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| <p>(54) Title: NOVEL INHIBITORS OF AGGRECANASE AND MATRIX METALLOPROTEINASES FOR THE TREATMENT OF ARTHRITIS</p> <p>(57) Abstract</p> <p>This invention relates to molecules which inhibit metalloproteinases, including aggrecanase, and the production of tumor necrosis factor (TNF). In particular, the compounds are inhibitors of metalloproteinases involved in tissue degradation and inhibitors of the release of tumor necrosis factor. The present invention also relates to pharmaceutical compositions comprising such compounds and to methods of using these compounds for the treatment of inflammatory diseases.</p>                                                            |           |                                                                                                                                                                                                                                                                                                                                                                                                   |

TITLE

5 NOVEL INHIBITORS OF AGGRECANASE AND MATRIX  
METALLOPROTEINASES FOR THE TREATMENT OF ARTHRITIS

FIELD OF THE INVENTION

10 The present invention relates to novel molecules  
which inhibit metalloproteinases, including  
aggrecanase, and the production of tumor necrosis  
factor (TNF), pharmaceutical preparations containing  
them and to their use as pharmaceutical agents. In  
15 particular the compounds are inhibitors of  
metalloproteinases involved in tissue degradation and  
inhibitors of the release of tumor necrosis factor.

BACKGROUND OF THE INVENTION

20 There is now a body of evidence that  
metalloproteinases (MP) are important in the  
uncontrolled breakdown of connective tissue, including  
proteoglycan and collagen, leading to resorption of the  
25 extracellular matrix. This is a feature of many  
pathological conditions, such as rheumatoid and  
osteoarthritis, corneal, epidermal or gastric  
ulceration; tumor metastasis or invasion; periodontal  
disease and bone disease. Normally these catabolic  
30 enzymes are tightly regulated at the level of their  
synthesis as well as at their level of extracellular  
activity through the action of specific inhibitors,  
such as alpha-2-macroglobulins and TIMP (tissue  
inhibitor of metalloproteinase), which form inactive  
35 complexes with the MP's.

Osteo- and Rheumatoid Arthritis (OA and RA respectively) are destructive diseases of articular cartilage characterized by localized erosion of the cartilage surface. Findings have shown that articular  
5 cartilage from the femoral heads of patients with OA, for example, had a reduced incorporation of radiolabeled sulfate over controls, suggesting that there must be an enhanced rate of cartilage degradation in OA (Mankin et al. J. Bone Joint Surg. 52A, 1970,  
10 424-434). There are four classes of protein degradative enzymes in mammalian cells: serine, cysteine, aspartic and metalloproteinases. The available evidence supports that it is the metalloproteinases which are responsible for the degradation of the extracellular matrix of  
15 articular cartilage in OA and RA. Increased activities of collagenases and stromelysin have been found in OA cartilage and the activity correlates with severity of the lesion (Mankin et al. Arthritis Rheum. 21, 1978, 761-766, Woessner et al. Arthritis Rheum. 26, 1983, 63-  
20 68 and Ibid. 27, 1984, 305-312). In addition, aggrecanase (a newly identified metalloproteinase enzymatic activity) has been identified that provides the specific cleavage product of proteoglycan, found in RA and OA patients (Lohmander L.S. et al. Arthritis  
25 Rheum. 36, 1993, 1214-22).

Therefore metalloproteinases (MP) have been implicated as the key enzymes in the destruction of mammalian cartilage and bone. It can be expected that the pathogenesis of such diseases can be modified in a  
30 beneficial manner by the administration of MP inhibitors, and many compounds have been suggested for this purpose (see Wahl et al. Ann. Rep. Med. Chem. 25, 175-184, AP, San Diego, 1990).

This invention describes novel molecules that  
35 inhibit aggrecanase and other metalloproteinases. These novel molecules are provided as cartilage protecting

therapeutics. The inhibition of aggrecanase and other metalloproteinases by these novel molecules prevent the degradation of cartilage by these enzymes, thereby alleviating the pathological conditions of osteo- and  
5 rheumatoid arthritis.

Tumor necrosis factor (TNF) is a cell associated cytokine that is processed from a 26kD precursor form to a 17kD active form. TNF has been shown to be a primary mediator in humans and in animals, of  
10 inflammation, fever, and acute phase responses, similar to those observed during acute infection and shock. Excess TNF has been shown to be lethal. There is now considerable evidence that blocking the effects of TNF with specific antibodies can be beneficial in a variety  
15 of circumstances including autoimmune diseases such as rheumatoid arthritis (Feldman et al, Lancet, 1994, 344, 1105) and non-insulin dependent diabetes melitus. (Lohmander L.S. et al. Arthritis Rheum. 36, 1993, 1214-22) and Crohn's disease (Macdonald T. et al. Clin. Exp.  
20 Immunol. 81, 1990, 301) .

Compounds which inhibit the production of TNF are therefore of therapeutic importance for the treatment of inflammatory disorders. Recently it has been shown that a matrix metalloproteinase or family of  
25 metalloproteinases, hereafter known as TNF-convertases (TNF-C), as well as other MP's are capable of cleaving TNF from its inactive to active form (Gearing et al Nature, 1994, 370, 555). This invention describes novel molecules that inhibit this conversion and hence the  
30 secretion of active TNF- $\alpha$  from cells. These novel molecules provide a means of mechanism based therapeutic intervention for diseases including but not restricted to septic shock, haemodynamic shock, sepsis syndrome, post ischaemic reperfusion injury, malaria,  
35 Crohn's disease, inflammatory bowel diseases, mycobacterial infection, meningitis, psoriasis,

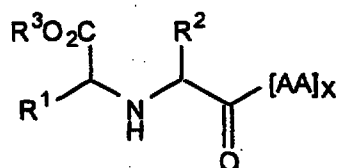
congestive heart failure, fibrotic diseases, cachexia, graft rejection, cancer, diseases involving angiogenesis, autoimmune diseases, skin inflammatory diseases, rheumatoid arthritis, multiple sclerosis,  
 5 radiation damage, hyperoxic alveolar injury, HIV and non-insulin dependent diabetes melitus.

Since excessive TNF production has been noted in several disease conditions also characterized by MMP-mediated tissue degradation, compounds which inhibit  
 10 both MMPs and TNF production may also have a particular advantage in diseases where both mechanisms are involved.

There are several patents which disclose hydroxamate and carboxylate based MMP inhibitors.

15

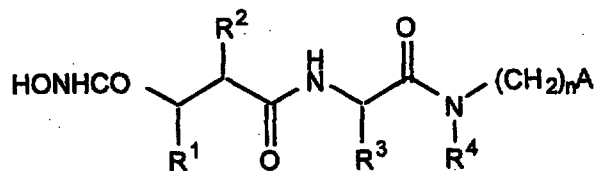
PCT International Publication No. WO 92/213260 describes N-carboxyalkylpeptidyl compounds of general formula:



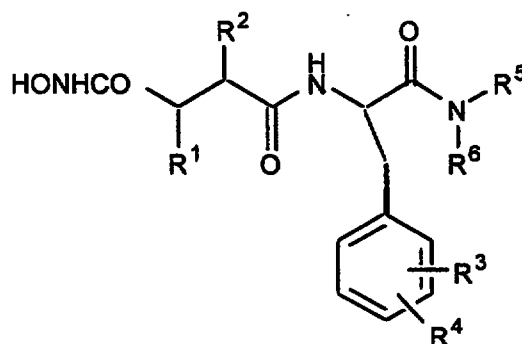
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wherein AA is an amino acid, as inhibitors of matrix metalloproteinase mediated diseases.

PCT International Publication No. WO 90/05716 discloses hydroxamic acid based collagenase inhibitors  
 25 having the general formula:



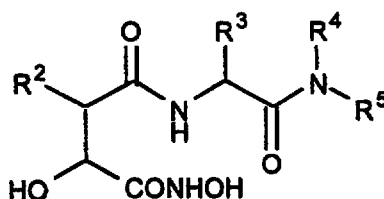
PCT International Publication No. WO 92/13831 describes related hydroxamic acids having collagenase inhibiting activity with the general formula:



5

PCT International Publication No. WO 94/02446 discloses metalloproteinase inhibitors which are natural amino acid derivatives of general formula:

10



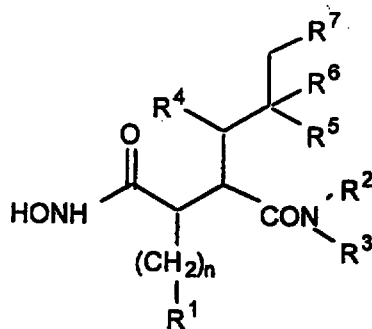
WO95/09841 describes compounds that are hydroxamic acid derivatives and are inhibitors of cytokine production.

15

20

European Patent Application Publication No. 574,758 A1, discloses hydroxamic acid derivatives as collagenase inhibitors having the general formula:

25



GB 2 268 934 A and WO 94/24140 claim hydroxamate inhibitors of MMPs as inhibitors of TNF production.

5

The compounds of the current invention act as inhibitors of MPs, in particular aggrecanase and TNF-C, thereby preventing cartilage loss and destruction and inflammatory disorders involving TNF. The hydroxamic and carboxylic acids and derivatives contain a cyclic peptide mimic attached to a succinate peptide mimic, and thus the inhibitors are non-peptide in nature. A selection of these molecules are water soluble and are orally bioavailable.

15

#### SUMMARY OF THE INVENTION

This invention provides novel hydroxamic acids and carboxylic acids and derivatives thereof of formula (I) (described below) which are useful as inhibitors of metalloproteinases, such as aggrecanase and TNF-C. The present invention also includes pharmaceutical compositions comprising such compounds of formula (I) and methods of using such compounds for the treatment of arthritis and other inflammatory disorders as described previously, in a patient.

Also included in the present invention are pharmaceutical kits comprising one or more containers containing pharmaceutical dosage units comprising a compound of formula (I), for the treatment of arthritis

30

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PROCESSING

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NE PAS PRENDRE EN COMPTE AUX FINS DU TRAITEMENT INTERNATIONAL

and/or therapeutic agents for the treatment of arthritis and inflammation.

#### DEFINITIONS

5       The compounds herein described may have asymmetric centers. Compounds of the present invention containing an asymmetrically substituted atom may be isolated in optically active or racemic forms. It is well known in the art how to prepare optically active forms, such as  
10 by resolution of racemic forms or by synthesis from optically active starting materials. Many geometric isomers of olefins, C=N double bonds, and the like can also be present in the compounds described herein, and all such stable isomers are contemplated in the present  
15 invention. Cis and trans geometric isomers of the compounds of the present invention are described and may be isolated as a mixture of isomers or as separated isomeric forms. All chiral, diastereomeric, racemic forms and all geometric isomeric forms of a structure  
20 are intended, unless the specific stereochemistry or isomeric form is specifically indicated.

      The term "substituted," as used herein, means that any one or more hydrogens on the designated atom is replaced with a selection from the indicated group,  
25 provided that the designated atom's normal valency is not exceeded, and that the substitution results in a stable compound. When a substituent is keto (i.e., =O), then 2 hydrogens on the atom are replaced.

      When any variable (e.g., R<sup>b</sup>) occurs more than one  
30 time in any constituent or formula for a compound, its definition at each occurrence is independent of its definition at every other occurrence. Thus, for example, if a group is shown to be substituted with 0-2 R<sup>6</sup>, then said group may optionally be substituted with  
35 up to two R<sup>6</sup> groups and R<sup>6</sup> at each occurrence is selected independently from the definition of R<sup>6</sup>.

Also, combinations of substituents and/or variables are permissible only if such combinations result in stable compounds.

When a bond to a substituent is shown to cross a  
5 bond connecting two atoms in a ring, then such substituent may be bonded to any atom on the ring. When a substituent is listed without indicating the atom via which such substituent is bonded to the rest of the compound of a given formula, then such  
10 substituent may be bonded via any atom in such substituent. Combinations of substituents and/or variables are permissible only if such combinations result in stable compounds.

As used herein, "H" is intended to include  
15 substitutions with deuterium or tritium. Where "H" is not indicated but is part of a bond then substitutions with deuterium or tritium are also intended.

As used herein, "C<sub>1-10</sub> alkyl" or "C<sub>1-10</sub> alkylene" is intended to include both branched and straight-chain  
20 saturated aliphatic hydrocarbon groups having the specified number of carbon atoms, examples of which include, but are not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, sec-butyl, t-butyl, pentyl, and hexyl;

25 "Alkenyl" or "alkenylene" is intended to include hydrocarbon chains of either a straight or branched configuration and one or more unsaturated carbon-carbon bonds which may occur in any stable point along the chain, such as ethenyl, propenyl, and the like.

30 "Alkynyl" or "alkynylene" is intended to include hydrocarbon chains of either a straight or branched configuration and one or more carbon-carbon triple bonds which may occur in any stable point along the chain, such as ethynyl, propynyl, and the like.

35 As used herein, "aryl" or "aromatic residue" is intended to include phenyl or naphthyl as well as

commonly referred to "heterocycle" or "heteroaryl" or "heterocyclic" compounds.

As used herein the term "alkylaryl" represents an aryl group attached through an alkyl bridge.

5 "Halo" or "halogen" as used herein refers to fluoro, chloro, bromo, and iodo; and "counterion" is used to represent a small, negatively charged species such as chloride, bromide, hydroxide, acetate, sulfate, and the like.

10 As used herein, "carbocycle" or "carbocyclic residue" is intended to mean any stable 3- to 7-membered monocyclic or bicyclic or 7- to 13-membered bicyclic or tricyclic, any of which may be saturated, partially unsaturated, or aromatic. Examples of such  
15 carbocycles include, but are not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, adamantyl, cyclooctyl, [3.3.0]bicyclooctane, [4.3.0]bicyclononane, [4.4.0]bicyclodecane (decalin), [2.2.2]bicyclooctane,  
20 fluorenyl, phenyl, naphthyl, indanyl, adamantyl, or tetrahydronaphthyl (tetralin).

As used herein, the term "heterocycle" or "heterocyclic system" is intended to mean a stable 5-  
to 7- membered monocyclic or bicyclic or 7- to  
25 14-membered bicyclic heterocyclic ring which is saturated partially unsaturated or unsaturated (aromatic), and which consists of carbon atoms and from 1 to 4 heteroatoms independently selected from the group consisting of N, O and S and including any  
30 bicyclic group in which any of the above-defined heterocyclic rings is fused to a benzene ring. The nitrogen and sulfur heteroatoms may optionally be oxidized. The heterocyclic ring may be attached to its pendant group at any heteroatom or carbon atom which  
35 results in a stable structure. The heterocyclic rings described herein may be substituted on carbon or on a

nitrogen atom if the resulting compound is stable. If specifically noted, a nitrogen in the heterocycle may optionally be quaternized. It is preferred that when the total number of S and O atoms in the heterocycle exceeds 1, then these heteroatoms are not adjacent to one another. It is preferred that the total number of S and O atoms in the heterocycle is not more than 1.

As used herein, the term "aromatic heterocyclic system" is intended to mean a stable 5- to 7- membered monocyclic or bicyclic or 7- to 14-membered bicyclic heterocyclic aromatic ring which consists of carbon atoms and from 1 to 4 heterotams independently selected from the group consisting of N, O and S. It is preferred that the total number of S and O atoms in the aromatic heterocycle is not more than 1.

Examples of heterocycles include, but are not limited to, 1H-indazole, 2-pyrrolidonyl, 2H,6H-1,5,2-dithiazinyl, 2H-pyrrolyl, 3H-indolyl, 4-piperidonyl, 4aH-carbazole, 4H-quinoliziny, 6H-1,2,5-thiadiazinyl, acridinyl, azocinyl, benzimidazolyl, benzofuranyl, benzothiofuranyl, benzothiophenyl, benzoxazolyl, benzthiazolyl, benztriazolyl, benztetrazolyl, benzisoxazolyl, benzisothiazolyl, benzimidazalonyl, carbazolyl, 4aH-carbazolyl, b-carbolinyl, chromanyl, chromenyl, cinnolinyl, decahydroquinolinyl, 2H,6H-1,5,2-dithiazinyl, dihydrofuro[2,3-b]tetrahydrofuran, furanyl, furazanyl, imidazolidinyl, imidazoliny, imidazolyl, 1H-indazolyl, indolenyl, indolinyl, indoliziny, indolyl, isobenzofuranyl, isochromanyl, isoindazolyl, isoindolinyl, isoindolyl, isoquinolinyl, isothiazolyl, isoxazolyl, morpholinyl, naphthyridinyl, octahydroisoquinolinyl, oxadiazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, oxazolidinyl, oxazolyl,

oxazolidinylperimidinyl, phenanthridinyl,  
phenanthrolinyl, phenarsazinyl, phenazinyl,  
phenothiazinyl, phenoxathiinyl, phenoxazinyl,  
phthalazinyl, piperazinyl, piperidinyl, pteridinyl,  
5 piperidonyl, 4-piperidonyl, pteridinyl, purinyl,  
pyranyl, pyrazinyl, pyrazolidinyl, pyrazolinyl,  
pyrazolyl, pyridazinyl, pyridooxazole, pyridoimidazole,  
pyridothiazole, pyridinyl, pyridyl, pyrimidinyl,  
pyrrolidinyl, pyrrolinyl, pyrrolyl, quinazolinyl,  
10 quinolinyl, 4H-quinoliziny, quinoxalinyl,  
quinuclidinyl, carbolinyl, tetrahydrofuranyl,  
tetrahydroisoquinolinyl, tetrahydroquinolinyl,  
6H-1,2,5-thiadiazinyl, 1,2,3-thiadiazolyl,  
1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl,  
15 1,3,4-thiadiazolyl, thianthrenyl, thiazolyl, thienyl,  
thienothiazolyl, thienooxazolyl, thienoimidazolyl,  
thiophenyl, triazinyl, 1,2,3-triazolyl,  
1,2,4-triazolyl, 1,2,5-triazolyl, 1,3,4-triazolyl,  
xanthenyl. Preferred heterocycles include, but are not  
20 limited to, pyridinyl, furanyl, thienyl, pyrrolyl,  
pyrazolyl, imidazolyl, indolyl, benzimidazolyl,  
1H-indazolyl, oxazolidinyl, benzotriazolyl,  
benzisoxazolyl, oxindolyl, benzoxazolinyl, or  
isatinoyl. Also included are fused ring and spiro  
25 compounds containing, for example, the above  
heterocycles.

The term "amino acid" as used herein means an  
organic compound containing both a basic amino group  
and an acidic carboxyl group. Included within this  
30 term are natural amino acids (e.g., L-amino acids),  
modified and unusual amino acids (e.g., D-amino acids),  
as well as amino acids which are known to occur  
biologically in free or combined form but usually do  
not occur in proteins. Included within this term are  
35 modified and unusual amino acids, such as those  
disclosed in, for example, Roberts and Vellaccio (1983)

The Peptides, 5: 342-429, the teaching of which is hereby incorporated by reference. Natural protein occurring amino acids include, but are not limited to, alanine, arginine, asparagine, aspartic acid, cysteine, glutamic acid, glutamine, glycine, histidine, isoleucine, leucine, lysine, methionine, phenylalanine, serine, threonine, tyrosine, tryptophan, proline, and valine. Natural non-protein amino acids include, but are not limited to arginosuccinic acid, citrulline, cysteine sulfinic acid, 3,4-dihydroxyphenylalanine, homocysteine, homoserine, ornithine, 3-moniodotyrosine, 3,5-diiodotryosine, 3,5,5'-triiodothyronine, and 3,3',5,5'-tetraiodothyronine. Modified or unusual amino acids which can be used to practice the invention include, but are not limited to, D-amino acids, hydroxylysine, 4-hydroxyproline, an N-Cbz-protected amino acid, 2,4-diaminobutyric acid, homoarginine, norleucine, N-methylaminobutyric acid, naphthylalanine, phenylglycine,  $\beta$ -phenylproline, tert-leucine, 4-aminocyclohexylalanine, N-methyl-norleucine, 3,4-dehydroproline, N,N-dimethylaminoglycine, N-methylaminoglycine, 4-aminopiperidine-4-carboxylic acid, 6-aminocaproic acid, trans-4-(aminomethyl)-cyclohexanecarboxylic acid, 2-, 3-, and 4-(aminomethyl)-benzoic acid, 1-aminocyclopentanecarboxylic acid, 1-aminocyclopropanecarboxylic acid, and 2-benzyl-5-aminopentanoic acid.

The phrase "pharmaceutically acceptable" is employed herein to refer to those compounds, materials, compositions, and/or dosage forms which are, within the scope of sound medical judgment, suitable for use in contact with the tissues of human beings and animals without excessive toxicity, irritation, allergic

response, or other problem or complication, commensurate with a reasonable benefit/risk ratio.

As used herein, "pharmaceutically acceptable salts" refer to derivatives of the disclosed compounds wherein the parent compound is modified by making acid or base salts thereof. Examples of pharmaceutically acceptable salts include, but are not limited to, mineral or organic acid salts of basic residues such as amines; alkali or organic salts of acidic residues such as carboxylic acids; and the like. The pharmaceutically acceptable salts include the conventional non-toxic salts or the quaternary ammonium salts of the parent compound formed, for example, from non-toxic inorganic or organic acids. For example, such conventional non-toxic salts include those derived from inorganic acids such as hydrochloric, hydrobromic, sulfuric, sulfamic, phosphoric, nitric and the like; and the salts prepared from organic acids such as acetic, propionic, succinic, glycolic, stearic, lactic, malic, tartaric, citric, ascorbic, pantoic, maleic, hydroxymaleic, phenylacetic, glutamic, benzoic, salicylic, sulfanilic, 2-acetoxybenzoic, fumaric, toluenesulfonic, methanesulfonic, ethane disulfonic, oxalic, isethionic, and the like.

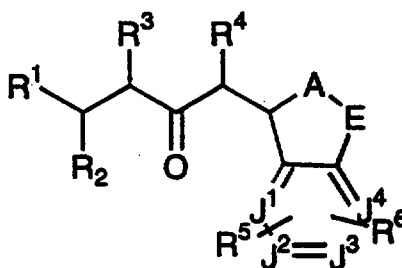
The pharmaceutically acceptable salts of the present invention can be synthesized from the parent compound which contains a basic or acidic moiety by conventional chemical methods. Generally, such salts can be prepared by reacting the free acid or base forms of these compounds with a stoichiometric amount of the appropriate base or acid in water or in an organic solvent, or in a mixture of the two; generally, nonaqueous media like ether, ethyl acetate, ethanol, isopropanol, or acetonitrile are preferred. Lists of suitable salts are found in *Remington's Pharmaceutical Sciences*, 17th ed., Mack Publishing Company, Easton,

PA, 1985, p. 1418, the disclosure of which is hereby incorporated by reference.

"Prodrugs" and "prodrug derivatives" are intended to include any covalently bonded carriers which release the active parent drug according to formula (I) *in vivo* when such prodrug is administered to a mammalian subject. Prodrugs of a compound of formula (I) are prepared by modifying functional groups present in the compound in such a way that the modifications are cleaved, either in routine manipulation or *in vivo*, to the parent compound. Prodrugs include compounds of formula (I) wherein a hydroxy, amino, or sulfhydryl group is bonded to any group that, when the prodrug or compound of formula (I) is administered to a mammalian subject, cleaves to form a free hydroxyl, free amino, or free sulfhydryl group, respectively. Examples of prodrugs include, but are not limited to, acetate, formate and benzoate derivatives of alcohol and amine functional groups in the compounds of formula (I), and the like.

"Stable compound" and "stable structure" are meant to indicate a compound that is sufficiently robust to survive isolation to a useful degree of purity from a reaction mixture, and formulation into an efficacious therapeutic agent.

[1] There is provided by this invention a compound of the formula (I):



Formula I

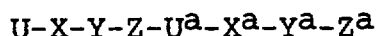
or a pharmaceutically acceptable salt form or a stereoisomer thereof, wherein:

5  $R^1$  is selected from:

-CO<sub>2</sub>H, -C(O)NHOH, -C(O)NHR<sup>7</sup>, -SH, -CH<sub>2</sub>CO<sub>2</sub>R<sup>7</sup>,  
-COR<sup>7</sup>, -N(OH)COR<sup>7</sup>, -SN<sub>2</sub>H<sub>2</sub>R<sup>7</sup>, -SONHR<sup>7</sup>, -CH<sub>2</sub>CO<sub>2</sub>H,  
-PO(OH)<sub>2</sub>, -PO(OH)NHR<sup>7</sup>, -CH<sub>2</sub>SH, -C(O)NHR<sup>7</sup>, -CO<sub>2</sub>R<sup>7</sup>,  
and common prodrug derivatives;

10

$R^2$  is selected from the formula:



15 wherein:

U is absent or is selected from: O, NR<sup>a</sup>, C(O), C(O)O,  
OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>,  
NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>,  
20 and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;

X is absent or selected from H, C<sub>1</sub>-10 alkylene, C<sub>2</sub>-10  
alkenylene, C<sub>2</sub>-10 alkynylene;

25 Y is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and  
C(O);

Z is absent or selected from H, a C<sub>3</sub>-13 carbocyclic  
residue substituted with 0-5 R<sup>b</sup> and a 5-14  
30 membered heterocyclic system containing from 1-4  
heteroatoms selected from the group consisting of  
N, O, and S substituted with 0-5 R<sup>b</sup>;

U<sup>a</sup> is absent or is selected from: H, O, NR<sup>a</sup>, C(O),  
35 C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>,

$\text{NR}^a\text{C}(\text{O})\text{O}$ ,  $\text{NR}^a\text{C}(\text{O})\text{NR}^a$ ,  $\text{S}(\text{O})_p$ ,  $\text{S}(\text{O})_p\text{NR}^a$ ,  $\text{NR}^a\text{S}(\text{O})_p$ ,  
and  $\text{NR}^a\text{SO}_2\text{NR}^a$ ;

5  $\text{X}^a$  is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

$\text{Y}^a$  is absent or selected from H, O,  $\text{NR}^a$ ,  $\text{S}(\text{O})_p$ , and C(O);

10  $\text{Z}^a$  is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5  $\text{R}^c$  and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $\text{R}^c$ ;

15  $\text{R}^a$ , at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

20  $\text{R}^{a'}$ , at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

alternatively,  $\text{R}^a$  and  $\text{R}^{a'}$  taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional  
25 heteroatoms selected from the group consisting of N, O, and S;

$\text{R}^b$ , at each occurrence, is independently selected from C<sub>1-6</sub> alkyl,  $\text{OR}^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  
30  $\text{NR}^a\text{R}^{a'}$ , C(O) $\text{R}^a$ , C(O) $\text{OR}^a$ , C(O) $\text{NR}^a\text{R}^{a'}$ ,  $\text{S}(\text{O})_2\text{NR}^a\text{R}^{a'}$ ,  $\text{S}(\text{O})_p\text{R}^a$ , CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;

$\text{R}^c$ , at each occurrence, is independently selected from C<sub>1-6</sub> alkyl,  $\text{OR}^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  
35  $\text{NR}^a\text{R}^{a'}$ , C(O) $\text{R}^a$ , C(O) $\text{OR}^a$ , C(O) $\text{NR}^a\text{R}^{a'}$ ,  $\text{NR}^a\text{S}(\text{O})_2\text{R}^{a'}$ ,  $\text{S}(\text{O})_2\text{NR}^a\text{R}^{a'}$ ,  $\text{S}(\text{O})_p\text{R}^a$ , CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14

membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;

5  $R^3$  is selected from the formula:



wherein:

10

U is absent or is selected from: O,  $NR^a$ ,  $C(O)$ ,  $C(O)O$ ,  $OC(O)$ ,  $C(O)NR^a$ ,  $NR^aC(O)$ ,  $OC(O)O$ ,  $OC(O)NR^a$ ,  $NR^aC(O)O$ ,  $NR^aC(O)NR^a$ ,  $S(O)_p$ ,  $S(O)_pNR^a$ ,  $NR^aS(O)_p$ , and  $NR^aSO_2NR^a$ ;

15

X is absent or selected from H,  $C_1-10$  alkylene,  $C_2-10$  alkenylene,  $C_2-10$  alkynylene;

20

Y is absent or selected from H, O,  $NR^a$ ,  $S(O)_p$ , and  $C(O)$ ;

25

Z is absent or selected from H, a  $C_3-13$  carbocyclic residue substituted with 0-5  $R^b$  and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $R^b$ ;

30

$U^a$  is absent or is selected from: H, O,  $NR^a$ ,  $C(O)$ ,  $C(O)O$ ,  $OC(O)$ ,  $C(O)NR^a$ ,  $NR^aC(O)$ ,  $OC(O)O$ ,  $OC(O)NR^a$ ,  $NR^aC(O)O$ ,  $NR^aC(O)NR^a$ ,  $S(O)_p$ ,  $S(O)_pNR^a$ ,  $NR^aS(O)_p$ , and  $NR^aSO_2NR^a$ ;

35

$x^a$  is absent or selected from H,  $C_1-10$  alkylene,  $C_2-10$  alkenylene,  $C_2-10$  alkynylene;

$y^a$  is absent or selected from H, O,  $NR^a$ ,  $S(O)_p$ , and  $C(O)$ ;

5  $z^a$  is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5  $R^c$  and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $R^c$ ;

10  $R^a$ , at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

$R^{a'}$ , at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

15 alternatively,  $R^a$  and  $R^{a'}$  taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional heteroatoms selected from the group consisting of  
20 N, O, and S;

$R^b$ , at each occurrence, is independently selected from C<sub>1-6</sub> alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ ,  $C(O)R^a$ ,  $C(O)OR^a$ ,  $C(O)NR^aR^{a'}$ ,  $S(O)_2NR^aR^{a'}$ ,  
25  $S(O)_pR^a$ , CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;

$R^c$ , at each occurrence, is independently selected from C<sub>1-6</sub> alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ ,  $C(O)R^a$ ,  $C(O)OR^a$ ,  $C(O)NR^aR^{a'}$ ,  $NR^aS(O)_2R^{a'}$ ,  
30  $S(O)_2NR^aR^{a'}$ ,  $S(O)_pR^a$ , CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;

35  $R^4$  is selected from:  
hydrogen, (C<sub>1-5</sub>)alkyl, (C<sub>1-5</sub>)alkyl-aryl,

$R^5$  and  $R^6$  are independently selected from:



5

wherein:

U is absent or is selected from: O,  $NR^a$ , C(O), C(O)O, OC(O), C(O) $NR^a$ ,  $NR^aC(O)$ , OC(O)O, OC(O) $NR^a$ ,  
 10  $NR^aC(O)O$ ,  $NR^aC(O)NR^a$ , S(O)<sub>p</sub>, S(O)<sub>p</sub> $NR^a$ ,  $NR^aS(O)_p$ ,  
 and  $NR^aSO_2NR^a$ ;

X is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

15

Y is absent or selected from H, O,  $NR^a$ , S(O)<sub>p</sub>, and C(O);

Z is absent or selected from H, a C<sub>3-13</sub> carbocyclic  
 20 residue substituted with 0-5  $R^b$  and a 5-14  
 membered heterocyclic system containing from 1-4  
 heteroatoms selected from the group consisting of  
 N, O, and S substituted with 0-5  $R^b$ ;

25  $U^a$  is absent or is selected from: H, O,  $NR^a$ , C(O),  
 C(O)O, OC(O), C(O) $NR^a$ ,  $NR^aC(O)$ , OC(O)O, OC(O) $NR^a$ ,  
 $NR^aC(O)O$ ,  $NR^aC(O)NR^a$ , S(O)<sub>p</sub>, S(O)<sub>p</sub> $NR^a$ ,  $NR^aS(O)_p$ ,  
 and  $NR^aSO_2NR^a$ ;

30  $x^a$  is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

$y^a$  is absent or selected from H, O,  $NR^a$ , S(O)<sub>p</sub>, and C(O);

35

$Z^a$  is absent or selected from H, a C<sub>3</sub>-13 carbocyclic residue substituted with 0-5  $R^C$  and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $R^C$ ;

$R^a$ , at each occurrence, is independently selected from H, C<sub>1</sub>-4 alkyl, phenyl or benzyl;

10  $R^{a'}$ , at each occurrence, is independently selected from H, C<sub>1</sub>-4 alkyl, phenyl or benzyl;

alternatively,  $R^a$  and  $R^{a'}$  taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

20  $R^b$ , at each occurrence, is independently selected from C<sub>1</sub>-6 alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ , C(O) $R^a$ , C(O) $OR^a$ , C(O) $NR^aR^{a'}$ , S(O)<sub>2</sub> $NR^aR^{a'}$ , S(O)<sub>p</sub> $R^a$ , CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;

25  $R^C$ , at each occurrence, is independently selected from C<sub>1</sub>-6 alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ , C(O) $R^a$ , C(O) $OR^a$ , C(O) $NR^aR^{a'}$ ,  $NR^aS(O)_2R^{a'}$ , S(O)<sub>2</sub> $NR^aR^{a'}$ , S(O)<sub>p</sub> $R^a$ , CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;

30  $R^7$  is selected from: C<sub>1</sub>-C<sub>10</sub> alkyl, alkylaryl, and common prodrug derivatives

A is selected from:  
35 SO<sub>2</sub>, SO, CHOH;

E is  $(CR^8R^9)_m-W-(CR^8R^9)_n$ ,

wherein W can be absent or selected from:

$CH_2$ , CO, O,  $S(O)_m$  and  $NR^{10}$ ,

m is 0-2,

5 n is 0-2;

with the proviso that when W is O, S or  $NR^{10}$  then  
m must not be 0;

10  $R^8$  and  $R^9$  is independently selected from:

H,

C1-C8 alkyl substituted with 0-5  $R^b$ ,

C1-C8 alkenyl,

C1-C8 alkylaryl substituted with 0-5  $R^b$ ,

15 C3-13 carbocyclic residue substituted with 0-5  $R^b$ ,

5-14 membered heterocyclic system containing from

1-4 heteroatoms selected from the group

consisting of N, O, and S substituted with 0-5  $R^b$ ;

amino,

20 C1-C8 alkyl- $NR^{10}$

hydroxyl,

$R^8$  and  $R^9$  can also form a ring interrupted by  $NR^{10}$ , O,  
 $S(O)_m$ .

25

$R^{10}$  is selected from:

hydrogen,

C1-C8 alkyl

30 C1-C8 alkylaryl

$J^1$ ,  $J^2$ ,  $J^3$ ,  $J^4$  are independently selected from:

CH, or N.

35 with no more than two N in the cycle.

[2] The present invention includes compounds of formula (I) wherein:

$R^1$  is selected from:

- 5        $-\text{CO}_2\text{H}$ ,  $-\text{C}(\text{O})\text{NHOH}$ ,  $-\text{C}(\text{O})\text{NHOR}^7$ ,  $-\text{SH}$ ,  $-\text{CH}_2\text{CO}_2\text{R}^7$ ,  
        $-\text{COR}^7$ ,  $-\text{N}(\text{OH})\text{COR}^7$ ,  $-\text{SN}_2\text{H}_2\text{R}^7$ ,  $-\text{SONHR}^7$ ,  $-\text{CH}_2\text{CO}_2\text{H}$ ,  
        $-\text{PO}(\text{OH})_2$ ,  $-\text{PO}(\text{OH})\text{NHR}^7$ ,  $-\text{CH}_2\text{SH}$ ,  $-\text{C}(\text{O})\text{NHOR}^7$ ,  $-\text{CO}_2\text{R}^7$ ,  
       and common prodrug derivatives;

10    $R^2$  is selected from the formula:



wherein:

15

U is absent or is selected from: O,  $\text{NR}^a$ ,  $\text{C}(\text{O})$ ,  $\text{C}(\text{O})\text{O}$ ,  
 $\text{OC}(\text{O})$ ,  $\text{C}(\text{O})\text{NR}^a$ ,  $\text{NR}^a\text{C}(\text{O})$ ,  $\text{OC}(\text{O})\text{O}$ ,  $\text{OC}(\text{O})\text{NR}^a$ ,  
 $\text{NR}^a\text{C}(\text{O})\text{O}$ ,  $\text{NR}^a\text{C}(\text{O})\text{NR}^a$ ,  $\text{S}(\text{O})_p$ ,  $\text{S}(\text{O})_p\text{NR}^a$ ,  $\text{NR}^a\text{S}(\text{O})_p$ ,  
 and  $\text{NR}^a\text{SO}_2\text{NR}^a$ ;

20

X is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

Y is absent or selected from H, O,  $\text{NR}^a$ ,  $\text{S}(\text{O})_p$ , and  
 25        $\text{C}(\text{O})$ ;

Z is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5  $\text{R}^b$  and a 5-14 membered heterocyclic system containing from 1-4  
 30       heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $\text{R}^b$ ;

$\text{U}^a$  is absent or is selected from: H, O,  $\text{NR}^a$ ,  $\text{C}(\text{O})$ ,  
 $\text{C}(\text{O})\text{O}$ ,  $\text{OC}(\text{O})$ ,  $\text{C}(\text{O})\text{NR}^a$ ,  $\text{NR}^a\text{C}(\text{O})$ ,  $\text{OC}(\text{O})\text{O}$ ,  $\text{OC}(\text{O})\text{NR}^a$ ,  
 35        $\text{NR}^a\text{C}(\text{O})\text{O}$ ,  $\text{NR}^a\text{C}(\text{O})\text{NR}^a$ ,  $\text{S}(\text{O})_p$ ,  $\text{S}(\text{O})_p\text{NR}^a$ ,  $\text{NR}^a\text{S}(\text{O})_p$ ,  
       and  $\text{NR}^a\text{SO}_2\text{NR}^a$ ;

$X^a$  is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

5  $Y^a$  is absent or selected from H, O,  $NR^a$ ,  $S(O)_p$ , and C(O);

10  $Z^a$  is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5  $R^C$  and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $R^C$ ;

15  $R^a$ , at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

$R^{a'}$ , at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

20 alternatively,  $R^a$  and  $R^{a'}$  taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

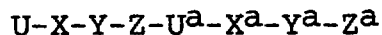
25  $R^b$ , at each occurrence, is independently selected from C<sub>1-6</sub> alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ , C(O) $R^a$ , C(O) $OR^a$ , C(O) $NR^aR^{a'}$ ,  $S(O)_2NR^aR^{a'}$ ,  $S(O)_pR^a$ , CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;

30 , at each occurrence, is independently selected from C<sub>1-6</sub> alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ , C(O) $R^a$ , C(O) $OR^a$ , C(O) $NR^aR^{a'}$ ,  $NR^aS(O)_2R^{a'}$ ,  $S(O)_2NR^aR^{a'}$ ,  $S(O)_pR^a$ , CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14  
35 membered heterocyclic system containing from 1-4

heteroatoms selected from the group consisting of  
N, O, and S;

$R^3$  is selected from the formula:

5



wherein:

10 U is absent or is selected from: O,  $NR^a$ ,  $C(O)$ ,  $C(O)O$ ,  
 $OC(O)$ ,  $C(O)NR^a$ ,  $NR^aC(O)$ ,  $OC(O)O$ ,  $OC(O)NR^a$ ,  
 $NR^aC(O)O$ ,  $NR^aC(O)NR^a$ ,  $S(O)_p$ ,  $S(O)_pNR^a$ ,  $NR^aS(O)_p$ ,  
and  $NR^aSO_2NR^a$ ;

15 X is absent or selected from H,  $C_{1-10}$  alkylene,  $C_{2-10}$   
alkenylene,  $C_{2-10}$  alkynylene;

Y is absent or selected from H, O,  $NR^a$ ,  $S(O)_p$ , and  
 $C(O)$ ;

20

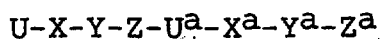
Z is absent or selected from H, a  $C_{3-13}$  carbocyclic  
residue substituted with 0-5  $R^b$  and a 5-14  
membered heterocyclic system containing from 1-4  
heteroatoms selected from the group consisting of  
25 N, O, and S substituted with 0-5  $R^b$ ;

$U^a$  is absent or is selected from: H, O,  $NR^a$ ,  $C(O)$ ,  
 $C(O)O$ ,  $OC(O)$ ,  $C(O)NR^a$ ,  $NR^aC(O)$ ,  $OC(O)O$ ,  $OC(O)NR^a$ ,  
 $NR^aC(O)O$ ,  $NR^aC(O)NR^a$ ,  $S(O)_p$ ,  $S(O)_pNR^a$ ,  $NR^aS(O)_p$ ,  
30 and  $NR^aSO_2NR^a$ ;

$x^a$  is absent or selected from H,  $C_{1-10}$  alkylene,  $C_{2-10}$   
alkenylene,  $C_{2-10}$  alkynylene;

35  $y^a$  is absent or selected from H, O,  $NR^a$ ,  $S(O)_p$ , and  
 $C(O)$ ;

- $Z^a$  is absent or selected from H, a C<sub>3</sub>-13 carbocyclic  
 residue substituted with 0-5 R<sup>C</sup> and a 5-14  
 membered heterocyclic system containing from 1-4  
 heteroatoms selected from the group consisting of  
 N, O, and S substituted with 0-5 R<sup>C</sup>;
- $R^a$ , at each occurrence, is independently selected from  
 H, C<sub>1</sub>-4 alkyl, phenyl or benzyl;
- $R^{a'}$ , at each occurrence, is independently selected from  
 H, C<sub>1</sub>-4 alkyl, phenyl or benzyl;
- alternatively,  $R^a$  and  $R^{a'}$  taken together with the  
 nitrogen to which they are attached form a 5 or 6  
 membered ring containing from 0-1 additional  
 heteroatoms selected from the group consisting of  
 N, O, and S;
- $R^b$ , at each occurrence, is independently selected from  
 C<sub>1</sub>-6 alkyl, OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  
 NR<sup>a</sup>R<sup>a'</sup>, C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, C(O)NR<sup>a</sup>R<sup>a'</sup>, S(O)<sub>2</sub>NR<sup>a</sup>R<sup>a'</sup>,  
 S(O)<sub>p</sub>R<sup>a</sup>, CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;
- $R^c$ , at each occurrence, is independently selected from  
 C<sub>1</sub>-6 alkyl, OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  
 NR<sup>a</sup>R<sup>a'</sup>, C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, C(O)NR<sup>a</sup>R<sup>a'</sup>, NR<sup>a</sup>S(O)<sub>2</sub>R<sup>a'</sup>,  
 S(O)<sub>2</sub>NR<sup>a</sup>R<sup>a'</sup>, S(O)<sub>p</sub>R<sup>a</sup>, CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14  
 membered heterocyclic system containing from 1-4  
 heteroatoms selected from the group consisting of  
 N, O, and S;
- $R^4$  is selected from:  
 hydrogen,
- $R^5$  and  $R^6$  are independently selected from:



wherein:

5

U is absent or is selected from: O,  $NR^a$ , C(O), C(O)O, OC(O), C(O) $NR^a$ ,  $NR^aC(O)$ , OC(O)O, OC(O) $NR^a$ ,  $NR^aC(O)O$ ,  $NR^aC(O)NR^a$ , S(O)<sub>p</sub>, S(O)<sub>p</sub> $NR^a$ ,  $NR^aS(O)_p$ , and  $NR^aSO_2NR^a$ ;

10

X is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

15

Y is absent or selected from H, O,  $NR^a$ , S(O)<sub>p</sub>, and C(O);

20

Z is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5  $R^b$  and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $R^b$ ;

25

$U^a$  is absent or is selected from: H, O,  $NR^a$ , C(O), C(O)O, OC(O), C(O) $NR^a$ ,  $NR^aC(O)$ , OC(O)O, OC(O) $NR^a$ ,  $NR^aC(O)O$ ,  $NR^aC(O)NR^a$ , S(O)<sub>p</sub>, S(O)<sub>p</sub> $NR^a$ ,  $NR^aS(O)_p$ , and  $NR^aSO_2NR^a$ ;

30

$X^a$  is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

$Y^a$  is absent or selected from H, O,  $NR^a$ , S(O)<sub>p</sub>, and C(O);

35

$Z^a$  is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5  $R^c$  and a 5-14 membered heterocyclic system containing from 1-4

heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>C</sup>;

5 R<sup>a</sup>, at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

R<sup>a'</sup>, at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

10 alternatively, R<sup>a</sup> and R<sup>a'</sup> taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

15

R<sup>b</sup>, at each occurrence, is independently selected from C<sub>1-6</sub> alkyl, OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>, NR<sup>a</sup>RA', C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, C(O)NR<sup>a</sup>RA', S(O)<sub>2</sub>NR<sup>a</sup>RA', S(O)<sub>p</sub>R<sup>a</sup>, CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;

20

R<sup>C</sup>, at each occurrence, is independently selected from C<sub>1-6</sub> alkyl, OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>, NR<sup>a</sup>RA', C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, C(O)NR<sup>a</sup>RA', NR<sup>a</sup>S(O)<sub>2</sub>RA', S(O)<sub>2</sub>NR<sup>a</sup>RA', S(O)<sub>p</sub>R<sup>a</sup>, CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14 membered heterocyclic

25 system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;

R<sup>7</sup> is selected from: C<sub>1-C<sub>10</sub></sub> alkyl, alkylaryl, and common prodrug derivatives

30

A is selected from:  
SO<sub>2</sub>, SO, CHOH;

E is (CR<sup>8</sup>R<sup>9</sup>)<sub>m</sub>-W-(CR<sup>8</sup>R<sup>9</sup>)<sub>n</sub>,

35 wherein W can be absent or selected from:  
CH<sub>2</sub>, CO, O, S(O)<sub>m</sub> and NR<sup>10</sup>,

m is 0-2,

n is 0-2;

5 with the proviso that when W is O, S or NR<sup>10</sup> then  
m must not be 0;

R<sup>8</sup> and R<sup>9</sup> is independently selected from:

H,  
C1-C8 alkyl substituted with 0-5 R<sup>b</sup>,  
10 C1-C8 alkenyl,  
C1-C8 alkylaryl substituted with 0-5 R<sup>b</sup>,  
C3-13 carbocyclic residue substituted with 0-5 R<sup>b</sup>,  
5-14 membered heterocyclic system containing from  
1-4 heteroatoms selected from the group  
15 consisting of N, O, and S substituted with 0-5 R<sup>b</sup>;  
amino,  
C1-C8 alkyl-NR<sup>10</sup>  
hydroxyl,

20 R<sup>8</sup> and R<sup>9</sup> can also form a ring interrupted by NR<sup>10</sup>, O,  
S(O)m.

R<sup>10</sup> is selected from:

hydrogen,  
25 C1-C8 alkyl  
C1-C8 alkylaryl

J<sup>1</sup>, J<sup>2</sup>, J<sup>3</sup>, J<sup>4</sup> are independently selected from:

CH, or N.

30 with no more than two N in the cycle.

[3] The present invention includes preferred compounds  
of formula (I) wherein:

35 R<sup>1</sup> is selected from:

-CO<sub>2</sub>H, -C(O)NHOH, -C(O)NHO R<sup>7</sup>, -SH, -CH<sub>2</sub>CO<sub>2</sub>R<sup>7</sup>,

and common prodrug derivatives;

$R^2$  is selected from the formula:

5                   U-X-Y-Z-U<sup>a</sup>-x<sup>a</sup>-y<sup>a</sup>-z<sup>a</sup>

wherein:

10       U is absent or is selected from: O, NR<sup>a</sup>, C(O), C(O)O,  
OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>,  
NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>,  
and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;

15       X is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub>  
alkenylene, C<sub>2-10</sub> alkynylene;

Y is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and  
C(O);

20       Z is absent or selected from H, a C<sub>3-13</sub> carbocyclic  
residue substituted with 0-5 R<sup>b</sup> and a 5-14  
membered heterocyclic system containing from 1-4  
heteroatoms selected from the group consisting of  
N, O, and S substituted with 0-5 R<sup>b</sup>;

25       U<sup>a</sup> is absent or is selected from: H, O, NR<sup>a</sup>, C(O),  
C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>,  
NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>,  
and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;

30       x<sup>a</sup> is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub>  
alkenylene, C<sub>2-10</sub> alkynylene;

35       y<sup>a</sup> is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and  
C(O);

5  $Z^a$  is absent or selected from H, a C<sub>3</sub>-13 carbocyclic  
 residue substituted with 0-5 R<sup>C</sup> and a 5-14  
 membered heterocyclic system containing from 1-4  
 heteroatoms selected from the group consisting of  
 N, O, and S substituted with 0-5 R<sup>C</sup>;

$R^a$ , at each occurrence, is independently selected from  
 H, C<sub>1</sub>-4 alkyl, phenyl or benzyl;

10  $R^{a'}$ , at each occurrence, is independently selected from  
 H, C<sub>1</sub>-4 alkyl, phenyl or benzyl;

15 alternatively,  $R^a$  and  $R^{a'}$  taken together with the  
 nitrogen to which they are attached form a 5 or 6  
 membered ring containing from 0-1 additional  
 heteroatoms selected from the group consisting of  
 N, O, and S;

20  $R^b$ , at each occurrence, is independently selected from  
 C<sub>1</sub>-6 alkyl, OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  
 NR<sup>a</sup>R<sup>a'</sup>, C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, C(O)NR<sup>a</sup>R<sup>a'</sup>, S(O)<sub>2</sub>NR<sup>a</sup>R<sup>a'</sup>,  
 S(O)<sub>p</sub>R<sup>a</sup>, CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;

25 R<sup>C</sup>, at each occurrence, is independently selected from  
 C<sub>1</sub>-6 alkyl, OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  
 NR<sup>a</sup>R<sup>a'</sup>, C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, C(O)NR<sup>a</sup>R<sup>a'</sup>, NR<sup>a</sup>S(O)<sub>2</sub>R<sup>a'</sup>,  
 S(O)<sub>2</sub>NR<sup>a</sup>R<sup>a'</sup>, S(O)<sub>p</sub>R<sup>a</sup>, CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14  
 membered heterocyclic system containing from 1-4  
 heteroatoms selected from the group consisting of  
 30 N, O, and S;

$R^3$  is selected from the formula:



35 wherein:

- U is absent or is selected from: O, NR<sup>a</sup>, C(O), C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>, NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>, and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;
- X is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;
- Y is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);
- Z is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5 R<sup>b</sup> and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>b</sup>;
- U<sup>a</sup> is absent or is selected from: H, O, NR<sup>a</sup>, C(O), C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>, NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>, and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;
- X<sup>a</sup> is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;
- Y<sup>a</sup> is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);
- Z<sup>a</sup> is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5 R<sup>c</sup> and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>c</sup>;

$R^a$ , at each occurrence, is independently selected from  
H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

5  $R^{a'}$ , at each occurrence, is independently selected from  
H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

alternatively,  $R^a$  and  $R^{a'}$  taken together with the  
nitrogen to which they are attached form a 5 or 6  
membered ring containing from 0-1 additional  
10 heteroatoms selected from the group consisting of  
N, O, and S;

$R^b$ , at each occurrence, is independently selected from  
C<sub>1-6</sub> alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  
15  $NR^aR^{a'}$ , C(O) $R^a$ , C(O) $OR^a$ , C(O) $NR^aR^{a'}$ , S(O)<sub>2</sub> $NR^aR^{a'}$ ,  
S(O)<sub>p</sub> $R^a$ , CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;

$R^c$ , at each occurrence, is independently selected from  
C<sub>1-6</sub> alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  
20  $NR^aR^{a'}$ , C(O) $R^a$ , C(O) $OR^a$ , C(O) $NR^aR^{a'}$ ,  $NR^aS(O)_2R^{a'}$ ,  
S(O)<sub>2</sub> $NR^aR^{a'}$ , S(O)<sub>p</sub> $R^a$ , CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14  
membered heterocyclic system containing from 1-4  
heteroatoms selected from the group consisting of  
N, O, and S;

25  $R^4$  is selected from:  
hydrogen,

$R^5$  and  $R^6$  are independently selected from:

30  $U-X-Y-Z-U^a-X^a-Y^a-Z^a$

wherein:

35 U is absent or is selected from: O,  $NR^a$ , C(O), C(O)O,  
OC(O), C(O) $NR^a$ ,  $NR^aC(O)$ , OC(O)O, OC(O) $NR^a$ ,

$\text{NR}^a\text{C}(\text{O})\text{O}$ ,  $\text{NR}^a\text{C}(\text{O})\text{NR}^a$ ,  $\text{S}(\text{O})_p$ ,  $\text{S}(\text{O})_p\text{NR}^a$ ,  $\text{NR}^a\text{S}(\text{O})_p$ ,  
and  $\text{NR}^a\text{SO}_2\text{NR}^a$ ;

5 X is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

Y is absent or selected from H, O,  $\text{NR}^a$ ,  $\text{S}(\text{O})_p$ , and  $\text{C}(\text{O})$ ;

10 Z is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5  $\text{R}^b$  and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $\text{R}^b$ ;

15  $\text{U}^a$  is absent or is selected from: H, O,  $\text{NR}^a$ ,  $\text{C}(\text{O})$ ,  $\text{C}(\text{O})\text{O}$ ,  $\text{OC}(\text{O})$ ,  $\text{C}(\text{O})\text{NR}^a$ ,  $\text{NR}^a\text{C}(\text{O})$ ,  $\text{OC}(\text{O})\text{O}$ ,  $\text{OC}(\text{O})\text{NR}^a$ ,  $\text{NR}^a\text{C}(\text{O})\text{O}$ ,  $\text{NR}^a\text{C}(\text{O})\text{NR}^a$ ,  $\text{S}(\text{O})_p$ ,  $\text{S}(\text{O})_p\text{NR}^a$ ,  $\text{NR}^a\text{S}(\text{O})_p$ , and  $\text{NR}^a\text{SO}_2\text{NR}^a$ ;

20  $\text{X}^a$  is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

25  $\text{Y}^a$  is absent or selected from H, O,  $\text{NR}^a$ ,  $\text{S}(\text{O})_p$ , and  $\text{C}(\text{O})$ ;

30  $\text{Z}^a$  is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5  $\text{R}^c$  and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $\text{R}^c$ ;

35  $\text{R}^a$ , at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

$R^{a'}$ , at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

alternatively,  $R^a$  and  $R^{a'}$  taken together with the  
 5 nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

10  $R^b$ , at each occurrence, is independently selected from C<sub>1-6</sub> alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ ,  $C(O)R^a$ ,  $C(O)OR^a$ ,  $C(O)NR^aR^{a'}$ ,  $S(O)_2NR^aR^{a'}$ ,  $S(O)_pR^a$ , CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;

15  $R^c$ , at each occurrence, is independently selected from C<sub>1-6</sub> alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ ,  $C(O)R^a$ ,  $C(O)OR^a$ ,  $C(O)NR^aR^{a'}$ ,  $NR^aS(O)_2R^{a'}$ ,  $S(O)_2NR^aR^{a'}$ ,  $S(O)_pR^a$ , CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from  
 20 the group consisting of N, O, and S;

$R^7$  is selected from: C<sub>1</sub>-C<sub>10</sub> alkyl, alkylaryl, and common prodrug derivatives

25 A is selected from:  
 SO<sub>2</sub>, SO, CHOH;

E is  $(CR^8R^9)_m-W-(CR^8R^9)_n$ ,

wherein W can be absent or selected from:  
 30 CH<sub>2</sub>, CO, O,  $S(O)_m$  and  $NR^{10}$ ,  
 m is 0-2,  
 n is 0-2;

with the proviso that when W is O, S or  $NR^{10}$  then  
 35 m must not be 0;

$R^8$  and  $R^9$  is independently selected from:

- H,
- C1-C8 alkyl substituted with 0-5  $R^b$ ,
- C1-C8 alkenyl,
- 5 C1-C8 alkylaryl substituted with 0-5  $R^b$ ,
- C3-13 carbocyclic residue substituted with 0-5  $R^b$ ,
- 5-14 membered heterocyclic system containing from
- 1-4 heteroatoms selected from the group
- consisting of N, O, and S substituted with 0-5  $R^b$ ;
- 10 amino,
- C1-C8 alkyl- $NR^{10}$
- hydroxyl,

$R^8$  and  $R^9$  can also form a ring interrupted by  $NR^{10}$ , O,  
15 S(O)<sub>m</sub>.

$R^{10}$  is selected from:

- hydrogen,
- C1-C8 alkyl
- 20 C1-C8 alkylaryl

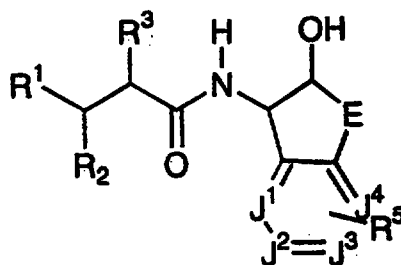
$J^1$ ,  $J^2$ ,  $J^3$ ,  $J^4$  are independently selected from:

CH, or N.

with no more than two N in the cycle.

25

[4] There is provided by this invention preferred  
compounds of the formula (II):



30

Formula II

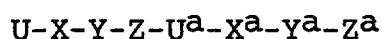
or a pharmaceutically acceptable salt form or a stereoisomer thereof, wherein:

5  $R^1$  is selected from:

-CO<sub>2</sub>H, -C(O)NHOH, -C(O)NHO<sup>7</sup>, -SH, -CH<sub>2</sub>CO<sub>2</sub>R<sup>7</sup>,  
and common prodrug derivatives;

$R^2$  is selected from the formula:

10



wherein:

15 U is absent or is selected from: O, NR<sup>a</sup>, C(O), C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>, NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>, and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;

20 X is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

Y is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);

25

Z is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5 R<sup>b</sup> and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>b</sup>;

30

U<sup>a</sup> is absent or is selected from: H, O, NR<sup>a</sup>, C(O), C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>, NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>, and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;

35

X<sup>a</sup> is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

5        Y<sup>a</sup> is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and  
C(O);

10        Z<sup>a</sup> is absent or selected from H, a C<sub>3-13</sub> carbocyclic  
residue substituted with 0-5 R<sup>C</sup> and a 5-14  
membered heterocyclic system containing from 1-4  
heteroatoms selected from the group consisting of  
N, O, and S substituted with 0-5 R<sup>C</sup>;

15        R<sup>a</sup>, at each occurrence, is independently selected from  
H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

R<sup>a'</sup>, at each occurrence, is independently selected from  
H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

20        alternatively, R<sup>a</sup> and R<sup>a'</sup> taken together with the  
nitrogen to which they are attached form a 5 or 6  
membered ring containing from 0-1 additional  
heteroatoms selected from the group consisting of  
N, O, and S;

25        R<sup>b</sup>, at each occurrence, is independently selected from  
C<sub>1-6</sub> alkyl, OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  
NR<sup>a</sup>R<sup>a'</sup>, C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, C(O)NR<sup>a</sup>R<sup>a'</sup>, S(O)<sub>2</sub>NR<sup>a</sup>R<sup>a'</sup>,  
S(O)<sub>p</sub>R<sup>a</sup>, CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;

30        R<sup>C</sup>, at each occurrence, is independently selected from  
C<sub>1-6</sub> alkyl, OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  
NR<sup>a</sup>R<sup>a'</sup>, C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, C(O)NR<sup>a</sup>R<sup>a'</sup>, NR<sup>a</sup>S(O)<sub>2</sub>R<sup>a'</sup>,  
S(O)<sub>2</sub>NR<sup>a</sup>R<sup>a'</sup>, S(O)<sub>p</sub>R<sup>a</sup>, CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14  
35        membered heterocyclic system containing from 1-4  
heteroatoms selected from the group consisting of  
N, O, and S;

R<sup>3</sup> is selected from the formula:



5

wherein:

U is absent or is selected from: O, NR<sup>a</sup>, C(O), C(O)O,  
OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>,  
10 NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>,  
and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;

X is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub>  
alkenylene, C<sub>2-10</sub> alkynylene;

15

Y is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and  
C(O);

Z is absent or selected from H, a C<sub>3-13</sub> carbocyclic  
20 residue substituted with 0-5 R<sup>b</sup> and a 5-14  
membered heterocyclic system containing from 1-4  
heteroatoms selected from the group consisting of  
N, O, and S substituted with 0-5 R<sup>b</sup>;

25 U<sup>a</sup> is absent or is selected from: H, O, NR<sup>a</sup>, C(O),  
C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>,  
NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>,  
and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;

30 X<sup>a</sup> is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub>  
alkenylene, C<sub>2-10</sub> alkynylene;

Y<sup>a</sup> is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and  
C(O);

35

$Z^a$  is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5  $R^C$  and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $R^C$ ;

$R^a$ , at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

$R^{a'}$ , at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

alternatively,  $R^a$  and  $R^{a'}$  taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

$R^b$ , at each occurrence, is independently selected from C<sub>1-6</sub> alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ , C(O) $R^a$ , C(O) $OR^a$ , C(O) $NR^aR^{a'}$ , S(O)<sub>2</sub> $NR^aR^{a'}$ , S(O)<sub>p</sub> $R^a$ , CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;

$R^C$ , at each occurrence, is independently selected from C<sub>1-6</sub> alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ , C(O) $R^a$ , C(O) $OR^a$ , C(O) $NR^aR^{a'}$ ,  $NR^aS(O)_2R^{a'}$ , S(O)<sub>2</sub> $NR^aR^{a'}$ , S(O)<sub>p</sub> $R^a$ , CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;

$R^5$  is selected from:



wherein:

- U is absent or is selected from: O,  $\text{NR}^a$ ,  $\text{C}(\text{O})$ ,  $\text{C}(\text{O})\text{O}$ ,  $\text{OC}(\text{O})$ ,  $\text{C}(\text{O})\text{NR}^a$ ,  $\text{NR}^a\text{C}(\text{O})$ ,  $\text{OC}(\text{O})\text{O}$ ,  $\text{OC}(\text{O})\text{NR}^a$ ,  $\text{NR}^a\text{C}(\text{O})\text{O}$ ,  $\text{NR}^a\text{C}(\text{O})\text{NR}^a$ ,  $\text{S}(\text{O})_p$ ,  $\text{S}(\text{O})_p\text{NR}^a$ ,  $\text{NR}^a\text{S}(\text{O})_p$ , and  $\text{NR}^a\text{SO}_2\text{NR}^a$ ;
- 5 X is absent or selected from H,  $\text{C}_1$ -10 alkylene,  $\text{C}_2$ -10 alkenylene,  $\text{C}_2$ -10 alkynylene;
- Y is absent or selected from H, O,  $\text{NR}^a$ ,  $\text{S}(\text{O})_p$ , and  
10  $\text{C}(\text{O})$ ;
- Z is absent or selected from H, a  $\text{C}_3$ -13 carbocyclic residue substituted with 0-5  $\text{R}^b$  and a 5-14 membered heterocyclic system containing from 1-4  
15 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $\text{R}^b$ ;
- $\text{U}^a$  is absent or is selected from: H, O,  $\text{NR}^a$ ,  $\text{C}(\text{O})$ ,  $\text{C}(\text{O})\text{O}$ ,  $\text{OC}(\text{O})$ ,  $\text{C}(\text{O})\text{NR}^a$ ,  $\text{NR}^a\text{C}(\text{O})$ ,  $\text{OC}(\text{O})\text{O}$ ,  $\text{OC}(\text{O})\text{NR}^a$ ,  
20  $\text{NR}^a\text{C}(\text{O})\text{O}$ ,  $\text{NR}^a\text{C}(\text{O})\text{NR}^a$ ,  $\text{S}(\text{O})_p$ ,  $\text{S}(\text{O})_p\text{NR}^a$ ,  $\text{NR}^a\text{S}(\text{O})_p$ , and  $\text{NR}^a\text{SO}_2\text{NR}^a$ ;
- $\text{X}^a$  is absent or selected from H,  $\text{C}_1$ -10 alkylene,  $\text{C}_2$ -10 alkenylene,  $\text{C}_2$ -10 alkynylene;
- 25  $\text{Y}^a$  is absent or selected from H, O,  $\text{NR}^a$ ,  $\text{S}(\text{O})_p$ , and  $\text{C}(\text{O})$ ;
- $\text{Z}^a$  is absent or selected from H, a  $\text{C}_3$ -13 carbocyclic  
30 residue substituted with 0-5  $\text{R}^c$  and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $\text{R}^c$ ;
- 35  $\text{R}^a$ , at each occurrence, is independently selected from H,  $\text{C}_1$ -4 alkyl, phenyl or benzyl;

$R^{a'}$ , at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

5 alternatively,  $R^a$  and  $R^{a'}$  taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

10

$R^b$ , at each occurrence, is independently selected from C<sub>1-6</sub> alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ , C(O) $R^a$ , C(O) $OR^a$ , C(O) $NR^aR^{a'}$ , S(O)<sub>2</sub> $NR^aR^{a'}$ , S(O)<sub>p</sub> $R^a$ , CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;

15

$R^c$ , at each occurrence, is independently selected from C<sub>1-6</sub> alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ , C(O) $R^a$ , C(O) $OR^a$ , C(O) $NR^aR^{a'}$ ,  $NR^aS(O)_2R^{a'}$ , S(O)<sub>2</sub> $NR^aR^{a'}$ , S(O)<sub>p</sub> $R^a$ , CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14 membered heterocyclic

20 system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;

$R^7$  is selected from: C<sub>1-C<sub>10</sub></sub> alkyl, alkylaryl, and common prodrug derivatives

25

E is (CR<sup>8</sup>R<sup>9</sup>)<sub>m</sub>-W-(CR<sup>8</sup>R<sup>9</sup>)<sub>n</sub>,

wherein W can be absent or selected from:

CH<sub>2</sub>, CO, O, S(O)<sub>m</sub> and NR<sup>10</sup>,

m is 0-2,

30

n is 0-2;

with the proviso that when W is O, S or NR<sup>10</sup> then m must not be 0;

35  $R^8$  and  $R^9$  is independently selected from:

H,

- C1-C8 alkyl substituted with 0-5 R<sup>b</sup>,  
C1-C8 alkenyl,  
C1-C8 alkylaryl substituted with 0-5 R<sup>b</sup>,  
C3-13 carbocyclic residue substituted with 0-5 R<sup>b</sup>,  
5 5-14 membered heterocyclic system containing from  
1-4 heteroatoms selected from the group  
consisting of N, O, and S substituted with 0-5 R<sup>b</sup>;  
amino,  
C1-C8 alkyl-NR<sup>10</sup>  
10 hydroxyl,

R<sup>8</sup> and R<sup>9</sup> can also form a ring interrupted by NR<sup>10</sup>, O,  
S(O)<sub>m</sub>.

- 15 R<sup>10</sup> is selected from:  
hydrogen,  
C1-C8 alkyl  
C1-C8 alkylaryl

- 20 J<sup>1</sup>, J<sup>2</sup>, J<sup>3</sup>, J<sup>4</sup> are independently selected from:  
CH, or N.  
with no more than two N in the cycle.

- [5] Preferred compounds of the present invention  
25 include compounds of formula (II) wherein:

- R<sup>1</sup> is selected from:  
-C(O)NHOH,  
and common prodrug derivatives;

- 30 R<sup>2</sup> is selected from the formula:



- 35 wherein:

- U is absent or is selected from: O, NR<sup>a</sup>, C(O), C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>, NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>, and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;
- 5 X is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;
- Y is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and  
10 C(O);
- Z is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5 R<sup>b</sup> and a 5-14 membered heterocyclic system containing from 1-4  
15 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>b</sup>;
- U<sup>a</sup> is absent or is selected from: H, O, NR<sup>a</sup>, C(O), C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>,  
20 NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>, and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;
- X<sup>a</sup> is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;
- 25 Y<sup>a</sup> is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);
- Z<sup>a</sup> is absent or selected from H, a C<sub>3-13</sub> carbocyclic  
30 residue substituted with 0-5 R<sup>c</sup> and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>c</sup>;
- 35 R<sup>a</sup>, at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

$R^{a'}$ , at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

- 5 alternatively,  $R^a$  and  $R^{a'}$  taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

10

$R^b$ , at each occurrence, is independently selected from C<sub>1-6</sub> alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ , C(O) $R^a$ , C(O) $OR^a$ , C(O) $NR^aR^{a'}$ , S(O)<sub>2</sub> $NR^aR^{a'}$ , S(O)<sub>p</sub> $R^a$ , CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;

15

$R^c$ , at each occurrence, is independently selected from C<sub>1-6</sub> alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ , C(O) $R^a$ , C(O) $OR^a$ , C(O) $NR^aR^{a'}$ ,  $NR^aS(O)_2R^{a'}$ , S(O)<sub>2</sub> $NR^aR^{a'}$ , S(O)<sub>p</sub> $R^a$ , CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;

20

$R^3$  is selected from the formula:

25



wherein:

- 30 U is absent or is selected from: O,  $NR^a$ , C(O), C(O)O, OC(O), C(O) $NR^a$ ,  $NR^aC(O)$ , OC(O)O, OC(O) $NR^a$ ,  $NR^aC(O)O$ ,  $NR^aC(O)NR^a$ , S(O)<sub>p</sub>, S(O)<sub>p</sub> $NR^a$ ,  $NR^aS(O)_p$ , and  $NR^aSO_2NR^a$ ;
- 35 X is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

Y is absent or selected from H, O,  $\text{NR}^a$ ,  $\text{S(O)}_p$ , and  $\text{C(O)}$ ;

5 Z is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5  $\text{R}^b$  and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $\text{R}^b$ ;

10

$\text{U}^a$  is absent or is selected from: H, O,  $\text{NR}^a$ ,  $\text{C(O)}$ ,  $\text{C(O)O}$ ,  $\text{OC(O)}$ ,  $\text{C(O)NR}^a$ ,  $\text{NR}^a\text{C(O)}$ ,  $\text{OC(O)O}$ ,  $\text{OC(O)NR}^a$ ,  $\text{NR}^a\text{C(O)O}$ ,  $\text{NR}^a\text{C(O)NR}^a$ ,  $\text{S(O)}_p$ ,  $\text{S(O)}_p\text{NR}^a$ ,  $\text{NR}^a\text{S(O)}_p$ , and  $\text{NR}^a\text{SO}_2\text{NR}^a$ ;

15

$\text{X}^a$  is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

20

$\text{Y}^a$  is absent or selected from H, O,  $\text{NR}^a$ ,  $\text{S(O)}_p$ , and  $\text{C(O)}$ ;

25

$\text{Z}^a$  is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5  $\text{R}^c$  and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $\text{R}^c$ ;

30

$\text{R}^a$ , at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

$\text{R}^{a'}$ , at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

35

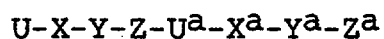
alternatively,  $\text{R}^a$  and  $\text{R}^{a'}$  taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional

heteroatoms selected from the group consisting of N, O, and S;

5  $R^b$ , at each occurrence, is independently selected from C<sub>1</sub>-6 alkyl, OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>, NR<sup>a</sup>R<sup>a'</sup>, C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, C(O)NR<sup>a</sup>R<sup>a'</sup>, S(O)<sub>2</sub>NR<sup>a</sup>R<sup>a'</sup>, S(O)<sub>p</sub>R<sup>a</sup>, CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;

10  $R^c$ , at each occurrence, is independently selected from C<sub>1</sub>-6 alkyl, OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>, NR<sup>a</sup>R<sup>a'</sup>, C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, C(O)NR<sup>a</sup>R<sup>a'</sup>, NR<sup>a</sup>S(O)<sub>2</sub>R<sup>a'</sup>, S(O)<sub>2</sub>NR<sup>a</sup>R<sup>a'</sup>, S(O)<sub>p</sub>R<sup>a</sup>, CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of  
15 N, O, and S;

$R^5$  is selected from:



20 wherein:

U is absent or is selected from: O, NR<sup>a</sup>, C(O), C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>, NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>,  
25 and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;

X is absent or selected from H, C<sub>1</sub>-10 alkylene, C<sub>2</sub>-10 alkenylene, C<sub>2</sub>-10 alkynylene;

30 Y is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);

Z is absent or selected from H, a C<sub>3</sub>-13 carbocyclic residue substituted with 0-5  $R^b$  and a 5-14  
35 membered heterocyclic system containing from 1-4

heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>b</sup>;

- U<sup>a</sup> is absent or is selected from: H, O, NR<sup>a</sup>, C(O),  
 5 C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>,  
 NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>,  
 and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;
- X<sup>a</sup> is absent or selected from H, C<sub>1</sub>-10 alkylene, C<sub>2</sub>-10  
 10 alkenylene, C<sub>2</sub>-10 alkynylene;
- Y<sup>a</sup> is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and  
 C(O);
- 15 Z<sup>a</sup> is absent or selected from H, a C<sub>3</sub>-13 carbocyclic  
 residue substituted with 0-5 R<sup>C</sup> and a 5-14  
 membered heterocyclic system containing from 1-4  
 heteroatoms selected from the group consisting of  
 N, O, and S substituted with 0-5 R<sup>C</sup>;
- 20 R<sup>a</sup>, at each occurrence, is independently selected from  
 H, C<sub>1</sub>-4 alkyl, phenyl or benzyl;
- R<sup>a'</sup>, at each occurrence, is independently selected from  
 25 H, C<sub>1</sub>-4 alkyl, phenyl or benzyl;
- alternatively, R<sup>a</sup> and R<sup>a'</sup> taken together with the  
 nitrogen to which they are attached form a 5 or 6  
 membered ring containing from 0-1 additional  
 30 heteroatoms selected from the group consisting of  
 N, O, and S;
- R<sup>b</sup>, at each occurrence, is independently selected from  
 C<sub>1</sub>-6 alkyl, OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  
 35 NR<sup>a</sup>RA', C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, C(O)NR<sup>a</sup>RA', S(O)<sub>2</sub>NR<sup>a</sup>RA',  
 S(O)<sub>p</sub>RA', CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;

$R^C$ , at each occurrence, is independently selected from  
C1-6 alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN,  $NO_2$ ,  $NR^aR^a'$ ,  
C(O) $R^a$ , C(O) $OR^a$ , C(O) $NR^aR^a'$ ,  $NR^aS(O)_2R^a'$ ,  $S(O)_2NR^aR^a'$ ,  
5  $S(O)_pR^a$ ,  $CF_3$ ,  $CF_2CF_3$ , and a 5-14 membered heterocyclic  
system containing from 1-4 heteroatoms selected from  
the group consisting of N, O, and S;

$R^7$  is selected from: C<sub>1</sub>-C<sub>10</sub> alkyl, alkylaryl, and common  
10 prodrug derivatives

E is  $(CR^8R^9)_m-W-(CR^8R^9)_n$ ,

wherein W can be absent or selected from:

$CH_2$ , CO, O,  $S(O)_m$  and  $NR^{10}$ ,

15 m is 0-2,

n is 0-2;

with the proviso that when W is O, S or  $NR^{10}$  then  
m must not be 0;

20

$R^8$  and  $R^9$  is independently selected from:

H,

C1-C8 alkyl substituted with 0-5  $R^b$ ,

C1-C8 alkenyl,

25 C1-C8 alkylaryl substituted with 0-5  $R^b$ ,

C3-13 carbocyclic residue substituted with 0-5  $R^b$ ,

5-14 membered heterocyclic system containing from  
1-4 heteroatoms selected from the group

consisting of N, O, and S substituted with 0-5  $R^b$ ;

30 amino,

C1-C8 alkyl- $NR^{10}$

hydroxyl,

$R^8$  and  $R^9$  can also form a ring interrupted by  $NR^{10}$ , O,  
35  $S(O)_m$ .

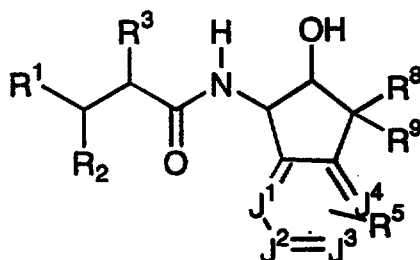
R<sup>10</sup> is selected from:  
 hydrogen,  
 C1-C8 alkyl  
 C1-C8 alkylaryl

5

J<sup>1</sup>, J<sup>2</sup>, J<sup>3</sup>, J<sup>4</sup> are independently selected from:  
 CH, or N.  
 with no more than two N in the cycle.

10

[6] More preferred compounds of the present invention  
 are compounds of the formula (III):



15

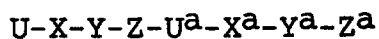
Formula III

or a pharmaceutically acceptable salt form or a  
 stereoisomer thereof, wherein:

20

R<sup>1</sup> is selected from:  
 -C(O)NHOH  
 and common prodrug derivatives;

25 R<sup>2</sup> is selected from the formula:



wherein:

30

- U is absent or is selected from: O,  $\text{NR}^a$ ,  $\text{C}(\text{O})$ ,  $\text{C}(\text{O})\text{O}$ ,  $\text{OC}(\text{O})$ ,  $\text{C}(\text{O})\text{NR}^a$ ,  $\text{NR}^a\text{C}(\text{O})$ ,  $\text{OC}(\text{O})\text{O}$ ,  $\text{OC}(\text{O})\text{NR}^a$ ,  $\text{NR}^a\text{C}(\text{O})\text{O}$ ,  $\text{NR}^a\text{C}(\text{O})\text{NR}^a$ ,  $\text{S}(\text{O})_p$ ,  $\text{S}(\text{O})_p\text{NR}^a$ ,  $\text{NR}^a\text{S}(\text{O})_p$ , and  $\text{NR}^a\text{SO}_2\text{NR}^a$ ;
- 5 X is absent or selected from H,  $\text{C}_1$ -10 alkylene,  $\text{C}_2$ -10 alkenylene,  $\text{C}_2$ -10 alkynylene;
- Y is absent or selected from H, O,  $\text{NR}^a$ ,  $\text{S}(\text{O})_p$ , and  
10  $\text{C}(\text{O})$ ;
- Z is absent or selected from H, a  $\text{C}_3$ -13 carbocyclic residue substituted with 0-5  $\text{R}^b$  and a 5-14 membered heterocyclic system containing from 1-4  
15 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $\text{R}^b$ ;
- $\text{U}^a$  is absent or is selected from: H, O,  $\text{NR}^a$ ,  $\text{C}(\text{O})$ ,  $\text{C}(\text{O})\text{O}$ ,  $\text{OC}(\text{O})$ ,  $\text{C}(\text{O})\text{NR}^a$ ,  $\text{NR}^a\text{C}(\text{O})$ ,  $\text{OC}(\text{O})\text{O}$ ,  $\text{OC}(\text{O})\text{NR}^a$ ,  
20  $\text{NR}^a\text{C}(\text{O})\text{O}$ ,  $\text{NR}^a\text{C}(\text{O})\text{NR}^a$ ,  $\text{S}(\text{O})_p$ ,  $\text{S}(\text{O})_p\text{NR}^a$ ,  $\text{NR}^a\text{S}(\text{O})_p$ , and  $\text{NR}^a\text{SO}_2\text{NR}^a$ ;
- $\text{X}^a$  is absent or selected from H,  $\text{C}_1$ -10 alkylene,  $\text{C}_2$ -10 alkenylene,  $\text{C}_2$ -10 alkynylene;
- 25  $\text{Y}^a$  is absent or selected from H, O,  $\text{NR}^a$ ,  $\text{S}(\text{O})_p$ , and  $\text{C}(\text{O})$ ;
- $\text{Z}^a$  is absent or selected from H, a  $\text{C}_3$ -13 carbocyclic  
30 residue substituted with 0-5  $\text{R}^c$  and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $\text{R}^c$ ;
- 35  $\text{R}^a$ , at each occurrence, is independently selected from H,  $\text{C}_1$ -4 alkyl, phenyl or benzyl;

$R^{a'}$ , at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

- 5 alternatively,  $R^a$  and  $R^{a'}$  taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

10

$R^b$ , at each occurrence, is independently selected from C<sub>1-6</sub> alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ , C(O) $R^a$ , C(O) $OR^a$ , C(O) $NR^aR^{a'}$ , S(O)<sub>2</sub> $NR^aR^{a'}$ , S(O)<sub>p</sub> $R^a$ , CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;

15

$R^c$ , at each occurrence, is independently selected from C<sub>1-6</sub> alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ , C(O) $R^a$ , C(O) $OR^a$ , C(O) $NR^aR^{a'}$ ,  $NR^aS(O)_2R^{a'}$ , S(O)<sub>2</sub> $NR^aR^{a'}$ , S(O)<sub>p</sub> $R^a$ , CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;

20

$R^3$  is selected from the formula:

25



wherein:

- 30 U is absent or is selected from: O,  $NR^a$ , C(O), C(O)O, OC(O), C(O) $NR^a$ ,  $NR^aC(O)$ , OC(O)O, OC(O) $NR^a$ ,  $NR^aC(O)O$ ,  $NR^aC(O)NR^a$ , S(O)<sub>p</sub>, S(O)<sub>p</sub> $NR^a$ ,  $NR^aS(O)_p$ , and  $NR^aSO_2NR^a$ ;

- 35 X is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

Y is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);

5 Z is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5 R<sup>b</sup> and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>b</sup>;

10

U<sup>a</sup> is absent or is selected from: H, O, NR<sup>a</sup>, C(O), C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>, NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>, and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;

15

X<sup>a</sup> is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

20 Y<sup>a</sup> is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);

25 Z<sup>a</sup> is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5 R<sup>c</sup> and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>c</sup>;

30 R<sup>a</sup>, at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

30

R<sup>a'</sup>, at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

35 alternatively, R<sup>a</sup> and R<sup>a'</sup> taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional

heteroatoms selected from the group consisting of N, O, and S;

5  $R^b$ , at each occurrence, is independently selected from C<sub>1-6</sub> alkyl, OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>, NR<sup>a</sup>R<sup>a'</sup>, C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, C(O)NR<sup>a</sup>R<sup>a'</sup>, S(O)<sub>2</sub>NR<sup>a</sup>R<sup>a'</sup>, S(O)<sub>p</sub>R<sup>a</sup>, CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;

10  $R^c$ , at each occurrence, is independently selected from C<sub>1-6</sub> alkyl, OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>, NR<sup>a</sup>R<sup>a'</sup>, C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, C(O)NR<sup>a</sup>R<sup>a'</sup>, NR<sup>a</sup>S(O)<sub>2</sub>R<sup>a'</sup>, S(O)<sub>2</sub>NR<sup>a</sup>R<sup>a'</sup>, S(O)<sub>p</sub>R<sup>a</sup>, CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of  
15 N, O, and S;

$R^5$  is selected from:

20 U-X-Y-Z-U<sup>a</sup>-X<sup>a</sup>-Y<sup>a</sup>-Z<sup>a</sup>

wherein:

25 U is absent or is selected from: O, NR<sup>a</sup>, C(O), C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>, NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>, and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;

30 X is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

Y is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);

35 Z is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5  $R^b$  and a 5-14

membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>b</sup>;

- 5 U<sup>a</sup> is absent or is selected from: H, O, NR<sup>a</sup>, C(O), C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>, NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>, and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;
- 10 X<sup>a</sup> is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;
- Y<sup>a</sup> is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);
- 15 Z<sup>a</sup> is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5 R<sup>c</sup> and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of
- 20 N, O, and S substituted with 0-5 R<sup>c</sup>;
- R<sup>a</sup>, at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;
- 25 R<sup>a'</sup>, at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;
- alternatively, R<sup>a</sup> and R<sup>a'</sup> taken together with the nitrogen to which they are attached form a 5 or 6
- 30 membered ring containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;
- R<sup>b</sup>, at each occurrence, is independently selected from
- 35 C<sub>1-6</sub> alkyl, OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>,

NR<sup>a</sup>RA', C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, C(O)NR<sup>a</sup>RA', S(O)<sub>2</sub>NR<sup>a</sup>RA',  
S(O)<sub>p</sub>R<sup>a</sup>, CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;

R<sup>C</sup>, at each occurrence, is independently selected from  
5 C<sub>1-6</sub> alkyl, OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>, NR<sup>a</sup>RA',  
C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, C(O)NR<sup>a</sup>RA', NR<sup>a</sup>S(O)<sub>2</sub>R<sup>a</sup>, S(O)<sub>2</sub>NR<sup>a</sup>RA',  
S(O)<sub>p</sub>R<sup>a</sup>, CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14 membered heterocyclic  
system containing from 1-4 heteroatoms selected from  
the group consisting of N, O, and S;

10

R<sup>8</sup> and R<sup>9</sup> is independently selected from:

H,

C<sub>1-8</sub> alkyl substituted with 0-5 R<sup>b</sup>,

C<sub>1-8</sub> alkenyl,

15

C<sub>1-8</sub> alkylaryl substituted with 0-5 R<sup>b</sup>,

C<sub>3-13</sub> carbocyclic residue substituted with 0-5 R<sup>b</sup>,

5-14 membered heterocyclic system containing from

1-4 heteroatoms selected from the group

consisting of N, O, and S substituted with 0-5 R<sup>b</sup>;

20

amino, C<sub>1-8</sub> alkyl-NR<sup>10</sup>

hydroxyl,

R<sup>8</sup> and R<sup>9</sup> can also form a ring interrupted by NR<sup>10</sup>, O,  
S(O)<sub>m</sub>.

25

R<sup>10</sup> is selected from:

hydrogen,

C<sub>1-8</sub> alkyl

C<sub>1-8</sub> alkylaryl

30

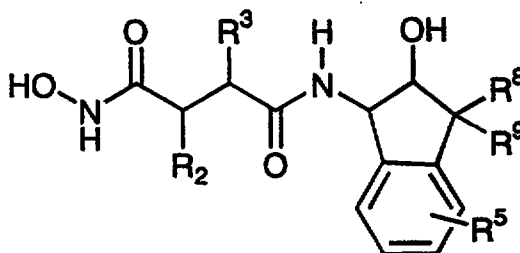
J<sup>1</sup>, J<sup>2</sup>, J<sup>3</sup>, J<sup>4</sup> are independently selected from:

CH, or N.

with no more than two N in the cycle.

35

[7] The more preferred compounds provided by this invention are compounds of the formula (IV):



Formula IV

or a pharmaceutically acceptable salt form or a stereoisomer thereof, wherein:

$R^2$  is selected from the formula:



wherein:

U is absent or is selected from: O,  $NR^a$ ,  $C(O)$ ,  $C(O)O$ ,  $OC(O)$ ,  $C(O)NR^a$ ,  $NR^aC(O)$ ,  $OC(O)O$ ,  $OC(O)NR^a$ ,  $NR^aC(O)O$ ,  $NR^aC(O)NR^a$ ,  $S(O)_p$ ,  $S(O)_pNR^a$ ,  $NR^aS(O)_p$ , and  $NR^aSO_2NR^a$ ;

X is absent or selected from H,  $C_{1-10}$  alkylene,  $C_{2-10}$  alkenylene,  $C_{2-10}$  alkynylene;

Y is absent or selected from H, O,  $NR^a$ ,  $S(O)_p$ , and  $C(O)$ ;

Z is absent or selected from H, a  $C_{3-13}$  carbocyclic residue substituted with 0-5  $R^b$  and a 5-14 membered heterocyclic system containing from 1-4

heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>b</sup>;

5 U<sup>a</sup> is absent or is selected from: H, O, NR<sup>a</sup>, C(O), C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>, NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>, and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;

10 X<sup>a</sup> is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

Y<sup>a</sup> is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);

15 Z<sup>a</sup> is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5 R<sup>c</sup> and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>c</sup>;

20 R<sup>a</sup>, at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

25 R<sup>a'</sup>, at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

alternatively, R<sup>a</sup> and R<sup>a'</sup> taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional  
30 heteroatoms selected from the group consisting of N, O, and S;

R<sup>b</sup>, at each occurrence, is independently selected from  
35 C<sub>1-6</sub> alkyl, OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>, NR<sup>a</sup>RA', C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, C(O)NR<sup>a</sup>RA', S(O)<sub>2</sub>NR<sup>a</sup>RA', S(O)<sub>p</sub>RA', CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;

$R^C$ , at each occurrence, is independently selected from  
 C<sub>1-6</sub> alkyl, OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  
 NR<sup>a</sup>RA', C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, C(O)NR<sup>a</sup>RA', NR<sup>a</sup>S(O)<sub>2</sub>RA',  
 5 S(O)<sub>2</sub>NR<sup>a</sup>RA', S(O)<sub>p</sub>R<sup>a</sup>, CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14  
 membered heterocyclic system containing from 1-4  
 heteroatoms selected from the group consisting of  
 N, O, and S;

10  $R^3$  is selected from the formula:



wherein:

15

U is absent or is selected from: O, NR<sup>a</sup>, C(O), C(O)O,  
 OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>,  
 NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>,  
 and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;

20

X is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub>  
 alkenylene, C<sub>2-10</sub> alkynylene;

25

Y is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and  
 C(O);

30

Z is absent or selected from H, a C<sub>3-13</sub> carbocyclic  
 residue substituted with 0-5 R<sup>b</sup> and a 5-14  
 membered heterocyclic system containing from 1-4  
 heteroatoms selected from the group consisting of  
 N, O, and S substituted with 0-5 R<sup>b</sup>;

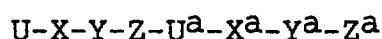
35

U<sup>a</sup> is absent or is selected from: H, O, NR<sup>a</sup>, C(O),  
 C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>,  
 NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>,  
 and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;

- $X^a$  is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;
- 5  $Y^a$  is absent or selected from H, O,  $NR^a$ ,  $S(O)_p$ , and C(O);
- $Z^a$  is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5  $R^C$  and a 5-14  
10 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $R^C$ ;
- 15  $R^a$ , at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;
- $R^{a'}$ , at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;
- 20 alternatively,  $R^a$  and  $R^{a'}$  taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;
- 25  $R^b$ , at each occurrence, is independently selected from C<sub>1-6</sub> alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ , C(O) $R^a$ , C(O) $OR^a$ , C(O) $NR^aR^{a'}$ ,  $S(O)_2NR^aR^{a'}$ ,  $S(O)_pR^a$ , CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;
- 30  $R^C$ , at each occurrence, is independently selected from C<sub>1-6</sub> alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ , C(O) $R^a$ , C(O) $OR^a$ , C(O) $NR^aR^{a'}$ ,  $NR^aS(O)_2R^{a'}$ ,  $S(O)_2NR^aR^{a'}$ ,  $S(O)_pR^a$ , CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14  
35 membered heterocyclic system containing from 1-4

heteroatoms selected from the group consisting of N, O, and S;

5  $R^5$  is selected from:



wherein:

10

U is absent or is selected from: O,  $NR^a$ , C(O), C(O)O, OC(O), C(O) $NR^a$ ,  $NR^a$ C(O), OC(O)O, OC(O) $NR^a$ ,  $NR^a$ C(O)O,  $NR^a$ C(O) $NR^a$ , S(O)<sub>p</sub>, S(O)<sub>p</sub> $NR^a$ ,  $NR^aS(O)_p$ , and  $NR^aSO_2NR^a$ ;

15

X is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

20

Y is absent or selected from H, O,  $NR^a$ , S(O)<sub>p</sub>, and C(O);

25

Z is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5  $R^b$  and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $R^b$ ;

30

$U^a$  is absent or is selected from: H, O,  $NR^a$ , C(O), C(O)O, OC(O), C(O) $NR^a$ ,  $NR^a$ C(O), OC(O)O, OC(O) $NR^a$ ,  $NR^a$ C(O)O,  $NR^a$ C(O) $NR^a$ , S(O)<sub>p</sub>, S(O)<sub>p</sub> $NR^a$ ,  $NR^aS(O)_p$ , and  $NR^aSO_2NR^a$ ;

35

$X^a$  is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

Y<sup>a</sup> is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);

5 Z<sup>a</sup> is absent or selected from H, a C<sub>3</sub>-13 carbocyclic residue substituted with 0-5 R<sup>c</sup> and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>c</sup>;

10 R<sup>a</sup>, at each occurrence, is independently selected from H, C<sub>1</sub>-4 alkyl, phenyl or benzyl;

R<sup>a'</sup>, at each occurrence, is independently selected from H, C<sub>1</sub>-4 alkyl, phenyl or benzyl;

15 alternatively, R<sup>a</sup> and R<sup>a'</sup> taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional heteroatoms selected from the group consisting of  
20 N, O, and S;

R<sup>b</sup>, at each occurrence, is independently selected from C<sub>1</sub>-6 alkyl, OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>, NR<sup>a</sup>R<sup>a'</sup>, C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, C(O)NR<sup>a</sup>R<sup>a'</sup>, S(O)<sub>2</sub>NR<sup>a</sup>R<sup>a'</sup>,  
25 S(O)<sub>p</sub>R<sup>a</sup>, CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;

R<sup>c</sup>, at each occurrence, is independently selected from C<sub>1</sub>-6 alkyl, OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>, NR<sup>a</sup>R<sup>a'</sup>, C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, C(O)NR<sup>a</sup>R<sup>a'</sup>, NR<sup>a</sup>S(O)<sub>2</sub>R<sup>a'</sup>, S(O)<sub>2</sub>NR<sup>a</sup>R<sup>a'</sup>,  
30 S(O)<sub>p</sub>R<sup>a</sup>, CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;

R<sup>8</sup> and R<sup>9</sup> is independently selected from:  
35 H,  
C<sub>1</sub>-C<sub>8</sub> alkyl substituted with 0-5 R<sup>b</sup>,

- C1-C8 alkenyl,  
C1-C8 alkylaryl substituted with 0-5 R<sup>b</sup>,  
C3-13 carbocyclic residue substituted with 0-5 R<sup>b</sup>,  
5 5-14 membered heterocyclic system containing from  
1-4 heteroatoms selected from the group  
consisting of N, O, and S substituted with 0-5 R<sup>b</sup>;  
amino, C1-C8 alkyl-NR<sup>10</sup>  
hydroxyl,
- 10 R<sup>8</sup> and R<sup>9</sup> can also form a ring interrupted by NR<sup>10</sup>, O,  
S(O)m.

- R<sup>10</sup> is selected from:  
hydrogen,  
15 C1-C8 alkyl  
C1-C8 alkylaryl

- [8] Most preferred compounds of the present invention  
include compounds selected from the group consisting  
20 of:

- N1-(2(R)-hydroxy-1(S)-indanyl)- N4-hydroxy-2(R)-  
isobutyl-butanediamide;
- 25 N1-(2(R)-hydroxy-1(S)-indanyl)- N4-hydroxy-2(R)-  
isobutyl-3(S)-(5-hydroxycarbonyl)-pentanamide;
- N1-(2(R)-hydroxy-1(S)-indanyl)- N4-hydroxy-2(R)-  
isobutyl-3(S)-methyl-butanediamide;
- 30 N1-(2(R)-hydroxy-1(S)-indanyl)- N4-hydroxy-2(R)-  
isobutyl-3(S)-propyl-butanediamide;
- N1-(2(R)-hydroxy-1(S)-indanyl)-N4-hydroxy-2(R)-hexyl-  
35 3(S)-propyl-butanediamide;

- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[4-hydroxy-phenyl)methyl]butanediamide;
- 5 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[4-methoxy-phenyl)methyl]butanediamide;
- N1-[1(S)-indanyl]-N4-hydroxy-2(R)-[4-(hydroxy-phenyl)methyl]butanediamide;
- 10 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-phenyl-propyl]butanediamide;
- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(benzyloxy)-phenyl)methyl]butanediamide;
- 15 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[3-(benzyloxy)-phenyl)methyl]butanediamide;
- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]butanediamide;
- 20 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[4-(fluoro-phenyl)methyl]butanediamide;
- 25 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3,4-(methylenedioxy-phenyl)methyl]butanediamide;
- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(methoxy-phenyl)methyl]butanediamide;
- 30 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3-trifluoromethyl-phenyl)phenyl)methyl]butanediamide;

- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-tert-butylaminosulfonyl-phenyl)phenyl]methyl]butanediamide;
- 5 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-methoxy-phenyl)phenyl]methyl]butanediamide;
- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(phenyl)phenyl]methyl]butanediamide;
- 10 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[3-hydroxy-4-methoxy-phenyl]methyl]butanediamide;
- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[3-(3-thiophene)isoxazoline]methyl]butanediamide;
- 15 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-chloro-phenyl)phenyl]methyl]butanediamide;
- 20 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-benzofuran)phenyl]methyl]butanediamide;
- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-methyl-phenyl)phenyl]methyl]butanediamide;
- 25 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[3,4-(methylenedioxy-phenyl)phenyl]methyl]butanediamide;
- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-tetrazole-phenyl)phenyl]methyl]butanediamide;
- 30 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[3-phenyl)phenyl]methyl]butanediamide;
- 35 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[3-methyl-phenyl)phenyl]methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[4-(amino-phenyl)methyl]butanediamide;

- 5 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(benzyloxy-carbonyl)amino]phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-hydroxymethylene)phenyl]phenyl)methyl]butanediamide;

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N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3,4,5-trimethoxy-phenyl)phenyl)methyl]butanediamide;

- 15 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2,4-di-methoxy-phenyl)phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3,5-di-chloro-phenyl)phenyl)methyl]butanediamide;

- 20 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-trifluoromethyl-phenyl)phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3-isopropyl-phenyl)phenyl)methyl]butanediamide;

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N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2,4-dichloro-phenyl)phenyl)methyl]butanediamide;

- 30 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3-chloro-4-fluoro-phenyl)phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(p-toluenesulfonyl-amino)phenyl)methyl]butanediamide;

- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-phenylmethyl-3(S)-(tert-butylxoy-carbonyl-amino)-butanediamide;
- 5 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3,4-methylenedioxyphenyl)phenyl]methyl]-3(S)-(tert-butylxoy-carbonyl-amino)-butanediamide;
- 10 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3-methoxyphenyl)phenyl]methyl]butanediamide;
- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3-fluorophenyl)phenyl]methyl]butanediamide;
- 15 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(fluoro-phenyl)methyl]-3(S)-(tert-butylxoy-carbonyl-amino)-butanediamide;
- 20 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(tert-butylxoy-carbonyl-amino)-butanediamide;
- 25 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3-nitrophenyl)phenyl]methyl]butanediamide;
- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3-(methylsulfonyl-amino)-phenyl)phenyl]methyl]-butanediamide;
- 30 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(3-trimethylsilyl-propyl)-butanediamide;
- 35 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2,2-dimethyl-propionamido)-butanediamide;

- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(ethyloxy-carbonyl-amino)-butanediamide;
- 5 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(iso-butyloxy-carbonyl-amino)-butanediamide;
- 10 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(propionamido)-butanediamide;
- 15 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-methyl-cyclopropane carboxamido-1-yl)-butanediamide;
- 20 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2,2-dimethylpropyl-amino)-butanediamide;
- 25 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(methylsulfonyl-amino)-butanediamide;
- 30 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[4-(methylsulfonylamino)-phenyl)methyl]-butanediamide;
- 35 N1-(2(R)-hydroxy-1(S)-indanyl)- N4-hydroxy-2(R)-isobutyl-butanediamide;
- N1-(2(R)-hydroxy-1(S)-indanyl)- N4-hydroxy-2(R)-isobutyl-3(S)-(5-hydroxycarbonyl)-pentanamide;

- N1-(2(R)-hydroxy-1(S)-indanyl)-N4-hydroxy-2(R)-isobutyl-3(S)-methyl-butanediamide;
- 5 N1-(2(R)-hydroxy-1(S)-indanyl)-N4-hydroxy-2(R)-isobutyl-3(S)-propyl-butanediamide;
- N1-(2(R)-hydroxy-1(S)-indanyl)-N4-hydroxy-2(R)-hexyl-3(S)-propyl-butanediamide;
- 10 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[4-hydroxy-phenyl)methyl]butanediamide;
- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[4-methoxy-phenyl)methyl]butanediamide;
- 15 N1-[1(S)-indanyl]-N4-hydroxy-2(R)-[4-(hydroxy-phenyl)methyl]butanediamide;
- 20 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-phenyl-propyl]butanediamide;
- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(benzyloxy)-phenyl)methyl]butanediamide;
- 25 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[3-(benzyloxy)-phenyl)methyl]butanediamide;
- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[4-(hydroxy-phenyl)methyl]butanediamide;
- 30 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[4-(fluoro-phenyl)methyl]butanediamide;

- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3,4-(methylenedioxy-phenyl)methyl]butanediamide;
- 5 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(methoxy-phenyl)methyl]butanediamide;
- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3-trifluoromethyl-phenyl)phenyl)methyl]butanediamide;
- 10 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-tert-butylaminosulfonyl-phenyl)phenyl)methyl]butanediamide;
- 15 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-methoxy-phenyl)phenyl)methyl]butanediamide;
- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(phenyl)phenyl)methyl]butanediamide;
- 20 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[(3-hydroxy-4-methoxy-phenyl)methyl]butanediamide;
- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[3-(3-thiophene)isoxazoline)methyl]butanediamide;
- 25 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-chloro-phenyl)phenyl)methyl]butanediamide;
- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-benzofuran)phenyl)methyl]butanediamide;
- 30 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-methyl-phenyl)phenyl)methyl]butanediamide;

- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[3,4-(methylenedioxy-phenyl)phenyl)methyl]butanediamide;
- 5 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-tetrazole-phenyl)phenyl)methyl]butanediamide;
- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[3-phenyl)phenyl)methyl]butanediamide;
- 10 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[3-methyl-phenyl)phenyl)methyl]butanediamide;
- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[4-(amino-phenyl)methyl]butanediamide;
- 15 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(benzyloxy-carbonyl)amino]phenyl)methyl]butanediamide;
- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-hydroxymethylene)phenyl)phenyl)methyl]butanediamide;
- 20 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3,4,5-trimethoxy-phenyl)phenyl)methyl]butanediamide;
- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2,4-di-methoxy-phenyl)phenyl)methyl]butanediamide;
- 25 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3,5-di-chloro-phenyl)phenyl)methyl]butanediamide;
- 30 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-trifluoromethyl-phenyl)phenyl)methyl]butanediamide;
- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3-isopropyl-phenyl)phenyl)methyl]butanediamide;
- 35

- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2,4-dichloro-phenyl)phenyl)methyl]butanediamide;
- 5 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3-chloro-4-fluoro-phenyl)phenyl)methyl]butanediamide;
- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(p-toluenesulfonyl-amino)phenyl)methyl]butanediamide;
- 10 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-phenylmethyl-3(S)-(tert-butylxoy-carbonyl-amino)-butanediamide;
- 15 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3,4-methylenedioxyphenyl)phenyl)methyl]-3(S)-(tert-butylxoy-carbonyl-amino)-butanediamide;
- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3-methoxyphenyl)phenyl)methyl]butanediamide;
- 20 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3-fluorophenyl)phenyl)methyl]butanediamide;
- 25 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(fluoro-phenyl)methyl]-3(S)-(tert-butylxoy-carbonyl-amino)-butanediamide;
- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(tert-butylxoy-carbonyl-amino)-butanediamide;
- 30 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3-nitrophenyl)phenyl)methyl]butanediamide;
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- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3-(methylsulfonyl-amino)-phenyl)phenyl]methyl]butanediamide;
- 5 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(3-trimethylsilyl-propyl)-butanediamide;
- 10 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2,2-dimethyl-propionamido)-butanediamide;
- 15 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(ethyloxy-carbonyl-amino)-butanediamide;
- 20 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(iso-butyloxy-carbonyl-amino)-butanediamide;
- 25 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(propionamido)-butanediamide;
- 30 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-methyl-cyclopropane carboxamido-1-yl)-butanediamide;
- 35 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2,2-dimethylpropyl-amino)-butanediamide;
- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(methylsulfonyl-amino)-butanediamide;

- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-amino-butanediamide;
- 5 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(cyclobutane carboxamido-1-yl)-butanediamide;
- 10 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-hydroxymethyl-isobutanamide)-butanediamide;
- 15 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-hydroxyl-cyclopropane carboxamido-1-yl)-butanediamide;
- 20 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-phenyl-cyclopropane carboxamido-1-yl)-butanediamide;
- 25 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(benzene carboxamido-1-yl)-butanediamide;
- 30 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-phenyl-cyclopentane carboxamido-1-yl)-butanediamide;
- 35 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-methyl-cyclohexane carboxamido-1-yl)-butanediamide;

- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-indole carboxamido)-butanediamide;
- 5 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-furan carboxamido)-butanediamide;
- 10 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-quinoline carboxamido)-butanediamide;
- 15 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(3,4,5-trimethoxy benzene carboxamido-1-yl)-butanediamide;
- 20 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-methyl-3-amino-benzene carboxamido-1-yl)-butanediamide;
- 25 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-methyl-6-amino-benzene carboxamido-1-yl)-butanediamide;
- 30 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-(2,4-dichloro-phenyl)-cyclopropane carboxamido-1-yl)-butanediamide;

- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-(4-chloro-phenyl)-cyclopropane carboxamido-1-yl)-butanediamide;
- 5 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(3-methylsulfonyl)-benzene carboxamido-1-yl)-butanediamide;
- 10 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-methylsulfonyl-benzene carboxamido-1-yl)-butanediamide;
- 15 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(3-cyano-benzene carboxamido-1-yl)-butanediamide;
- 20 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(6-quinoline carboxamido)-butanediamide;
- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-ethyl,3-methyl-pyrazole 5-carboxamido)-butanediamide;
- 25 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3-(4-morpholino-benzene carboxamido-1-yl)-butanediamide;
- 30 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-chloro-4-methylsulfonyl-benzene carboxamido-1-yl)-butanediamide;
- 35 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(4-(imidazol-1-yl)benzene carboxamido-1-yl)-butanediamide;

- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-thiophene carboxamido-1-yl)-butanediamide;
- 5
- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-tert-butyl,3-methyl-pyrazole 5- carboxamido)-butanediamide;
- 10
- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(4-aminomethyl benzene carboxamido-1-yl)-butanediamide;
- 15
- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-hydroxyl-isobutanamido)-butanediamide;
- 20
- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(cyclopropane carboxamido-1-yl)-butanediamide;
- 25
- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(cyclopentane carboxamido-1-yl)-butanediamide;
- 30
- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-( cyclohexane carboxamido-1-yl)-butanediamide;

- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(4-(4-N-Boc-piperazinyl-1-yl)benzene carboxamido-1-yl)-butanediamide;
- 5 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(4-(piperazinyl-1-yl)benzene carboxamido-1-yl)-butanediamide;
- 10 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-Fluoro-6-chloro-benzene carboxamido-1-yl)-butanediamide;
- 15 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-amino-cyclohexane carboxamido-1-yl)-butanediamide;
- 20 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-methylthio-acetamido)-butanediamide;
- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-methoxy-acetamido)-butanediamide;
- 25 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-allyl-cyclopentane carboxamido-1-yl)-butanediamide;
- 30 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-n-propyl-cyclopentane carboxamido-1-yl)-butanediamide;
- 35 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-allyl-cyclopropane carboxamido-1-yl)-butanediamide;

- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(8-quinoline-sulfonamido)-butanediamide;
- 5 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(4-nitro-benzene sulfonamido)-butanediamide;
- 10 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1,4-di-methyl-2-chloro-pyrazole-3- sulfonamido)-butanediamide;
- 15 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1,5-dimethyl-isooxazole 3- sulfonamido)-butanediamide;
- 20 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-methyl-imidazole 3-sulfonamido)-butanediamide;
- 25 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(benzene sulfonamido)-butanediamide;
- 30 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-methylsulfonyl benzene sulfonamido-1-yl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(cyclohexylamino)-butanedi-  
amide;

- 5 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(iso-propylamino)-butanedi-  
amide;

- 10 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[4(2-trifluoromethylphenyl)-phenylmethyl]-3(S)-(2,2-dimethylpropyl-amino)-butanedi-  
amide;

- 15 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(cyclopentylamino)-butanedi-  
amide;

- 20 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(cyclopropylmethyl)-butanedi-  
amide;

- 25 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(benzylamino)-butanedi-  
amide;

- 30 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-furanmethylamino)-butanedi-  
amide;

- 35 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-4-methylphenyl)methyl]-3(S)-(3-cyanophenylmethylamino)-butanedi-  
amide;

- N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2,2-dimethylpropyl-amino)-butanedi-  
amide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-pentylamino)-butanediamide;

5

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(bis-cyclopropylmethyamino)-butanediamide;

10 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-thiophenemethylamino)-butanediamide;

15 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-methyl-propylamino)-butanediamide;

20 N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-methyl-cyclopropane carboxamido-1-yl)-butanediamide;

The present invention also provides a pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of formula (I) as described herein.

25 The present invention also provides for treating an inflammatory disease in a mammal comprising administering to the mammal in need of such treatment a therapeutically effective amount of a compound of formula (I) as described herein.

35 The present invention also provides a method for treating a condition or disease mediated by MMPs and/or TNF and/or aggrecanase in a mammal comprising

administering to the mammal in need of such treatment a therapeutically effective amount of a compound of formula (I) as described herein.

5           The present invention also provides a method for treating a condition or disease wherein the disease or condition is referred to as rheumatoid arthritis, osteoarthritis, periodontitis, gingivitis, corneal ulceration, solid tumor growth and tumor invasion by  
10 secondary metastases, neovascular glaucoma, multiple sclerosis, or psoriasis in a mammal comprising administering to the mammal in need of such treatment a therapeutically effective amount of a compound of  
15 formula (I) as described herein.

15           The present invention also provides a method for treating a condition or disease wherein the disease or condition is referred to as fever, cardiovascular effects, hemorrhage, coagulation, cachexia, anorexia,  
20 alcoholism, acute phase response, acute infection, shock, graft versus host reaction, autoimmune disease or HIV infection in a mammal comprising administering to the mammal in need of such treatment a therapeutically effective amount of a compound of  
25 formula (I) as described herein.

In the following description a (-) symbolizes the point of attachment.

30

#### SYNTHESIS

The novel compounds of the present invention may be prepared in a number of ways well known to one  
35 skilled in the art of organic synthesis. The compounds of the present invention can be synthesized using the methods described below, together with synthetic methods known in the art of synthetic organic

chemistry, or variations thereon as appreciated by those skilled in the art. Preferred methods include, but are not limited to, those described below. All references cited herein are hereby incorporated in their entirety herein by reference.

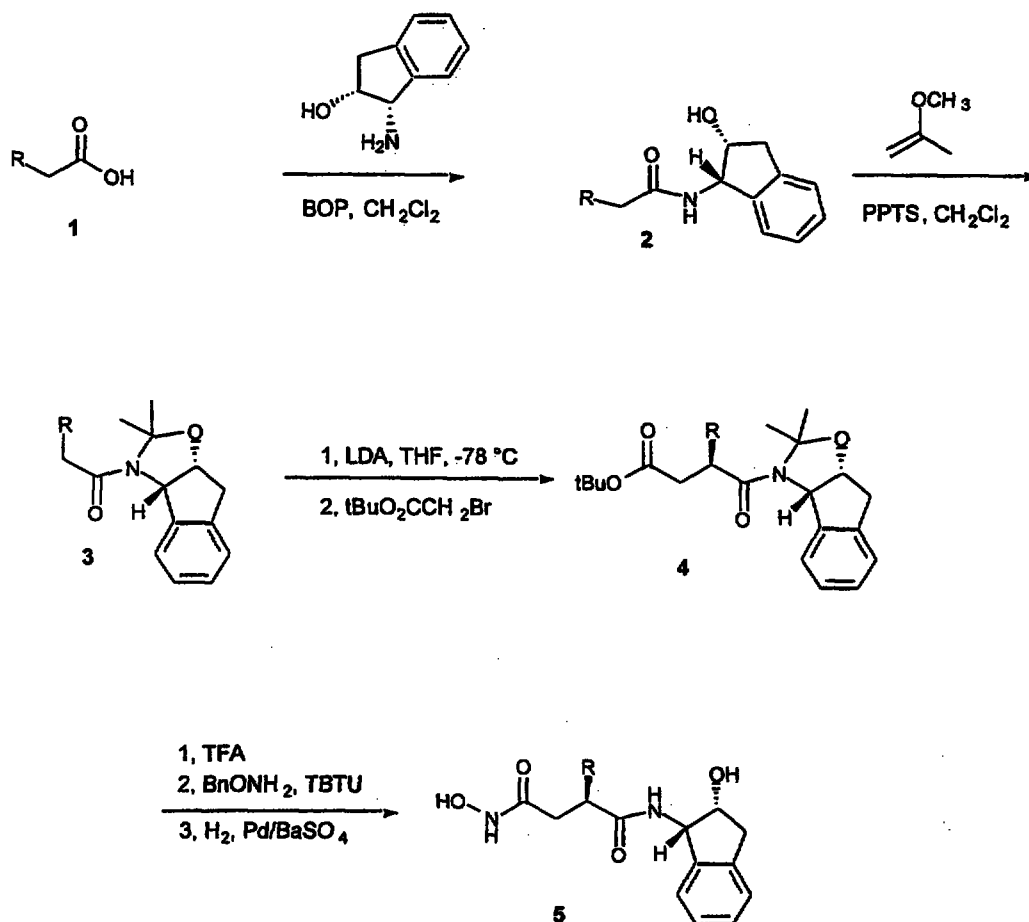
The novel compounds of this invention may be prepared using the reactions and techniques in this section. The reactions are performed in solvents appropriate to the reagents and materials employed and suitable for the transformation being effected. Also, in the description of the synthetic methods described below, it is to be understood that all proposed reaction conditions, including choice of solvents, reaction temperature, duration of the experiment and workup procedures, are chosen to be the conditions standard for that reaction, which should be readily recognized by one skilled in the art. It is understood by one skilled in the art of organic synthesis that the functionality present on various portions of the molecule must be compatible with the reagents and reactions proposed. Such restrictions to the substituents which are compatible with the reaction conditions will be readily apparent to one skilled in the art and alternate methods must then be used.

A series of compounds of formula 5 are prepared by the methods outlined in scheme 1. Coupling of carboxylic acid 1 with cis-(1S,2R-(-))-1-amino-2-indanol provided amide 2. The hydroxyl group of 2 was protected as the acetonide 3, followed by alkylation with tert-butyl 2-bromo-acetate to afford the desired diastereomer 4. Removal of the tert-butyl group of 4 with TFA in methylene chloride, followed by coupling with O-benzyl hydroxy amine, and hydrogenation afforded the target molecule 5.

35

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Scheme 1



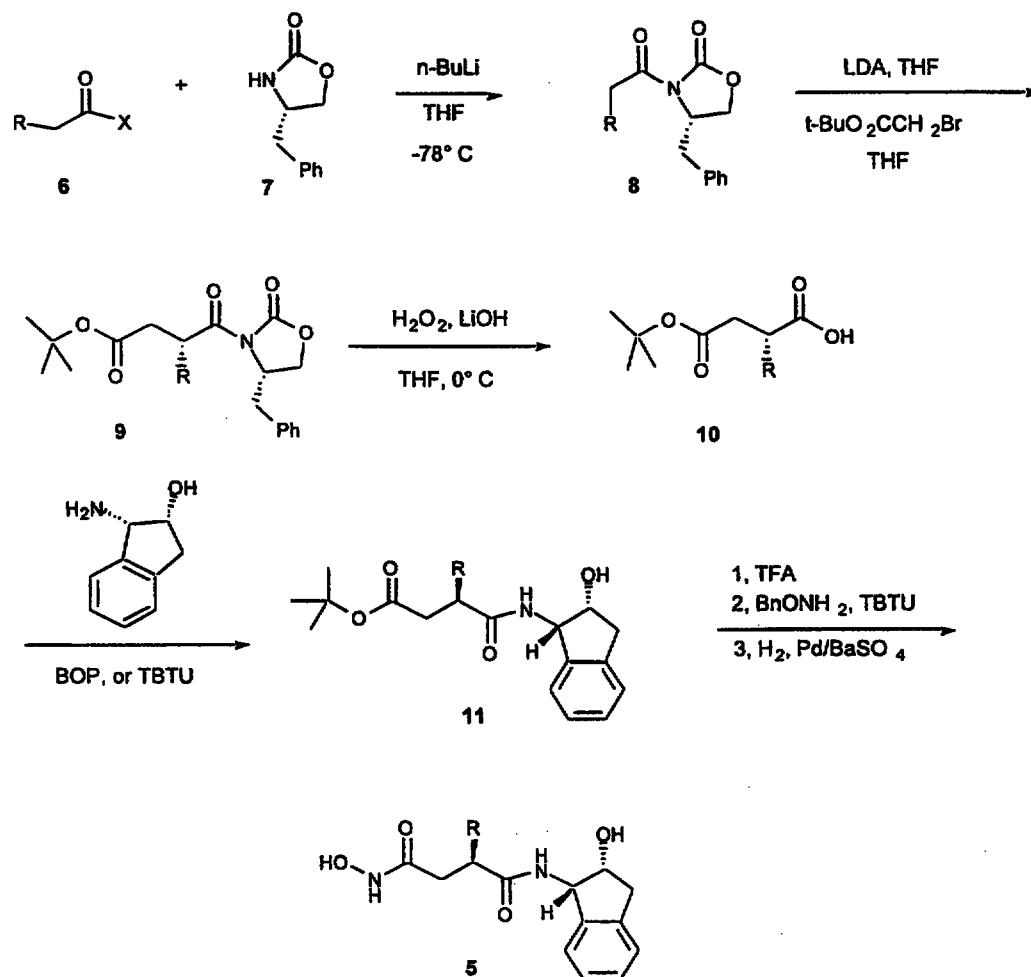
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Compounds of formula 5 can also be prepared by the methods outlined in scheme 2. The 2-substituted succinic acid **10** can be prepared using standard Evans chemistry. An acid **6** ( $\text{X} = \text{Cl}$ ) is converted to its oxazolidinone derivative **8** using the standard chemistry. Asymmetric alkylation, followed by hydrolysis using  $\text{H}_2\text{O}_2/\text{LiOH}$  afforded the desired acid **10**. The mono-protected succinic acid was coupled to  $(1S, 2R)\text{-}(-)\text{-cis-1-amino-2-indanol}$  using standard BOP, or other peptide coupling reagents such as DCC, EDAC, TBTU. The intermediate **11** can then be readily converted into the target compounds **5** using the similar

5 procedures to that used for the synthesis of target 5 as described in scheme 1.

Scheme 2

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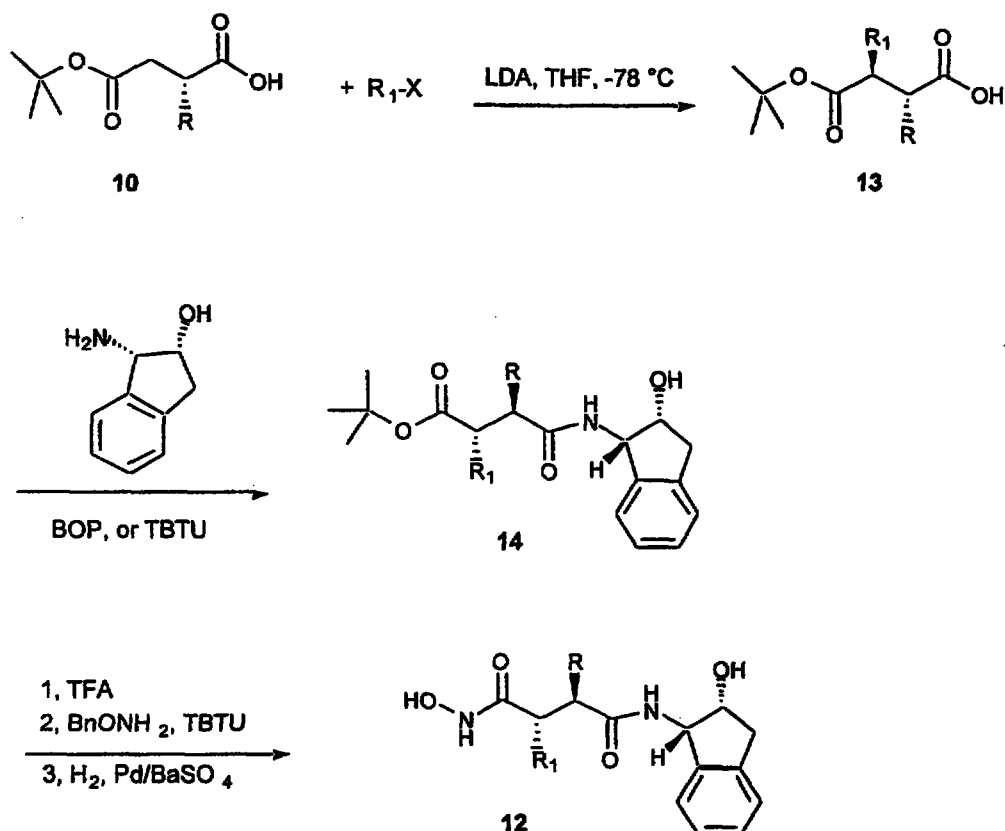


15 Compounds of formula 12 are prepared by the methods outlined in scheme 3. Dianion reaction of the intermediate 10 with an organic halides or triflates produces the 2,3-disubstituted succinate 13. The acid 13 was coupled with cis -(1S, 2R)-(-)-1-amino-2-indanol. Following similar procedures to that used for the synthesis of target 5 as described in scheme 1, compounds of formula 12 can be readily prepared.

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Scheme 3



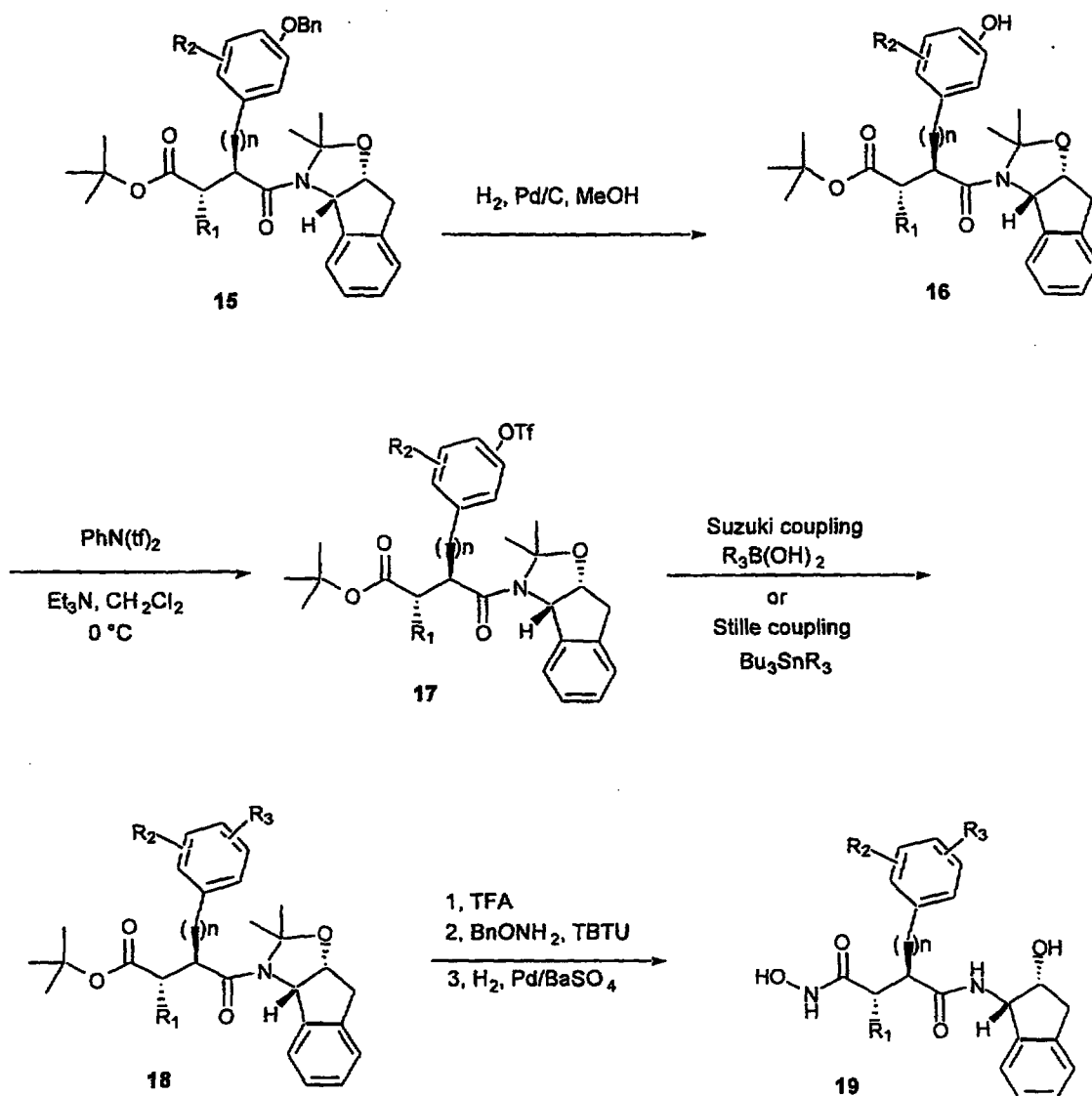
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Compounds of formula **19** are prepared as shown in scheme 4. The intermediate **15** prepared using the method described in scheme 3, was hydrogenated to produce **16**. Compound **16** was then converted to the triflate **17**. The Pd catalyzed Suzuki or stille cross coupling of triflate **17** with either a boronic acid or organostanane afford the coupling product **18**. Using the standard chemistry as described in scheme 3, **18** can be easily converted to the compounds of formula **19**.

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## Scheme 4

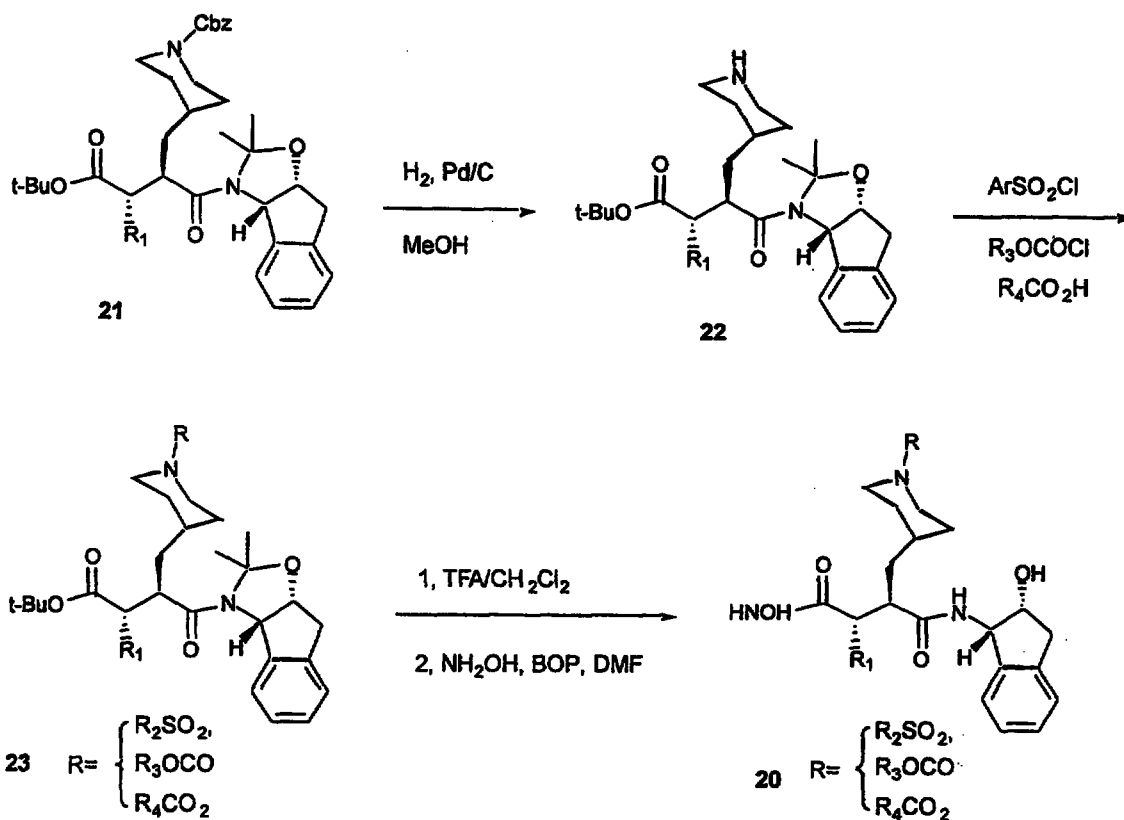


- 10 Compounds of formula **20** are prepared as shown in scheme 5. Compound **21** prepared as described in scheme 2 can be hydrogenated to give the free amine **22**. The free amino group can then be protected as sulfonamides, carbamates, and amides **23**. Following similar chemistry
- 15 to that described in scheme 1, compound **23** can be readily converted to the target of formula **20**.

5

## Scheme 5

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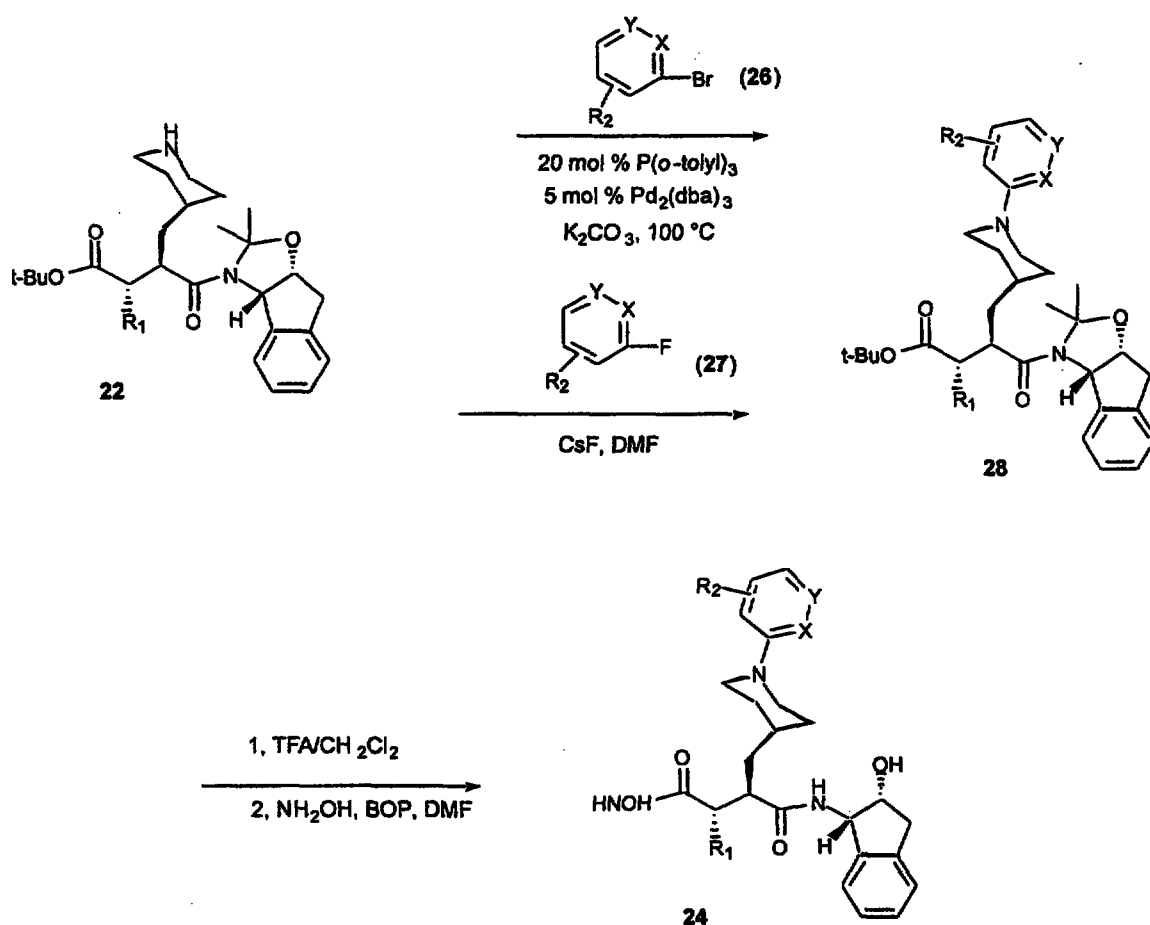


- 15 Compounds of formula **24** are prepared as shown in scheme 6. Starting from **22** prepared in scheme 5, the free amino group can be further functionalized to afford compound **28** by either palladium catalyzed aryl amination (Wolfe, J. P.; Rennels, R. A.; Buchwald, S. L. *Tetrahedron*, **1996**, 52, 7525-7546, Hartwig, J. F. *Synlett*, **1996**, 329), or displacement with a substituted aryl fluoride. As described in the previous scheme 5, **28** can be easily converted to the final compound **24**.

25

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Scheme 6

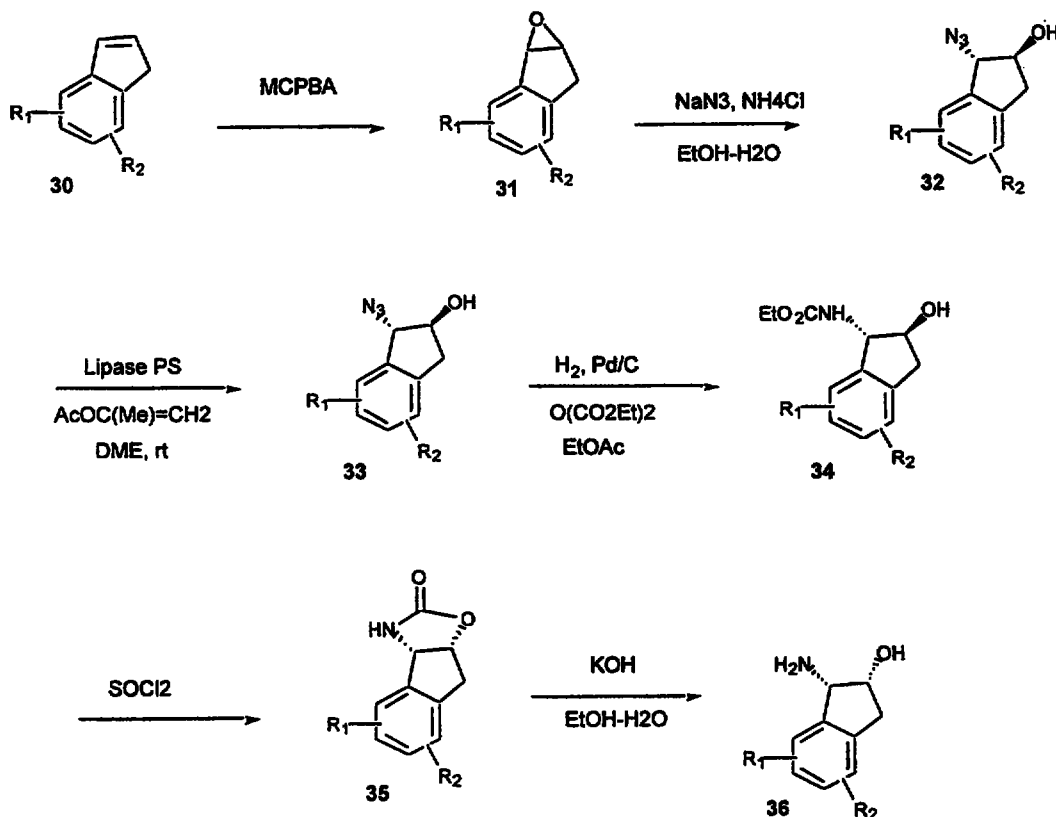


10 Compounds of formula 29 are prepared as shown in schemes 7-9.

The synthesis of substituted cis-1-amino-2-indanol (36) was followed by the route developed by Ghosh et al ( Ghosh, A. K.; Kincaid, J. F.; Haske, M. G. *Synthesis*,  
 15 **1997**, 541-544) The substituted indene (30) is converted to the epoxide 31 with MCPBA, or to the optically pure epoxide of 31 with Jacobsen's highly enantioselective epoxidation catalysts ( Jacobsen, E. N.; Zhang, W.; Muci, A. R.; Ecker, J. R.; Deng, L. J.  
 20 *Am. Chem. Soc.* **1991**, 113, 7063-7064.). The epoxide 31 is converted to the alcohol 32 by treating it with  $NaN_3$ . The racemic alcohol of 32 is resolved by Lipase

- 5 PS as described by Ghosh et al (Ghosh, A. K.; Kincaid, J. F.; Haske, M. G. *Synthesis*, **1997**, 541-544). The azide of **33** was hydrogenated in the presence of  $O(CO_2Et)_2$  to give **34**. The compound **34** was then converted to final substituted cis-1-amino-2-indanol **36** first by mixing with  $SOCl_2$ , followed by hydrolysis.

Scheme 7



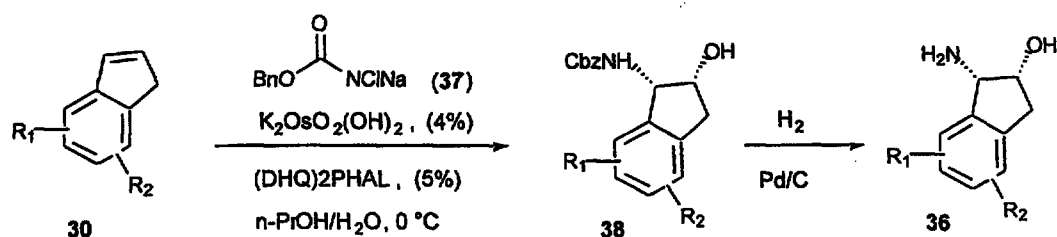
15

- Alternatively, the substituted cis-1-amino-2-indanol **36** is directly prepared from substituted indene (**30**) following a method recently developed by Sharpless, K. B. et al as shown in scheme 8 (Li, G.; Angert, H. H.; Sharpless, K. B. *Angew. Chem. Int. Ed. Engl.* **1996**, 35, 2813). The cbz group of **38** was removed by hydrogenation to give the free amine **36**.

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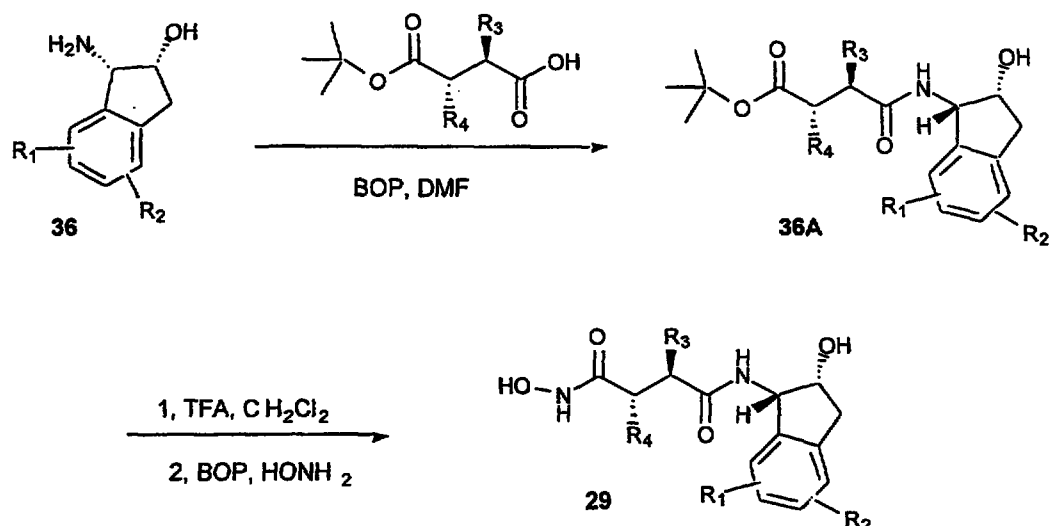
## Scheme 8



10 Following a similar sequence, the compound **36** can then be readily converted to the final compound **29** as shown in scheme 9.

## Scheme 9

15



Compounds of formula **39** can be synthesized as shown in scheme 10. Following the method developed by Sudo and Saigo (Sudo, A.; Saigo, K. *Tetrahedron Asymetry*, 1996, 7, 2939-2956), the racemic *cis*-2-amino-1-indanol can be readily synthesized from substituted indanone **40** as outlined in scheme 9. The indanone can be readily converted into oxime **41** with butyl nitrile under acidic conditions. Reduction of **41** with  $\text{NaBH}_4$  in methanol could provide the hydroxy oxime, which was

5 then treated with acetic anhydride and pyridine to give diacetate **42**. Borane reduction of **42** then give the racemic **43**, which can then be directly used or resolved by co-crystallization with tartaric acid or others to provide the desired enantiomerically pure amine **43**.  
10 Using similar chemistry to that used for the synthesis of target **5** as described in scheme 1, compound **44** can be readily converted to the target **39**.

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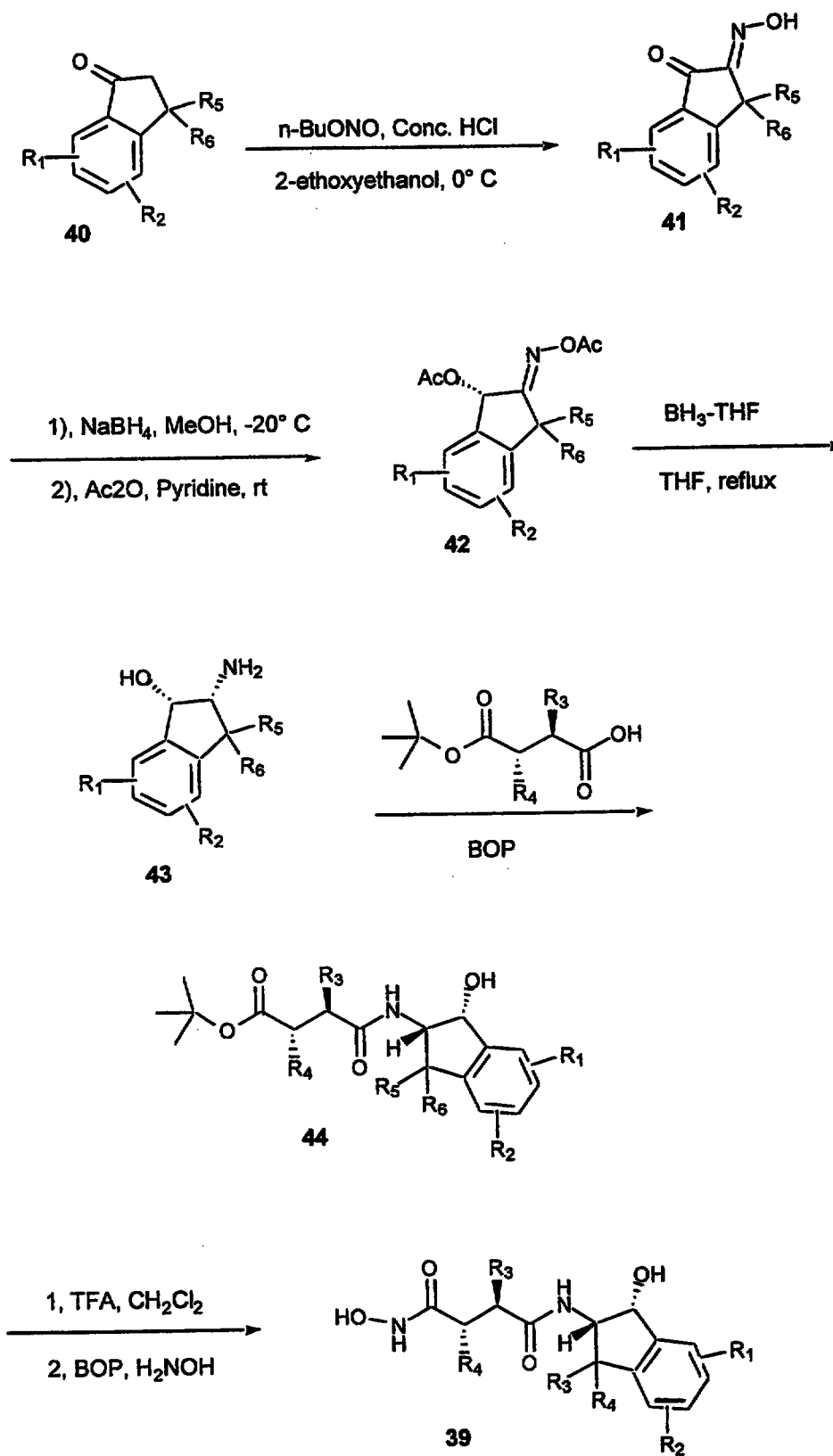
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## Scheme 10

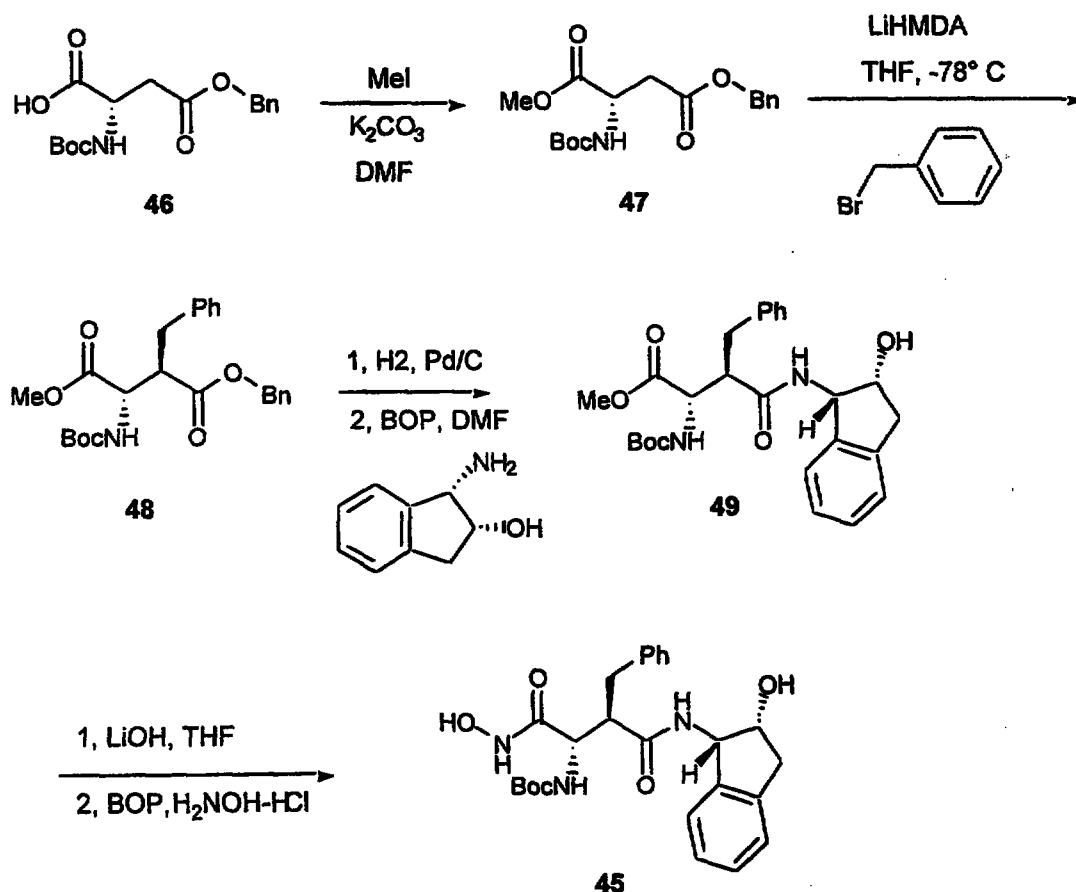


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Compounds of formula 45 are synthesized as shown in scheme 11. The carboxylic group of commercially available aspartic acid was protected as methyl ester 47. Compound 47 was then treated with LiHMDS in THF at -78 °C to form the enolate, which was reacted with benzyl bromide to afford 48. The benzyl group of 48 was removed by hydrogenation. The resulting acid was then coupled with cis-2-amino indanol to give 49. Hydrolysis of compound 49, followed by coupling with hydroxy amine to furnish the desired target 45.

Scheme 11

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### Examples

Abbreviations used in the Examples are defined as follows: "1 x" for once, "2 x" for twice, "3 x" for thrice, "°C" for degrees Celsius, "eq" for equivalent or equivalents, "g" for gram or grams, "mg" for milligram or milligrams, "mL" for milliliter or milliliters, "<sup>1</sup>H" for proton, "h" for hour or hours, "M" for molar, "min" for minute or minutes, "MHz" for megahertz, "MS" for mass spectroscopy, "NMR" for nuclear magnetic resonance spectroscopy, "rt" for room temperature, "tlc" for thin layer chromatography, "v/v" for volume to volume ratio. "R" and "S" are stereochemical designations familiar to those skilled in the art.

**Example 1: N1-(2(R)-hydroxy-1(S)-indanyl)-N4-hydroxy-2(R)-isobutyl-butanediamide:**

**(a) N1-(2R-hydroxy-1S-indanyl)-2R-isobutyl-3-(tert-butoxycarbonyl)propanamide:**

To a stirred, cooled (0° C) solution of 500 mg (2.17 mmol) 2R-isobutyl 3-(tert-butoxycarbonyl)propinoic acid and 323.9 mg (2.17 mmol) (1S, 2R)-(-) cis -1-amino-2-indanol in 4.0 mL of anhydrous DMF was added 731.4 mg of TBTU, followed by addition of 1.19 mL of diisopropylethyl amine. The reaction was allowed to warmed to room temperature. After 1 h, the reaction mixture was diluted with 15 mL 10% citric acid and 50 mL ethyl acetate, the aqueous solution was further extracted with ethyl acetate (2 X 25 mL). The combined organic solution was washed with water, sat. NaHCO<sub>3</sub>, and brine, dried over MgSO<sub>4</sub>. The

solution was filtered and concentrated under reduced pressure to afford 0.685 g (87% yield) as a white solid. ESI-MS (M+H)<sup>+</sup>: calcd 362, found 362.

5 (b) N-(2R-hydroxy-1S-indanyl)-2R-isobutyl-3-(hydroxycarbonyl)propanamide:

To a solution of 0.635 g of N-(2R-hydroxy-1S-indanyl)-2R-isobutyl-3-(tert-butoxycarbonyl)propanamide in 4.5 mL methylene chloride and 0.5 mL water was dropwise added 5.0 mL of TFA. The reaction was stirred at room temperature for 50 min. The solution mixture was concentrated, and dried by co-evaporation with toluene (3 X 15 mL). The resulting material was directly used in the next step. ESI-MS (M+H)<sup>+</sup>: calcd 306, found 306.

20 (c) N1-(2(R)-hydroxy-1(S)-indanyl)-N4-hydroxy-2(R)-isobutyl-butanediamide:

To a cooled (0° C) solution of 501.0 mg of N-(2R-hydroxy-1S-indanyl)-2R-isobutyl-3-(hydroxycarbonyl)propanamide in 6.4 mL DMF was added 786.5 mg of O-benzyl hydroxyamine-HCl, and 684.6 mg of TBTU, followed by addition of 1.71 mL of ethyldiisopropyl amine. The reaction was stirred at 0° C for 15 min. and warmed to room temperature. After 4 h, the reaction mixture was poured into ethyl acetate / 5% citric acid, the aqueous solution was extracted with ethyl acetate (3 X 25 mL). The combined organic solution was washed with 5% citric acid, water, sat. NaHCO<sub>3</sub>, brine, and dried over MgSO<sub>4</sub>. The solution was filtered and concentrated to afford 647 mg of desired product as a white solid.

To 323.5 mg of the above in 20 mL methanol was added 500 mg of 5% Pd/BaSO<sub>4</sub>. The mixture was shaken under 50 psi H<sub>2</sub> for 16 hour. The reaction mixture was filtered and concentrated and purified by reverse HPLC to afford 110 mg of the desired hydroxamic acid as a white solid. ESI-MS (M+H)<sup>+</sup>: calcd 321, found 321.

Example 2: N1-(2(R)-hydroxy-1(S)-indanyl)-N4-hydroxy-2(R)-isobutyl-3(S)-(3-propionic acid)-butanediamide:

Following a procedure analogous to that used in example 1, 2R-isobutyl 3S-(tert-butoxycarbonyl) 5-benzoxycarbonyl pentanoic acid was coupled with (1S, 2R)-(-) cis -1-amino-2-indanol using TBTU as the coupling reagent. Removal of tert-butyl protecting group was achieved by treating with TFA as described in example 1, followed by coupling with O-benzyl hydroxyamine-HCl mediated by TBTU. The resulting material was hydrogenated to afford the desired product. ESI-MS (M+H)<sup>+</sup>: calcd 393, found 393.

Example 3: N1-(2(R)-hydroxy-1(S)-indanyl)-N4-hydroxy-2(R)-isobutyl-3(S)-methyl-butanediamide:

Following a procedure analogous to that used in example 1, 2R-hexyl 3S-(tert-butoxycarbonyl) butanoic acid was coupled with (1S, 2R)-(-) cis -1-amino-2-indanol using TBTU as the coupling reagent. Removal of tert-butyl protecting group was achieved by treating with TFA as described in example 1, followed by coupling with O-benzyl hydroxyamine-HCl mediated by TBTU or BOP. The resulting material was hydrogenated to afford the desired product as a white solid. ESI-MS (M+H)<sup>+</sup>: calcd 335, found 335.

Example 4: N1-(2(R)-hydroxy-1(S)-indanyl)-N4-hydroxy-2(R)-isobutyl-3(S)-propyl-butanediamide:

5

Following a procedure analogous to that used in example 1, 2R-isobutyl 3S-(tert-butoxycarbonyl) hexanoic acid was coupled with (1S, 2R)-(-) cis -1-amino-2-indanol using TBTU as the coupling reagent.

- 10 Removal of tert-butyl protecting group was achieved by treating with TFA as described in example 1, followed by coupling with O-benzyl hydroxyamine-HCl mediated by TBTU or BOP. The resulting material was hydrogenated to afford the desired product as a white solid. ESI-MS
- 15 (M+H)<sup>+</sup>: calcd 363, found 363.

Example 5: N1-(2(R)-hydroxy-1(S)-indanyl)-N4-hydroxy-2(R)-hexyl-3(S)-propyl-butanediamide:

- 20 Following a procedure analogous to that used in example 1, 2R-hexyl 3S-(tert-butoxycarbonyl) hexanoic acid was coupled with (1S, 2R)-(-) cis -1-amino-2-indanol using TBTU as the coupling reagent. Removal of tert-butyl protecting group was achieved by treating
- 25 with TFA as described in example 1, followed by coupling with O-benzyl hydroxyamine-HCl mediated by TBTU or BOP. The resulting material was hydrogenated to afford the desired product as a white solid. ESI-MS
- (M+H)<sup>+</sup>: calcd 391, found 391.

30

Example 6: N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[4-hydroxy-phenyl)methyl]-butanediamide:

- (a) Preparation of N-(2R-hydroxy-1S-indanyl)-3-(4-benzoyloxy-phenyl)- propanamide:
- 35

To a stirred, cooled (0° C) solution of 10g (39.1 mmol) 3-(4-benzyloxy-phenyl)-propinoic acid and 7 g (46.92 mmol) (1S, 2R)-(-) cis -1-amino-2-indanol in 200 mL of anhydrous DMF was added 17.3g BOP as a solid, followed by addition of 20 mL of diethylisopropyl amine. The reaction was allowed to warmed to room temperature. After 5 h, the reaction mixture was diluted with 100 mL 10% citric acid and 100 mL ethyl acetate, the aqueous solution was further extracted with ethyl acetate (2 X 50 mL). The combined organic solution was washed with water, sat. NaHCO<sub>3</sub>, and brine, dried over MgSO<sub>4</sub>. The solution was filtered and concentrated under reduced pressure to afford 15.1 g desired product as a white solid. ESI-MS (M+H)<sup>+</sup>: calcd 388, found 388.

(b) N-(1S, 2R-N,O-dimethyl acetamide-indanyl)-3-(4-benzyloxy-phenyl)-propanamide:

To a stirred, cooled (0° C) solution of 15.1 g N-(2R-hydroxy-1S-indanyl)-3-(4-benzyloxy-phenyl)-propanamide and 1.14 g of PPTS in 300 mL of methylene chloride was slowly added 30 mL of 2-methoxy propene. The solution was slowly warmed to room temperature and stirred overnight. The reaction was quenched by addition of 50 mL of sat. NaHCO<sub>3</sub>, and extracted with ethyl acetate (3 X 50 mL). The combined solution was washed with sat NaHCO<sub>3</sub>, water, brine, and dried over MgSO<sub>4</sub>. The solution was filtered and concentrated. The crude material was purified by flash column (Ethyl acetate/ Hexane: 40:60) to give 15.3 g desired product as a white solid. ESI-MS (M+H)<sup>+</sup>: calcd 428, found 428.

(c) N-(1S, 2R-N,O-dimethyl acetonide-indanyl)-2R-(4-Benzyloxy-phenylmethyl)-3-(tert-butoxycarbonyl-propanamide:

- 5 To a stirred and cooled (-78° C) solution of 3.0 g (7.0 mmol) of N-(2R-hydroxy-1S-indanyl)-3-(4-benzyloxy-phenyl)-propanamide in 20 mL THF was dropwise added a freshly prepared, cooled (-78° C) LDA (7.0 mmol) in THF. After 1.0 hour, a solution of 1.14 mL (7.7 mmol)
- 10 tert-butyl 2-bromoacetate in 3.0 mL THF was added dropwise. The resulting solution was incubated at -78° C for 4.0 h. The reaction was quenched by addition of 10% citric acid, and extracted with ethyl acetate (3 X 100 mL). The combined organic solution was washed with
- 15 water, brine, and dried over MgSO<sub>4</sub>. The solution was filtered and concentrated. The crude material was purified by flash column with (Ethyl acetate/ Hexane: 15-25:85-75) to afford the desired product (2.8 g, 71% yield) as a white solid, and 0.1g of other
- 20 diastereomer. ESI-MS (M+H)<sup>+</sup>: calcd 542, found 542.

(d) N-(2R-hydroxy-1S-indanyl)-2R-(4-Benzyloxy-phenylmethyl)-3-(hydroxy-carbonyl) propanamide:

- 25 To a solution of 1.13 g of N-(2R-hydroxy-1S-indanyl)-2R-(4-Benzyloxy-phenylmethyl)-3-(tert-butoxycarbonyl) propanamide in 7.6 mL methylene chloride and 0.4 mL water was dropwise added 8.0 mL of TFA. The reaction was stirred at room temperature for
- 30 50 min. The solution mixture was concentrated to half of its original volume. The residue was then dried by co-evaporation with toluene (3 X 15 mL) and directly used in the next step. ESI-MS (M+H)<sup>+</sup>: calcd 446, found 446.

35

(e) N-(2R-hydroxy-1S-indanyl)-2R-(4-Benzylloxy-phenylmethyl)-3-(N-hydroxycarbonyl)propanamide:

To a cooled (0° C) solution of 104 mg of N-(2R-hydroxy-1S-indanyl)-2R-(4-Benzylloxy-phenylmethyl)-3-(hydroxy-carbonyl) propanamide in 1.2 mL DMF was added 112 mg of O-benzyl hydroxylamine-HCl, and 78.8 mg of TBTU, followed by addition of 0.24 mL of ethyldiisopropyl amine. The reaction was stirred at 0° C for 15 min. and warmed to room temperature. After 2h, the reaction mixture was poured into ethyl acetate / 5% citric acid, the aqueous solution was extracted with ethyl acetate (3 X 25 mL). The combined organic solution was washed with 5% citric acid, water, sat. NaHCO<sub>3</sub>, brine, and dried over MgSO<sub>4</sub>. The solution was filtered and concentrated to afford 105 mg of desired product.

To 105 mg of the above in 6 mL methanol was added 60 mg of 5% Pd/BaSO<sub>4</sub>. The mixture was shaken under 50 psi H<sub>2</sub> for 4 hour. The reaction mixture was filtered and concentrated and purified by reverse HPLC to afford 47 mg of the desired hydroxamic acid as a white solid. ESI-MS (M+H)<sup>+</sup>: calcd 371, found 371.

25

Example 7: N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[4-methoxy-phenyl)methyl]-butanediamide:

Prepared by the method described in example 6 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 385, found 385.

Example 8: N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[4-(hydroxy-phenyl)methyl]-butanediamide:

35

Prepared by the method described in example 6 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 355, found 355.

5 **Example 9: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-2(R)-[3-phenyl-propyl]butanediamide:**

Prepared by the method described in example 6 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 383,  
10 found 383.

**Example 10: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-2(R)-[[4-(benzyloxy)-phenyl]methyl]-butanediamide:**

15 Prepared by the method described in example 6 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 461, found 461.

20 **Example 11: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-2(R)-[[3-(benzyloxy)-phenyl]methyl]-butanediamide:**

Prepared by the method described in example 6 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 461, found 461.

25 **Example 12: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-butanediamide:**

Prepared by the method described in example 6 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 371,  
30 found 371.

**Example 13: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-2(R)-[4-(fluoro-phenyl)methyl]-butanediamide:**

35

Prepared by the method described in example 6 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 373, found 373.

5 Example 14: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-2(R)-[3,4-(methylenedioxy-phenyl)methyl]-butanediamide:

Prepared by the method described in example 6 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 379,  
10 found 379.

Example 15: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-2(R)-[3-(methoxy-phenyl)methyl]-butanediamide:

15 Prepared by the method described in example 6 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 385, found 385.

Example 16: : N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-2(R)-[4-(phenyl)phenylmethyl]-butanediamide:  
20

(a) N-(1S,2R-N,O-dimethyl acetamide-indanyl)-2R-(4-phenyl)phenylmethyl-3-(tert-butoxycarbonyl) propanamide:  
25

To 2.6 g N-(1S,2R-N,O-dimethyl acetamide-indanyl)-2R-(4-Benzyloxy-phenylmethyl)-3-(tert-butoxycarbonyl) propanamide in 20 mL methanol was added 300 mg of 5% Pd/C. The mixture was shaken under 50 psi  
30 H<sub>2</sub> for 17 hour. The reaction mixture was filtered and concentrated to afford 2.0 g of the desired product.

To a cooled (0° C) solution of 1.2 g of N-(2R-hydroxy-1S-indanyl)-2R-(4-hydroxy-phenylmethyl)-3-(tert-butoxycarbonyl) propanamide and 0.95 g of  
35 PhN(tf)<sub>2</sub> in 9.0 mL of methylene chloride was dropwise

added 0.77 mL Et<sub>3</sub>N. After 45 min at 0° C, the reaction mixture was diluted in ethyl ether (60 mL), washed with sat NaHCO<sub>3</sub>, brine, and dried over MgSO<sub>4</sub>. The crude mater was purified by flash column with 20% ethyl acetate in hexane to afford the desired product as a colorless oil.

To a solution of 192.0 mg of above material and 22 mg of PPh<sub>3</sub> in 1.4 mL toluene and 1.4 mL 0.35M Na<sub>2</sub>CO<sub>3</sub> aq. solution was added catalytical amount (6.0 mg) of Pd(Ac)<sub>2</sub>. The resulting mixture was stirred at 60° C for 10 min, followed by addition of 44 mg of benzene bornic acid as solid. The reaction was heated at 70° C. After four hour, the reaction mixture was then diluted with ethyl acetate, washed with water, brine, and dried over MgSO<sub>4</sub>. The crude material was purified by 15% ethyl acetate in hexane to afford 127.1 mg of desired product as a colorless oil. ESI-MS (M+H)<sup>+</sup>: calcd 431, found 431.

20

(b) N-(2R-hydroxy-1S-indanyl)-2R-(4-phenyl)phenylmethyl-3-(N-hydroxyaminocarbonyl)propanamide:

Following the method used in the synthesis of example 1, the above N-(1S, 2R-N,O-dimethyl acetone-indanyl)-2R-(4-phenyl)phenylmethyl-3-(tert-butoxycarbonyl) propanamide was treated with TFA, followed by coupling with hydroxylamine to yield the desired N-(2R-hydroxy-1S-indanyl)-2R-(4-phenyl)-phenylmethyl-3-(N-hydroxyaminocarbonyl)-propanamide as a white solid. ESI-MS (M+H)<sup>+</sup>: calcd 431.2, found 431.2

Example 17: N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[4-(2-tert-butylaminosulfonyl)-phenyl]phenylmethylbutanediamide:

Prepared by the method described in example 16 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 566, found 566.

5

Example 18: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-2(R)-[[4-(2-methoxy-phenyl)phenyl]methyl]-1butanediamide:

10 Prepared by the method described in example 16 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 461, found 461.

Example 19: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-2(R)-[[4-(3-trifluoromethyl-phenyl)-phenyl]methyl]butanediamide:

15

Prepared by the method described in example 16 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 499, found 499.

20

Example 20: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-2(R)-[(3-hydroxy-4-methoxyphenyl)-methyl]butanediamide:

25 Prepared by the method described in example 6 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 401, found 401.

Example 21: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-2(R)-[[3-(3-thiophene)-isoxazoline]-methyl]butanediamide:

30

Prepared by the method described in example 6 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 429, found 429.

35

Example 22: N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-chloro-phenyl)-phenyl]-methyl]butanediamide:

- 5           Prepared by the method described in example 16 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 465.5, found 465.5.

Example 23: N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-benzofuran)-phenyl]-methyl]butanediamide:

- 10           Prepared by the method described in example 16 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 471, found 471.

15           Example 24: N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-methyl-phenyl)-phenyl]-methyl]butanediamide:

- 20           Prepared by the method described in example 16 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 445, found 445.

Example 25: N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[3,4-(methylenedioxy-phenyl)-phenyl]methyl]butanediamide:

- 25           Prepared by the method described in example 16 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 475, found 475.

Example 26: N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-tetrazole-phenyl)-phenyl]-methyl]butanediamide:

35

Prepared by the method described in example 16 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 499, found 499.

5    Example 27: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-2(R)-[[3-phenyl)phenyl)methyl]-butanediamide:

Prepared by the method described in example 16 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 431,  
10    found 431.

Example 28: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-2(R)-[[3-methyl-phenyl)phenyl)methyl]-butanediamide:

15        Prepared by the method described in example 16 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 445, found 445.

Example 29: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-20    2(R)-[4-(amino-phenyl)methyl]-butanediamide:

Prepared by the method described in example 6 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 370, found 370.

25    Example 30: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-2(R)-[[4-(benzyloxy-carbonyl)-amino]phenyl)methyl]-butanediamide:

30        Prepared by the method described in example 6 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 504, found 504.

Example 31: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-35    2(R)-[[4-(2-hydroxymethylene)phenyl)-phenyl)methyl]-butanediamide:

Prepared by the method described in example 16 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 461, found 461.

5

Example 32: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-2(R)-[[4-(3,4,5-trimethoxy-phenyl)phenyl]methyl]-butanediamide:

Prepared by the method described in example 16 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 521, found 521.

10

Example 33: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-2(R)-[[4-(2,4-di-methoxy-phenyl)-phenyl]methyl]-butanediamide:

15

Prepared by the method described in example 16 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 491, found 491.

20

Example 34: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-2(R)-[[4-(3,5-di-chloro-phenyl)-phenyl]methyl]butanediamide:

Prepared by the method described in example 16 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 499, found 499.

25

Example 35: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-2(R)-[[4-(2-trifluoromethyl-phenyl)-phenyl]methyl]-butanediamide:

30

Prepared by the method described in example 16 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 499, found 499.

35

Example 36: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-2(R)-[[4-(3-isopropyl-phenyl)-phenyl]methyl]butane-diamide:

- 5           Prepared by the method described in example 16 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 473, found 473.

Example 37: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-2(R)-[[4-(2,4-dichloro-phenyl)-phenyl]methyl]butane-diamide:

- 10           Prepared by the method described in example 16 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 499, found 499.

Example 38: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-2(R)-[[4-(3-chloro-4-fluoro-phenyl)-phenyl]methyl]-butanediamide:

- 20           Prepared by the method described in example 16 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 483, found 483.

25   Example 39: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-2(R)-[[4-(p-toluenesulfonylamino)-phenyl]methyl]-butanediamide:

- 30           Prepared by the method described in example 16 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 524, found 524.

Example 40: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-2(R)-phenylmethyl-3(S)-(tert-butyloxy-carbonyl-amino)-butanediamide:

35

To a solution of 20g of Boc-Asp(OBn)-OH and 8.9 g of  $K_2CO_3$  in 200 mL DMF was added 4.04 mL of  $CH_3I$ . The reaction mixture was stirred at room temperature for 12 h. The mixture was diluted in water, extracted with diethyl ether. The combined organic layer was washed with sat.  $NaHCO_3$ , water and brine. The crude material was recrystallized from diethyl ether and hexane to afford 19.2g of the desired product Boc-Asp(OBn)- $OCH_3$ .

To a cooled ( $-78\text{ }^\circ\text{C}$ ) solution of 2.5 g of compound Boc-Asp(OBn)- $OCH_3$  in 49 mL toluene was added dropwise 15.2 mL of (1.0 M in THF) LiHMDS over 15 min. The resulting solution was stirred at  $-78\text{ }^\circ\text{C}$  for 1.0 h, followed by addition of 1.4 mL benzyl bromide. The solution was stirred at  $-50\text{ }^\circ\text{C}$  overnight. The reaction was quenched with 10% citric acid, and extracted with diethyl ether. The organic layer was washed with sat. brine, dried over  $Na_2SO_4$ . The crude material was purified by 15% ethyl acetate to afford 2.1 g (64% yield) of desired product.

1.0 g (2.34 mmol) above product and 500 mg of 10% Pd/C was hydrogenated at 32 Psi for two hour. The reaction mixture was filtered, and concentrated to afford a residue.

678 mg (2.01 mmol) above acid was coupled with 314 mg cis-2-amino indanol using 933 mg of BOP as the coupling reagent in DMF to afford 867 mg of coupling product N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-phenylmethyl-3(S)-(tert-butylxoy-carbonyl-amino)-4-(tert-butoxycarbonyl)butan-amide.

To a cooled solution of 268 mg of N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-phenylmethyl-3(S)-(tert-butylxoy-carbonyl-amino)-4-(tert-butoxycarbonyl)butan-amide in 4.3 mL THF was added 0.43 mL (2.5 M in  $H_2O$ ) LiOH solution. The reaction mixture was stirred at  $0\text{ }^\circ\text{C}$  for 30 min. The reaction was quenched with 10% citric acid, extracted with EtOAc,

the organic layer was washed with sat. brine, and dried over Na<sub>2</sub>SO<sub>4</sub>. The solvent was removed to afford 252.1 mg of the product as white solid.

5 The above acid (252 mg, 0.555 mmol) was treated with 257 mg of BOP and 116 mg of hydroxylamine in DMF. The crude material was purified by RP-HPLC (column: 41.5 X 250 mm C18 dynamax, gradient: 15 to 65% acetonitrile with 0.1% TFA over 25 min. The sample was detected at 220 nm.) to give the desired material N1-  
10 [2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-phenylmethyl-3(S)-(tert-butylxoy-carbonyl-amino)-butanediamide, ESI-MS (M+H)<sup>+</sup>: calcd 470, found 470.

Example 41: N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-  
15 2(R)-[[4-(3,4-methylenedioxyphenyl)-phenyl]methyl]-  
3(S)-(tert-butylxoy-carbonyl-amino)-butanediamide:

Prepared by the method described in example 40 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 588,  
20 found 588.

Example 42: N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-  
2(R)-[[4-(3-methoxyphenyl)-phenyl]methyl]butanediamide:

25 Prepared by the method described in example 16 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 461, found 461.

Example 43: N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-  
30 2(R)-[[4-(3-fluorophenyl)-phenyl]-methyl]butanediamide:

Prepared by the method described in example 16 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 449, found 449.

35

Example 44: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-2(R)-[3-(fluoro-phenyl)methyl]-3(S)-(tert-butyloxy-carbonyl-amino)-butanediamide:

5        Prepared by the method described in example 40 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 488, found 488.

Example 45: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(tert-butyloxy-carbonyl-amino)-butanediamide:

10        Prepared by the method described in example 40 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 486, found 486.

Example 46: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-2(R)-[[4-(3-nitrophenyl)phenyl]-methyl]butanediamide:

20        Prepared by the method described in example 16 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 476, found 476.

Example 47: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-2(R)-[[4-(3-(methylsulfonyl-amino)-phenyl)phenyl]-methyl]butanediamide:

25        Prepared by the method described in example 16 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 524, found 524.

Example 48: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2,2-dimethyl-propionamido)-butanediamide:

35

Prepared by the method described in example 40 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 470, found 470.

5 Example 49: N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(ethyloxy-carbonyl-amino)-butanediamide:

10 Prepared by the method described in example 40 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 458, found 458.

Example 50: N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(iso-butyloxy-carbonyl-amino)-butanediamide:

20 Prepared by the method described in example 40 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 486, found 486.

Example 51: N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(propionamido)-butanediamide:

25 Prepared by the method described in example 40 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 458, found 458.

30 Example 52: N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-methyl-cyclopropane carboxamido-1-yl)-butanediamide:

35 Prepared by the method described in example 40 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 452, found 452.

Example 53: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2,2-dimethyl-propyl-amino)-butanediamide:

5        Prepared by the method described in example 40 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 455, found 455.

Example 54: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(methylsulfonyl-amino)-butanediamide:

15        Prepared by the method described in example 16 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 464, found 464.

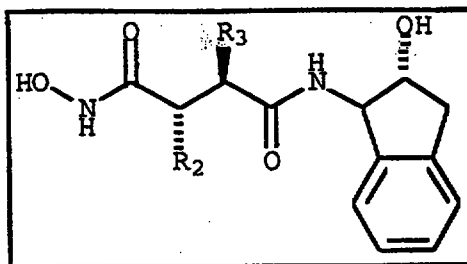
Example 55: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-amino-butane-diamide:

20        Prepared by the method described in example 40 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 386, found 386.

25    Example 56: N1-[2(R)-hydroxy-1(S)-indanyll-N4-hydroxy-2(R)-[4-(methylsulfonylamino)-phenyl)methyl]butane-diamide:

30        Prepared by the method described in example 16 to give the desired material. ESI-MS (M+H)<sup>+</sup>: calcd 448, found 448.

Table 1



5

| Ex# | R <sub>2</sub>                                    | R <sub>3</sub>                                            | M+H |
|-----|---------------------------------------------------|-----------------------------------------------------------|-----|
| 1   | H                                                 | iso-butyl                                                 | 321 |
| 2   | CH <sub>2</sub> CH <sub>2</sub> CO <sub>2</sub> H | iso-butyl                                                 | 393 |
| 3   | methyl                                            | iso-butyl                                                 | 335 |
| 4   | n-propyl                                          | iso-butyl                                                 | 363 |
| 5   | n-propyl                                          | n-C <sub>6</sub> H <sub>13</sub>                          | 391 |
| 6   | H                                                 | 4-hydroxyphenylmethyl                                     | 371 |
| 7   | H                                                 | 4-methoxyphenylmethyl                                     | 385 |
| 8   | H                                                 | 4-hydroxyphenylmethyl                                     | 355 |
| 9   | H                                                 | 3-phenylpropyl                                            | 383 |
| 10  | H                                                 | 4-benzyloxyphenylmethyl                                   | 461 |
| 11  | H                                                 | 3-benzyloxyphenylmethyl                                   | 461 |
| 12  | H                                                 | 3-hydroxyphenylmethyl                                     | 371 |
| 13  | H                                                 | 4-fluorophenylmethyl                                      | 373 |
| 14  | H                                                 | 3,4-methylenedioxy<br>phenylmethyl                        | 379 |
| 15  | H                                                 | 3-methoxyphenylmethyl                                     | 385 |
| 16  | H                                                 | 4-phenyl-phenylmethyl                                     | 431 |
| 17  | H                                                 | 4-(2-(tert-<br>butylaminosulfonyl)-<br>phenylphenylmethyl | 566 |
| 18  | H                                                 | 4-(2-methoxyphenyl)-<br>phenylmethyl                      | 461 |
| 19  | H                                                 | 4-(3-trifluoromethyl-<br>phenyl)-phenylmethyl             | 499 |
| 20  | H                                                 | (3-hydroxy-4-<br>methoxy)phenylmethyl                     | 401 |
| 21  | H                                                 | 3-(3-thiophene)-<br>isoxazoline-methyl                    | 429 |

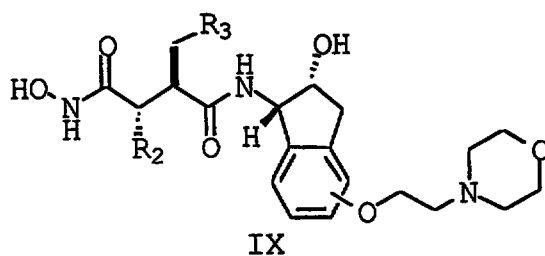
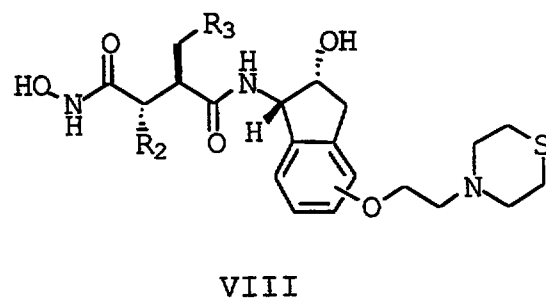
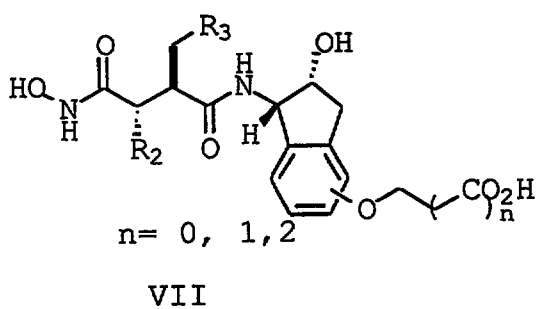
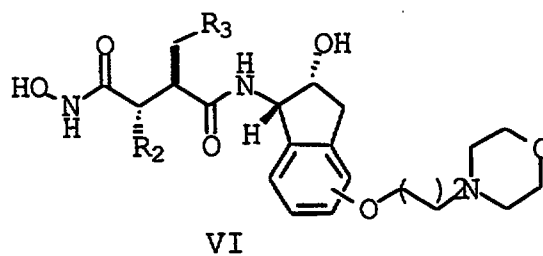
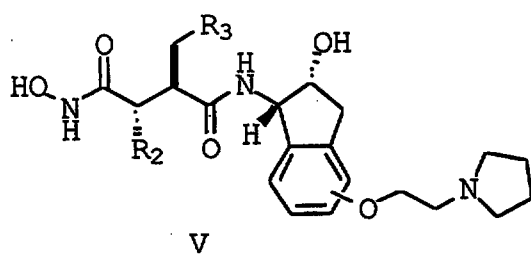
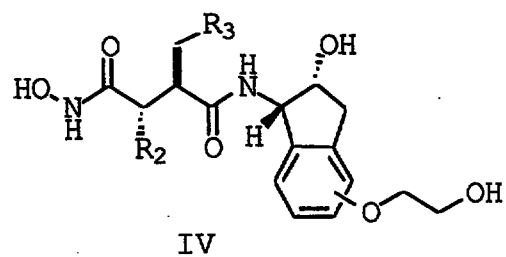
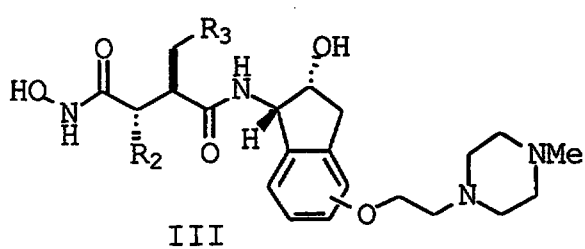
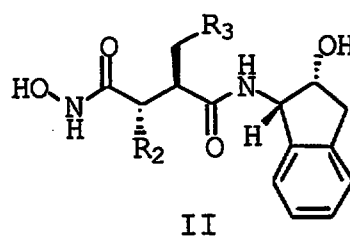
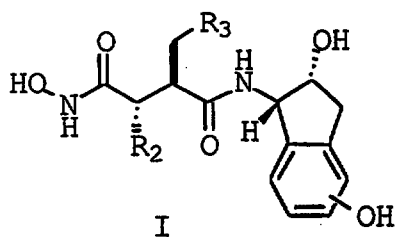
|    |       |                                               |     |
|----|-------|-----------------------------------------------|-----|
| 22 | H     | 4-(2-chlorophenyl)-<br>phenylmethyl           | 465 |
| 23 | H     | 4-(2-benzofuran)-<br>phenylmethyl             | 471 |
| 24 | H     | 4-(2-methylphenyl)-phenyl-<br>methyl          | 445 |
| 25 | H     | (3,4-methylene-<br>dioxyphenyl)phenyl-methyl  | 475 |
| 26 | H     | 4-(2-tetrazolephenyl)-<br>phenyl-methyl       | 499 |
| 27 | H     | 3-phenylphenylmethyl                          | 431 |
| 28 | H     | (3-methyl-phenyl)-<br>phenylmethyl            | 445 |
| 29 | H     | 4-amino-phenylmethyl                          | 370 |
| 30 |       | 4-benzyloxy-<br>carbonyl-amino-phenylmethyl   | 504 |
| 31 | H     | 4-(2-hydroxymethylene-<br>phenyl)phenylmethyl | 461 |
| 32 | H     | 4-(3,4,5-trimethoxy-<br>phenyl)phenylmethyl   | 521 |
| 33 | H     | 4-(2,4-dimethoxy-<br>phenyl)phenylmethyl      | 491 |
| 34 | H     | 4-(3,5-dichloro-phenyl)-<br>phenylmethyl      | 499 |
| 35 | H     | 4-(2-trifluoromethyl-<br>phenyl)phenylmethyl  | 499 |
| 36 | H     | 4-(3-isopropyl-<br>phenyl)phenyl-methyl       | 473 |
| 37 | H     | 4-(2,4-dichloro-<br>phenyl)phenyl-methyl      | 499 |
| 38 | H     | 4-(3-chloro,4-fluoro-<br>phenyl)phenylmethyl  | 483 |
| 39 | H     | 4-(p-toluenesulfonyl-<br>amino)-phenylmethyl  | 524 |
| 40 | BocNH | phenylmethyl                                  | 470 |
| 41 | BocNH | 4-(3,4-methylenedioxy-<br>phenyl)phenylmethyl | 588 |

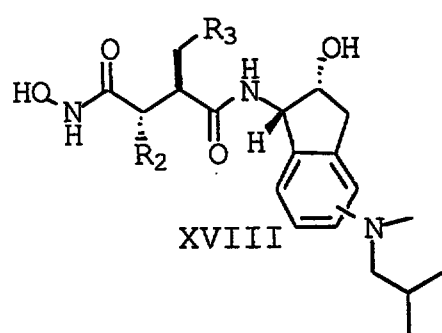
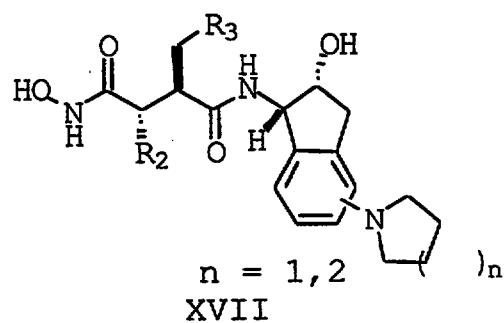
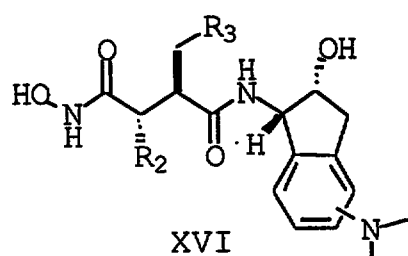
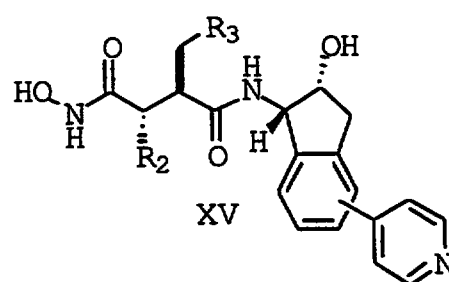
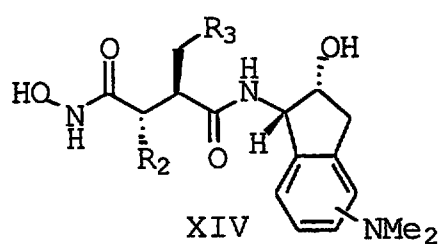
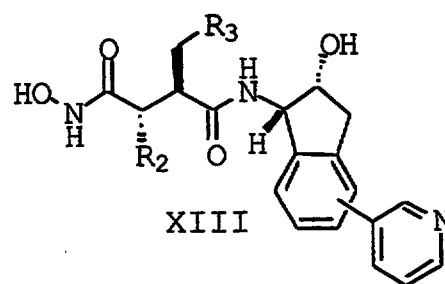
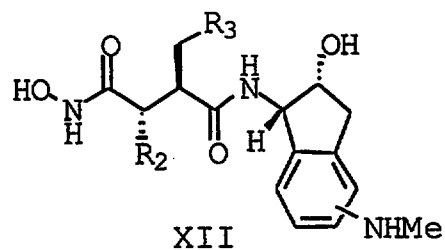
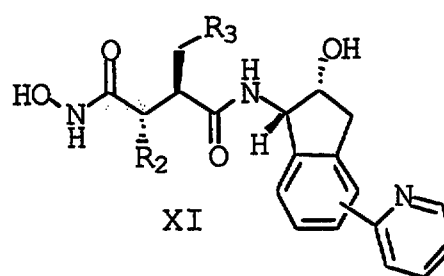
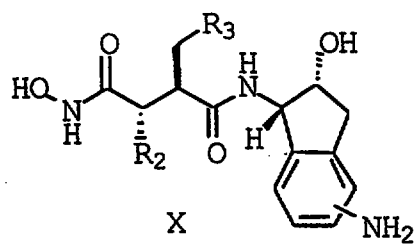
|    |                                          |                                              |     |
|----|------------------------------------------|----------------------------------------------|-----|
| 42 | H                                        | 4-(3-methoxy-phenyl)phenylmethyl             | 461 |
| 43 | H                                        | 4-(3-fluoro-phenyl)phenylmethyl              | 449 |
| 44 | BocNH                                    | 3-fluorophenylmethyl                         | 488 |
| 45 | BocNH                                    | 3-hydroxyphenylmethyl                        | 486 |
| 46 | H                                        | 4-(3-nitro-phenyl)phenylmethyl               | 476 |
| 47 | H                                        | 4-(3-methylsulfonylamino-phenyl)phenylmethyl | 524 |
| 48 | 2,2-dimethylpropionamido                 | 3-hydroxyphenylmethyl                        | 470 |
| 49 | ethoxycarbonylamino                      | 3-hydroxyphenylmethyl                        | 458 |
| 50 | iso-butoxy-carbonyl-amino                | 3-hydroxyphenylmethyl                        | 486 |
| 51 | propionamido                             | 3-hydroxyphenylmethyl                        | 458 |
| 52 | 1-methylcyclopropane<br>carboxamido-1-yl | 3-hydroxyphenylmethyl                        | 452 |
| 53 | 2,2-dimethylpropylamino                  | 3-hydroxyphenylmethyl                        | 455 |
| 54 | methylsulfonylamino                      | 3-hydroxyphenylmethyl                        | 464 |
| 55 | amino                                    | 3-hydroxyphenylmethyl                        | 386 |
| 56 | H                                        | 4-(methylsulfonyl-amino)phenylmethyl         | 448 |

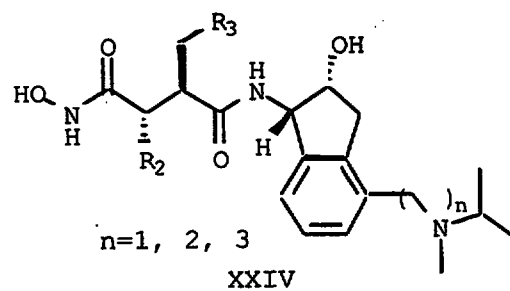
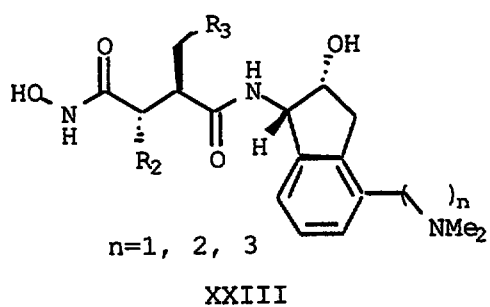
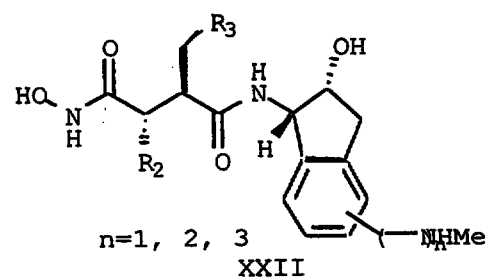
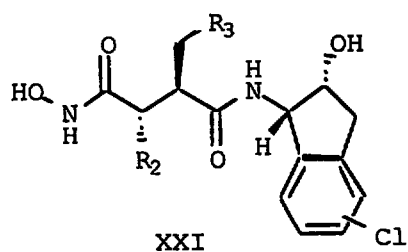
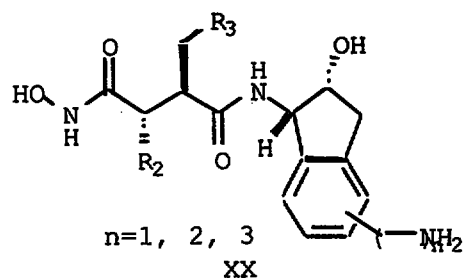
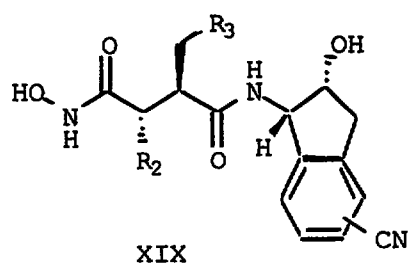
The following tables contain representative examples of the present invention. Each entry in each table is intended to be paired with the formula at the start of the table.

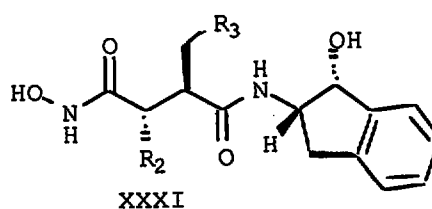
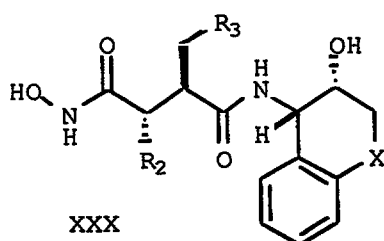
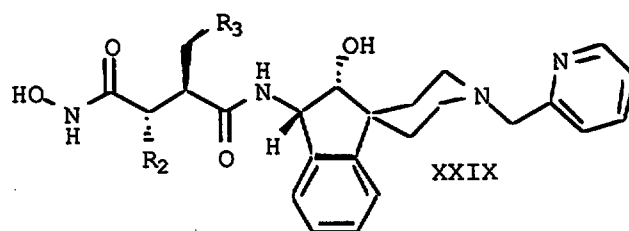
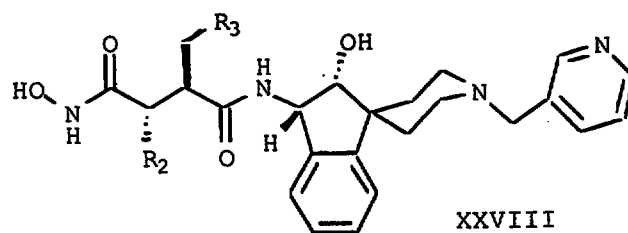
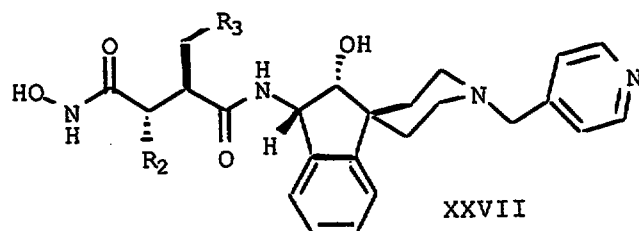
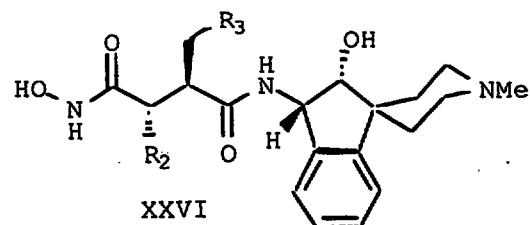
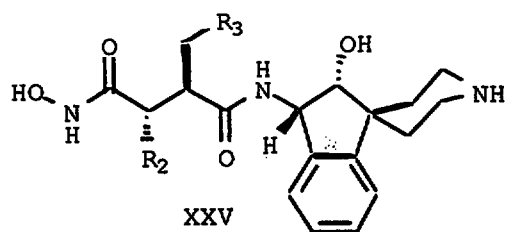
5

Table 2

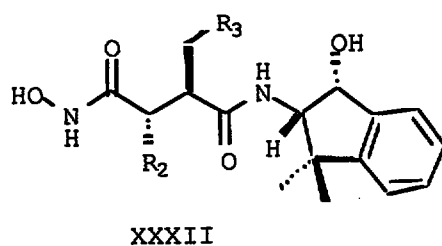








X = CH<sub>2</sub>, O, S, S(O)<sub>2</sub>, S(O)



| Ex # | R2 | R3                                            | Ms |
|------|----|-----------------------------------------------|----|
| 200  | H  | H                                             |    |
| 201  | H  | methyl                                        |    |
| 202  | H  | ethyl                                         |    |
| 203  | H  | n-propyl                                      |    |
| 204  | H  | n-butyl                                       |    |
| 205  | H  | n-pentyl                                      |    |
| 206  | H  | n-hexanyl                                     |    |
| 207  | H  | n-heptanyl                                    |    |
| 208  | H  | isopropyl                                     |    |
| 209  | H  | tert-butyl                                    |    |
| 210  | H  | cyclopropyl                                   |    |
| 211  | H  | cyclobutanyl                                  |    |
| 212  | H  | cyclopentanyl                                 |    |
| 213  | H  | cyclohexanyl                                  |    |
| 214  | H  | cycloheptanyl                                 |    |
| 215  | H  | phenyl                                        |    |
| 216  | H  | phenylmethyl                                  |    |
| 217  | H  | 3-hydroxyphenyl                               |    |
| 218  | H  | 3-hydroxy-4-methoxyphenyl                     |    |
| 219  | H  | 3-fluorophenyl                                |    |
| 220  | H  | 3-chlorophenyl                                |    |
| 221  | H  | 3-nitrophenyl                                 |    |
| 222  | H  | 3-aminophenyl                                 |    |
| 223  | H  | 3-methylsulfonamidephenyl                     |    |
| 224  | H  | 3-trifluoro-<br>methylsulfonamidephenyl       |    |
| 225  | H  | 3-Ac-NHphenyl                                 |    |
| 226  | H  | 3-Boc-NHphenyl                                |    |
| 227  | H  | 3-Cbz-NHphenyl                                |    |
| 228  | H  | 3-aminomethylenepheryl                        |    |
| 229  | H  | 3-aminoethylenepheryl                         |    |
| 230  | H  | 3-cyanophenyl                                 |    |
| 231  | H  | 3-cyanomethylphenyl                           |    |
| 232  | H  | 3-hydroxymethylenepheryl                      |    |
| 233  | H  | 3-carboxylphenyl                              |    |
| 234  | H  | 3-mercaptophenyl                              |    |
| 235  | H  | 3-methoxyphenyl                               |    |
| 236  | H  | 3,4-methylenedioxyphenyl                      |    |
| 237  | H  | 3-tetrazolephenyl                             |    |
| 238  | H  | 3-aminosulfonylphenyl                         |    |
| 239  | H  | 3-methylamino-<br>sulfonylphenyl              |    |
| 240  | H  | 3-ethylamino-sulfonylphenyl                   |    |
| 241  | H  | 3-tert-butylamino-<br>sulfonylphenyl          |    |
| 242  | H  | 3-methylsulfonylphenyl                        |    |
| 243  | H  | 4-methoxyphenyl                               |    |
| 244  | H  | 4-phenylphenyl                                |    |
| 245  | H  | (2-hydroxy-<br>methylenepheryl)-phenyl        |    |
| 246  | H  | (2-tert-butylamino-<br>sulfonylphenyl)-phenyl |    |
| 247  | H  | (2-methylamino-<br>sulfonylphenyl)-phenyl     |    |
| 248  | H  | (2-ethylamino-<br>sulfonylphenyl)-phenyl      |    |
| 249  | H  | (2-amino-sulfonylphenyl)-<br>phenyl           |    |
| 250  | H  | (2-chlorophenyl)-phenyl                       |    |
| 251  | H  | (2-fluorophenyl)-phenyl                       |    |
| 252  | H  | (2,4-dichlorophenyl)-phenyl                   |    |

|     |   |                                                 |
|-----|---|-------------------------------------------------|
| 253 | H | (2,6-dichlorophenyl)-phenyl                     |
| 254 | H | (3,5-dichlorophenyl)-phenyl                     |
| 256 | H | (2,3-dichlorophenyl)-phenyl                     |
| 257 | H | (2-methylphenyl)-phenyl                         |
| 258 | H | (2-tetrazole-phenyl)-phenyl                     |
| 259 | H | (2-methoxy-phenyl)-phenyl                       |
| 260 | H | (2-tmethyl-phenyl)-phenyl                       |
| 261 | H | (2-formyl-phenyl)-phenyl                        |
| 262 | H | (2-amino-phenyl)-phenyl                         |
| 263 | H | (2-methylamino-phenyl)-phenyl                   |
| 264 | H | (2-ethylamino-phenyl)-phenyl                    |
| 265 | H | (2-propylamino-phenyl)-phenyl                   |
| 266 | H | (2-methylsulfonylamino-phenyl)-phenyl           |
| 267 | H | (2-trifluoromethylsulfonyl-amino-phenyl)-phenyl |
| 268 | H | (3-methylphenyl)-phenyl                         |
| 269 | H | (3-isopropylphenyl)-phenyl                      |
| 270 | H | (3-trifluoromethylsulfonyl-amino-phenyl)-phenyl |
| 271 | H | (3-methylsulfonylamino-phenyl)-phenyl           |
| 272 | H | (3-amino-phenyl)-phenyl                         |
| 273 | H | (3-nitro-phenyl)-phenyl                         |
| 274 | H | 2-pyridyl                                       |
| 275 | H | 3-pyridyl                                       |
| 276 | H | 4-pyridyl                                       |
| 277 | H | 3-amino-4-pyridyl                               |
| 278 | H | 3-hydroxy-4-pyridyl                             |
| 279 | H | 3-imidazole                                     |
| 280 | H | 2-nitro-3-imidazole                             |
| 281 | H | 5-thiazole                                      |
| 282 | H | 5-oxazole                                       |
| 283 | H | 4-pyazole                                       |
| 284 | H | phenylethyl                                     |
| 285 | H | 2-aminophenylethyl                              |
| 286 | H | 2-methylsulfonylamino-phenylethyl               |
| 287 | H | 2-trifluoromethylsulfonylamino-phenylethyl      |
| 288 | H | 2-hydroxymethylene-phenylethyl                  |
| 289 | H | 2-aminomethylene-phenylethyl                    |
| 290 | H | 2-tetrazolephenylethyl                          |
| 291 | H | 2-tert-butylamino-sulfonylphenylethyl           |
| 292 | H | 2-aminosulfonyl-phenylethyl                     |
| 293 | H | 2-methoxyphenylethyl                            |
| 294 | H | 3-aminophenylethyl                              |
| 295 | H | 3-methylsulfonylamino-phenylethyl               |
| 296 | H | 3-trifluoromethylsulfonylamino-phenylethyl      |
| 297 | H | 3-hydroxymethylene-phenylethyl                  |
| 298 | H | 3-aminomethylene-phenylethyl                    |

|     |        |                                           |  |
|-----|--------|-------------------------------------------|--|
| 299 | H      | 3-tetrazolephenylethyl                    |  |
| 300 | H      | 3-tert-butylamino-sulfonylphenylethyl     |  |
| 301 | H      | 3-aminosulfonyl-phenylethyl               |  |
| 302 | H      | 3-methoxyphenylethyl                      |  |
| 303 | methyl | H                                         |  |
| 304 | methyl | methyl                                    |  |
| 305 | methyl | ethyl                                     |  |
| 306 | methyl | n-propyl                                  |  |
| 307 | methyl | n-butyl                                   |  |
| 308 | methyl | n-pentyl                                  |  |
| 309 | methyl | n-hexanyl                                 |  |
| 310 | methyl | n-heptanyl                                |  |
| 311 | methyl | isopropyl                                 |  |
| 312 | methyl | tert-butyl                                |  |
| 313 | methyl | cyclopropyl                               |  |
| 314 | methyl | cyclobutanyl                              |  |
| 315 | methyl | cyclopentanyl                             |  |
| 316 | methyl | cyclohexanyl                              |  |
| 317 | methyl | cycloheptanyl                             |  |
| 318 | methyl | phenyl                                    |  |
| 319 | methyl | phenylmethyl                              |  |
| 320 | methyl | 3-hydroxyphenyl                           |  |
| 321 | methyl | 3-hydroxy-4-methoxyphenyl                 |  |
| 322 | methyl | 3-fluorophenyl                            |  |
| 323 | methyl | 3-chlorophenyl                            |  |
| 324 | methyl | 3-nitrophenyl                             |  |
| 325 | methyl | 3-aminophenyl                             |  |
| 326 | methyl | 3-methylsulfonamidephenyl                 |  |
| 327 | methyl | 3-trifluoro-methylsulfonamidephenyl       |  |
| 327 | methyl | 3-Ac-NHphenyl                             |  |
| 329 | methyl | 3-Boc-NHphenyl                            |  |
| 330 | methyl | 3-Cbz-NHphenyl                            |  |
| 331 | Methyl | 3-aminomethylenepheryl                    |  |
| 332 | methyl | 3-aminoethylenepheryl                     |  |
| 333 | methyl | 3-cyanophenyl                             |  |
| 334 | methyl | 3-cyanomethylphenyl                       |  |
| 335 | methyl | 3-hydroxymethylenepheryl                  |  |
| 336 | methyl | 3-carboxylphenyl                          |  |
| 337 | methyl | 3-mercaptophenyl                          |  |
| 338 | methyl | 3-methoxyphenyl                           |  |
| 339 | methyl | 3,4-methylenedioxophenyl                  |  |
| 340 | methyl | 3-tetrazolephenyl                         |  |
| 341 | methyl | 3-aminosulfonylphenyl                     |  |
| 342 | methyl | 3-methylamino-sulfonylphenyl              |  |
| 343 | methyl | 3-ethylamino-sulfonylphenyl               |  |
| 344 | methyl | 3-tert-butylamino-sulfonylphenyl          |  |
| 345 | methyl | 3-methylsulfonylphenyl                    |  |
| 346 | methyl | 4-methoxyphenyl                           |  |
| 347 | methyl | 4-phenylphenyl                            |  |
| 348 | methyl | 2-hydroxymethylene-phenyl)-phenyl         |  |
| 349 | methyl | (2-tert-butylamino-sulfonylphenyl)-phenyl |  |
| 350 | methyl | (2-methylamino-sulfonylphenyl)-phenyl     |  |
| 351 | methyl | (2-ethylamino-sulfonylphenyl)-phenyl      |  |
| 352 | methyl | (2-aminosulfonyl-phenyl)-phenyl           |  |
| 353 | methyl | (2-chlorophenyl)-phenyl                   |  |

|     |        |                                                  |  |
|-----|--------|--------------------------------------------------|--|
| 354 | methyl | (2-fluorophenyl)-phenyl                          |  |
| 355 | methyl | (2,4-dichlorophenyl)-phenyl                      |  |
| 356 | methyl | (2,6-dichlorophenyl)-phenyl                      |  |
| 357 | methyl | (3,5-dichlorophenyl)-phenyl                      |  |
| 358 | methyl | (2,3-dichlorophenyl)-phenyl                      |  |
| 359 | methyl | (2-methylphenyl)-phenyl                          |  |
| 360 | methyl | (2-tetrazole-phenyl)-phenyl                      |  |
| 361 | methyl | (2-methoxy-phenyl)-phenyl                        |  |
| 362 | methyl | (2-tmethyl-phenyl)-phenyl                        |  |
| 363 | methyl | (2-formyl-phenyl)-phenyl                         |  |
| 364 | methyl | (2-amino-phenyl)-phenyl                          |  |
| 365 | methyl | (2-methylamino-phenyl)-phenyl                    |  |
| 366 | methyl | (2-ethylamino-phenyl)-phenyl                     |  |
| 367 | methyl | (2-propylamino-phenyl)-phenyl                    |  |
| 368 | methyl | (2-methylsulfonylamino-phenyl)-phenyl            |  |
| 369 | methyl | (2-trifluoromethyl-sulfonyl-amino-phenyl)-phenyl |  |
| 370 | methyl | (3-methylphenyl)-phenyl                          |  |
| 371 | methyl | (3-isopropylphenyl)-phenyl                       |  |
| 372 | methyl | (3-trifluoromethyl-sulfonyl-amino-phenyl)-phenyl |  |
| 373 | methyl | (3-methylsulfonylamino-phenyl)-phenyl            |  |
| 374 | methyl | (3-amino-phenyl)-phenyl                          |  |
| 375 | methyl | (3-nitro-phenyl)-phenyl                          |  |
| 376 | methyl | 2-pyridyl                                        |  |
| 377 | methyl | 3-pyridyl                                        |  |
| 378 | methyl | 4-pyridyl                                        |  |
| 379 | methyl | 3-amino-4-pyridyl                                |  |
| 380 | methyl | 3-hydroxy-4-pyridyl                              |  |
| 381 | methyl | 3-imidazole                                      |  |
| 382 | methyl | 2-nitro-3-imidazole                              |  |
| 383 | methyl | 5-thiazole                                       |  |
| 384 | methyl | 5-oxazole                                        |  |
| 385 | methyl | 4-pyazole                                        |  |
| 386 | methyl | phenylethyl                                      |  |
| 387 | methyl | 2-aminophenylethyl                               |  |
| 388 | methyl | 2-methylsulfonylamino-phenylethyl                |  |
| 389 | methyl | 2-trifluoromethyl-sulfonylamino-phenylethyl      |  |
| 390 | methyl | 2-hydroxymethylene-phenylethyl                   |  |
| 391 | methyl | 2-aminomethylene-phenylethyl                     |  |
| 392 | methyl | 2-tetrazolephenylethyl                           |  |
| 393 | methyl | 2-tert-butylamino-sulfonylphenylethyl            |  |
| 394 | methyl | 2-aminosulfonyl-phenylethyl                      |  |
| 395 | methyl | 2-methoxyphenylethyl                             |  |
| 396 | methyl | 3-aminophenylethyl                               |  |
| 397 | methyl | 3-methylsulfonylamino-phenylethyl                |  |
| 398 | methyl | 3-trifluoromethylsulfonylamino-phenylethyl       |  |
| 399 | methyl | 3-hydroxymethylene-phenylethyl                   |  |

|     |        |                                           |  |
|-----|--------|-------------------------------------------|--|
| 400 | methyl | 3-aminomethylene-phenylethyl              |  |
| 401 | methyl | 3-tetrazolephenylethyl                    |  |
| 402 | methyl | 3-tert-butylamino-sulfonylphenylethyl     |  |
| 403 | methyl | 3-aminosulfonyl-phenylethyl               |  |
| 404 | methyl | 3-methoxyphenylethyl                      |  |
| 405 | OH     | H                                         |  |
| 406 | OH     | methyl                                    |  |
| 407 | OH     | ethyl                                     |  |
| 408 | OH     | n-propyl                                  |  |
| 409 | OH     | n-butyl                                   |  |
| 410 | OH     | n-pentyl                                  |  |
| 411 | OH     | n-hexanyl                                 |  |
| 412 | OH     | n-heptanyl                                |  |
| 413 | OH     | isopropyl                                 |  |
| 414 | OH     | tert-butyl                                |  |
| 415 | OH     | cyclopropyl                               |  |
| 416 | OH     | cyclobutanyl                              |  |
| 417 | OH     | cyclopentanyl                             |  |
| 418 | OH     | cyclohexanyl                              |  |
| 419 | OH     | cycloheptanyl                             |  |
| 420 | OH     | phenyl                                    |  |
| 421 | OH     | phenylmethyl                              |  |
| 422 | OH     | 3-hydroxyphenyl                           |  |
| 423 | OH     | 3-hydroxy-4-methoxyphenyl                 |  |
| 424 | OH     | 3-fluorophenyl                            |  |
| 425 | OH     | 3-chlorophenyl                            |  |
| 426 | OH     | 3-nitrophenyl                             |  |
| 427 | OH     | 3-aminophenyl                             |  |
| 428 | OH     | 3-methylsulfonamidephenyl                 |  |
| 429 | OH     | 3-trifluoro-methylsulfonamidephenyl       |  |
| 430 | OH     | 3-Ac-NHphenyl                             |  |
| 431 | OH     | 3-Boc-NHphenyl                            |  |
| 432 | OH     | 3-Cbz-NHphenyl                            |  |
| 433 | OH     | 3-aminomethylenephphenyl                  |  |
| 434 | OH     | 3-aminoethylenephphenyl                   |  |
| 435 | OH     | 3-cyanophenyl                             |  |
| 436 | OH     | 3-cyanomethylphenyl                       |  |
| 437 | OH     | 3-hydroxymethylenephphenyl                |  |
| 438 | OH     | 3-carboxylphenyl                          |  |
| 439 | OH     | 3-mercaptophenyl                          |  |
| 440 | OH     | 3-methoxyphenyl                           |  |
| 441 | OH     | 3,4-methylenedioxophenyl                  |  |
| 442 | OH     | 3-tetrazolephenyl                         |  |
| 443 | OH     | 3-aminosulfonylphenyl                     |  |
| 444 | OH     | 3-methylamino-sulfonylphenyl              |  |
| 445 | OH     | 3-ethylamino-sulfonylphenyl               |  |
| 446 | OH     | 3-tert-butylamino-sulfonylphenyl          |  |
| 447 | OH     | 3-methylsulfonylphenyl                    |  |
| 448 | OH     | 4-methoxyphenyl                           |  |
| 449 | OH     | 4-phenylphenyl                            |  |
| 450 | OH     | (2-hydroxymethylene-phenyl)-phenyl        |  |
| 451 | OH     | (2-tert-butylamino-sulfonylphenyl)-phenyl |  |
| 452 | OH     | (2-methylamino-sulfonylphenyl)-phenyl     |  |
| 453 | OH     | (2-ethylamino-sulfonylphenyl)-phenyl      |  |

|     |    |                                                  |  |
|-----|----|--------------------------------------------------|--|
| 454 | OH | (2-aminosulfonyl-phenyl)-phenyl                  |  |
| 455 | OH | (2-chlorophenyl)-phenyl                          |  |
| 456 | OH | (2-fluorophenyl)-phenyl                          |  |
| 457 | OH | (2,4-dichlorophenyl)-phenyl                      |  |
| 458 | OH | (2,6-dichlorophenyl)-phenyl                      |  |
| 459 | OH | (3,5-dichlorophenyl)-phenyl                      |  |
| 460 | OH | (2,3-dichlorophenyl)-phenyl                      |  |
| 461 | OH | (2-methylphenyl)-phenyl                          |  |
| 462 | OH | (2-tetrazole-phenyl)-phenyl                      |  |
| 463 | OH | (2-methoxy-phenyl)-phenyl                        |  |
| 464 | OH | (2-tmethyl-phenyl)-phenyl                        |  |
| 465 | OH | (2-formyl-phenyl)-phenyl                         |  |
| 466 | OH | (2-amino-phenyl)-phenyl                          |  |
| 467 | OH | (2-methylamino-phenyl)-phenyl                    |  |
| 468 | OH | (2-ethylamino-phenyl)-phenyl                     |  |
| 469 | OH | (2-propylamino-phenyl)-phenyl                    |  |
| 470 | OH | (2-methylsulfonylamino-phenyl)-phenyl            |  |
| 471 | OH | (2-trifluoromethyl-sulfonyl-amino-phenyl)-phenyl |  |
| 472 | OH | (3-methylphenyl)-phenyl                          |  |
| 473 | OH | (3-isopropylphenyl)-phenyl                       |  |
| 474 | OH | (3-trifluoromethyl-sulfonyl-amino-phenyl)-phenyl |  |
| 475 | OH | (3-methylsulfonylamino-phenyl)-phenyl            |  |
| 476 | OH | (3-amino-phenyl)-phenyl                          |  |
| 477 | OH | (3-nitro-phenyl)-phenyl                          |  |
| 478 | OH | 2-pyridyl                                        |  |
| 479 | OH | 3-pyridyl                                        |  |
| 480 | OH | 4-pyridyl                                        |  |
| 481 | OH | 3-amino-4-pyridyl                                |  |
| 482 | OH | 3-hydroxy-4-pyridyl                              |  |
| 483 | OH | 3-imidazole                                      |  |
| 484 | OH | 2-nitro-3-imidazole                              |  |
| 485 | OH | 5-thiazole                                       |  |
| 486 | OH | 5-oxazole                                        |  |
| 487 | OH | 4-pyazole                                        |  |
| 488 | OH | phenylethyl                                      |  |
| 489 | OH | 2-aminophenylethyl                               |  |
| 490 | OH | 2-methylsulfonylamino-phenylethyl                |  |
| 491 | OH | 2-trifluoromethyl-sulfonylamino-phenylethyl      |  |
| 492 | OH | 2-hydroxymethylene-phenylethyl                   |  |
| 493 | OH | 2-aminomethylene-phenylethyl                     |  |
| 494 | OH | 2-tetrazolephenylethyl                           |  |
| 495 | OH | 2-tert-butylamino-sulfonylphenylethyl            |  |
| 496 | OH | 2-aminosulfonyl-phenylethyl                      |  |
| 497 | OH | 2-methoxyphenylethyl                             |  |
| 498 | OH | 3-aminophenylethyl                               |  |
| 499 | OH | 3-methylsulfonylamino-phenylethyl                |  |
| 500 | OH | 3-trifluoromethylsulfonylamino-phenylethyl       |  |

|     |                       |                                       |  |
|-----|-----------------------|---------------------------------------|--|
| 501 | OH                    | 3-hydroxymethylene-phenylethyl        |  |
| 502 | OH                    | 3-aminomethylene-phenylethyl          |  |
| 503 | OH                    | 3-tetrazolephenylethyl                |  |
| 504 | OH                    | 3-tert-butylamino-sulfonylphenylethyl |  |
| 505 | OH                    | 3-aminosulfonyl-phenylethyl           |  |
| 506 | OH                    | 3-methoxyphenylethyl                  |  |
| 507 | NH(CO)CH <sub>3</sub> | H                                     |  |
| 508 | NH(CO)CH <sub>3</sub> | methyl                                |  |
| 509 | NH(CO)CH <sub>3</sub> | ethyl                                 |  |
| 510 | NH(CO)CH <sub>3</sub> | n-propyl                              |  |
| 511 | NH(CO)CH <sub>3</sub> | n-butyl                               |  |
| 512 | NH(CO)CH <sub>3</sub> | n-pentyl                              |  |
| 513 | NH(CO)CH <sub>3</sub> | n-hexanyl                             |  |
| 514 | NH(CO)CH <sub>3</sub> | n-heptanyl                            |  |
| 515 | NH(CO)CH <sub>3</sub> | isopropyl                             |  |
| 516 | NH(CO)CH <sub>3</sub> | tert-butyl                            |  |
| 517 | NH(CO)CH <sub>3</sub> | cyclopropyl                           |  |
| 518 | NH(CO)CH <sub>3</sub> | cyclobutanyl                          |  |
| 519 | NH(CO)CH <sub>3</sub> | cyclopentanyl                         |  |
| 520 | NH(CO)CH <sub>3</sub> | cyclohexanyl                          |  |
| 521 | NH(CO)CH <sub>3</sub> | cycloheptanyl                         |  |
| 522 | NH(CO)CH <sub>3</sub> | phenyl                                |  |
| 523 | NH(CO)CH <sub>3</sub> | phenylmethyl                          |  |
| 524 | NH(CO)CH <sub>3</sub> | 3-hydroxyphenyl                       |  |
| 525 | NH(CO)CH <sub>3</sub> | 3-hydroxy-4-methoxyphenyl             |  |
| 526 | NH(CO)CH <sub>3</sub> | 3-fluorophenyl                        |  |
| 527 | NH(CO)CH <sub>3</sub> | 3-chlorophenyl                        |  |
| 528 | NH(CO)CH <sub>3</sub> | 3-nitrophenyl                         |  |
| 529 | NH(CO)CH <sub>3</sub> | 3-aminophenyl                         |  |
| 530 | NH(CO)CH <sub>3</sub> | 3-methyl-sulfonamidephenyl            |  |
| 531 | NH(CO)CH <sub>3</sub> | 3-trifluoro-methylsulfonamidephenyl   |  |
| 532 | NH(CO)CH <sub>3</sub> | 3-Ac-NHphenyl                         |  |
| 533 | NH(CO)CH <sub>3</sub> | 3-Boc-NHphenyl                        |  |
| 534 | NH(CO)CH <sub>3</sub> | 3-Cbz-NHphenyl                        |  |
| 535 | NH(CO)CH <sub>3</sub> | 3-aminomethylenephenyl                |  |
| 536 | NH(CO)CH <sub>3</sub> | 3-aminoethylenephenyl                 |  |
| 537 | NH(CO)CH <sub>3</sub> | 3-cyanophenyl                         |  |
| 538 | NH(CO)CH <sub>3</sub> | 3-cyanomethylphenyl                   |  |
| 539 | NH(CO)CH <sub>3</sub> | 3-hydroxy-methylenephenyl             |  |
| 540 | NH(CO)CH <sub>3</sub> | 3-carboxylphenyl                      |  |
| 541 | NH(CO)CH <sub>3</sub> | 3-mercaptophenyl                      |  |
| 542 | NH(CO)CH <sub>3</sub> | 3-methoxyphenyl                       |  |
| 543 | NH(CO)CH <sub>3</sub> | 3,4-methylenedioxophenyl              |  |
| 544 | NH(CO)CH <sub>3</sub> | 3-tetrazolephenyl                     |  |
| 545 | NH(CO)CH <sub>3</sub> | 3-aminosulfonylphenyl                 |  |
| 546 | NH(CO)CH <sub>3</sub> | 3-methylamino-sulfonylphenyl          |  |
| 547 | NH(CO)CH <sub>3</sub> | 3-ethylamino-sulfonylphenyl           |  |
| 548 | NH(CO)CH <sub>3</sub> | 3-tert-butylamino-sulfonylphenyl      |  |
| 549 | NH(CO)CH <sub>3</sub> | 3-methylsulfonylphenyl                |  |
| 550 | NH(CO)CH <sub>3</sub> | 4-methoxyphenyl                       |  |
| 551 | NH(CO)CH <sub>3</sub> | 4-phenylphenyl                        |  |

|     |                       |                                                  |  |
|-----|-----------------------|--------------------------------------------------|--|
| 552 | NH(CO)CH <sub>3</sub> | (2-hydroxymethylene-phenyl)-phenyl               |  |
| 553 | NH(CO)CH <sub>3</sub> | (2-tert-butylamino-sulfonylphenyl)-phenyl        |  |
| 554 | NH(CO)CH <sub>3</sub> | (2-methylamino-sulfonylphenyl)-phenyl            |  |
| 555 | NH(CO)CH <sub>3</sub> | (2-ethylamino-sulfonylphenyl)-phenyl             |  |
| 556 | NH(CO)CH <sub>3</sub> | (2-aminosulfonylphenyl)-phenyl                   |  |
| 557 | NH(CO)CH <sub>3</sub> | (2-chlorophenyl)-phenyl                          |  |
| 558 | NH(CO)CH <sub>3</sub> | (2-fluorophenyl)-phenyl                          |  |
| 559 | NH(CO)CH <sub>3</sub> | (2,4-dichlorophenyl)-phenyl                      |  |
| 560 | NH(CO)CH <sub>3</sub> | (2,6-dichlorophenyl)-phenyl                      |  |
| 561 | NH(CO)CH <sub>3</sub> | (3,5-dichlorophenyl)-phenyl                      |  |
| 562 | NH(CO)CH <sub>3</sub> | (2,3-dichlorophenyl)-phenyl                      |  |
| 563 | NH(CO)CH <sub>3</sub> | (2-methylphenyl)-phenyl                          |  |
| 564 | NH(CO)CH <sub>3</sub> | (2-tetrazole-phenyl)-phenyl                      |  |
| 565 | NH(CO)CH <sub>3</sub> | (2-methoxy-phenyl)-phenyl                        |  |
| 566 | NH(CO)CH <sub>3</sub> | (2-tmethyl-phenyl)-phenyl                        |  |
| 567 | NH(CO)CH <sub>3</sub> | (2-formyl-phenyl)-phenyl                         |  |
| 568 | NH(CO)CH <sub>3</sub> | (2-amino-phenyl)-phenyl                          |  |
| 569 | NH(CO)CH <sub>3</sub> | (2-methylamino-phenyl)-phenyl                    |  |
| 570 | NH(CO)CH <sub>3</sub> | (2-ethylamino-phenyl)-phenyl                     |  |
| 571 | NH(CO)CH <sub>3</sub> | (2-propylamino-phenyl)-phenyl                    |  |
| 572 | NH(CO)CH <sub>3</sub> | (2-methylsulfonylamino-phenyl)-phenyl            |  |
| 573 | NH(CO)CH <sub>3</sub> | (2-trifluoromethyl-sulfonyl-amino-phenyl)-phenyl |  |
| 574 | NH(CO)CH <sub>3</sub> | (3-methylphenyl)-phenyl                          |  |
| 575 | NH(CO)CH <sub>3</sub> | (3-isopropylphenyl)-phenyl                       |  |
| 576 | NH(CO)CH <sub>3</sub> | (3-trifluoromethyl-sulfonyl-amino-phenyl)-phenyl |  |
| 577 | NH(CO)CH <sub>3</sub> | (3-methylsulfonylamino-phenyl)-phenyl            |  |
| 578 | NH(CO)CH <sub>3</sub> | (3-amino-phenyl)-phenyl                          |  |
| 579 | NH(CO)CH <sub>3</sub> | (3-nitro-phenyl)-phenyl                          |  |
| 580 | NH(CO)CH <sub>3</sub> | 2-pyridyl                                        |  |
| 581 | NH(CO)CH <sub>3</sub> | 3-pyridyl                                        |  |
| 582 | NH(CO)CH <sub>3</sub> | 4-pyridyl                                        |  |
| 583 | NH(CO)CH <sub>3</sub> | 3-amino-4-pyridyl                                |  |
| 584 | NH(CO)CH <sub>3</sub> | 3-hydroxy-4-pyridyl                              |  |
| 585 | NH(CO)CH <sub>3</sub> | 3-imidazole                                      |  |
| 586 | NH(CO)CH <sub>3</sub> | 2-nitro-3-imidazole                              |  |
| 587 | NH(CO)CH <sub>3</sub> | 5-thiazole                                       |  |
| 588 | NH(CO)CH <sub>3</sub> | 5-oxazole                                        |  |
| 589 | NH(CO)CH <sub>3</sub> | 4-pyazole                                        |  |
| 590 | NH(CO)CH <sub>3</sub> | phenylethyl                                      |  |
| 591 | NH(CO)CH <sub>3</sub> | 2-aminophenylethyl                               |  |
| 592 | NH(CO)CH <sub>3</sub> | 2-methylsulfonylamino-phenylethyl                |  |
| 593 | NH(CO)CH <sub>3</sub> | 2-trifluoromethylsulfonylamino-phenylethyl       |  |
| 594 | NH(CO)CH <sub>3</sub> | 2-hydroxymethylene-phenylethyl                   |  |

|     |                                     |                                             |  |
|-----|-------------------------------------|---------------------------------------------|--|
| 595 | NH(CO)CH <sub>3</sub>               | 2-aminomethylene-phenylethyl                |  |
| 596 | NH(CO)CH <sub>3</sub>               | 2-tetrazolephenylethyl                      |  |
| 597 | NH(CO)CH <sub>3</sub>               | 2-tert-butylamino-sulfonylphenylethyl       |  |
| 598 | NH(CO)CH <sub>3</sub>               | 2-aminosulfonyl-phenylethyl                 |  |
| 599 | NH(CO)CH <sub>3</sub>               | 2-methoxyphenylethyl                        |  |
| 600 | NH(CO)CH <sub>3</sub>               | 3-aminophenylethyl                          |  |
| 601 | NH(CO)CH <sub>3</sub>               | 3-methylsulfonylamino-phenylethyl           |  |
| 602 | NH(CO)CH <sub>3</sub>               | 3-trifluoromethyl-sulfonylamino-phenylethyl |  |
| 603 | NH(CO)CH <sub>3</sub>               | 3-hydroxymethylene-phenylethyl              |  |
| 604 | NH(CO)CH <sub>3</sub>               | 3-aminomethylene-phenylethyl                |  |
| 605 | NH(CO)CH <sub>3</sub>               | 3-tetrazolephenylethyl                      |  |
| 606 | NH(CO)CH <sub>3</sub>               | 3-tert-butylamino-sulfonylphenylethyl       |  |
| 607 | NH(CO)CH <sub>3</sub>               | 3-aminosulfonyl-phenylethyl                 |  |
| 608 | NH(CO)CH <sub>3</sub>               | 3-methoxyphenylethyl                        |  |
| 609 |                                     |                                             |  |
| 610 | NH(CO)C <sub>2</sub> H <sub>5</sub> | H                                           |  |
| 611 | NH(CO)C <sub>2</sub> H <sub>5</sub> | methyl                                      |  |
| 612 | NH(CO)C <sub>2</sub> H <sub>5</sub> | ethyl                                       |  |
| 613 | NH(CO)C <sub>2</sub> H <sub>5</sub> | n-propyl                                    |  |
| 614 | NH(CO)C <sub>2</sub> H <sub>5</sub> | n-butyl                                     |  |
| 615 | NH(CO)C <sub>2</sub> H <sub>5</sub> | n-pentyl                                    |  |
| 616 | NH(CO)C <sub>2</sub> H <sub>5</sub> | n-hexanyl                                   |  |
| 617 | NH(CO)C <sub>2</sub> H <sub>5</sub> | n-heptanyl                                  |  |
| 618 | NH(CO)C <sub>2</sub> H <sub>5</sub> | isopropyl                                   |  |
| 619 | NH(CO)C <sub>2</sub> H <sub>5</sub> | tert-butyl                                  |  |
| 620 | NH(CO)C <sub>2</sub> H <sub>5</sub> | cyclopropyl                                 |  |
| 621 | NH(CO)C <sub>2</sub> H <sub>5</sub> | cyclobutanyl                                |  |
| 622 | NH(CO)C <sub>2</sub> H <sub>5</sub> | cyclopentanyl                               |  |
| 623 | NH(CO)C <sub>2</sub> H <sub>5</sub> | cyclohexanyl                                |  |
| 624 | NH(CO)C <sub>2</sub> H <sub>5</sub> | cycloheptanyl                               |  |
| 625 | NH(CO)C <sub>2</sub> H <sub>5</sub> | phenyl                                      |  |
| 626 | NH(CO)C <sub>2</sub> H <sub>5</sub> | phenylmethyl                                |  |
| 627 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 3-hydroxyphenyl                             |  |
| 628 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 3-hydroxy-4-methoxyphenyl                   |  |
| 629 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 3-fluorophenyl                              |  |
| 630 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 3-chlorophenyl                              |  |
| 631 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 3-nitrophenyl                               |  |
| 632 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 3-aminophenyl                               |  |
| 633 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 3-methylsulfonylamidephenyl                 |  |
| 634 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 3-trifluoro-methylsulfonylamidephenyl       |  |
| 635 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 3-Ac-NHphenyl                               |  |
| 636 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 3-Boc-NHphenyl                              |  |
| 637 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 3-Cbz-NHphenyl                              |  |
| 638 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 3-aminomethylenephenyl                      |  |
| 639 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 3-aminoethylenephenyl                       |  |
| 640 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 3-cyanophenyl                               |  |
| 641 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 3-cyanomethylphenyl                         |  |
| 642 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 3-hydroxymethylenephenyl                    |  |
| 643 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 3-carboxylphenyl                            |  |
| 644 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 3-mercaptophenyl                            |  |
| 645 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 3-methoxyphenyl                             |  |

|     |                                     |                                                  |  |
|-----|-------------------------------------|--------------------------------------------------|--|
| 646 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 3,4-methylenedioxyphenyl                         |  |
| 647 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 3-tetrazolephenyl                                |  |
| 648 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 3-aminosulfonylphenyl                            |  |
| 649 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 3-methylamino-sulfonylphenyl                     |  |
| 650 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 3-ethylamino-sulfonylphenyl                      |  |
| 651 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 3-tert-butylamino-sulfonylphenyl                 |  |
| 652 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 3-methylsulfonylphenyl                           |  |
| 653 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 4-methoxyphenyl                                  |  |
| 654 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 4-phenylphenyl                                   |  |
| 655 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 4-(2-hydroxymethylene-phenyl)-phenyl             |  |
| 656 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 4-(2-tert-butylamino-sulfonylphenyl)-phenyl      |  |
| 657 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 4-(2-methylamino-sulfonylphenyl)-phenyl          |  |
| 658 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 4-(2-ethylamino-sulfonylphenyl)-phenyl           |  |
| 659 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 4-(2-aminosulfonyl-phenyl)-phenyl                |  |
| 660 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 4-(2-chlorophenyl)-phenyl                        |  |
| 661 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 4-(2-fluorophenyl)-phenyl                        |  |
| 662 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 4-(2,4-dichlorophenyl)-phenyl                    |  |
| 663 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 4-(2,6-dichlorophenyl)-phenyl                    |  |
| 664 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 4-(3,5-dichlorophenyl)-phenyl                    |  |
| 665 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 4-(2,3-dichlorophenyl)-phenyl                    |  |
| 666 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 4-(2-methylphenyl)-phenyl                        |  |
| 667 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 4-(2-tetrazole-phenyl)-phenyl                    |  |
| 668 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 4-(2-methoxy-phenyl)-phenyl                      |  |
| 669 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 4-(2-tmethyl-phenyl)-phenyl                      |  |
| 670 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 4-(2-formyl-phenyl)-phenyl                       |  |
| 671 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 4-(2-amino-phenyl)-phenyl                        |  |
| 672 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 4-(2-methylamino-phenyl)-phenyl                  |  |
| 673 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 4-(2-ethylamino-phenyl)-phenyl                   |  |
| 674 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 4-(2-propylamino-phenyl)-phenyl                  |  |
| 675 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 4-(2-methylsulfonylamino-phenyl)-phenyl          |  |
| 676 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 4-(2-trifluoromethylsulfonylamino-phenyl)-phenyl |  |
| 677 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 4-(3-methylphenyl)-phenyl                        |  |
| 678 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 4-(3-isopropylphenyl)-phenyl                     |  |
| 679 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 4-(3-trifluoromethylsulfonylamino-phenyl)-phenyl |  |
| 680 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 4-(3-methylsulfonylamino-phenyl)-phenyl          |  |
| 681 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 4-(3-amino-phenyl)-phenyl                        |  |
| 682 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 4-(3-nitro-phenyl)-phenyl                        |  |
| 683 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 2-pyridyl                                        |  |
| 684 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 3-pyridyl                                        |  |
| 685 | NH(CO)C <sub>2</sub> H <sub>5</sub> | 4-pyridyl                                        |  |

|     |                                      |                                            |  |
|-----|--------------------------------------|--------------------------------------------|--|
| 686 | NH(CO)C <sub>2</sub> H <sub>5</sub>  | 3-amino-4-pyridyl                          |  |
| 687 | NH(CO)C <sub>2</sub> H <sub>5</sub>  | 3-hydroxy-4-pyridyl                        |  |
| 688 | NH(CO)C <sub>2</sub> H <sub>5</sub>  | 3-imidazole                                |  |
| 689 | NH(CO)C <sub>2</sub> H <sub>5</sub>  | 2-nitro-3-imidazole                        |  |
| 690 | NH(CO)C <sub>2</sub> H <sub>5</sub>  | 5-thiazole                                 |  |
| 691 | NH(CO)C <sub>2</sub> H <sub>5</sub>  | 5-oxazole                                  |  |
| 692 | NH(CO)C <sub>2</sub> H <sub>5</sub>  | 4-pyazole                                  |  |
| 693 | NH(CO)C <sub>2</sub> H <sub>5</sub>  | phenylethyl                                |  |
| 694 | NH(CO)C <sub>2</sub> H <sub>5</sub>  | 2-aminophenylethyl                         |  |
| 695 | NH(CO)C <sub>2</sub> H <sub>5</sub>  | 2-methylsulfonylamino-phenylethyl          |  |
| 696 | NH(CO)C <sub>2</sub> H <sub>5</sub>  | 2-trifluoromethylsulfonylamino-phenylethyl |  |
| 697 | NH(CO)C <sub>2</sub> H <sub>5</sub>  | 2-hydroxymethylene-phenylethyl             |  |
| 698 | NH(CO)C <sub>2</sub> H <sub>5</sub>  | 2-aminomethylene-phenylethyl               |  |
| 699 | NH(CO)C <sub>2</sub> H <sub>5</sub>  | 2-tetrazolephenylethyl                     |  |
| 700 | NH(CO)C <sub>2</sub> H <sub>5</sub>  | 2-tert-butylamino-sulfonylphenylethyl      |  |
| 701 | NH(CO)C <sub>2</sub> H <sub>5</sub>  | 2-aminosulfonyl-phenylethyl                |  |
| 702 | NH(CO)C <sub>2</sub> H <sub>5</sub>  | 2-methoxyphenylethyl                       |  |
| 703 | NH(CO)C <sub>2</sub> H <sub>5</sub>  | 3-aminophenylethyl                         |  |
| 704 | NH(CO)C <sub>2</sub> H <sub>5</sub>  | 3-methylsulfonylamino-phenylethyl          |  |
| 705 | NH(CO)C <sub>2</sub> H <sub>5</sub>  | 3-trifluoromethylsulfonylamino-phenylethyl |  |
| 706 | NH(CO)C <sub>2</sub> H <sub>5</sub>  | 3-hydroxymethylene-phenylethyl             |  |
| 707 | NH(CO)C <sub>2</sub> H <sub>5</sub>  | 3-aminomethylene-phenylethyl               |  |
| 708 | NH(CO)C <sub>2</sub> H <sub>5</sub>  | 3-tetrazolephenylethyl                     |  |
| 709 | NH(CO)C <sub>2</sub> H <sub>5</sub>  | 3-tert-butylamino-sulfonylphenylethyl      |  |
| 710 | NH(CO)C <sub>2</sub> H <sub>5</sub>  | 3-aminosulfonyl-phenylethyl                |  |
| 711 | NH(CO)C <sub>2</sub> H <sub>5</sub>  | 3-methoxyphenylethyl                       |  |
| 712 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | H                                          |  |
| 713 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | methyl                                     |  |
| 714 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | ethyl                                      |  |
| 715 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | n-propyl                                   |  |
| 716 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | n-butyl                                    |  |
| 717 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | n-pentyl                                   |  |
| 718 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | n-hexanyl                                  |  |
| 719 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | n-heptyl                                   |  |
| 720 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | isopropyl                                  |  |
| 721 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | tert-butyl                                 |  |
| 722 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | cyclopropyl                                |  |
| 723 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | cyclobutanyl                               |  |
| 724 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | cyclopentanyl                              |  |
| 725 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | cyclohexanyl                               |  |
| 726 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | cycloheptyl                                |  |
| 727 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | phenyl                                     |  |
| 728 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | phenylmethyl                               |  |
| 729 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 3-hydroxyphenyl                            |  |
| 730 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 3-hydroxy-4-methoxyphenyl                  |  |
| 731 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 3-fluorophenyl                             |  |
| 732 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 3-chlorophenyl                             |  |

|     |                                        |                                             |  |
|-----|----------------------------------------|---------------------------------------------|--|
| 733 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 3-nitrophenyl                               |  |
| 734 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 3-aminophenyl                               |  |
| 735 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 3-methyl-sulfonamidephenyl                  |  |
| 736 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 3-trifluoro-methylsulfonamidephenyl         |  |
| 737 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 3-Ac-NHphenyl                               |  |
| 738 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 3-Boc-NHphenyl                              |  |
| 739 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 3-Cbz-NHphenyl                              |  |
| 740 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 3-aminomethylenephenyl                      |  |
| 741 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 3-aminoethylenephenyl                       |  |
| 742 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 3-cyanophenyl                               |  |
| 743 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 3-cyanomethylphenyl                         |  |
| 744 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 3-hydroxy-methylenephenyl                   |  |
| 745 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 3-carboxylphenyl                            |  |
| 746 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 3-mercaptophenyl                            |  |
| 747 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 3-methoxyphenyl                             |  |
| 748 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 3,4-methylenedioxyphenyl                    |  |
| 749 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 3-tetrazolephenyl                           |  |
| 750 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 3-aminosulfonylphenyl                       |  |
| 751 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 3-methylamino-sulfonylphenyl                |  |
| 752 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 3-ethylamino-sulfonylphenyl                 |  |
| 753 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 3-tert-butylamino-sulfonylphenyl            |  |
| 754 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 3-methylsulfonylphenyl                      |  |
| 755 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 4-methoxyphenyl                             |  |
| 756 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 4-phenylphenyl                              |  |
| 757 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 4-(2-hydroxymethylene-phenyl)-phenyl        |  |
| 758 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 4-(2-tert-butylamino-sulfonylphenyl)-phenyl |  |
| 759 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 4-(2-methylamino-sulfonylphenyl)-phenyl     |  |
| 760 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 4-(2-ethylamino-sulfonylphenyl)-phenyl      |  |
| 761 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 4-(2-aminosulfonyl-phenyl)-phenyl           |  |
| 762 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 4-(2-chlorophenyl)-phenyl                   |  |
| 763 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 4-(2-fluorophenyl)-phenyl                   |  |
| 764 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 4-(2,4-dichlorophenyl)-phenyl               |  |
| 765 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 4-(2,6-dichlorophenyl)-phenyl               |  |
| 766 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 4-(3,5-dichlorophenyl)-phenyl               |  |
| 767 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 4-(2,3-dichlorophenyl)-phenyl               |  |
| 768 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 4-(2-methylphenyl)-phenyl                   |  |
| 769 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 4-(2-tetrazole-phenyl)-phenyl               |  |
| 770 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 4-(2-methoxy-phenyl)-phenyl                 |  |
| 771 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 4-(2-tmethyl-phenyl)-phenyl                 |  |
| 772 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 4-(2-formyl-phenyl)-phenyl                  |  |
| 773 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 4-(2-amino-phenyl)-phenyl                   |  |
| 774 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 4-(2-methylamino-phenyl)-phenyl             |  |
| 775 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 4-(2-ethylamino-phenyl)-phenyl              |  |
| 776 | NH (CO) OC <sub>2</sub> H <sub>5</sub> | 4-(2-propylamino-phenyl)-phenyl             |  |

|     |                                      |                                                  |  |
|-----|--------------------------------------|--------------------------------------------------|--|
| 777 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 4-(2-methylsulfonylamino-phenyl)-phenyl          |  |
| 778 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 4-(2-trifluoromethylsulfonylamino-phenyl)-phenyl |  |
| 779 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 4-(3-methylphenyl)-phenyl                        |  |
| 780 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 4-(3-isopropylphenyl)-phenyl                     |  |
| 781 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 4-(3-trifluoromethylsulfonylamino-phenyl)-phenyl |  |
| 782 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 4-(3-methylsulfonylamino-phenyl)-phenyl          |  |
| 783 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 4-(3-amino-phenyl)-phenyl                        |  |
| 784 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 4-(3-nitro-phenyl)-phenyl                        |  |
| 785 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 2-pyridyl                                        |  |
| 786 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 3-pyridyl                                        |  |
| 787 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 4-pyridyl                                        |  |
| 788 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 3-amino-4-pyridyl                                |  |
| 789 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 3-hydroxy-4-pyridyl                              |  |
| 790 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 3-imidazole                                      |  |
| 791 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 2-nitro-3-imidazole                              |  |
| 792 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 5-thiazole                                       |  |
| 793 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 5-oxazole                                        |  |
| 794 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 4-pyazole                                        |  |
| 795 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | phenylethyl                                      |  |
| 796 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 2-aminophenylethyl                               |  |
| 797 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 2-methylsulfonylamino-phenylethyl                |  |
| 798 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 2-trifluoromethylsulfonylamino-phenylethyl       |  |
| 799 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 2-hydroxymethylene-phenylethyl                   |  |
| 800 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 2-aminomethylene-phenylethyl                     |  |
| 801 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 2-tetrazolephenylethyl                           |  |
| 802 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 2-tert-butylamino-sulfonylphenylethyl            |  |
| 803 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 2-aminosulfonyl-phenylethyl                      |  |
| 804 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 2-methoxyphenylethyl                             |  |
| 805 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 3-aminophenylethyl                               |  |
| 806 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 3-methylsulfonylamino-phenylethyl                |  |
| 807 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 3-trifluoromethylsulfonylamino-phenylethyl       |  |
| 808 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 3-hydroxymethylene-phenylethyl                   |  |
| 809 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 3-aminomethylene-phenylethyl                     |  |
| 810 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 3-tetrazolephenylethyl                           |  |
| 811 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 3-tert-butylamino-sulfonylphenylethyl            |  |
| 812 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 3-aminosulfonyl-phenylethyl                      |  |
| 813 | NH(CO)OC <sub>2</sub> H <sub>5</sub> | 3-methoxyphenylethyl                             |  |
| 814 | NH(CO)OCH <sub>3</sub>               | H                                                |  |
| 815 | NH(CO)OCH <sub>3</sub>               | methyl                                           |  |
| 816 | NH(CO)OCH <sub>3</sub>               | ethyl                                            |  |
| 817 | NH(CO)OCH <sub>3</sub>               | n-propyl                                         |  |
| 818 | NH(CO)OCH <sub>3</sub>               | n-butyl                                          |  |

|     |                          |                                             |  |
|-----|--------------------------|---------------------------------------------|--|
| 819 | NH (CO) OCH <sub>3</sub> | n-pentyl                                    |  |
| 820 | NH (CO) OCH <sub>3</sub> | n-hexanyl                                   |  |
| 821 | NH (CO) OCH <sub>3</sub> | n-heptanyl                                  |  |
| 822 | NH (CO) OCH <sub>3</sub> | isopropyl                                   |  |
| 823 | NH (CO) OCH <sub>3</sub> | tert-butyl                                  |  |
| 824 | NH (CO) OCH <sub>3</sub> | cyclopropyl                                 |  |
| 825 | NH (CO) OCH <sub>3</sub> | cyclobutanyl                                |  |
| 826 | NH (CO) OCH <sub>3</sub> | cyclopentanyl                               |  |
| 827 | NH (CO) OCH <sub>3</sub> | cyclohexanyl                                |  |
| 828 | NH (CO) OCH <sub>3</sub> | cycloheptanyl                               |  |
| 829 | NH (CO) OCH <sub>3</sub> | phenyl                                      |  |
| 830 | NH (CO) OCH <sub>3</sub> | phenylmethyl                                |  |
| 831 | NH (CO) OCH <sub>3</sub> | 3-hydroxyphenyl                             |  |
| 832 | NH (CO) OCH <sub>3</sub> | 3-hydroxy-4-methoxyphenyl                   |  |
| 833 | NH (CO) OCH <sub>3</sub> | 3-fluorophenyl                              |  |
| 834 | NH (CO) OCH <sub>3</sub> | 3-chlorophenyl                              |  |
| 835 | NH (CO) OCH <sub>3</sub> | 3-nitrophenyl                               |  |
| 836 | NH (CO) OCH <sub>3</sub> | 3-aminophenyl                               |  |
| 837 | NH (CO) OCH <sub>3</sub> | 3-methylsulfonamidephenyl                   |  |
| 838 | NH (CO) OCH <sub>3</sub> | 3-trifluoro-methylsulfonamidephenyl         |  |
| 839 | NH (CO) OCH <sub>3</sub> | 3-Ac-NHphenyl                               |  |
| 840 | NH (CO) OCH <sub>3</sub> | 3-Boc-NHphenyl                              |  |
| 841 | NH (CO) OCH <sub>3</sub> | 3-Cbz-NHphenyl                              |  |
| 842 | NH (CO) OCH <sub>3</sub> | 3-aminomethylenepheryl                      |  |
| 843 | NH (CO) OCH <sub>3</sub> | 3-aminoethylenepheryl                       |  |
| 844 | NH (CO) OCH <sub>3</sub> | 3-cyanophenyl                               |  |
| 845 | NH (CO) OCH <sub>3</sub> | 3-cyanomethylphenyl                         |  |
| 846 | NH (CO) OCH <sub>3</sub> | 3-hydroxy-methylenepheryl                   |  |
| 847 | NH (CO) OCH <sub>3</sub> | 3-carboxylphenyl                            |  |
| 848 | NH (CO) OCH <sub>3</sub> | 3-mercaptophenyl                            |  |
| 849 | NH (CO) OCH <sub>3</sub> | 3-methoxyphenyl                             |  |
| 850 | NH (CO) OCH <sub>3</sub> | 3,4-methylenedioxyphenyl                    |  |
| 851 | NH (CO) OCH <sub>3</sub> | 3-tetrazolephenyl                           |  |
| 852 | NH (CO) OCH <sub>3</sub> | 3-aminosulfonylphenyl                       |  |
| 853 | NH (CO) OCH <sub>3</sub> | 3-methylamino-sulfonylphenyl                |  |
| 854 | NH (CO) OCH <sub>3</sub> | 3-ethylamino-sulfonylphenyl                 |  |
| 855 | NH (CO) OCH <sub>3</sub> | 3-tert-butylamino-sulfonylphenyl            |  |
| 856 | NH (CO) OCH <sub>3</sub> | 3-methylsulfonylphenyl                      |  |
| 857 | NH (CO) OCH <sub>3</sub> | 4-methoxyphenyl                             |  |
| 858 | NH (CO) OCH <sub>3</sub> | 4-phenylphenyl                              |  |
| 859 | NH (CO) OCH <sub>3</sub> | 4-(2-hydroxymethylene-phenyl)-phenyl        |  |
| 860 | NH (CO) OCH <sub>3</sub> | 4-(2-tert-butylamino-sulfonylphenyl)-phenyl |  |
| 861 | NH (CO) OCH <sub>3</sub> | 4-(2-methylamino-sulfonylphenyl)-phenyl     |  |
| 862 | NH (CO) OCH <sub>3</sub> | 4-(2-ethylamino-sulfonylphenyl)-phenyl      |  |
| 863 | NH (CO) OCH <sub>3</sub> | 4-(2-aminosulfonyl-phenyl)-phenyl           |  |
| 864 | NH (CO) OCH <sub>3</sub> | 4-(2-chlorophenyl)-phenyl                   |  |
| 865 | NH (CO) OCH <sub>3</sub> | 4-(2-fluorophenyl)-phenyl                   |  |
| 866 | NH (CO) OCH <sub>3</sub> | 4-(2,4-dichlorophenyl)-phenyl               |  |
| 867 | NH (CO) OCH <sub>3</sub> | 4-(2,6-dichlorophenyl)-phenyl               |  |

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|-----|------------------------|--------------------------------------------------|--|
| 868 | NH(CO)OCH <sub>3</sub> | 4-(3,5-dichlorophenyl)-phenyl                    |  |
| 869 | NH(CO)OCH <sub>3</sub> | 4-(2,3-dichlorophenyl)-phenyl                    |  |
| 870 | NH(CO)OCH <sub>3</sub> | 4-(2-methylphenyl)-phenyl                        |  |
| 871 | NH(CO)OCH <sub>3</sub> | 4-(2-tetrazole-phenyl)-phenyl                    |  |
| 872 | NH(CO)OCH <sub>3</sub> | 4-(2-methoxy-phenyl)-phenyl                      |  |
| 873 | NH(CO)OCH <sub>3</sub> | 4-(2-tmethyl-phenyl)-phenyl                      |  |
| 874 | NH(CO)OCH <sub>3</sub> | 4-(2-formyl-phenyl)-phenyl                       |  |
| 875 | NH(CO)OCH <sub>3</sub> | 4-(2-amino-phenyl)-phenyl                        |  |
| 876 | NH(CO)OCH <sub>3</sub> | 4-(2-methylamino-phenyl)-phenyl                  |  |
| 877 | NH(CO)OCH <sub>3</sub> | 4-(2-ethylamino-phenyl)-phenyl                   |  |
| 878 | NH(CO)OCH <sub>3</sub> | 4-(2-propylamino-phenyl)-phenyl                  |  |
| 879 | NH(CO)OCH <sub>3</sub> | 4-(2-methylsulfonylamino-phenyl)-phenyl          |  |
| 880 | NH(CO)OCH <sub>3</sub> | 4-(2-trifluoromethylsulfonylamino-phenyl)-phenyl |  |
| 881 | NH(CO)OCH <sub>3</sub> | 4-(3-methylphenyl)-phenyl                        |  |
| 882 | NH(CO)OCH <sub>3</sub> | 4-(3-isopropylphenyl)-phenyl                     |  |
| 883 | NH(CO)OCH <sub>3</sub> | 4-(3-trifluoromethylsulfonylamino-phenyl)-phenyl |  |
| 884 | NH(CO)OCH <sub>3</sub> | 4-(3-methylsulfonylamino-phenyl)-phenyl          |  |
| 885 | NH(CO)OCH <sub>3</sub> | 4-(3-amino-phenyl)-phenyl                        |  |
| 886 | NH(CO)OCH <sub>3</sub> | 4-(3-nitro-phenyl)-phenyl                        |  |
| 887 | NH(CO)OCH <sub>3</sub> | 2-pyridyl                                        |  |
| 888 | NH(CO)OCH <sub>3</sub> | 3-pyridyl                                        |  |
| 889 | NH(CO)OCH <sub>3</sub> | 4-pyridyl                                        |  |
| 890 | NH(CO)OCH <sub>3</sub> | 3-amino-4-pyridyl                                |  |
| 891 | NH(CO)OCH <sub>3</sub> | 3-hydroxy-4-pyridyl                              |  |
| 892 | NH(CO)OCH <sub>3</sub> | 3-imidazole                                      |  |
| 893 | NH(CO)OCH <sub>3</sub> | 2-nitro-3-imidazole                              |  |
| 894 | NH(CO)OCH <sub>3</sub> | 5-thiazole                                       |  |
| 895 | NH(CO)OCH <sub>3</sub> | 5-oxazole                                        |  |
| 896 | NH(CO)OCH <sub>3</sub> | 4-pyazole                                        |  |
| 897 | NH(CO)OCH <sub>3</sub> | phenylethyl                                      |  |
| 898 | NH(CO)OCH <sub>3</sub> | 2-aminophenylethyl                               |  |
| 899 | NH(CO)OCH <sub>3</sub> | 2-methylsulfonylamino-phenylethyl                |  |
| 900 | NH(CO)OCH <sub>3</sub> | 2-trifluoromethylsulfonylamino-phenylethyl       |  |
| 901 | NH(CO)OCH <sub>3</sub> | 2-hydroxymethylene-phenylethyl                   |  |
| 902 | NH(CO)OCH <sub>3</sub> | 2-aminomethylene-phenylethyl                     |  |
| 903 | NH(CO)OCH <sub>3</sub> | 2-tetrazolephenylethyl                           |  |
| 904 | NH(CO)OCH <sub>3</sub> | 2-tert-butylamino-sulfonylphenylethyl            |  |
| 905 | NH(CO)OCH <sub>3</sub> | 2-aminosulfonyl-phenylethyl                      |  |
| 906 | NH(CO)OCH <sub>3</sub> | 2-methoxyphenylethyl                             |  |
| 907 | NH(CO)OCH <sub>3</sub> | 3-aminophenylethyl                               |  |
| 908 | NH(CO)OCH <sub>3</sub> | 3-methylsulfonylamino-phenylethyl                |  |

|     |                        |                                             |
|-----|------------------------|---------------------------------------------|
| 909 | NH(CO)OCH <sub>3</sub> | 3-trifluoromethyl-sulfonylamino-phenylethyl |
| 910 | NH(CO)OCH <sub>3</sub> | 3-hydroxymethylene-phenylethyl              |
| 911 | NH(CO)OCH <sub>3</sub> | 3-aminomethylene-phenylethyl                |
| 912 | NH(CO)OCH <sub>3</sub> | 3-tetrazolephenylethyl                      |
| 913 | NH(CO)OCH <sub>3</sub> | 3-tert-butylamino-sulfonylphenylethyl       |
| 914 | NH(CO)OCH <sub>3</sub> | 3-aminosulfonyl-phenylethyl                 |
| 915 | NH(CO)OCH <sub>3</sub> | 3-methoxyphenylethyl                        |
| 916 | NHBoc                  | H                                           |
| 917 | NHBoc                  | methyl                                      |
| 918 | NHBoc                  | ethyl                                       |
| 919 | NHBoc                  | n-propyl                                    |
| 920 | NHBoc                  | n-butyl                                     |
| 921 | NHBoc                  | n-pentyl                                    |
| 922 | NHBoc                  | n-hexanyl                                   |
| 923 | NHBoc                  | n-heptanyl                                  |
| 924 | NHBoc                  | isopropyl                                   |
| 925 | NHBoc                  | tert-butyl                                  |
| 926 | NHBoc                  | cyclopropyl                                 |
| 927 | NHBoc                  | cyclobutanyl                                |
| 928 | NHBoc                  | cyclopentanyl                               |
| 929 | NHBoc                  | cyclohexanyl                                |
| 930 | NHBoc                  | cycloheptanyl                               |
| 931 | NHBoc                  | phenyl                                      |
| 932 | NHBoc                  | phenylmethyl                                |
| 933 | NHBoc                  | 3-hydroxyphenyl                             |
| 934 | NHBoc                  | 3-hydroxy-4-methoxyphenyl                   |
| 935 | NHBoc                  | 3-fluorophenyl                              |
| 936 | NHBoc                  | 3-chlorophenyl                              |
| 937 | NHBoc                  | 3-nitrophenyl                               |
| 938 | NHBoc                  | 3-aminophenyl                               |
| 939 | NHBoc                  | 3-methyl-sulfonamidephenyl                  |
| 940 | NHBoc                  | 3-trifluoro-methylsulfonamidephenyl         |
| 941 | NHBoc                  | 3-Ac-NHphenyl                               |
| 942 | NHBoc                  | 3-Boc-NHphenyl                              |
| 943 | NHBoc                  | 3-Cbz-NHphenyl                              |
| 944 | NHBoc                  | 3-aminomethylenephenyl                      |
| 945 | NHBoc                  | 3-aminoethylenephenyl                       |
| 946 | NHBoc                  | 3-cyanophenyl                               |
| 947 | NHBoc                  | 3-cyanomethylphenyl                         |
| 948 | NHBoc                  | 3-hydroxymethylenephenyl                    |
| 949 | NHBoc                  | 3-carboxylphenyl                            |
| 950 | NHBoc                  | 3-mercaptophenyl                            |
| 951 | NHBoc                  | 3-methoxyphenyl                             |
| 952 | NHBoc                  | 3,4-methylenedioxyphenyl                    |
| 953 | NHBoc                  | 3-tetrazolephenyl                           |
| 954 | NHBoc                  | 3-aminosulfonylphenyl                       |
| 955 | NHBoc                  | 3-methylamino-sulfonylphenyl                |
| 956 | NHBoc                  | 3-ethylamino-sulfonylphenyl                 |
| 957 | NHBoc                  | 3-tert-butylamino-sulfonylphenyl            |
| 958 | NHBoc                  | 3-methylsulfonylphenyl                      |
| 959 | NHBoc                  | 4-methoxyphenyl                             |
| 960 | NHBoc                  | 4-phenylphenyl                              |
| 961 | NHBoc                  | 4-(2-hydroxymethylene-phenyl)-phenyl        |
| 962 | NHBoc                  | 4-(2-tert-butylamino-sulfonylphenyl)-phenyl |

|      |       |                                                  |  |
|------|-------|--------------------------------------------------|--|
| 963  | NHBoc | 4-(2-methylamino-sulfonylphenyl)-phenyl          |  |
| 964  | NHBoc | 4-(2-ethylamino-sulfonylphenyl)-phenyl           |  |
| 965  | NHBoc | 4-(2-aminosulfonyl-phenyl)-phenyl                |  |
| 966  | NHBoc | 4-(2-chlorophenyl)-phenyl                        |  |
| 967  | NHBoc | 4-(2-fluorophenyl)-phenyl                        |  |
| 968  | NHBoc | 4-(2,4-dichlorophenyl)-phenyl                    |  |
| 969  | NHBoc | 4-(2,6-dichlorophenyl)-phenyl                    |  |
| 970  | NHBoc | 4-(3,5-dichlorophenyl)-phenyl                    |  |
| 971  | NHBoc | 4-(2,3-dichlorophenyl)-phenyl                    |  |
| 972  | NHBoc | 4-(2-methylphenyl)-phenyl                        |  |
| 973  | NHBoc | 4-(2-tetrazole-phenyl)-phenyl                    |  |
| 974  | NHBoc | 4-(2-methoxy-phenyl)-phenyl                      |  |
| 975  | NHBoc | 4-(2-tmethyl-phenyl)-phenyl                      |  |
| 976  | NHBoc | 4-(2-formyl-phenyl)-phenyl                       |  |
| 977  | NHBoc | 4-(2-amino-phenyl)-phenyl                        |  |
| 978  | NHBoc | 4-(2-methylamino-phenyl)-phenyl                  |  |
| 979  | NHBoc | 4-(2-ethylamino-phenyl)-phenyl                   |  |
| 980  | NHBoc | 4-(2-propylamino-phenyl)-phenyl                  |  |
| 981  | NHBoc | 4-(2-methylsulfonylamino-phenyl)-phenyl          |  |
| 982  | NHBoc | 4-(2-trifluoromethylsulfonylamino-phenyl)-phenyl |  |
| 983  | NHBoc | 4-(3-methylphenyl)-phenyl                        |  |
| 984  | NHBoc | 4-(3-isopropylphenyl)-phenyl                     |  |
| 985  | NHBoc | 4-(3-trifluoromethylsulfonylamino-phenyl)-phenyl |  |
| 986  | NHBoc | 4-(3-methylsulfonylamino-phenyl)-phenyl          |  |
| 987  | NHBoc | 4-(3-amino-phenyl)-phenyl                        |  |
| 988  | NHBoc | 4-(3-nitro-phenyl)-phenyl                        |  |
| 989  | NHBoc | 2-pyridyl                                        |  |
| 990  | NHBoc | 3-pyridyl                                        |  |
| 991  | NHBoc | 4-pyridyl                                        |  |
| 992  | NHBoc | 3-amino-4-pyridyl                                |  |
| 993  | NHBoc | 3-hydroxy-4-pyridyl                              |  |
| 994  | NHBoc | 3-imidazole                                      |  |
| 995  | NHBoc | 2-nitro-3-imidazole                              |  |
| 996  | NHBoc | 5-thiazole                                       |  |
| 997  | NHBoc | 5-oxazole                                        |  |
| 998  | NHBoc | 4-pyazole                                        |  |
| 999  | NHBoc | phenylethyl                                      |  |
| 1000 | NHBoc | 2-aminophenylethyl                               |  |
| 1001 | NHBoc | 2-methylsulfonylamino-phenylethyl                |  |
| 1002 | NHBoc | 2-trifluoromethylsulfonylamino-phenylethyl       |  |
| 1003 | NHBoc | 2-hydroxymethylene-phenylethyl                   |  |
| 1004 | NHBoc | 2-aminomethylene-phenylethyl                     |  |

|      |                                   |                                            |  |
|------|-----------------------------------|--------------------------------------------|--|
| 1005 | NHBoc                             | 2-tetrazolephenylethyl                     |  |
| 1006 | NHBoc                             | 2-tert-butylamino-sulfonylphenylethyl      |  |
| 1007 | NHBoc                             | 2-aminosulfonyl-phenylethyl                |  |
| 1008 | NHBoc                             | 2-methoxyphenylethyl                       |  |
| 1009 | NHBoc                             | 3-aminophenylethyl                         |  |
| 1010 | NHBoc                             | 3-methylsulfonylamino-phenylethyl          |  |
| 1011 | NHBoc                             | 3-trifluoromethylsulfonylamino-phenylethyl |  |
| 1012 | NHBoc                             | 3-hydroxymethylene-phenylethyl             |  |
| 1013 | NHBoc                             | 3-aminomethylene-phenylethyl               |  |
| 1014 | NHBoc                             | 3-tetrazolephenylethyl                     |  |
| 1015 | NHBoc                             | 3-tert-butylamino-sulfonylphenylethyl      |  |
| 1016 | NHBoc                             | 3-aminosulfonyl-phenylethyl                |  |
| 1017 | NHBoc                             | 3-methoxyphenylethyl                       |  |
| 1018 | NH(CO)OCH <sub>2</sub> -4-pyridyl | H                                          |  |
| 1019 | NH(CO)OCH <sub>2</sub> -4-pyridyl | methyl                                     |  |
| 1020 | NH(CO)OCH <sub>2</sub> -4-pyridyl | ethyl                                      |  |
| 1021 | NH(CO)OCH <sub>2</sub> -4-pyridyl | n-propyl                                   |  |
| 1022 | NH(CO)OCH <sub>2</sub> -4-pyridyl | n-butyl                                    |  |
| 1023 | NH(CO)OCH <sub>2</sub> -4-pyridyl | n-pentyl                                   |  |
| 1024 | NH(CO)OCH <sub>2</sub> -4-pyridyl | n-hexanyl                                  |  |
| 1025 | NH(CO)OCH <sub>2</sub> -4-pyridyl | n-heptanyl                                 |  |
| 1026 | NH(CO)OCH <sub>2</sub> -4-pyridyl | isopropyl                                  |  |
| 1027 | NH(CO)OCH <sub>2</sub> -4-pyridyl | tert-butyl                                 |  |
| 1028 | NH(CO)OCH <sub>2</sub> -4-pyridyl | cyclopropyl                                |  |
| 1029 | NH(CO)OCH <sub>2</sub> -4-pyridyl | cyclobutanyl                               |  |
| 1030 | NH(CO)OCH <sub>2</sub> -4-pyridyl | cyclopentanyl                              |  |
| 1031 | NH(CO)OCH <sub>2</sub> -4-pyridyl | cyclohexanyl                               |  |
| 1032 | NH(CO)OCH <sub>2</sub> -4-pyridyl | cycloheptanyl                              |  |
| 1033 | NH(CO)OCH <sub>2</sub> -4-pyridyl | phenyl                                     |  |
| 1034 | NH(CO)OCH <sub>2</sub> -4-pyridyl | phenylmethyl                               |  |
| 1035 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 3-hydroxyphenyl                            |  |
| 1036 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 3-hydroxy-4-methoxyphenyl                  |  |
| 1037 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 3-fluorophenyl                             |  |
| 1038 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 3-chlorophenyl                             |  |
| 1039 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 3-nitrophenyl                              |  |
| 1040 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 3-aminophenyl                              |  |
| 1041 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 3-methyl-sulfonamidephenyl                 |  |
| 1042 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 3-trifluoromethylsulfonamidephenyl         |  |
| 1043 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 3-Ac-NHphenyl                              |  |
| 1044 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 3-Boc-NHphenyl                             |  |
| 1045 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 3-Cbz-NHphenyl                             |  |
| 1046 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 3-aminomethylenepheryl                     |  |
| 1047 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 3-aminoethylenepheryl                      |  |
| 1048 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 3-cyanophenyl                              |  |
| 1049 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 3-cyanomethylphenyl                        |  |
| 1050 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 3-hydroxymethylenepheryl                   |  |
| 1051 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 3-carboxylphenyl                           |  |
| 1052 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 3-mercaptophenyl                           |  |
| 1053 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 3-methoxyphenyl                            |  |
| 1054 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 3,4-methylenedioxophenyl                   |  |
| 1055 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 3-tetrazolephenyl                          |  |

|      |                                   |                                                  |  |
|------|-----------------------------------|--------------------------------------------------|--|
| 1056 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 3-aminosulfonylphenyl                            |  |
| 1057 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 3-methylamino-sulfonylphenyl                     |  |
| 1058 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 3-ethylamino-sulfonylphenyl                      |  |
| 1059 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 3-tert-butylamino-sulfonylphenyl                 |  |
| 1060 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 3-methylsulfonylphenyl                           |  |
| 1061 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 4-methoxyphenyl                                  |  |
| 1062 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 4-phenylphenyl                                   |  |
| 1063 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 4-(2-hydroxymethylene-phenyl)-phenyl             |  |
| 1064 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 4-(2-tertbutylamino-sulfonylphenyl)-phenyl       |  |
| 1065 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 4-(2-methylamino-sulfonylphenyl)-phenyl          |  |
| 1066 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 4-(2-ethylamino-sulfonylphenyl)-phenyl           |  |
| 1067 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 4-(2-aminosulfonyl-phenyl)-phenyl                |  |
| 1068 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 4-(2-chlorophenyl)-phenyl                        |  |
| 1069 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 4-(2-fluorophenyl)-phenyl                        |  |
| 1070 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 4-(2,4-dichlorophenyl)-phenyl                    |  |
| 1071 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 4-(2,6-dichlorophenyl)-phenyl                    |  |
| 1072 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 4-(3,5-dichlorophenyl)-phenyl                    |  |
| 1073 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 4-(2,3-dichlorophenyl)-phenyl                    |  |
| 1074 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 4-(2-methylphenyl)-phenyl                        |  |
| 1075 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 4-(2-tetrazole-phenyl)-phenyl                    |  |
| 1076 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 4-(2-methoxy-phenyl)-phenyl                      |  |
| 1077 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 4-(2-tmethyl-phenyl)-phenyl                      |  |
| 1078 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 4-(2-formyl-phenyl)-phenyl                       |  |
| 1079 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 4-(2-amino-phenyl)-phenyl                        |  |
| 1080 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 4-(2-methylamino-phenyl)-phenyl                  |  |
| 1081 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 4-(2-ethylamino-phenyl)-phenyl                   |  |
| 1082 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 4-(2-propylamino-phenyl)-phenyl                  |  |
| 1083 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 4-(2-methylsulfonylamino-phenyl)-phenyl          |  |
| 1084 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 4-(2-trifluoromethylsulfonylamino-phenyl)-phenyl |  |
| 1085 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 4-(3-methylphenyl)-phenyl                        |  |
| 1086 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 4-(3-isopropylphenyl)-phenyl                     |  |
| 1087 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 4-(3-trifluoromethylsulfonylamino-phenyl)-phenyl |  |
| 1088 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 4-(3-methylsulfonylamino-phenyl)-phenyl          |  |
| 1089 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 4-(3-amino-phenyl)-phenyl                        |  |
| 1090 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 4-(3-nitro-phenyl)-phenyl                        |  |
| 1091 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 2-pyridyl                                        |  |
| 1092 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 3-pyridyl                                        |  |
| 1093 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 4-pyridyl                                        |  |
| 1094 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 3-amino-4-pyridyl                                |  |
| 1095 | NH(CO)OCH <sub>2</sub> -4-pyridyl | 3-hydroxy-4-pyridyl                              |  |

|      |                                     |                                            |  |
|------|-------------------------------------|--------------------------------------------|--|
| 1096 | NH(CO)OCH <sub>2</sub> -4-pyridyl   | 3-imidazole                                |  |
| 1097 | NH(CO)OCH <sub>2</sub> -4-pyridyl   | 2-nitro-3-imidazole                        |  |
| 1098 | NH(CO)OCH <sub>2</sub> -4-pyridyl   | 5-thiazole                                 |  |
| 1099 | NH(CO)OCH <sub>2</sub> -4-pyridyl   | 5-oxazole                                  |  |
| 1100 | NH(CO)OCH <sub>2</sub> -4-pyridyl   | 4-pyazole                                  |  |
| 1101 | NH(CO)OCH <sub>2</sub> -4-pyridyl   | phenylethyl                                |  |
| 1102 | NH(CO)OCH <sub>2</sub> -4-pyridyl   | 2-aminophenylethyl                         |  |
| 1103 | NH(CO)OCH <sub>2</sub> -4-pyridyl   | 2-methylsulfonylamino-phenylethyl          |  |
| 1104 | NH(CO)OCH <sub>2</sub> -4-pyridyl   | 2-trifluoromethylsulfonylamino-phenylethyl |  |
| 1105 | NH(CO)OCH <sub>2</sub> -4-pyridyl   | 2-hydroxymethylene-phenylethyl             |  |
| 1106 | NH(CO)OCH <sub>2</sub> -4-pyridyl   | 2-aminomethylene-phenylethyl               |  |
| 1107 | NH(CO)OCH <sub>2</sub> -4-pyridyl   | 2-tetrazolephenylethyl                     |  |
| 1108 | NH(CO)OCH <sub>2</sub> -4-pyridyl   | 2-tertbutylamino-sulfonylphenylethyl       |  |
| 1109 | NH(CO)OCH <sub>2</sub> -4-pyridyl   | 2-aminosulfonyl-phenylethyl                |  |
| 1110 | NH(CO)OCH <sub>2</sub> -4-pyridyl   | 2-methoxyphenylethyl                       |  |
| 1111 | NH(CO)OCH <sub>2</sub> -4-pyridyl   | 3-aminophenylethyl                         |  |
| 1112 | NH(CO)OCH <sub>2</sub> -4-pyridyl   | 3-methylsulfonylamino-phenylethyl          |  |
| 1113 | NH(CO)OCH <sub>2</sub> -4-pyridyl   | 3-trifluoromethylsulfonylamino-phenylethyl |  |
| 1114 | NH(CO)OCH <sub>2</sub> -4-pyridyl   | 3-hydroxymethylene-phenylethyl             |  |
| 1115 | NH(CO)OCH <sub>2</sub> -4-pyridyl   | 3-aminomethylene-phenylethyl               |  |
| 1116 | NH(CO)OCH <sub>2</sub> -4-pyridyl   | 3-tetrazolephenylethyl                     |  |
| 1117 | NH(CO)OCH <sub>2</sub> -4-pyridyl   | 3-tert-butylamino-sulfonylphenylethyl      |  |
| 1118 | NH(CO)OCH <sub>2</sub> -4-pyridyl   | 3-aminosulfonyl-phenylethyl                |  |
| 1119 | NH(CO)OCH <sub>2</sub> -4-pyridyl   | 3-methoxyphenylethyl                       |  |
| 1120 | NHS(O <sub>2</sub> )CH <sub>3</sub> | H                                          |  |
| 1121 | NHS(O <sub>2</sub> )CH <sub>3</sub> | methyl                                     |  |
| 1122 | NHS(O <sub>2</sub> )CH <sub>3</sub> | ethyl                                      |  |
| 1123 | NHS(O <sub>2</sub> )CH <sub>3</sub> | n-propyl                                   |  |
| 1124 | NHS(O <sub>2</sub> )CH <sub>3</sub> | n-butyl                                    |  |
| 1125 | NHS(O <sub>2</sub> )CH <sub>3</sub> | n-pentyl                                   |  |
| 1126 | NHS(O <sub>2</sub> )CH <sub>3</sub> | n-hexanyl                                  |  |
| 1127 | NHS(O <sub>2</sub> )CH <sub>3</sub> | n-heptanyl                                 |  |
| 1128 | NHS(O <sub>2</sub> )CH <sub>3</sub> | isopropyl                                  |  |
| 1129 | NHS(O <sub>2</sub> )CH <sub>3</sub> | tert-butyl                                 |  |
| 1130 | NHS(O <sub>2</sub> )CH <sub>3</sub> | cyclopropyl                                |  |
| 1131 | NHS(O <sub>2</sub> )CH <sub>3</sub> | cyclobutanyl                               |  |
| 1132 | NHS(O <sub>2</sub> )CH <sub>3</sub> | cyclopentanyl                              |  |
| 1133 | NHS(O <sub>2</sub> )CH <sub>3</sub> | cyclohexanyl                               |  |
| 1134 | NHS(O <sub>2</sub> )CH <sub>3</sub> | cycloheptanyl                              |  |
| 1135 | NHS(O <sub>2</sub> )CH <sub>3</sub> | phenyl                                     |  |
| 1136 | NHS(O <sub>2</sub> )CH <sub>3</sub> | phenylmethyl                               |  |
| 1137 | NHS(O <sub>2</sub> )CH <sub>3</sub> | 3-hydroxyphenyl                            |  |
| 1138 | NHS(O <sub>2</sub> )CH <sub>3</sub> | 3-hydroxy-4-methoxyphenyl                  |  |
| 1139 | NHS(O <sub>2</sub> )CH <sub>3</sub> | 3-fluorophenyl                             |  |
| 1140 | NHS(O <sub>2</sub> )CH <sub>3</sub> | 3-chlorophenyl                             |  |
| 1141 | NHS(O <sub>2</sub> )CH <sub>3</sub> | 3-nitrophenyl                              |  |
| 1142 | NHS(O <sub>2</sub> )CH <sub>3</sub> | 3-aminophenyl                              |  |

|      |                                       |                                             |
|------|---------------------------------------|---------------------------------------------|
| 1143 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 3-methyl-sulfonamidephenyl                  |
| 1144 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 3-trifluoro-methylsulfonamidephenyl         |
| 1145 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 3-Ac-NHphenyl                               |
| 1146 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 3-Boc-NHphenyl                              |
| 1147 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 3-Cbz-NHphenyl                              |
| 1148 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 3-aminomethylenephenyl                      |
| 1149 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 3-aminoethylenephenyl                       |
| 1150 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 3-cyanophenyl                               |
| 1151 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 3-cyanomethylphenyl                         |
| 1152 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 3-hydroxymethylenephenyl                    |
| 1153 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 3-carboxylphenyl                            |
| 1154 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 3-mercaptophenyl                            |
| 1155 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 3-methoxyphenyl                             |
| 1156 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 3,4-methylenedioxyphenyl                    |
| 1157 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 3-tetrazolephenyl                           |
| 1158 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 3-aminosulfonylphenyl                       |
| 1159 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 3-methylamino-sulfonylphenyl                |
| 1160 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 3-ethylamino-sulfonylphenyl                 |
| 1161 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 3-tertbutylamino-sulfonylphenyl             |
| 1162 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 3-methylsulfonylphenyl                      |
| 1163 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 4-methoxyphenyl                             |
| 1164 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 4-phenylphenyl                              |
| 1165 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 4-(2-hydroxymethylene-phenyl)-phenyl        |
| 1166 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 4-(2-tert-butylamino-sulfonylphenyl)-phenyl |
| 1167 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 4-(2-methylamino-sulfonylphenyl)-phenyl     |
| 1168 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 4-(2-ethylamino-sulfonylphenyl)-phenyl      |
| 1169 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 4-(2-aminosulfonyl-phenyl)-phenyl           |
| 1170 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 4-(2-chlorophenyl)-phenyl                   |
| 1171 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 4-(2-fluorophenyl)-phenyl                   |
| 1172 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 4-(2,4-dichlorophenyl)-phenyl               |
| 1173 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 4-(2,6-dichlorophenyl)-phenyl               |
| 1174 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 4-(3,5-dichlorophenyl)-phenyl               |
| 1175 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 4-(2,3-dichlorophenyl)-phenyl               |
| 1176 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 4-(2-methylphenyl)-phenyl                   |
| 1177 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 4-(2-tetrazole-phenyl)-phenyl               |
| 1178 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 4-(2-methoxy-phenyl)-phenyl                 |
| 1179 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 4-(2-tmethyl-phenyl)-phenyl                 |
| 1180 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 4-(2-formyl-phenyl)-phenyl                  |
| 1181 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 4-(2-amino-phenyl)-phenyl                   |
| 1182 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 4-(2-methylamino-phenyl)-phenyl             |
| 1183 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 4-(2-ethylamino-phenyl)-phenyl              |
| 1184 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 4-(2-propylamino-phenyl)-phenyl             |
| 1185 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 4-(2-methylsulfonyl-aminophenyl)-phenyl     |

|      |                                       |                                                   |  |
|------|---------------------------------------|---------------------------------------------------|--|
| 1186 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 4-(2-trifluoromethylsulfonyl-amino-phenyl)-phenyl |  |
| 1187 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 4-(3-methylphenyl)-phenyl                         |  |
| 1188 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 4-(3-isopropylphenyl)-phenyl                      |  |
| 1189 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 4-(3-trifluoromethylsulfonyl-amino-phenyl)-phenyl |  |
| 1190 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 4-(3-methylsulfonylamino-phenyl)-phenyl           |  |
| 1191 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 4-(3-amino-phenyl)-phenyl                         |  |
| 1192 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 4-(3-nitro-phenyl)-phenyl                         |  |
| 1193 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 2-pyridyl                                         |  |
| 1194 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 3-pyridyl                                         |  |
| 1195 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 4-pyridyl                                         |  |
| 1196 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 3-amino-4-pyridyl                                 |  |
| 1197 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 3-hydroxy-4-pyridyl                               |  |
| 1198 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 3-imidazole                                       |  |
| 1199 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 2-nitro-3-imidazole                               |  |
| 1200 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 5-thiazole                                        |  |
| 1201 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 5-oxazole                                         |  |
| 1202 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 4-pyazole                                         |  |
| 1203 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | phenylethyl                                       |  |
| 1204 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 2-aminophenylethyl                                |  |
| 1205 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 2-methylsulfonylamino-phenylethyl                 |  |
| 1206 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 2-trifluoromethylsulfonylamino-phenylethyl        |  |
| 1207 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 2-hydroxymethylene-phenylethyl                    |  |
| 1208 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 2-aminomethylene-phenylethyl                      |  |
| 1209 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 2-tetrazolephenylethyl                            |  |
| 1210 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 2-tert-butylamino-sulfonylphenylethyl             |  |
| 1211 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 2-aminosulfonyl-phenylethyl                       |  |
| 1212 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 2-methoxyphenylethyl                              |  |
| 1213 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 3-aminophenylethyl                                |  |
| 1214 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 3-methylsulfonylamino-phenylethyl                 |  |
| 1215 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 3-trifluoromethylsulfonylamino-phenylethyl        |  |
| 1216 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 3-hydroxymethylene-phenylethyl                    |  |
| 1217 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 3-aminomethylene-phenylethyl                      |  |
| 1218 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 3-tetrazolephenylethyl                            |  |
| 1219 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 3-tert-butylamino-sulfonylphenylethyl             |  |
| 1220 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 3-aminosulfonyl-phenylethyl                       |  |
| 1221 | NHS (O <sub>2</sub> ) CH <sub>3</sub> | 3-methoxyphenylethyl                              |  |
| 1222 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | H                                                 |  |
| 1223 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | methyl                                            |  |
| 1224 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | ethyl                                             |  |
| 1225 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | n-propyl                                          |  |
| 1226 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | n-butyl                                           |  |
| 1227 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | n-pentyl                                          |  |
| 1228 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | n-hexanyl                                         |  |

|      |                                       |                                            |  |
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| 1229 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | n-heptanyl                                 |  |
| 1230 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | isopropyl                                  |  |
| 1231 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | tert-butyl                                 |  |
| 1232 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | cyclopropyl                                |  |
| 1233 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | cyclobutanyl                               |  |
| 1234 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | cyclopentanyl                              |  |
| 1235 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | cyclohexanyl                               |  |
| 1236 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | cycloheptanyl                              |  |
| 1237 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | phenyl                                     |  |
| 1238 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | phenylmethyl                               |  |
| 1239 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 3-hydroxyphenyl                            |  |
| 1240 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 3-hydroxy-4-methoxyphenyl                  |  |
| 1241 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 3-fluorophenyl                             |  |
| 1242 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 3-chlorophenyl                             |  |
| 1243 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 3-nitrophenyl                              |  |
| 1244 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 3-aminophenyl                              |  |
| 1245 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 3-methyl-sulfonamidophenyl                 |  |
| 1246 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 3-trifluoro-methylsulfonamidophenyl        |  |
| 1247 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 3-Ac-NHphenyl                              |  |
| 1248 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 3-Boc-NHphenyl                             |  |
| 1249 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 3-Cbz-NHphenyl                             |  |
| 1250 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 3-aminomethylenephphenyl                   |  |
| 1251 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 3-aminoethylenephphenyl                    |  |
| 1252 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 3-cyanophenyl                              |  |
| 1253 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 3-cyanomethylphenyl                        |  |
| 1254 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 3-hydroxymethylenephphenyl                 |  |
| 1255 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 3-carboxylphenyl                           |  |
| 1256 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 3-mercaptophenyl                           |  |
| 1257 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 3-methoxyphenyl                            |  |
| 1258 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 3,4-methylenedioxophenyl                   |  |
| 1259 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 3-tetrazolephenyl                          |  |
| 1260 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 3-aminosulfonylphenyl                      |  |
| 1261 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 3-methylamino-sulfonylphenyl               |  |
| 1262 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 3-ethylamino-sulfonylphenyl                |  |
| 1263 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 3-tert-butylamino-sulfonylphenyl           |  |
| 1264 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 3-methylsulfonylphenyl                     |  |
| 1265 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 4-methoxyphenyl                            |  |
| 1266 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 4-phenylphenyl                             |  |
| 1267 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 4-(2-hydroxymethylene-phenyl)-phenyl       |  |
| 1268 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 4-(2-tertbutylamino-sulfonylphenyl)-phenyl |  |
| 1269 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 4-(2-methylamino-sulfonylphenyl)-phenyl    |  |
| 1270 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 4-(2-ethylamino-sulfonylphenyl)-phenyl     |  |
| 1271 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 4-(2-aminosulfonyl-phenyl)-phenyl          |  |
| 1272 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 4-(2-chlorophenyl)-phenyl                  |  |
| 1273 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 4-(2-fluorophenyl)-phenyl                  |  |
| 1274 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 4-(2,4-dichlorophenyl)-phenyl              |  |
| 1275 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 4-(2,6-dichlorophenyl)-phenyl              |  |
| 1276 | NHS (O <sub>2</sub> ) CF <sub>3</sub> | 4-(3,5-dichlorophenyl)-phenyl              |  |

|      |                                     |                                                  |  |
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| 1277 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 4-(2,3-dichlorophenyl)-phenyl                    |  |
| 1278 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 4-(2-methylphenyl)-phenyl                        |  |
| 1279 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 4-(2-tetrazole-phenyl)-phenyl                    |  |
| 1280 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 4-(2-methoxy-phenyl)-phenyl                      |  |
| 1281 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 4-(2-tmethyl-phenyl)-phenyl                      |  |
| 1282 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 4-(2-formyl-phenyl)-phenyl                       |  |
| 1283 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 4-(2-amino-phenyl)-phenyl                        |  |
| 1284 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 4-(2-methylamino-phenyl)-phenyl                  |  |
| 1285 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 4-(2-ethylamino-phenyl)-phenyl                   |  |
| 1286 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 4-(2-propylamino-phenyl)-phenyl                  |  |
| 1287 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 4-(2-methylsulfonylamino-phenyl)-phenyl          |  |
| 1288 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 4-(2-trifluoromethylsulfonylamino-phenyl)-phenyl |  |
| 1289 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 4-(3-methylphenyl)-phenyl                        |  |
| 1290 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 4-(3-isopropylphenyl)-phenyl                     |  |
| 1291 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 4-(3-trifluoromethylsulfonylamino-phenyl)-phenyl |  |
| 1292 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 4-(3-methylsulfonylamino-phenyl)-phenyl          |  |
| 1293 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 4-(3-amino-phenyl)-phenyl                        |  |
| 1294 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 4-(3-nitro-phenyl)-phenyl                        |  |
| 1295 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 2-pyridyl                                        |  |
| 1296 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 3-pyridyl                                        |  |
| 1297 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 4-pyridyl                                        |  |
| 1298 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 3-amino-4-pyridyl                                |  |
| 1299 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 3-hydroxy-4-pyridyl                              |  |
| 1300 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 3-imidazole                                      |  |
| 1301 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 2-nitro-3-imidazole                              |  |
| 1302 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 5-thiazole                                       |  |
| 1303 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 5-oxazole                                        |  |
| 1304 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 4-pyazole                                        |  |
| 1305 | NHS(O <sub>2</sub> )CF <sub>3</sub> | phenylethyl                                      |  |
| 1306 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 2-aminophenylethyl                               |  |
| 1307 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 2-methylsulfonylamino-phenylethyl                |  |
| 1308 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 2-trifluoromethylsulfonylamino-phenylethyl       |  |
| 1309 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 2-hydroxymethylene-phenylethyl                   |  |
| 1310 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 2-aminomethylene-phenylethyl                     |  |
| 1311 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 2-tetrazolephenylethyl                           |  |
| 1312 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 2-tert-butylamino-sulfonylphenylethyl            |  |
| 1313 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 2-aminosulfonyl-phenylethyl                      |  |
| 1314 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 2-methoxyphenylethyl                             |  |
| 1315 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 3-aminophenylethyl                               |  |
| 1316 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 3-methylsulfonylamino-phenylethyl                |  |
| 1317 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 3-trifluoromethylsulfonylamino-phenylethyl       |  |

|      |                                     |                                             |  |
|------|-------------------------------------|---------------------------------------------|--|
| 1318 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 3-hydroxymethylene-phenylethyl              |  |
| 1319 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 3-aminomethylene-phenylethyl                |  |
| 1320 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 3-tetrazolephenylethyl                      |  |
| 1321 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 3-tertbutylamino-sulfonylphenylethyl        |  |
| 1322 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 3-aminosulfonyl-phenylethyl                 |  |
| 1323 | NHS(O <sub>2</sub> )CF <sub>3</sub> | 3-methoxyphenylethyl                        |  |
| 1324 | 4- aminophenylS(O) 2NH              | H                                           |  |
| 1325 | 4- aminophenylS(O) 2NH              | methyl                                      |  |
| 1326 | 4- aminophenylS(O) 2NH              | ethyl                                       |  |
| 1327 | 4- aminophenylS(O) 2NH              | n-propyl                                    |  |
| 1328 | 4- aminophenylS(O) 2NH              | n-butyl                                     |  |
| 1329 | 4- aminophenylS(O) 2NH              | n-pentyl                                    |  |
| 1330 | 4- aminophenylS(O) 2NH              | n-hexanyl                                   |  |
| 1331 | 4- aminophenylS(O) 2NH              | n-heptanyl                                  |  |
| 1332 | 4- aminophenylS(O) 2NH              | isopropyl                                   |  |
| 1333 | 4- aminophenylS(O) 2NH              | tert-butyl                                  |  |
| 1334 | 4- aminophenylS(O) 2NH              | cyclopropyl                                 |  |
| 1335 | 4- aminophenylS(O) 2NH              | cyclobutanyl                                |  |
| 1336 | 4- aminophenylS(O) 2NH              | cyclopentanyl                               |  |
| 1337 | 4- aminophenylS(O) 2NH              | cyclohexanyl                                |  |
| 1338 | 4- aminophenylS(O) 2NH              | cycloheptanyl                               |  |
| 1339 | 4- aminophenylS(O) 2NH              | phenyl                                      |  |
| 1340 | 4- aminophenylS(O) 2NH              | phenylmethyl                                |  |
| 1341 | 4- aminophenylS(O) 2NH              | 3-hydroxyphenyl                             |  |
| 1342 | 4- aminophenylS(O) 2NH              | 3-hydroxy-4-methoxyphenyl                   |  |
| 1343 | 4- aminophenylS(O) 2NH              | 3-fluorophenyl                              |  |
| 1344 | 4- aminophenylS(O) 2NH              | 3-chlorophenyl                              |  |
| 1345 | 4- aminophenylS(O) 2NH              | 3-nitrophenyl                               |  |
| 1346 | 4- aminophenylS(O) 2NH              | 3-aminophenyl                               |  |
| 1347 | 4- aminophenylS(O) 2NH              | 3-methyl-sulfonamidephenyl                  |  |
| 1348 | 4- aminophenylS(O) 2NH              | 3-trifluoro-methylsulfonamidephenyl         |  |
| 1349 | 4- aminophenylS(O) 2NH              | 3-Ac-NHphenyl                               |  |
| 1350 | 4- aminophenylS(O) 2NH              | 3-Boc-NHphenyl                              |  |
| 1351 | 4- aminophenylS(O) 2NH              | 3-Cbz-NHphenyl                              |  |
| 1352 | 4- aminophenylS(O) 2NH              | 3-aminomethylenephenyl                      |  |
| 1353 | 4- aminophenylS(O) 2NH              | 3-aminoethylenephenyl                       |  |
| 1354 | 4- aminophenylS(O) 2NH              | 3-cyanophenyl                               |  |
| 1355 | 4- aminophenylS(O) 2NH              | 3-cyanomethylphenyl                         |  |
| 1356 | 4- aminophenylS(O) 2NH              | 3-hydroxymethylenephenyl                    |  |
| 1357 | 4- aminophenylS(O) 2NH              | 3-carboxylphenyl                            |  |
| 1358 | 4- aminophenylS(O) 2NH              | 3-mercaptophenyl                            |  |
| 1359 | 4- aminophenylS(O) 2NH              | 3-methoxyphenyl                             |  |
| 1360 | 4- aminophenylS(O) 2NH              | 3,4-methylenedioxyphenyl                    |  |
| 1361 | 4- aminophenylS(O) 2NH              | 3-tetrazolephenyl                           |  |
| 1362 | 4- aminophenylS(O) 2NH              | 3-aminosulfonylphenyl                       |  |
| 1363 | 4- aminophenylS(O) 2NH              | 3-methylamino-sulfonylphenyl                |  |
| 1364 | 4- aminophenylS(O) 2NH              | 3-ethylamino-sulfonylphenyl                 |  |
| 1365 | 4- aminophenylS(O) 2NH              | 3-tert-butylamino-sulfonylphenyl            |  |
| 1366 | 4- aminophenylS(O) 2NH              | 3-methylsulfonylphenyl                      |  |
| 1367 | 4- aminophenylS(O) 2NH              | 4-methoxyphenyl                             |  |
| 1368 | 4- aminophenylS(O) 2NH              | 4-phenylphenyl                              |  |
| 1369 | 4- aminophenylS(O) 2NH              | 4-(2-hydroxymethylene-phenyl)-phenyl        |  |
| 1370 | 4- aminophenylS(O) 2NH              | 4-(2-tert-butylamino-sulfonylphenyl)-phenyl |  |
| 1371 | 4- aminophenylS(O) 2NH              | 4-(2-methylamino-sulfonylphenyl)-phenyl     |  |

|      |                                    |                                                   |  |
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| 1372 | 4- aminophenylS(O) <sub>2</sub> NH | 4- (2-ethylamino-sulfonylphenyl)-phenyl           |  |
| 1373 | 4- aminophenylS(O) <sub>2</sub> NH | 4- (2-aminosulfonyl-phenyl)-phenyl                |  |
| 1374 | 4- aminophenylS(O) <sub>2</sub> NH | 4- (2-chlorophenyl)-phenyl                        |  |
| 1375 | 4- aminophenylS(O) <sub>2</sub> NH | 4- (2-fluorophenyl)-phenyl                        |  |
| 1376 | 4- aminophenylS(O) <sub>2</sub> NH | 4- (2,4-dichlorophenyl)-phenyl                    |  |
| 1377 | 4- aminophenylS(O) <sub>2</sub> NH | 4- (2,6-dichlorophenyl)-phenyl                    |  |
| 1378 | 4- aminophenylS(O) <sub>2</sub> NH | 4- (3,5-dichlorophenyl)-phenyl                    |  |
| 1379 | 4- aminophenylS(O) <sub>2</sub> NH | 4- (2,3-dichlorophenyl)-phenyl                    |  |
| 1380 | 4- aminophenylS(O) <sub>2</sub> NH | 4- (2-methylphenyl)-phenyl                        |  |
| 1381 | 4- aminophenylS(O) <sub>2</sub> NH | 4- (2-tetrazole-phenyl)-phenyl                    |  |
| 1382 | 4- aminophenylS(O) <sub>2</sub> NH | 4- (2-methoxy-phenyl)-phenyl                      |  |
| 1383 | 4- aminophenylS(O) <sub>2</sub> NH | 4- (2-tcmethyl-phenyl)-phenyl                     |  |
| 1384 | 4- aminophenylS(O) <sub>2</sub> NH | 4- (2-formyl-phenyl)-phenyl                       |  |
| 1385 | 4- aminophenylS(O) <sub>2</sub> NH | 4- (2-amino-phenyl)-phenyl                        |  |
| 1386 | 4- aminophenylS(O) <sub>2</sub> NH | 4- (2-methylamino-phenyl)-phenyl                  |  |
| 1387 | 4- aminophenylS(O) <sub>2</sub> NH | 4- (2-ethylamino-phenyl)-phenyl                   |  |
| 1388 | 4- aminophenylS(O) <sub>2</sub> NH | 4- (2-propylamino-phenyl)-phenyl                  |  |
| 1389 | 4- aminophenylS(O) <sub>2</sub> NH | 4- (2-methylsulfonylamino-phenyl)-phenyl          |  |
| 1390 | 4- aminophenylS(O) <sub>2</sub> NH | 4- (2-trifluoromethylsulfonylamino-phenyl)-phenyl |  |
| 1391 | 4- aminophenylS(O) <sub>2</sub> NH | 4- (3-methylphenyl)-phenyl                        |  |
| 1392 | 4- aminophenylS(O) <sub>2</sub> NH | 4- (3-isopropylphenyl)-phenyl                     |  |
| 1393 | 4- aminophenylS(O) <sub>2</sub> NH | 4- (3-trifluoromethylsulfonylamino-phenyl)-phenyl |  |
| 1394 | 4- aminophenylS(O) <sub>2</sub> NH | 4- (3-methylsulfonylamino-phenyl)-phenyl          |  |
| 1395 | 4- aminophenylS(O) <sub>2</sub> NH | 4- (3-amino-phenyl)-phenyl                        |  |
| 1396 | 4- aminophenylS(O) <sub>2</sub> NH | 4- (3-nitro-phenyl)-phenyl                        |  |
| 1397 | 4- aminophenylS(O) <sub>2</sub> NH | 2-pyridyl                                         |  |
| 1398 | 4- aminophenylS(O) <sub>2</sub> NH | 3-pyridyl                                         |  |
| 1399 | 4- aminophenylS(O) <sub>2</sub> NH | 4-pyridyl                                         |  |
| 1400 | 4- aminophenylS(O) <sub>2</sub> NH | 3-amino-4-pyridyl                                 |  |
| 1401 | 4- aminophenylS(O) <sub>2</sub> NH | 3-hydroxy-4-pyridyl                               |  |
| 1402 | 4- aminophenylS(O) <sub>2</sub> NH | 3-imidazole                                       |  |
| 1403 | 4- aminophenylS(O) <sub>2</sub> NH | 2-nitro-3-imidazole                               |  |
| 1404 | 4- aminophenylS(O) <sub>2</sub> NH | 5-thiazole                                        |  |
| 1405 | 4- aminophenylS(O) <sub>2</sub> NH | 5-oxazole                                         |  |
| 1406 | 4- aminophenylS(O) <sub>2</sub> NH | 4-pyazole                                         |  |
| 1407 | 4- aminophenylS(O) <sub>2</sub> NH | phenylethyl                                       |  |
| 1408 | 4- aminophenylS(O) <sub>2</sub> NH | 2-aminophenylethyl                                |  |
| 1409 | 4- aminophenylS(O) <sub>2</sub> NH | 2-methylsulfonylamino-phenylethyl                 |  |
| 1410 | 4- aminophenylS(O) <sub>2</sub> NH | 2-trifluoromethylsulfonylamino-phenylethyl        |  |
| 1411 | 4- aminophenylS(O) <sub>2</sub> NH | 2-hydroxymethylene-phenylethyl                    |  |

|      |                                    |                                            |  |
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| 1412 | 4- aminophenylS(O) <sub>2</sub> NH | 2-aminomethylene-phenylethyl               |  |
| 1413 | 4- aminophenylS(O) <sub>2</sub> NH | 2-tetrazolephenylethyl                     |  |
| 1414 | 4- aminophenylS(O) <sub>2</sub> NH | 2-tert-butylamino-sulfonylphenylethyl      |  |
| 1415 | 4- aminophenylS(O) <sub>2</sub> NH | 2-aminosulfonyl-phenylethyl                |  |
| 1416 | 4- aminophenylS(O) <sub>2</sub> NH | 2-methoxyphenylethyl                       |  |
| 1417 | 4- aminophenylS(O) <sub>2</sub> NH | 3-aminophenylethyl                         |  |
| 1418 | 4- aminophenylS(O) <sub>2</sub> NH | 3-methylsulfonylamino-phenylethyl          |  |
| 1419 | 4- aminophenylS(O) <sub>2</sub> NH | 3-trifluoromethylsulfonylamino-phenylethyl |  |
| 1420 | 4- aminophenylS(O) <sub>2</sub> NH | 3-hydroxymethylene-phenylethyl             |  |
| 1421 | 4- aminophenylS(O) <sub>2</sub> NH | 3-aminomethylene-phenylethyl               |  |
| 1422 | 4- aminophenylS(O) <sub>2</sub> NH | 3-tetrazolephenylethyl                     |  |
| 1423 | 4- aminophenylS(O) <sub>2</sub> NH | 3-tert-butylamino-sulfonylphenylethyl      |  |
| 1424 | 4- aminophenylS(O) <sub>2</sub> NH | 3-aminosulfonyl-phenylethyl                |  |
| 1425 | 4- aminophenylS(O) <sub>2</sub> NH | 3-methoxyphenylethyl                       |  |
| 1426 | NH(CO)NMe <sub>2</sub>             | H                                          |  |
| 1427 | NH(CO)NMe <sub>2</sub>             | methyl                                     |  |
| 1428 | NH(CO)NMe <sub>2</sub>             | ethyl                                      |  |
| 1429 | NH(CO)NMe <sub>2</sub>             | n-propyl                                   |  |
| 1430 | NH(CO)NMe <sub>2</sub>             | n-butyl                                    |  |
| 1431 | NH(CO)NMe <sub>2</sub>             | n-pentyl                                   |  |
| 1432 | NH(CO)NMe <sub>2</sub>             | n-hexanyl                                  |  |
| 1433 | NH(CO)NMe <sub>2</sub>             | n-heptanyl                                 |  |
| 1434 | NH(CO)NMe <sub>2</sub>             | isopropyl                                  |  |
| 1435 | NH(CO)NMe <sub>2</sub>             | tert-butyl                                 |  |
| 1436 | NH(CO)NMe <sub>2</sub>             | cyclopropyl                                |  |
| 1437 | NH(CO)NMe <sub>2</sub>             | cyclobutanyl                               |  |
| 1438 | NH(CO)NMe <sub>2</sub>             | cyclopentanyl                              |  |
| 1439 | NH(CO)NMe <sub>2</sub>             | cyclohexanyl                               |  |
| 1440 | NH(CO)NMe <sub>2</sub>             | cycloheptanyl                              |  |
| 1441 | NH(CO)NMe <sub>2</sub>             | phenyl                                     |  |
| 1442 | NH(CO)NMe <sub>2</sub>             | phenylmethyl                               |  |
| 1443 | NH(CO)NMe <sub>2</sub>             | 3-hydroxyphenyl                            |  |
| 1444 | NH(CO)NMe <sub>2</sub>             | 3-hydroxy-4-methoxyphenyl                  |  |
| 1445 | NH(CO)NMe <sub>2</sub>             | 3-fluorophenyl                             |  |
| 1446 | NH(CO)NMe <sub>2</sub>             | 3-chlorophenyl                             |  |
| 1447 | NH(CO)NMe <sub>2</sub>             | 3-nitrophenyl                              |  |
| 1448 | NH(CO)NMe <sub>2</sub>             | 3-aminophenyl                              |  |
| 1449 | NH(CO)NMe <sub>2</sub>             | 3-methylsulfonamidophenyl                  |  |
| 1450 | NH(CO)NMe <sub>2</sub>             | 3-trifluoro-methyl-sulfonamidophenyl       |  |
| 1451 | NH(CO)NMe <sub>2</sub>             | 3-Ac-NHphenyl                              |  |
| 1452 | NH(CO)NMe <sub>2</sub>             | 3-Boc-NHphenyl                             |  |
| 1453 | NH(CO)NMe <sub>2</sub>             | 3-Cbz-NHphenyl                             |  |
| 1454 | NH(CO)NMe <sub>2</sub>             | 3-aminomethylenephphenyl                   |  |
| 1455 | NH(CO)NMe <sub>2</sub>             | 3-aminoethylenephphenyl                    |  |
| 1456 | NH(CO)NMe <sub>2</sub>             | 3-cyanophenyl                              |  |
| 1457 | NH(CO)NMe <sub>2</sub>             | 3-cyanomethylphenyl                        |  |
| 1458 | NH(CO)NMe <sub>2</sub>             | 3-hydroxy-methylenephphenyl                |  |
| 1459 | NH(CO)NMe <sub>2</sub>             | 3-carboxylphenyl                           |  |
| 1460 | NH(CO)NMe <sub>2</sub>             | 3-mercaptophenyl                           |  |
| 1461 | NH(CO)NMe <sub>2</sub>             | 3-methoxyphenyl                            |  |

|      |                        |                                                   |  |
|------|------------------------|---------------------------------------------------|--|
| 1462 | NH(CO)NMe <sub>2</sub> | 3,4-methylenedioxyphenyl                          |  |
| 1463 | NH(CO)NMe <sub>2</sub> | 3-tetrazolephenyl                                 |  |
| 1464 | NH(CO)NMe <sub>2</sub> | 3-aminosulfonylphenyl                             |  |
| 1465 | NH(CO)NMe <sub>2</sub> | 3-methylamino-sulfonylphenyl                      |  |
| 1466 | NH(CO)NMe <sub>2</sub> | 3-ethylamino-sulfonylphenyl                       |  |
| 1467 | NH(CO)NMe <sub>2</sub> | 3-tert-butylamino-sulfonylphenyl                  |  |
| 1468 | NH(CO)NMe <sub>2</sub> | 3-methylsulfonylphenyl                            |  |
| 1469 | NH(CO)NMe <sub>2</sub> | 4-methoxyphenyl                                   |  |
| 1470 | NH(CO)NMe <sub>2</sub> | 4-phenylphenyl                                    |  |
| 1471 | NH(CO)NMe <sub>2</sub> | 4-(2-hydroxymethylene-phenyl)-phenyl              |  |
| 1472 | NH(CO)NMe <sub>2</sub> | 4-(2-tertbutylamino-sulfonylphenyl)-phenyl        |  |
| 1473 | NH(CO)NMe <sub>2</sub> | 4-(2-methylamino-sulfonyl-phenyl)-phenyl          |  |
| 1474 | NH(CO)NMe <sub>2</sub> | 4-(2-ethylamino-sulfonylphenyl)-phenyl            |  |
| 1475 | NH(CO)NMe <sub>2</sub> | 4-(2-aminosulfonyl-phenyl)-phenyl                 |  |
| 1476 | NH(CO)NMe <sub>2</sub> | 4-(2-chlorophenyl)-phenyl                         |  |
| 1477 | NH(CO)NMe <sub>2</sub> | 4-(2-fluorophenyl)-phenyl                         |  |
| 1478 | NH(CO)NMe <sub>2</sub> | 4-(2,4-dichlorophenyl)-phenyl                     |  |
| 1479 | NH(CO)NMe <sub>2</sub> | 4-(2,6-dichlorophenyl)-phenyl                     |  |
| 1480 | NH(CO)NMe <sub>2</sub> | 4-(3,5-dichlorophenyl)-phenyl                     |  |
| 1481 | NH(CO)NMe <sub>2</sub> | 4-(2,3-dichlorophenyl)-phenyl                     |  |
| 1482 | NH(CO)NMe <sub>2</sub> | 4-(2-methylphenyl)-phenyl                         |  |
| 1483 | NH(CO)NMe <sub>2</sub> | 4-(2-tetrazole-phenyl)-phenyl                     |  |
| 1484 | NH(CO)NMe <sub>2</sub> | 4-(2-methoxy-phenyl)-phenyl                       |  |
| 1485 | NH(CO)NMe <sub>2</sub> | 4-(2-tmethyl-phenyl)-phenyl                       |  |
| 1486 | NH(CO)NMe <sub>2</sub> | 4-(2-formyl-phenyl)-phenyl                        |  |
| 1487 | NH(CO)NMe <sub>2</sub> | 4-(2-amino-phenyl)-phenyl                         |  |
| 1488 | NH(CO)NMe <sub>2</sub> | 4-(2-methylamino-phenyl)-phenyl                   |  |
| 1489 | NH(CO)NMe <sub>2</sub> | 4-(2-ethylamino-phenyl)-phenyl                    |  |
| 1490 | NH(CO)NMe <sub>2</sub> | 4-(2-propylamino-phenyl)-phenyl                   |  |
| 1491 | NH(CO)NMe <sub>2</sub> | 4-(2-methylsulfonylamino-phenyl)-phenyl           |  |
| 1492 | NH(CO)NMe <sub>2</sub> | 4-(2-trifluoromethylsulfonyl-amino-phenyl)-phenyl |  |
| 1493 | NH(CO)NMe <sub>2</sub> | 4-(3-methylphenyl)-phenyl                         |  |
| 1494 | NH(CO)NMe <sub>2</sub> | 4-(3-isopropylphenyl)-phenyl                      |  |
| 1495 | NH(CO)NMe <sub>2</sub> | 4-(3-trifluoromethylsulfonyl-amino-phenyl)-phenyl |  |
| 1496 | NH(CO)NMe <sub>2</sub> | 4-(3-methylsulfonylamino-phenyl)-phenyl           |  |
| 1497 | NH(CO)NMe <sub>2</sub> | 4-(3-amino-phenyl)-phenyl                         |  |
| 1498 | NH(CO)NMe <sub>2</sub> | 4-(3-nitro-phenyl)-phenyl                         |  |
| 1499 | NH(CO)NMe <sub>2</sub> | 2-pyridyl                                         |  |
| 1500 | NH(CO)NMe <sub>2</sub> | 3-pyridyl                                         |  |
| 1501 | NH(CO)NMe <sub>2</sub> | 4-pyridyl                                         |  |

|      |                                                          |                                            |
|------|----------------------------------------------------------|--------------------------------------------|
| 1502 | NH(CO)NMe <sub>2</sub>                                   | 3-amino-4-pyridyl                          |
| 1503 | NH(CO)NMe <sub>2</sub>                                   | 3-hydroxy-4-pyridyl                        |
| 1504 | NH(CO)NMe <sub>2</sub>                                   | 3-imidazole                                |
| 1505 | NH(CO)NMe <sub>2</sub>                                   | 2-nitro-3-imidazole                        |
| 1506 | NH(CO)NMe <sub>2</sub>                                   | 5-thiazole                                 |
| 1507 | NH(CO)NMe <sub>2</sub>                                   | 5-oxazole                                  |
| 1508 | NH(CO)NMe <sub>2</sub>                                   | 4-pyazole                                  |
| 1509 | NH(CO)NMe <sub>2</sub>                                   | phenylethyl                                |
| 1510 | NH(CO)NMe <sub>2</sub>                                   | 2-aminophenylethyl                         |
| 1511 | NH(CO)NMe <sub>2</sub>                                   | 2-methylsulfonylamino-phenylethyl          |
| 1512 | NH(CO)NMe <sub>2</sub>                                   | 2-trifluoromethylsulfonylamino-phenylethyl |
| 1513 | NH(CO)NMe <sub>2</sub>                                   | 2-hydroxymethylene-phenylethyl             |
| 1514 | NH(CO)NMe <sub>2</sub>                                   | 2-aminomethylene-phenylethyl               |
| 1515 | NH(CO)NMe <sub>2</sub>                                   | 2-tetrazolephenylethyl                     |
| 1516 | NH(CO)NMe <sub>2</sub>                                   | 2-tert-butylamino-sulfonylphenylethyl      |
| 1517 | NH(CO)NMe <sub>2</sub>                                   | 2-aminosulfonyl-phenylethyl                |
| 1518 | NH(CO)NMe <sub>2</sub>                                   | 2-methoxyphenylethyl                       |
| 1519 | NH(CO)NMe <sub>2</sub>                                   | 3-aminophenylethyl                         |
| 1520 | NH(CO)NMe <sub>2</sub>                                   | 3-methylsulfonylamino-phenylethyl          |
| 1521 | NH(CO)NMe <sub>2</sub>                                   | 3-trifluoromethylsulfonylamino-phenylethyl |
| 1522 | NH(CO)NMe <sub>2</sub>                                   | 3-hydroxymethylene-phenylethyl             |
| 1523 | NH(CO)NMe <sub>2</sub>                                   | 3-aminomethylene-phenylethyl               |
| 1524 | NH(CO)NMe <sub>2</sub>                                   | 3-tetrazolephenylethyl                     |
| 1525 | NH(CO)NMe <sub>2</sub>                                   | 3-tertbutylamino-sulfonylphenylethyl       |
| 1526 | NH(CO)NMe <sub>2</sub>                                   | 3-aminosulfonyl-phenylethyl                |
| 1527 | NH(CO)NMe <sub>2</sub>                                   | 3-methoxyphenylethyl                       |
| 1528 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | H                                          |
| 1529 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | methyl                                     |
| 1530 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | ethyl                                      |
| 1531 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | n-propyl                                   |
| 1532 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | n-butyl                                    |
| 1533 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | n-pentyl                                   |
| 1534 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | n-hexanyl                                  |
| 1535 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | n-heptanyl                                 |
| 1536 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | isopropyl                                  |
| 1537 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | tert-butyl                                 |
| 1538 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | cyclopropyl                                |
| 1539 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | cyclobutanyl                               |
| 1540 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | cyclopentanyl                              |
| 1541 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | cyclohexanyl                               |
| 1542 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | cycloheptanyl                              |
| 1543 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | phenyl                                     |
| 1544 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | phenylmethyl                               |
| 1545 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-hydroxyphenyl                            |
| 1546 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-hydroxy-4-methoxyphenyl                  |
| 1547 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-fluorophenyl                             |
| 1548 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-chlorophenyl                             |

|      |                                                             |                                             |  |
|------|-------------------------------------------------------------|---------------------------------------------|--|
| 1549 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-nitrophenyl                               |  |
| 1550 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-aminophenyl                               |  |
| 1551 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-methyl-sulfonamidophenyl                  |  |
| 1552 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-trifluoro-methylsulfonamidophenyl         |  |
| 1553 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-Ac-NHphenyl                               |  |
| 1554 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-Boc-NHphenyl                              |  |
| 1555 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-Cbz-NHphenyl                              |  |
| 1556 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-aminomethylenepheryl                      |  |
| 1557 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-aminoethylenepheryl                       |  |
| 1558 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-cyanophenyl                               |  |
| 1559 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-cyanomethylphenyl                         |  |
| 1560 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-hydroxy-methylenepheryl                   |  |
| 1561 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-carboxylphenyl                            |  |
| 1562 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-mercaptophenyl                            |  |
| 1563 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-methoxyphenyl                             |  |
| 1564 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3,4-methylenedioxyphenyl                    |  |
| 1565 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-tetrazolephenyl                           |  |
| 1566 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-aminosulfonylphenyl                       |  |
| 1567 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-methylamino-sulfonylphenyl                |  |
| 1568 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-ethylamino-sulfonylphenyl                 |  |
| 1569 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-tertbutylamino-sulfonylphenyl             |  |
| 1570 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-methylsulfonylphenyl                      |  |
| 1571 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 4-methoxyphenyl                             |  |
| 1572 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 4-phenylphenyl                              |  |
| 1573 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 4-(2-hydroxymethylene-phenyl)-phenyl        |  |
| 1574 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 4-(2-tert-butylamino-sulfonylphenyl)-phenyl |  |
| 1575 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 4-(2-methylamino-sulfonylphenyl)-phenyl     |  |
| 1576 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 4-(2-ethylamino-sulfonylphenyl)-phenyl      |  |
| 1577 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 4-(2-aminosulfonyl-phenyl)-phenyl           |  |
| 1578 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 4-(2-chlorophenyl)-phenyl                   |  |
| 1579 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 4-(2-fluorophenyl)-phenyl                   |  |
| 1580 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 4-(2,4-dichlorophenyl)-phenyl               |  |
| 1581 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 4-(2,6-dichlorophenyl)-phenyl               |  |
| 1582 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 4-(3,5-dichlorophenyl)-phenyl               |  |
| 1583 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 4-(2,3-dichlorophenyl)-phenyl               |  |
| 1584 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 4-(2-methylphenyl)-phenyl                   |  |
| 1585 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 4-(2-tetrazole-phenyl)-phenyl               |  |
| 1586 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 4-(2-methoxy-phenyl)-phenyl                 |  |
| 1587 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 4-(2-tmethyl-phenyl)-phenyl                 |  |
| 1588 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 4-(2-formyl-phenyl)-phenyl                  |  |
| 1589 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 4-(2-amino-phenyl)-phenyl                   |  |
| 1590 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 4-(2-methylamino-phenyl)-phenyl             |  |
| 1591 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 4-(2-ethylamino-phenyl)-phenyl              |  |
| 1592 | NH (CO) N (CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 4-(2-propylamino-phenyl)-phenyl             |  |

|      |                                                          |                                                  |  |
|------|----------------------------------------------------------|--------------------------------------------------|--|
| 1593 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 4-(2-methylsulfonylamino-phenyl)-phenyl          |  |
| 1594 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 4-(2-trifluoromethylsulfonylamino-phenyl)-phenyl |  |
| 1595 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 4-(3-methylphenyl)-phenyl                        |  |
| 1596 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 4-(3-isopropylphenyl)-phenyl                     |  |
| 1597 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 4-(3-trifluoromethylsulfonylamino-phenyl)-phenyl |  |
| 1598 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 4-(3-methylsulfonylamino-phenyl)-phenyl          |  |
| 1599 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 4-(3-amino-phenyl)-phenyl                        |  |
| 1600 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 4-(3-nitro-phenyl)-phenyl                        |  |
| 1601 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 2-pyridyl                                        |  |
| 1602 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-pyridyl                                        |  |
| 1603 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 4-pyridyl                                        |  |
| 1604 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-amino-4-pyridyl                                |  |
| 1605 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-hydroxy-4-pyridyl                              |  |
| 1606 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-imidazole                                      |  |
| 1607 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 2-nitro-3-imidazole                              |  |
| 1608 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 5-thiazole                                       |  |
| 1609 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 5-oxazole                                        |  |
| 1610 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 4-pyazole                                        |  |
| 1611 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | phenylethyl                                      |  |
| 1612 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 2-aminophenylethyl                               |  |
| 1613 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 2-methylsulfonylamino-phenylethyl                |  |
| 1614 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 2-trifluoromethylsulfonylamino-phenylethyl       |  |
| 1615 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 2-hydroxymethylene-phenylethyl                   |  |
| 1616 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 2-aminomethylene-phenylethyl                     |  |
| 1617 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 2-tetrazolephenylethyl                           |  |
| 1618 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 2-tert-butylamino-sulfonylphenylethyl            |  |
| 1619 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 2-aminosulfonyl-phenylethyl                      |  |
| 1620 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 2-methoxyphenylethyl                             |  |
| 1621 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-aminophenylethyl                               |  |
| 1622 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-methylsulfonylamino-phenylethyl                |  |
| 1623 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-trifluoromethylsulfonylamino-phenylethyl       |  |
| 1624 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-hydroxymethylene-phenylethyl                   |  |
| 1625 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-aminomethylene-phenylethyl                     |  |
| 1626 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-tetrazolephenylethyl                           |  |
| 1627 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-tertbutylamino-sulfonylphenylethyl             |  |
| 1628 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-aminosulfonyl-phenylethyl                      |  |
| 1629 | NH(CO)N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> O | 3-methoxyphenylethyl                             |  |
| 1630 | tert-BuCONH                                              | H                                                |  |
| 1631 | tert-BuCONH                                              | methyl                                           |  |
| 1632 | tert-BuCONH                                              | ethyl                                            |  |
| 1633 | tert-BuCONH                                              | n-propyl                                         |  |
| 1634 | tert-BuCONH                                              | n-butyl                                          |  |
| 1635 | tert-BuCONH                                              | n-pentyl                                         |  |

|      |             |                                            |  |
|------|-------------|--------------------------------------------|--|
| 1636 | tert-BuCONH | n-hexanyl                                  |  |
| 1637 | tert-BuCONH | n-heptanyl                                 |  |
| 1638 | tert-BuCONH | isopropyl                                  |  |
| 1639 | tert-BuCONH | tert-butyl                                 |  |
| 1640 | tert-BuCONH | cyclopropyl                                |  |
| 1641 | tert-BuCONH | cyclobutanyl                               |  |
| 1642 | tert-BuCONH | cyclopentanyl                              |  |
| 1643 | tert-BuCONH | cyclohexanyl                               |  |
| 1644 | tert-BuCONH | cycloheptanyl                              |  |
| 1645 | tert-BuCONH | phenyl                                     |  |
| 1646 | tert-BuCONH | phenylmethyl                               |  |
| 1647 | tert-BuCONH | 3-hydroxyphenyl                            |  |
| 1648 | tert-BuCONH | 3-hydroxy-4-methoxyphenyl                  |  |
| 1649 | tert-BuCONH | 3-fluorophenyl                             |  |
| 1650 | tert-BuCONH | 3-chlorophenyl                             |  |
| 1651 | tert-BuCONH | 3-nitrophenyl                              |  |
| 1652 | tert-BuCONH | 3-aminophenyl                              |  |
| 1653 | tert-BuCONH | 3-methyl-sulfonamidephenyl                 |  |
| 1654 | tert-BuCONH | 3-trifluoro-methylsulfonamidephenyl        |  |
| 1655 | tert-BuCONH | 3-Ac-NHphenyl                              |  |
| 1656 | tert-BuCONH | 3-Boc-NHphenyl                             |  |
| 1657 | tert-BuCONH | 3-Cbz-NHphenyl                             |  |
| 1658 | tert-BuCONH | 3-aminomethylenepheryl                     |  |
| 1659 | tert-BuCONH | 3-aminoethylenepheryl                      |  |
| 1660 | tert-BuCONH | 3-cyanophenyl                              |  |
| 1661 | tert-BuCONH | 3-cyanomethylphenyl                        |  |
| 1662 | tert-BuCONH | 3-hydroxy-methylenepheryl                  |  |
| 1663 | tert-BuCONH | 3-carboxylphenyl                           |  |
| 1664 | tert-BuCONH | 3-mercaptophenyl                           |  |
| 1665 | tert-BuCONH | 3-methoxyphenyl                            |  |
| 1666 | tert-BuCONH | 3,4-methylenedioxyphenyl                   |  |
| 1667 | tert-BuCONH | 3-tetrazolephenyl                          |  |
| 1668 | tert-BuCONH | 3-aminosulfonylphenyl                      |  |
| 1669 | tert-BuCONH | 3-methylamino-sulfonylphenyl               |  |
| 1670 | tert-BuCONH | 3-ethylamino-sulfonylphenyl                |  |
| 1671 | tert-BuCONH | 3-tert-butylamino-sulfonylphenyl           |  |
| 1672 | tert-BuCONH | 3-methylsulfonylphenyl                     |  |
| 1673 | tert-BuCONH | 4-methoxyphenyl                            |  |
| 1674 | tert-BuCONH | 4-phenylphenyl                             |  |
| 1675 | tert-BuCONH | 4-(2-hydroxymethylene-phenyl)-phenyl       |  |
| 1676 | tert-BuCONH | 4-(2-tertbutylamino-sulfonylphenyl)-phenyl |  |
| 1677 | tert-BuCONH | 4-(2-methylamino-sulfonylphenyl)-phenyl    |  |
| 1678 | tert-BuCONH | 4-(2-ethylamino-sulfonylphenyl)-phenyl     |  |
| 1679 | tert-BuCONH | 4-(2-aminosulfonyl-phenyl)-phenyl          |  |
| 1680 | tert-BuCONH | 4-(2-chlorophenyl)-phenyl                  |  |
| 1681 | tert-BuCONH | 4-(2-fluorophenyl)-phenyl                  |  |
| 1682 | tert-BuCONH | 4-(2,4-dichlorophenyl)-phenyl              |  |
| 1683 | tert-BuCONH | 4-(2,6-dichlorophenyl)-phenyl              |  |
| 1684 | tert-BuCONH | 4-(3,5-dichlorophenyl)-phenyl              |  |
| 1685 | tert-BuCONH | 4-(2,3-dichlorophenyl)-phenyl              |  |
| 1686 | tert-BuCONH | 4-(2-methylphenyl)-phenyl                  |  |

|      |             |                                                  |  |
|------|-------------|--------------------------------------------------|--|
| 1687 | tert-BuCONH | 4-(2-tetrazole-phenyl)-phenyl                    |  |
| 1688 | tert-BuCONH | 4-(2-methoxy-phenyl)-phenyl                      |  |
| 1689 | tert-BuCONH | 4-(2-tmethyl-phenyl)-phenyl                      |  |
| 1690 | tert-BuCONH | 4-(2-formyl-phenyl)-phenyl                       |  |
| 1691 | tert-BuCONH | 4-(2-amino-phenyl)-phenyl                        |  |
| 1692 | tert-BuCONH | 4-(2-methylamino-phenyl)-phenyl                  |  |
| 1693 | tert-BuCONH | 4-(2-ethylamino-phenyl)-phenyl                   |  |
| 1694 | tert-BuCONH | 4-(2-propylamino-phenyl)-phenyl                  |  |
| 1695 | tert-BuCONH | 4-(2-methylsulfonylamino-phenyl)-phenyl          |  |
| 1696 | tert-BuCONH | 4-(2-trifluoromethylsulfonylamino-phenyl)-phenyl |  |
| 1697 | tert-BuCONH | 4-(3-methylphenyl)-phenyl                        |  |
| 1698 | tert-BuCONH | 4-(3-isopropylphenyl)-phenyl                     |  |
| 1699 | tert-BuCONH | 4-(3-trifluoromethylsulfonylamino-phenyl)-phenyl |  |
| 1700 | tert-BuCONH | 4-(3-methylsulfonylamino-phenyl)-phenyl          |  |
| 1701 | tert-BuCONH | 4-(3-amino-phenyl)-phenyl                        |  |
| 1702 | tert-BuCONH | 4-(3-nitro-phenyl)-phenyl                        |  |
| 1703 | tert-BuCONH | 2-pyridyl                                        |  |
| 1704 | tert-BuCONH | 3-pyridyl                                        |  |
| 1705 | tert-BuCONH | 4-pyridyl                                        |  |
| 1706 | tert-BuCONH | 3-amino-4-pyridyl                                |  |
| 1707 | tert-BuCONH | 3-hydroxy-4-pyridyl                              |  |
| 1708 | tert-BuCONH | 3-imidazole                                      |  |
| 1709 | tert-BuCONH | 2-nitro-3-imidazole                              |  |
| 1710 | tert-BuCONH | 5-thiazole                                       |  |
| 1711 | tert-BuCONH | 5-oxazole                                        |  |
| 1712 | tert-BuCONH | 4-pyazole                                        |  |
| 1713 | tert-BuCONH | phenylethyl                                      |  |
| 1714 | tert-BuCONH | 2-aminophenylethyl                               |  |
| 1715 | tert-BuCONH | 2-methylsulfonylamino-phenylethyl                |  |
| 1716 | tert-BuCONH | 2-trifluoromethylsulfonylamino-phenylethyl       |  |
| 1717 | tert-BuCONH | 2-hydroxymethylene-phenylethyl                   |  |
| 1718 | tert-BuCONH | 2-aminomethylene-phenylethyl                     |  |
| 1719 | tert-BuCONH | 2-tetrazolephenylethyl                           |  |
| 1720 | tert-BuCONH | 2-tert-butylamino-sulfonylphenylethyl            |  |
| 1721 | tert-BuCONH | 2-aminosulfonyl-phenylethyl                      |  |
| 1722 | tert-BuCONH | 2-methoxyphenylethyl                             |  |
| 1723 | tert-BuCONH | 3-aminophenylethyl                               |  |
| 1724 | tert-BuCONH | 3-methylsulfonylamino-phenylethyl                |  |
| 1725 | tert-BuCONH | 3-trifluoromethylsulfonylamino-phenylethyl       |  |
| 1726 | tert-BuCONH | 3-hydroxymethylene-phenylethyl                   |  |
| 1727 | tert-BuCONH | 3-aminomethylene-phenylethyl                     |  |
| 1728 | tert-BuCONH | 3-tetrazolephenylethyl                           |  |

|      |                                      |                                            |  |
|------|--------------------------------------|--------------------------------------------|--|
| 1729 | tert-BuCONH                          | 3-tert-butylamino-sulfonylphenylethyl      |  |
| 1730 | tert-BuCONH                          | 3-aminosulfonyl-phenylethyl                |  |
| 1731 | tert-BuCONH                          | 3-methoxyphenylethyl                       |  |
| 1732 | c-C <sub>3</sub> H <sub>5</sub> CONH | H                                          |  |
| 1733 | c-C <sub>3</sub> H <sub>5</sub> CONH | methyl                                     |  |
| 1734 | c-C <sub>3</sub> H <sub>5</sub> CONH | ethyl                                      |  |
| 1735 | c-C <sub>3</sub> H <sub>5</sub> CONH | n-propyl                                   |  |
| 1736 | c-C <sub>3</sub> H <sub>5</sub> CONH | n-butyl                                    |  |
| 1737 | c-C <sub>3</sub> H <sub>5</sub> CONH | n-pentyl                                   |  |
| 1738 | c-C <sub>3</sub> H <sub>5</sub> CONH | n-hexanyl                                  |  |
| 1739 | c-C <sub>3</sub> H <sub>5</sub> CONH | n-heptanyl                                 |  |
| 1740 | c-C <sub>3</sub> H <sub>5</sub> CONH | isopropyl                                  |  |
| 1741 | c-C <sub>3</sub> H <sub>5</sub> CONH | tert-butyl                                 |  |
| 1742 | c-C <sub>3</sub> H <sub>5</sub> CONH | cyclopropyl                                |  |
| 1743 | c-C <sub>3</sub> H <sub>5</sub> CONH | cyclobutanyl                               |  |
| 1744 | c-C <sub>3</sub> H <sub>5</sub> CONH | cyclopentanyl                              |  |
| 1745 | c-C <sub>3</sub> H <sub>5</sub> CONH | cyclohexanyl                               |  |
| 1746 | c-C <sub>3</sub> H <sub>5</sub> CONH | cycloheptanyl                              |  |
| 1747 | c-C <sub>3</sub> H <sub>5</sub> CONH | phenyl                                     |  |
| 1748 | c-C <sub>3</sub> H <sub>5</sub> CONH | phenylmethyl                               |  |
| 1749 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-hydroxyphenyl                            |  |
| 1750 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-hydroxy-4-methoxyphenyl                  |  |
| 1751 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-fluorophenyl                             |  |
| 1752 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-chlorophenyl                             |  |
| 1753 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-nitrophenyl                              |  |
| 1754 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-aminophenyl                              |  |
| 1755 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-methyl-sulfonamidephenyl                 |  |
| 1756 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-trifluoro-methylsulfonamidephenyl        |  |
| 1757 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-Ac-NHphenyl                              |  |
| 1758 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-Boc-NHphenyl                             |  |
| 1759 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-Cbz-NHphenyl                             |  |
| 1760 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-aminomethylenepheryl                     |  |
| 1761 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-aminoethylenepheryl                      |  |
| 1762 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-cyanophenyl                              |  |
| 1763 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-cyanomethylphenyl                        |  |
| 1764 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-hydroxy-methylenepheryl                  |  |
| 1765 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-carboxylphenyl                           |  |
| 1766 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-mercaptophenyl                           |  |
| 1767 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-methoxyphenyl                            |  |
| 1768 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3,4-methylenedioxophenyl                   |  |
| 1769 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-tetrazolephenyl                          |  |
| 1770 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-aminosulfonylphenyl                      |  |
| 1771 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-methylamino-sulfonylphenyl               |  |
| 1772 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-ethylamino-sulfonylphenyl                |  |
| 1773 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-tertbutylamino-sulfonylphenyl            |  |
| 1774 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-methylsulfonylphenyl                     |  |
| 1775 | c-C <sub>3</sub> H <sub>5</sub> CONH | 4-methoxyphenyl                            |  |
| 1776 | c-C <sub>3</sub> H <sub>5</sub> CONH | 4-phenylphenyl                             |  |
| 1777 | c-C <sub>3</sub> H <sub>5</sub> CONH | 4-(2-hydroxymethylene-phenyl)-phenyl       |  |
| 1778 | c-C <sub>3</sub> H <sub>5</sub> CONH | 4-(2-tertbutylamino-sulfonylphenyl)-phenyl |  |
| 1779 | c-C <sub>3</sub> H <sub>5</sub> CONH | 4-(2-methylamino-sulfonylphenyl)-phenyl    |  |

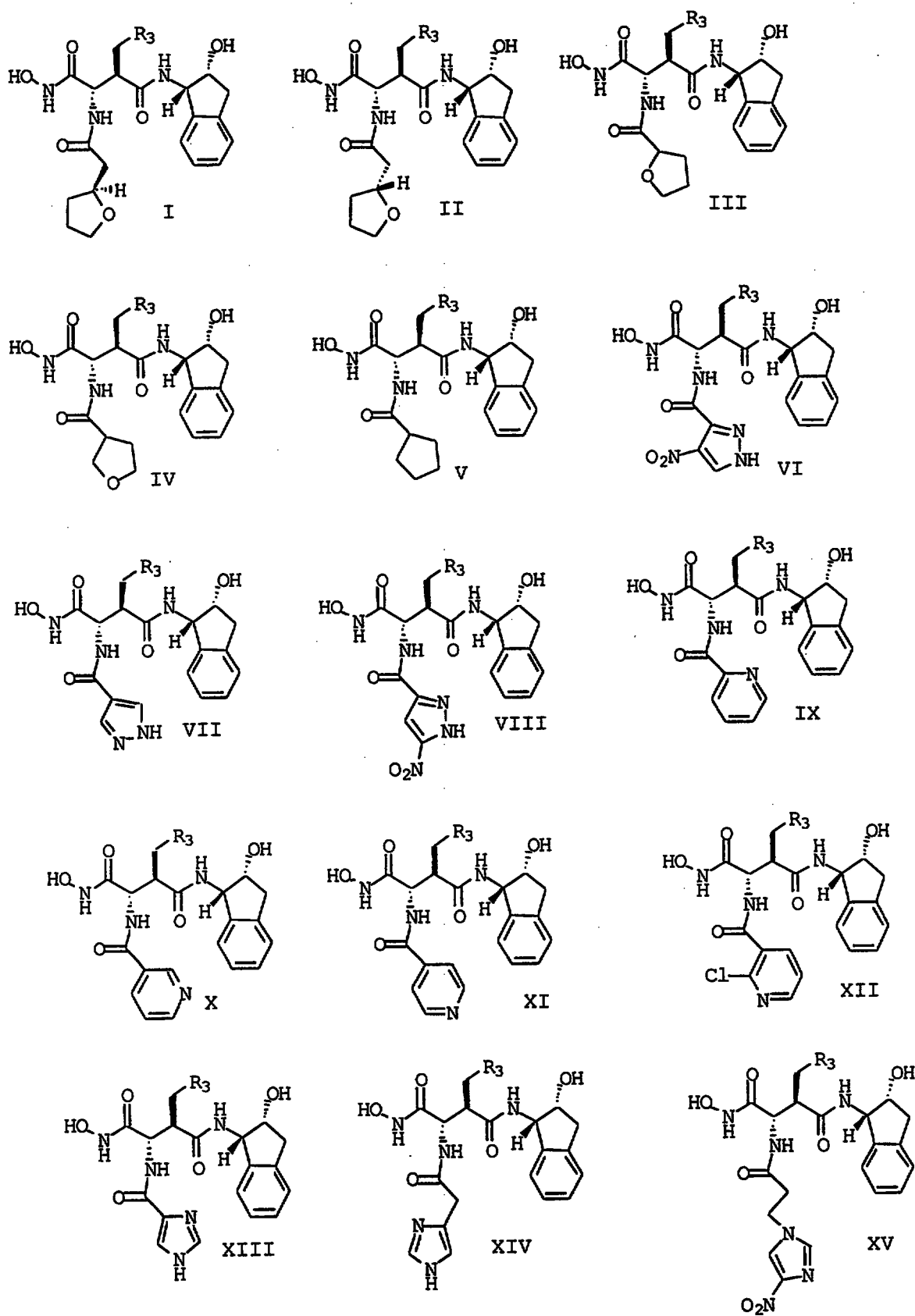
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|------|--------------------------------------|---------------------------------------------------|--|
| 1780 | c-C <sub>3</sub> H <sub>5</sub> CONH | 4-(2-ethylamino-sulfonylphenyl)-phenyl            |  |
| 1781 | c-C <sub>3</sub> H <sub>5</sub> CONH | 4-(2-aminosulfonyl-phenyl)-phenyl                 |  |
| 1782 | c-C <sub>3</sub> H <sub>5</sub> CONH | 4-(2-chlorophenyl)-phenyl                         |  |
| 1783 | c-C <sub>3</sub> H <sub>5</sub> CONH | 4-(2-fluorophenyl)-phenyl                         |  |
| 1784 | c-C <sub>3</sub> H <sub>5</sub> CONH | 4-(2,4-dichlorophenyl)-phenyl                     |  |
| 1785 | c-C <sub>3</sub> H <sub>5</sub> CONH | 4-(2,6-dichlorophenyl)-phenyl                     |  |
| 1786 | c-C <sub>3</sub> H <sub>5</sub> CONH | 4-(3,5-dichlorophenyl)-phenyl                     |  |
| 1787 | c-C <sub>3</sub> H <sub>5</sub> CONH | 4-(2,3-dichlorophenyl)-phenyl                     |  |
| 1788 | c-C <sub>3</sub> H <sub>5</sub> CONH | 4-(2-methylphenyl)-phenyl                         |  |
| 1789 | c-C <sub>3</sub> H <sub>5</sub> CONH | 4-(2-tetrazole-phenyl)-phenyl                     |  |
| 1790 | c-C <sub>3</sub> H <sub>5</sub> CONH | 4-(2-methoxy-phenyl)-phenyl                       |  |
| 1791 | c-C <sub>3</sub> H <sub>5</sub> CONH | 4-(2-tmethyl-phenyl)-phenyl                       |  |
| 1792 | c-C <sub>3</sub> H <sub>5</sub> CONH | 4-(2-formyl-phenyl)-phenyl                        |  |
| 1793 | c-C <sub>3</sub> H <sub>5</sub> CONH | 4-(2-amino-phenyl)-phenyl                         |  |
| 1794 | c-C <sub>3</sub> H <sub>5</sub> CONH | 4-(2-methylamino-phenyl)-phenyl                   |  |
| 1795 | c-C <sub>3</sub> H <sub>5</sub> CONH | 4-(2-ethylamino-phenyl)-phenyl                    |  |
| 1796 | c-C <sub>3</sub> H <sub>5</sub> CONH | 4-(2-propylamino-phenyl)-phenyl                   |  |
| 1797 | c-C <sub>3</sub> H <sub>5</sub> CONH | 4-(2-methylsulfonyl-amino-phenyl)-phenyl          |  |
| 1798 | c-C <sub>3</sub> H <sub>5</sub> CONH | 4-(2-trifluoromethylsulfonyl-amino-phenyl)-phenyl |  |
| 1799 | c-C <sub>3</sub> H <sub>5</sub> CONH | 4-(3-methylphenyl)-phenyl                         |  |
| 1800 | c-C <sub>3</sub> H <sub>5</sub> CONH | 4-(3-isopropylphenyl)-phenyl                      |  |
| 1801 | c-C <sub>3</sub> H <sub>5</sub> CONH | 4-(3-trifluoromethylsulfonyl-amino-phenyl)-phenyl |  |
| 1802 | c-C <sub>3</sub> H <sub>5</sub> CONH | 4-(3-methylsulfonyl-amino-phenyl)-phenyl          |  |
| 1803 | c-C <sub>3</sub> H <sub>5</sub> CONH | 4-(3-amino-phenyl)-phenyl                         |  |
| 1804 | c-C <sub>3</sub> H <sub>5</sub> CONH | 4-(3-nitro-phenyl)-phenyl                         |  |
| 1805 | c-C <sub>3</sub> H <sub>5</sub> CONH | 2-pyridyl                                         |  |
| 1806 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-pyridyl                                         |  |
| 1807 | c-C <sub>3</sub> H <sub>5</sub> CONH | 4-pyridyl                                         |  |
| 1808 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-amino-4-pyridyl                                 |  |
| 1809 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-hydroxy-4-pyridyl                               |  |
| 1810 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-imidazole                                       |  |
| 1811 | c-C <sub>3</sub> H <sub>5</sub> CONH | 2-nitro-3-imidazole                               |  |
| 1812 | c-C <sub>3</sub> H <sub>5</sub> CONH | 5-thiazole                                        |  |
| 1813 | c-C <sub>3</sub> H <sub>5</sub> CONH | 5-oxazole                                         |  |
| 1814 | c-C <sub>3</sub> H <sub>5</sub> CONH | 4-pyazole                                         |  |
| 1815 | c-C <sub>3</sub> H <sub>5</sub> CONH | phenylethyl                                       |  |
| 1816 | c-C <sub>3</sub> H <sub>5</sub> CONH | 2-aminophenylethyl                                |  |
| 1817 | c-C <sub>3</sub> H <sub>5</sub> CONH | 2-methylsulfonylamino-phenylethyl                 |  |
| 1818 | c-C <sub>3</sub> H <sub>5</sub> CONH | 2-trifluoromethylsulfonylaminophenylethyl         |  |
| 1819 | c-C <sub>3</sub> H <sub>5</sub> CONH | 2-hydroxymethylene-phenylethyl                    |  |

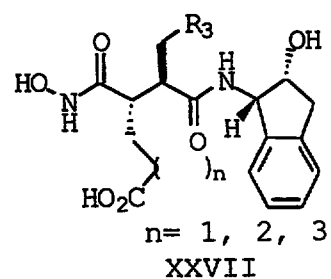
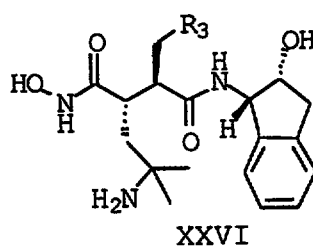
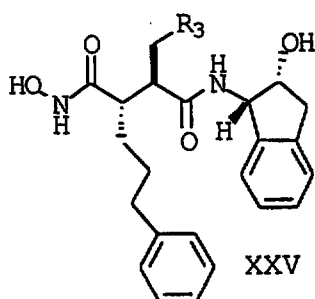
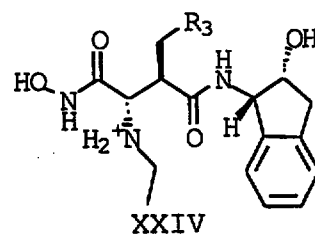
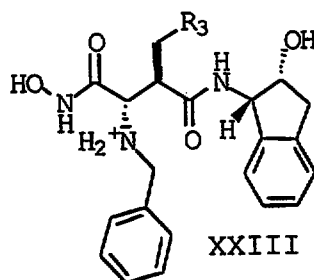
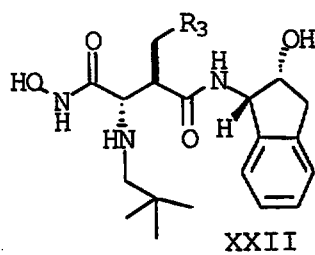
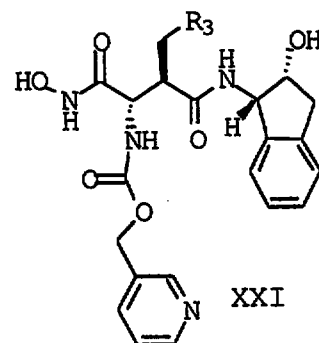
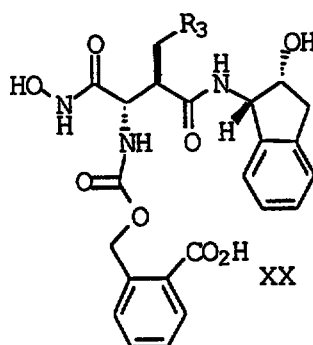
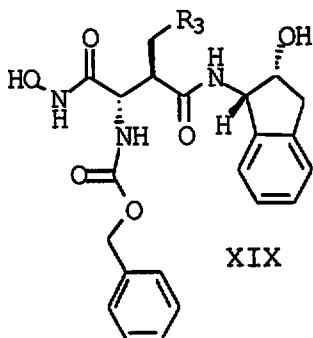
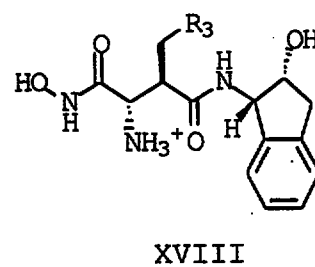
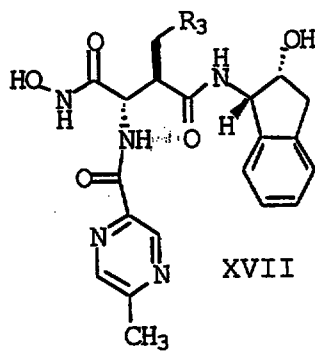
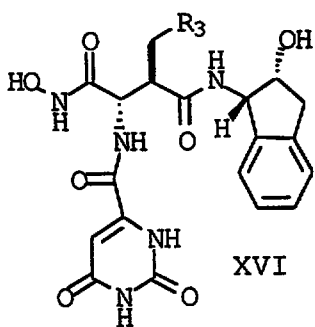
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|------|--------------------------------------|--------------------------------------------|--|
| 1820 | c-C <sub>3</sub> H <sub>5</sub> CONH | 2-aminomethylene-phenylethyl               |  |
| 1821 | c-C <sub>3</sub> H <sub>5</sub> CONH | 2-tetrazolephenylethyl                     |  |
| 1822 | c-C <sub>3</sub> H <sub>5</sub> CONH | 2-tert-butylamino-sulfonylphenylethyl      |  |
| 1823 | c-C <sub>3</sub> H <sub>5</sub> CONH | 2-aminosulfonyl-phenylethyl                |  |
| 1824 | c-C <sub>3</sub> H <sub>5</sub> CONH | 2-methoxyphenylethyl                       |  |
| 1825 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-aminophenylethyl                         |  |
| 1826 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-methylsulfonylamino-phenylethyl          |  |
| 1827 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-trifluoromethylsulfonylamino-phenylethyl |  |
| 1828 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-hydroxymethylene-phenylethyl             |  |
| 1829 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-aminomethylene-phenylethyl               |  |
| 1830 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-tetrazolephenylethyl                     |  |
| 1831 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-tert-butylamino-sulfonylphenylethyl      |  |
| 1832 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-aminosulfonyl-phenylethyl                |  |
| 1833 | c-C <sub>3</sub> H <sub>5</sub> CONH | 3-methoxyphenylethyl                       |  |
| 1834 |                                      |                                            |  |
| 1835 | H                                    | H                                          |  |
| 1836 | "                                    | methyl                                     |  |
| 1837 | "                                    | ethyl                                      |  |
| 1838 | "                                    | n-propyl                                   |  |
| 1839 | "                                    | n-butyl                                    |  |
| 1840 | "                                    | n-pentyl                                   |  |
| 1841 | "                                    | n-hexanyl                                  |  |
| 1842 | "                                    | n-heptanyl                                 |  |
| 1843 | "                                    | isopropyl                                  |  |
| 1844 | "                                    | tert-butyl                                 |  |
| 1845 | "                                    | cyclopropyl                                |  |
| 1846 | "                                    | cyclobutanyl                               |  |
| 1847 | "                                    | cyclopentanyl                              |  |
| 1848 | "                                    | cyclohexanyl                               |  |
| 1849 | "                                    | cycloheptanyl                              |  |
| 1850 | "                                    | phenyl                                     |  |
| 1851 | "                                    | phenylmethyl                               |  |
| 1852 | "                                    | 3-hydroxyphenyl                            |  |
| 1853 | "                                    | 3-hydroxy-4-methoxyphenyl                  |  |
| 1854 | "                                    | 3-fluorophenyl                             |  |
| 1855 | "                                    | 3-chlorophenyl                             |  |
| 1856 | "                                    | 3-nitrophenyl                              |  |
| 1857 | "                                    | 3-aminophenyl                              |  |
| 1858 | "                                    | 3-methyl-sulfonamidephenyl                 |  |
| 1859 | "                                    | 3-trifluoro-methylsulfonamidephenyl        |  |
| 1860 | "                                    | 3-Ac-NHphenyl                              |  |
| 1861 | "                                    | 3-Boc-NHphenyl                             |  |
| 1862 | "                                    | 3-Cbz-NHphenyl                             |  |
| 1863 | "                                    | 3-aminomethylenephphenyl                   |  |
| 1864 | "                                    | 3-aminoethylenephphenyl                    |  |
| 1865 | "                                    | 3-cyanophenyl                              |  |
| 1866 | "                                    | 3-cyanomethylphenyl                        |  |
| 1867 | "                                    | 3-hydroxy-methylenephphenyl                |  |
| 1868 | "                                    | 3-carboxylphenyl                           |  |
| 1869 | "                                    | 3-mercaptophenyl                           |  |
| 1870 | "                                    | 3-methoxyphenyl                            |  |
| 1871 | "                                    | 3,4-methylenedioxyphenyl                   |  |
| 1872 | "                                    | 3-tetrazolephenyl                          |  |
| 1873 | "                                    | 3-aminosulfonylphenyl                      |  |

|      |   |                                                   |  |
|------|---|---------------------------------------------------|--|
| 1874 | " | 3-methylamino-sulfonylphenyl                      |  |
| 1875 | " | 3-ethylamino-sulfonylphenyl                       |  |
| 1876 | " | 3-tert-butylamino-sulfonylphenyl                  |  |
| 1877 | " | 3-methylsulfonylphenyl                            |  |
| 1878 | " | 4-methoxyphenyl                                   |  |
| 1879 | " | 4-phenylphenyl                                    |  |
| 1880 | " | 4-(2-hydroxymethylene-phenyl)-phenyl              |  |
| 1881 | " | 4-(2-tertbutylamino-sulfonylphenyl)-phenyl        |  |
| 1882 | " | 4-(2-methylamino-sulfonylphenyl)-phenyl           |  |
| 1883 | " | 4-(2-ethylamino-sulfonylphenyl)-phenyl            |  |
| 1884 | " | 4-(2-aminosulfonyl-phenyl)-phenyl                 |  |
| 1885 | " | 4-(2-chlorophenyl)-phenyl                         |  |
| 1886 | " | 4-(2-fluorophenyl)-phenyl                         |  |
| 1887 | " | 4-(2,4-dichlorophenyl)-phenyl                     |  |
| 1888 | " | 4-(2,6-dichlorophenyl)-phenyl                     |  |
| 1889 | " | 4-(3,5-dichlorophenyl)-phenyl                     |  |
| 1890 | " | 4-(2,3-dichlorophenyl)-phenyl                     |  |
| 1891 | " | 4-(2-methylphenyl)-phenyl                         |  |
| 1892 | " | 4-(2-tetrazole-phenyl)-phenyl                     |  |
| 1893 | " | 4-(2-methoxy-phenyl)-phenyl                       |  |
| 1894 | " | 4-(2-tmethyl-phenyl)-phenyl                       |  |
| 1895 | " | 4-(2-formyl-phenyl)-phenyl                        |  |
| 1896 | " | 4-(2-amino-phenyl)-phenyl                         |  |
| 1897 | " | 4-(2-methylamino-phenyl)-phenyl                   |  |
| 1898 | " | 4-(2-ethylamino-phenyl)-phenyl                    |  |
| 1899 | " | 4-(2-propylamino-phenyl)-phenyl                   |  |
| 1900 | " | 4-(2-methylsulfonyl-amino-phenyl)-phenyl          |  |
| 1901 | " | 4-(2-trifluoromethylsulfonyl-amino-phenyl)-phenyl |  |
| 1902 | " | 4-(3-methylphenyl)-phenyl                         |  |
| 1903 | " | 4-(3-isopropylphenyl)-phenyl                      |  |
| 1904 | " | 4-(3-trifluoromethylsulfonyl-amino-phenyl)-phenyl |  |
| 1905 | " | 4-(3-methylsulfonyl-amino-phenyl)-phenyl          |  |
| 1906 | " | 4-(3-amino-phenyl)-phenyl                         |  |
| 1907 | " | 4-(3-nitro-phenyl)-phenyl                         |  |
| 1908 | " | 2-pyridyl                                         |  |
| 1909 | " | 3-pyridyl                                         |  |
| 1910 | " | 4-pyridyl                                         |  |
| 1911 | " | 3-amino-4-pyridyl                                 |  |
| 1912 | " | 3-hydroxy-4-pyridyl                               |  |
| 1913 | " | 3-imidazole                                       |  |
| 1914 | " | 2-nitro-3-imidazole                               |  |
| 1915 | " | 5-thiazole                                        |  |
| 1916 | " | 5-oxazole                                         |  |

|      |   |                                                    |  |
|------|---|----------------------------------------------------|--|
| 1917 | " | 4-pyrazole                                         |  |
| 1918 | " | phenylethyl                                        |  |
| 1919 | " | 2-aminophenylethyl                                 |  |
| 1920 | " | 2-methylsulfonylamino-<br>phenylethyl              |  |
| 1921 | " | 2-<br>trifluoromethylsulfonylamino-<br>phenylethyl |  |
| 1922 | " | 2-hydroxymethylene-<br>phenylethyl                 |  |
| 1923 | " | 2-aminomethylene-<br>phenylethyl                   |  |
| 1924 | " | 2-tetrazolephenylethyl                             |  |
| 1925 | " | 2-tert-butylamino-<br>sulfonylphenylethyl          |  |
| 1926 | " | 2-aminosulfonyl-phenylethyl                        |  |
| 1927 | " | 2-methoxyphenylethyl                               |  |
| 1928 | " | 3-aminophenylethyl                                 |  |
| 1929 | " | 3-methylsulfonylamino-<br>phenylethyl              |  |
| 1930 | " | 3-<br>trifluoromethylsulfonylamino-<br>phenylethyl |  |
| 1931 | " | 3-hydroxymethylene-<br>phenylethyl                 |  |
| 1932 | " | 3-aminomethylene-<br>phenylethyl                   |  |
| 1933 | " | 3-tetrazolephenylethyl                             |  |
| 1934 | " | 3-tertbutylamino-<br>sulfonylphenylethyl           |  |
| 1935 | " | 3-aminosulfonyl-phenylethyl                        |  |
| 1936 | " | 3-methoxyphenylethyl                               |  |

Table 3

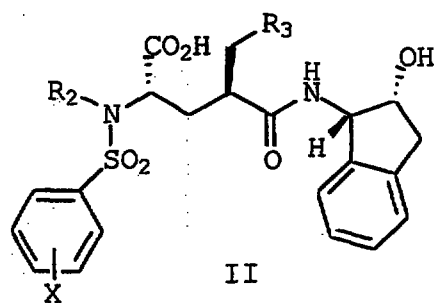
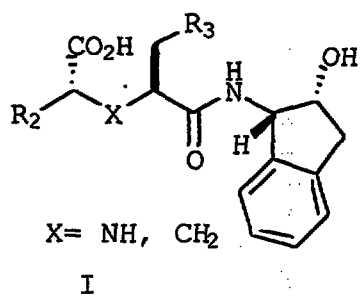




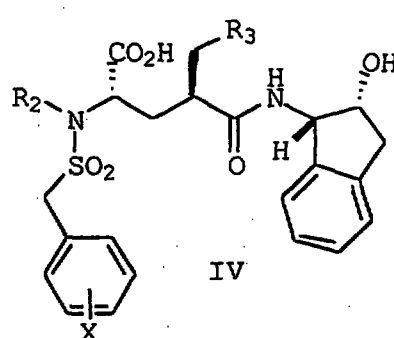
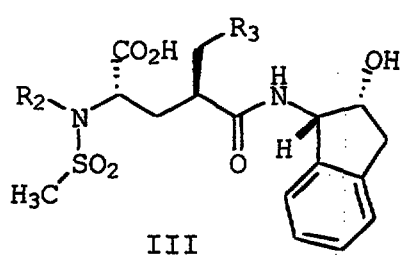
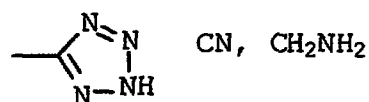
| Ex#  | R3                                   | Ms | Ex#  | R3                                                | Ms |
|------|--------------------------------------|----|------|---------------------------------------------------|----|
| 2000 | H                                    |    | 2001 | 4-(2-aminosulfonylphenyl)-phenyl                  |    |
| 2002 | methyl                               |    | 2003 | 4-(2-chlorophenyl)-phenyl                         |    |
| 2004 | ethyl                                |    | 2005 | 4-(2-fluorophenyl)-phenyl                         |    |
| 2006 | n-propyl                             |    | 2007 | 4-(2,4-dichlorophenyl)-phenyl                     |    |
| 2008 | n-butyl                              |    | 2009 | 4-(2,6-dichlorophenyl)-phenyl                     |    |
| 2010 | n-pentyl                             |    | 2011 | 4-(3,5-dichlorophenyl)-phenyl                     |    |
| 2012 | n-hexanyl                            |    | 2013 | 4-(2,3-dichlorophenyl)-phenyl                     |    |
| 2014 | n-heptanyl                           |    | 2015 | 4-(2-methylphenyl)-phenyl                         |    |
| 2016 | isopropyl                            |    | 2017 | 4-(2-tetrazole-phenyl)-phenyl                     |    |
| 2018 | tert-butyl                           |    | 2019 | 4-(2-methoxy-phenyl)-phenyl                       |    |
| 2020 | cyclopropyl                          |    | 2021 | 4-(2-tmethyl-phenyl)-phenyl                       |    |
| 2022 | cyclobutanyl                         |    | 2023 | 4-(2-formyl-phenyl)-phenyl                        |    |
| 2024 | cyclopentanyl                        |    | 2025 | 4-(2-amino-phenyl)-phenyl                         |    |
| 2026 | cyclohexanyl                         |    | 2027 | 4-(2-methylamino-phenyl)-phenyl                   |    |
| 2028 | cycloheptanyl                        |    | 2029 | 4-(2-ethylamino-phenyl)-phenyl                    |    |
| 2030 | phenyl                               |    | 2031 | 4-(2-propylamino-phenyl)-phenyl                   |    |
| 2032 | phenylmethyl                         |    | 2033 | 4-(2-methylsulfonylamino-phenyl)-phenyl           |    |
| 2034 | 3-hydroxyphenyl                      |    | 2035 | 4-(2-trifluoromethylsulfonyl-amino-phenyl)-phenyl |    |
| 2036 | 3-hydroxy-4-methoxyphenyl            |    | 2037 | 4-(3-methylphenyl)-phenyl                         |    |
| 2038 | 3-fluorophenyl                       |    | 2039 | 4-(3-isopropylphenyl)-phenyl                      |    |
| 2040 | 3-chlorophenyl                       |    | 2041 | 4-(3-trifluoromethylsulfonyl-amino-phenyl)-phenyl |    |
| 2042 | 3-nitrophenyl                        |    | 2043 | 4-(3-methylsulfonylamino-phenyl)-phenyl           |    |
| 2044 | 3-aminophenyl                        |    | 2045 | 4-(3-amino-phenyl)-phenyl                         |    |
| 2046 | 3-methylsulfonamidephenyl            |    | 2047 | 4-(3-nitro-phenyl)-phenyl                         |    |
| 2048 | 3-trifluoro-methyl-sulfonamidephenyl |    | 2049 | 2-pyridyl                                         |    |
| 2050 | 3-Ac-NHphenyl                        |    | 2051 | 3-pyridyl                                         |    |
| 2052 | 3-Boc-NHphenyl                       |    | 2053 | 4-pyridyl                                         |    |
| 2054 | 3-Cbz-NHphenyl                       |    | 2055 | 3-amino-4-pyridyl                                 |    |

|      |                                            |  |      |                                             |  |
|------|--------------------------------------------|--|------|---------------------------------------------|--|
| 2056 | 3-aminomethylene-phenyl                    |  | 2057 | 3-hydroxy-4-pyridyl                         |  |
| 2058 | 3-amino-ethylenepheryl                     |  | 2059 | 3-imidazole                                 |  |
| 2060 | 3-cyanophenyl                              |  | 2061 | 2-nitro-3-imidazole                         |  |
| 2062 | 3-cyanomethylphenyl                        |  | 2063 | 5-thiazole                                  |  |
| 2064 | 3-hydroxy-methylenepheryl                  |  | 2065 | 5-oxazole                                   |  |
| 2066 | 3-carboxylphenyl                           |  | 2067 | 4-pyazole                                   |  |
| 2068 | 3-mercaptophenyl                           |  | 2069 | phenylethyl                                 |  |
| 2070 | 3-methoxyphenyl                            |  | 2071 | 2-aminophenylethyl                          |  |
| 2072 | 3,4-methylenedioxy-phenyl                  |  | 2073 | 2-methylsulfonyl-amino-phenylethyl          |  |
| 2074 | 3-tetrazolephenyl                          |  | 2075 | 2-trifluoromethylsulfonyl-amino-phenylethyl |  |
| 2076 | 3-aminosulfonylphenyl                      |  | 2077 | 2-hydroxymethylene-phenylethyl              |  |
| 2078 | 3-methylamino-sulfonylphenyl               |  | 2079 | 2-aminomethylene-phenylethyl                |  |
| 2080 | 3-ethylamino-sulfonylphenyl                |  | 2081 | 2-tetrazole-phenylethyl                     |  |
| 2082 | 3-tert-butylamino-sulfonylphenyl           |  | 2083 | 2-tertbutylamino-sulfonylphenylethyl        |  |
| 2084 | 3-methylsulfonyl-phenyl                    |  | 2085 | 2-aminosulfonyl-phenylethyl                 |  |
| 2086 | 4-methoxyphenyl                            |  | 2087 | 2-methoxy-phenylethyl                       |  |
| 2088 | 4-phenylphenyl                             |  | 2089 | 3-aminophenylethyl                          |  |
| 2090 | 4-(2-hydroxymethylene-phenyl)-phenyl       |  | 2091 | 3-methylsulfonyl-amino-phenylethyl          |  |
| 2092 | 4-(2-tert-butylaminosulfonylphenyl)-phenyl |  | 2093 | 3-trifluoromethylsulfonyl-amino-phenylethyl |  |
| 2094 | 4-(2-methylamino-sulfonylphenyl)-phenyl    |  | 2095 | 3-hydroxymethylene-phenylethyl              |  |
| 2096 | 4-(2-ethylamino-sulfonylphenyl)-phenyl     |  | 2097 | 3-aminomethylene-phenylethyl                |  |
| 2098 |                                            |  | 2099 | 3-tetrazole-phenylethyl                     |  |
| 2100 |                                            |  | 2101 | 3-tert-butylamino-sulfonylphenylethyl       |  |
| 2102 |                                            |  | 2103 | 3-aminosulfonyl-phenylethyl                 |  |
| 2104 |                                            |  | 2105 | 3-methoxy-phenylethyl                       |  |

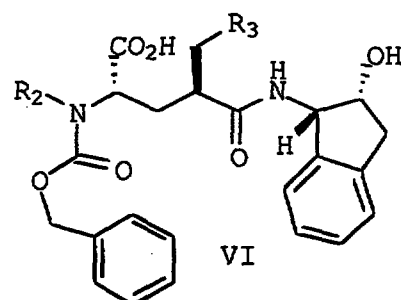
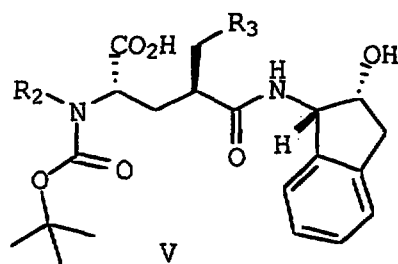
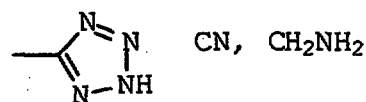
Table 4



X = H, NH<sub>2</sub>, CO<sub>2</sub>H, CH<sub>2</sub>CO<sub>2</sub>H, Cl, F,



X = H, NH<sub>2</sub>, CO<sub>2</sub>H, CH<sub>2</sub>CO<sub>2</sub>H, Cl, F,



| Ex#  | R2   | R3            |  |
|------|------|---------------|--|
| 2500 | n-Bu | H             |  |
| 2501 | "    | methyl        |  |
| 2502 | "    | ethyl         |  |
| 2503 | "    | n-propyl      |  |
| 2504 | "    | n-butyl       |  |
| 2505 | "    | n-pentyl      |  |
| 2506 | "    | n-hexanyl     |  |
| 2507 | "    | n-heptanyl    |  |
| 2508 | "    | isopropyl     |  |
| 2509 | "    | tert-butyl    |  |
| 2510 | "    | cyclopropyl   |  |
| 2511 | "    | cyclobutanyl  |  |
| 2512 | "    | cyclopentanyl |  |

|      |   |                                            |  |
|------|---|--------------------------------------------|--|
| 2513 | " | cyclohexanyl                               |  |
| 2514 | " | cycloheptanyl                              |  |
| 2515 | " | phenyl                                     |  |
| 2516 | " | phenylmethyl                               |  |
| 2517 | " | 3-hydroxyphenyl                            |  |
| 2518 | " | 3-hydroxy-4-methoxyphenyl                  |  |
| 2519 | " | 3-fluorophenyl                             |  |
| 2520 | " | 3-chlorophenyl                             |  |
| 2521 | " | 3-nitrophenyl                              |  |
| 2522 | " | 3-aminophenyl                              |  |
| 2523 | " | 3-methyl-sulfonamidephenyl                 |  |
| 2524 | " | 3-trifluoro-methyl-sulfonamidephenyl       |  |
| 2525 | " | 3-Ac-NHphenyl                              |  |
| 2526 | " | 3-Boc-NHphenyl                             |  |
| 2527 | " | 3-Cbz-NHphenyl                             |  |
| 2528 | " | 3-aminomethylenephenyl                     |  |
| 2529 | " | 3-aminoethylenephenyl                      |  |
| 2530 | " | 3-cyanophenyl                              |  |
| 2531 | " | 3-cyanomethylphenyl                        |  |
| 2532 | " | 3-hydroxy-methylenephenyl                  |  |
| 2533 | " | 3-carboxylphenyl                           |  |
| 2534 | " | 3-mercaptophenyl                           |  |
| 2535 | " | 3-methoxyphenyl                            |  |
| 2536 | " | 3,4-methylene-dioxophenyl                  |  |
| 2537 | " | 3-tetrazolephenyl                          |  |
| 2538 | " | 3-aminosulfonylphenyl                      |  |
| 2539 | " | 3-methylamino-sulfonylphenyl               |  |
| 2540 | " | 3-ethylamino-sulfonylphenyl                |  |
| 2541 | " | 3-tertbutylamino-sulfonylphenyl            |  |
| 2542 | " | 3-methylsulfonylphenyl                     |  |
| 2543 | " | 4-methoxyphenyl                            |  |
| 2544 | " | 4-phenylphenyl                             |  |
| 2545 | " | 4-(2-hydroxymethylene-phenyl)-phenyl       |  |
| 2546 | " | 4-(2-tertbutylamino-sulfonylphenyl)-phenyl |  |
| 2547 | " | 4-(2-methylamino-sulfonylphenyl)-phenyl    |  |
| 2548 | " | 4-(2-ethylamino-sulfonylphenyl)-phenyl     |  |
| 2549 | " | 4-(2-aminosulfonyl-phenyl)-phenyl          |  |
| 2550 | " | 4-(2-chlorophenyl)-phenyl                  |  |
| 2551 | " | 4-(2-fluorophenyl)-phenyl                  |  |
| 2552 | " | 4-(2,4-dichlorophenyl)-phenyl              |  |
| 2553 | " | 4-(2,6-dichlorophenyl)-phenyl              |  |
| 2554 | " | 4-(3,5-dichlorophenyl)-phenyl              |  |
| 2555 | " | 4-(2,3-dichlorophenyl)-phenyl              |  |
| 2556 | " | 4-(2-methylphenyl)-phenyl                  |  |
| 2557 | " | 4-(2-tetrazole-phenyl)-phenyl              |  |
| 2558 | " | 4-(2-methoxy-phenyl)-phenyl                |  |
| 2559 | " | 4-(2-tmethyl-phenyl)-phenyl                |  |
| 2560 | " | 4-(2-formyl-phenyl)-phenyl                 |  |
| 2561 | " | 4-(2-amino-phenyl)-phenyl                  |  |

|      |   |                                                  |  |
|------|---|--------------------------------------------------|--|
| 2562 | " | 4-(2-methylamino-phenyl)-phenyl                  |  |
| 2563 | " | 4-(2-ethylamino-phenyl)-phenyl                   |  |
| 2564 | " | 4-(2-propylamino-phenyl)-phenyl                  |  |
| 2565 | " | 4-(2-methylsulfonylamino-phenyl)-phenyl          |  |
| 2566 | " | 4-(2-trifluoromethylsulfonylamino-phenyl)-phenyl |  |
| 2567 | " | 4-(3-methylphenyl)-phenyl                        |  |
| 2568 | " | 4-(3-isopropylphenyl)-phenyl                     |  |
| 2569 | " | 4-(3-trifluoromethylsulfonylamino-phenyl)-phenyl |  |
| 2570 | " | 4-(3-methylsulfonylamino-phenyl)-phenyl          |  |
| 2571 | " | 4-(3-amino-phenyl)-phenyl                        |  |
| 2572 | " | 4-(3-nitro-phenyl)-phenyl                        |  |
| 2573 | " | 2-pyridyl                                        |  |
| 2574 | " | 3-pyridyl                                        |  |
| 2575 | " | 4-pyridyl                                        |  |
| 2576 | " | 3-amino-4-pyridyl                                |  |
| 2577 | " | 3-hydroxy-4-pyridyl                              |  |
| 2578 | " | 3-imidazole                                      |  |
| 2579 | " | 2-nitro-3-imidazole                              |  |
| 2580 | " | 5-thiazole                                       |  |
| 2581 | " | 5-oxazole                                        |  |
| 2582 | " | 4-pyazole                                        |  |
| 2583 | " | phenylethyl                                      |  |
| 2584 | " | 2-aminophenylethyl                               |  |
| 2585 | " | 2-methylsulfonylamino-phenylethyl                |  |
| 2586 | " | 2-trifluoromethylsulfonylamino-phenylethyl       |  |
| 2587 | " | 2-hydroxy-methylenepheneylethyl                  |  |
| 2588 | " | 2-aminomethylene-phenylethyl                     |  |
| 2589 | " | 2-tetrazolephenylethyl                           |  |
| 2590 | " | 2-tertbutylamino-sulfonylphenylethyl             |  |
| 2591 | " | 2-aminosulfonyl-phenylethyl                      |  |
| 2592 | " | 2-methoxyphenylethyl                             |  |
| 2593 | " | 3-aminophenylethyl                               |  |
| 2594 | " | 3-methylsulfonylamino-phenylethyl                |  |
| 2595 | " | 3-trifluoromethylsulfonylamino-phenylethyl       |  |
| 2596 | " | 3-hydroxymethylene-phenylethyl                   |  |
| 2597 | " | 3-aminomethylene-phenylethyl                     |  |
| 2598 | " | 3-tetrazolephenylethyl                           |  |
| 2599 | " | 3-tertbutylamino-sulfonylphenylethyl             |  |
| 2600 | " | 3-aminosulfonyl-phenylethyl                      |  |
| 2601 | " | 3-methoxyphenylethyl                             |  |
| 2602 | " | 4-phenylphenylmethyl                             |  |

|      |                 |                                                        |  |
|------|-----------------|--------------------------------------------------------|--|
| 2603 | "               | 4-(2-hydroxymethylenepheryl)-phenylmethyl              |  |
| 2604 | "               | 4-(2-tert-butyl-aminosulfonyl-phenyl)-phenylmethyl     |  |
| 2605 | "               | 4-(2-methylamino-sulfonylphenyl)-phenylmethyl          |  |
| 2606 | "               | 4-(2-ethylamino-sulfonylphenyl)-phenylmethyl           |  |
| 2607 | "               | 4-(2-aminosulfonylphenyl)-phenylmethyl                 |  |
| 2608 | "               | 4-(2-chlorophenyl)-phenylmethyl                        |  |
| 2609 | "               | 4-(2-fluorophenyl)-phenylmethyl                        |  |
| 2610 | "               | 4-(2,4-dichlorophenyl)-phenylmethyl                    |  |
| 2611 | "               | 4-(2,6-dichlorophenyl)-phenylmethyl                    |  |
| 2612 | "               | 4-(3,5-dichlorophenyl)-phenylmethyl                    |  |
| 2613 | "               | 4-(2,3-dichlorophenyl)-phenylmethyl                    |  |
| 2614 | "               | 4-(2-methylphenyl)-phenylmethyl                        |  |
| 2615 | "               | 4-(2-tetrazole-phenyl)-phenylmethyl                    |  |
| 2616 | "               | 4-(2-methoxy-phenyl)-phenylmethyl                      |  |
| 2617 | "               | 4-(2-tmethyl-phenyl)-phenylmethyl                      |  |
| 2618 | "               | 4-(2-formyl-phenyl)-phenylmethyl                       |  |
| 2619 | "               | 4-(2-amino-phenyl)-phenylmethyl                        |  |
| 2620 | "               | 4-(2-methylamino-phenyl)-phenylmethyl                  |  |
| 2621 | "               | 4-(2-ethylamino-phenyl)-phenylmethyl                   |  |
| 2622 | "               | 4-(2-propylamino-phenyl)-phenylmethyl                  |  |
| 2623 | "               | 4-(2-methylsulfonylamino-phenyl)-phenylmethyl          |  |
| 2624 | "               | 4-(2-trifluoromethylsulfonylamino-phenyl)-phenylmethyl |  |
| 2625 | "               | 4-(3-methylphenyl)-phenylmethyl                        |  |
| 2626 | "               | 4-(3-isopropylphenyl)-phenylmethyl                     |  |
| 2627 | "               | 4-(3-trifluoromethylsulfonylamino-phenyl)-phenylmethyl |  |
| 2628 | "               | 4-(3-methylsulfonylamino-phenyl)-phenylmethyl          |  |
| 2629 | "               | 4-(3-amino-phenyl)-phenylmethyl                        |  |
| 2630 | "               | 4-(3-nitro-phenyl)-phenylmethyl                        |  |
| 2631 |                 |                                                        |  |
| 2632 | CH <sub>3</sub> | H                                                      |  |
| 2633 | "               | methyl                                                 |  |

|      |   |                                             |  |
|------|---|---------------------------------------------|--|
| 2634 | " | ethyl                                       |  |
| 2635 | " | n-propyl                                    |  |
| 2636 | " | n-butyl                                     |  |
| 2637 | " | n-pentyl                                    |  |
| 2638 | " | n-hexanyl                                   |  |
| 2639 | " | n-heptanyl                                  |  |
| 2640 | " | isopropyl                                   |  |
| 2641 | " | tert-butyl                                  |  |
| 2642 | " | cyclopropyl                                 |  |
| 2643 | " | cyclobutanyl                                |  |
| 2644 | " | cyclopentanyl                               |  |
| 2645 | " | cyclohexanyl                                |  |
| 2646 | " | cycloheptanyl                               |  |
| 2647 | " | phenyl                                      |  |
| 2648 | " | phenylmethyl                                |  |
| 2649 | " | 3-hydroxyphenyl                             |  |
| 2650 | " | 3-hydroxy-4-methoxyphenyl                   |  |
| 2651 | " | 3-fluorophenyl                              |  |
| 2652 | " | 3-chlorophenyl                              |  |
| 2653 | " | 3-nitrophenyl                               |  |
| 2654 | " | 3-aminophenyl                               |  |
| 2655 | " | 3-methyl-sulfonamidephenyl                  |  |
| 2656 | " | 3-trifluoro-methylsulfonamidephenyl         |  |
| 2657 | " | 3-Ac-NHphenyl                               |  |
| 2658 | " | 3-Boc-NHphenyl                              |  |
| 2659 | " | 3-Cbz-NHphenyl                              |  |
| 2660 | " | 3-aminomethylenepheryl                      |  |
| 2661 | " | 3-aminoethylenepheryl                       |  |
| 2662 | " | 3-cyanophenyl                               |  |
| 2663 | " | 3-cyanomethylphenyl                         |  |
| 2664 | " | 3-hydroxy-methylenepheryl                   |  |
| 2665 | " | 3-carboxylphenyl                            |  |
| 2666 | " | 3-mercaptophenyl                            |  |
| 2667 | " | 3-methoxyphenyl                             |  |
| 2668 | " | 3,4-methylene-dioxophenyl                   |  |
| 2669 | " | 3-tetrazolephenyl                           |  |
| 2670 | " | 3-aminosulfonylphenyl                       |  |
| 2671 | " | 3-methylamino-sulfonylphenyl                |  |
| 2672 | " | 3-ethylamino-sulfonylphenyl                 |  |
| 2673 | " | 3-tertbutylamino-sulfonylphenyl             |  |
| 2674 | " | 3-methylsulfonylphenyl                      |  |
| 2675 | " | 4-methoxyphenyl                             |  |
| 2676 | " | 4-phenylphenyl                              |  |
| 2677 | " | 4-(2-hydroxymethylene-phenyl)-phenyl        |  |
| 2678 | " | 4-(2-tert-butylamino-sulfonylphenyl)-phenyl |  |
| 2679 | " | 4-(2-methylamino-sulfonylphenyl)-phenyl     |  |
| 2680 | " | 4-(2-ethylamino-sulfonylphenyl)-phenyl      |  |
| 2681 | " | 4-(2-aminosulfonyl-phenyl)-phenyl           |  |
| 2682 | " | 4-(2-chlorophenyl)-phenyl                   |  |
| 2683 | " | 4-(2-fluorophenyl)-phenyl                   |  |
| 2684 | " | 4-(2,4-dichlorophenyl)-phenyl               |  |
| 2685 | " | 4-(2,6-dichlorophenyl)-phenyl               |  |

|      |   |                                                  |  |
|------|---|--------------------------------------------------|--|
| 2686 | " | 4-(3,5-dichlorophenyl)-phenyl                    |  |
| 2687 | " | 4-(2,3-dichlorophenyl)-phenyl                    |  |
| 2688 | " | 4-(2-methylphenyl)-phenyl                        |  |
| 2689 | " | 4-(2-tetrazole-phenyl)-phenyl                    |  |
| 2690 | " | 4-(2-methoxy-phenyl)-phenyl                      |  |
| 2691 | " | 4-(2-tmethyl-phenyl)-phenyl                      |  |
| 2692 | " | 4-(2-formyl-phenyl)-phenyl                       |  |
| 2693 | " | 4-(2-amino-phenyl)-phenyl                        |  |
| 2694 | " | 4-(2-methylamino-phenyl)-phenyl                  |  |
| 2695 | " | 4-(2-ethylamino-phenyl)-phenyl                   |  |
| 2696 | " | 4-(2-propylamino-phenyl)-phenyl                  |  |
| 2697 | " | 4-(2-methylsulfonylamino-phenyl)-phenyl          |  |
| 2698 | " | 4-(2-trifluoromethylsulfonylamino-phenyl)-phenyl |  |
| 2699 | " | 4-(3-methylphenyl)-phenyl                        |  |
| 2700 | " | 4-(3-isopropylphenyl)-phenyl                     |  |
| 2701 | " | 4-(3-trifluoromethylsulfonylamino-phenyl)-phenyl |  |
| 2702 | " | 4-(3-methylsulfonylamino-phenyl)-phenyl          |  |
| 2703 | " | 4-(3-amino-phenyl)-phenyl                        |  |
| 2704 | " | 4-(3-nitro-phenyl)-phenyl                        |  |
| 2705 | " | 2-pyridyl                                        |  |
| 2706 | " | 3-pyridyl                                        |  |
| 2707 | " | 4-pyridyl                                        |  |
| 2708 | " | 3-amino-4-pyridyl                                |  |
| 2709 | " | 3-hydroxy-4-pyridyl                              |  |
| 2710 | " | 3-imidazole                                      |  |
| 2711 | " | 2-nitro-3-imidazole                              |  |
| 2712 | " | 5-thiazole                                       |  |
| 2713 | " | 5-oxazole                                        |  |
| 2714 | " | 4-pyazole                                        |  |
| 2715 | " | phenylethyl                                      |  |
| 2716 | " | 2-aminophenylethyl                               |  |
| 2717 | " | 2-methylsulfonylamino-phenylethyl                |  |
| 2718 | " | 2-trifluoromethylsulfonylamino-phenylethyl       |  |
| 2719 | " | 2-hydroxymethylene-phenylethyl                   |  |
| 2720 | " | 2-aminomethylene-phenylethyl                     |  |
| 2721 | " | 2-tetrazolephenylethyl                           |  |
| 2722 | " | 2-tertbutylamino-sulfonylphenylethyl             |  |
| 2723 | " | 2-aminosulfonyl-phenylethyl                      |  |
| 2724 | " | 2-methoxyphenylethyl                             |  |
| 2725 | " | 3-aminophenylethyl                               |  |
| 2726 | " | 3-methylsulfonylamino-phenylethyl                |  |

|      |   |                                                         |  |
|------|---|---------------------------------------------------------|--|
| 2727 | " | 3-trifluoromethyl-sulfonylamino-phenylethyl             |  |
| 2728 | " | 3-hydroxy-methylenephenylethyl                          |  |
| 2729 | " | 3-aminomethylene-phenylethyl                            |  |
| 2730 | " | 3-tetrazolephenylethyl                                  |  |
| 2731 | " | 3-tertbutylamino-sulfonylphenylethyl                    |  |
| 2732 | " | 3-aminosulfonyl-phenylethyl                             |  |
| 2733 | " | 3-methoxyphenylethyl                                    |  |
| 2734 | " | 4-phenylphenylmethyl                                    |  |
| 2735 | " | 4-(2-hydroxy-methylenephenyl)-phenylmethyl              |  |
| 2736 | " | 4-(2-tert-butylaminosulfonyl-phenyl)-phenylmethyl       |  |
| 2737 | " | 4-(2-methylamino-sulfonylphenyl)-phenylmethyl           |  |
| 2738 | " | 4-(2-ethylamino-sulfonylphenyl)-phenylmethyl            |  |
| 2739 | " | 4-(2-aminosulfonyl-phenyl)-phenylmethyl                 |  |
| 2740 | " | 4-(2-chlorophenyl)-phenylmethyl                         |  |
| 2741 | " | 4-(2-fluorophenyl)-phenylmethyl                         |  |
| 2742 | " | 4-(2,4-dichlorophenyl)-phenylmethyl                     |  |
| 2743 | " | 4-(2,6-dichlorophenyl)-phenylmethyl                     |  |
| 2744 | " | 4-(3,5-dichlorophenyl)-phenylmethyl                     |  |
| 2745 | " | 4-(2,3-dichlorophenyl)-phenylmethyl                     |  |
| 2746 | " | 4-(2-methylphenyl)-phenylmethyl                         |  |
| 2747 | " | 4-(2-tetrazole-phenyl)-phenylmethyl                     |  |
| 2748 | " | 4-(2-methoxy-phenyl)-phenylmethyl                       |  |
| 2749 | " | 4-(2-tmethyl-phenyl)-phenylmethyl                       |  |
| 2750 | " | 4-(2-formyl-phenyl)-phenylmethyl                        |  |
| 2751 | " | 4-(2-amino-phenyl)-phenylmethyl                         |  |
| 2752 | " | 4-(2-methylamino-phenyl)-phenylmethyl                   |  |
| 2753 | " | 4-(2-ethylamino-phenyl)-phenylmethyl                    |  |
| 2754 | " | 4-(2-propylamino-phenyl)-phenylmethyl                   |  |
| 2755 | " | 4-(2-methylsulfonylamino-phenyl)-phenylmethyl           |  |
| 2756 | " | 4-(2-trifluoromethylsulfonyl-amino-phenyl)-phenylmethyl |  |
| 2757 | " | 4-(3-methylphenyl)-phenylmethyl                         |  |
| 2758 | " | 4-(3-isopropylphenyl)-phenylmethyl                      |  |

|      |                |                                                         |  |
|------|----------------|---------------------------------------------------------|--|
| 2759 | "              | 4-(3-trifluoromethylsulfonyl-amino-phenyl)-phenylmethyl |  |
| 2760 | "              | 4-(3-methylsulfonyl-amino-phenyl)-phenylmethyl          |  |
| 2761 | "              | 4-(3-amino-phenyl)-phenylmethyl                         |  |
| 2762 | "              | 4-(3-nitro-phenyl)-phenylmethyl                         |  |
| 2763 |                |                                                         |  |
| 2764 | 3-phenylpropyl | H                                                       |  |
| 2765 | "              | methyl                                                  |  |
| 2766 | "              | ethyl                                                   |  |
| 2767 | "              | n-propyl                                                |  |
| 2768 | "              | n-butyl                                                 |  |
| 2769 | "              | n-pentyl                                                |  |
| 2770 | "              | n-hexanyl                                               |  |
| 2771 | "              | n-heptanyl                                              |  |
| 2772 | "              | isopropyl                                               |  |
| 2773 | "              | tert-butyl                                              |  |
| 2774 | "              | cyclopropyl                                             |  |
| 2775 | "              | cyclobutanyl                                            |  |
| 2776 | "              | cyclopentanyl                                           |  |
| 2777 | "              | cyclohexanyl                                            |  |
| 2778 | "              | cycloheptanyl                                           |  |
| 2779 | "              | phenyl                                                  |  |
| 2780 | "              | phenylmethyl                                            |  |
| 2781 | "              | 3-hydroxyphenyl                                         |  |
| 2782 | "              | 3-hydroxy-4-methoxyphenyl                               |  |
| 2783 | "              | 3-fluorophenyl                                          |  |
| 2784 | "              | 3-chlorophenyl                                          |  |
| 2785 | "              | 3-nitrophenyl                                           |  |
| 2786 | "              | 3-aminophenyl                                           |  |
| 2787 | "              | 3-methyl-sulfonamidephenyl                              |  |
| 2788 | "              | 3-trifluoro-methylsulfonamidephenyl                     |  |
| 2789 | "              | 3-Ac-NHphenyl                                           |  |
| 2790 | "              | 3-Boc-NHphenyl                                          |  |
| 2791 | "              | 3-Cbz-NHphenyl                                          |  |
| 2792 | "              | 3-aminomethylenephenyl                                  |  |
| 2793 | "              | 3-aminoethylenephenyl                                   |  |
| 2794 | "              | 3-cyanophenyl                                           |  |
| 2795 | "              | 3-cyanomethylphenyl                                     |  |
| 2796 | "              | 3-hydroxy-methylenephenyl                               |  |
| 2797 | "              | 3-carboxylphenyl                                        |  |
| 2798 | "              | 3-mercaptophenyl                                        |  |
| 2799 | "              | 3-methoxyphenyl                                         |  |
| 2800 | "              | 3,4-methylene-dioxophenyl                               |  |
| 2801 | "              | 3-tetrazolephenyl                                       |  |
| 2802 | "              | 3-aminosulfonylphenyl                                   |  |
| 2803 | "              | 3-methylamino-sulfonylphenyl                            |  |
| 2804 | "              | 3-ethylamino-sulfonylphenyl                             |  |
| 2805 | "              | 3-tertbutylamino-sulfonylphenyl                         |  |
| 2806 | "              | 3-methylsulfonylphenyl                                  |  |
| 2807 | "              | 4-methoxyphenyl                                         |  |
| 2808 | "              | 4-phenylphenyl                                          |  |
| 2809 | "              | 4-(2-hydroxy-methylenephenyl)-phenyl                    |  |
| 2810 | "              | 4-(2-tert-butylamino-sulfonylphenyl)-phenyl             |  |

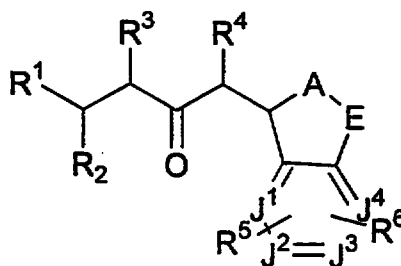
|      |   |                                                   |  |
|------|---|---------------------------------------------------|--|
| 2811 | " | 4-(2-methylamino-sulfonylphenyl)-phenyl           |  |
| 2812 | " | 4-(2-ethylamino-sulfonylphenyl)-phenyl            |  |
| 2813 | " | 4-(2-aminosulfonylphenyl)-phenyl                  |  |
| 2814 | " | 4-(2-chlorophenyl)-phenyl                         |  |
| 2815 | " | 4-(2-fluorophenyl)-phenyl                         |  |
| 2816 | " | 4-(2,4-dichlorophenyl)-phenyl                     |  |
| 2817 | " | 4-(2,6-dichlorophenyl)-phenyl                     |  |
| 2818 | " | 4-(3,5-dichlorophenyl)-phenyl                     |  |
| 2819 | " | 4-(2,3-dichlorophenyl)-phenyl                     |  |
| 2820 | " | 4-(2-methylphenyl)-phenyl                         |  |
| 2821 | " | 4-(2-tetrazole-phenyl)-phenyl                     |  |
| 2822 | " | 4-(2-methoxy-phenyl)-phenyl                       |  |
| 2823 | " | 4-(2-tmethyl-phenyl)-phenyl                       |  |
| 2824 | " | 4-(2-formyl-phenyl)-phenyl                        |  |
| 2825 | " | 4-(2-amino-phenyl)-phenyl                         |  |
| 2826 | " | 4-(2-methylamino-phenyl)-phenyl                   |  |
| 2827 | " | 4-(2-ethylamino-phenyl)-phenyl                    |  |
| 2828 | " | 4-(2-propylamino-phenyl)-phenyl                   |  |
| 2829 | " | 4-(2-methylsulfonyl-amino-phenyl)-phenyl          |  |
| 2830 | " | 4-(2-trifluoromethylsulfonyl-amino-phenyl)-phenyl |  |
| 2831 | " | 4-(3-methylphenyl)-phenyl                         |  |
| 2832 | " | 4-(3-isopropylphenyl)-phenyl                      |  |
| 2833 | " | 4-(3-trifluoromethylsulfonyl-amino-phenyl)-phenyl |  |
| 2834 | " | 4-(3-methylsulfonyl-amino-phenyl)-phenyl          |  |
| 2835 | " | 4-(3-amino-phenyl)-phenyl                         |  |
| 2836 | " | 4-(3-nitro-phenyl)-phenyl                         |  |
| 2837 | " | 2-pyridyl                                         |  |
| 2838 | " | 3-pyridyl                                         |  |
| 2839 | " | 4-pyridyl                                         |  |
| 2840 | " | 3-amino-4-pyridyl                                 |  |
| 2841 | " | 3-hydroxy-4-pyridyl                               |  |
| 2842 | " | 3-imidazole                                       |  |
| 2843 | " | 2-nitro-3-imidazole                               |  |
| 2844 | " | 5-thiazole                                        |  |
| 2845 | " | 5-oxazole                                         |  |
| 2846 | " | 4-pyazole                                         |  |
| 2847 | " | phenylethyl                                       |  |
| 2848 | " | 2-aminophenylethyl                                |  |
| 2849 | " | 2-methylsulfonylamino-phenylethyl                 |  |
| 2850 | " | 2-trifluoromethylsulfonylamino-phenylethyl        |  |

|      |   |                                                   |  |
|------|---|---------------------------------------------------|--|
| 2851 | " | 2-hydroxymethylene-phenylethyl                    |  |
| 2852 | " | 2-aminomethylene-phenylethyl                      |  |
| 2853 | " | 2-tetrazolephenylethyl                            |  |
| 2854 | " | 2-tert-butylamino-sulfonylphenylethyl             |  |
| 2855 | " | 2-aminosulfonyl-phenylethyl                       |  |
| 2856 | " | 2-methoxyphenylethyl                              |  |
| 2857 | " | 3-aminophenylethyl                                |  |
| 2858 | " | 3-methylsulfonylamino-phenylethyl                 |  |
| 2859 | " | 3-trifluoromethylsulfonylamino-phenylethyl        |  |
| 2860 | " | 3-hydroxymethylene-phenylethyl                    |  |
| 2861 | " | 3-aminomethylene-phenylethyl                      |  |
| 2862 | " | 3-tetrazolephenylethyl                            |  |
| 2863 | " | 3-tertbutylamino-sulfonylphenylethyl              |  |
| 2864 | " | 3-aminosulfonyl-phenylethyl                       |  |
| 2865 | " | 3-methoxyphenylethyl                              |  |
| 2866 | " | 4-phenylphenylmethyl                              |  |
| 2867 | " | 4-(2-hydroxymethylene-phenyl)-phenylmethyl        |  |
| 2868 | " | 4-(2-tert-butylaminosulfonyl-phenyl)-phenylmethyl |  |
| 2869 | " | 4-(2-methylaminosulfonyl-phenyl)-phenylmethyl     |  |
| 2870 | " | 4-(2-ethylaminosulfonyl-phenyl)-phenylmethyl      |  |
| 2871 | " | 4-(2-aminosulfonylphenyl)-phenylmethyl            |  |
| 2872 | " | 4-(2-chlorophenyl)-phenylmethyl                   |  |
| 2873 | " | 4-(2-fluorophenyl)-phenylmethyl                   |  |
| 2874 | " | 4-(2,4-dichlorophenyl)-phenylmethyl               |  |
| 2875 | " | 4-(2,6-dichlorophenyl)-phenylmethyl               |  |
| 2876 | " | 4-(3,5-dichlorophenyl)-phenylmethyl               |  |
| 2877 | " | 4-(2,3-dichlorophenyl)-phenylmethyl               |  |
| 2878 | " | 4-(2-methylphenyl)-phenylmethyl                   |  |
| 2879 | " | 4-(2-tetrazole-phenyl)-phenylmethyl               |  |
| 2880 | " | 4-(2-methoxy-phenyl)-phenylmethyl                 |  |
| 2881 | " | 4-(2-tmethyl-phenyl)-phenylmethyl                 |  |
| 2882 | " | 4-(2-formyl-phenyl)-phenylmethyl                  |  |
| 2883 | " | 4-(2-amino-phenyl)-phenylmethyl                   |  |
| 2884 | " | 4-(2-methylamino-phenyl)-phenylmethyl             |  |

|      |   |                                                                 |  |
|------|---|-----------------------------------------------------------------|--|
| 2885 | " | 4-(2-ethylamino-phenyl)-<br>phenylmethyl                        |  |
| 2886 | " | 4-(2-propylamino-phenyl)-<br>phenylmethyl                       |  |
| 2887 | " | 4-(2-methylsulfonylamino-<br>phenyl)-phenylmethyl               |  |
| 2888 | " | 4-(2-<br>trifluoromethylsulfonyl-<br>amino-phenyl)-phenylmethyl |  |
| 2889 | " | 4-(3-methylphenyl)-<br>phenylmethyl                             |  |
| 2890 | " | 4-(3-isopropylphenyl)-<br>phenylmethyl                          |  |
| 2891 | " | 4-(3-<br>trifluoromethylsulfonyl-<br>amino-phenyl)-phenylmethyl |  |
| 2892 | " | 4-(3-methylsulfonylamino-<br>phenyl)-phenylmethyl               |  |
| 2893 | " | 4-(3-amino-phenyl)-<br>phenylmethyl                             |  |
| 2894 | " | 4-(3-nitro-phenyl)-<br>phenylmethyl                             |  |

What is claimed:

1. A compound of the formula I:



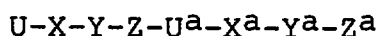
Formula I

or a pharmaceutically acceptable salt form or a stereoisomer thereof, wherein:

$R^1$  is selected from:

-CO<sub>2</sub>H, -C(O)NHOH, -C(O)NHO $R^7$ , -SH, -CH<sub>2</sub>CO<sub>2</sub> $R^7$ ,  
-COR $^7$ , -N(OH)COR $^7$ , -SN<sub>2</sub>H<sub>2</sub> $R^7$ , -SONHR $^7$ , -CH<sub>2</sub>CO<sub>2</sub>H,  
-PO(OH)<sub>2</sub>, -PO(OH)NHR $^7$ , -CH<sub>2</sub>SH, -C(O)NHO $R^7$ , -CO<sub>2</sub> $R^7$ ,  
and common prodrug derivatives;

$R^2$  is selected from the formula:



wherein:

U is absent or is selected from: O, NR<sup>a</sup>, C(O), C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>, NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>, and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;

X is absent or selected from H, C<sub>1</sub>-10 alkylene, C<sub>2</sub>-10 alkenylene, C<sub>2</sub>-10 alkynylene;



Y is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);

Z is absent or selected from H, a C<sub>3</sub>-13 carbocyclic residue substituted with 0-5 R<sup>b</sup> and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>b</sup>;

U<sup>a</sup> is absent or is selected from: H, O, NR<sup>a</sup>, C(O), C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>, NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>, and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;

X<sup>a</sup> is absent or selected from H, C<sub>1</sub>-10 alkylene, C<sub>2</sub>-10 alkenylene, C<sub>2</sub>-10 alkynylene;

Y<sup>a</sup> is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);

Z<sup>a</sup> is absent or selected from H, a C<sub>3</sub>-13 carbocyclic residue substituted with 0-5 R<sup>c</sup> and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>c</sup>;

R<sup>a</sup>, at each occurrence, is independently selected from H, C<sub>1</sub>-4 alkyl, phenyl or benzyl;

R<sup>a'</sup>, at each occurrence, is independently selected from H, C<sub>1</sub>-4 alkyl, phenyl or benzyl;

alternatively, R<sup>a</sup> and R<sup>a'</sup> taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional

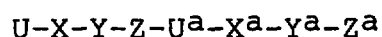


heteroatoms selected from the group consisting of N, O, and S;

$R^b$ , at each occurrence, is independently selected from C1-6 alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN,  $NO_2$ ,  $NR^aR^{a'}$ ,  $C(O)R^a$ ,  $C(O)OR^a$ ,  $C(O)NR^aR^{a'}$ ,  $S(O)_2NR^aR^{a'}$ ,  $S(O)_pR^a$ ,  $CF_3$ , and  $CF_2CF_3$ ;

$R^c$ , at each occurrence, is independently selected from C1-6 alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN,  $NO_2$ ,  $NR^aR^{a'}$ ,  $C(O)R^a$ ,  $C(O)OR^a$ ,  $C(O)NR^aR^{a'}$ ,  $NR^aS(O)_2R^{a'}$ ,  $S(O)_2NR^aR^{a'}$ ,  $S(O)_pR^a$ ,  $CF_3$ ,  $CF_2CF_3$ , and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;

$R^3$  is selected from the formula:



wherein:

U is absent or is selected from: O,  $NR^a$ ,  $C(O)$ ,  $C(O)O$ ,  $OC(O)$ ,  $C(O)NR^a$ ,  $NR^aC(O)$ ,  $OC(O)O$ ,  $OC(O)NR^a$ ,  $NR^aC(O)O$ ,  $NR^aC(O)NR^a$ ,  $S(O)_p$ ,  $S(O)_pNR^a$ ,  $NR^aS(O)_p$ , and  $NR^aSO_2NR^a$ ;

X is absent or selected from H, C1-10 alkylene, C2-10 alkenylene, C2-10 alkynylene;

Y is absent or selected from H, O,  $NR^a$ ,  $S(O)_p$ , and  $C(O)$ ;

Z is absent or selected from H, a C3-13 carbocyclic residue substituted with 0-5  $R^b$  and a 5-14 membered heterocyclic system containing from 1-4



heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>b</sup>;

U<sup>a</sup> is absent or is selected from: H, O, NR<sup>a</sup>, C(O), C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>, NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>, and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;

X<sup>a</sup> is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

Y<sup>a</sup> is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);

Z<sup>a</sup> is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5 R<sup>c</sup> and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>c</sup>;

R<sup>a</sup>, at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

R<sup>a'</sup>, at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

alternatively, R<sup>a</sup> and R<sup>a'</sup> taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

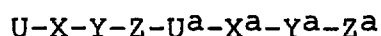
R<sup>b</sup>, at each occurrence, is independently selected from C<sub>1-6</sub> alkyl, OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>, NR<sup>a</sup>R<sup>a'</sup>, C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, C(O)NR<sup>a</sup>R<sup>a'</sup>, S(O)<sub>2</sub>NR<sup>a</sup>R<sup>a'</sup>, S(O)<sub>p</sub>R<sup>a</sup>, CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;



$R^c$ , at each occurrence, is independently selected from  $C_{1-6}$  alkyl,  $OR^a$ ,  $Cl$ ,  $F$ ,  $Br$ ,  $I$ ,  $=O$ ,  $CN$ ,  $NO_2$ ,  $NR^aR^a'$ ,  $C(O)R^a$ ,  $C(O)OR^a$ ,  $C(O)NR^aR^a'$ ,  $NR^aS(O)_2R^a'$ ,  $S(O)_2NR^aR^a'$ ,  $S(O)_pR^a$ ,  $CF_3$ ,  $CF_2CF_3$ , and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of  $N$ ,  $O$ , and  $S$ ;

$R^4$  is selected from:  
hydrogen,  $(C_{1-5})$ alkyl,  $(C_{1-5})$ alkyl-aryl,

$R^5$  and  $R^6$  are independently selected from:



wherein:

$U$  is absent or is selected from:  $O$ ,  $NR^a$ ,  $C(O)$ ,  $C(O)O$ ,  $OC(O)$ ,  $C(O)NR^a$ ,  $NR^aC(O)$ ,  $OC(O)O$ ,  $OC(O)NR^a$ ,  $NR^aC(O)O$ ,  $NR^aC(O)NR^a$ ,  $S(O)_p$ ,  $S(O)_pNR^a$ ,  $NR^aS(O)_p$ , and  $NR^aSO_2NR^a$ ;

$X$  is absent or selected from  $H$ ,  $C_{1-10}$  alkylene,  $C_{2-10}$  alkenylene,  $C_{2-10}$  alkynylene;

$Y$  is absent or selected from  $H$ ,  $O$ ,  $NR^a$ ,  $S(O)_p$ , and  $C(O)$ ;

$Z$  is absent or selected from  $H$ , a  $C_{3-13}$  carbocyclic residue substituted with 0-5  $R^b$  and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of  $N$ ,  $O$ , and  $S$  substituted with 0-5  $R^b$ ;



$U^a$  is absent or is selected from: H, O,  $NR^a$ ,  $C(O)$ ,  $C(O)O$ ,  $OC(O)$ ,  $C(O)NR^a$ ,  $NR^aC(O)$ ,  $OC(O)O$ ,  $OC(O)NR^a$ ,  $NR^aC(O)O$ ,  $NR^aC(O)NR^a$ ,  $S(O)_p$ ,  $S(O)_pNR^a$ ,  $NR^aS(O)_p$ , and  $NR^aSO_2NR^a$ ;

$X^a$  is absent or selected from H, C<sub>1</sub>-10 alkylene, C<sub>2</sub>-10 alkenylene, C<sub>2</sub>-10 alkynylene;

$Y^a$  is absent or selected from H, O,  $NR^a$ ,  $S(O)_p$ , and  $C(O)$ ;

$Z^a$  is absent or selected from H, a C<sub>3</sub>-13 carbocyclic residue substituted with 0-5  $R^C$  and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $R^C$ ;

$R^a$ , at each occurrence, is independently selected from H, C<sub>1</sub>-4 alkyl, phenyl or benzyl;

$R^{a'}$ , at each occurrence, is independently selected from H, C<sub>1</sub>-4 alkyl, phenyl or benzyl;

alternatively,  $R^a$  and  $R^{a'}$  taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

$R^b$ , at each occurrence, is independently selected from C<sub>1</sub>-6 alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ ,  $C(O)R^a$ ,  $C(O)OR^a$ ,  $C(O)NR^aR^{a'}$ ,  $S(O)_2NR^aR^{a'}$ ,  $S(O)_pR^a$ , CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;

$R^C$ , at each occurrence, is independently selected from C<sub>1</sub>-6 alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ ,



$C(O)R^a$ ,  $C(O)OR^a$ ,  $C(O)NR^aR^{a'}$ ,  $NR^aS(O)_2R^{a'}$ ,  $S(O)_2NR^aR^{a'}$ ,  $S(O)_pR^a$ ,  $CF_3$ ,  $CF_2CF_3$ , and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;

$R^7$  is selected from:  $C_1$ - $C_{10}$  alkyl, alkylaryl, and common prodrug derivatives

A is selected from:  
 $SO_2$ , SO, CHOH;

E is  $(CR^8R^9)_m-W-(CR^8R^9)_n$ ,  
 wherein W can be absent or selected from:  
 $CH_2$ , CO, O,  $S(O)_m$  and  $NR^{10}$ ,  
 m is 0-2,  
 n is 0-2;

with the proviso that when W is O, S or  $NR^{10}$  then m must not be 0;

$R^8$  and  $R^9$  is independently selected from:  
 H,  
 $C_1$ - $C_8$  alkyl substituted with 0-5  $R^b$ ,  
 $C_1$ - $C_8$  alkenyl,  
 $C_1$ - $C_8$  alkylaryl substituted with 0-5  $R^b$ ,  
 $C_3$ -13 carbocyclic residue substituted with 0-5  $R^b$ ,  
 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $R^b$ ;  
 amino,  
 $C_1$ - $C_8$  alkyl- $NR^{10}$   
 hydroxyl,

$R^8$  and  $R^9$  can also form a ring interrupted by  $NR^{10}$ , O,  $S(O)_m$ .

R<sup>10</sup> is selected from:

hydrogen,  
C1-C8 alkyl  
C1-C8 alkylaryl



J<sup>1</sup>, J<sup>2</sup>, J<sup>3</sup>, J<sup>4</sup> are independently selected from:

CH, or N.

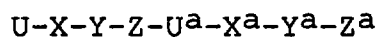
with no more than two N in the cycle.

2. A compound of claim 1 wherein:

R<sup>1</sup> is selected from:

-CO<sub>2</sub>H, -C(O)NHOH, -C(O)NHOR<sup>7</sup>, -SH, -CH<sub>2</sub>CO<sub>2</sub>R<sup>7</sup>,  
-COR<sup>7</sup>, -N(OH)COR<sup>7</sup>, -SN<sub>2</sub>H<sub>2</sub>R<sup>7</sup>, -SONHR<sup>7</sup>, -CH<sub>2</sub>CO<sub>2</sub>H,  
-PO(OH)<sub>2</sub>, -PO(OH)NHR<sup>7</sup>, -CH<sub>2</sub>SH, -C(O)NHOR<sup>7</sup>, -CO<sub>2</sub>R<sup>7</sup>,  
and common prodrug derivatives;

R<sup>2</sup> is selected from the formula:



wherein:

U is absent or is selected from: O, NR<sup>a</sup>, C(O), C(O)O,  
OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>,  
NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>,  
and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;

X is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub>  
alkenylene, C<sub>2-10</sub> alkynylene;

Y is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and  
C(O);



Z is absent or selected from H, a C<sub>3</sub>-13 carbocyclic residue substituted with 0-5 R<sup>b</sup> and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>b</sup>;

U<sup>a</sup> is absent or is selected from: H, O, NR<sup>a</sup>, C(O), C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>, NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>, and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;

X<sup>a</sup> is absent or selected from H, C<sub>1</sub>-10 alkylene, C<sub>2</sub>-10 alkenylene, C<sub>2</sub>-10 alkynylene;

Y<sup>a</sup> is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);

Z<sup>a</sup> is absent or selected from H, a C<sub>3</sub>-13 carbocyclic residue substituted with 0-5 R<sup>c</sup> and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>c</sup>;

R<sup>a</sup>, at each occurrence, is independently selected from H, C<sub>1</sub>-4 alkyl, phenyl or benzyl;

R<sup>a'</sup>, at each occurrence, is independently selected from H, C<sub>1</sub>-4 alkyl, phenyl or benzyl;

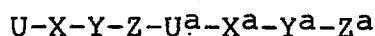
alternatively, R<sup>a</sup> and R<sup>a'</sup> taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;



$R^b$ , at each occurrence, is independently selected from C1-6 alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN,  $NO_2$ ,  $NR^aR^{a'}$ ,  $C(O)R^a$ ,  $C(O)OR^a$ ,  $C(O)NR^aR^{a'}$ ,  $S(O)_2NR^aR^{a'}$ ,  $S(O)pR^a$ ,  $CF_3$ , and  $CF_2CF_3$ ;

$R^c$ , at each occurrence, is independently selected from C1-6 alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN,  $NO_2$ ,  $NR^aR^{a'}$ ,  $C(O)R^a$ ,  $C(O)OR^a$ ,  $C(O)NR^aR^{a'}$ ,  $NR^aS(O)_2R^{a'}$ ,  $S(O)_2NR^aR^{a'}$ ,  $S(O)pR^a$ ,  $CF_3$ ,  $CF_2CF_3$ , and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;

$R^3$  is selected from the formula:



wherein:

U is absent or is selected from: O,  $NR^a$ ,  $C(O)$ ,  $C(O)O$ ,  $OC(O)$ ,  $C(O)NR^a$ ,  $NR^aC(O)$ ,  $OC(O)O$ ,  $OC(O)NR^a$ ,  $NR^aC(O)O$ ,  $NR^aC(O)NR^a$ ,  $S(O)p$ ,  $S(O)pNR^a$ ,  $NR^aS(O)p$ , and  $NR^aSO_2NR^a$ ;

X is absent or selected from H, C1-10 alkylene, C2-10 alkenylene, C2-10 alkynylene;

Y is absent or selected from H, O,  $NR^a$ ,  $S(O)p$ , and  $C(O)$ ;

Z is absent or selected from H, a C3-13 carbocyclic residue substituted with 0-5  $R^b$  and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $R^b$ ;



U<sup>a</sup> is absent or is selected from: H, O, NR<sup>a</sup>, C(O), C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>, NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>, and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;

X<sup>a</sup> is absent or selected from H, C<sub>1</sub>-10 alkylene, C<sub>2</sub>-10 alkenylene, C<sub>2</sub>-10 alkynylene;

Y<sup>a</sup> is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);

Z<sup>a</sup> is absent or selected from H, a C<sub>3</sub>-13 carbocyclic residue substituted with 0-5 R<sup>C</sup> and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>C</sup>;

R<sup>a</sup>, at each occurrence, is independently selected from H, C<sub>1</sub>-4 alkyl, phenyl or benzyl;

R<sup>a'</sup>, at each occurrence, is independently selected from H, C<sub>1</sub>-4 alkyl, phenyl or benzyl;

alternatively, R<sup>a</sup> and R<sup>a'</sup> taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

R<sup>b</sup>, at each occurrence, is independently selected from C<sub>1</sub>-6 alkyl, OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>, NR<sup>a</sup>R<sup>a'</sup>, C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, C(O)NR<sup>a</sup>R<sup>a'</sup>, S(O)<sub>2</sub>NR<sup>a</sup>R<sup>a'</sup>, S(O)<sub>p</sub>R<sup>a</sup>, CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;

R<sup>C</sup>, at each occurrence, is independently selected from C<sub>1</sub>-6 alkyl, OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>,



NR<sup>a</sup>Ra', C(O)Ra, C(O)ORa, C(O)NR<sup>a</sup>Ra', NR<sup>a</sup>S(O)<sub>2</sub>Ra', S(O)<sub>2</sub>NR<sup>a</sup>Ra', S(O)<sub>p</sub>Ra, CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;

R<sup>4</sup> is selected from:

hydrogen,

R<sup>5</sup> and R<sup>6</sup> are independently selected from:

U-X-Y-Z-U<sup>a</sup>-X<sup>a</sup>-Y<sup>a</sup>-Z<sup>a</sup>

wherein:

U is absent or is selected from: O, NR<sup>a</sup>, C(O), C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>, NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>, and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;

X is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

Y is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);

Z is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5 R<sup>b</sup> and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>b</sup>;

U<sup>a</sup> is absent or is selected from: H, O, NR<sup>a</sup>, C(O), C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>, NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>, and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;



X<sup>a</sup> is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

Y<sup>a</sup> is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);

Z<sup>a</sup> is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5 R<sup>C</sup> and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>C</sup>;

R<sup>a</sup>, at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

R<sup>a'</sup>, at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

alternatively, R<sup>a</sup> and R<sup>a'</sup> taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

R<sup>b</sup>, at each occurrence, is independently selected from C<sub>1-6</sub> alkyl, OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>, NR<sup>a</sup>R<sup>a'</sup>, C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, C(O)NR<sup>a</sup>R<sup>a'</sup>, S(O)<sub>2</sub>NR<sup>a</sup>R<sup>a'</sup>, S(O)<sub>p</sub>R<sup>a</sup>, CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;

R<sup>C</sup>, at each occurrence, is independently selected from C<sub>1-6</sub> alkyl, OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>, NR<sup>a</sup>R<sup>a'</sup>, C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, C(O)NR<sup>a</sup>R<sup>a'</sup>, NR<sup>a</sup>S(O)<sub>2</sub>R<sup>a'</sup>, S(O)<sub>2</sub>NR<sup>a</sup>R<sup>a'</sup>, S(O)<sub>p</sub>R<sup>a</sup>, CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;



$R^7$  is selected from:  $C_1$ - $C_{10}$  alkyl, alkylaryl, and common prodrug derivatives

A is selected from:  
 $SO_2$ , SO, CHOH;

E is  $(CR^8R^9)_m-W-(CR^8R^9)_n$ ,  
wherein W can be absent or selected from:  
 $CH_2$ , CO, O,  $S(O)_m$  and  $NR^{10}$ ,  
m is 0-2,  
n is 0-2;

with the proviso that when W is O, S or  $NR^{10}$  then  
m must not be 0;

$R^8$  and  $R^9$  is independently selected from:  
H,  
 $C_1$ - $C_8$  alkyl substituted with 0-5  $R^b$ ,  
 $C_1$ - $C_8$  alkenyl,  
 $C_1$ - $C_8$  alkylaryl substituted with 0-5  $R^b$ ,  
 $C_3$ -13 carbocyclic residue substituted with 0-5  $R^b$ ,  
5-14 membered heterocyclic system containing from  
1-4 heteroatoms selected from the group consisting  
of N, O, and S substituted with 0-5  $R^b$ ;  
amino,  
 $C_1$ - $C_8$  alkyl- $NR^{10}$   
hydroxyl,

$R^8$  and  $R^9$  can also form a ring interrupted by  $NR^{10}$ , O,  
 $S(O)_m$ .

$R^{10}$  is selected from:  
hydrogen,  
 $C_1$ - $C_8$  alkyl  
 $C_1$ - $C_8$  alkylaryl



$J^1, J^2, J^3, J^4$  are independently selected from:

CH, or N.

with no more than two N in the cycle.

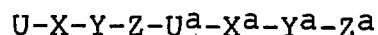


3. A compound of claim 1 wherein:

$R^1$  is selected from:

$-\text{CO}_2\text{H}$ ,  $-\text{C}(\text{O})\text{NHOH}$ ,  $-\text{C}(\text{O})\text{NHOR}^7$ ,  $-\text{SH}$ ,  $-\text{CH}_2\text{CO}_2\text{R}^7$ ,  
and common prodrug derivatives;

$R^2$  is selected from the formula:



wherein:

U is absent or is selected from: O,  $\text{NR}^a$ ,  $\text{C}(\text{O})$ ,  $\text{C}(\text{O})\text{O}$ ,  
 $\text{OC}(\text{O})$ ,  $\text{C}(\text{O})\text{NR}^a$ ,  $\text{NR}^a\text{C}(\text{O})$ ,  $\text{OC}(\text{O})\text{O}$ ,  $\text{OC}(\text{O})\text{NR}^a$ ,  
 $\text{NR}^a\text{C}(\text{O})\text{O}$ ,  $\text{NR}^a\text{C}(\text{O})\text{NR}^a$ ,  $\text{S}(\text{O})_p$ ,  $\text{S}(\text{O})_p\text{NR}^a$ ,  $\text{NR}^a\text{S}(\text{O})_p$ ,  
and  $\text{NR}^a\text{SO}_2\text{NR}^a$ ;

X is absent or selected from H,  $\text{C}_1$ -10 alkylene,  $\text{C}_2$ -10  
alkenylene,  $\text{C}_2$ -10 alkynylene;

Y is absent or selected from H, O,  $\text{NR}^a$ ,  $\text{S}(\text{O})_p$ , and  
 $\text{C}(\text{O})$ ;

Z is absent or selected from H, a  $\text{C}_3$ -13 carbocyclic  
residue substituted with 0-5  $\text{R}^b$  and a 5-14  
membered heterocyclic system containing from 1-4  
heteroatoms selected from the group consisting of  
N, O, and S substituted with 0-5  $\text{R}^b$ ;

$\text{U}^a$  is absent or is selected from: H, O,  $\text{NR}^a$ ,  $\text{C}(\text{O})$ ,  
 $\text{C}(\text{O})\text{O}$ ,  $\text{OC}(\text{O})$ ,  $\text{C}(\text{O})\text{NR}^a$ ,  $\text{NR}^a\text{C}(\text{O})$ ,  $\text{OC}(\text{O})\text{O}$ ,  $\text{OC}(\text{O})\text{NR}^a$ ,  
 $\text{NR}^a\text{C}(\text{O})\text{O}$ ,  $\text{NR}^a\text{C}(\text{O})\text{NR}^a$ ,  $\text{S}(\text{O})_p$ ,  $\text{S}(\text{O})_p\text{NR}^a$ ,  $\text{NR}^a\text{S}(\text{O})_p$ ,  
and  $\text{NR}^a\text{SO}_2\text{NR}^a$ ;



X<sup>a</sup> is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

Y<sup>a</sup> is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);

Z<sup>a</sup> is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5 R<sup>C</sup> and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>C</sup>;

R<sup>a</sup>, at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

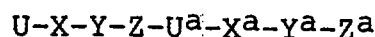
R<sup>a'</sup>, at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

alternatively, R<sup>a</sup> and R<sup>a'</sup> taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

R<sup>b</sup>, at each occurrence, is independently selected from C<sub>1-6</sub> alkyl, OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>, NR<sup>a</sup>R<sup>a'</sup>, C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, C(O)NR<sup>a</sup>R<sup>a'</sup>, S(O)<sub>2</sub>NR<sup>a</sup>R<sup>a'</sup>, S(O)<sub>p</sub>R<sup>a</sup>, CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;

R<sup>C</sup>, at each occurrence, is independently selected from C<sub>1-6</sub> alkyl, OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>, NR<sup>a</sup>R<sup>a'</sup>, C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, C(O)NR<sup>a</sup>R<sup>a'</sup>, NR<sup>a</sup>S(O)<sub>2</sub>R<sup>a'</sup>, S(O)<sub>2</sub>NR<sup>a</sup>R<sup>a'</sup>, S(O)<sub>p</sub>R<sup>a</sup>, CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;

$R^3$  is selected from the formula:



wherein:

U is absent or is selected from: O,  $NR^a$ , C(O), C(O)O, OC(O), C(O) $NR^a$ ,  $NR^aC(O)$ , OC(O)O, OC(O) $NR^a$ ,  $NR^aC(O)O$ ,  $NR^aC(O)NR^a$ , S(O)<sub>p</sub>, S(O)<sub>p</sub> $NR^a$ ,  $NR^aS(O)_p$ , and  $NR^aSO_2NR^a$ ;

X is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

Y is absent or selected from H, O,  $NR^a$ , S(O)<sub>p</sub>, and C(O);

Z is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5  $R^b$  and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $R^b$ ;

$U^a$  is absent or is selected from: H, O,  $NR^a$ , C(O), C(O)O, OC(O), C(O) $NR^a$ ,  $NR^aC(O)$ , OC(O)O, OC(O) $NR^a$ ,  $NR^aC(O)O$ ,  $NR^aC(O)NR^a$ , S(O)<sub>p</sub>, S(O)<sub>p</sub> $NR^a$ ,  $NR^aS(O)_p$ , and  $NR^aSO_2NR^a$ ;

$X^a$  is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

$Y^a$  is absent or selected from H, O,  $NR^a$ , S(O)<sub>p</sub>, and C(O);



$Z^a$  is absent or selected from H, a C<sub>3</sub>-13 carbocyclic residue substituted with 0-5  $R^C$  and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $R^C$ ;

$R^a$ , at each occurrence, is independently selected from H, C<sub>1</sub>-4 alkyl, phenyl or benzyl;

$R^{a'}$ , at each occurrence, is independently selected from H, C<sub>1</sub>-4 alkyl, phenyl or benzyl;

alternatively,  $R^a$  and  $R^{a'}$  taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

$R^b$ , at each occurrence, is independently selected from C<sub>1</sub>-6 alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ , C(O) $R^a$ , C(O) $OR^a$ , C(O) $NR^aR^{a'}$ , S(O)<sub>2</sub> $NR^aR^{a'}$ , S(O)<sub>p</sub> $R^a$ , CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;

$R^C$ , at each occurrence, is independently selected from C<sub>1</sub>-6 alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ , C(O) $R^a$ , C(O) $OR^a$ , C(O) $NR^aR^{a'}$ ,  $NR^aS(O)_2R^{a'}$ , S(O)<sub>2</sub> $NR^aR^{a'}$ , S(O)<sub>p</sub> $R^a$ , CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;

$R^4$  is selected from:  
hydrogen,

$R^5$  and  $R^6$  are independently selected from:

U-X-Y-Z-U<sup>a</sup>-X<sup>a</sup>-Y<sup>a</sup>-Z<sup>a</sup>

wherein:

U is absent or is selected from: O, NR<sup>a</sup>, C(O), C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>, NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>, and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;

X is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

Y is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);

Z is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5 R<sup>b</sup> and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>b</sup>;

U<sup>a</sup> is absent or is selected from: H, O, NR<sup>a</sup>, C(O), C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>, NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>, and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;

X<sup>a</sup> is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

Y<sup>a</sup> is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);

Z<sup>a</sup> is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5 R<sup>c</sup> and a 5-14 membered heterocyclic system containing from 1-4



heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>C</sup>;

R<sup>a</sup>, at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

R<sup>a'</sup>, at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

alternatively, R<sup>a</sup> and R<sup>a'</sup> taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

R<sup>b</sup>, at each occurrence, is independently selected from C<sub>1-6</sub> alkyl, OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>, NR<sup>a</sup>R<sup>a'</sup>, C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, C(O)NR<sup>a</sup>R<sup>a'</sup>, S(O)<sub>2</sub>NR<sup>a</sup>R<sup>a'</sup>, S(O)<sub>p</sub>R<sup>a</sup>, CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;

R<sup>C</sup>, at each occurrence, is independently selected from C<sub>1-6</sub> alkyl, OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>, NR<sup>a</sup>R<sup>a'</sup>, C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, C(O)NR<sup>a</sup>R<sup>a'</sup>, NR<sup>a</sup>S(O)<sub>2</sub>R<sup>a'</sup>, S(O)<sub>2</sub>NR<sup>a</sup>R<sup>a'</sup>, S(O)<sub>p</sub>R<sup>a</sup>, CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;

R<sup>7</sup> is selected from: C<sub>1</sub>-C<sub>10</sub> alkyl, alkylaryl, and common prodrug derivatives

A is selected from:  
SO<sub>2</sub>, SO, CHOH;

E is (CR<sup>8</sup>R<sup>9</sup>)<sub>m</sub>-W-(CR<sup>8</sup>R<sup>9</sup>)<sub>n</sub>,

wherein W can be absent or selected from:  
CH<sub>2</sub>, CO, O, S(O)<sub>m</sub> and NR<sup>10</sup>,

m is 0-2,

n is 0-2;



with the proviso that when W is O, S or NR<sup>10</sup> then  
m must not be 0;

R<sup>8</sup> and R<sup>9</sup> is independently selected from:

H,

C1-C8 alkyl substituted with 0-5 R<sup>b</sup>,

C1-C8 alkenyl,

C1-C8 alkylaryl substituted with 0-5 R<sup>b</sup>,

C3-13 carbocyclic residue substituted with 0-5 R<sup>b</sup>,

5-14 membered heterocyclic system containing from  
1-4 heteroatoms selected from the group consisting  
of N, O, and S substituted with 0-5 R<sup>b</sup>;

amino,

C1-C8 alkyl-NR<sup>10</sup>

hydroxyl,

R<sup>8</sup> and R<sup>9</sup> can also form a ring interrupted by NR<sup>10</sup>, O,  
S(O)<sub>m</sub>.

R<sup>10</sup> is selected from:

hydrogen,

C1-C8 alkyl

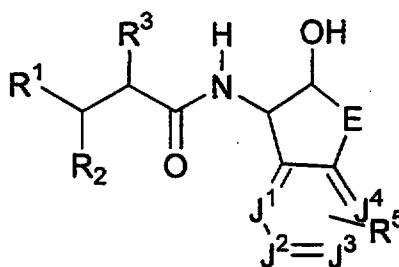
C1-C8 alkylaryl

J<sup>1</sup>, J<sup>2</sup>, J<sup>3</sup>, J<sup>4</sup> are independently selected from:

CH, or N.

with no more than two N in the cycle.

4. A compound of the formula II:



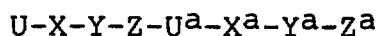
Formula II

or a pharmaceutically acceptable salt form or a stereoisomer thereof, wherein:

$R^1$  is selected from:

$-CO_2H$ ,  $-C(O)NHOH$ ,  $-C(O)NHOR^7$ ,  $-SH$ ,  $-CH_2CO_2R^7$ ,  
and common prodrug derivatives;

$R^2$  is selected from the formula:



wherein:

U is absent or is selected from: O,  $NR^a$ ,  $C(O)$ ,  $C(O)O$ ,  $OC(O)$ ,  $C(O)NR^a$ ,  $NR^aC(O)$ ,  $OC(O)O$ ,  $OC(O)NR^a$ ,  $NR^aC(O)O$ ,  $NR^aC(O)NR^a$ ,  $S(O)_p$ ,  $S(O)_pNR^a$ ,  $NR^aS(O)_p$ , and  $NR^aSO_2NR^a$ ;

X is absent or selected from H,  $C_{1-10}$  alkylene,  $C_{2-10}$  alkenylene,  $C_{2-10}$  alkynylene;

Y is absent or selected from H, O,  $NR^a$ ,  $S(O)_p$ , and  $C(O)$ ;

Z is absent or selected from H, a  $C_{3-13}$  carbocyclic residue substituted with 0-5  $R^b$  and a 5-14 membered heterocyclic system containing from 1-4



heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>b</sup>;

U<sup>a</sup> is absent or is selected from: H, O, NR<sup>a</sup>, C(O), C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>, NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>, and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;

X<sup>a</sup> is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

Y<sup>a</sup> is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);

Z<sup>a</sup> is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5 R<sup>c</sup> and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>c</sup>;

R<sup>a</sup>, at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

R<sup>a'</sup>, at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

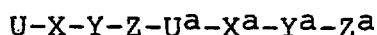
alternatively, R<sup>a</sup> and R<sup>a'</sup> taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

R<sup>b</sup>, at each occurrence, is independently selected from C<sub>1-6</sub> alkyl, OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>, NR<sup>a</sup>R<sup>a'</sup>, C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, C(O)NR<sup>a</sup>R<sup>a'</sup>, S(O)<sub>2</sub>NR<sup>a</sup>R<sup>a'</sup>, S(O)<sub>p</sub>R<sup>a</sup>, CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;



$R^C$ , at each occurrence, is independently selected from  $C_{1-6}$  alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN,  $NO_2$ ,  $NR^aR^{a'}$ ,  $C(O)R^a$ ,  $C(O)OR^a$ ,  $C(O)NR^aR^{a'}$ ,  $NR^aS(O)_2R^{a'}$ ,  $S(O)_2NR^aR^{a'}$ ,  $S(O)_pR^a$ ,  $CF_3$ ,  $CF_2CF_3$ , and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;

$R^3$  is selected from the formula:



wherein:

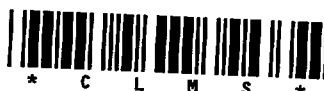
U is absent or is selected from: O,  $NR^a$ ,  $C(O)$ ,  $C(O)O$ ,  $OC(O)$ ,  $C(O)NR^a$ ,  $NR^aC(O)$ ,  $OC(O)O$ ,  $OC(O)NR^a$ ,  $NR^aC(O)O$ ,  $NR^aC(O)NR^a$ ,  $S(O)_p$ ,  $S(O)_pNR^a$ ,  $NR^aS(O)_p$ , and  $NR^aSO_2NR^a$ ;

X is absent or selected from H,  $C_{1-10}$  alkylene,  $C_{2-10}$  alkenylene,  $C_{2-10}$  alkynylene;

Y is absent or selected from H, O,  $NR^a$ ,  $S(O)_p$ , and  $C(O)$ ;

Z is absent or selected from H, a  $C_{3-13}$  carbocyclic residue substituted with 0-5  $R^b$  and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $R^b$ ;

$U^a$  is absent or is selected from: H, O,  $NR^a$ ,  $C(O)$ ,  $C(O)O$ ,  $OC(O)$ ,  $C(O)NR^a$ ,  $NR^aC(O)$ ,  $OC(O)O$ ,  $OC(O)NR^a$ ,  $NR^aC(O)O$ ,  $NR^aC(O)NR^a$ ,  $S(O)_p$ ,  $S(O)_pNR^a$ ,  $NR^aS(O)_p$ , and  $NR^aSO_2NR^a$ ;



X<sup>a</sup> is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

Y<sup>a</sup> is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);

Z<sup>a</sup> is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5 R<sup>C</sup> and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>C</sup>;

R<sup>a</sup>, at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

R<sup>a'</sup>, at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

alternatively, R<sup>a</sup> and R<sup>a'</sup> taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

R<sup>b</sup>, at each occurrence, is independently selected from C<sub>1-6</sub> alkyl, OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>, NR<sup>a</sup>R<sup>a'</sup>, C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, C(O)NR<sup>a</sup>R<sup>a'</sup>, S(O)<sub>2</sub>NR<sup>a</sup>R<sup>a'</sup>, S(O)<sub>p</sub>R<sup>a</sup>, CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;

R<sup>C</sup>, at each occurrence, is independently selected from C<sub>1-6</sub> alkyl, OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>, NR<sup>a</sup>R<sup>a'</sup>, C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, C(O)NR<sup>a</sup>R<sup>a'</sup>, NR<sup>a</sup>S(O)<sub>2</sub>R<sup>a'</sup>, S(O)<sub>2</sub>NR<sup>a</sup>R<sup>a'</sup>, S(O)<sub>p</sub>R<sup>a</sup>, CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14 membered heterocyclic system containing from 1-4

heteroatoms selected from the group consisting of  
N, O, and S;

R<sup>5</sup> is selected from:



U-X-Y-Z-U<sup>a</sup>-X<sup>a</sup>-Y<sup>a</sup>-Z<sup>a</sup>

wherein:

U is absent or is selected from: O, NR<sup>a</sup>, C(O), C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>, NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>, and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;

X is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

Y is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);

Z is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5 R<sup>b</sup> and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>b</sup>;

U<sup>a</sup> is absent or is selected from: H, O, NR<sup>a</sup>, C(O), C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>, NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>, and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;

X<sup>a</sup> is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

Y<sup>a</sup> is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);



$Z^a$  is absent or selected from H, a C<sub>3</sub>-13 carbocyclic residue substituted with 0-5  $R^C$  and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $R^C$ ;

$R^a$ , at each occurrence, is independently selected from H, C<sub>1</sub>-4 alkyl, phenyl or benzyl;

$R^{a'}$ , at each occurrence, is independently selected from H, C<sub>1</sub>-4 alkyl, phenyl or benzyl;

alternatively,  $R^a$  and  $R^{a'}$  taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

$R^b$ , at each occurrence, is independently selected from C<sub>1</sub>-6 alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ , C(O) $R^a$ , C(O) $OR^a$ , C(O) $NR^aR^{a'}$ , S(O)<sub>2</sub> $NR^aR^{a'}$ , S(O)<sub>p</sub> $R^a$ , CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;

$R^C$ , at each occurrence, is independently selected from C<sub>1</sub>-6 alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ , C(O) $R^a$ , C(O) $OR^a$ , C(O) $NR^aR^{a'}$ ,  $NR^aS(O)_2R^{a'}$ , S(O)<sub>2</sub> $NR^aR^{a'}$ , S(O)<sub>p</sub> $R^a$ , CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;

$R^7$  is selected from: C<sub>1</sub>-C<sub>10</sub> alkyl, alkylaryl, and common prodrug derivatives

E is  $(CR^8R^9)_m-W-(CR^8R^9)_n$ ,

wherein W can be absent or selected from:  
CH<sub>2</sub>, CO, O, S(O)<sub>m</sub> and NR<sup>10</sup>,

m is 0-2,  
n is 0-2;



with the proviso that when W is O, S or NR<sup>10</sup> then  
m must not be 0;

R<sup>8</sup> and R<sup>9</sup> is independently selected from:

H,  
C1-C8 alkyl substituted with 0-5 R<sup>b</sup>,  
C1-C8 alkenyl,  
C1-C8 alkylaryl substituted with 0-5 R<sup>b</sup>,  
C3-13 carbocyclic residue substituted with 0-5 R<sup>b</sup>,  
5-14 membered heterocyclic system containing from  
1-4 heteroatoms selected from the group consisting  
of N, O, and S substituted with 0-5 R<sup>b</sup>;  
amino,  
C1-C8 alkyl-NR<sup>10</sup>  
hydroxyl,

R<sup>8</sup> and R<sup>9</sup> can also form a ring interrupted by NR<sup>10</sup>, O,  
S(O)m.

R<sup>10</sup> is selected from:

hydrogen,  
C1-C8 alkyl  
C1-C8 alkylaryl

J<sup>1</sup>, J<sup>2</sup>, J<sup>3</sup>, J<sup>4</sup> are independently selected from:

CH, or N.

with no more than two N in the cycle.

5. A compound of claim 4 wherein:

R<sup>1</sup> is selected from:

-C(O)NHOH,  
and common prodrug derivatives;

$R^2$  is selected from the formula:



wherein:

U is absent or is selected from: O,  $NR^a$ ,  $C(O)$ ,  $C(O)O$ ,  $OC(O)$ ,  $C(O)NR^a$ ,  $NR^aC(O)$ ,  $OC(O)O$ ,  $OC(O)NR^a$ ,  $NR^aC(O)O$ ,  $NR^aC(O)NR^a$ ,  $S(O)_p$ ,  $S(O)_pNR^a$ ,  $NR^aS(O)_p$ , and  $NR^aSO_2NR^a$ ;

X is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

Y is absent or selected from H, O,  $NR^a$ ,  $S(O)_p$ , and  $C(O)$ ;

Z is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5  $R^b$  and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $R^b$ ;

$U^a$  is absent or is selected from: H, O,  $NR^a$ ,  $C(O)$ ,  $C(O)O$ ,  $OC(O)$ ,  $C(O)NR^a$ ,  $NR^aC(O)$ ,  $OC(O)O$ ,  $OC(O)NR^a$ ,  $NR^aC(O)O$ ,  $NR^aC(O)NR^a$ ,  $S(O)_p$ ,  $S(O)_pNR^a$ ,  $NR^aS(O)_p$ , and  $NR^aSO_2NR^a$ ;

$X^a$  is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

$Y^a$  is absent or selected from H, O,  $NR^a$ ,  $S(O)_p$ , and  $C(O)$ ;



$Z^a$  is absent or selected from H, a C<sub>3</sub>-13 carbocyclic residue substituted with 0-5  $R^C$  and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $R^C$ ;

$R^a$ , at each occurrence, is independently selected from H, C<sub>1</sub>-4 alkyl, phenyl or benzyl;

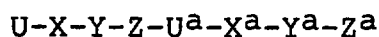
$R^{a'}$ , at each occurrence, is independently selected from H, C<sub>1</sub>-4 alkyl, phenyl or benzyl;

alternatively,  $R^a$  and  $R^{a'}$  taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

$R^b$ , at each occurrence, is independently selected from C<sub>1</sub>-6 alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ , C(O) $R^a$ , C(O) $OR^a$ , C(O) $NR^aR^{a'}$ , S(O)<sub>2</sub> $NR^aR^{a'}$ , S(O) $pR^a$ , CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;

$R^C$ , at each occurrence, is independently selected from C<sub>1</sub>-6 alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ , C(O) $R^a$ , C(O) $OR^a$ , C(O) $NR^aR^{a'}$ ,  $NR^aS(O)_2R^{a'}$ , S(O)<sub>2</sub> $NR^aR^{a'}$ , S(O) $pR^a$ , CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;

$R^3$  is selected from the formula:



wherein:



U is absent or is selected from: O,  $\text{NR}^a$ ,  $\text{C}(\text{O})$ ,  $\text{C}(\text{O})\text{O}$ ,  $\text{OC}(\text{O})$ ,  $\text{C}(\text{O})\text{NR}^a$ ,  $\text{NR}^a\text{C}(\text{O})$ ,  $\text{OC}(\text{O})\text{O}$ ,  $\text{OC}(\text{O})\text{NR}^a$ ,  $\text{NR}^a\text{C}(\text{O})\text{O}$ ,  $\text{NR}^a\text{C}(\text{O})\text{NR}^a$ ,  $\text{S}(\text{O})_p$ ,  $\text{S}(\text{O})_p\text{NR}^a$ ,  $\text{NR}^a\text{S}(\text{O})_p$ , and  $\text{NR}^a\text{SO}_2\text{NR}^a$ ;

X is absent or selected from H,  $\text{C}_1$ -10 alkylene,  $\text{C}_2$ -10 alkenylene,  $\text{C}_2$ -10 alkynylene;

Y is absent or selected from H, O,  $\text{NR}^a$ ,  $\text{S}(\text{O})_p$ , and  $\text{C}(\text{O})$ ;

Z is absent or selected from H, a  $\text{C}_3$ -13 carbocyclic residue substituted with 0-5  $\text{R}^b$  and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $\text{R}^b$ ;

$\text{U}^a$  is absent or is selected from: H, O,  $\text{NR}^a$ ,  $\text{C}(\text{O})$ ,  $\text{C}(\text{O})\text{O}$ ,  $\text{OC}(\text{O})$ ,  $\text{C}(\text{O})\text{NR}^a$ ,  $\text{NR}^a\text{C}(\text{O})$ ,  $\text{OC}(\text{O})\text{O}$ ,  $\text{OC}(\text{O})\text{NR}^a$ ,  $\text{NR}^a\text{C}(\text{O})\text{O}$ ,  $\text{NR}^a\text{C}(\text{O})\text{NR}^a$ ,  $\text{S}(\text{O})_p$ ,  $\text{S}(\text{O})_p\text{NR}^a$ ,  $\text{NR}^a\text{S}(\text{O})_p$ , and  $\text{NR}^a\text{SO}_2\text{NR}^a$ ;

$\text{X}^a$  is absent or selected from H,  $\text{C}_1$ -10 alkylene,  $\text{C}_2$ -10 alkenylene,  $\text{C}_2$ -10 alkynylene;

$\text{Y}^a$  is absent or selected from H, O,  $\text{NR}^a$ ,  $\text{S}(\text{O})_p$ , and  $\text{C}(\text{O})$ ;

$\text{Z}^a$  is absent or selected from H, a  $\text{C}_3$ -13 carbocyclic residue substituted with 0-5  $\text{R}^c$  and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $\text{R}^c$ ;



$R^a$ , at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

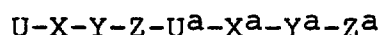
$R^{a'}$ , at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

alternatively,  $R^a$  and  $R^{a'}$  taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

$R^b$ , at each occurrence, is independently selected from C<sub>1-6</sub> alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ , C(O) $R^a$ , C(O) $OR^a$ , C(O) $NR^aR^{a'}$ , S(O)<sub>2</sub> $NR^aR^{a'}$ , S(O)<sub>p</sub> $R^a$ , CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;

$R^c$ , at each occurrence, is independently selected from C<sub>1-6</sub> alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ , C(O) $R^a$ , C(O) $OR^a$ , C(O) $NR^aR^{a'}$ ,  $NR^aS(O)_2R^{a'}$ , S(O)<sub>2</sub> $NR^aR^{a'}$ , S(O)<sub>p</sub> $R^a$ , CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;

$R^5$  is selected from:



wherein:

U is absent or is selected from: O,  $NR^a$ , C(O), C(O)O, OC(O), C(O) $NR^a$ ,  $NR^aC(O)$ , OC(O)O, OC(O) $NR^a$ ,  $NR^aC(O)O$ ,  $NR^aC(O)NR^a$ , S(O)<sub>p</sub>, S(O)<sub>p</sub> $NR^a$ ,  $NR^aS(O)_p$ , and  $NR^aSO_2NR^a$ ;



X is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

Y is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);

Z is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5 R<sup>b</sup> and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>b</sup>;

U<sup>a</sup> is absent or is selected from: H, O, NR<sup>a</sup>, C(O), C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>, NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>, and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;

X<sup>a</sup> is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

Y<sup>a</sup> is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);

Z<sup>a</sup> is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5 R<sup>c</sup> and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>c</sup>;

R<sup>a</sup>, at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

R<sup>a'</sup>, at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;



alternatively,  $R^a$  and  $R^{a'}$  taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

$R^b$ , at each occurrence, is independently selected from  $C_{1-6}$  alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN,  $NO_2$ ,  $NR^aR^{a'}$ ,  $C(O)R^a$ ,  $C(O)OR^a$ ,  $C(O)NR^aR^{a'}$ ,  $S(O)_2NR^aR^{a'}$ ,  $S(O)_pR^a$ ,  $CF_3$ , and  $CF_2CF_3$ ;

$R^c$ , at each occurrence, is independently selected from  $C_{1-6}$  alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN,  $NO_2$ ,  $NR^aR^{a'}$ ,  $C(O)R^a$ ,  $C(O)OR^a$ ,  $C(O)NR^aR^{a'}$ ,  $NR^aS(O)_2R^{a'}$ ,  $S(O)_2NR^aR^{a'}$ ,  $S(O)_pR^a$ ,  $CF_3$ ,  $CF_2CF_3$ , and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;

$R^7$  is selected from:  $C_1-C_{10}$  alkyl, alkylaryl, and common prodrug derivatives

E is  $(CR^8R^9)_m-W-(CR^8R^9)_n$ ,

wherein W can be absent or selected from:

$CH_2$ , CO, O,  $S(O)_m$  and  $NR^{10}$ ,

m is 0-2,

n is 0-2;

with the proviso that when W is O, S or  $NR^{10}$  then m must not be 0;

$R^8$  and  $R^9$  is independently selected from:

H,

$C_{1-8}$  alkyl substituted with 0-5  $R^b$ ,

$C_{1-8}$  alkenyl,

$C_{1-8}$  alkylaryl substituted with 0-5  $R^b$ ,



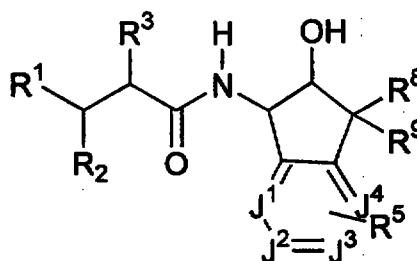
C3-13 carbocyclic residue substituted with 0-5 R<sup>b</sup>,  
 5-14 membered heterocyclic system containing from  
 1-4 heteroatoms selected from the group consisting  
 of N, O, and S substituted with 0-5 R<sup>b</sup>;  
 amino,  
 C1-C8 alkyl-NR<sup>10</sup>  
 hydroxyl,

R<sup>8</sup> and R<sup>9</sup> can also form a ring interrupted by NR<sup>10</sup>, O,  
 S(O)<sub>m</sub>.

R<sup>10</sup> is selected from:  
 hydrogen,  
 C1-C8 alkyl  
 C1-C8 alkylaryl

J<sup>1</sup>, J<sup>2</sup>, J<sup>3</sup>, J<sup>4</sup> are independently selected from:  
 CH, or N.  
 with no more than two N in the cycle.

6. A compound of formula III wherein:



Formula III

or a pharmaceutically acceptable salt form or a  
 stereoisomer thereof, wherein:

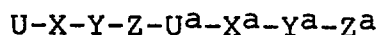
R<sup>1</sup> is selected from:

-C(O)NHOH

and common prodrug derivatives;



R<sup>2</sup> is selected from the formula:



wherein:

U is absent or is selected from: O, NR<sup>a</sup>, C(O), C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>, NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>, and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;

X is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

Y is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);

Z is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5 R<sup>b</sup> and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>b</sup>;

U<sup>a</sup> is absent or is selected from: H, O, NR<sup>a</sup>, C(O), C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>, NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>, and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;

X<sup>a</sup> is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;



$Y^a$  is absent or selected from H, O,  $NR^a$ ,  $S(O)_p$ , and C(O);

$Z^a$  is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5  $R^C$  and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $R^C$ ;

$R^a$ , at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

$R^{a'}$ , at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

alternatively,  $R^a$  and  $R^{a'}$  taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

$R^b$ , at each occurrence, is independently selected from C<sub>1-6</sub> alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ , C(O) $R^a$ , C(O) $OR^a$ , C(O) $NR^aR^{a'}$ ,  $S(O)_2NR^aR^{a'}$ ,  $S(O)_pR^a$ , CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;

$R^C$ , at each occurrence, is independently selected from C<sub>1-6</sub> alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ , C(O) $R^a$ , C(O) $OR^a$ , C(O) $NR^aR^{a'}$ ,  $NR^aS(O)_2R^{a'}$ ,  $S(O)_2NR^aR^{a'}$ ,  $S(O)_pR^a$ , CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;

$R^3$  is selected from the formula:

U-X-Y-Z-U<sup>a</sup>-X<sup>a</sup>-Y<sup>a</sup>-Z<sup>a</sup>

wherein:

U is absent or is selected from: O, NR<sup>a</sup>, C(O), C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>, NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>, and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;

X is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

Y is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);

Z is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5 R<sup>b</sup> and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>b</sup>;

U<sup>a</sup> is absent or is selected from: H, O, NR<sup>a</sup>, C(O), C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>, NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>, and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;

X<sup>a</sup> is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

Y<sup>a</sup> is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);

Z<sup>a</sup> is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5 R<sup>c</sup> and a 5-14 membered heterocyclic system containing from 1-4



heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $R^C$ ;

$R^a$ , at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

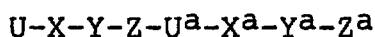
$R^{a'}$ , at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

alternatively,  $R^a$  and  $R^{a'}$  taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

$R^b$ , at each occurrence, is independently selected from C<sub>1-6</sub> alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ , C(O) $R^a$ , C(O) $OR^a$ , C(O) $NR^aR^{a'}$ , S(O)<sub>2</sub> $NR^aR^{a'}$ , S(O)<sub>p</sub> $R^a$ , CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;

$R^C$ , at each occurrence, is independently selected from C<sub>1-6</sub> alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ , C(O) $R^a$ , C(O) $OR^a$ , C(O) $NR^aR^{a'}$ ,  $NR^aS(O)_2R^{a'}$ , S(O)<sub>2</sub> $NR^aR^{a'}$ , S(O)<sub>p</sub> $R^a$ , CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;

$R^5$  is selected from:



wherein:



- U is absent or is selected from: O, NR<sup>a</sup>, C(O), C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>, NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>, and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;
- X is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;
- Y is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);
- Z is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5 R<sup>b</sup> and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>b</sup>;
- U<sup>a</sup> is absent or is selected from: H, O, NR<sup>a</sup>, C(O), C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>, NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>, and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;
- X<sup>a</sup> is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;
- Y<sup>a</sup> is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);
- Z<sup>a</sup> is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5 R<sup>c</sup> and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>c</sup>;
- R<sup>a</sup>, at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;



$R^{a'}$ , at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

alternatively,  $R^a$  and  $R^{a'}$  taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

$R^b$ , at each occurrence, is independently selected from C<sub>1-6</sub> alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ , C(O) $R^a$ , C(O) $OR^a$ , C(O) $NR^aR^{a'}$ , S(O)<sub>2</sub> $NR^aR^{a'}$ , S(O)<sub>p</sub> $R^a$ , CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;

$R^c$ , at each occurrence, is independently selected from C<sub>1-6</sub> alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ , C(O) $R^a$ , C(O) $OR^a$ , C(O) $NR^aR^{a'}$ ,  $NR^aS(O)_2R^{a'}$ , S(O)<sub>2</sub> $NR^aR^{a'}$ , S(O)<sub>p</sub> $R^a$ , CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;

$R^8$  and  $R^9$  is independently selected from:

H,  
C<sub>1-8</sub> alkyl substituted with 0-5  $R^b$ ,  
C<sub>1-8</sub> alkenyl,  
C<sub>1-8</sub> alkylaryl substituted with 0-5  $R^b$ ,  
C<sub>3-13</sub> carbocyclic residue substituted with 0-5  $R^b$ ,  
5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $R^b$ ;  
amino,  
C<sub>1-8</sub> alkyl-NR<sup>10</sup>  
hydroxyl,

$R^8$  and  $R^9$  can also form a ring interrupted by  $NR^{10}$ , O, S(O)<sub>m</sub>.

$R^{10}$  is selected from:

hydrogen,  
C1-C8 alkyl  
C1-C8 alkylaryl

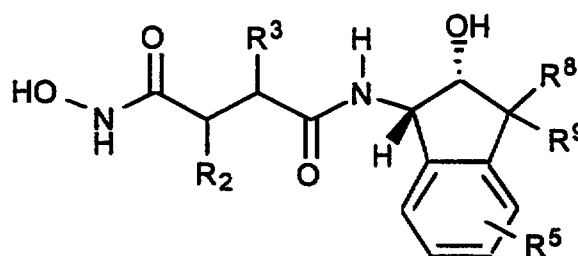


$J^1$ ,  $J^2$ ,  $J^3$ ,  $J^4$  are independently selected from:

CH, or N.

with no more than two N in the cycle.

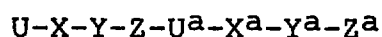
7. A compound of the formula IV:



IV

or a pharmaceutically acceptable salt form or a stereoisomer thereof, wherein:

$R^2$  is selected from the formula:



wherein:

U is absent or is selected from: O,  $NR^a$ , C(O), C(O)O, OC(O), C(O) $NR^a$ ,  $NR^a$ C(O), OC(O)O, OC(O) $NR^a$ ,  $NR^a$ C(O)O,  $NR^a$ C(O) $NR^a$ , S(O)<sub>p</sub>, S(O)<sub>p</sub> $NR^a$ ,  $NR^a$ S(O)<sub>p</sub>, and  $NR^a$ SO<sub>2</sub> $NR^a$ ;



X is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

Y is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);

Z is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5 R<sup>b</sup> and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>b</sup>;

U<sup>a</sup> is absent or is selected from: H, O, NR<sup>a</sup>, C(O), C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>, NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>, and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;

X<sup>a</sup> is absent or selected from H, C<sub>1-10</sub> alkylene, C<sub>2-10</sub> alkenylene, C<sub>2-10</sub> alkynylene;

Y<sup>a</sup> is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);

Z<sup>a</sup> is absent or selected from H, a C<sub>3-13</sub> carbocyclic residue substituted with 0-5 R<sup>c</sup> and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>c</sup>;

R<sup>a</sup>, at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

R<sup>a'</sup>, at each occurrence, is independently selected from H, C<sub>1-4</sub> alkyl, phenyl or benzyl;

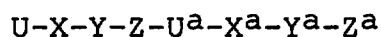


alternatively,  $R^a$  and  $R^{a'}$  taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

$R^b$ , at each occurrence, is independently selected from  $C_{1-6}$  alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN,  $NO_2$ ,  $NR^aR^{a'}$ ,  $C(O)R^a$ ,  $C(O)OR^a$ ,  $C(O)NR^aR^{a'}$ ,  $S(O)_2NR^aR^{a'}$ ,  $S(O)_pR^a$ ,  $CF_3$ , and  $CF_2CF_3$ ;

$R^c$ , at each occurrence, is independently selected from  $C_{1-6}$  alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN,  $NO_2$ ,  $NR^aR^{a'}$ ,  $C(O)R^a$ ,  $C(O)OR^a$ ,  $C(O)NR^aR^{a'}$ ,  $NR^aS(O)_2R^{a'}$ ,  $S(O)_2NR^aR^{a'}$ ,  $S(O)_pR^a$ ,  $CF_3$ ,  $CF_2CF_3$ , and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;

$R^3$  is selected from the formula:



wherein:

U is absent or is selected from: O,  $NR^a$ ,  $C(O)$ ,  $C(O)O$ ,  $OC(O)$ ,  $C(O)NR^a$ ,  $NR^aC(O)$ ,  $OC(O)O$ ,  $OC(O)NR^a$ ,  $NR^aC(O)O$ ,  $NR^aC(O)NR^a$ ,  $S(O)_p$ ,  $S(O)_pNR^a$ ,  $NR^aS(O)_p$ , and  $NR^aSO_2NR^a$ ;

X is absent or selected from H,  $C_{1-10}$  alkylene,  $C_{2-10}$  alkenylene,  $C_{2-10}$  alkynylene;

Y is absent or selected from H, O,  $NR^a$ ,  $S(O)_p$ , and  $C(O)$ ;



Z is absent or selected from H, a C<sub>3</sub>-13 carbocyclic residue substituted with 0-5 R<sup>b</sup> and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>b</sup>;

U<sup>a</sup> is absent or is selected from: H, O, NR<sup>a</sup>, C(O), C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>, NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>, and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;

X<sup>a</sup> is absent or selected from H, C<sub>1</sub>-10 alkylene, C<sub>2</sub>-10 alkenylene, C<sub>2</sub>-10 alkynylene;

Y<sup>a</sup> is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);

Z<sup>a</sup> is absent or selected from H, a C<sub>3</sub>-13 carbocyclic residue substituted with 0-5 R<sup>c</sup> and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>c</sup>;

R<sup>a</sup>, at each occurrence, is independently selected from H, C<sub>1</sub>-4 alkyl, phenyl or benzyl;

R<sup>a'</sup>, at each occurrence, is independently selected from H, C<sub>1</sub>-4 alkyl, phenyl or benzyl;

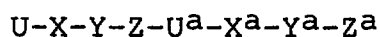
alternatively, R<sup>a</sup> and R<sup>a'</sup> taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;



$R^b$ , at each occurrence, is independently selected from C<sub>1</sub>-6 alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ ,  $C(O)R^a$ ,  $C(O)OR^a$ ,  $C(O)NR^aR^{a'}$ ,  $S(O)_2NR^aR^{a'}$ ,  $S(O)_pR^a$ , CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;

$R^c$ , at each occurrence, is independently selected from C<sub>1</sub>-6 alkyl,  $OR^a$ , Cl, F, Br, I, =O, CN, NO<sub>2</sub>,  $NR^aR^{a'}$ ,  $C(O)R^a$ ,  $C(O)OR^a$ ,  $C(O)NR^aR^{a'}$ ,  $NR^aS(O)_2R^{a'}$ ,  $S(O)_2NR^aR^{a'}$ ,  $S(O)_pR^a$ , CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;

$R^5$  is selected from:



wherein:

U is absent or is selected from: O,  $NR^a$ ,  $C(O)$ ,  $C(O)O$ ,  $OC(O)$ ,  $C(O)NR^a$ ,  $NR^aC(O)$ ,  $OC(O)O$ ,  $OC(O)NR^a$ ,  $NR^aC(O)O$ ,  $NR^aC(O)NR^a$ ,  $S(O)_p$ ,  $S(O)_pNR^a$ ,  $NR^aS(O)_p$ , and  $NR^aSO_2NR^a$ ;

X is absent or selected from H, C<sub>1</sub>-10 alkylene, C<sub>2</sub>-10 alkenylene, C<sub>2</sub>-10 alkynylene;

Y is absent or selected from H, O,  $NR^a$ ,  $S(O)_p$ , and  $C(O)$ ;

Z is absent or selected from H, a C<sub>3</sub>-13 carbocyclic residue substituted with 0-5  $R^b$  and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $R^b$ ;



U<sup>a</sup> is absent or is selected from: H, O, NR<sup>a</sup>, C(O), C(O)O, OC(O), C(O)NR<sup>a</sup>, NR<sup>a</sup>C(O), OC(O)O, OC(O)NR<sup>a</sup>, NR<sup>a</sup>C(O)O, NR<sup>a</sup>C(O)NR<sup>a</sup>, S(O)<sub>p</sub>, S(O)<sub>p</sub>NR<sup>a</sup>, NR<sup>a</sup>S(O)<sub>p</sub>, and NR<sup>a</sup>SO<sub>2</sub>NR<sup>a</sup>;

X<sup>a</sup> is absent or selected from H, C<sub>1</sub>-10 alkylene, C<sub>2</sub>-10 alkenylene, C<sub>2</sub>-10 alkynylene;

Y<sup>a</sup> is absent or selected from H, O, NR<sup>a</sup>, S(O)<sub>p</sub>, and C(O);

Z<sup>a</sup> is absent or selected from H, a C<sub>3</sub>-13 carbocyclic residue substituted with 0-5 R<sup>C</sup> and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5 R<sup>C</sup>;

R<sup>a</sup>, at each occurrence, is independently selected from H, C<sub>1</sub>-4 alkyl, phenyl or benzyl;

R<sup>a'</sup>, at each occurrence, is independently selected from H, C<sub>1</sub>-4 alkyl, phenyl or benzyl;

alternatively, R<sup>a</sup> and R<sup>a'</sup> taken together with the nitrogen to which they are attached form a 5 or 6 membered ring containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

R<sup>b</sup>, at each occurrence, is independently selected from C<sub>1</sub>-6 alkyl, OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>, NR<sup>a</sup>RA<sup>a'</sup>, C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, C(O)NR<sup>a</sup>RA<sup>a'</sup>, S(O)<sub>2</sub>NR<sup>a</sup>RA<sup>a'</sup>, S(O)<sub>p</sub>RA<sup>a</sup>, CF<sub>3</sub>, and CF<sub>2</sub>CF<sub>3</sub>;



$R^C$ , at each occurrence, is independently selected from C<sub>1-6</sub> alkyl, OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>, NR<sup>a</sup>R<sup>a'</sup>, C(O)R<sup>a</sup>, C(O)OR<sup>a</sup>, C(O)NR<sup>a</sup>R<sup>a'</sup>, NR<sup>a</sup>S(O)<sub>2</sub>R<sup>a'</sup>, S(O)<sub>2</sub>NR<sup>a</sup>R<sup>a'</sup>, S(O)<sub>p</sub>R<sup>a</sup>, CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, and a 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;

$R^8$  and  $R^9$  is independently selected from:

H,  
 C<sub>1-8</sub> alkyl substituted with 0-5  $R^b$ ,  
 C<sub>1-8</sub> alkenyl,  
 C<sub>1-8</sub> alkylaryl substituted with 0-5  $R^b$ ,  
 C<sub>3-13</sub> carbocyclic residue substituted with 0-5  $R^b$ ,  
 5-14 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-5  $R^b$ ;  
 amino,  
 C<sub>1-8</sub> alkyl-NR<sup>10</sup>  
 hydroxyl,

$R^8$  and  $R^9$  can also form a ring interrupted by NR<sup>10</sup>, O, S(O)<sub>m</sub>.

$R^{10}$  is selected from:

hydrogen,  
 C<sub>1-8</sub> alkyl  
 C<sub>1-8</sub> alkylaryl

8. A compound of claim 1, selected from the group consisting of:

N<sub>1</sub>-(2(R)-hydroxy-1(S)-indanyl)-N<sub>4</sub>-hydroxy-2(R)-isobutyl-butanediamide;

N<sub>1</sub>-(2(R)-hydroxy-1(S)-indanyl)-N<sub>4</sub>-hydroxy-2(R)-isobutyl-3(S)-(5-hydroxycarbonyl)-pentanamide;



N1-(2(R)-hydroxy-1(S)-indanyl)-N4-hydroxy-2(R)-isobutyl-3(S)-methyl-butanediamide;

N1-(2(R)-hydroxy-1(S)-indanyl)-N4-hydroxy-2(R)-isobutyl-3(S)-propyl-butanediamide;

N1-(2(R)-hydroxy-1(S)-indanyl)-N4-hydroxy-2(R)-hexyl-3(S)-propyl-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[4-hydroxy-phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[4-methoxy-phenyl)methyl]butanediamide;

N1-[1(S)-indanyl]-N4-hydroxy-2(R)-[4-(hydroxy-phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-phenyl-propyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(benzyloxy)-phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[3-(benzyloxy)-phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[4-(fluoro-phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3,4-(methylenedioxy-phenyl)methyl]butanediamide;



N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(methoxy-phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3-trifluoromethyl-phenyl)phenyl]methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-tert-butylaminosulfonyl-phenyl)phenyl]methyl]-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-methoxy-phenyl)phenyl]methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(phenyl)phenyl]methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[(3-hydroxy-4-methoxy-phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[3-(3-thiophene)isoxazoline]methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-chloro-phenyl)phenyl]methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-benzofuran)phenyl]methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-methyl-phenyl)phenyl]methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[3,4-(methylenedioxy-phenyl)phenyl]methyl]butanediamide;



N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-tetrazole-phenyl)phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[3-phenyl)phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[3-methyl-phenyl)phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[4-(amino-phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(benzyloxy-carbonyl)amino]phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-hydroxymethylene)phenyl)phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3,4,5-trimethoxy-phenyl)phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2,4-di-methoxy-phenyl)phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3,5-di-chloro-phenyl)phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-trifluoromethyl-phenyl)phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3-isopropyl-phenyl)phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2,4-dichloro-phenyl)phenyl)methyl]butanediamide;



N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3-chloro-4-fluoro-phenyl)phenyl]methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(p-toluenesulfonyl-amino)phenyl]methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-phenylmethyl-3(S)-(tert-butylxoy-carbonyl-amino)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3,4-methylenedioxyphenyl)phenyl]methyl]-3(S)-(tert-butylxoy-carbonyl-amino)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3-methoxyphenyl)phenyl]methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3-fluorophenyl)phenyl]methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(fluoro-phenyl)methyl]-3(S)-(tert-butylxoy-carbonyl-amino)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(tert-butylxoy-carbonyl-amino)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3-nitrophenyl)phenyl]methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3-(methylsulfonyl-amino)-phenyl)phenyl]methyl]-butanediamide;



N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(3-trimethylsilyl-propyl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2,2-dimethyl-propionamido)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(ethyloxy-carbonyl-amino)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(iso-butyloxy-carbonyl-amino)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(propionamido)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-methyl-cyclopropane carboxamido-1-yl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2,2-dimethylpropyl-amino)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(methylsulfonyl-amino)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-amino-butanediamide;



N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[4-(methylsulfonylamino)-phenyl)methyl]-butanediamide;

N1-(2(R)-hydroxy-1(S)-indanyl)-N4-hydroxy-2(R)-isobutyl-butanediamide;

N1-(2(R)-hydroxy-1(S)-indanyl)-N4-hydroxy-2(R)-isobutyl-3(S)-(5-hydroxycarbonyl)-pentanamide;

N1-(2(R)-hydroxy-1(S)-indanyl)-N4-hydroxy-2(R)-isobutyl-3(S)-methyl-butanediamide;

N1-(2(R)-hydroxy-1(S)-indanyl)-N4-hydroxy-2(R)-isobutyl-3(S)-propyl-butanediamide;

N1-(2(R)-hydroxy-1(S)-indanyl)-N4-hydroxy-2(R)-hexyl-3(S)-propyl-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[4-hydroxy-phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[4-methoxy-phenyl)methyl]butanediamide;

N1-[1(S)-indanyl]-N4-hydroxy-2(R)-[4-(hydroxy-phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-phenyl-propyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(benzyloxy)-phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[3-(benzyloxy)-phenyl)methyl]butanediamide;



N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[4-(hydroxy-phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[4-(fluoro-phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3,4-(methylenedioxy-phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(methoxy-phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3-trifluoromethyl-phenyl)phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-tert-butylaminosulfonyl-phenyl)phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-methoxy-phenyl)phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(phenyl)phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[(3-hydroxy-4-methoxy-phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[3-(3-thiophene)isoxazoline)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-chloro-phenyl)phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-benzofuran)phenyl)methyl]butanediamide;



N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-methyl-phenyl)phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[3,4-(methylenedioxy-phenyl)phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-tetrazole-phenyl)phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[3-phenyl)phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[3-methyl-phenyl)phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[4-(amino-phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(benzyloxy-carbonyl)amino]phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-hydroxymethylene)phenyl)phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3,4,5-trimethoxy-phenyl)phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2,4-di-methoxy-phenyl)phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3,5-di-chloro-phenyl)phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2-trifluoromethyl-phenyl)phenyl)methyl]butanediamide;



N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3-isopropyl-phenyl)phenyl]methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(2,4-dichloro-phenyl)phenyl]methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3-chloro-4-fluoro-phenyl)phenyl]methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(p-toluenesulfonyl-amino)phenyl]methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-phenylmethyl-3(S)-(tert-butylxoy-carbonyl-amino)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3,4-methylenedioxyphenyl)phenyl]methyl]-3(S)-(tert-butylxoy-carbonyl-amino)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3-methoxyphenyl)phenyl]methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3-fluorophenyl)phenyl]methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(fluoro-phenyl)methyl]-3(S)-(tert-butylxoy-carbonyl-amino)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(tert-butylxoy-carbonyl-amino)-butanediamide;



N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3-nitrophenyl)phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[[4-(3-(methylsulfonyl-amino)-phenyl)phenyl)methyl]butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(3-trimethylsilyl-propyl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2,2-dimethyl-propionamido)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(ethyloxy-carbonyl-amino)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(iso-butyloxy-carbonyl-amino)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(propionamido)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-methyl-cyclopropane carboxamido-1-yl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2,2-dimethylpropyl-amino)-butanediamide;



N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(methylsulfonyl-amino)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-amino-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(cyclobutane carboxamido-1-yl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-hydroxymethyl-isobutanamide)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-hydroxyl-cyclopropane carboxamido-1-yl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-phenyl-cyclopropane carboxamido-1-yl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(benzene carboxamido-1-yl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-cyano-cyclopropane carboxamido-1-yl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-phenyl-cyclopentane carboxamido-1-yl)-butanediamide;



N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-methyl-cyclohexane carboxamido-1-yl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-indole carboxamido)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-furan carboxamido)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-quinoline carboxamido)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(3,4,5-trimethoxy benzene carboxamido-1-yl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-methyl-3-amino-benzene carboxamido-1-yl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-methyl-6-amino-benzene carboxamido-1-yl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(3-pyridine carboxamido-1-yl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-(2,4-dichloro-phenyl)-cyclopropane carboxamido-1-yl)-butanediamide;



N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-(4-chloro-phenyl)-cyclopropane carboxamido-1-yl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(3-methylsulfonyl)-benzene carboxamido-1-yl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-methylsulfonyl-benzene carboxamido-1-yl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(3-cyano-benzene carboxamido-1-yl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(6-quinoline carboxamido)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-ethyl,3-methyl-pyrazole 5-carboxamido)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3-(4-morpholino-benzene carboxamido-1-yl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-chloro-4-methylsulfonyl-benzene carboxamido-1-yl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(4-(imidazol-1-yl)benzene carboxamido-1-yl)-butanediamide;



N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-thiophene carboxamido-1-yl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-tert-butyl,3-methyl-pyrazole 5- carboxamido)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(4-aminomethyl benzene carboxamido-1-yl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-hydroxyl-isobutanamido)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(cyclopropane carboxamido-1-yl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(cyclopentane carboxamido-1-yl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-cyclopentyl acetamido)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-( cyclohexane carboxamido-1-yl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(4-(4-N-Boc-piperazinyl-1-yl)benzene carboxamido-1-yl)-butanediamide;



N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(4-(piperazinyl-1-yl)benzene carboxamido-1-yl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-Fluoro-6-chloro-benzene carboxamido-1-yl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-amino-cyclohexane carboxamido-1-yl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-methylthio-acetamido)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-methoxy-acetamido)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-allyl-cyclopentane carboxamido-1-yl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-n-propyl-cyclopentane carboxamido-1-yl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-allyl-cyclopropane carboxamido-1-yl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(8-quinoline-sulfonamido)-butanediamide;



N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(4-nitro-benzene sulfonamido)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1,4-di-methyl-2-chloro-pyrazole-3- sulfonamido)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1,5-dimethyl-isooxazole 3- sulfonamido)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-methyl-imidazole 3-sulfonamido)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(benzene sulfonamido)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1,4-dimethyl pyrazole 3-sulfonamido)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-methylsulfonyl benzene sulfonamido-1-yl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(cyclohexylamino)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(iso-propylamino)-butanediamide;



N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[4(2-trifluoromethylphenyl)-phenylmethyl]-3(S)-(2,2-dimethylpropyl-amino)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(cyclopentylamino)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(cyclopropylmethyl)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(benzylamino)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-furanmethylamino)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-4-methylphenyl)methyl]-3(S)-(3-cyanophenylmethylamino)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2,2-dimethylpropyl-amino)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-pentylamino)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(bis-cyclopropylmethyamino)-butanediamide;



N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-thiophenemethylamino)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(2-methyl-propylamino)-butanediamide;

N1-[2(R)-hydroxy-1(S)-indanyl]-N4-hydroxy-2(R)-[3-(hydroxy-phenyl)methyl]-3(S)-(1-methyl-cyclopropane carboxamido-1-yl)-butanediamide;

9. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of Claim 1.

10. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of Claim 2.

11. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of Claim 3.

12. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of Claim 4.

13. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a



therapeutically effective amount of a compound of Claim 5.

14. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of Claim 6.

15. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of Claim 7.

16. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of Claim 8.

17. A method of treating an inflammatory disease in a mammal comprising administering to the mammal in need of such treatment a therapeutically effective amount of a compound of Claim 1.

18. A method of treating an inflammatory disease in a mammal comprising administering to the mammal in need of such treatment a therapeutically effective amount of a compound of Claim 2.

19. A method of treating an inflammatory disease in a mammal comprising administering to the mammal in need of such treatment a therapeutically effective amount of a compound of Claim 3.

20. A method of treating an inflammatory disease in a mammal comprising administering to the mammal in



need of such treatment a therapeutically effective amount of a compound of Claim 4.

21. A method of treating an inflammatory disease in a mammal comprising administering to the mammal in need of such treatment a therapeutically effective amount of a compound of Claim 5.

22. A method of treating an inflammatory disease in a mammal comprising administering to the mammal in need of such treatment a therapeutically effective amount of a compound of Claim 6.

23. A method of treating an inflammatory disease in a mammal comprising administering to the mammal in need of such treatment a therapeutically effective amount of a compound of Claim 7.

24. A method of treating an inflammatory disease in a mammal comprising administering to the mammal in need of such treatment a therapeutically effective amount of a compound of Claim 8.

25. A method of treating a condition or disease mediated by MMPs and/or TNF and/or aggrecanase in a mammal comprising administering to the mammal in need of such treatment a therapeutically effective amount of a compound of Claim 1.

26. A method of treating a condition or disease mediated by MMPs and/or TNF and/or aggrecanase in a mammal comprising administering to the mammal in need of such treatment a therapeutically effective amount of a compound of Claim 2.



27. A method of treating a condition or disease mediated by MMPs and/or TNF and/or aggrecanase in a mammal comprising administering to the mammal in need of such treatment a therapeutically effective amount of a compound of Claim 3.

28. A method of treating a condition or disease mediated by MMPs and/or TNF and/or aggrecanase in a mammal comprising administering to the mammal in need of such treatment a therapeutically effective amount of a compound of Claim 4.

29. A method of treating a condition or disease mediated by MMPs and/or TNF and/or aggrecanase in a mammal comprising administering to the mammal in need of such treatment a therapeutically effective amount of a compound of Claim 5.

30. A method of treating a condition or disease mediated by MMPs and/or TNF and/or aggrecanase in a mammal comprising administering to the mammal in need of such treatment a therapeutically effective amount of a compound of Claim 6.

31. A method of treating a condition or disease mediated by MMPs and/or TNF and/or aggrecanase in a mammal comprising administering to the mammal in need of such treatment a therapeutically effective amount of a compound of Claim 7.

32. A method of treating a condition or disease mediated by MMPs and/or TNF and/or aggrecanase in a mammal comprising administering to the mammal in need of such treatment a therapeutically effective amount of a compound of Claim 8.



33. A method of treating a condition or disease wherein the disease or condition is referred to as rheumatoid arthritis, osteoarthritis, periodontitis, gingivitis, corneal ulceration, solid tumor growth and tumor invasion by secondary metastases, neovascular glaucoma, multiple sclerosis, or psoriasis in a mammal comprising administering to the mammal in need of such treatment a therapeutically effective amount of a compound of Claim 1.

34. A method of treating a condition or disease wherein the disease or condition is referred to as rheumatoid arthritis, osteoarthritis, periodontitis, gingivitis, corneal ulceration, solid tumor growth and tumor invasion by secondary metastases, neovascular glaucoma, multiple sclerosis, or psoriasis in a mammal comprising administering to the mammal in need of such treatment a therapeutically effective amount of a compound of Claim 2.

35. A method of treating a condition or disease wherein the disease or condition is referred to as rheumatoid arthritis, osteoarthritis, periodontitis, gingivitis, corneal ulceration, solid tumor growth and tumor invasion by secondary metastases, neovascular glaucoma, multiple sclerosis, or psoriasis in a mammal comprising administering to the mammal in need of such treatment a therapeutically effective amount of a compound of Claim 3.

36. A method of treating a condition or disease wherein the disease or condition is referred to as rheumatoid arthritis, osteoarthritis, periodontitis, gingivitis, corneal ulceration, solid tumor growth and tumor invasion by secondary metastases, neovascular glaucoma, multiple sclerosis, or psoriasis in a mammal



comprising administering to the mammal in need of such treatment a therapeutically effective amount of a compound of Claim 4.

37. A method of treating a condition or disease wherein the disease or condition is referred to as rheumatoid arthritis, osteoarthritis, periodontitis, gingivitis, corneal ulceration, solid tumor growth and tumor invasion by secondary metastases, neovascular glaucoma, multiple sclerosis, or psoriasis in a mammal comprising administering to the mammal in need of such treatment a therapeutically effective amount of a compound of Claim 5.

38. A method of treating a condition or disease wherein the disease or condition is referred to as rheumatoid arthritis, osteoarthritis, periodontitis, gingivitis, corneal ulceration, solid tumor growth and tumor invasion by secondary metastases, neovascular glaucoma, multiple sclerosis, or psoriasis in a mammal comprising administering to the mammal in need of such treatment a therapeutically effective amount of a compound of Claim 6.

39. A method of treating a condition or disease wherein the disease or condition is referred to as rheumatoid arthritis, osteoarthritis, periodontitis, gingivitis, corneal ulceration, solid tumor growth and tumor invasion by secondary metastases, neovascular glaucoma, multiple sclerosis, or psoriasis in a mammal comprising administering to the mammal in need of such treatment a therapeutically effective amount of a compound of Claim 7.

40. A method of treating a condition or disease wherein the disease or condition is referred to as



rheumatoid arthritis, osteoarthritis, periodontitis, gingivitis, corneal ulceration, solid tumor growth and tumor invasion by secondary metastases, neovascular glaucoma, multiple sclerosis, or psoriasis in a mammal comprising administering to the mammal in need of such treatment a therapeutically effective amount of a compound of Claim 8.

41. A method of treating a condition or disease wherein the disease or condition is referred to as fever, cardiovascular effects, hemorrhage, coagulation, cachexia, anorexia, alcoholism, acute phase response, acute infection, shock, graft versus host reaction, autoimmune disease or HIV infection in a mammal comprising administering to the mammal in need of such treatment a therapeutically effective amount of a compound of Claim 1.

42. A method of treating a condition or disease wherein the disease or condition is referred to as fever, cardiovascular effects, hemorrhage, coagulation, cachexia, anorexia, alcoholism, acute phase response, acute infection, shock, graft versus host reaction, autoimmune disease or HIV infection in a mammal comprising administering to the mammal in need of such treatment a therapeutically effective amount of a compound of Claim 2.

43. A method of treating a condition or disease wherein the disease or condition is referred to as fever, cardiovascular effects, hemorrhage, coagulation, cachexia, anorexia, alcoholism, acute phase response, acute infection, shock, graft versus host reaction, autoimmune disease or HIV infection in a mammal comprising administering to the mammal in need of such



treatment a therapeutically effective amount of a compound of Claim 3.

44. A method of treating a condition or disease wherein the disease or condition is referred to as fever, cardiovascular effects, hemorrhage, coagulation, cachexia, anorexia, alcoholism, acute phase response, acute infection, shock, graft versus host reaction, autoimmune disease or HIV infection in a mammal comprising administering to the mammal in need of such treatment a therapeutically effective amount of a compound of Claim 4.

45. A method of treating a condition or disease wherein the disease or condition is referred to as fever, cardiovascular effects, hemorrhage, coagulation, cachexia, anorexia, alcoholism, acute phase response, acute infection, shock, graft versus host reaction, autoimmune disease or HIV infection in a mammal comprising administering to the mammal in need of such treatment a therapeutically effective amount of a compound of Claim 5.

46. A method of treating a condition or disease wherein the disease or condition is referred to as fever, cardiovascular effects, hemorrhage, coagulation, cachexia, anorexia, alcoholism, acute phase response, acute infection, shock, graft versus host reaction, autoimmune disease or HIV infection in a mammal comprising administering to the mammal in need of such treatment a therapeutically effective amount of a compound of Claim 6.

47. A method of treating a condition or disease wherein the disease or condition is referred to as fever, cardiovascular effects, hemorrhage, coagulation,



cachexia, anorexia, alcoholism, acute phase response, acute infection, shock, graft versus host reaction, autoimmune disease or HIV infection in a mammal comprising administering to the mammal in need of such treatment a therapeutically effective amount of a compound of Claim 7.

48. A method of treating a condition or disease wherein the disease or condition is referred to as fever, cardiovascular effects, hemorrhage, coagulation, cachexia, anorexia, alcoholism, acute phase response, acute infection, shock, graft versus host reaction, autoimmune disease or HIV infection in a mammal comprising administering to the mammal in need of such treatment a therapeutically effective amount of a compound of Claim 8.