp 196-197 °C

10 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.93 (d, 6H, J = 6.6 Hz), 1.42 (s, 1H), 1.60-1.70 (m, 2H), 1.88 (quintet, 2H, J = 7.4 Hz), 2.02-2.20 (m, 1H), 2.46 (t, 2H, J = 7.7 Hz), 3.29 (q, 2H, J = 6.1 Hz), 3.48 (d, 2H, J = 7.8 Hz), 4.26 (t, 1H, J = 6.0 Hz), 7.76 (d, 1H, J = 8.1 Hz), 7.90 (dd, 1H, J = 1.8, 8.1 Hz), 8.07 (d, 1H, J = 1.5 Hz), 8.39 (s, 1H).

la-233

p. 151-152 °C

15 <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 0.93 (d, 6H, J = 6.6 Hz), 1.40 (d, 6H, J = 6.6 Hz), 1.62-1.69 (m, 2H), 1.88 (quintet, 2H, J = 7.3 Hz), 2.03-2.16 (m, 1H), 2.47 (t, 2H, J = 7.5 Hz), 3.21 (septet, 1H, J = 6.8 Hz), 3.23 (q, 2H, J = 6.3 Hz), 3.48 (d, 2H, J = 7.5 Hz), 4.43 (t, 1H, J = 6.0 Hz), 7.76 (d, 1H, J = 8.4 Hz), 7.91 (dd, 1H, J = 1.8, 8.4 Hz), 8.06 (d, 1H, J = 1.8 Hz), 8.36 (s, 1H).

la-234

mp 219-220 °C

20 <sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.28 (s, 9H), 1.30-1.50 (m, 2H), 1.74-1.88 (m, 2H), 2.83 (t, 2H, J = 11.1 Hz), 3.20-3.32 (m, 1H), 3.94-4.07 (m, 2H), 5.94 (s, 2H), 6.77 (d, 1H, J = 8.8 Hz), 6.82 (dd, 1H, J = 1.8, 8.7 Hz), 6.89 (d, 1H, J = 8.7 Hz), 7.11 (d, 1H, J = 1.8 Hz), 8.38 (s, 1H).

la-235

mp 280-282 °C

25 <sup>1</sup>H-NMR (DMSO-d<sub>6</sub>) δ ppm: 1.27 (s, 9H), 1.26-1.57 (m, 4H), 1.86-2.03 (m, 4H), 2.38-2.50 (m, 1H), 3.00-3.14 (m, 1H), 6.81 (d, 1H, J = 8.4 Hz), 7.29 (t, 1H, J = 8.4 Hz), 7.43 (t, 1H, J = 7.5 Hz), 7.73 (d, 1H, J = 8.4 Hz), 7.96 (d, 1H, J = 7.5 Hz), 12.27 (s, 1H).

la-237

mp 204-205 °C

30 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.23 (d, 6H, J = 6.6 Hz), 1.29-1.61 (m, 4H), 1.75-1.98 (m, 4H), 2.78-2.92 (m, 2H), 3.15 (m, 1H), 3.29 (m, 1H), 3.38-3.51 (m, 2H), 3.78-3.89 (m, 2H), 3.94-4.06 (m, 2H), 4.44 (m, 1H), 6.85 (d, 2H, J = 9.0 Hz), 7.10 (d, 1H, J = 7.8 Hz), 7.31 (d, 2H, J = 9.3 Hz), 8.34 (brs, 1H).

la-238

mp 128-130 °C

35 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.26 (s, 9H), 1.41-1.53 (m, 2H), 1.55-1.68 (m, 2H), 2.44 (t, 2H, J = 7.2 Hz), 2.98-3.07 (m, 2H), 6.90 (t, 1H, J = 6.0 Hz), 8.16 (d-d, 1H, J = 2.1 Hz, 8.7 Hz), 8.29 (d, 1H, J = 8.7 Hz), 8.70 (m, 1H), 10.91 (brs, 1H).

la-239

mp 256-258 °C

40 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.26-1.53 (m, 4H), 1.26 (s, 9H), 1.76-2.00 (m, 4H), 2.23 (s, 3H), 2.39 (m, 1H), 3.04 (m, 1H), 6.80 (d, 1H, J = 8.7 Hz), 7.57 (d-d, 1H, J = 2.4 Hz, 8.4 Hz), 7.97 (d, 1H, J = 8.4 Hz), 8.12 (m, 1H), 10.26 (brs, 1H).

la-240

mp 288-290 °C

45 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.26-1.53 (m, 4H), 1.27 (s, 9H), 1.78-1.90 (m, 4H), 2.40 (m, 1H), 3.04 (m, 1H), 6.81 (d, 1H, J = 8.7 Hz), 7.07 (m, 1H), 7.75 (m, 1H), 8.07 (d, 1H, J = 8.4 Hz), 8.29 (m, 1H), 10.36 (brs, 1H).

la-241

mp 249-250 °C

50 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.28 (s, 9H), 1.34-1.50 (m, 2H), 1.79-1.90 (m, 2H), 2.74-2.98 (m, 2H), 3.32 (m, 1H), 4.02-4.14 (m, 2H), 6.91 (d, 1H, J = 8.4 Hz), 7.94 (d, 1H, J = 9.0 Hz), 8.04 (d-d, 1H, J = 2.1 Hz, 9.0 Hz), 8.60 (s, 1H), 9.76 (brs, 1H).

la-242

mp 250-252 °C

55 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.24 (s, 9H), 1.27 (s, 9H), 1.24-1.54 (m, 4H), 1.76-1.88 (m, 2H), 1.90-2.01 (m, 2H), 2.21 (m, 1H), 3.05 (m, 1H), 6.79 (d, 1H, J = 8.7 Hz), 6.88 (d, 2H, J = 9.0 Hz), 7.48 (d, 2H, J = 9.0 Hz), 9.72 (brs, 1H).

136-0290

mp 250-252 °C

55 <sup>1</sup>H-NMR (DMSO) δ ppm: 1.15 (d, 6H, J = 6.6 Hz), 1.28 (s, 9H), 1.35-1.52 (m, 2H), 1.78-1.92 (m, 2H), 2.20 (s, 3H), 2.81-2.96 (m, 2H), 3.33 (m, 1H), 3.96-4.16 (m, 3H), 6.92 (d, 1H, J = 8.7 Hz), 7.27 (d, 1H, J = 8.1 Hz), 7.60 (m, 1H), 7.66 (m, 1H), 8.06 (d, 1H, J = 7.8 Hz), 8.14 (brs, 1H).

1a-244

mp 211-213 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.29 (s, 9H), 1.35-1.52 (m, 2H), 1.81-1.93 (m, 2H), 2.83-2.97 (m, 2H), 3.32 (m, 1H), 4.03-4.14 (m, 2H), 6.93 (d, 1H, J = 8.7 Hz), 7.55 (d-d, 1H, J = 2.1 Hz, 9.0 Hz), 7.94 (d, 1H, J = 9.0 Hz), 8.29 (d, 1H, J = 1.8 Hz), 8.78 (brs, 1H), 9.19 (s, 1H).

1a-245

mp 196-197 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.27 (s, 9H), 1.2-1.6 (m, 6H), 1.8-2.0 (m, 6H), 2.23 (m, 1H), 3.05 (m, 1H), 3.73 (m, 4h), 4.99 (s, 1H), 6.79 (d, 1H, J=8.7), 7.13 (d, 1H, J=6.8), 7.22 (t, 1H, J=6.8), 7.49 (d, 1H, J=6.8), 7.72 (s, 1H), 9.78 (s, 1H).

1a-246

mp 242-244 °C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.27 (s, 9H), 1.2-1.5 (m, 4H), 1.65 (m, 4H), 1.8-2.0 (m, 4H), 2.23 (m, 1H), 2.71 (m, 1H), 3.06 (m, 1H), 3.43 (m, 2H), 3.93 (m, 2H), 6.79 (d, 1H, J=8.7), 6.91 (d, 1H, J=8.7), 7.20 (t, 1H, J=7.5), 7.40 (d, 1H, J=7.5), 7.53 (s, 1H), 9.76 (s, 1H).

1a-247

mp 242-245°C

<sup>1</sup>H-NMR (DMSO) δ ppm: 1.27 (s, 9H), 1.2-1.6 (m, 6H), 1.8-2.0 (m, 6H), 2.23 (m, 1H), 3.05 (m, 1H), 3.74 (m, 4H), 4.94 (brs, 1H), 6.79 (d, 1H, J=8.7), 7.38 (d, 1H, J=8.7), 7.52 (d, 1H, J=8.7), 9.76 (s, 1H).

1a-248

mp 272-274 °C

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ ppm: 1.27 (s, 9H), 1.2-1.5 (m, 4H), 1.62 (m, 4H), 1.8-2.0 (m, 4H), 2.22 (m, 1H), 2.68 (m, 1H), 3.05 (m, 1H), 3.41 (m, 2H), 3.92 (m, 2H), 6.79 (d, 1H, J=9.0), 7.15 (d, 2H, J=8.7), 7.50 (d, 2H, J=8.7), 9.73(s, 1H).

1a-249 mp 174-176 °C

1a-250 mp 255-257 °C

1a-252 mp 249-251 °C

1a-253 mp 120-121 °C

1a-254 mp 236-237 °C

1a-255 mp 172-174 °C

1a-256 mp 257-259 °C

1a-257 mp 179-180 °C

1a-258 mp 227-229 °C

1a-259 mp 135-136 °C

#### Experiment 1 Affinity for NPY Y5 receptor

**[0150]** cDNA sequence encoding a human NPY Y5 receptor (WO96/16542) was cloned in the expression vector pME18S (Takebe et al. Mol. Cell. Biol. 8, 8957). The obtained expression vector was transfected into a host CHO cells by using a Lipofect AMINE reagent (Trademark, Gico BRL Co., Ltd.) according to an instruction protocol to obtain the cells that stably express NPY Y5 receptor.

**[0151]** The membranes prepared from the above CHO cells expressing NPY Y5 receptor, the compound of the present invention and 30,000 cpm [<sup>125</sup>I] peptide YY (60 pM of final concentration: Amersham) were incubated in the assay buffer (20 mM HEPES-Hanks buffer containing 0.1% bovine serum albumin, pH 7.4) at 25 °C for 2 hours, and then the mixture was filtered with a glassfilter GF/C treated with polyethyleneimine. After the glassfilter was washed with 50 mM Tris-HCl buffer (pH 7.4), the radioactivity on the filter was measured with a gamma counter. The non-specific binding was detected in the presence of 200 nM of peptide YY. The 50 % inhibitory concentration of the test compound against the specific peptide YY binding (IC<sub>50</sub> value) was calculated (Inui, A. et al. Endocrinology 131, 2090 - 2096 (1992)). The results are shown in Tables 1 and 2.

**[0152]** The compounds of the present invention inhibited the binding of peptide YY (NPY homologue) to NPY Y5 receptors. In other words, the compounds of the present invention showed affinity for the NPY Y5 receptor.

#### Experiment 2 cAMP production inhibitory activity in CHO cells

**[0153]** After CHO cells expressing human NPY Y5 receptor were incubated in the presence of 2.5 mM isobutylmethoxyxanthine (SIGMA) at 37 °C for 20 min, the compound of the present invention was added and incubated for 5 min. Then, 50 nM NPY and 10 μM forskolin (SIGMA) were added to the cells and incubated for 30 min. After the reaction was terminated by adding 1N HCl, the amount of cAMP in the supernatant was measured with EIA kit (Amersham LIFE SCIENCE). The inhibitory activity of NPY against forskolin stimulated cAMP was regarded as 100 % and the 50 % inhibitory concentration (IC<sub>50</sub> value) of the compound of the present invention against the NPY activity was calculated.

The results are shown in Tables 1 to 4.

Table 1

Compound	binding IC <sub>50</sub> (nM)	cAMP IC <sub>50</sub> (nM)
I-2	7.5	72
I-7	3	<10
I-11	1.3	5
I-18	4.4	29
I-20	7	21
I-22	8.6	51
I-24	9.6	71
I-25	0.6	2.6
I-41	5.3	38.2
I-44	1.0	13.4
I-45	1.2	27.9
I-46	0.8	10.5
I-47	0.6	14.9
I-49	0.4	8.1
I-50	0.3	8.4
I-53	4.1	21
I-55	9.0	40
I-57	4.8	47
I-59	0.8	35
I-60	0.69	18
I-61	0.26	5.3

I-62	0.58	16
I-63	1.3	50
I-64	2.2	80
I-65	1.8	72
I-66	1.5	30
I-67	2	17
I-69	3.8	13
I-72	2.3	2.1
I-75	0.55	3.4
I-76	0.61	5.5
I-77	1.8	28
I-79	0.59	25
I-83	0.61	29
I-84	1.3	25
I-86	3.4	100
I-87	0.66	21
I-90	2.8	50
I-92	7	61
I-101	3.9	38
I-102	1.7	14
I-106	6.4	29

Table 2

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I-109	1.2	3.2
I-110	4.3	13.6
I-111	1.8	6.1
I-114	7	30
I-116	1.2	11
I-120	1.4	4.8
I-123	1.8	168
I-126	0.6	13.2
I-127	1.4	30.4
I-128	1.3	10.2
I-129	2.1	174
I-130	1.1	42.5
I-131	1.1	34.8
I-132	2.2	30.4
I-133	0.9	21.1
I-134	0.5	10.0
I-135	0.7	22.0
I-136	2.8	-
I-137	1.4	68.2
I-138	1.0	18.6
I-139	0.41	7.6
I-140	0.48	8.9
I-141	0.42	7.4
I-142	0.49	28
I-143	3.5	44
I-144	3.4	52
I-146	2.3	20
I-147	7.1	63
I-149	0.83	15
I-150	0.17	5.2
I-151	0.17	2.6
I-152	0.88	46
I-153	1.7	29
I-154	1.1	11
I-156	0.81	17
I-160	0.61	8.8
I-161	0.49	3.1
I-162	1.7	32

I-163	2.3	83
I-164	0.71	5.9
I-165	0.44	47
I-166	0.37	9.7
I-167	0.72	39
I-168	2.1	32
I-171	2.4	71
I-172	0.91	36
I-187	0.58	13
I-191	1.1	11
I-196	1.4	6.8
I-197	6.7	38
I-198	7.2	33
I-199	4.8	31
I-202	6.7	67
I-204	1.0	6.3
I-205	2.9	17
I-206	5.9	54
I-207	4.6	23
I-210	1.1	13
I-212	0.67	7.5
I-213	0.44	4.0
Ia-1	4.8	31
Ia-3	9.2	150
Ia-4	1.4	15
Ia-5	1.6	43
Ia-6	2.4	23
Ia-8	2.9	34
Ia-9	0.94	11
Ia-10	0.47	2.7
Ia-11	0.64	7.2
Ia-12	0.94	5.5
Ia-13	1.5	3.3
Ia-14	4.8	28
Ia-16	0.1	-
Ia-17	0.1	1.9
Ia-20	4.9	100

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Table 3

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Ia-21	3.4	35
Ia-22	3.1	38
Ia-24	5.2	74
Ia-25	1.1	18
Ia-26	1.9	27
Ia-28	5.2	130
Ia-29	1	7.3
Ia-30	2.6	25
Ia-31	3.8	11
Ia-32	0.52	6.7
Ia-33	1.8	64
Ia-35	1.8	.
Ia-36	1.6	86
Ia-37	0.73	3.8
Ia-38	1	2.2
Ia-39	1.5	3.5
Ia-40	2.2	9.3
Ia-41	2.5	9
Ia-42	3.6	20
Ia-44	4.8	27
Ia-45	4.8	42
Ia-46	0.87	8.3
Ia-47	0.82	3.8
Ia-48	1.2	6.1
Ia-49	2.6	83
Ia-50	1.7	24
Ia-51	1.3	3.4
Ia-52	1.9	22
Ia-53	0.22	8.1
Ia-54	0.44	9
Ia-55	1.1	27
Ia-56	2.3	96
Ia-57	0.93	31
Ia-58	2.5	110
Ia-59	0.71	16
Ia-60	0.95	10
Ia-61	0.68	19
Ia-62	1.1	29
Ia-63	3.9	370
Ia-64	7.1	96
Ia-65	1.1	11

Ia-66	0.59	3.2
Ia-67	6.3	75
Ia-68	9.5	180
Ia-69	2.7	33
Ia-70	1.5	31
Ia-71	1.3	12
Ia-76	2.2	.
Ia-78	2	150
Ia-79	0.82	.
Ia-80	0.44	3.0
Ia-81	2.7	4.5
Ia-83	1.2	53
Ia-84	0.25	13
Ia-85	0.22	14
Ia-86	0.73	11
Ia-87	0.49	61
Ia-88	0.62	48
Ia-91	4	150
Ia-106	1.9	24
Ia-107	0.14	1.3
Ia-109	0.6	3.9
Ia-110	0.3	1.1
Ia-111	5.1	28
Ia-124	1.1	22
Ia-125	4.1	46
Ia-126	2.3	58
Ia-127	6.1	160
Ia-129	1.3	26
Ia-130	0.21	3
Ia-131	1.3	17
Ia-132	2.8	76
Ia-133	1.7	8.8
Ia-135	8.2	49
Ia-136	1.6	13
Ia-138	2.2	28
Ia-139	1.9	25
Ia-140	1	24
Ia-141	1	5.7
Ia-142	0.67	5.5

Table 4

Ia-143	7.8	39	Ia-203	0.2	2.6
Ia-144	6.1	57	Ia-204	1	6.3
Ia-145	7	86	Ia-205	2.4	99
Ia-146	9.9	79	Ia-206	1.9	460
Ia-158	0.71	1.7	Ia-207	0.55	5.9
Ia-160	0.76	140	Ia-208	1.2	9.7
Ia-161	1.9	18	Ia-209	0.55	-
Ia-163	7	400	Ia-210	2.8	99
Ia-164	0.38	4.7	Ia-211	4.8	240
Ia-168	0.95	13	Ia-212	0.52	2.6
Ia-169	1.9	88	Ia-213	0.91	28
Ia-173	6.9	140	Ia-219	2.5	28
Ia-174	0.35	5.4	Ia-221	0.47	1.5
Ia-175	0.49	9.2	Ia-222	3.7	18
Ia-176	0.63	5.1	Ia-224	0.1	1.2
Ia-177	0.49	7.5	Ia-225	3.4	20
Ia-178	4.6	16	Ia-226	0.37	21
Ia-179	0.89	19	Ia-227	0.59	-
Ia-180	1.9	11	Ia-228	0.96	-
Ia-181	7.7	25	Ia-229	1.9	-
Ia-182	0.24	2.1	Ia-230	0.32	-
Ia-183	1.9	7.8	Ia-231	0.29	-
Ia-184	0.38	-	Ia-232	0.7	-
Ia-185	0.94	4.4	Ia-233	0.63	-
Ia-186	0.93	12	Ia-235	5.5	-
Ia-187	1.9	60	Ia-237	1.1	15
Ia-188	0.75	28	Ia-241	1.9	-
Ia-189	3.5	95	Ia-243	1.3	-
Ia-190	0.34	1000	Ia-246	0.26	20
Ia-191	0.49	220	Ia-247	0.79	31
Ia-192	5.9	200	Ia-248	0.27	17
Ia-193	1.4	43	Ia-250	1.9	-
Ia-194	0.22	8.1	Ia-252	1.2	-
Ia-195	1.4	31	Ia-253	0.53	-
Ia-196	0.39	1.3	Ia-254	2.0	-
Ia-197	0.44	2.5	Ia-255	3.2	-
Ia-198	0.23	2.6	Ia-256	5.7	-
Ia-199	0.11	1.6	Ia-257	8.6	-
Ia-200	1.4	18	Ia-258	1.8	-
Ia-201	3.1	74			
Ia-202	0.37	3.4			

[0154] As shown in Tables 1 to 4, the compounds of the present invention have an NPY<sub>Y5</sub> receptor antagonistic activity.

Experiment 3

[0155] Using the membranes prepared from Y1-expression cells (human neuroblastoma, SK-N-MC) and the mem-

## EP 1 249 233 B9

branes prepared from Y2-expression cells (human neuroblastoma, SMS-KAN), the experiment was carried out in a similar way as Experiment 1 to determine the affinity for NPY Y1 receptor and NPY Y2 receptor.

**[0156]** Binding  $IC_{50}$  values for NPY Y1 and NPY Y2 receptors of I-27, I-32, I-41, I-45, I-46, I-47, I-48, I-49, I-59, I-61, I-63, I-64, I-66, I-69, I-72, I-152, I-154, I-204, I-205, I-212, Ia-3, Ia-5, Ia-6, Ia-12, Ia-16, Ia-17, Ia-20, Ia-21, Ia-22, Ia-26, Ia-28, Ia-29, Ia-30, Ia-31, Ia-32, Ia-33, Ia-37, Ia-39, Ia-40, Ia-50, Ia-51, Ia-54, Ia-62, Ia-67, Ia-124, Ia-126, Ia-139, Ia-140, Ia-142, Ia-178, Ia-199 and Ia-200 were 100,000 nM or higher and each compound had a selectivity for NPY Y5 receptor.

### Formulation Example 1 Tablets

#### **[0157]**

Compound (I-1)	15 mg
Starch	15 mg
Lactose	15 mg
Crystalline cellulose	19 mg
Polyvinyl alcohol	3 mg
Distilled water	30 ml
Calcium stearate	3 mg

**[0158]** After all of the above ingredients except for calcium stearate are uniformly mixed, the mixture is crushed and granulated, and dried to obtain a suitable size of granules. After calcium stearate is added to the granules, tablets are formed by compression molding.

### Formulation Example 2 Capsules

#### **[0159]**

Compound (I-2)	10 mg
Magnesium stearate	10 mg
Lactose	80 mg

**[0160]** After the above ingredients are mixed to prepare powders or granules, the obtained are filled in capsules.

### Formulation Example 3 Granules

#### **[0161]**

Compound (I-3)	30 g
Lactose	265 g
Magnesium Stearate	5 g

**[0162]** After the above ingredients are mixed uniformly and formed by compression molding, the obtained are crushed, granulated and sieved to prepare suitable volume of granules.

### Industrial Applicability

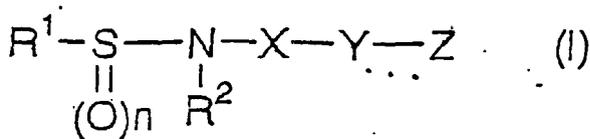
**[0163]** As shown in the above Experiments, the compounds of the present invention have an NPY Y5 receptor antagonistic activity. Therefore, the compounds of the present invention are useful as an anti-obestic agent and anorectic agent.

## Claims

1. Use of

a compound of the formula (I):

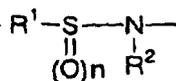
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wherein R<sup>1</sup> is optionally substituted C<sub>1</sub>-C<sub>10</sub> alkyl, or optionally substituted C<sub>3</sub>-C<sub>8</sub> cycloalkyl, R<sup>2</sup> is hydrogen or C<sub>1</sub>-C<sub>10</sub> alkyl, and R<sup>1</sup> and R<sup>2</sup> taken together may form C<sub>1</sub>-C<sub>6</sub> alkylene including the case

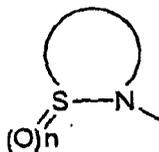
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is

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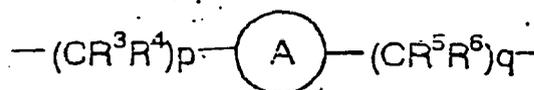


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n is 1 or 2,

X is optionally substituted C<sub>1</sub>-C<sub>6</sub> alkylene,  
 optionally substituted C<sub>2</sub>-C<sub>6</sub> alkenylene,  
 optionally substituted -CO-C<sub>1</sub>-C<sub>6</sub> alkylene,  
 optionally substituted -CO-C<sub>2</sub>-C<sub>6</sub> alkenylene or

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wherein R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> are each independently hydrogen or C<sub>1</sub>-C<sub>10</sub> alkyl,

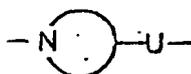
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is optionally substituted C<sub>3</sub>-C<sub>8</sub> cycloalkylene, optionally substituted C<sub>3</sub>-C<sub>8</sub> cycloalkenylene, optionally substituted C<sub>5</sub>-C<sub>8</sub> bicycloalkylene, arylene optionally substituted selected from a bivalent group formed by excluding one hydrogen from phenyl, naphthyl, anthryl, phenanthryl, indanyl, indenyl, biphenyl, acenaphthyl, tetrahydronaphthyl and fluorenyl,  
 or optionally substituted heterocyclediyl and p and q are each independently 0 or 1,  
 -NR<sup>2</sup>-X- may be

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wherein



is piperidinediyl, piperazinediyl, pyridinediyl, pyrazinediyl, pyrrolidinediyl or pyrrolediyl and U is single bond, C<sub>1</sub>-C<sub>6</sub> alkylene or C<sub>2</sub>-C<sub>6</sub> alkenylene,

10 Y is OCON-R<sup>7</sup>, -CONR<sup>7</sup>, CSNR<sup>7</sup>, NR<sup>7</sup>CO or NR<sup>7</sup>CS,

R<sup>7</sup> is hydrogen or C<sub>1</sub>-C<sub>10</sub> alkyl, and

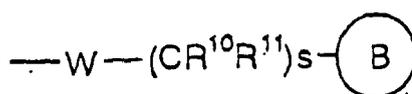
Z is optionally substituted C<sub>1</sub>-C<sub>10</sub> alkyl, optionally substituted C<sub>2</sub>-C<sub>10</sub> alkenyl, optionally substituted amino, optionally substituted C<sub>1</sub>-C<sub>10</sub> alkoxy, optionally substituted carbocyclyl or optionally substituted heterocyclyl, wherein heterocyclyl is selected from 5- or 6-membered heteroaryl selected from pyrrolyl, imidazolyl, pyrazolyl, pyridyl, pyridazinyl, pyrimidinyl, oxazolyl, oxadiazolyl, isothiazolyl, thiazolyl, thiadiazolyl, furyl and thienyl; fused heterocyclyl consisting of two rings selected from as indolyl, isoindolyl, indazolyl, indoliziny, indolinyl, isoindolinyl, quinolyl, isoquinolyl, cinnolinyl, phthalazinyl, quinazoliny, naphthyridinyl, quinoxaliny, purinyl, pteridinyl, benzopyranyl, benzimidazolyl, benzisoxazolyl, benzoxazolyl, benzoxadiazolyl, benzisothiazolyl, benzothiazolyl, benzothiadiazolyl, benzofuryl, isobenzofuryl, benzothienyl, benzotriazolyl, imidazopyridyl, triazoropyridyl, imidazothiazolyl, pyrazinopyridazinyl, quinazoliny, quinolyl, isoquinolyl, naphthyridinyl, dihydroropyridyl, tetrahydroquinolyl and tetrahydrobenzothienzyl; and heterocyclediyl is a bivalent group formed by excluding are hydrogen from above heterocyclyl, carbocyclyl is selected from C<sub>3</sub>-C<sub>8</sub> cycloalkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkenyl, C<sub>3</sub>-C<sub>8</sub> bicycloalkyl and aryl as defined above, a pharmaceutically acceptable salt or solvate thereof for the preparation of a pharmaceutical composition as an NPY-Y5-receptor antagonist whereby the substituents of "optionally substituted C<sub>1</sub>-C<sub>10</sub> alkyl" represented by Z are, (1) halogen; (2) cyano;

(3) the following groups (i) to (xvi), which are optionally substituted with one or more substituents selected from "a substituents group β" defined below,

30 (i) hydroxy, (ii) C<sub>1</sub>-C<sub>10</sub> alkoxy, (iii) mercapto, (iv) C<sub>1</sub>-C<sub>10</sub> alkylthio, (v) acyl, (vi) acyloxy, (vii) carboxy, (viii) C<sub>1</sub>-C<sub>10</sub> alkoxy-carbonyl, (ix) imino, (x) carbamoyl, (xi) thiocarbamoyl, (xii) C<sub>1</sub>-C<sub>10</sub> alkylcarbamoyl, (xiii) lower alkylthiocarbamoyl, (xiv) amino, (xv) C<sub>1</sub>-C<sub>10</sub> alkylamino or (xvi) heterocyclylcarbonyl;

or

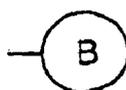
35 (4) a group of the formula:



wherein R<sup>10</sup> and R<sup>11</sup> are each independently hydrogen or C<sub>1</sub>-C<sub>10</sub> alkyl and when this group has two or more of R<sup>10</sup> and/or two or more of R<sup>11</sup>, each R<sup>10</sup> and/or each R<sup>11</sup> may be different,

45 W is single bond, O, S or NR<sup>12</sup>,

R<sup>12</sup> is hydrogen, C<sub>1</sub>-C<sub>10</sub> alkyl or phenyl,



is cycloalkyl, bicycloalkyl, cycloalkenyl, aryl or heterocyclyl, each of which is optionally substituted with one or more of substituents selected from "a substituents group α" defined below and

55 s is an integer of 0 to 4;

the substituents group α" is a group constituting of (1) halogen; (2) oxo; (3) cyano; (4) nitro; (5) imino optionally substituted with C<sub>1</sub>-C<sub>10</sub> alkyl or hydroxy;

(6) the following groups (i) to (xxi), which are optionally substituted with one or more of groups selected from

the substituents group  $\beta$ ,

(i) hydroxy, (ii) C<sub>1</sub>-C<sub>10</sub> alkyl, (iii) C<sub>2</sub>-C<sub>10</sub> alkenyl, (iv) C<sub>1</sub>-C<sub>10</sub> ether alkoxy, (v) carboxy, (vi) C<sub>1</sub>-C<sub>10</sub> alkoxy-carbonyl, (vii) acyl, (viii) acyloxy, (ix) imino, (x) mercapto, (xi) C<sub>1</sub>-C<sub>10</sub> alkylthio, (xii) carbamoyl, (xiii) C<sub>1</sub>-C<sub>10</sub> alkylcarbamoyl, (xiv) cycloalkylcarbamoyl, (xv) thiocarbamoyl, (xvi) C<sub>1</sub>-C<sub>10</sub> alkylthiocarbamoyl, (xvii) C<sub>1</sub>-C<sub>10</sub> alkylsulfinyl, (xviii) C<sub>1</sub>-C<sub>10</sub> alkylsulfonyl, (xix) sulfamoyl, (xx) C<sub>1</sub>-C<sub>10</sub> alkylsulfamoyl and (xxi) cycloalkylsulfamoyl;

(7) the following groups (i) to (v), which are optionally substituted with the substituents group  $\beta$ , C<sub>1</sub>-C<sub>10</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy (C<sub>1</sub>-C<sub>10</sub>)alkyl, optionally protected hydroxy(C<sub>1</sub>-C<sub>10</sub>)alkyl, halogeno(C<sub>1</sub>-C<sub>10</sub>)alkyl, lower alkylsulfonyl and/or arylsulfonyl,

(i) cycloalkyl, (ii) cycloalkenyl, (iii) cycloalkyloxy, (iv) amino and (v) alkylenedioxy;  
and

(8) the following groups (i) to (xii), which are optionally substituted with the substituents group  $\beta$ , C<sub>1</sub>-C<sub>10</sub> alkyl, halogeno(C<sub>1</sub>-C<sub>10</sub>)alkyl and/or oxo,

(i) phenyl, (ii) naphthyl, (iii) phenoxy, (iv) phenyl(C<sub>1</sub>-C<sub>10</sub>)alkoxy, (v) phenylthio, (vi) phenyl(C<sub>1</sub>-C<sub>10</sub>)alkylthio, (vii) phenylazo, (viii) heterocyclyl, (ix) heterocycliloxy, (x) heterocyclylthio, (xi) heterocyclylcarbonyl and (xii) heterocyclylsulfonyl.

"a substituents group  $\beta$ " is a group consisting of halogen, optionally protected hydroxy, mercapto, C<sub>1</sub>-C<sub>10</sub> alkoxy, C<sub>2</sub>-C<sub>10</sub> alkenyl, amino, C<sub>1</sub>-C<sub>10</sub> alkylamino, C<sub>1</sub>-C<sub>10</sub> alkoxy-carbonylamino, C<sub>1</sub>-C<sub>10</sub> alkylthio, acyl, carboxy, C<sub>1</sub>-C<sub>10</sub> alkoxy-carbonyl, carbamoyl, cyano, cycloalkyl, phenyl, phenoxy, C<sub>1</sub>-C<sub>10</sub> alkylphenyl, C<sub>1</sub>-C<sub>10</sub> alkoxyphenyl, halogeno-phenyl, naphthyl and heterocyclyl;

the substituents for "optionally substituted C<sub>1</sub>-C<sub>10</sub> alkyl" represented by any other than Z are one or more substituents selected from the substituents group  $\beta$ ;

the substituents for "optionally substituted C<sub>1</sub>-C<sub>10</sub> alkoxy" are one or more substituents selected from the substituents group  $\beta$ ;

the substituents for "optionally substituted cycloalkyl" are one or more substituents selected from the substituents group  $\alpha$ ;

the substituents for "optionally substituted lower alkenyl" are halogen, lower alkoxy, lower alkenyl, amino, lower alkylamino, lower alkoxy-carbonylamino, lower alkylthio, acyl, carboxy, lower alkoxy-carbonyl, carbamoyl, cyano, cycloalkyl, phenyl, lower alkylphenyl, lower alkoxyphenyl, naphthyl and/or heterocyclyl;

the substituents for "optionally substituted cycloalkenyl" are one or more substituents selected from the substituents group  $\beta$ ;

the substituents for "optionally substituted amino" are the substituents group  $\beta$ , optionally substituted benzoyl and/or optionally substituted heterocyclylcarbonyl wherein the substituents are hydroxy, C<sub>1</sub>-C<sub>10</sub> alkyl, lower alkoxy and/or C<sub>1</sub>-C<sub>10</sub> alkylthio;

the term "optionally substituted aryl" and "optionally substituted phenyl" represented by Z include the above "aryl" and "phenyl" respectively, which may be substituted with the substituents group  $\alpha$  or C<sub>1</sub>-C<sub>10</sub> alkyl which may be substituted with one or more group selected from the substituents group  $\alpha$ ;

the substituents for "optionally substituted aryl" and "optionally substituted phenyl" represented by any other than Z are one or more groups selected from the substituents group  $\beta$ ;

the term "optionally substituted carbocyclyl" includes the above "optionally substituted cycloalkyl", "optionally substituted cycloalkenyl", "optionally substituted bicycloallyl" and "optionally substituted aryl";

the substituents for "optionally substituted heterocyclyl" are the same as those for the above "optionally substituted aryl",

and one or more groups selected from the substituents group  $\beta$  are the substituents for "optionally substituted C<sub>1</sub>-C<sub>6</sub> alkylene", "optionally substituted C<sub>2</sub>-C<sub>6</sub> alkenylene", "optionally substituted cycloalkylene", "optionally substituted cyclohexylene", "optionally substituted bicycloalkylene", "optionally substituted cycloalkenylene", "optionally substituted phenylene", "optionally substituted heterocyclidyl" and "optionally substituted piperidinylene."

2. Use according to Claim 1 wherein R<sup>2</sup> is hydrogen or C<sub>1</sub>-C<sub>10</sub> alkyl and Z is optionally substituted C<sub>1</sub>-C<sub>10</sub> alkyl, optionally substituted C<sub>2</sub>-C<sub>10</sub> alkenyl, optionally substituted C<sub>1</sub>-C<sub>10</sub> alkoxy, optionally substituted carbocyclyl, optionally substituted heterocyclyl or optionally substituted amino, provided that R<sup>1</sup> is optionally substituted C<sub>3</sub> to C<sub>10</sub> alkyl when Z is optionally substituted amino, wherein carbocyclyl and heterocyclyl are defined as above and the optional substituents are defined as above.

3. Use according to Claim 1 wherein R<sup>1</sup> is optionally substituted C<sub>1</sub>-C<sub>10</sub> alkyl or optionally substituted C<sub>3</sub>-C<sub>8</sub> cycloalkyl, X is optionally substituted C<sub>2</sub>-C<sub>6</sub> alkylene, optionally substituted C<sub>2</sub>-C<sub>6</sub> alkenylene or

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wherein p and q are 0,

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is optionally substituted C<sub>3</sub>-C<sub>8</sub> cycloalkylene, optionally substituted C<sub>3</sub>-C<sub>8</sub> cycloalkenylene, optionally substituted C<sub>5</sub>-C<sub>8</sub> bicycloalkylene, optionally substituted arylene or optionally substituted heterocyclodiyl, and

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Z is optionally substituted C<sub>1</sub>-C<sub>10</sub> alkyl, optionally substituted carbocyclyl or optionally substituted heterocyclyl, wherein arylene, heterocyclothiyl and heterocyclyl are defined as above and the optional substituents are as defined above.

4. Use according to any one of Claims 1 to 3 wherein R<sup>1</sup> is optionally substituted C<sub>3</sub> to C<sub>10</sub> alkyl wherein the optional substituents are as defined above.

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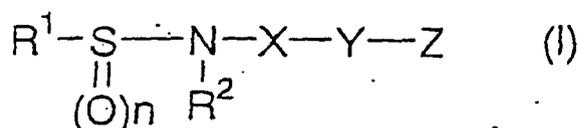
5. Use according to any one of Claims 1 to 4 as an anti-obestic agent.

6. Use according to any one of Claims 1 to 4 as an anorectic agent.

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7. A compound of the formula (I):

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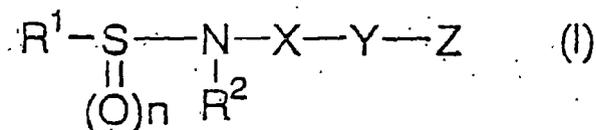
wherein X is C<sub>2</sub> to C<sub>6</sub> alkylene or C<sub>3</sub> to C<sub>6</sub> alkenylene, R<sup>1</sup> is optionally substituted C<sub>3</sub> to C<sub>10</sub> alkyl or optionally substituted C<sub>5</sub> to C<sub>6</sub> cycloalkyl and the other symbols are the same as defined in Claim 1, provided that Z is not C<sub>1</sub>-C<sub>10</sub> alkylphenylamino, hydroxy(C<sub>1</sub>-C<sub>10</sub>)alkylphenylamino and acylphenylamino when Y is NR<sup>7</sup>CO, , pharmaceutically acceptable salt or solvate thereof, wherein the optional substituents are as defined above.

8. The compound described in Claim 7 wherein Z is optionally substituted C<sub>1</sub>-C<sub>10</sub> alkyl or optionally substituted phenyl, pharmaceutically acceptable salt or solvate thereof, wherein the optional substituents are as defined above.

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9. A compound of the formula (I):

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wherein X is



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is optionally substituted C<sub>3</sub>-C<sub>6</sub> cycloalkylene, optionally substituted C<sub>3</sub>-C<sub>8</sub> cycloalkenylene, optionally substituted C<sub>5</sub>-C<sub>8</sub> bicycloalkylene or optionally substituted piperidinylene, R<sup>1</sup> is optionally substituted C3 to C10 alkyl or optionally substituted C5 to C6 cycloalkyl and the other symbols are the same as defined in Claim 1, pharmaceutically acceptable salt or solvate thereof, wherein the optional substituents are as defined above.

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10. The compound described in Claim 9 wherein -A- is optionally substituted cyclohexylene or optionally substituted piperidinylene and p and q are simultaneously 0, pharmaceutically acceptable salt or solvate thereof wherein the optional substituents are as defined above.

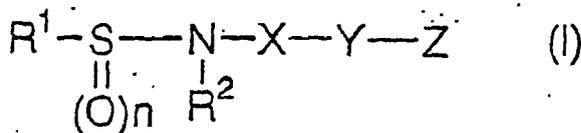
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11. The compound described in Claim 9 or 10 wherein Y is CONH, pharmaceutically acceptable salt or solvate thereof.

12. The compound claimed in any one of Claims 9 to 11 wherein Z is optionally substituted C<sub>1</sub>-C<sub>10</sub> alkyl, optionally substituted phenyl, optionally substituted pyridyl or optionally substituted benzopyranyl, pharmaceutically acceptable salt or solvate thereof, wherein the optional substituents are as defined above.

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13. A compound of the formula (I):



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wherein X is



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is heteroarylene, R<sup>1</sup> is optionally substituted C3 to C10 alkyl or optionally substituted C5 to C6 cycloalkyl and the other symbols are the same as defined in Claim 1, pharmaceutically acceptable salt or solvate thereof wherein the optional substituents are as defined above.

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14. The compound claimed in Claim 13 wherein

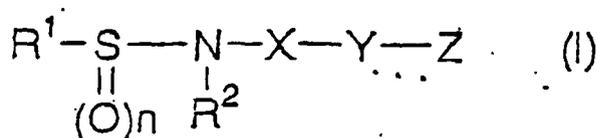
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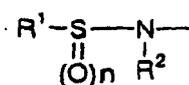
is thiophenediyl or furandiyl, pharmaceutically acceptable salt or solvate thereof.

15. A pharmaceutical composition comprising the compound claimed in any one of Claims 7 to 14, pharmaceutically acceptable salt or solvate thereof.

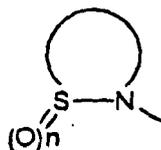
16. A compound of the formula (I):



wherein R<sup>1</sup> is optionally substituted C<sub>1</sub>-C<sub>10</sub> alkyl, or optionally substituted C<sub>3</sub>-C<sub>8</sub> cycloalkyl  
R<sup>2</sup> is hydrogen or C<sub>1</sub>-C<sub>10</sub> alkyl, and R<sup>1</sup> and R<sup>2</sup> taken together may form C<sub>1</sub>-C<sub>6</sub> alkylene including the case



is



n is 1 or 2,

X is optionally substituted C<sub>1</sub>-C<sub>6</sub> alkylene,  
optionally substituted C<sub>2</sub>-C<sub>6</sub> alkenylene,  
optionally substituted -CO-C<sub>1</sub>-C<sub>6</sub> alkylene,  
optionally substituted -CO-C<sub>2</sub>-C<sub>6</sub> alkenylene or

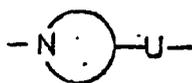


wherein R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> are each independently hydrogen or C<sub>1</sub>-C<sub>10</sub> alkyl,



is optionally substituted C<sub>3</sub>-C<sub>8</sub> cycloalkylene, optionally substituted C<sub>3</sub>-C<sub>8</sub> cycloalkenylene, optionally substituted C<sub>5</sub>-C<sub>8</sub> bicycloalkylene, arylene optionally substituted selected from a bivalent group formed by excluding one hydrogen from phenyl, naphthyl, anthryl, phenanthryl, indanyl, indenyl, biphenyl, acenaphthyl, tetrahydronaphthyl and fluorenyl, or optionally substituted heterocyclediyl and p and q are each independently 0 or 1,

-NR<sup>2</sup>-X- may be



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wherein

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is piperidinediyl, piperazinediyl, pyridinediyl, pyrazinediyl, pyrrolidinediyl or pyrrolediyl and U is single bond, C<sub>1</sub>-C<sub>6</sub> alkenylene or C<sub>2</sub>-C<sub>6</sub> alkenylene,

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Y is OCONR<sup>7</sup>, CONR<sup>7</sup>, CSNR<sup>7</sup>, NR<sup>7</sup>CO or NR<sup>7</sup>CS,

R<sup>7</sup> is hydrogen or C<sub>1</sub>-C<sub>10</sub> alkyl, and

Z is optionally substituted C<sub>1</sub>-C<sub>10</sub> alkyl, optionally substituted C<sub>2</sub>-C<sub>10</sub> alkenyl, optionally substituted amino, optionally substituted C<sub>1</sub>-C<sub>10</sub> alkoxy, optionally substituted carbocyclyl or optionally substituted heterocyclyl, wherein hetero-

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cyclyl is selected from 5- or 6-membered heteroary selected from pyrrolyl, imidazolyl, pyrazolyl, pyridyl, pyridazinyl, pyrimidinyl, oxazolyl, oxadiazolyl, isothiazolyl thiazolyl, thiadiazolyl, furyl and thienyl; fused heterocyclyl consisting of two rings selected from as indolyl, isoindolyl, indazolyl, indoliziny, indolynyl, isoindolynyl, quinolyl, isoquinolyl, cinnolynyl, phthalazinyl, quinazolynyl, naphthyridinyl, quinoxalynyl, purinyl, pteridinyl, benzopyranyl, benzimidazolyl, benzisoxazolyl, benzoxazolyl benzoxadiazolyl, benzisothiazolyl, benzothiazolyl, benzothiadiazolyl, benzofuryl, iso-

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benzofuryl, benzothienyl, benzotriazolyl, imidazopyridyl, triazoropyridyl, imidazothiazolyl, pyrazinopyridazinyl, quinazolynyl, quinolyl, isoquinolyl, naphthyridinyl, dihydropyridyl, tetrahydroquinolyl and tetrahydrobenzothienyl; and heterocyclediyl is a bivalent group formed by excluding one hydrogen from above heterocyclyl carbocyclyl is selected from C<sub>3</sub>-C<sub>8</sub> cycloalkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkenyl C<sub>3</sub>-C<sub>8</sub> bicycloalkyl are aryl as defined above, pharmaceutically acceptable salt or solvate thereof as an NPY Y5 receptor antagonist whereby the substituents of "optionally substituted lower alkyl" represented by Z are, (1) halogen; (2) cyano;

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(3) the following groups (i) to (xvi), which are optionally substituted with one or more substituents selected from "a substituents group β" defined below, .

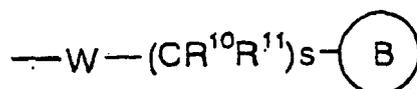
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(i) hydroxy, (ii) C<sub>1</sub>-C<sub>10</sub> alkoxy, (iii) mercapto, (iv) C<sub>1</sub>-C<sub>10</sub> alkylthio, (v) acyl, (vi) acyloxy, (vii) carboxy, (viii) C<sub>1</sub>-C<sub>10</sub> alkoxy carbonyl, (ix) imino, (x) carbamoyl, (xi) thiocarbamoyl, (xii) C<sub>1</sub>-C<sub>10</sub> alkylcarbamoyl, (xiii) lower alkylthiocarbamoyl, (xiv) amino, (xv) C<sub>1</sub>-C<sub>10</sub> alkylamino or (xvi) heterocyclyl carbonyl;

or

(4) a group of the formula:

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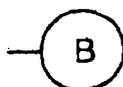
wherein R<sup>10</sup> and R<sup>11</sup> are each independently hydrogen or C<sub>1</sub>-C<sub>10</sub> alkyl and when this group has two or more of R<sup>10</sup> and/or two or more of R<sup>11</sup>, each R<sup>10</sup> and/or each R<sup>11</sup> may be different,

W is single bond, O, S or NR<sup>12</sup>,

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R<sup>12</sup> is hydrogen, C<sub>1</sub>-C<sub>10</sub> alkyl or phenyl,

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is cycloalkyl, bicycloalkyl, cycloalkenyl, aryl or heterocyclyl, each of which is optionally substituted with one or more of substituents selected from "a substituents group α" defined below and s is an integer of 0 to 4; substituents group α" is a group constituting of (1) halogen; (2) oxo; (3) cyano; (4) nitro; (5) imino optionally

substituted with C<sub>1</sub>-C<sub>10</sub> alkyl or hydroxy;

(6) the following groups (i) to (xxi), which are optionally substituted with one or more of groups selected from the substituents group β,

5 (i) hydroxy, (ii) C<sub>1</sub>-C<sub>10</sub> alkyl, (iii) C<sub>2</sub>-C<sub>10</sub> alkenyl, (iv) C<sub>1</sub>-C<sub>10</sub> alkoxy, (v) carboxy, (vi) C<sub>1</sub>-C<sub>10</sub> alkoxy carbonyl, (vii) acyl, (viii) acyloxy, (ix) imino, (x) mercapto, (xi) C<sub>1</sub>-C<sub>10</sub> alkylthio, (xii) carbamoyl, (xiii) C<sub>1</sub>-C<sub>10</sub> alkyl carbamoyl, (xiv) cycloalkyl carbamoyl, (xv) thiocarbamoyl, (xvi) C<sub>1</sub>-C<sub>10</sub> alkylthiocarbamoyl, (xvii) C<sub>1</sub>-C<sub>10</sub> alkylsulfanyl, (xviii) C<sub>1</sub>-C<sub>10</sub> alkylsulfonyl, (xix) sulfamoyl, (xx) C<sub>1</sub>-C<sub>10</sub> alkylsulfamoyl and (xxi) cycloalkylsulfamoyl;

10 (7) the following groups (i) to (v), which are optionally substituted with the substituents group β, C<sub>1</sub>-C<sub>10</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy(C<sub>1</sub>-C<sub>10</sub>)alkyl, optionally protected hydroxy(C<sub>1</sub>-C<sub>10</sub>)alkyl, halogeno(C<sub>1</sub>-C<sub>10</sub>)alkyl, lower alkylsulfonyl and/or arylsulfonyl,

15 (i) cycloalkyl, (ii) cycloalkenyl, (iii) cycloalkyloxy, (iv) amino and (v) alkylenedioxy;  
and

(8) the following groups (i) to (xii), which are optionally substituted with the substituents group β, C<sub>1</sub>-C<sub>10</sub> alkyl, halogeno(C<sub>1</sub>-C<sub>10</sub>)alkyl and/or oxo,

20 (i) phenyl, (ii) naphthyl, (iii) phenoxy, (iv) phenyl(C<sub>1</sub>-C<sub>10</sub>)alkoxy, (v) phenylthio, (vi) phenyl(C<sub>1</sub>-C<sub>10</sub>)alkylthio, (vii) phenylazo, (viii) heterocyclyl, (ix) heterocyclyloxy, (x) heterocyclylthio, (xi) heterocyclylcarbonyl and (xii) heterocyclylsulfonyl.

25 "a substituents group β" is a group consisting of halogen, optionally protected hydroxy, mercapto, C<sub>1</sub>-C<sub>10</sub> alkoxy, C<sub>2</sub>-C<sub>10</sub> alkenyl, amino, C<sub>1</sub>-C<sub>10</sub> alkylamino, C<sub>1</sub>-C<sub>10</sub> alkoxy carbonylamino, C<sub>1</sub>-C<sub>10</sub> alkylthio, acyl, carboxy, C<sub>1</sub>-C<sub>10</sub> alkoxy carbonyl, carbamoyl, cyano, cycloalkyl, phenyl, phenoxy, C<sub>1</sub>-C<sub>10</sub> alkylphenyl, C<sub>1</sub>-C<sub>10</sub> alkoxyphenyl, halogenophenyl, naphthyl and heterocyclyl;

the substituent for "optionally substituted C<sub>1</sub>-C<sub>10</sub> alkyl" represented by any other than Z are one or more substituents selected from the substituents group β;

30 the substituents for "optionally substituted cycloalkyl" are one or more substituents selected from the substituents group α;

the substituents for "optionally substituted lower alkenyl" are halogen, lower alkoxy, lower alkenyl, amino, lower alkylamino, lower alkoxy carbonylamino, lower alkylthio, acyl, carboxy, lower alkoxy carbonyl, carbamoyl, cyano, cycloalkyl, phenyl, lower alkylphenyl, lower alkoxyphenyl, naphthyl and/or heterocyclyl;

35 the substituents for "optionally substituted cycloalkenyl" are one or more substituents selected from the substituents group β;

the substituents for "optionally substituted amino" are the substituents group β, optionally substituted benzoyl and/or optionally substituted heterocyclylcarbonyl wherein the substituents is hydroxy, C<sub>1</sub>-C<sub>10</sub> alkyl, lower alkoxy and/or C<sub>1</sub>-C<sub>10</sub> alkylthio;

40 the term "optionally substituted aryl" and "optionally substituted phenyl" represented by Z include the above "aryl" and "phenyl" respectively, which may be substituted with the substituents group α or C<sub>1</sub>-C<sub>10</sub> alkyl which may be substituted with one or more group selected from the substituents group α;

the substituents for "optionally substituted aryl" and "optionally substituted phenyl" represented by any other than Z are one or more groups selected from the substituents group β;

45 the term "optionally substituted carbocyclyl" includes the above "optionally substituted cycloalkyl", "optionally substituted cycloalkenyl", "optionally substituted bicycloalkyl" and "optionally substituted aryl".

the Substituents for "optionally substituted heterocyclyl" are the same as those for the above "optionally substituted aryl".

50 and one or more groups selected from the substituents group β are the substituents for "optionally substituted C<sub>1</sub>-C<sub>6</sub> alkylene", "optionally substituted C<sub>2</sub>-C<sub>6</sub> alkenylene", "optionally substituted cycloalkylene", "optionally substituted cyclohexylene", "optionally substituted bicycloalkylene", "optionally substituted cycloalkenylene", "optionally substituted phenylene", "optionally substituted heterocyclidyl" and "optionally substituted piperidinylene.

17. Compound according to Claim 16 wherein R<sup>2</sup> is hydrogen or C<sub>1</sub>-C<sub>10</sub> alkyl and Z is optionally substituted C<sub>1</sub>-C<sub>10</sub> alkyl, optionally substituted C<sub>2</sub>-C<sub>10</sub> alkenyl, optionally substituted C<sub>1</sub>-C<sub>10</sub> alkoxy, optionally substituted carbocyclyl, optionally substituted heterocyclyl or optionally substituted amino, provided that R<sup>1</sup> is optionally substituted C<sub>3</sub> to C<sub>10</sub> alkyl when Z is optionally substituted amino, wherein carbocyclyl and heterocyclyl are defined as above and the optional substituents are defined as above.

18. Compound according to Claim 16 wherein R<sup>1</sup> is optionally substituted C<sub>1</sub>-C<sub>10</sub> alkyl or optionally substituted C<sub>3</sub>-C<sub>8</sub> cycloalkyl, X is optionally substituted C<sub>2</sub>-C<sub>6</sub> alkylene, optionally substituted C<sub>2</sub>-C<sub>6</sub> alkenylene or

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wherein p and q are 0,

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is optionally substituted C<sub>3</sub>-C<sub>8</sub> cycloalkylene, optionally substituted C<sub>3</sub>-C<sub>8</sub> cycloalkenylene, optionally substituted C<sub>5</sub>-C<sub>8</sub> bicycloalkylene, optionally substituted arylene or optionally substituted heterocyclidiyl, and

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Z is optionally substituted C<sub>1</sub>-C<sub>10</sub> alkyl, optionally substituted carbocyclyl or optionally substituted heterocyclyl, wherein arylene, heterocyclidiyl and heterocyclyl are defined as above and the optional substituents are defined as above.

19. Compound according to any one of Claims 16 to 18 wherein R<sup>1</sup> is optionally substituted C<sub>3</sub> to C<sub>10</sub> alkyl, wherein the optional substituents are as defined above.

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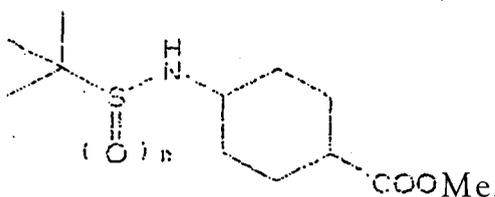
20. Compound according to any one of Claims 16 to 19 as an anti-obestic agent.

21. Compound according to any one of Claims 16 to 19 as an anorectic agent.

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22. A compound of the formula:

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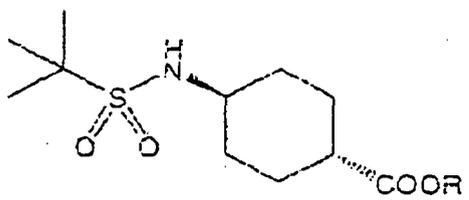


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wherein n is 2.

23. A compound of the formula:

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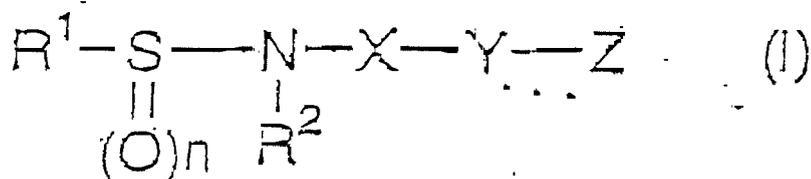
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wherein R is hydrogen or methyl.

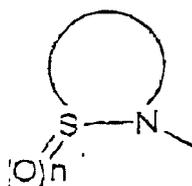
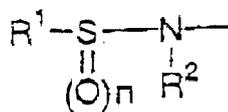
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## Patentansprüche

## 1. Verwendung einer Verbindung der Formel (I)



wobei R<sup>1</sup> gegebenenfalls substituiertes C<sub>1</sub>-C<sub>10</sub>-Alkyl oder gegebenenfalls substituiertes C<sub>3</sub>-C<sub>8</sub>-Cyclalkyl ist;  
 R<sup>2</sup> Wasserstoff oder C<sub>1</sub>-C<sub>10</sub>-Alkyl ist und R<sup>1</sup> und R<sup>2</sup> zusammengenommen C<sub>1</sub>-C<sub>6</sub>-Alkylen bilden können, einschließlich des Falls, dass



ist;

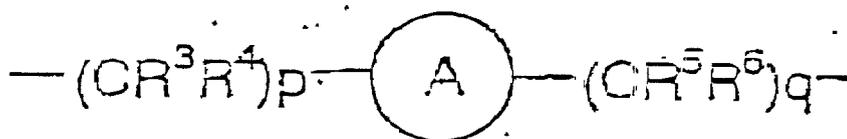
n 1 oder 2 ist,

X gegebenenfalls substituiertes C<sub>1</sub>-C<sub>6</sub>-Alkylen,

gegebenenfalls substituiertes C<sub>2</sub>-C<sub>6</sub>-Alkenylen,

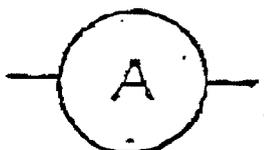
gegebenenfalls substituiertes -CO-C<sub>1</sub>-C<sub>6</sub>-Alkylen,

gegebenenfalls substituiertes -CO-C<sub>2</sub>-C<sub>6</sub>-Alkenylen oder



ist,

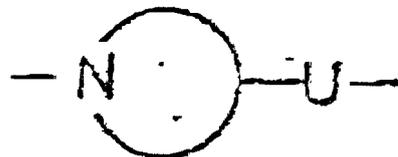
wobei R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> und R<sup>6</sup> jeweils unabhängig Wasserstoff oder C<sub>1</sub>-C<sub>10</sub>-Alkyl sind,



gegebenenfalls substituiertes C<sub>3</sub>-C<sub>8</sub>-Cycloalkylen, gegebenenfalls substituiertes C<sub>3</sub>-C<sub>8</sub>-Cycloalkenylen, gegebe-

nenfalls substituiertes C<sub>5</sub>-C<sub>8</sub>-Bicycloalkylen, gegebenenfalls substituiertes Arylen, ausgewählt aus einem bivalenten Rest, hergestellt durch den Ausschluss eines Wasserstoffatoms aus Phenyl, Naphthyl, Anthryl, Phenanthryl, Indanyl, Indenyl, Biphenyl, Acenaphthyl, Tetrahydronaphthyl und Fluorenyl, oder gegebenenfalls substituiertes. Heterocyclusdiyl ist, und p und q jeweils unabhängig 0 oder 1 sind;

-NR<sup>2</sup>-X-



sein kann,  
wobei



Piperidindiyl, Piperazindiyl, Pyridindiyl, Pyrazindiyl, Pyrrolidindiyl oder Pyrroldiyl ist und U eine Einfachbindung, C<sub>1</sub>-C<sub>6</sub>-Alkylen oder C<sub>2</sub>-C<sub>6</sub>-Alkenylen ist, Y OCONR<sup>7</sup>, CONR<sup>7</sup>, CSNR<sup>7</sup>, NR<sup>7</sup>CO oder NR<sup>7</sup>CS ist, R<sup>7</sup> Wasserstoff oder C<sub>1</sub>-C<sub>10</sub>-Alkyl ist, und

Z gegebenenfalls substituiertes C<sub>1</sub>-C<sub>10</sub>-Alkyl, gegebenenfalls substituiertes C<sub>2</sub>-C<sub>10</sub>-Alkenyl, gegebenenfalls substituiertes Amino, gegebenenfalls substituiertes C<sub>1</sub>-C<sub>10</sub>-Alkoxy, gegebenenfalls substituiertes Carbocyclyl oder gegebenenfalls substituiertes Heterocyclyl ist, wobei Heterocyclyl ausgewählt ist aus einem 5- oder 6-gliedrigen Heteroaryl, ausgewählt aus Pyrrolyl, Imidazolyl, Pyrazolyl, Pyridyl, Pyridazinyl, Pyrimidinyl, Oxazolyl, Oxadiazolyl, Isothiazolyl, Thiazolyl, Thiadiazolyl, Furyl und Thienyl; einem kondensierten Heterocyclyl, bestehend aus zwei Ringen, ausgewählt aus Indolyl, Isoindolyl, Indazolyl, Indolizinyll, Indolinyll, Isoindolinyll, Chinolyl, isochinolyl, Cinnolinyll, Phthalazinyl, Chinazolinyll, Naphthyridinyll, Chinoxalinyll, Purinyll, Pteridinyll, Benzopyranyll, Benzimidazolyl, Benzisoxazolyl, Benzoxazolyl, Benzoxadiazolyl, Benzisothiazolyl, Benzothiazolyl, Benzothiadiazolyl, Benzofuryll, Isobenzofuryll, Benzothienyl, Benzotriazolyl, Imidazopyridyl, Triazoropyridyl, Imidazothiazolyl, Pyrazinpyridazinyl, Chinazolinyll, Chinolyl, Isochinolyl, Naphthyridinyll, Dihydropyridyl, Tetrahydrochinolyl und Tetrahydrobenzothienyl, und Heterocyclusdiyl ein bivalenter Rest, welcher durch den Ausschluss eines Wasserstoffatoms aus dem verstehenden Heterocyclyl gebildet wird, ist, Carbocyclyl ausgewählt ist aus C<sub>3</sub>-C<sub>8</sub>-Cycloalkyl, C<sub>3</sub>-C<sub>8</sub>-Cycloalkenyl, C<sub>5</sub>-C<sub>8</sub>-Bicycloalkyl und Aryl wie vorstehend definiert,

eines pharmazeutisch verträglichen Salzes oder Solvats davon, zur Herstellung eines Arzneimittels als einen NPY-Y5-Rezeptorantagonisten,

wobei die Substituenten für "gegebenenfalls substituiertes C<sub>1</sub>-C<sub>10</sub>-Alkyl", dargestellt durch Z die folgende Bedeutung haben: (1) Halogen; (2) Cyano;

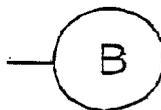
(3) die folgenden Reste (i) bis (xvi), weiche gegebenenfalls mit einem oder mehreren Substituenten, ausgewählt aus "einem Substituenten-Rest β" wie nachstehend definiert, substituiert sind,

(i) Hydroxy, (ii) C<sub>1</sub>-C<sub>10</sub>-Alkoxy, (iii) Mercapto, (iv) C<sub>1</sub>-C<sub>10</sub>-Alkylthio, (v) Acyl, (vi) Acyloxy, (vii) Carboxy, (viii) C<sub>1</sub>-C<sub>10</sub>-Alkoxy-carbonyl, (ix) Imino, (x) Carbamoyl, (xi) Thiocarbamoyl, (xii) C<sub>1</sub>-C<sub>10</sub>-Alkylcarbamoyl, (xiii) Niederalkylthiocarbamoyl, (xiv) Amino, (xv) C<sub>1</sub>-C<sub>10</sub>-Alkylamino oder (xvi) Heterocyclyl-carbonyl; oder

(4) ein Rest der Formel



wobei R<sup>10</sup> und R<sup>11</sup> jeweils unabhängig Wasserstoff oder C<sub>1</sub>-C<sub>10</sub>-Alkyl sind und wenn dieser Rest zwei oder mehr von R<sup>10</sup> und/oder zwei oder mehr von R<sup>11</sup> aufweist, jedes R<sup>10</sup> und/oder jedes R<sup>11</sup> verschieden sein kann, W eine Einfachbindung, O, S oder NR<sup>12</sup> ist, R<sup>12</sup> Wasserstoff, C<sub>1</sub>-C<sub>10</sub>-Alkyl oder Phenyl ist.



Cycloalkyl, Bicycloalkyl, Cycloalkenyl, Aryl oder Heterocyclyl ist, welche jeweils gegebenenfalls mit einem oder mehreren Substituenten, ausgewählt aus "einem Substituenten-Rest  $\alpha$ " wie nachstehend definiert sind, und s eine ganze Zahl von 0 bis 4 ist;

"der Substituenten-Rest  $\alpha$ " ein Rest, bestehend aus (1) Halogen; (2) Oxo; (3) Cyano; (4) Nitro; (5) Imino, gegebenenfalls substituiert mit C<sub>1</sub>-C<sub>10</sub>-Alkyl oder Hydroxy, ist;

(6) die folgenden Reste (i) bis (xxi), welche gegebenenfalls mit einem oder mehreren Resten substituiert sind, ausgewählt aus dem Substituenten-Rest  $\beta$ ,

(i) Hydroxy, (ii) C<sub>1</sub>-C<sub>10</sub>-Alkyl, (iii) C<sub>2</sub>-C<sub>10</sub>-Alkenyl, (iv) C<sub>1</sub>-C<sub>10</sub>-Alkoxy, (v) Carboxy, (vi) C<sub>1</sub>-C<sub>10</sub>-Alkoxy-carbonyl, (vii) Acyl, (viii) Acyloxy, (ix) Imino, (x) Mercapto, (xi) C<sub>1</sub>-C<sub>10</sub>-Alkylthio, (xii) Carbamoyl, (xiii) C<sub>1</sub>-C<sub>10</sub>-Alkylcarbamoyl, (xiv) Cycloalkylcarbamoyl, (xv) Thiocarbamoyl, (xvi) C<sub>1</sub>-C<sub>10</sub>-Alkylthiocarbamoyl, (xvii) C<sub>1</sub>-C<sub>10</sub>-Alkylsulfinyl, (xviii) C<sub>1</sub>-C<sub>10</sub>-Alkylsulfonyl, (xix) Sulfamoyl, (xx) C<sub>1</sub>-C<sub>10</sub>-Alkylsulfamoyl und (xxi) Cycloalkylsulfamoyl;

(7) die folgenden Reste (i) bis (v), welche gegebenenfalls mit dem Substituenten-Rest  $\beta$ , C<sub>1</sub>-C<sub>10</sub>-Alkyl, C<sub>1</sub>-C<sub>10</sub>-Alkoxy-(C<sub>1</sub>-C<sub>10</sub>)-alkyl, gegebenenfalls geschütztem Hydroxy-(C<sub>1</sub>-C<sub>10</sub>)-alkyl, Halogen-(C<sub>1</sub>-C<sub>10</sub>)-alkyl, Niederalkylsulfonyl und/oder Arylsulfonyl substituiert sind,

(i) Cycloalkyl, (ii) Cycloalkenyl, (iii) Cycloalkyloxy, (iv) Amino und (v) Alkylendioxy; und

(8) die folgenden Reste (i) bis (xii), welche gegebenenfalls mit dem Substituenten-Rest  $\beta$ , C<sub>1</sub>-C<sub>10</sub>-Alkyl, Halogen-(C<sub>1</sub>-C<sub>10</sub>)-alkyl und/oder Oxo substituiert sind,

(i) Phenyl, (ii) Naphthyl, (iii) Phenoxy, (iv) Phenyl-(C<sub>1</sub>-C<sub>10</sub>)-alkoxy, (v) Plaezzythio, (vi) Phenyl-(C<sub>1</sub>-C<sub>10</sub>)-alkylthio, (vii) Phenylazo, (viii) Heterocyclyl, (ix) Heterocyclyloxy, (x) Heterocyclylthio, (xi) Heterocyclylcarbonyl und (xii) Heterocyclylsulfonyl;

"ein Substituenten-Rest  $\beta$ " ein Rest ist, bestehend aus Halogen, gegebenenfalls geschütztem Hydroxy, Mercapto, C<sub>1</sub>-C<sub>10</sub>-Alkoxy, C<sub>2</sub>-C<sub>10</sub>-Alkenyl, Amino, C<sub>1</sub>-C<sub>10</sub>-Alkylamino, C<sub>1</sub>-C<sub>10</sub>-Alkoxy-carbonylamino, C<sub>1</sub>-C<sub>10</sub>-Alkylthio, Acyl, Carboxy, C<sub>1</sub>-C<sub>10</sub>-Alkoxy-carbonyl, Carbamoyl, Cyano, Cycloalkyl, Phenyl, Phenoxy, C<sub>1</sub>-C<sub>10</sub>-Alkylphenyl, C<sub>1</sub>-C<sub>10</sub>-Alkoxyphenyl, Halogenphenyl, Naphthyl und Heterocyclyl; die Substituenten für "gegebenenfalls substituiertes C<sub>1</sub>-C<sub>10</sub>-Alkyl", dargestellt durch alle Reste außer Z, ein oder mehrere Substituenten, ausgewählt aus dem Substituenten-Rest  $\beta$ , sind;

die Substituenten für "gegebenenfalls substimiertes C<sub>1</sub>-C<sub>10</sub>-Alkoxy" ein oder mehrere Substituenten, ausgewählt aus dem Substituenten-Rest  $\beta$ , sind;

die Substituenten für "gegebenenfalls substituiertes Cycloalkyl" ein oder mehrere Substituenten, ausgewählt aus dem Substituenten Rest  $\alpha$ , sind;

die Substituenten für "gegebenenfalls substituiertes Niederalkenyl" Halogen, Niederalkoxy, Niederalkenyl, Amino, Niederalkylamino, Niederalkoxy-carbonylamino, Niederalkylthio, Acyl, Carboxy, Niederalkoxy-carbonyl, Carbamoyl, Cyano, Cycloalkyl, Phenyl, Niederalkylphenyl, Niederalkoxyphenyl, Naphthyl und/oder Heterocyclyl sind;

die Substituenten für "gegebenenfalls substituiertes Cycloalkenyl" ein oder mehrere Substituenten, ausgewählt aus dem Substituenten-Rest  $\beta$ , sind;

die Substituenten für "gegebenenfalls substituiertes Amino" der Substituenten-Rest  $\beta$ , gegebenenfalls substituiertes Benzoyl und/oder gegebenenfalls substituiertes Heterocyclylcarbonyl sind, wobei die Substituenten Hydroxy, C<sub>1</sub>-C<sub>10</sub>-Alkyl, Niederalkoxy und/oder C<sub>1</sub>-C<sub>10</sub>-Alkylthio sind;

der Begriff "gegebenenfalls substituiertes Aryl" und "gegebenenfalls substituiertes Phenyl", dargestellt durch Z, die vorangehenden Reste "Aryl" beziehungsweise "Phenyl" einschließt, welche mit dem Substituenten-Rest  $\alpha$  oder mit C<sub>1</sub>-C<sub>10</sub>-Alkyl substituiert sein können, welcher mit einem oder mehreren Resten, ausgewählt aus dem Substituenten-Rest  $\alpha$ , substituiert sein kann;

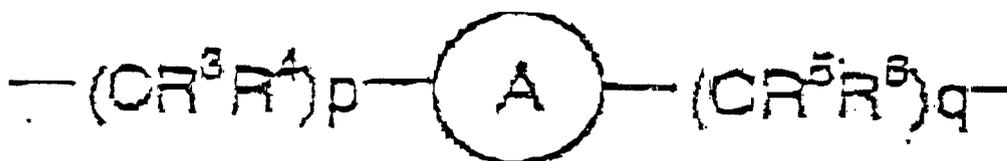
die Substituenten für "gegebenenfalls substituiertes Aryl" und "gegebenenfalls substituiertes Phenyl", dargestellt durch alle Reste außer Z, ein oder mehrere Reste, ausgewählt aus dem Substituenten-Rest  $\beta$ , sind;

der Begriff "gegebenenfalls substituiertes Carbocyclyl" die vorstehenden Begriffe "gegebenenfalls substituiertes Cycloalkyl", "gegebenenfalls substituiertes Cycloalkenyl", "gegebenenfalls substituiertes Bicycloalkyl" und "gege-

benenfalls substituiertes Aryl" einschließt;  
 die Substituenten für "gegebenenfalls substituiertes Heterocyclus" die gleichen wie die für den vorstehenden Begriff  
 "gegebenenfalls substituiertes Aryl" sind;  
 und ein oder mehrere Reste des Substituentiten-Rests  $\beta$  die Substituenten für "gegebenenfalls substituiertes  
 C<sub>1</sub>-C<sub>6</sub>-Alkyl", "gegebenenfalls substituiertes C<sub>2</sub>-C<sub>6</sub>-Alkenyl", "gegebenenfalls substituiertes Cycloalkyl", "ge-  
 gebenenfalls substituiertes Cyclohexyl", "gegebenenfalls substituiertes Bicycloalkyl", "gegebenenfalls substi-  
 tuiertes Cycloalkenyl", "gegebenenfalls substituiertes Phenyl", "gegebenenfalls substituiertes Heterocyclusdiyl"  
 und "gegebenenfalls substituiertes Piperidinyl" sind.

2. Verwendung gemäß Anspruch 1, wobei R<sup>2</sup> Wasserstoff oder C<sub>1</sub>-C<sub>10</sub>-Alkyl ist und Z gegebenenfalls substituiertes  
 C<sub>1</sub>-C<sub>10</sub>-Alkyl, gegebenenfalls substituiertes C<sub>2</sub>-C<sub>10</sub>-Alkenyl, gegebenenfalls substituiertes C<sub>1</sub>-C<sub>10</sub>-Alkoxy, gegeb-  
 enenfalls substituiertes Carbocyclyl, gegebenenfalls substituiertes Heterocyclus oder gegebenenfalls substituiertes  
 Amino ist, mit der Maßgabe, dass R<sup>1</sup> gegebenenfalls substituiertes C<sub>3</sub>- bis C<sub>10</sub>-Alkyl ist, wenn Z gegebenenfalls  
 substituiertes Amino ist, wobei Carbocyclyl und Heterocyclus wie vorstehend definiert sind und die fakultativen  
 Substituenten wie vorstehend definiert sind.

3. Verwendung gemäß Anspruch 1, wobei R<sup>1</sup> gegebenenfalls substituiertes C<sub>1</sub>-C<sub>10</sub>-Alkyl oder gegebenenfalls substi-  
 tuiertes C<sub>3</sub>-C<sub>8</sub>-Cycloalkyl ist, X gegebenenfalls substituiertes C<sub>2</sub>-C<sub>6</sub>-Alkyl, gegebenenfalls substituiertes C<sub>2</sub>-C<sub>6</sub>-Al-  
 kenyl oder



ist,  
 wobei p und q 0 sind,



gegebenenfalls substituiertes C<sub>3</sub>-C<sub>8</sub>-Cycloalkyl, gegebenenfalls substituiertes C<sub>3</sub>-C<sub>8</sub>-Cycloalkenyl, gegeb-  
 enenfalls substituiertes C<sub>5</sub>-C<sub>8</sub>-Bicycloalkyl, gegebenenfalls substituiertes Aryl oder gegebenenfalls substituier-  
 tes Heterocyclusdiyl ist, und

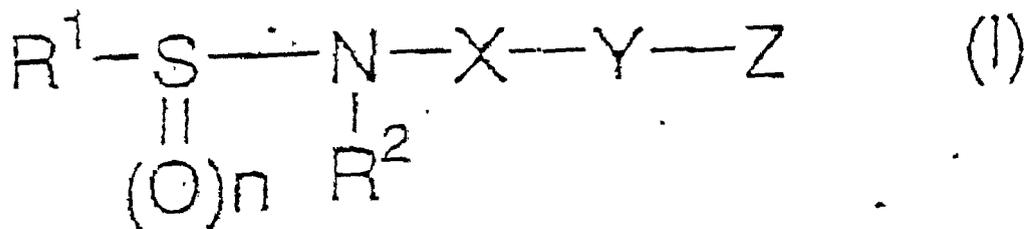
Z gegebenenfalls substituiertes C<sub>1</sub>-C<sub>10</sub>-Alkyl, gegebenenfalls substituiertes Carbocyclyl oder gegebenenfalls substi-  
 tuiertes Heterocyclus ist, wobei Aryl, Heterocyclusdiyl und Heterocyclus wie vorstehend definiert sind und die  
 fakultativen Substituenten wie vorstehend definiert sind.

4. Verwendung gemäß einem der Ansprüche 1 bis 3, wobei R<sup>1</sup> gegebenenfalls substituiertes C<sub>3</sub>- bis C<sub>10</sub>-Alkyl ist,  
 wobei die fakultativen Substituenten wie vorstehend definiert sind.

5. Verwendung gemäß einem der Ansprüche 1 bis 4 als ein Mittel gegen Fettsucht.

6. Verwendung gemäß einem der Ansprüche 1 bis 4 als ein Anorektikum.

7. Verbindung der Formel (I)

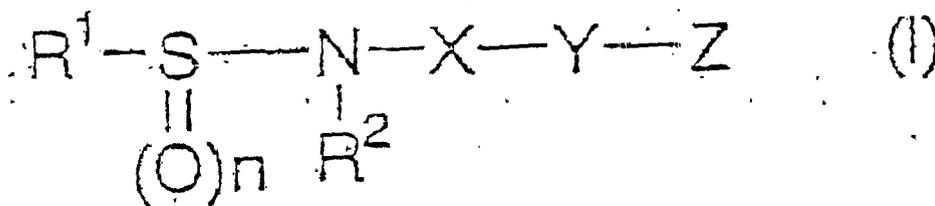


wobei X C2- bis C6-Alkylen oder C3- bis C6-Alkenylen ist, R<sup>1</sup> gegebenenfalls substituiertes C3- bis C10-Alkyl oder gegebenenfalls substituiertes C5- bis C6-Cycloalkyl ist und die anderen Elemente wie in Anspruch 1 definiert sind, mit der Maßgabe, dass Z nicht C<sub>1</sub>-C<sub>10</sub>-Alkylphenylamino, Hydroxy-(C<sub>1</sub>-C<sub>10</sub>)-alkylphenylamino und Acylphenylamino ist, wenn Y NR<sup>7</sup>CO ist,

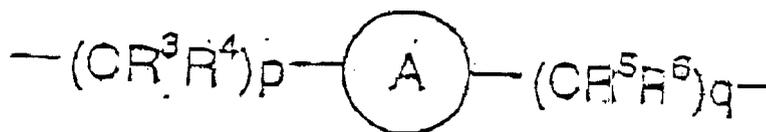
ein pharmazeutisch verträgliches Salz oder Solvat davon, wobei die fakultativen Substituenten wie vorstehend definiert sind.

8. Verbindung gemäß Anspruch 7, wobei Z gegebenenfalls substituiertes C<sub>1</sub>-C<sub>10</sub>-Alkyl oder gegebenenfalls substituiertes Phenyl ist, ein pharmazeutisch verträgliches Salz oder Solvat davon, wobei die fakultativen Substituenten wie vorstehend definiert sind.

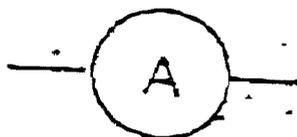
9. Verbindung der Formel (I):



wobei X



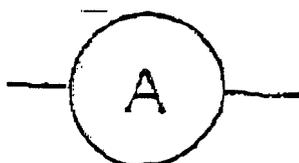
ist,



gegebenenfalls substituiertes C<sub>3</sub>-C<sub>8</sub>-Cycloalkylen, gegebenenfalls substituiertes C<sub>3</sub>-C<sub>8</sub>-Cycloalkenylen, gegebenenfalls substituiertes C<sub>5</sub>-C<sub>8</sub>-Bicycloalkylen oder gegebenenfalls substituiertes Piperidinylen ist, R gegebenenfalls substituiertes C3- bis C10-Alkyl oder gegebenenfalls substituiertes C5- bis C6-Cycloalkyl ist und die anderen Elemente wie in Anspruch 1 definiert sind, ein pharmazeutisch verträgliches Salz oder Solvat davon, wobei die fakultativen Substituenten wie vorstehend definiert sind.

10. Verbindung gemäß Anspruch 1, wobei

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gegebenenfalls substituiertes Cyclohexylen oder gegebenenfalls substituiertes Piperidinylen ist und p und q gleichzeitig 0 sind, ein pharmazeutisch verträgliches Salz oder Solvat davon, wobei die fakultativen Substituenten wie vorstehend definiert sind.

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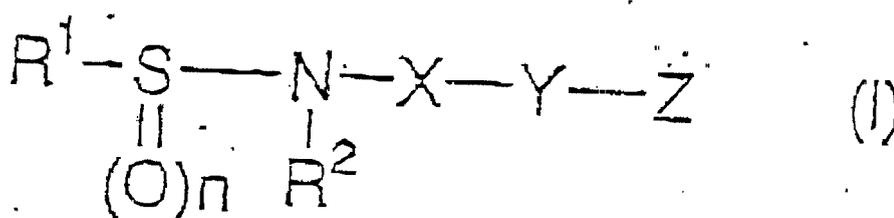
11. Verbindung gemäß Anspruch 9 oder 10, wobei Y CONH ist, ein pharmazeutisch verträgliches Salz oder Solvat davon.

12. Verbindung gemäß einem der Ansprüche 9 bis 11, wobei Z gegebenenfalls substituiertes C<sub>1</sub>-C<sub>10</sub>-Alkyl, gegebenenfalls substituiertes Phenyl, gegebenenfalls substituiertes Pyridyl oder gegebenenfalls substituiertes Benzopyranyl ist, ein pharmazeutisch verträgliches Salz oder Solvat davon, wobei die fakultativen Substituenten wie vorstehend definiert sind.

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13. Verbindung der Formel (I)

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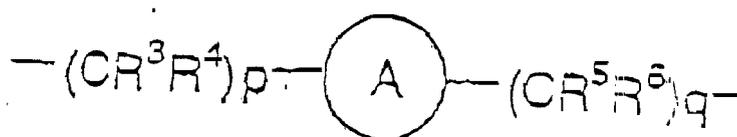


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wobei X

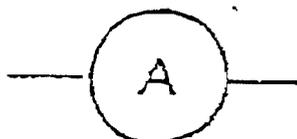
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ist,

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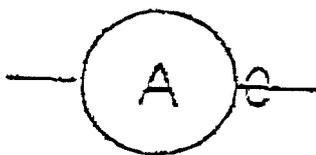


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Heteroarylen ist, R<sup>1</sup> gegebenenfalls substituiertes C<sub>3</sub>- bis C<sub>10</sub>-Alkyl ist oder gegebenenfalls substituiertes C<sub>5</sub>- bis C<sub>6</sub>-Cycloalkyl ist, und die anderen Elemente wie in Anspruch 1 definiert sind, ein pharmazeutisch verträgliches Salz oder Solvat davon, wobei die fakultativen Substituenten wie vorstehend definiert sind.

14. Verbindung gemäß Anspruch 13, wobei

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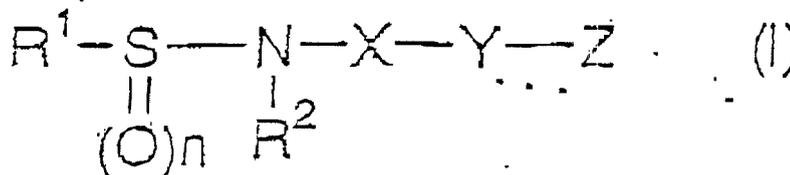
Thiophendiyl oder Furandiyl ist, ein pharmazeutisch verträgliches Salz oder Solvat davon.

15. Arzneimittel, umfassend die Verbindung gemäß einem der Ansprüche 7 bis 14, ein pharmazeutisch verträgliches Salz oder Solvat davon.

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16. Verbindung der Formel (I):

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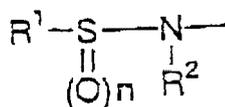


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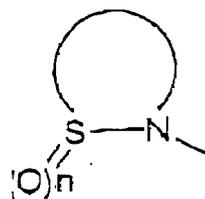
wobei R<sup>1</sup> gegebenenfalls substituiertes C<sub>1</sub>-C<sub>10</sub>-Alkyl oder gegebenenfalls substituiertes C<sub>3-8</sub>-Cyclalkyl ist; R<sup>2</sup> Wasserstoff oder C<sub>1</sub>-C<sub>10</sub>-Alkyl ist und R<sup>1</sup> und R<sup>2</sup> zusammengekommen C<sub>1</sub>-C<sub>6</sub>-Alkylen bilden können, einschließlich des Falls, dass

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ist;

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n 1 oder 2 ist,

X gegebenenfalls substituiertes C<sub>1</sub>-C<sub>6</sub>-Alkylen,

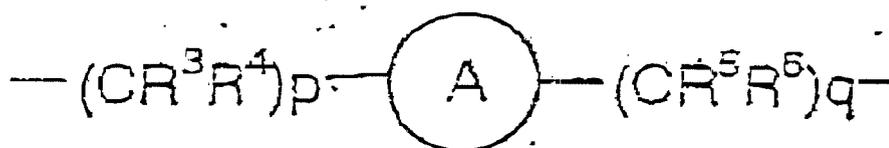
gegebenenfalls substituiertes C<sub>2</sub>-C<sub>6</sub>-Alkenylen,

gegebenenfalls substituiertes -CO-C<sub>1</sub>-C<sub>6</sub>-Alkylen,

gegebenenfalls substituiertes -CO-C<sub>2</sub>-C<sub>6</sub>-Alkenylen oder

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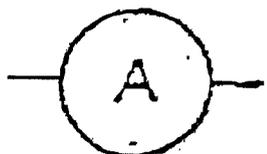
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ist,  
wobei  $R^3$ ,  $R^4$ ,  $R^5$  und  $R^6$  jeweils unabhängig Wasserstoff oder  $C_1$ - $C_{10}$ -Alkyl sind,

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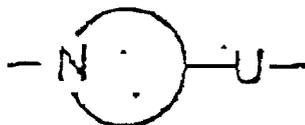
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gegebenenfalls substituiertes  $C_3$ - $C_8$ -Cycloalkylen, gegebenenfalls substituiertes  $C_3$ - $C_8$ -Cycloalkenylen, gegebenenfalls substituiertes  $C_5$ - $C_8$ -Bicycloalkylen, gegebenenfalls substituiertes Arylen, ausgewählt aus einem bivalenten Rest, hergestellt durch den Ausschluss eines Wasserstoffatoms aus Phenyl, Naphthyl, Anthryl, Phenanthryl, Indanyl, Indenyl, Biphenyl. Acenaphthyl, Tetrahydronaphthyl und Fluorenyl, oder gegebenenfalls substituiertes Heterocyclusdiyl ist, und p und q jeweils unabhängig 0 oder 1 sind;

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- $NR^2$ -X-

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sein kann,  
wobei

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Piperidindiyl, Piperazindiyl, Pyridindiyl, Pyrazindiyl, Pyrrolidindiyl oder Pyrroldiyl ist und U eine Einfachbindung,  $C_1$ - $C_6$ -Alkylen oder  $C_2$ - $C_6$ -Alkenylen ist,

Y  $OCNR^7$ ,  $CONR^7$ ,  $CSNR^7$ ,  $NR^7CO$  oder  $NR^7CS$  ist,

$R^7$  Wasserstoff oder  $C_1$ - $C_{10}$ -Alkyl ist, und

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Z gegebenenfalls substituiertes  $C_1$ - $C_{10}$ -Alkyl, gegebenenfalls substituiertes  $C_2$ - $C_{10}$ -Alkenyl, gegebenenfalls substituiertes Amino, gegebenenfalls substituiertes  $C_1$ - $C_{10}$ -Alkoxy, gegebenenfalls substituiertes Carbocyclyl oder gegebenenfalls substituiertes Heterocyclyl ist, wobei Heterocyclyl ausgewählt ist aus einem 5- oder 6-gliedrigen Heteroaryl, ausgewählt aus Pyrrolyl, Imidazolyl, Pyrazolyl, Pyridyl, Pyridazinyl, Pyrimidinyl, Oxazolyl, Oxadiazolyl, Isothiazolyl, Thiazolyl, Thiadiazolyl, Furyl und Thienyl; einem kondensierten Heterocyclyl, bestehend aus zwei Ringen, ausgewählt aus Indolyl, Isoindolyl, Indazolyl, Indolizinyll, Indolinyll, Isoindolinyll, Chinolyl, Isochmolyll, Cinnolinyll, Phthalazinyl, Chinazolinyll, Naphthyridinyll, Chinoxalinyll, Pminyl, Pteridinyll, Benzopyranyll, Benzimidazotyll, Benzisoxazolyl, Benzoxazolyl, Benzoxadiazolyl, Benzisothiazolyl, Benzothiazolyl, Benzothiadiazolyl, Benzofuryll, Isobenzofuryll, Benzothiényll, Benzotriazolyl, Imidazopyridyl, Triazoropyridyl, Imidazothiazolyl, Pyrazinpyridazinyl, Chinazolinyll, Chinolyl, Isochinolyl, Naplathyridinyll, Dihydropyridyl, Tetrahydrochinolyl und Tetrahydrobenzothiényll, und Heterocyclusdiyl ein bivalenter Rest, welcher durch den Ausschluss eines Wasserstoffatoms aus dem vorstehenden

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Heterocyclyl gebildet wird, ist, Carbocyclyl ausgewählt ist aus C<sub>3</sub>-C<sub>8</sub>-Cycloalkyl, C<sub>3</sub>-C<sub>8</sub>-Cycloalkenyl, C<sub>5</sub>-C<sub>8</sub>-Bicycloalkyl und Aryl wie vorstehend definiert, ein pharmazeutisch verträgliches Salz oder Solvat davon, als ein NPY-5-Rezeptorantagonist,

wobei die Substituenten für "gegebenenfalls substituiertes C<sub>1</sub>-C<sub>10</sub>-Alkyl", dargestellt durch Z, folgende Bedeutung haben: (1) Halogen; (2) Cyano;

(3) die folgenden Reste (i) bis (xvi), welche gegebenenfalls mit einem oder mehreren Substituenten, ausgewählt aus "einem Substituenten-Rest β" wie nachstehend definiert, substituiert sind,

(i) Hydroxy, (ii) C<sub>1</sub>-C<sub>10</sub>-Alkoxy, (iii) Mercapto, (iv) C<sub>1</sub>-C<sub>10</sub>-Alkylthio, (v) Acyl, (vi) Acyloxy, (vii) Carboxy, (viii) C<sub>1</sub>-C<sub>10</sub>-Alkoxy-carbonyl, (ix) Imino, (x) Carbamoyl, (xi) Thiocarbamoyl, (xii) C<sub>1</sub>-C<sub>10</sub>-Alkylcarbamoyl, (xiii) Niederalkylthiocarbamoyl, (xiv) Amino, (xv) C<sub>1</sub>-C<sub>10</sub>-Alkylamino oder (xvi) Heterocyclylcarbonyl; oder

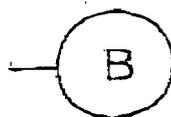
(4) ein Rest der Formel



wobei R<sup>10</sup> und R<sup>11</sup> jeweils unabhängig Wasserstoff oder C<sub>1</sub>-C<sub>10</sub>-Alkyl sind und wenn dieser Rest zwei oder mehr von R<sup>10</sup> und/oder zwei oder mehr von R<sup>11</sup> aufweist, jedes R<sup>10</sup> und/oder jedes R<sup>11</sup> verschieden sein kann,

W eine Einfachbindung, O, S oder NR<sup>12</sup> ist,

R<sup>12</sup> Wasserstoff, C<sub>1</sub>-C<sub>10</sub>-Alkyl oder Phenyl ist,



Cycloalkyl, Bicycloalkyl, Cycloalkenyl, Aryl oder Heterocyclyl ist, welche jeweils gegebenenfalls mit einem oder mehreren Substituenten, ausgewählt aus "einem Substituenten-Rest α" wie nachstehend definiert sind, und s eine ganze Zahl von 0 bis 4 ist;

"der Substituenten-Rest α" ein Rest, bestehend aus (1) Halogen; (2) Oxo; (3) Cyano; (4) Nitro; (5) Imino, gegebenenfalls substituiert mit C<sub>1</sub>-C<sub>10</sub>-Alkyl oder Hydroxy, ist;

(6) die folgenden Reste (i) bis (xxi), welche gegebenenfalls mit einem oder mehreren Resten substituiert sind, ausgewählt aus dem Substituenten-Rest β,

(i) Hydroxy, (ii) C<sub>1</sub>-C<sub>10</sub>-Alkyl, (iii) C<sub>2</sub>-C<sub>10</sub>-Alkenyl, (iv) C<sub>1</sub>-C<sub>10</sub>-Alkoxy, (v) Carboxy, (vi) C<sub>1</sub>-C<sub>10</sub>-Alkoxy-carbonyl, (vii) Acyl, (viii) Acyloxy, (ix) Imino, (x) Mercapto, (xi) C<sub>1</sub>-C<sub>10</sub>-Alkylthio, (xii) Carbamoyl, (xiii) C<sub>1</sub>-C<sub>10</sub>-Alkylcarbamoyl, (xiv) Cycloalkylcarbamoyl, (xv) Thiocarbamoyl, (xvi) C<sub>1</sub>-C<sub>10</sub>-Alkylthiocarbamoyl, (xvii) C<sub>1</sub>-C<sub>10</sub>-Alkylsulfanyl, (xviii) C<sub>1</sub>-C<sub>10</sub>-Alkylsulfonyl, (xix) Sulfamoyl, (xx) C<sub>1</sub>-C<sub>10</sub>-Alkylsulfamoyl und (xxi) Cycloalkylsulfamoyl;

(7) die folgenden Reste (i) bis (v), welche gegebenenfalls mit dem Substituenten-Rest β, C<sub>1</sub>-C<sub>10</sub>-Alkyl, C<sub>1</sub>-C<sub>10</sub>-Alkoxy-(C<sub>1</sub>-C<sub>10</sub>)-alkyl, gegebenenfalls geschütztem Hydroxy-(C<sub>1</sub>-C<sub>10</sub>)-alkyl, Halogen-(C<sub>1</sub>-C<sub>10</sub>)-alkyl, Niederalkylsulfonyl und/oder Arylsulfonyl substituiert sind,

(i) Cycloalkyl, (ii) Cycloalkenyl, (iii) Cycloalkyloxy, (iv) Amino und (v) Alkylendioxy; und

(8) die folgenden Reste (i) bis (xii), welche gegebenenfalls mit dem Substituenten-Rest β, C<sub>1</sub>-C<sub>10</sub>-Alkyl, Halogen-(C<sub>1</sub>-C<sub>10</sub>)-alkyl und/oder Oxo substituiert sind,

(i) Phenyl, (ii) Naphthyl, (iii) Phenoxy, (iv) Phenyl-(C<sub>1</sub>-C<sub>10</sub>)-alkoxy, (v) Phenylthio, (vi) Phenyl-(C<sub>1</sub>-C<sub>10</sub>)-alkylthio, (vii) Phenylazo, (viii) Heterocyclyl, (ix) Heterocycluloxy, (x) Heterocyclylthio, (xi) Heterocyclylcarbonyl und (xii) Heterocyclylsulfonyl;

"ein Substituenten-Rest β" ein Rest ist, bestehend aus Halogen, gegebenenfalls geschütztem Hydroxy, Mercapto, C<sub>1</sub>-C<sub>10</sub>-Alkoxy, C<sub>2</sub>-C<sub>10</sub>-Alkenyl, Amino, C<sub>1</sub>-C<sub>10</sub>-Alkylamino, C<sub>1</sub>-C<sub>10</sub>-Alkoxy-carbonylamino, C<sub>1</sub>-C<sub>10</sub>-Alkylthio, Acyl, Carboxy, C<sub>1</sub>-C<sub>10</sub>-Alkoxy-carbonyl, Carbamoyl, Cyano, Cycloalkyl, Phenyl, Phenoxy, C<sub>1</sub>-C<sub>10</sub>-Alkylphenyl, C<sub>1</sub>-C<sub>10</sub>-Alkoxyphenyl, Halogenphenyl, Naphthyl und Heterocyclyl; die Substituenten für "gegebenenfalls substituiertes C<sub>1</sub>-C<sub>10</sub>-Alkyl", dargestellt durch alle Reste außer Z, ein oder mehrere Substituenten, ausgewählt aus dem Substituenten-Rest β, sind;

die Substituenten für "gegebenenfalls substituiertes C<sub>1</sub>-C<sub>10</sub>-Alkoxy" ein oder mehrere Substituenten, ausgewählt aus dem Substituenten-Rest β, sind;

die Substituenten für "gegebenenfalls substituiertes Cycloalkyl" ein oder mehrere Substituenten, ausgewählt aus dem Substituenten Rest α, sind;

5 die Substituenten für "gegebenenfalls substituiertes Niederalkenyl" Halogen, Niederalkoxy, Niederalkenyl, Amino, Niederalkylamino, Niederalkoxycarbonylamino, Niederalkylthio, Acyl, Carboxy, Niederalkoxycarbonyl, Carbamoyl, Cyano, Cycloalkyl, Phenyl, Niederalkylphenyl, Niederalkoxyphenyl, Naphthyl und/oder Heterocyclyl sind;

die Substituenten für "gegebenenfalls substituiertes Cycloalkenyl" ein oder mehrere Substituenten, ausgewählt aus dem Substituenten-Rest β, sind;

10 die Substituenten für "gegebenenfalls substituiertes Amino" der Substituenten-Rest β, gegebenenfalls substituiertes Benzoyl und/oder gegebenenfalls substituiertes Heterocyclylcarbonyl sind, wobei die Substituenten Hydroxy, C<sub>1</sub>-C<sub>10</sub>-Alkyl, Niederalkoxy und/oder C<sub>1</sub>-C<sub>10</sub>-Alkylthio sind;

der Begriff "gegebenenfalls substituiertes Aryl" und "gegebenenfalls substituiertes Phenyl", dargestellt durch Z, die vorangehenden Reste "Aryl" beziehungsweise "Phenyl" einschließt, welche mit dem Substituenten-Rest α oder mit C<sub>1</sub>-C<sub>10</sub>-Alkyl substituiert sein können, welcher mit einem oder mehreren Resten, ausgewählt aus dem Substituenten-Rest α, substituiert sein kann;

die Substituenten für "gegebenenfalls substituiertes Aryl" und "gegebenenfalls substituiertes Phenyl", dargestellt durch alle Reste außer Z, ein oder mehrere Reste, ausgewählt aus dem Substituenten-Rest β, sind;

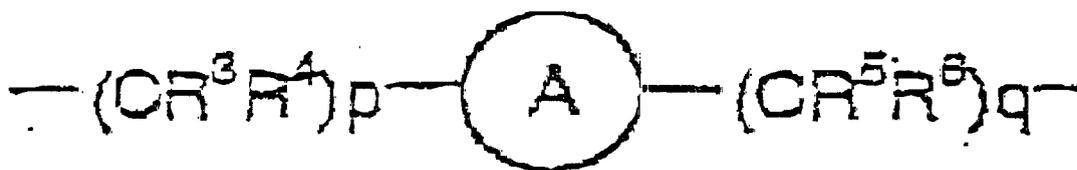
der Begriff "gegebenenfalls substituiertes Carbocyclyl" die vorstehenden Begriffe "gegebenenfalls substituiertes Cycloalkyl", "gegebenenfalls substituiertes Cycloalkenyl", "gegebenenfalls substituiertes Bicycloalkyl" und "gegebenenfalls substituiertes Aryl" einschließt;

die Substituenten für "gegebenenfalls substituiertes Heterocyclyl" die gleichen wie die für den vorstehenden Begriff "gegebenenfalls substituiertes Aryl" sind;

25 und ein oder mehrere Reste des Substituenten-Rests β die Substituenten für "gegebenenfalls substituiertes C<sub>1</sub>-C<sub>6</sub>-Alhylene", "gegebenenfalls substituiertes C<sub>2</sub>-C<sub>6</sub>-Alkenylene", "gegebenenfalls substituiertes Cycloalkylene", "gegebenenfalls substituiertes Cyclohexylene", "gegebenenfalls substituiertes Bicycloalkylene", "gegebenenfalls substituiertes Cycloalkenylene", "gegebenenfalls substituiertes Phenylen", "gegebenenfalls substituiertes Hererocyclusdiyl" und "gegebenenfalls substituiertes Piperidinylen" sind.

30 17. Verbindung gemäß Anspruch 16, wobei R<sup>2</sup> Wasserstoff oder C<sub>1</sub>-C<sub>10</sub>-Alkyl ist und Z gegebenenfalls substituiertes C<sub>1</sub>-C<sub>10</sub>-Alkyl, gegebenenfalls substituiertes C<sub>2</sub>-C<sub>10</sub>-Alkenyl, gegebenenfalls substituiertes C<sub>1</sub>-C<sub>10</sub>-Alkoxy, gegebenenfalls substituiertes Carbocyclyl, gegebenenfalls substituiertes Heterocyclyl oder gegebenenfalls substituiertes Amino ist, mit der Maßgabe, dass R<sup>1</sup> gegebenenfalls substituiertes C<sub>3</sub>- bis C<sub>10</sub>-Alkyl ist, wenn Z gegebenenfalls substituiertes Amino ist, wobei Carbocyclyl und Heterocyclyl wie vorstehend definiert sind und die fakultativen Substituenten wie vorstehend definiert sind.

18. Verbindung gemäß Anspruch 16, wobei R<sup>1</sup> gegebenenfalls substituiertes C<sub>1</sub>-C<sub>10</sub>-Alkyl oder gegebenenfalls substituiertes C<sub>3</sub>-C<sub>8</sub>-Cycloalkyl ist, X gegebenenfalls substituiertes C<sub>2</sub>-C<sub>6</sub>-Alkylen, gegebenenfalls substituiertes C<sub>2</sub>-C<sub>5</sub>-Alkenylene oder



ist,  
wobei p und q 0 sind,



gegebenenfalls substituiertes C<sub>3</sub>-C<sub>8</sub>-Cycloalkylen, gegebenenfalls substituiertes C<sub>3</sub>-C<sub>8</sub>-Cycloalkenylen, gegebenenfalls substituiertes C<sub>5</sub>-C<sub>8</sub>-Bicycloalkylen, gegebenenfalls substituiertes Arylen oder gegebenenfalls substituiertes Heterocyclusdiyl ist, und

5 Z gegebenenfalls substituiertes C<sub>1</sub>-C<sub>10</sub>-Alkyl, gegebenenfalls substituiertes Carbocyclyl oder gegebenenfalls substituiertes Heterocyclyl ist, wobei Arylen, Heterocyclusdiyl und Heterocyclyl wie vorstehend definiert sind und die fakultativen Substituenten wie vorstehend definiert sind.

19. Verbindung gemäß einem der Ansprüche 16 bis 18, wobei R<sup>1</sup> gegebenenfalls substituiertes C<sub>3</sub>- bis C<sub>10</sub>-Alkyl ist, wobei die fakultativen Substituenten wie vorstehend definiert sind.

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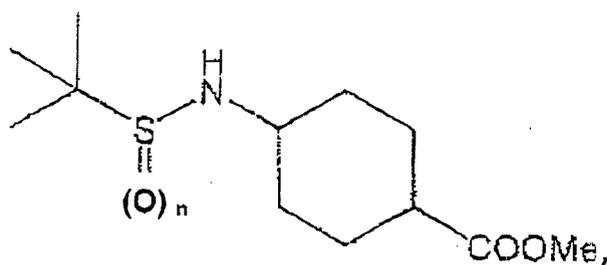
20. Verbindung gemäß einem der Ansprüche 16 bis 19 als ein Mittel gegen Fettsucht.

21. Verbindung gemäß einem der Ansprüche 16 bis 19 als ein Anorektikum.

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22. Verbindung der Formel

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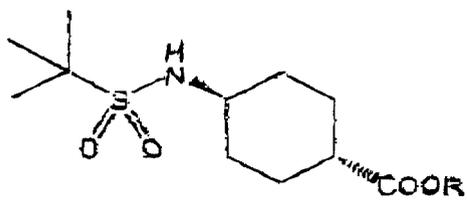
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wobei n 2 ist.

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23. Verbindung der Formel

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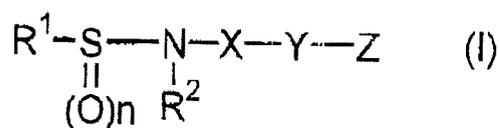
wobei R Wasserstoff oder Methyl ist.

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### Revendications

1. Utilisation d'un composé de formule (I) :

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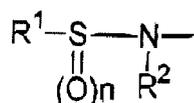


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dans laquelle R<sup>1</sup> est un groupe alkyle en C<sub>1</sub>-C<sub>10</sub> éventuellement substitué ou un groupe cycloalkyle en C<sub>3</sub>-C<sub>8</sub> éventuellement substitué,

R<sup>2</sup> est un atome d'hydrogène ou un groupe alkyle en C<sub>1</sub>-C<sub>10</sub>, et R<sup>1</sup> et R<sup>2</sup> pris ensemble peuvent former un groupe alkylène en C<sub>1</sub>-C<sub>6</sub>, incluant le cas

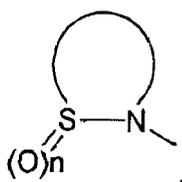
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est

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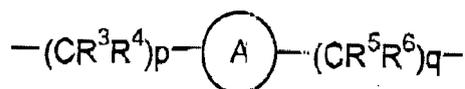


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n vaut 1 ou 2,

X est un groupe alkylène en C<sub>1</sub>-C<sub>6</sub> éventuellement substitué, un groupe alcénylène en C<sub>2</sub>-C<sub>6</sub> éventuellement substitué, un groupe -CO-alkylène en C<sub>1</sub>-C<sub>6</sub> éventuellement substitué, un groupe -CO-alcénylène en C<sub>2</sub>-C<sub>6</sub> éventuellement substitué, ou

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où R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> et R<sup>6</sup> sont chacun indépendamment un atome d'hydrogène ou un groupe alkyle en C<sub>1</sub>-C<sub>10</sub>.

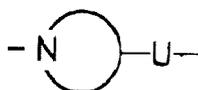
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est un groupe cycloalkylène en C<sub>3</sub>-C<sub>8</sub> éventuellement substitué, un groupe cycloalcénylène en C<sub>3</sub>-C<sub>8</sub> éventuellement substitué, un groupe bicycloalkylène en C<sub>5</sub>-C<sub>8</sub> éventuellement substitué, un groupe arylène éventuellement substitué choisi parmi un groupe bivalent formé par l'exclusion d'un atome d'hydrogène d'un groupe phényle, naphthyle, anthryle, phénanthryle, indanyle, indényle, biphenylyle, acénaphthyle, tétrahydronaphthyle et fluorényle, ou un groupe hétérocyclodiyle éventuellement substitué, et p et q sont chacun indépendamment 0 ou 1, - NR<sup>2</sup>-X- peut être

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où

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est un groupe pipéridinediyle, pipérazinediyle, pyridinediyle, pyrazinediyle, pyrrolidinediyle ou pyrrolediyle, et U est une liaison simple, un groupe alkylène en C<sub>1</sub>-C<sub>6</sub> ou un groupe alcénylène en C<sub>2</sub>-C<sub>6</sub>, Y est OCONR<sup>7</sup>, CONR<sup>7</sup>, CSNR<sup>7</sup>, NR<sup>7</sup>CO ou NR<sup>7</sup>CS,

R<sup>7</sup> est un atome d'hydrogène ou un groupe alkyle en C<sub>1</sub>-C<sub>10</sub>, et

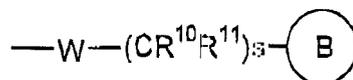
Z est un groupe alkyle en C<sub>1</sub>-C<sub>10</sub> éventuellement substitué, un groupe alcényle en C<sub>2</sub>-C<sub>10</sub> éventuellement substitué, un groupe amino éventuellement substitué, un groupe alcoxy en C<sub>1</sub>-C<sub>10</sub> éventuellement substitué, un groupe carbocyclyle éventuellement substitué ou un groupe hétérocyclyle éventuellement substitué, où le groupe hétérocyclyle est choisi parmi un groupe hétémaryle de 5 ou 6 éléments choisi parmi les groupes pyrrolyle, imidazolyle, pyrazolyle, pyridyle, pyridazinyle, pyrimidinyle, oxazolyle, oxadiazolyle, isothiazolyle, thiazolyle, thiadiazolyle, furyle et thiényle ; un groupe hétérocyclyle condensé constitué de deux cycles choisis parmi les groupes indolyle, isoindolyle, indazolyle, indolizinyle, indolinyle, isoindolinyle, quinolyle, isoquinolyle, cinnolyle, phtalazinyle, quinazolinyle, naphthyridinyle, quinoxalinyle, purinyle, ptéridinyle, benzopyranyle, benzimidazolyle, benzisoxazolyle, benzoxazolyle, benzoxadiazolyle, benzisothiazolyle, benzothiazolyle, benzothiadiazolyle, beuzofuryle, isobenzofuryle, benzothiényle, benzotriazolyle, imidazopyridyle, triazoropyridyle, imidazothiazolyle, pyrazinopyridazinyle, quinazolinyne, quinolyle, isoquinolyle, naphthyridinyle, dihydropyridyle, tétrahydroquinoléyle et tétrahydrobenzothiényle ; et le groupe hétérocyclidyle est un groupe bivalent formé par l'exclusion d'un atome d'hydrogène du groupe hétérocyclyle ci-dessus et le groupe carbocyclyle est choisi parmi un groupe cycloalkyle en C<sub>3</sub>-C<sub>8</sub>, un groupe cycloalcényle en C<sub>3</sub>-C<sub>8</sub>, un groupe bicycloalkyle en C<sub>5</sub>-C<sub>8</sub> et un groupe aryle comme défini ci-dessus,

d'un sel ou d'un solvate pharmaceutiquement acceptable de celui-ci, pour la préparation d'une composition pharmaceutique en tant qu'antagoniste du récepteur NPY Y5 ;

par quoi les substituants du « groupe alkyle en C<sub>1</sub>-C<sub>10</sub> éventuellement substitué » représenté par Z sont, (1) un atome d'halogène ; (2) un groupe cyano ; (3) les groupes (i) à (xvi) suivants, qui sont éventuellement substitués par ou plusieurs substituants choisis parmi « un groupe de substituants β » définis-ci-dessous,

(i) un groupe hydroxy, (ii) un groupe alcoxy en C<sub>1</sub>-C<sub>10</sub>, (iii) un groupe mercapto, (iv) un groupe alkylthio en C<sub>1</sub>-C<sub>10</sub>, (v) un groupe acyle, (vi) un groupe acyloxy, (vii) un groupe carboxy, (viii) un groupe alcoxycarbonyle en C<sub>1</sub>-C<sub>10</sub>, (ix) un groupe imino, (x) un groupe carbamoyle, (xi) un groupe thiocarbamoyle, (xii) un groupe alkylcarbamoyle en C<sub>1</sub>-C<sub>10</sub>, (xiii) un groupe allrylthiocarbamoyle inférieur, (xiv) un groupe amino, (xv) un groupe alkylamino en C<sub>1</sub>-C<sub>10</sub> ou (xvi) un groupe hétérocyclylcarbonyle; ou

(4) un groupe de formule :



dans laquelle R<sup>10</sup> et R<sup>11</sup> sont chacun indépendamment un atome d'hydrogène ou un groupe alkyle en C<sub>1</sub>-C<sub>10</sub>, et lorsque ce groupe a deux R<sup>10</sup> ou plus et/ou deux R<sup>11</sup> ou 5 plus, chaque R<sup>10</sup> et/ou chaque R<sup>11</sup> peut être différent, W est une liaison simple, O, S ou NR<sup>12</sup>,

R<sup>12</sup> est un atome d'hydrogène, un groupe alkyle en C<sub>1</sub>-C<sub>10</sub> ou un groupe phényle,



est un groupe cycloalkyle, bicycloalkyle, cycloalcényle, aryle ou hétérocyclyle, dont chacun est éventuellement substitué par un ou plusieurs substituants choisis parmi « un groupe de substituants α » défini ci-dessous et s est un entier de 0 à 4 ;

« le groupe de substituants α » est un groupe constitué par (1) un atome d'halogène ; (2) un groupe oxo ; (3) un groupe cyano ; (4) un groupe nitro ; (5) un groupe imino éventuellement substitué par un groupe alkyle en C<sub>1</sub>-C<sub>10</sub> ou un groupe hydroxy ;

(6) les groupes (i) à (xxi) suivants, qui sont éventuellement substitués par un ou plusieurs groupes choisis parmi les groupes de substituants β,

(i) un groupe hydroxy, (ii) un groupe alkyle en C<sub>1</sub>-C<sub>10</sub>, (iii) un groupe alcényle en C<sub>2</sub>-C<sub>10</sub>, (iv) un groupe alcoxy en C<sub>1</sub>-C<sub>10</sub>, (v) un groupe carboxy, (vi) un groupe alcoxycarbonyle en C<sub>1</sub>-C<sub>10</sub>, (vii) un groupe acyle, (viii) un groupe acyloxy, (ix) un groupe imino, (x) un groupe mercapto, (xi) un groupe alkylthio en C<sub>1</sub>-C<sub>10</sub>, (xii) un groupe carbamoyle, (xiii) un groupe alkylcarbamoyle en C<sub>1</sub>-C<sub>10</sub>, (xiv) un groupe cycloalkylcarbamoyle, (xv) un groupe thiocarbamoyle, (xvi) un groupe alkylthiocarbamoyle en C<sub>1</sub>-C<sub>10</sub>, (xvii) un groupe alkylsulfinyne en C<sub>1</sub>-C<sub>10</sub>, (xviii)

un groupe alkylsulfonyle en C<sub>1</sub>-C<sub>10</sub>, (xix) un groupe sulfamoyle, (xx) un groupe alkylsulfamoyle en C<sub>1</sub>-C<sub>10</sub>, et (xxi) un groupe cycloalkylsulfamoyle;

(7) les groupes (i) à (v) suivants, qui sont éventuellement substitués par le groupe de substituants β, un groupe alkyle en C<sub>1</sub>-C<sub>10</sub>, un groupe (alcoxy en C<sub>1</sub>-C<sub>10</sub>)alkyle en C<sub>1</sub>-C<sub>10</sub>, un groupe hydroxyalkyle en C<sub>1</sub>-C<sub>10</sub> éventuellement protégé, un groupe halogénoalkyle en C<sub>1</sub>-C<sub>10</sub>, un groupe alkylsulfonyle inférieur et/ou un groupe arylsulfonyle,

(i) un groupe cycloalkyle, (ii) un groupe cycloalcényle, (iii) un groupe cycloalkyloxy, (iv) un groupe amino et (v) un groupe alkylènedioxy ; et

(8) les groupes (i) à (xii) suivants, qui sont éventuellement substitués par le groupe de substituants β, un groupe alkyle en C<sub>1</sub>-C<sub>10</sub>, un groupe halogénoalkyle en C<sub>1</sub>-C<sub>10</sub> et/ou un groupe oxo,

(i) un groupe phényle, (ii) un groupe naphthyle, (iii) un groupe phénoxy, (iv) un groupe phényl(alcoxy en C<sub>1</sub>-C<sub>10</sub>), (v) un groupe phénylthio, (vi) un groupe phényl(alkylthio en C<sub>1</sub>-C<sub>10</sub>), (vii) un groupe phénylazo, (viii) un groupe hétérocyclyle, (ix) un groupe hétérocyclyloxy, (x) un groupe hétérocyclylthio, (xi) un groupe hétérocyclylcarbonyle et (xii) un groupe hétérocyclylsulfonyle ;

« un groupe de substituants β » est un groupe constitué par un atome d'halogène, les groupes hydroxy éventuellement protégé, mercapto, alcoxy en C<sub>1</sub>-C<sub>10</sub>, alcényle en C<sub>2</sub>-C<sub>10</sub>, amino, alkylamino en C<sub>1</sub>-C<sub>10</sub>, alcoxycarbonylamino en C<sub>1</sub>-C<sub>10</sub>, alkylthio en C<sub>1</sub>-C<sub>10</sub>, acyle, carboxy, alcoxycarbonyle en C<sub>1</sub>-C<sub>10</sub>, earbamoyle, cyano, cycloalkyle, phényle, phénoxy, alkylphényle en C<sub>1</sub>-C<sub>10</sub>, alcoxylphényle en C<sub>1</sub>-C<sub>10</sub>, halogénophényle, naphthyle et hétérocyclyle ; les substituants pour le « groupe alkyle en C<sub>1</sub>-C<sub>10</sub> éventuellement substitué » représentés par n'importe quel autre groupe que Z sont un ou plusieurs substituants choisis parmi le groupe de substituants β ;

les substituants pour le « groupe alcoxy en C<sub>1</sub>-C<sub>10</sub> éventuellement substitué » sont un ou plusieurs substituants choisis parmi le groupe de substituants β ;

les substituants pour le « groupe cycloalkyle éventuellement substitué » sont un ou plusieurs substituants choisis parmi le groupe de substituants α ;

les substituants pour le « groupe alcényle inférieur éventuellement substitué » sont un atome d'halogène, les groupes alcoxy inférieur, alcényle inférieur, amino, alkylamino inférieur, alcoxycarbonylamino inférieur, alkylthio inférieur, acyle, carboxy, alcoxycarbonyle inférieur, carbamoyle, cyano, cycloalkyle, phényle, alkylphényle inférieur, alcoxylphényle inférieur, naphthyle et/ou hétérocyclyle ;

les substituants pour le « groupe cycloalcényle éventuellement substitué » sont un ou plusieurs substituants choisis parmi le groupe de substituants β ;

les substituants pour le « groupe amino éventuellement substitué » sont le groupe de substituants β, un groupe benzoyle éventuellement substitué et/ou un groupe hétérocyclylcarbonyle éventuellement substitué où les substituants sont un groupe hydroxy, un groupe alkyle en C<sub>1</sub>-C<sub>10</sub>, un groupe alcoxy inférieur et/ou un groupe alkylthio en C<sub>1</sub>-C<sub>10</sub> ;

le terme « aryle éventuellement substitué » et « phényle éventuellement substitué » représentés par Z comprend les groupes « aryle » et « phényle » ci-dessus respectivement, qui peuvent être substitués par le groupe de substituants α ou un groupe alkyle en C<sub>1</sub>-C<sub>10</sub> qui peut être substitué par un ou plusieurs groupes choisis parmi le groupe de substituants α ;

les substituants pour « un groupe aryle éventuellement substitué » et « un groupe phényle éventuellement substitué » représentés par n'importe quel groupe autre que Z sont un ou plusieurs groupes choisis parmi le groupe de substituants β ;

le terme « groupe carbocyclyle éventuellement substitué » comprend un groupe « cycloalkyle éventuellement substitué », un groupe « cycloalcényle éventuellement substitué », un groupe « bicycloalkyle éventuellement substitué » et un groupe « aryle éventuellement substitué » ;

les substituants pour le « groupe hétérocyclyle éventuellement substitué » sont les mêmes que ceux pour le « groupe aryle éventuellement substitué » ci-dessus ;

et un ou plusieurs groupes choisis parmi le groupe de substituants β sont les substituants pour les groupes « alkylène en C<sub>1</sub>-C<sub>6</sub> éventuellement substitué », « alcénylène en C<sub>2</sub>-C<sub>6</sub> éventuellement substitué », « cycloalkylène éventuellement substitué », « cyclohexylène éventuellement substitué », « bicycloalkylène éventuellement substitué », « cycloalcénylène éventuellement substitué », « phénylène éventuellement substitué », « hétérocyclydiyle éventuellement substitué » et « pipéridinylène éventuellement substitué ».

2. Utilisation selon la revendication 1, dans laquelle R<sup>2</sup> est un atome d'hydrogène ou un groupe alkyle en C<sub>1</sub>-C<sub>10</sub> et

Z est un groupe alkyle en C<sub>1</sub>-C<sub>10</sub> éventuellement substitué, un groupe alcényle en C<sub>2</sub>-C<sub>10</sub> éventuellement substitué, un groupe alcoxy en C<sub>1</sub>-C<sub>10</sub> éventuellement substitué, un groupe carbocyclyle éventuellement substitué, un groupe hétérocyclyle éventuellement substitué ou un groupe amino éventuellement substitué, à condition que R<sup>1</sup> soit un groupe alkyle en C<sub>3</sub>-C<sub>10</sub> éventuellement substitué lorsque Z est un groupe amino éventuellement substitué, où le groupe carbocyclyle et le groupe hétérocyclyle sont définis comme ci-dessus et les substituants éventuels sont définis comme ci-dessus.

3. Utilisation selon la revendication 1, dans laquelle R<sup>1</sup> est un groupe alkyle en C<sub>1</sub>-C<sub>10</sub> éventuellement substitué ou un groupe cycloalkyle en C<sub>3</sub>-C<sub>8</sub> éventuellement substitué, X est un groupe alkylène en C<sub>2</sub>-C<sub>6</sub> éventuellement substitué, un groupe alcénylène en C<sub>2</sub>-C<sub>6</sub> éventuellement substitué ou

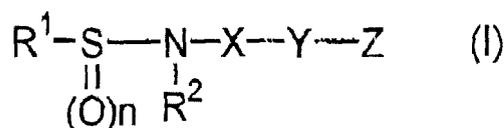


où p et q valent 0,



est un groupe cycloalkylène en C<sub>3</sub>-C<sub>8</sub> éventuellement substitué, un groupe cycloalcénylène en C<sub>3</sub>-C<sub>8</sub> éventuellement substitué, un groupe bicycloalkylène en C<sub>5</sub>-C<sub>8</sub> éventuellement substitué, un groupe arylène éventuellement substitué ou un groupe hétérocyclediyle éventuellement substitué, et, Z est un groupe alkyle en C<sub>1</sub>-C<sub>10</sub> éventuellement substitué, un groupe carbocyclyle éventuellement substitué ou un groupe hétérocyclyle éventuellement substitué, où le groupe arylène, le groupe hétérocyclediyle, le groupe hétérocyclyle sont définis comme ci-dessus et les substituants éventuels sont définis comme ci-dessus.

4. Utilisation selon l'une quelconque des revendications 1 à 3, dans laquelle R<sup>1</sup> est un groupe alkyle en C<sub>3</sub>-C<sub>10</sub> éventuellement substitué, où les substituants éventuels sont définis comme ci-dessus.
5. Utilisation selon l'une quelconque des revendications 1 à 4, en tant qu'agent contre l'obésité.
6. Utilisation selon l'une quelconque des revendications 1 à 4, en tant qu'agent anorexigène.
7. Composé de formule (T) :

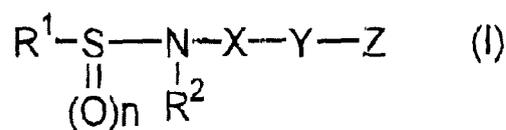


dans laquelle X est un groupe alkylène en C<sub>2</sub>-C<sub>6</sub> ou un groupe alcénylène en C<sub>3</sub>-C<sub>6</sub>, R<sup>1</sup> est un groupe alkyle en C<sub>3</sub>-C<sub>10</sub> éventuellement substitué ou un groupe cycloalkyle en C<sub>5</sub>-C<sub>6</sub> éventuellement substitué, et les autres symboles sont tels que définis dans la revendication 1, à condition que Z ne soit pas un groupe alkylphénylamino en C<sub>1</sub>-C<sub>10</sub>, un groupe hydroxy(alkyle en C<sub>1</sub>-C<sub>10</sub>)phénylamino et un groupe acylphénylamino lorsque Y est NR<sup>7</sup>CO, un sel ou un solvate pharmaceutiquement acceptable de celui-ci, où les substituants éventuels sont définis comme ci-dessus.

8. Composé décrit selon la revendication 7, dans lequel Z est un groupe alkyle en C<sub>1</sub>-C<sub>10</sub> éventuellement substitué ou un groupe phényle éventuellement substitué, un sel ou un solvate pharmaceutiquement acceptable de celui-ci, où les substituants éventuels sont définis comme ci-dessus.

9. Composé de formule (I) :

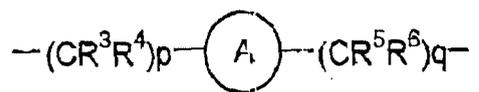
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dans laquelle X est

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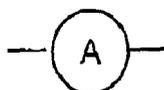
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est un groupe cycloalkylène en C<sub>3</sub>-C<sub>8</sub> éventuellement substitué, un groupe cycloalcénylène en C<sub>3</sub>-C<sub>8</sub> éventuellement substitué, un groupe bicycloalkylène en C<sub>5</sub>-C<sub>8</sub> éventuellement substitué ou un groupe pipéridinylène éventuellement substitué, R<sup>1</sup> est un groupe alkyle en C<sub>3</sub>-C<sub>10</sub> éventuellement substitué ou un groupe cycloalkyle en C<sub>5</sub>-C<sub>6</sub> éventuellement substitué, et les autres symboles sont tels que définis dans la revendication 1, un sel ou un solvate pharmaceutiquement acceptable de celui-ci, où les substituants éventuels sont définis comme ci-dessus.

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10. Composé selon la revendication 9, dans lequel

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est un groupe cyclohexylène éventuellement substitué ou un groupe pipéridinylène éventuellement substitué, et p et q valent simultanément 0, un sel ou un solvate pharmaceutiquement acceptable de celui-ci, où les substituants éventuels sont définis comme ci-dessus.

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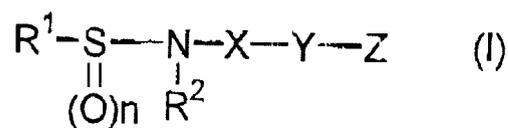
11. Composé selon la revendication 9 ou 10, dans lequel Y est CONH, un sel ou un solvate pharmaceutiquement acceptable de celui-ci.

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12. Composé selon l'une quelconque des revendications 9 à 11, dans lequel Z est un groupe alkyle en C<sub>1</sub>-C<sub>10</sub> éventuellement substitué, un groupe phényle éventuellement substitué, un groupe pyridyle éventuellement substitué ou un groupe benzopyranyle éventuellement substitué, un sel ou un solvate pharmaceutiquement acceptable de celui-ci, où les substituants éventuels sont définis comme ci-dessus.

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13. Composé de formule (I) :



dans laquelle X est



est un groupe hétéroaryène, R<sup>1</sup> est un groupe alkyle en C<sub>3</sub>-C<sub>10</sub> éventuellement substitué ou un groupe cycloalkyle en C<sub>5</sub>-C<sub>6</sub> éventuellement substitué, et les autres symboles sont les mêmes que ceux définis dans la revendication 1, où les substituants éventuels sont définis comme ci-dessus, un sel ou un solvate pharmaceutiquement acceptable de celui-ci.

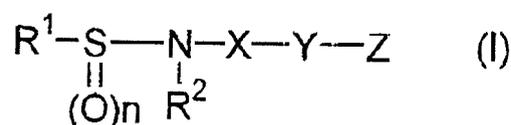
14. Composé selon la revendication 13, dans lequel



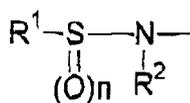
est un groupe thiophènediyle ou un groupe furandiyle, un sel ou un solvate pharmaceutiquement acceptable de celui-ci.

15. Composition pharmaceutique comprenant le composé selon l'une quelconque des revendications 7 à 14, un sel ou un solvate pharmaceutiquement acceptable de celui-ci.

16. Composé de formule (I) :



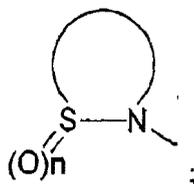
dans laquelle R<sup>1</sup> est un groupe alkyle en C<sub>1</sub>-C<sub>10</sub> éventuellement substitué ou un groupe cycloalkyle en C<sub>3</sub>-C<sub>8</sub> éventuellement substitué, R<sup>2</sup> est un atome d'hydrogène ou un groupe alkyle en C<sub>1</sub>-C<sub>10</sub>, et R<sup>1</sup> et R<sup>2</sup> pris ensemble peuvent former un groupe alkylène en C<sub>1</sub>-C<sub>6</sub> incluant le cas



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est

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n vaut 1 ou 2,

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X est un groupe alkylène en C<sub>1</sub>-C<sub>6</sub> éventuellement substitué, un groupe alcénylène en C<sub>2</sub>-C<sub>6</sub> éventuellement substitué, un groupe -CO-alkylène en C<sub>1</sub>-C<sub>6</sub> éventuellement substitué, un groupe -CO-alcénylène en C<sub>2</sub>-C<sub>6</sub> éventuellement substitué, ou

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où R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> et R<sup>6</sup> sont chacun indépendamment un atome d'hydrogène ou un groupe alkyle en C<sub>1</sub>-C<sub>10</sub>,

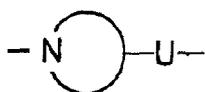
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est un groupe cycloalkylène en C<sub>3</sub>-C<sub>8</sub> éventuellement substitué, un groupe cycloalcénylène en C<sub>3</sub>-C<sub>8</sub> éventuellement substitué, un groupe bicycloalkylène en C<sub>5</sub>-C<sub>8</sub> éventuellement substitué, un groupe arylène éventuellement substitué choisi parmi un groupe bivalent formé par l'exclusion d'un atome d'hydrogène d'un groupe phényle, naphtyle, anthryle, phénanthryle, indanyle, indényle, biphenylyle, acénaphtyle, tétrahydronaphtyle et fluorényle, ou un groupe hétérocyclédiyle éventuellement substitué, et p et q sont chacun indépendamment 0 ou 1, -NR<sup>2</sup>-X- peut être

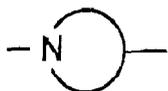
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où

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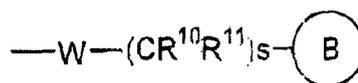
est un groupe pipéridinediyle, pipérazinediyle, pyridinediyle, pyrazinediyle, pyrrolidinediyle ou pyrrolediyle, et U est une liaison simple, un groupe alkylène en C<sub>1</sub>-C<sub>6</sub> ou un groupe alcénylène en C<sub>2</sub>-C<sub>6</sub>, Y est OCONR<sup>7</sup>, CONR<sup>7</sup>, CSNR<sup>7</sup>, NR<sup>7</sup>CO ou NR<sup>7</sup>CS,

R<sup>7</sup> est un atome d'hydrogène ou un groupe alkyle en C<sub>1</sub>-C<sub>10</sub>, et

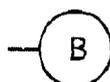
Z est un groupe alkyle en C<sub>1</sub>-C<sub>10</sub> éventuellement substitué, un groupe alcényle en C<sub>2</sub>-C<sub>10</sub> éventuellement substitué, un groupe amino éventuellement substitué, un groupe alcoxy en C<sub>1</sub>-C<sub>10</sub> éventuellement substitué, un groupe car-

bocyclyle éventuellement substitué ou un groupe hétérocyclyle éventuellement substitué, où le groupe hétérocyclyle est choisi parmi un groupe hétéroaryle de 5 ou 6 éléments choisi parmi les groupes pyrrolyle, imidazolyle, pyrazolyle, pyridyle, pyridazinyle, pyrimidinyle, oxazolyle, oxadiazolyle, isothiazolyle, thiazolyle, thiadiazolyle, furyle et thiényle ; un groupe hétérocyclyle condensé constitué de deux cycles choisis parmi les groupes indolyle, isoindolyle, indazolyle, indolizinyne, indolinyle, isoindolinyle, quinolyle, isoquinolyle, cinnolinyne, phtalazinyle, quinazolinyne, naphthyridinyle, quinoxalinyne, purinyle, ptéridinyle, benzopyranyne, benzimidazolyle, benzisoxazolyle, benzoxazolyle, benzoxadiazolyle, benzisothiazolyle, benzothiazolyle, benzothiadiazolyle, benzofuryle, isobenzofuryle, benzothiényne, benzotriazolyle, imidazopyridyle, triazoropyridyle, imidazothiazolyle, pyrazinopyridazinyle, quinazolinyne, quinolyle, isoquinolyle, naphthyridinyle, dihydropyridyle, tétrahydroquinoléyle et tétrahydrobenzothiényne ; et le groupe hétérocyclediyle est un groupe bivalent formé par l'exclusion d'un atome d'hydrogène du groupe hétérocyclyle ci-dessus et le groupe carbocyclyle est choisi parmi un groupe cycloalkyle en C<sub>3</sub>-C<sub>8</sub>, un groupe cycloalcényne en C<sub>3</sub>-C<sub>8</sub>, un groupe bicycloalkyle en C<sub>5</sub>-C<sub>8</sub> et un groupe aryle comme défini ci-dessus ; un sel ou un solvate pharmaceutiquement acceptable de celui-ci, en tant qu'antagoniste du récepteur NPY Y5 ; par quoi les substituants du « groupe alkyle en C<sub>1</sub>-C<sub>10</sub> éventuellement substitué » représenté par Z sont, (1) un atome d'halogène ; (2) un groupe cyano ; (3) les groupes (i) à (xvi) suivants, qui sont éventuellement substitués par ou plusieurs substituants choisis parmi « un groupe de substituants β » définis-ci-dessous,

(i) un groupe hydroxy, (ii) un groupe alcoxy en C<sub>1</sub>-C<sub>10</sub>, (iii) un groupe mercapto, (iv) un groupe alkylthio en C<sub>1</sub>-C<sub>10</sub>, (v) un groupe acyle, (vi) un groupe acyloxy, (vii) un groupe carboxy, (viii) un groupe alcoxycarbonyle en C<sub>1</sub>-C<sub>10</sub>, (ix) un groupe imino, (x) un groupe carbamoyle, (xi) un groupe thiocarbamoyle, (xii) un groupe alkylcarbamoyle en C<sub>1</sub>-C<sub>10</sub>, (xiii) un groupe alkylthiocarbamoyle inférieur, (xiv) un groupe amino, (xv) un groupe alkylamino en C<sub>1</sub>-C<sub>10</sub> ou (xvi) un groupe bétérocyclylcarbonyle ; ou (4) un groupe de formule :



dans laquelle R<sup>10</sup> et R<sup>11</sup> sont chacun indépendamment un atome d'hydrogène ou un groupe alkyle en C<sub>1</sub>-C<sub>10</sub>, et lorsque ce groupe a deux R<sup>10</sup> ou plus et/ou deux R<sup>11</sup> ou plus, chaque R<sup>10</sup> et/ou chaque R<sup>11</sup> peut être différent, W est une liaison simple, O, S ou NR<sup>12</sup>, R<sup>12</sup> est un atome d'hydrogène, un groupe alkyle en C<sub>1</sub>-C<sub>10</sub> ou un groupe phényle,



est un groupe cycloalkyle, bicycloalkyle, cycloalcényne, aryle ou hétérocyclyle, dont chacun est éventuellement substitué par un ou plusieurs substituants choisis parmi « un groupe de substituants α » défini ci-dessous et s est un entier de 0 à 4 ;

« le groupe de substituants α » est un groupe constitué par (1) un atome d'halogène ; (2) un groupe oxo ; (3) un groupe cyano ; (4) un groupe nitro ; (5) un groupe imino éventuellement substitué par un groupe alkyle en C<sub>1</sub>-C<sub>10</sub> ou un groupe hydroxy ; (6) les groupes (i) à (xxi) suivants, qui sont éventuellement substitués par un ou plusieurs groupes choisis parmi les groupes de substituants β,

(i) un groupe hydroxy, (ii) un groupe alkyle en C<sub>1</sub>-C<sub>10</sub>, (iii) un groupe alcényne en C<sub>2</sub>-C<sub>10</sub>, (iv) un groupe alcoxy en C<sub>1</sub>-C<sub>10</sub>, (v) un groupe carboxy, (vi) un groupe alcoxycarbonyle en C<sub>1</sub>-C<sub>10</sub>, (vii) un groupe acyle, (viii) un groupe acyloxy, (ix) un groupe imino, (x) un groupe mercapto, (xi) un groupe alkylthio en C<sub>1</sub>-C<sub>10</sub>, (xii) un groupe carbamoyle, (xiii) un groupe alkylcarbamoyle en C<sub>1</sub>-C<sub>10</sub>, (xiv) un groupe cycloalkylecarbamoyle, (xv) un groupe thiocarbamoyle, (xvi) un groupe alkylthiocarbamoyle en C<sub>1</sub>-C<sub>10</sub>, (xvii) un groupe alkylsulfinyne en C<sub>1</sub>-C<sub>10</sub>, (xviii) un groupe alkylsulfonyne en C<sub>1</sub>-C<sub>10</sub>, (xix) un groupe sulfamoyle, (xx) un groupe alkylsulfamoyle en C<sub>1</sub>-C<sub>10</sub>, et (xxi) un groupe cycloalkylsulfamoyle ;

(7) les groupes (i) à (v) suivants, qui sont éventuellement substitués par le groupe de substituants β, un groupe alkyle en C<sub>1</sub>-C<sub>10</sub>, un groupe (alcoxy en C<sub>1</sub>-C<sub>10</sub>)alkyle en C<sub>1</sub>-C<sub>10</sub>, un groupe hydroxyalkyle en C<sub>1</sub>-C<sub>10</sub> éventuellement protégé, un groupe halogénoalkyle en C<sub>1</sub>-C<sub>10</sub>, un groupe alkylsulfonyne inférieur et/ou un groupe arylsulfo-

nyle,

(i) un groupe cycloalkyle, (ii) un groupe cycloalcényle, (iii) un groupe cycloalkyloxy, (iv) un groupe amino et (v) un groupe alkylènedioxy ; et

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(8) les groupes (i) à (xii) suivants, qui sont éventuellement substitués par le groupe de substituants  $\beta$ , un groupe alkyle en  $C_1-C_{10}$ , un groupe halogénoalkyle en  $C_1-C_{10}$  et/ou Un groupe oxo,

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(i) un groupe phényle, (ii) un groupe naphtyle, (iii) un groupe phénoxy, (iv) un groupe phényl(alcoxy en  $C_1-C_{10}$ ), (v) un groupe phénylthio, (vi) un groupe phényl(alkylthio en  $C_1-C_{10}$ ), (vii) un groupe phénylazo, (viii) un groupe hétérocyclyle, (ix) un groupe hétérocycloxy, (x) un groupe hétérocyclylthio, (xi) un groupe hétérocyclylcarbonyle et (xii) un groupe hétérocyclylsulfonyle;

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« un groupe de substituants  $\beta$  » est un groupe constitué par un atome d'halogène, les groupes hydroxy éventuellement protégé, mercapto, alcoxy en  $C_1-C_{10}$ , alcényle en  $C_2-C_{10}$ , amino, alkylamino en  $C_1-C_{10}$ , alcoxycarbonylamino en  $C_1-C_{10}$ , alkylthio en  $C_1-C_{10}$ , acyle, carboxy, alcoxycarbonyle en  $C_1-C_{10}$ , carbamoyle, cyano, cycloalkyle, phényle, phénoxy, alkylphényle en  $C_1-C_{10}$ , alcoxylphényle en  $C_1-C_{10}$ , halogénophényle, naphtyle et hétérocyclyle ; les substituants pour le « groupe alkyle en  $C_1-C_{10}$  éventuellement substitué » représentés par n'importe quel autre groupe que Z sont un ou plusieurs substituants choisis parmi le groupe de substituants  $\beta$  ;

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les substituants pour le « groupe alcoxy en  $C_1-C_{10}$  éventuellement substitué » sont un ou plusieurs substituants choisis parmi le groupe de substituants  $\beta$  ;

les substituants pour le « groupe cycloalkyle éventuellement substitué » sont un ou plusieurs substituants choisis parmi le groupe de substituants  $\alpha$  ;

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les substituants pour le « groupe alcényle inférieur éventuellement substitué » sont un atome d'halogène, les groupes alcoxy inférieur, alcényle inférieur, amino, alkylamino inférieur, alcoxycarbonylamino inférieur, alkylthio inférieur, acyle, carboxy, alcoxycarbonyle inférieur, carbamoyle, cyano, cycloalkyle, phényle, alkylphényle inférieur, alcoxylphényle inférieur, naphtyle et/ou hétérocyclyle ;

les substituants pour le « groupe cycloalcényle éventuellement substitué » sont un ou plusieurs substituants choisis parmi le groupe de substituants  $\beta$  ;

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les substituants pour le « groupe amino éventuellement substitué » sont le groupe de substituants  $\beta$ , un groupe benzoyle éventuellement substitué et/ou un groupe hétérocyclylcarbonyle éventuellement substitué où les substituants sont un groupe hydroxy, un groupe alkyle en  $C_1-C_{10}$ , un groupe alcoxy inférieur et/ou un groupe alkylthio en  $C_1-C_{10}$  ;

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le terme « aryle éventuellement substitué » et « phényle éventuellement substitué » représentés par Z comprend les groupes « aryle » et « phényle » ci-dessus respectivement, qui peuvent être substitués par le groupe de substituants  $\alpha$  ou un groupe alkyle en  $C_1-C_{10}$  qui peut être substitué par un ou plusieurs groupes choisis parmi le groupe de substituants  $\alpha$  ;

les substituants pour « un groupe aryle éventuellement substitué » et « un groupe phényle éventuellement substitué » représentés par n'importe quel groupe autre que Z sont un ou plusieurs groupes choisis parmi le groupe de substituants  $\beta$  ;

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le terme « groupe carbocyclyle éventuellement substitué » comprend un groupe « cycloalkyle éventuellement substitué », un groupe « cycloalcényle éventuellement substitué », un groupe « bicycloalkyle éventuellement substitué » et un groupe « aryle éventuellement substitué » ;

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les substituants pour le « groupe hétérocyclyle éventuellement substitué » sont les mêmes que ceux pour le « groupe aryle éventuellement substitué » ci-dessus ;

et un ou plusieurs groupes choisis parmi le groupe de substituants  $\beta$  sont les substituants pour les groupes « alkylène en  $C_1-C_6$  éventuellement substitué », « alcénylène en  $C_2-C_6$  éventuellement substitué », « cycloalkylène éventuellement substitué », « cyclohexylène éventuellement substitué », « bicycloalkylène éventuellement substitué », « cycloalcénylène éventuellement substitué », « phénylène éventuellement substitué », « hétérocyclodyle éventuellement substitué » et « pipéridinylène éventuellement substitué ».

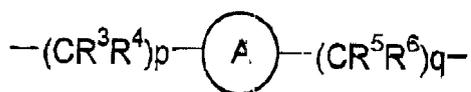
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17. Composé selon la revendication 16, dans laquelle  $R^2$  est un atome d'hydrogène ou un groupe alkyle en  $C_1-C_{10}$  et Z est un groupe alkyle en  $C_1-C_{10}$  éventuellement substitué, un groupe alcényle en  $C_2-C_{10}$  éventuellement substitué, un groupe alcoxy en  $C_1-C_{10}$  éventuellement substitué, un groupe carbocyclyle éventuellement substitué, un groupe hétérocyclyle éventuellement substitué ou un groupe amino éventuellement substitué, à condition que  $R^1$  soit un groupe alkyle en  $C_3-C_{10}$  éventuellement substitué lorsque Z est un groupe amino éventuellement substitué, où le groupe carbocyclyle et le groupe hétérocyclyle sont définis comme ci-dessus et les substituants éventuels sont définis comme ci-dessus.

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18. Composé selon la revendication 16, dans laquelle R<sup>1</sup> est un groupe alkyle en C<sub>1</sub>-C<sub>10</sub> éventuellement substitué ou un groupe cycloalkyle en C<sub>3</sub>-C<sub>8</sub> éventuellement substitué, X est un groupe alkylène en C<sub>2</sub>-C<sub>6</sub> éventuellement substitué, un groupe alcénylène en C<sub>2</sub>-C<sub>6</sub> éventuellement substitué ou

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10 où p et q valent 0,

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est un groupe cycloalkylène en C<sub>3</sub>-C<sub>8</sub> éventuellement substitué, un groupe cycloalcénylène en C<sub>3</sub>-C<sub>8</sub> éventuellement substitué, un groupe bicycloalkylène en C<sub>5</sub>-C<sub>8</sub> éventuellement substitué, un groupe arylène éventuellement substitué ou un groupe hétérocyclodiyle éventuellement substitué, et,

Z est un groupe alkyle en C<sub>1</sub>-C<sub>10</sub> éventuellement substitué, un groupe carbocycle éventuellement substitué ou un groupe hétérocycle éventuellement substitué, où le groupe arylène, le groupe hétérocyclodiyle, le groupe hétérocycle sont définis comme ci-dessus et les substituants éventuels sont définis comme ci-dessus.

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19. Composé selon l'une quelconque des revendications 16 à 18, dans laquelle R<sup>1</sup> est un groupe alkyle en C<sub>3</sub>-C<sub>10</sub> éventuellement substitué, où les substituants éventuels sont définis comme ci-dessus.

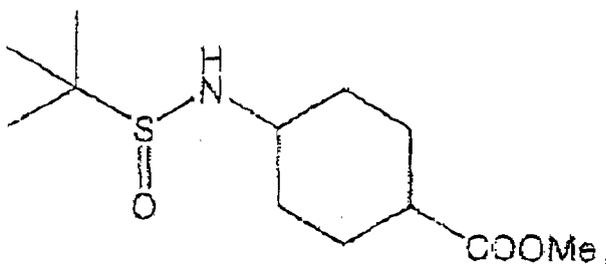
20. Composé selon l'une quelconque des revendications 16 à 19, en tant qu'agent contre l'obésité.

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21. Composé selon l'une quelconque des revendications 16 à 19, en tant qu'agent anorexigène.

22. Composé de formule :

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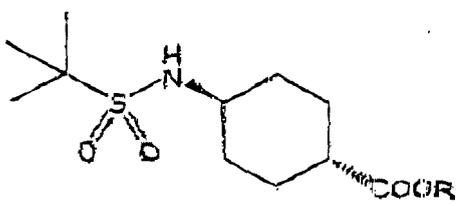
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dans laquelle n vaut 2.

23. Composé de formule :

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dans laquelle R est un atome d'hydrogène ou un groupe méthyle.

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**REFERENCES CITED IN THE DESCRIPTION**

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