COMPOUNDS TO TREAT ALZHEIMER'S DISEASE

This application is being filed as a PCT International Patent Application in the name of Elan Pharmaceuticals, Inc., a U.S. national corporation and resident, (Applicant for all countries) and Pharmacia & Upjohn Company, a U.S. national corporation (Applicant for all countries), on 29 June 2001, designating all countries except US.

CROSS-REFERENCE TO RELATED APPLICATIONS

This application claims priority to the following provisional applications: United States provisional application Serial No. 60/215, 323, filed June 30, 2000; United States provisional application Serial No. 60/252,736, filed November 22, 2000; United States provisional application Serial No. 60/255,956, filed December 15, 2000; United States provisional application Serial No. 60/268,497, filed February 13, 2001; United States provisional application Serial No. 60/279,779, filed March 29, 2001; and United States provisional application Serial No. 60/295,589, filed June 4, 2001.

BACKGROUND OF THE INVENTION

1. Field of the Invention

The present invention is directed to compounds useful in treatment of Alzheimer's disease and similar diseases.

2. Description of the Related Art

Alzheimer's disease (AD) is a progressive degenerative disease of the brain primarily associated with aging. Clinical presentation of AD is characterized by loss of memory, cognition, reasoning, judgement, and orientation. As the disease progresses, motor, sensory, and linguistic abilities are also affected until there is global impairment of multiple cognitive functions. These cognitive losses occur gradually, but typically lead to severe impairment and eventual death in the range of four to twelve years.

Alzheimer's disease is characterized by two major pathologic observations in the brain: neurofibrillary tangles and beta amyloid (or neuritic) plaques, comprised predominantly of an aggregate of a peptide fragment know as A beta. Individuals with AD exhibit characteristic beta-amyloid deposits in the brain (beta amyloid

plaques) and in cerebral blood vessels (beta amyloid angiopathy) as well as neurofibrillary tangles. Neurofibrillary tangles occur not only in Alzheimer's disease but also in other dementia-inducing disorders. On autopsy, large numbers of these lesions are generally found in areas of the human brain important for memory and cognition.

Smaller numbers of these lesions in a more restricted anatomical distribution are found in the brains of most aged humans who do not have clinical AD. Amyloidogenic plaques and vascular amyloid angiopathy also characterize the brains of individuals with Trisomy 21 (Down's Syndrome), Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type (HCHWA-D), and other neurogenerative disorders. Beta-amyloid is a defining feature of AD, now believed to be a causative precursor or factor in the development of the disease. Deposition of A beta in areas of the brain responsible for cognitive activities is a major factor in the development of AD. Beta-amyloid plaques are predominantly composed of amyloid beta peptide (A beta, also sometimes designated betaA4). A beta peptide is derived by proteolysis of the amyloid precursor protein (APP) and is comprised of 39-42 amino acids. Several proteases called secretases are involved in the processing of APP.

Cleavage of APP at the N-terminus of the A beta peptide by beta-secretase and at the C-terminus by one or more gamma-secretases constitutes the beta-amyloidogenic pathway, i.e. the pathway by which A beta is formed. Cleavage of APP by alpha-secretase produces alpha-sAPP, a secreted form of APP that does not result in beta-amyloid plaque formation. This alternate pathway precludes the formation of A beta peptide. A description of the proteolytic processing fragments of APP is found, for example, in U.S. Patent Nos. 5,441,870; 5,721,130; and 5,942,400.

An aspartyl protease has been identified as the enzyme responsible for processing of APP at the beta-secretase cleavage site. The beta-secretase enzyme has been disclosed using varied nomenclature, including BACE, Asp, and Memapsin. See, for example, Sinha et.al., 1999, *Nature* 402:537-554 (p501) and published PCT application WO00/17369.

Several lines of evidence indicate that progressive cerebral deposition of beta-amyloid peptide (A beta) plays a seminal role in the pathogenesis of AD and can precede cognitive symptoms by years or decades. See, for example, Selkoe,

1991, *Neuron* 6:487. Release of A beta from neuronal cells grown in culture and the presence of A beta in cerebrospinal fluid (CSF) of both normal individuals and AD patients has been demonstrated. See, for example, Seubert et al., 1992, *Nature* 359:325-327.

It has been proposed that A beta peptide accumulates as a result of APP processing by beta–secretase, thus inhibition of this enzyme's activity is desirable for the treatement of AD. *In vivo* processing of APP at the beta-secretase cleavage site is thought to be a rate-limiting step in A beta production, and is thus a therapeutic target for the treatment of AD. See for example, Sabbagh, M., et al., 1997, *Alz. Dis. Rev.* 3, 1-19.

BACE1 knockout mice fail to produce A beta, and present a normal phenotype. When crossed with transgenic mice that overexpress APP, the progeny show reduced amounts of A beta in brain extracts as compared with control animals (Luo et. al., 2001 *Nature Neuroscience* 4:231-232). This evidence further supports the proposal that inhibition of beta-secretase activity and reduction of A beta in the brain provides a therapeutic method for the treatment of AD and other beta amyloid disorders.

Published PCT application WO00/47618 entitled "Beta-Secretase Enzyme Compositions and Methods" identifies the beta-secretase enzyme and methods of its use. This publication also discloses oligopeptide inhibitors that bind the enzyme's active site and are useful in affinity column purification of the enzyme. In addition, WO00/77030 discloses tetrapeptide inhibitors of beta-secretase activity that are based on a statine molecule.

Various pharmaceutical agents have been proposed for the treatment of Alzheimer's disease but without any real success. US Patent 5,175,281 discloses 21-aminosteroids as being useful for treating Alzheimer's disease. US Patent 5,502,187 discloses bicyclic heterocyclic amines as being useful for treating Alzheimer's disease.

US Patents 4,616,088 and 4,665,193 discloses hydroxyethylamine compounds as anti-hypertensive agents due to their ability to inhibit renin.

US Patent 4,636,491 discloses various tetrapeptides which are useful as renin inhibitors.

US Patent 4,749,792 discloses amino compounds useful as analgesics because of their ability to inhibit an enkephalin-degrading aminopeptidase.

US Patent 5,142,056 discloses peptide derivatives with a C_2-symmetric dihydroxyethylene core as retroviral protease inhibitors.

US Patents 5,461,067 and 5,753,652 disclose the synthesis of retroviral protease inhibitors.

US Patent 5,475,138 and 5,631,405 disclose processes and various intermediates useful in the synthesis of selected protease inhibitors.

US Patent 5,502,061 discloses HIV protease inhibitors containing an unsaturated carbocycle or heterocycle at the C-terminus.

US Patent 5,545,640 discloses compounds which inhibit HIV protease activity.

US Patent 5,516,784 discloses compounds active against retroviruses, including HIV.

US Patent 5,602,175 discloses hydroxyethylamine compounds as retroviral protease inhibitors.

US Patent 5,631,405 discloses a process for the formation of intermediates useful in the synthesis of selected protease inhibitors.

US Patent 5,733,882 and International Publications WO 93/02057 and WO 93/17003 disclose dipeptide analogs as retroviral protease inhibitors.

US Patent 5,760,076 discloses hydroxyethylamino sulfonamide compounds as retrovirus protease inhibitors.

US Patent 5,807,870 discloses hydroxyethylamine compounds for the inhibition of HIV protease.

US Patent 5,827,891 discloses HIV protease inhibitors.

US Patent 5,830,897 discloses hydroxyethylamino sulfonamide compounds as retrovirus protease inhibitors.

US Patent 5,831,117 discloses a process and intermediates useful in retroviral protease inhibitor intermediates.

US Patent 5,847,169 discloses a process for preparing aminoepoxides involving the activation of the terminal hydroxyl of an aminodiol.

US Patent 5,849,911 discloses hydroxyethylamine HIV protease inhibitors which form hydrazines with one of the amino groups; this amino group must also be alkylated.

US Patent 5,922,770 discloses peptide derivatives which are useful in treating disorders resulting from a deficiency in growth hormone.

US Patent 6,013,658 discloses peptide derivatives which are useful in treating disorders resulting from a deficiency in growth hormone.

US Patent 6,022,872 discloses hydroxyethylamino sulfonyl urea compounds as HIV protease inhibitors.

US Patent 6,060,476 discloses hydroxyethylamino sulfonamide compounds as HIV protease inhibitors.

International Publication WO 89/01488 discloses renin inhibiting peptides with a hydroxyethylene or dihydroxyethylene isostere in the 10,11-position of the renin substrate angiotensinogen.

International Publication WO92/00750 discloses retroviral protease inhibitors.

International Publication WO 94/04492 discloses hydroxyethylamine intermediates useful for the treatment of retroviral diseases such as HIV. This disclosure also presents epoxides as intermediates for the retroviral inhibitors.

International Publication WO 95/06030 discloses epoxides, chloromethyl ketones, and alcohols prepared as intermediates for HIV protease inhibitors, with a single protecting group on the amine and arylalkyl side chain substituted with alkyl, nitro, nitrile, alkoxy, and thioalkoxy; a preferred side chain is 4-fluorophenylmethyl.

International Publication WO98/29401 discloses a method for the preparation of aminoepoxides from aminoaldehydes by which the aminoaldehyde continuously flows into a mixing zone containing an *in situ* generated halomethyl organometallic reagent.

International Publication WO98/33795 discloses non-peptide inhibitors of cathepsin D.

International Publication WO98/50342 discloses bis aminomethyl carbonyl compounds as inhibitors of cysteine and serine proteases.

International Publication WO00/056335 discloses non-peptide inhibitors of aspartyl proteases. These compounds influence processing of the amyloid precursor protein APP.

EP 0 609 625 discloses HIV protease inhibitors with only one noncyclized nitrogen atom.

Bioorganic & Medicinal Chemistry Letters, 5, 721-726 (1995) describes the synthesis of compounds useful for the inhibition of HIV protease in which the C-terminal nitrogen of the hydroxyethylamine compound is incorporated into a ring

system such that a piperidine ring, with an amide substituent next to the nitrogen, is formed.

The hydroxyethylamine "nucleus" or isostere, which is present in the compounds of the present invention has been employed with success in the area of HIV protease inhibition. Many of these hydroxyethylamine compounds are known as well as how to make them. See for example, *J. Am. Chem. Soc.*, 93, 288-291 (1993), *Tetrahedron Letters*, 28(45) 5569-5572 (1987), *J. Med. Chem.*, 38(4), 581-584 (1994), *Tetrahedron Letters*, 38(4), 619-620 (1997).

US Patent 5,648,511 discloses a diprotected aralkyl epoxide.

US Patents 5,482,947; 5,508,294; 5,510,349; 5,510,388; 5,521,219; 5,610,190; 5,639,769; 5,760,064; and 5,965,588 disclose monoprotected (substituted) aralkyl epoxides.

Tetrahedron Lett., 30(40),5425-5428 (1989) discloses a process in which doubly protected alpha-amino aldehydes are transformed into the corresponding aminoalkyl epoxides.

J. Med. Chem., 36, 2300 (1993) discloses an azide substituted benzyl epoxide.

Tetrahedron Lett., 38, 3175 (1997) discloses a process for the preparation of N-BOC protected epoxides from protected amino acid esters.

J. Med. Chem., 35, 2525 (1992) discloses hydroxyethylamine inhibitors of HIV protease.

US Patent 5,481,011 discloses arylalkyl amino epoxides in which the amino group is protected by a carbamate functionality.

Synlett, 6, 902 (2000) discloses the preparation of alpha-chloroketones of aminoprotected-(substituted)benzyl esters.

US Patent 5,648,511 discloses a diprotected aralkyl alcohol.

US Patents 5,482,947; 5,508,294; 5,510,349; 5,510,388; 5,521,219; 5,610,190; 5,639,769; 5,760,064; and 5,965,588 disclose monoprotected (substituted) aralklyl alcohols.

US Patents 5,482,947; 5,508,294; 5,510,349; 5,510,388; 5,521,219; 5,610,190; 5,639,769; 5,760,064; and 5,965,588 disclose a process for removing the protecting group of the monoprotected (substituted) aralklyl alcohols to give the free amino alcohol product as the amine salt.

US Patent 5,648,511 discloses the removal of the amino protecting group of the protected amino-alcohol (VIII) to give the free amino-alcohol (IX).

US Patent 6,150,344 discloses phosphate containing compounds useful in treating Alzheimer's disease.

EP 652 009 A1 discloses inhibitors of aspartyl protease which inhibit beta-amyloid peptide production in cell culture and *in vivo*. The compounds which inhibit intracellular beta-amyloid peptide production are useful in treating Alzheimer's Disease.

WO00/69262 discloses a new beta-secretase and its use in assays to screen for potential drug candidates against Alzheimer's disease.

WO01/00663 discloses memapsin 2 (human beta-secretase) as well as catalytically active recombinant enzyme. In addition, a method of identifying inhibitors of memapsin 2, as well as two inhibitors are disclosed. Both inhibitors that are disclosed are peptides.

WO01/00665 discloses inhibitors of memapsin 2 that are useful in treating Alzheimer's disease.

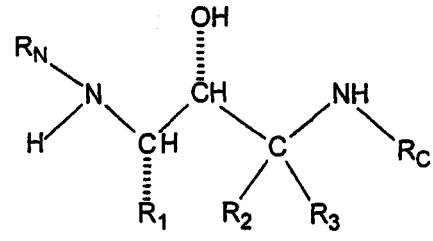
WO01/19797 discloses lactams of the formula –C-C-CO-N-lactam-W-X-Y-Z which are useful in treating Alzheimer's disease.

At present there are no effective treatments for halting, preventing, or reversing the progression of Alzheimer's disease. Therefore, there is an urgent need for pharmaceutical agents capable of slowing the progression of Alzheimer's disease and/or preventing it in the first place.

Compounds that are effective inhibitors of beta-secretase, that inhibit beta-secretase-mediated cleavage of APP, that are effective inhibitors of A beta production, and/or are effective to reduce amyloid beta deposits or plaques, are needed for the treatment and prevention of disease characterized by amyloid beta deposits or plaques, such as AD.

SUMMARY OF INVENTION

Disclosed is a substituted amine of formula (X)



(X)

where R_1 is:

(I) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, C_1-C_7 alkyl (optionally substituted with C_1-C_3 alkyl and C_1-C_3 alkoxy), -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl, -OC=O $NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(II) -CH_2-$S(O)_{0\text{-}2}$-(C_1-C_6 alkyl),

(III) -CH_2-CH_2-$S(O)_{0\text{-}2}$-(C_1-C_6 alkyl),

(IV) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(V) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(VI) -$(CH_2)_{n1}$-($R_{1\text{-}aryl}$) where n_1 is zero or one and where $R_{1\text{-}aryl}$ is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthayl, tetralinyl optionally substituted with one, two, three or four of the following substituents on the aryl ring:

(A) C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above, -C≡N, -CF_3, C_1-C_3 alkoxy,

(B) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(C) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(D) -F, Cl, -Br and -I,

(F) -C_1-C_6 alkoxy optionally substituted with one, two or three -F,

(G) -$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are as defined below,

(H) -OH,

(I) -C≡N,

(J) C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(K) -CO-(C_1-C_4 alkyl),

(L) -SO_2-$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(M) -CO-$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(N) -SO_2-(C_1-C_4 alkyl),

(VII) -$(CH_2)_{n1}$-($R_{1\text{-heteroaryl}}$) where n_1 is as defined above and where $R_{1\text{-heteroaryl}}$ is selected from the group consisting of:

pyridinyl,

pyrimidinyl,

quinolinyl,

benzothienyl,

indolyl,

indolinyl,

pryidazinyl,

pyrazinyl,

isoindolyl,

isoquinolyl,

quinazolinyl,
quinoxalinyl,
phthalazinyl,
imidazolyl,
isoxazolyl,
pyrazolyl,
oxazolyl,
thiazolyl,
indolizinyl,
indazolyl,
benzothiazolyl,
benzimidazolyl,
benzofuranyl,
furanyl,
thienyl,
pyrrolyl,
oxadiazolyl,
thiadiazolyl,
triazolyl,
tetrazolyl,
oxazolopyridinyl,
imidazopyridinyl,
isothiazolyl,
naphthyridinyl,
cinnolinyl,
carbazolyl,
beta-carbolinyl,
isochromanyl,
chromanyl,
tetrahydroisoquinolinyl,
isoindolinyl,
isobenzotetrahydrofuranyl,
isobenzotetrahydrothienyl,
isobenzothienyl,

benzoxazolyl,
pyridopyridinyl,
benzotetrahydrofuranyl,
benzotetrahydrothienyl,
purinyl,
benzodioxolyl,
triazinyl,
phenoxazinyl,
phenothiazinyl,
pteridinyl,
benzothiazolyl,
imidazopyridinyl,
imidazothiazolyl,
dihydrobenzisoxazinyl,
benzisoxazinyl,
benzoxazinyl,
dihydrobenzisothiazinyl,
benzopyranyl,
benzothiopyranyl,
coumarinyl,
isocoumarinyl,
chromonyl,
chromanonyl,
pyridinyl-N-oxide
tetrahydroquinolinyl
dihydroquinolinyl
dihydroquinolinonyl
dihydroisoquinolinonyl
dihydrocoumarinyl
dihydroisocoumarinyl
isoindolinonyl
benzodioxanyl
benzoxazolinonyl
pyrrolyl N-oxide,

pyrimidinyl N-oxide,
pyridazinyl N-oxide,
pyrazinyl N-oxide,
quinolinyl N-oxide,
indolyl N-oxide,
indolinyl N-oxide,
isoquinolyl N-oxide,
quinazolinyl N-oxide,
quinoxalinyl N-oxide,
phthalazinyl N-oxide,
imidazolyl N-oxide,
isoxazolyl N-oxide,
oxazolyl N-oxide,
thiazolyl N-oxide,
indolizinyl N-oxide,
indazolyl N-oxide,
benzothiazolyl N-oxide,
benzimidazolyl N-oxide,
pyrrolyl N-oxide,
oxadiazolyl N-oxide,
thiadiazolyl N-oxide,
triazolyl N-oxide,
tetrazolyl N-oxide,
benzothiopyranyl S-oxide,
benzothiopyranyl S,S-dioxide,

where the $R_{1\text{-heteroaryl}}$ group is bonded to $-(CH_2)_{n1}-$ by any ring atom of the parent $R_{N\text{-heteroaryl}}$ group substituted by hydrogen such that the new bond to the $R_{1\text{-heteroaryl}}$ group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three or four of:

(1) C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above, -C≡N, $-CF_3$, C_1-C_3 alkoxy,

(2) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(3) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(4) -F, -Cl, -Br and -I,

(6) -C_1-C_6 alkoxy optionally substituted with one, two, or three -F,

(7) -$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are as defined below,

(8) -OH,

(9) -C≡N,

(10) C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(11) -CO-(C_1-C_4 alkyl),

(12) -SO_2-$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(13) -CO-$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(14) -SO_2-(C_1-C_4 alkyl), with the proviso that when n_1 is zero $R_{1\text{-heteroaryl}}$ is not bonded to the carbon chain by nitrogen,

(VIII) -$(CH_2)_{n1}$-($R_{1\text{-heterocycle}}$) where n_1 is as defined above and $R_{1\text{-heterocycle}}$ is selected from the group consisting of:

morpholinyl,

thiomorpholinyl,

thiomorpholinyl S-oxide,

thiomorpholinyl S,S-dioxide,

piperazinyl,

homopiperazinyl,

pyrrolidinyl,

pyrrolinyl,

tetrahydropyranyl,

piperidinyl,
tetrahydrofuranyl,
tetrahydrothienyl,
homopiperidinyl,
homomorpholinyl,
homothiomorpholinyl,
homothiomorpholinyl S,S-dioxide, and
oxazolidinonyl,
dihydropyrazolyl
dihydropyrrolyl
dihydropyrazinyl
dihydropyridinyl
dihydropyrimidinyl
dihydrofuryl
dihydropyranyl
tetrahydrothienyl S-oxide
tetrahydrothienyl S,S-dioxide
homothiomorpholinyl S-oxide

where the $R_{1\text{-heterocycle}}$ group is bonded by any atom of the parent $R_{1\text{-heterocycle}}$ group substituted by hydrogen such that the new bond to the $R_{1\text{-heterocycle}}$ group replaces the hydrogen atom and its bond, where heterocycle is optionally substituted with one, two, three or four:

(1) C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-NR_{1\text{-a}}R_{1\text{-b}}$ where $R_{1\text{-a}}$ and $R_{1\text{-b}}$ are as defined above, -C≡N, $-CF_3$, C_1-C_3 alkoxy,

(2) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1\text{-a}}R_{1\text{-b}}$ where $R_{1\text{-a}}$ and $R_{1\text{-b}}$ are -H or C_1-C_6 alkyl,

(3) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group

consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(4) -F, -Cl, -Br and -I,

(5) C_1-C_6 alkoxy,

(6) -C_1-C_6 alkoxy optionally substituted with one, two, or three -F,

(7) $-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined below,

(8) -OH,

(9) -C≡N,

(10) C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(11) -CO-(C_1-C_4 alkyl),

(12) $-SO_2-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(13) $-CO-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(14) $-SO_2-(C_1-C_4$ alkyl),

(15) =O, with the proviso that when n_1 is zero $R_{1\text{-heterocycle}}$ is not bonded to the carbon chain by nitrogen;

where R_2 is selected from the group consisting of:

(I)-H,

(II) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(III) $-(CH_2)_{0-4}-R_{2-1}$ where R_{2-1} is $R_{1\text{-aryl}}$ or $R_{1\text{-heteroaryl}}$ where $R_{1\text{-aryl}}$ and $R_{1\text{-heteroaryl}}$ are as defined above;

(IV) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(V) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(VI) -$(CH_2)_{0\text{-}4}$- C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

where R_3 is selected from the group consisting of:

(I)-H,

(II) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(III) -$(CH_2)_{0\text{-}4}$-$R_{2\text{-}1}$ where $R_{2\text{-}1}$ is $R_{1\text{-aryl}}$ or $R_{1\text{-heteroaryl}}$ where $R_{1\text{-aryl}}$ and $R_{1\text{-heteroaryl}}$ are as defined above;

(IV) C_2-C_6 alkenyl with one or two double bonds,

(V) C_2-C_6 alkynyl with one or two triple bonds,

(VI) -$(CH_2)_{0\text{-}4}$- C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

and where R_2 and R_3 are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, and seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO_2-, -$NR_{N\text{-}2}$-, where $R_{N\text{-}2}$ is as defined below;

where R_N is:

(I) $R_{N\text{-}1}$-X_N- where X_N is selected from the group consisting of:

(A) -CO-,

(B) -SO_2-,

(C) -$(CR'R'')_{1\text{-}6}$ where R' and R" are the same or different and are -H and C_1-C_4 alkyl,

(D) -CO-$(CR'R'')_{1\text{-}6}$-$X_{N\text{-}1}$ where $X_{N\text{-}1}$ is selected from the group consisting of -O-, -S- and -NR'- and where R' and R" are as defined above,

(E) a single bond;

where $R_{N\text{-}1}$ is selected from the group consisting of:

(A) $R_{N\text{-}aryl}$ where $R_{N\text{-}aryl}$ is phenyl, 1-naphthyl, 2-naphthyl, tetralinyl, indanyl, dihydronaphthyl or 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl, optionally substituted with one, two or three of the following substituents which can be the same or different and are:

(1) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(2) -OH,

(3) $-NO_2$,

(4) -F, -Cl, -Br, -I,

(5) -CO-OH,

(6) -C≡N,

(7) $-(CH_2)_{0\text{-}4}$-CO-$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are the same or different and are selected from the group consisting of:

(a) -H,

(b) -C_1-C_6 alkyl optionally substituted with one substitutent selected from the group consisting of:

(i) -OH,

(ii) $-NH_2$,

(c) -C_1-C_6 alkyl optionally substituted with one to three -F, -Cl, -Br, -I,

(d) -C_3-C_7 cycloalkyl,

(e) -(C_1-C_2 alkyl)-(C_3-C_7 cycloalkyl),

(f) -(C_1-C_6 alkyl)-O-(C_1-C_3 alkyl),

(g) -C_2-C_6 alkenyl with one or two double bonds,

(h) -C_2-C_6 alkynyl with one or two triple bonds,

(i) -C_1-C_6 alkyl chain with one double bond and one triple bond,

(j) $-R_{1\text{-}aryl}$ where $R_{1\text{-}aryl}$ is as defined above,

(k) -$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(8) -$(CH_2)_{0-4}$-CO-(C_1-C_{12} alkyl),

(9) -$(CH_2)_{0-4}$-CO-(C_2-C_{12} alkenyl with one, two or three double bonds),

(10) -$(CH_2)_{0-4}$-CO-(C_2-C_{12} alkynyl with one, two or three triple bonds),

(11) -$(CH_2)_{0-4}$-CO-(C_3-C_7 cycloalkyl),

(12) -$(CH_2)_{0-4}$-CO-$R_{1\text{-aryl}}$ where $R_{1\text{-aryl}}$ is as defined above,

(13) -$(CH_2)_{0-4}$-CO-$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(14) -$(CH_2)_{0-4}$-CO-$R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined above,

(15) -$(CH_2)_{0-4}$-CO-R_{N-4} where R_{N-4} is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of C_1-C_6 alkyl,

(16) -$(CH_2)_{0-4}$-CO-O-R_{N-5} where R_{N-5} is selected from the group consisting of:

(a) C_1-C_6 alkyl,

(b) -$(CH_2)_{0-2}$-($R_{1\text{-aryl}}$) where $R_{1\text{-aryl}}$ is as defined above,

(c) C_2-C_6 alkenyl containing one or two double bonds,

(d) C_2-C_6 alkynyl containing one or two triple bonds,

(e) C_3-C_7 cycloalkyl,

(f) -$(CH_2)_{0-2}$-($R_{1\text{-heteroaryl}}$) where $R_{1\text{-heteroaryl}}$ is as defined above,

(17) -$(CH_2)_{0-4}$-SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined above,

(18) -$(CH_2)_{0-4}$-SO-(C_1-C_8 alkyl),

(19) -$(CH_2)_{0-4}$-SO_2-(C_1-C_{12} alkyl),

(20) -$(CH_2)_{0-4}$-SO_2-(C_3-C_7 cycloalkyl),

(21) -$(CH_2)_{0-4}$-N(H or R_{N-5})-CO-O-R_{N-5} where R_{N-5} can be the same or different and is as defined above,

(22) -$(CH_2)_{0-4}$-N(H or R_{N-5})-CO-N(R_{N-5})$_2$, where R_{N-5} can be the same or different and is as defined above,

(23) -$(CH_2)_{0-4}$-N-CS-N(R_{N-5})$_2$, where R_{N-5} can be the same or different and is as defined above,

(24) -$(CH_2)_{0-4}$-N(-H or R_{N-5})-CO-R_{N-2} where R_{N-5} and R_{N-2} can be the same or different and are as defined above,

(25) -$(CH_2)_{0-4}$-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} can be the same or different and are as defined above,

(26) -$(CH_2)_{0-4}$-R_{N-4} where R_{N-4} is as defined above,

(27) -$(CH_2)_{0-4}$-O-CO-(C_1-C_6 alkyl),

(28) -$(CH_2)_{0-4}$-O-P(O)-($OR_{N\text{-}aryl\text{-}1}$)$_2$ where $R_{N\text{-}aryl\text{-}1}$ is -H or C_1-C_4 alkyl,

(29) -$(CH_2)_{0-4}$-O-CO-N(R_{N-5})$_2$ where R_{N-5} is as defined above,

(30) -$(CH_2)_{0-4}$-O-CS-N(R_{N-5})$_2$ where R_{N-5} is as defined above,

(31) -$(CH_2)_{0-4}$-O-(R_{N-5})$_2$ where R_{N-5} is as defined above,

(32) -$(CH_2)_{0-4}$-O-(R_{N-5})$_2$-COOH where R_{N-5} is as defined above,

(33) -$(CH_2)_{0-4}$-S-(R_{N-5})$_2$ where R_{N-5} is as defined above,

(34) -$(CH_2)_{0-4}$-O-(C_1-C_6 alkyl optionally substituted with one, two, three, four, or five of -F),

(35) C_3-C_7 cycloalkyl,

(36) C_2-C_6 alkenyl with one or two double bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(37) C_2-C_6 alkynyl with one or two triple bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(38) -$(CH_2)_{0-4}$-N(-H or R_{N-5})-SO_2-R_{N-2} where R_{N-5} and R_{N-2} can be the same or different and are as described above,

(39) -$(CH_2)_{0-4}$- C_3-C_7 cycloalkyl,

(B) -$R_{N\text{-heteroaryl}}$ where $R_{N\text{-heteroaryl}}$ is selected from the group consisting of:

pyridinyl,
pyrimidinyl,
quinolinyl,
benzothienyl,
indolyl,
indolinyl,
pryidazinyl,
pyrazinyl,
isoindolyl,
isoquinolyl,
quinazolinyl,
quinoxalinyl,
phthalazinyl,
imidazolyl,
isoxazolyl,
pyrazolyl,
oxazolyl,
thiazolyl,
indolizinyl,
indazolyl,
benzothiazolyl,
benzimidazolyl,
benzofuranyl,
furanyl,
thienyl,

pyrrolyl,
oxadiazolyl,
thiadiazolyl,
triazolyl,
tetrazolyl,
oxazolopyridinyl,
imidazopyridinyl,
isothiazolyl,
naphthyridinyl,
cinnolinyl,
carbazolyl,
beta-carbolinyl,
isochromanyl,
chromanyl,
tetrahydroisoquinolinyl,
isoindolinyl,
isobenzotetrahydrofuranyl,
isobenzotetrahydrothienyl,
isobenzothienyl,
benzoxazolyl,
pyridopyridinyl,
benzotetrahydrofuranyl,
benzotetrahydrothienyl,
purinyl,
benzodioxolyl,
triazinyl,
henoxazinyl,
phenothiazinyl,
pteridinyl,
benzothiazolyl,
imidazopyridinyl,
imidazothiazolyl,
dihydrobenzisoxazinyl,
benzisoxazinyl,

benzoxazinyl,
dihydrobenzisothiazinyl,
benzopyranyl,
benzothiopyranyl,
coumarinyl,
isocoumarinyl,
chromonyl,
chromanonyl,
pyridinyl-N-oxide,
tetrahydroquinolinyl
dihydroquinolinyl
dihydroquinolinonyl
dihydroisoquinolinonyl
dihydrocoumarinyl
dihydroisocoumarinyl
isoindolinonyl
benzodioxanyl
benzoxazolinonyl
pyrrolyl N-oxide,
pyrimidinyl N-oxide,
pyridazinyl N-oxide,
pyrazinyl N-oxide,
quinolinyl N-oxide,
indolyl N-oxide,
indolinyl N-oxide,
isoquinolyl N-oxide,
quinazolinyl N-oxide,
quinoxalinyl N-oxide,
phthalazinyl N-oxide,
imidazolyl N-oxide,
isoxazolyl N-oxide,
oxazolyl N-oxide,
thiazolyl N-oxide,
indolizinyl N-oxide,

indazolyl N-oxide,
benzothiazolyl N-oxide,
benzimidazolyl N-oxide,
pyrrolyl N-oxide,
oxadiazolyl N-oxide,
thiadiazolyl N-oxide,
triazolyl N-oxide,
tetrazolyl N-oxide,
benzothiopyranyl S-oxide,
benzothiopyranyl S,S-dioxide,

where the $R_{N\text{-heteroaryl}}$ group is bonded by any atom of the parent $R_{N\text{-heteroaryl}}$ group substituted by hydrogen such that the new bond to the $R_{N\text{-heteroaryl}}$ group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four of:

(1) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(2) -OH,

(3) $-NO_2$,

(4) -F, -Cl, -Br, -I,

(5) -CO-OH,

(6) -C≡N,

(7) $-(CH_2)_{0\text{-}4}$-CO-$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are the same or different and are selected from the group consisting of:

(a) -H,

(b) -C_1-C_6 alkyl optionally substituted with one substitutent selected from the group consisting of:

(i) -OH,

(ii) $-NH_2$,

(c) -C_1-C_6 alkyl optionally substituted with one to three -F, -Cl, -Br, -I,

(d) -C_3-C_7 cycloalkyl,

(e) -(C_1-C_2 alkyl)-(C_3-C_7 cycloalkyl),

(f) -(C_1-C_6 alkyl)-O-(C_1-C_3 alkyl),

(g) -C_2-C_6 alkenyl with one or two double bonds,

(h) -C_2-C_6 alkynyl with one or two triple bonds,

(i) -C_1-C_6 alkyl chain with one double bond and one triple bond,

(j) -$R_{1\text{-aryl}}$ where $R_{1\text{-aryl}}$ is as defined above,

(k) -$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(8) -$(CH_2)_{0\text{-}4}$-CO-(C_1-C_{12} alkyl),

(9) -$(CH_2)_{0\text{-}4}$-CO-(C_2-C_{12} alkenyl with one, two or three double bonds),

(10) -$(CH_2)_{0\text{-}4}$-CO-(C_2-C_{12} alkynyl with one, two or three triple bonds),

(11) -$(CH_2)_{0\text{-}4}$-CO-(C_3-C_7 cycloalkyl),

(12) -$(CH_2)_{0\text{-}4}$-CO-$R_{1\text{-aryl}}$ where $R_{1\text{-aryl}}$ is as defined above,

(13) -$(CH_2)_{0\text{-}4}$-CO-$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(14) -$(CH_2)_{0\text{-}4}$-CO-$R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined above,

(15) -$(CH_2)_{0\text{-}4}$-CO-$R_{N\text{-}4}$ where $R_{N\text{-}4}$ is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of C_1-C_6 alkyl,

(16) -$(CH_2)_{0\text{-}4}$-CO-O-$R_{N\text{-}5}$ where $R_{N\text{-}5}$ is selected from the group consisting of:

(a) C_1-C_6 alkyl,

(b) -$(CH_2)_{0\text{-}2}$-($R_{1\text{-aryl}}$) where $R_{1\text{-aryl}}$ is as defined above,

(c) C_2-C_6 alkenyl containing one or two double bonds,

(d) C_2-C_6 alkynyl containing one or two triple bonds,

(e) C_3-C_7 cycloalkyl,

(f) -$(CH_2)_{0-2}$-($R_{1\text{-heteroaryl}}$) where $R_{1\text{-heteroaryl}}$ is as defined above,

(17) -$(CH_2)_{0-4}$-SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined above,

(18) -$(CH_2)_{0-4}$-SO-(C_1-C_8 alkyl),

(19) -$(CH_2)_{0-4}$-SO_2-(C_1-C_{12} alkyl),

(20) -$(CH_2)_{0-4}$-SO_2-(C_3-C_7 cycloalkyl),

(21) -$(CH_2)_{0-4}$-N(H or R_{N-5})-CO-O-R_{N-5} where R_{N-5} can be the same or different and is as defined above,

(22) -$(CH_2)_{0-4}$-N(H or R_{N-5})-CO-N(R_{N-5})$_2$, where R_{N-5} can be the same or different and is as defined above,

(23) -$(CH_2)_{0-4}$-N-CS-N(R_{N-5})$_2$, where R_{N-5} can be the same or different and is as defined above,

(24) -$(CH_2)_{0-4}$-N(-H or R_{N-5})-CO-R_{N-2} where R_{N-5} and R_{N-2} can be the same or different and are as defined above,

(25) -$(CH_2)_{0-4}$-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} can be the same or different and are as defined above,

(26) -$(CH_2)_{0-4}$-R_{N-4} where R_{N-4} is as defined above,

(27) -$(CH_2)_{0-4}$-O-CO-(C_1-C_6 alkyl),

(28) -$(CH_2)_{0-4}$-O-P(O)-($OR_{N\text{-aryl-1}}$)$_2$ where $R_{N\text{-aryl-1}}$ is -H or C_1-C_4 alkyl,

(29) -$(CH_2)_{0-4}$-O-CO-N(R_{N-5})$_2$ where R_{N-5} is as defined above,

(30) -$(CH_2)_{0-4}$-O-CS-N(R_{N-5})$_2$ where R_{N-5} is as defined above,

(31) -$(CH_2)_{0-4}$-O-(R_{N-5})$_2$ where R_{N-5} is as defined above,

(32) -$(CH_2)_{0-4}$-O-(R_{N-5})$_2$-COOH where R_{N-5} is as defined above,

(33) -$(CH_2)_{0-4}$-S-(R_{N-5})$_2$ where R_{N-5} is as defined above,

(34) -$(CH_2)_{0-4}$-O-(C_1-C_6 alkyl optionally substituted with one, two, three, four, or five of -F),

(35) C_3-C_7 cycloalkyl,

(36) C_2-C_6 alkenyl with one or two double bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(37) C_2-C_6 alkynyl with one or two triple bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(38) -$(CH_2)_{0-4}$-N(-H or R_{N-5})-SO_2-R_{N-2} where R_{N-5} and R_{N-2} can be the same or different and are as described above,

(39) -$(CH_2)_{0-4}$- C_3-C_7 cycloalkyl,

(C) $R_{N\text{-}aryl}$-W-$R_{N\text{-}aryl}$,

(D) $R_{N\text{-}aryl}$-W-$R_{N\text{-}heteroaryl}$,

(E) $R_{N\text{-}aryl}$-W-$R_{N\text{-}1\text{-}heterocycle}$, where $R_{N\text{-}heterocycle}$ is defined as $R_{1\text{-}heterocycle}$ is defined above,

(F) $R_{N\text{-}heteroaryl}$-W-$R_{N\text{-}aryl}$,

(G) $R_{N\text{-}heteroaryl}$-W-$R_{N\text{-}heteroaryl}$,

(H) $R_{N\text{-}heteroaryl}$-W-$R_{N\text{-}1\text{-}heterocycle}$, where $R_{N\text{-}1\text{-}heterocycle}$ is defined as $R_{1\text{-}heterocycle}$ is defined above,

(I) $R_{N\text{-}heterocycle}$-W-$R_{N\text{-}aryl}$,

(J) $R_{N\text{-}heterocycle}$-W-$R_{N\text{-}heteroaryl}$,

(K) $R_{N\text{-}heterocycle}$-W-$R_{N\text{-}1\text{-}heterocycle}$,

where W is

(1) -$(CH_2)_{0-4}$-,

(2) -O-,

(3) -$S(O)_{0-2}$-,

(4) -$N(R_{N-5})$- where R_{N-5} is as defined above, or

(5) -CO-;

(II) -CO-(C_1-C_{10} alkyl) where alkyl is optionally substituted with one two or three substitutents selected from the group consisting of:

(A) -OH,

(B) -C_1-C_6 alkoxy,

(C) -C_1-C_6 thioalkoxy,

(D) -CO-O-R_{N-8} where R_{N-8} is -H, C_1-C_6 alkyl or -phenyl,

(E) -CO-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) -CO-R_{N-4} where R_{N-4} is as defined above,

(G) -SO_2-(C_1-C_8 alkyl),

(H) -SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(I) -NH-CO-(C_1-C_6 alkyl),

(J) -NH-CO-O-R_{N-8} where R_{N-8} is as defined above,

(K) -$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(L) -R_{N-4} where R_{N-4} is as defined above,

(M) -O-CO-(C_1-C_6 alkyl),

(N) -O-CO-$NR_{N-8}R_{N-8}$ where R_{N-8} are the same or different and are as defined above,

(O) -O-(C_1-C_5 alkyl)-COOH,

(P) -O-(C_1-C_6 alkyl optionally substitued with one, two, or three of -F, -CI, -Br, -I),

(Q) -NH-SO_2-(C_1-C_6 alkyl),

(R) -F, -Cl,

(III) -CO-(C_1-C_6 alkyl)-O-(C_1-C_6 alkyl) where alkyl is optionally substituted with one, two, or three substitutents selected from the group consisting of

(A) -OH,

(B) -C_1-C_6 alkoxy,

(C) -C_1-C_6 thioalkoxy,

(D) -CO-O-R_{N-8} where R_{N-8} is -H, C_1-C_6 alkyl or -phenyl,

(E) -CO-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) -CO-R_{N-4} where R_{N-4} is as defined above,

(G) -SO_2-(C_1-C_8 alkyl),

(H) -SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(I) -NH-CO-(C_1-C_6 alkyl),

(J) -NH-CO-O-R_{N-8} where R_{N-8} is as defined above,

(K) -$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(L) -R_{N-4} where R_{N-4} is as defined above,

(M) -O-CO-(C_1-C_6 alkyl),

(N) -O-CO-$NR_{N-8}R_{N-8}$ where R_{N-8} are the same or different and are as defined above,

(O) -O-(C_1-C_5 alkyl)-COOH,

(P) -O-(C_1-C_6 alkyl optionally substitued with one, two, or three of -F, -Cl, -Br, -I),

(Q) -NH-SO_2-(C_1-C_6 alkyl),

(R) -F, -Cl,

(IV) -CO-(C_1-C_6 alkyl)-S-(C_1-C_6 alkyl) where alkyl is optionally substituted with one, two, or three of substitutents selected from the group consisting of:

(A) -OH,

(B) -C_1-C_6 alkoxy,

(C) -C_1-C_6 thioalkoxy,

(D) -CO-O-R_{N-8} where R_{N-8} is as defined above,

(E) -CO-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) -CO-R_{N-4} where R_{N-4} is as defined above,

(G) -SO_2-(C_1-C_8 alkyl),

(H) -SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(I) -NH-CO-(C_1-C_6 alkyl),

(J) -NH-CO-O-R_{N-8} where R_{N-8} is as defined above,

(K) -$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(L) -R_{N-4} where R_{N-4} is as defined above,

(M) -O-CO-(C_1-C_6 alkyl),

(N) -O-CO-$NR_{N-8}R_{N-8}$ where the R_{N-8}s are the same or different and are as defined above,

(O) -O-(C_1-C_5 alkyl)-COOH,

(P) -O-(C_1-C_6 alkyl optionally substitued with one, two, or three of -F, -Cl, -Br, -I),

(Q) -NH-SO_2-(C_1-C_6 alkyl),

(R) -F, -Cl,

(V) -CO-CH(-$(CH_2)_{0-2}$-O-R_{N-10})-$(CH_2)_{0-2}$-R_{N-aryl}/$R_{N-heteroaryl}$) where R_{N-aryl} and $R_{N-heteroaryl}$ are as defined above, where R_{N-10} is selected from the group consisting of:

(A) -H,

(B) C_1-C_6 alkyl,

(C) C_3-C_7 cycloalkyl,

(D) C_2-C_6 alkenyl with one double bond,

(E) C_2-C_6 alkynyl with one triple bond,

(F) R_{1-aryl} where R_{1-aryl} is as defined above,

(G) $R_{N-heteroaryl}$ where $R_{N-heteroaryl}$ is as defined above,

(VI) -CO-(C_3-C_8 cycloalkyl) where alkyl is optionally substituted with one or two substitutents selected from the group consisting of:

(A) -$(CH_2)_{0-4}$-OH,

(B) -$(CH_2)_{0-4}$-C_1-C_6 alkoxy,

(C) -$(CH_2)_{0-4}$-C_1-C_6 thioalkoxy,

(D) -$(CH_2)_{0-4}$-CO-O-R_{N-8} where R_{N-8} is -H, C_1-C_6 alkyl or -phenyl,

(E) -$(CH_2)_{0-4}$-CO-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) -$(CH_2)_{0-4}$-CO-R_{N-4} where R_{N-4} is as defined above,

(G) -$(CH_2)_{0-4}$-SO_2-(C_1-C_8 alkyl),

(H) -$(CH_2)_{0-4}$-SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(I) -$(CH_2)_{0-4}$-NH-CO-(C_1-C_6 alkyl),

(J) -NH-CO-O-R_{N-8} where R_{N-8} is as defined above,

(K) -$(CH_2)_{0-4}$-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(L) -$(CH_2)_{0-4}$-R_{N-4} where R_{N-4} is as defined above,

(M) -O-CO-(C_1-C_6 alkyl),

(N) -O-CO-$NR_{N-8}R_{N-8}$ where the R_{N-8}s are the same or different and are as defined above,

(O) -O-(C_1-C_5 alkyl)-COOH,

(P) -O-(C_1-C_6 alkyl optionally substitued with one, two, or three of -F, -Cl, -Br, -I),

(Q) -NH-SO_2-(C_1-C_6 alkyl),

(R) -F, -Cl,

where R_C is:

(I) -C_1-C_{10} alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, -OC=O $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, -$S(=O)_{0-2}$ R_{1-a} where R_{1-a} is as defined above, - NR_{1-a}C=O $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, -C=O $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, and -$S(=O)_2$ $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(II) -$(CH_2)_{0-3}$-(C_3-C_8) cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -CO-OH, -CO-O-(C_1-C_4 alkyl), -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(III) -$(CR_{C-x}R_{C-y})_{0-4}$-R_{C-aryl} where R_{C-x} and R_{C-y} are

-H,

C_1-C_4 alkyl optionally substituted with one or two -OH,

C_1-C_4 alkoxy optionally substituted with one, two, or three of -F,

-$(CH_2)_{0-4}$-C_3-C_7 cycloalkyl,

C_2-C_6 alkenyl containing one or two double bonds,

C_2-C_6 alkynyl containing one or two triple bonds,

phenyl,

and where R_{C-x} and R_{C-y} are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six and seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, $-SO_2-$, $-NR_{N-2}-$ and R_{C-aryl} is defined as R_{N-aryl} is defined above;

(IV) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$ where $R_{C-heteroaryl}$ is defined as $R_{N-heteroaryl}$ is defined above and R_{C-x} and R_{C-y} are as defined above,

(V) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}-R_{C-aryl}$ where R_{C-aryl}, R_{C-x} and R_{C-y} are as defined above,

(VI) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}-R_{C-heteroaryl}$ where R_{C-aryl} , $R_{C-heteroaryl}$, R_{C-x} and R_{C-y} are as defined above,

(VII) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-aryl}$ where $R_{C-heteroaryl}$, R_{C-aryl}, R_{C-x} and R_{C-y} are as defined above,

(VIII) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-heteroaryl}$ where $R_{C-heteroaryl}$, R_{C-x} and R_{C-y} are as defined above,

(IX) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}-R_{C-heterocycle}$ where R_{C-aryl}, R_{C-x} and R_{C-y} are as defined above, and $R_{C-heterocycle}$ is defined as $R_{N-heterocycle}$ is defined above,

(X) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-heterocycle}$ where $R_{C-heteroaryl}$, $R_{C-heterocycle}$, R_{C-x} and R_{C-y} are as defined above,

(XI) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-aryl}$ where $R_{C-heterocycle}$, R_{C-aryl}, R_{C-x} and R_{C-y} are as defined above,

(XII) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-heteroaryl}$ where $R_{C-heterocycle}$, $R_{C-heteroaryl}$, R_{C-x} and R_{C-y} are as defined above,

(XIII) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-heterocycle}$ where $R_{C-heterocycle}$, R_{C-x} and R_{C-y} are as defined above,

(XIV) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}$ where $R_{C-heterocycle}$, R_{C-x} and R_{C-y} are as defined above,

(XV) $-[C(R_{C-1})(R_{C-2})]_{1-3}-CO-N-(R_{C-3})_2$ where R_{C-1} and R_{C-2} are the same or different and are selected from the group consisting of:

(A) -H,

(B) $-C_1-C_6$ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH,

-SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(C) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(D) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(E) -$(CH_2)_{1-2}$-$S(O)_{0-2}$-(C_1-C_6 alkyl),

(F) -$(CH_2)_{0-4}$-C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(G) -(C_1-C_4 alkyl)-$R_{C'\text{-aryl}}$ where $R_{C'\text{-aryl}}$ is as defined for $R_{1\text{-aryl}}$,

(H) -(C_1-C_4 alkyl)-$R_{C\text{-heteroaryl}}$ where $R_{C\text{-heteroaryl}}$ is as defined above,

(I) -(C_1-C_4 alkyl)-$R_{C\text{-heterocycle}}$ where $R_{C\text{-heterocycle}}$ is as defined above,

(J) -$R_{C\text{-heteroaryl}}$ where $R_{C\text{-heteroaryl}}$ is as defined above,

(K) -$R_{C\text{-heterocycle}}$ where $R_{C\text{-heterocycle}}$ is as defined above,

(M) -$(CH_2)_{1-4}$-R_{C-4}-$(CH_2)_{0-4}$-$R_{C'\text{-aryl}}$ where R_{C-4} is -O-, -S- or -NR_{C-5}- where R_{C-5} is C_1-C_6 alkyl, and where $R_{C'\text{-aryl}}$ is defined above,

(N) -$(CH_2)_{1-4}$-R_{C-4}-$(CH_2)_{0-4}$-$R_{C\text{-heteroaryl}}$ where R_{C-4} and $R_{C\text{-heteroaryl}}$ are as defined above,

(O) -$R_{C'\text{-aryl}}$ where $R_{C'\text{-aryl}}$ is as defined above,

and where R_{C-3} is the same or different and is:

(A) -H,

(B) -C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH,

-SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(C) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(D) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(E) -$(CH_2)_{0-4}$-C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl,

-Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(F) -$R_{C'\text{-aryl}}$ where $R_{C'\text{-aryl}}$ is as defined above,

(G) -$R_{C\text{-heteroaryl}}$ where $R_{C\text{-heteroaryl}}$ is as defined above,

(H) -$R_{C\text{-heterocycle}}$ where $R_{C\text{-heterocycle}}$ is as defined above,

(I) -(C_1-C_4 alkyl)-$R_{C'\text{-aryl}}$ where $R_{C'\text{-aryl}}$ is as defined above,

(J) -(C_1-C_4 alkyl)-$R_{C\text{-heteroaryl}}$ where $R_{C\text{-heteroaryl}}$ is as defined above,

(K) -(C_1-C_4 alkyl)-$R_{C\text{-heterocycle}}$ where $R_{C\text{-heterocycle}}$ is as defined above,

(XVI) -$CH(R_{C\text{-aryl}})_2$ where $R_{C\text{-aryl}}$ are the same or different and are as defined above,

(XVII) -$CH(R_{C\text{-heteroaryl}})_2$ where $R_{C\text{-heteroaryl}}$ are the same or different and are as defined above,

(XVIII) -$CH(R_{C\text{-aryl}})(R_{C\text{-heteroaryl}})$ where $R_{C\text{-aryl}}$ and $R_{C\text{-heteroaryl}}$ are as defined above,

(XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to $R_{C\text{-aryl}}$ or $R_{C\text{-heteroaryl}}$ or $R_{C\text{-heterocycle}}$ where $R_{C\text{-aryl}}$ or $R_{C\text{-heteroaryl}}$ or $R_{C\text{-heterocycle}}$ are as defined above where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH, NR_{N-5}, O, $S(=O)_{0-2}$, and where cyclopentyl, cyclohexyl, or -

cycloheptyl can be optionally substituted with one or two -C_1-C_3 alkyl, -F, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, =O, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(XX) C_2-C_{10} alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(XXI) C_2-C_{10} alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(XXI) -$(CH_2)_{0-1}$-CHR_{C-6}-$(CH_2)_{0-1}$-$R_{C\text{-}aryl}$ where $R_{C\text{-}aryl}$ is as defined above and R_{C-6} is -$(CH_2)_{0-6}$-OH,

(XXII) -$(CH_2)_{0-1}$-CHR_{C-6}-$(CH_2)_{0-1}$-$R_{C\text{-}heteroaryl}$ where $R_{C\text{-}heteroaryl}$ and R_{C-6} is as defined above,

(XXIII) -CH(-$R_{C\text{-}aryl}$ or $R_{C\text{-}heteroaryl}$)-CO-O(C_1-C_4 alkyl) where $R_{C\text{-}aryl}$ and $R_{C\text{-}heteroaryl}$ are as defined above,

(XXIV) -CH(-CH_2-OH)-CH(-OH)-micro-NO_2,

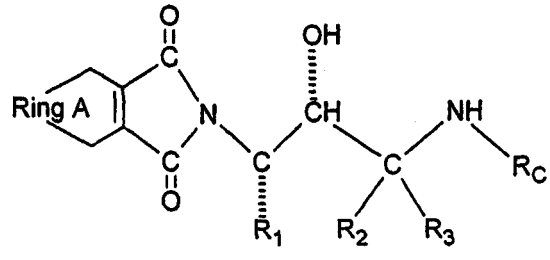
(XXV) (C_1-C_6 alkyl)-O-(C_1-C_6 alkyl)-OH,

(XXVII) -CH_2-NH-CH_2-CH(-O-CH_2-CH_3)$_2$,

(XXVIII) -H,

(XXIX) -$(CH_2)_{0-6}$-C(=NR_{1-a})($NR_{1-a}R_{1-b}$) where R_{1-a} and R_{1-b} are as defined above, and pharmaceutically acceptable salts thereof.

Also disclosed is a substituted amine of the formula (X')



(X')

where R_1, R_2, R_3 and R_C are as defined above;

where Ring A is phenyl, 1-naphthyl and 2-naphthyl optionally substituted with one, two or three of the following substituents which can be the same or different and are:

(1) C_1-C_6 alkyl,

(2) -F, -Cl, -Br, I,

(3) -OH,

(4) $-NO_2$,

(5) -CO-OH,

(6) -C≡N,

(7) $-CO-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined above,

(8) -CO-(C_3-C_{12} alkyl),

(9) -CO-(C_3-C_6 cycloalkyl),

(10) $-CO-R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined in above,

(11) $-CO-R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined in above,

(12) $-CO-R_{N-4}$ where R_{N-4} is as defined in above,

(13) $-CO-O-R_{N-5}$ where R_{N-5} is as defined in above,

(14) $-SO_2-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined above,

(15) -SO-(C_1-C_8 alkyl),

(16) $-SO_2$-(C_3-C_{12} alkyl) with the proviso that the alkyl group not be straight chained,

(17) $-NH-CO-O-R_{N-5}$ where R_{N-5} is as defined above,

(18) -NH-CO-N(C_1-C_3 alkyl)$_2$,

(19) -N-CS-N(C_1-C_3 alkyl)$_2$,

(20) -N(-H or C_1-C_3 alkyl)-$CO-R_{N-5}$ where R_{N-5} is as defined above,

(21) $-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} can be the same or different and are as defined above,

(22) $-R_{N-4}$ where R_{N-4} is as defined above,

(23) -O-CO-(C_1-C_6 alkyl),

(24) -O-CO-N(C_1-C_3 alkyl)$_2$,

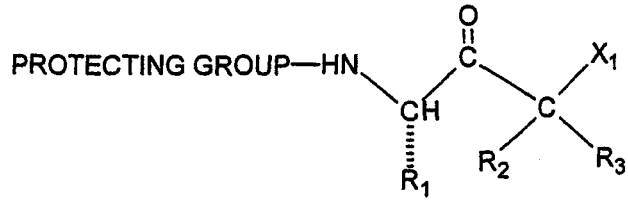
(25) -O-CS-N(C_1-C_3 alkyl)$_2$,

(26) -O-(C_1-C_6 alkyl),

(27) -O-(C_2-C_5 alkyl)-COOH,

(28) -S-(C_1-C_6 alkyl) and pharmaceutically acceptable salts thereof.

Additionally disclosed is a protected compound of the formula (III)



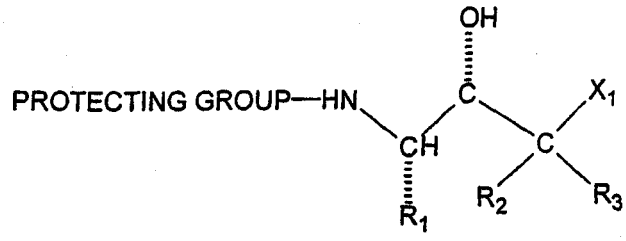
(III)

where R_1, R_2 and R_3 are as defined above;

where X_1 is -Cl, -Br, -I, -O-tosylate, -O-mesylate, -O-nosylate;

where PROTECTING GROUP is selected from the group consisting of *t*-butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl, dichloroacetyl, chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl, 4-fluorobenzyloxycarbonyl, 4-chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl, 2-chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-nitrobenzyloxycarbonyl, 4-cyanobenzyloxycarbonyl, 2-(4-xenyl)isopropoxycarbonyl, 1,1-diphenyleth-1-yloxycarbonyl, 1,1-diphenylprop-1-yloxycarbonyl, 2-phenylprop-2-yloxycarbonyl, 2-(*p*-toluyl)prop-2-yloxycarbonyl, cyclopentanyloxycarbonyl, 1-methylcyclopentanyloxycarbonyl, cyclohexanyloxycarbonyl, 1-methylcyclohexanyloxycabonyl, 2-methylcyclohexanyloxycarbonyl, 2-(4-toluylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-(triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-(trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1-enyloxycarbonyl, 5-benzisoxalylmethoxycarbonyl, 4-acetoxybenzyloxycarbonyl, 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl, cyclopropylmethoxycarbonyl, 4-(decyloxyl)benzyloxycarbonyl, isobornyloxycarbonyl and 1-piperidyloxycarbonyl, 9-fluorenylmethyl carbonate, -CH-CH=CH_2 and phenyl-C(=N-)-H.

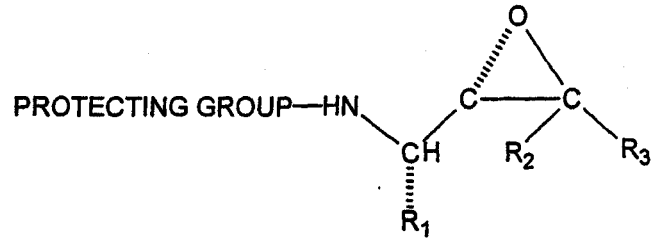
Further disclosed is an alcohol of the formula (IV)



(IV)

where R_1, R_2, R_3, X_1 and PROTECTING GROUP are as defined above.

Additionally disclosed is an epoxide of the formula (V)



(V)

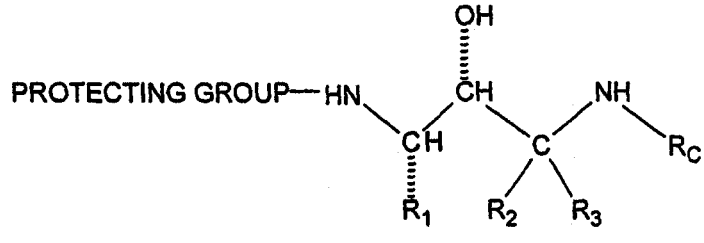
where R_2, R_3 and PROTECTING GROUP are as defined above and where R_1 is:

$-CH_2$-phenyl where -phenyl is substituted with two -F,

$-(CH_2)_{n1}-R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above, and

$-(CH_2)_{n1}-R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined above.

Further disclosed is a protected alcohol of the formula (VII)



(VII)

where R_2, R_3, R_C and PROTECTING GROUP are as defined above, and where R_1 is:

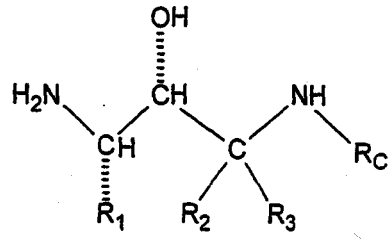
$-CH_2$-phenyl where -phenyl is substituted with two -F,

$-(CH_2)_{n1}-R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above and

$-(CH_2)_{n1}-R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined above and

chemically acceptable salts thereof.

Also disclosed is an amine of the formula (VIII)



(VIII)

where R_2, R_3 and R_C are as defined above and where R_1 is:

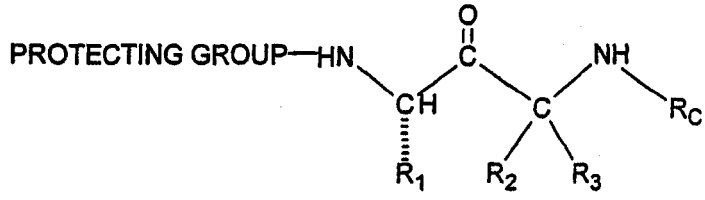
$-CH_2$-phenyl where -phenyl is substituted with two -F,

$-(CH_2)_{n1}-R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above and

$-(CH_2)_{n1}-R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined above and

chemically acceptable salts thereof.

Disclosed is a protected ketone of formula (XI)



(XI)

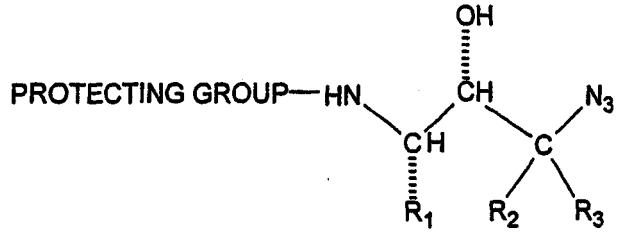
where R_2, R_3, R_C and PROTECTING GROUP are as defined above and where R_1 is:

$-CH_2$-phenyl where -phenyl is substituted with two -F,

$-(CH_2)_{n1}-R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above and

$-(CH_2)_{n1}-R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined above.

Also disclosed is a protected azide of formula (XII)



(XII)

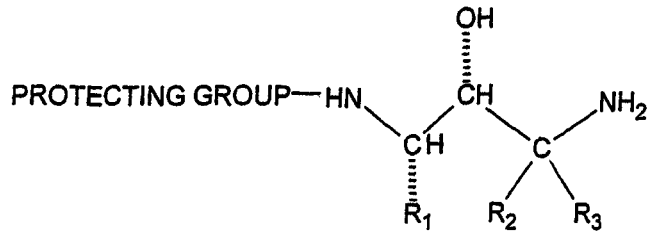
where R_2, R_3 and PROTECTING GROUP are as defined above and where R_1 is:

$-CH_2$-phenyl where -phenyl is substituted with two -F,

$-(CH_2)_{n1}-R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above and

$-(CH_2)_{n1}-R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined above.

Further disclosed is a protected amine of formula (XIII)



(XIII)

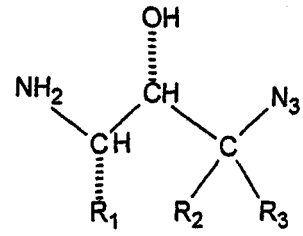
where R_2, R_3 and PROTECTING GROUP are as defined above and where R_1 is:

$-CH_2$-phenyl where -phenyl is substituted with two -F,

$-(CH_2)_{n1}-R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above and

$-(CH_2)_{n1}-R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined above.

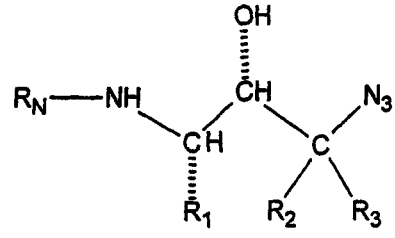
Additionally disclosed is an unprotected azide of formula (XIV)



(XIV)

where R_1, R_2, R_3 and PROTECTING GROUP are as defined above for the substituted amine (X).

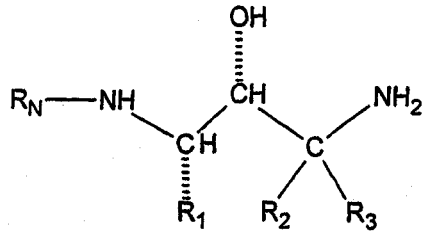
Further disclosed is an azide of formula (XV)



(XV)

where R_1, R_2, R_3 and R_N are as defined above for the substituted amine (X).

Also disclosed is a free amine of formula (XVI)



(XVI)

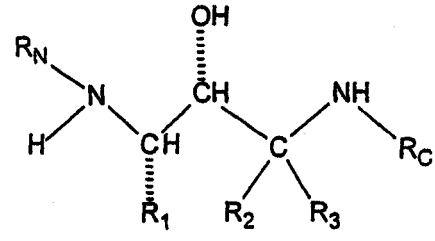
where R_2, R_3 and R_N are as defined above and where R_1 is:

(A) -CH_2-phenyl where -phenyl is substituted with two -F,

(B) -$(CH_2)_{n1}$-$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above and

(C) -$(CH_2)_{n1}$-$R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined above.

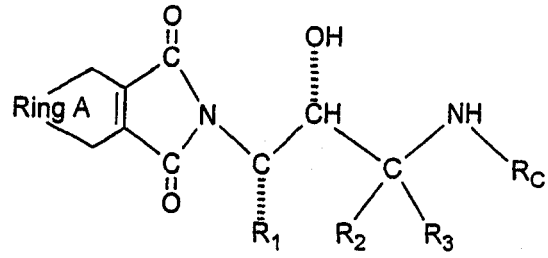
Disclosed is a method of treating a patient who has, or in preventing a patient from getting, a disease or condition selected from the group consisting of Alzheimer's disease, for helping prevent or delay the onset of Alzheimer's disease, for treating patients with mild cognitive impairment (MCI) and preventing or delaying the onset of Alzheimer's disease in those who would progress from MCI to AD, for treating Down's syndrome, for treating humans who have Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, for treating cerebral amyloid angiopathy and preventing its potential consequences, i.e. single and recurrent lobar hemorrhages, for treating other degenerative dementias, including dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, or diffuse Lewy body type of Alzheimer's disease and who is in need of such treatment which comprises administration of a therapeutically effective amount of a compound selected from the group consisting of a substituted amine of formula (X)



(X)

where R_1, R_2, R_3, R_C, and R_N are as defined above for the substituted amine (X), and pharmaceutically acceptable salts thereof, and

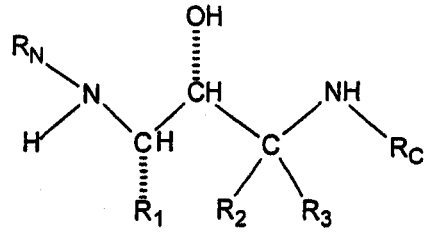
a substituted amine with R_N cyclized of formula (X')



(X')

where R_1, R_2, R_3, R_C, and Ring A, are as defined above for the amine (X'), and pharmaceutically acceptable salts thereof.

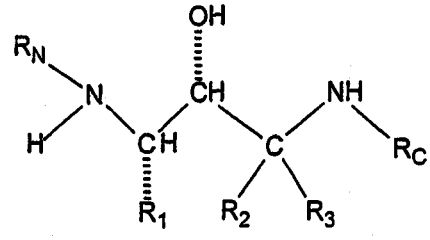
Also disclosed are methods for inhibiting beta-secretase activity, for inhibiting cleavage of amyloid precursor protein (APP), in a reaction mixture, at a site between Met596 and Asp597, numbered for the APP-695 amino acid isotype; or at a corresponding site of an isotype or mutant thereof, for inhibiting production of amyloid beta peptide (A beta) in a cell, for inhibiting the production of beta-amyloid plaque in an animal, and for treating or preventing a disease characterized by beta-amyloid deposits in the brain which comprise administration of a therapeutically effective amount of a substituted amine of formula (X)



(X)

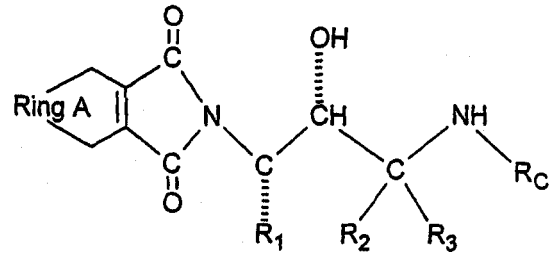
where R_1, R_2, R_3, R_C, and R_N are as defined above for the substituted amine (X), and pharmaceutically acceptable salts thereof.

Disclosed is a pharmaceutial composition which comprises a substituted amine of formula (X)



(X)

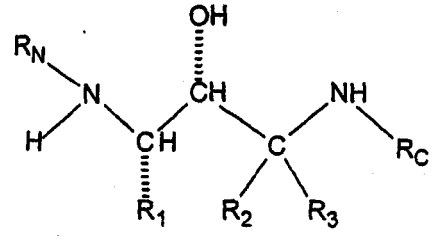
where R_1, R_2, R_3, R_N and R_C are as defined above for the substituted amine (X), or a substituted amine with R_N cyclized of formula (X')



(X')

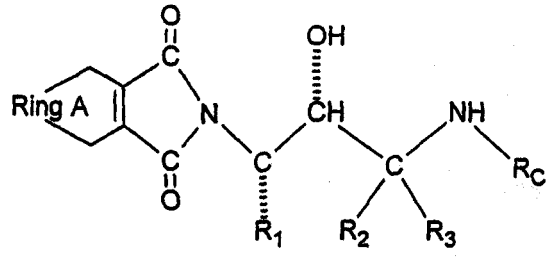
where R_1 is as defined above for compound (X') and where Ring A is as defined above, and pharmaceutically acceptable salts thereof, and one or more pharmaceutically acceptable inert carriers.

Disclosed is the use of a substituted amine of formula (X)



(X)

where R_1, R_2, R_3, R_N and R_C are as defined above for the substituted amine (X), or a substituted amine with R_N cyclized of formula (X')



(X')

where R_1, R_2, R_3, R_C, and Ring A, are as defined above for compound (X'), and pharmaceutically acceptable salts thereof for the manufacture of a medicament for use in treating a patient who has, or in preventing a patient from getting, a disease or condition selected from the group consisting of Alzheimer's disease, for helping prevent or delay the onset of Alzheimer's disease, for treating patients with mild cognitive impairment (MCI) and preventing or delaying the onset of Alzheimer's disease in those who would progress from MCI to AD, for treating Down's syndrome, for treating humans who have Hereditary Cerebral Hemorrhage with

Amyloidosis of the Dutch-Type, for treating cerebral amyloid angiopathy and preventing its potential consequences, i.e. single and recurrent lobar hemorrhages, for treating other degenerative dementias, including dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, diffuse Lewy body type of Alzheimer's disease and who is in need of such treatment.

The present invention provides compounds, compositions, kits, and methods for inhibiting beta-secretase-mediated cleavage of amyloid precursor protein (APP). More particularly, the compounds, compositions, and methods of the invention are effective to inhibit the production of A beta peptide and to treat or prevent any human or veterinary disease or condition associated with a pathological form of A beta peptide.

The compounds, compositions, and methods of the invention are useful for treating humans who have Alzheimer's Disease (AD), for helping prevent or delay the onset of AD, for treating patients with mild cognitive impairment (MCI), and preventing or delaying the onset of AD in those patients who would otherwise be expected to progress from MCI to AD, for treating Down's syndrome, for treating Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch Type, for treating cerebral beta-amyloid angiopathy and preventing its potential consequences such as single and recurrent lobar hemorrhages, for treating other degenerative dementias, including dementias of mixed vascular and degenerative origin, for treating dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, and diffuse Lewy body type AD.

The compounds of the invention possess beta-secretase inhibitory activity. The inhibitory activities of the compounds of the invention are readily demonstrated, for example, using one or more of the assays described herein or known in the art.

DETAILED DESCRIPTION OF THE INVENTION

The present invention is the substituted amines (X) that are useful in treating and preventing Alzheimer's disease. The anti-Alzheimer's substituted amines (X) are made by methods well known to those skilled in the art from starting compounds known to those skilled in the art. The process chemistry is well known to those skilled in the art. The most general process to prepare the substituted amines (X) of the present invention is set forth in CHART A. The chemistry is straight forward and in summary involves the steps of N-protecting an amino acid (I) starting material to produce the corresponding protected amino acid (II), reaction of the protected amino acid (II) with diazomethane followed by work-up to add a carbon atom to produce the corresponding protected compound (III), reduction of the protected compound (III) to the corresponding alcohol (IV), formation of the corresponding epoxide (V), opening of the epoxide (V) with a C-terminal amine, R_C-NH_2 (VI) to produce the corresponding protected alcohol (VII) which then has the nitrogen protecting group removed to produce the corresponding amine (VIII), which is then reacted with an amide forming agent of the formula $(R_{N-1}\text{-}X_N)_2O$ or $R_{N-1}\text{-}X_N\text{-}X_2$ or $R_{N-1}\text{-}X_N\text{-}OH$ (IX) to produce the anti-Alzheimer substituted amine (X). One skilled in the art will appreciate that these are all well known reactions in organic chemistry. A chemist skilled in the art, knowing the chemical structure of the biologically active substituted amine end product (X) of the invention would be able to prepare them by known methods from known starting materials without any additional information. The explanation below therefore is not necessary but is deemed helpful to those skilled in the art who desire to make the compounds of the present invention.

The backbone of the compounds of the present invention is a hydroxyethylamine moiety, -NH-CH(R)-CH(OH)-. It can be readily prepared by methods disclosed in the literature and known to those skilled in the art. For example,

J. Med. Chem., 36, 288-291 (1992), *Tetrahedron Letters*, 28, 5569-5572 (1987), *J. Med. Chem.*, 38, 581-584 (1994) and *Tetrahedron Letters*, 38, 619-620 (1997) all disclose processes to prepare hydroxyethylamine type compounds.

CHART A sets forth a general method used in the present invention to prepare the appropriately substituted amines (X). The anti-Alzheimer substituted

amines (X) of the present invention are prepared by starting with the corresponding amino acid (I). The amino acids (I) are well known to those skilled in the art or can be readily prepared from known compounds by methods well known to those skilled in the art. The substituted amines (X) of the present invention have at least two enantiomeric centers which give four enantiomers. The first of these enantiomeric centers derives from the amino acid starting material (I). It is preferred to commercially obtain or produce the desired enantiomer (S) rather than produce an enantiomerically impure mixture and then have to separate out the desired enantiomer (S). It is preferred to start the process with enantiomerically pure (S)-amino acid (I) of the same configuration as that of the substituted amine (X) product. For the amino acids (I), R_1 is:

(I) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, C_1-C_7 alkyl (optionally substituted with C_1-C_3 alkyl and C_1-C_3 alkoxy), -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl, $-OC{=}O\ NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(II) $-CH_2\text{-}S(O)_{0\text{-}2}\text{-}(C_1\text{-}C_6$ alkyl),

(III) $-CH_2\text{-}CH_2\text{-}S(O)_{0\text{-}2}\text{-}(C_1\text{-}C_6$ alkyl),

(IV) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(V) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(VI) $-(CH_2)_{n1}\text{-}(R_{1\text{-}aryl})$ where n_1 is zero or one and where $R_{1\text{-}aryl}$ is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, tetralinyl optionally substituted with one, two, three, or four of the following substituents on the aryl ring:

(A) C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above, -C≡N, $-CF_3$, C_1-C_3 alkoxy,

(B) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(C) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(D) -F, Cl, -Br and -I,

(F) -C_1-C_6 alkoxy optionally substituted with one, two, or three -F,

(G) -$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are as defined below,

(H) -OH,

(I) -C≡N,

(J) C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(K) -CO-(C_1-C_4 alkyl),

(L) -SO_2-$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(M) -CO-$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(N) -SO_2-(C_1-C_4 alkyl),

(VII) -$(CH_2)_{n1}$-($R_{1\text{-heteroaryl}}$) where n_1 is as defined above and where $R_{1\text{-heteroaryl}}$ is selected from the group consisting of:

pyridinyl,

pyrimidinyl,

quinolinyl,

benzothienyl,

indolyl,

indolinyl,

pryidazinyl,

pyrazinyl,

isoindolyl,

isoquinolyl,

quinazolinyl,
quinoxalinyl,
phthalazinyl,
imidazolyl,
isoxazolyl,
pyrazolyl,
oxazolyl,
thiazolyl,
indolizinyl,
indazolyl,
benzothiazolyl,
benzimidazolyl,
benzofuranyl,
furanyl,
thienyl,
pyrrolyl,
oxadiazolyl,
thiadiazolyl,
triazolyl,
tetrazolyl,
oxazolopyridinyl,
imidazopyridinyl,
isothiazolyl,
naphthyridinyl,
cinnolinyl,
carbazolyl,
beta-carbolinyl,
isochromanyl,
chromanyl,
tetrahydroisoquinolinyl,
isoindolinyl,
isobenzotetrahydrofuranyl,
isobenzotetrahydrothienyl,
isobenzothienyl,

benzoxazolyl,
pyridopyridinyl,
benzotetrahydrofuranyl,
benzotetrahydrothienyl,
purinyl,
benzodioxolyl,
triazinyl,
phenoxazinyl,
phenothiazinyl,
pteridinyl,
benzothiazolyl,
imidazopyridinyl,
imidazothiazolyl,
dihydrobenzisoxazinyl,
benzisoxazinyl,
benzoxazinyl,
dihydrobenzisothiazinyl,
benzopyranyl,
benzothiopyranyl,
coumarinyl,
isocoumarinyl,
chromonyl,
chromanonyl,
pyridinyl-N-oxide
tetrahydroquinolinyl
dihydroquinolinyl
dihydroquinolinonyl
dihydroisoquinolinonyl
dihydrocoumarinyl
dihydroisocoumarinyl
isoindolinonyl
benzodioxanyl
benzoxazolinonyl
pyrrolyl N-oxide,

pyrimidinyl N-oxide,
pyridazinyl N-oxide,
pyrazinyl N-oxide,
quinolinyl N-oxide,
indolyl N-oxide,
indolinyl N-oxide,
isoquinolyl N-oxide,
quinazolinyl N-oxide,
quinoxalinyl N-oxide,
phthalazinyl N-oxide,
imidazolyl N-oxide,
isoxazolyl N-oxide,
oxazolyl N-oxide,
thiazolyl N-oxide,
indolizinyl N-oxide,
indazolyl N-oxide,
benzothiazolyl N-oxide,
benzimidazolyl N-oxide,
pyrrolyl N-oxide,
oxadiazolyl N-oxide,
thiadiazolyl N-oxide,
triazolyl N-oxide,
tetrazolyl N-oxide,
benzothiopyranyl S-oxide,
benzothiopyranyl S,S-dioxide,

where the $R_{1\text{-heteroaryl}}$ group is bonded to $-(CH_2)_{n1}-$ by any ring atom of the parent $R_{N\text{-heteroaryl}}$ group substituted by hydrogen such that the new bond to the $R_{1\text{-heteroaryl}}$ group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four of:

(1) C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above, -C≡N, $-CF_3$, C_1-C_3 alkoxy,

(2) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(3) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(4) -F, Cl, -Br and -I,

(6) -C_1-C_6 alkoxy optionally substituted with one, two, or three
of -F,

(7) -$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined below,

(8) -OH,

(9) -C≡N,

(10) C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(11) -CO-(C_1-C_4 alkyl),

(12) -SO_2-$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(13) -CO-$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(14) -SO_2-(C_1-C_4 alkyl), with the proviso that when n_1 is zero $R_{1\text{-heteroaryl}}$ is not bonded to the carbon chain by nitrogen,

(VIII) -$(CH_2)_{n1}$-($R_{1\text{-heterocycle}}$) where n_1 is as defined above and $R_{1\text{-heterocycle}}$ is selected from the group consisting of:

morpholinyl,

thiomorpholinyl,

thiomorpholinyl S-oxide,

thiomorpholinyl S,S-dioxide,

piperazinyl,

homopiperazinyl,

pyrrolidinyl,

pyrrolinyl,

tetrahydropyranyl,
piperidinyl,
tetrahydrofuranyl,
tetrahydrothienyl,
homopiperidinyl,
homomorpholinyl,
homothiomorpholinyl,
homothiomorpholinyl S,S-dioxide, and
oxazolidinonyl,
dihydropyrazolyl
dihydropyrrolyl
dihydropyrazinyl
dihydropyridinyl
dihydropyrimidinyl
dihydrofuryl
dihydropyranyl
tetrahydrothienyl S-oxide
tetrahydrothienyl S,S-dioxide
homothiomorpholinyl S-oxide

where the $R_{1\text{-heterocycle}}$ group is bonded by any atom of the parent $R_{1\text{-heterocycle}}$ group substituted by hydrogen such that the new bond to the $R_{1\text{-heterocycle}}$ group replaces the hydrogen atom and its bond, where heterocycle is optionally substituted with one, two, three, or four of:

(1) C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-NR_{1\text{-a}}R_{1\text{-b}}$ where $R_{1\text{-a}}$ and $R_{1\text{-b}}$ are as defined above, -C≡N, $-CF_3$, C_1-C_3 alkoxy,

(2) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1\text{-a}}R_{1\text{-b}}$ where $R_{1\text{-a}}$ and $R_{1\text{-b}}$ are -H or C_1-C_6 alkyl,

(3) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group

consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(4) -F, Cl, -Br and -I,

(5) C_1-C_6 alkoxy,

(6) -C_1-C_6 alkoxy optionally substituted with one, two, or three -F,

(7) $-NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are as defined below,

(8) -OH,

(9) -C≡N,

(10) C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(11) -CO-(C_1-C_4 alkyl),

(12) $-SO_2-NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(13) $-CO-NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(14) $-SO_2$-(C_1-C_4 alkyl),

(15) =O, with the proviso that when n_1 is zero $R_{1\text{-heterocycle}}$ is not bonded to the carbon chain by nitrogen. It is preferred that R_1 be $-(CH_2)_{0\text{-}1}-(R_{1\text{-aryl}})$ or $-(CH_2)_{n1}-(R_{1\text{-heteroaryl}})$. It is more preferred that R_1 is $-(CH_2)-(R_{1\text{-aryl}})$ or $-(CH_2)-(R_{1\text{-heteroaryl}})$. It is further preferred that R_1 is $-(CH_2)-(R_{1\text{-aryl}})$ where $R_{1\text{-aryl}}$ is phenyl. It is even more preferred that R_1 is $-(CH_2)-(R_{1\text{-aryl}})$ where $R_{1\text{-aryl}}$ is phenyl substituted with two -F. It is additionally preferred that the -F substitution is 3,5-difluorobenzyl.

When R_1 is $R_{1\text{-heteroaryl}}$ or $R_{1\text{-heterocycle}}$ the bond from the $R_{1\text{-heteroaryl}}$ or $R_{1\text{-heterocycle}}$ group to the $-(CH_2)_{n1}-$ group can be from any ring atom which has an available valence provided that such bond does not result in formation of a charged species or unstable valence. This means that the $R_{1\text{-heteroaryl}}$ or $R_{1\text{-heterocycle}}$ group is bonded to

-$(CH_2)_{n1}$-by any ring atom of the parent $R_{1\text{-heteroaryl}}$ or $R_{1\text{-heterocycle}}$ group which was substituted by hydrogen such that the new bond to the $R_{1\text{-heteroaryl}}$ or $R_{1\text{-heterocycle}}$ group replaces the hydrogen atom and its bond.

The first step of the process is to protect the free amino group of the (S)-amino acid (I) with an amino protecting group to produce the (S)-protected amino acid (II) by methods well known to those skilled in the art. Amino protecting groups are well known to those skilled in the art. See for example, "Protecting Groups in Organic Synthesis", John Wiley and sons, New York, N.Y., 1981, Chapter 7; "Protecting Groups in Organic Chemistry", Plenum Press, New York, N.Y., 1973, Chapter 2. The function of the amino protecting group is to protect the free amino functionality

($-NH_2$) during subsequent reactions on the (S)-amino acid (I) which would not proceed well, either because the amino group would react and be functionalized in a way that is inconsistent with its need to be free for subsequent reactions, or the free amino group would interfere in the reaction. When the amino protecting group is no longer needed, it is removed by methods well known to those skilled in the art. By definition the amino protecting group must be readily removable as is known to those skilled in the art by methods well known to those skilled in the art. Suitable amino PROTECTING GROUP is selected from the group consisting of *t*-butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl, dichloroacetyl, chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl, 4-fluorobenzyloxycarbonyl, 4-chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl, 2-chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-nitrobenzyloxycarbonyl, 4-cyanobenzyloxycarbonyl, 2-(4-xenyl)isopropoxycarbonyl, 1,1-diphenyleth-1-yloxycarbonyl, 1,1-diphenylprop-1-yloxycarbonyl, 2-phenylprop-2-yloxycarbonyl, 2-(*p*-toluyl)prop-2-yloxycarbonyl, cyclopentanyloxycarbonyl, 1-methylcyclopentanyloxycarbonyl, cyclohexanyloxycarbonyl, 1-methylcyclohexanyloxycabonyl, 2-methylcyclohexanyloxycarbonyl, 2-(4-toluylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-(triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-(trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1-enyloxycarbonyl, 5-benzisoxalylmethoxycarbonyl, 4-

acetoxybenzyloxycarbonyl, 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl, cyclopropylmethoxycarbonyl, 4-(decyloxyl)benzyloxycarbonyl, isobornyloxycarbonyl and 1-piperidyloxycarbonyl, 9-fluorenylmethyl carbonate, -CH-CH=CH_2 and phenyl-C(=N-)-H. It is preferred that the protecting group be *t*-butoxycarbonyl (BOC) and benzyloxycarbonyl (CBZ), it is more preferred that the protecting group be *t*-butoxycarbonyl. One skilled in the art will understand the preferred methods of introducing a *t*-butoxycarbonyl or benzyloxycarbonyl protecting group and may additionally consult T.W. Green and P.G.M. Wuts in "Protective Groups in Organic Chemistry," John Wiley and Sons, 1991 for guidance.

The (S)-protected amino acid (II) is transformed to the corresponding (S)-protected compound (III) by two different methods depending on the nature of R_2 and R_3.

R_2 and R_3 are independently selected from the group consisting of:

(I)-H,

(II) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(III) -$(CH_2)_{0\text{-}4}$-$R_{2\text{-}1}$ where $R_{2\text{-}1}$ is $R_{1\text{-}aryl}$ or $R_{1\text{-}heteroaryl}$ where $R_{1\text{-}aryl}$ and $R_{1\text{-}heteroaryl}$ are as defined above;

(IV) C_2-C_6 alkenyl with one or two double bonds,

(V) C_2-C_6 alkynyl with one or two triple bonds,

(VI) -$(CH_2)_{0\text{-}4}$- C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

and where R_2 and R_3 are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, and seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO_2-, -$NR_{N\text{-}2}$-, where $R_{N\text{-}2}$ is as defined below. It is preferred that R_2 and R_3 both be -H. If R_2 and R_3 are not the same, an additional enantiomeric center is added to the molecule. If it is desired that both R_2 and R_3 are -H, then the (S)-protected amino acid (II) is reacted with diazomethane, as is well known to those skilled in the art, followed by reaction with a compound of the formula H-X_1 to produce the (S)-protected compound (III). X_1 includes -Cl, -Br, -I, -O-tosylate, -O-mesylate, -O-nosylate.; it is preferred that -X_1 be -Br or -Cl. Suitable reaction conditions include running the reaction in inert solvents, such as but not limited to ether, tetrahydrofuran and the like. The reactions from the (S)-protected amino acid (II) to the (S)-protected compound (III) are carried out for a period of time between 10 minutes and 1 day and at temperatures ranging from -78 degrees to 20-25 degrees

C. It is preferred to conduct the reactions for a period of time between 1-4 hours and at temperatures between -30 degrees to -10 degrees C. This process adds one methylene group.

Alternatively, the (S)-protected compounds of formula (III) can be prepared by first converting the (S)-protected amino acid (II) to a corresponding methyl or ethyl ester, according to methods well established in the art, followed by treatment with a reagent of formula X_1-C(R_2)(R_3)-X_1 and a strong metal base. The base serves to affect a halogen-metal exchange, where the -X_1 undergoing exchange is a halogen selected from chlorine, bromine or iodine. The nucleophilic addition to the ester derivative gives directly the (S)-protected compound (III). Suitable bases include, but are not limited to the alkyllithiums including, for example, *sec*-butyllithium, *n*-butyllithium, and *t*-butyllithium. The reactions are preferably conducted at low temperature, such as -78 degrees C. Suitable reaction conditions include running the reaction in inert solvents, such as but not limited to, ether, tetrahydrofuran and the like. Where R_2 and R_3 are both hydrogen, then examples of X_1-C(R_2)(R_3)-X_1 include dibromomethane, diiodomethane, chloroiodomethane, bromoiodomethane and bromochloromethane. One skilled in the art knows the preferred conditions required to conduct this reaction. Furthermore, if R_2 and/or R_3 are not -H, then by the addition of -C(R_2)(R_3)-X_1 to esters of the (S)-protected amino acid (II) to produce the (S)-protected compound (III), an additional chiral center will be incorporated into the product, provided that R_2 and R_3 are not the same.

The (S)-protected compound (III) is then reduced by means well known to those skilled in the art for reduction of a ketone to the corresponding secondary alcohol affording the corresponding alcohol (IV). The means and reaction conditions for reducing the (S)-protected compound (III) to the corresponding alcohol (IV) include, for example, sodium borohydride, lithium borohydride, borane, diisobutylaluminum hydride, and lithium aluminium hydride. Sodium borohydride is the preferred reducing agent. The reductions are carried out for a period of time between 1 hour and 3 days at temperatures ranging from -78 degrees C to elevated temperature up to the reflux point of the solvent employed. It is preferred to conduct the reduction between -78 degrees C and 0 degrees C. If borane is used, it may be employed as a complex, for example, borane-methyl sulfide complex, borane-piperidine complex, or borane-tetrahydrofuran complex. The preferred combination of reducing agents and reaction conditions needed are known to those skilled in the art, see for example, Larock, R.C. in Comprehensive Organic Transformations,

VCH Publishers, 1989. The reduction of the (S)-protected compound (III) to the corresponding alcohol (IV) produces the second chiral center (third chiral center if R_2 and R_3 are not the same). The reduction of the (S)-protected compound (III) produces a mixture of enantiomers at the second center, (S, R/S)-alcohol (IV). This enantiomeric mixture is then separated by means known to those skilled in the art such as selective low-temperature recrystallization or chromatographic separation, for example by HPLC, employing commercially available chiral columns. The enantiomer that is used in the remainder of the process of CHART A is the (S,S)-alcohol (IV) since this enantiomer will give the desired biologically active anti-Alzheimer (S,R)-substituted amine (X).

The (S, S)-alcohol (IV) is transformed to the corresponding epoxide (V) by means known to those skilled in the art. The stereochemistry of the (S)-(IV) center is maintained in forming the epoxide (V). A preferred means is by reaction with base, for example, but not limited to, hydroxide ion generated from sodium hydroxide, potassium hydroxide, lithium hydroxide and the like. Reaction conditions include the use of C_1-C_6 alcohol solvents; ethanol is preferred. A common co-solvent, such as for example, ethyl acetate may also be employed. Reactions are conducted at temperatures ranging from -45 degrees C up to the reflux temperature of the alcohol employed; preferred temperature ranges are between -20 degrees C and 20-25 degrees C.

The epoxide (V) is then reacted with the appropriately substituted C-terminal amine, R_C-NH_2 (VI) by means known to those skilled in the art that opens the epoxide to produce the desired corresponding enantiomerically pure (S,R)-protected alcohol (VII). The substituted C-terminal amines, R_C-NH_2 (VI) of this invention are commercially available or are known to those skilled in the art and can be readily prepared from known compounds. R_C includes:

(I)-C_1-C_{10} alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, -OC=O $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, -$S(=O)_{0-2}$ R_{1-a} where R_{1-a} is as defined above, - $NR_{1-a}C=O$ $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, -C=O $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, and - $S(=O)_2$ $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(II) -$(CH_2)_{0-3}$-$(C_3$-$C_8)$ cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, -O-phenyl, -CO-OH, -CO-O-(C_1-C_4 alkyl), $-NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(III) $-(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}$-$R_{C\text{-}aryl}$ where $R_{C\text{-}x}$ and $R_{C\text{-}y}$ are

-H,

C_1-C_4 alkyl optionally substituted with one or two -OH,

C_1-C_4 alkoxy optionally substituted with one, two, or three of -F,

$-(CH_2)_{0\text{-}4}$-C_3-C_7 cycloalkyl,

C_2-C_6 alkenyl containing one or two double bonds,

C_2-C_6 alkynyl contianing one or two triple bonds,

phenyl-,

and where $R_{C\text{-}x}$ and $R_{C\text{-}y}$ are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six and seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of

-O-, -S-, $-SO_2$-, $-NR_{N\text{-}2}$- and $R_{C\text{-}aryl}$ is defined as $R_{N\text{-}aryl}$ is defined below;

(IV) $-(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}$-$R_{C\text{-}heteroaryl}$ where $R_{C\text{-}heteroaryl}$ is defined as $R_{N\text{-}heteroaryl}$ is defined above and $R_{C\text{-}x}$ and $R_{C\text{-}y}$ are as defined above,

(V) $-(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}$-$R_{C\text{-}aryl}$-$R_{C\text{-}aryl}$ where $R_{C\text{-}aryl}$, $R_{C\text{-}x}$ and $R_{C\text{-}y}$ are as defined above,

(VI) $-(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}$-$R_{C\text{-}aryl}$-$R_{C\text{-}heteroaryl}$ where $R_{C\text{-}aryl}$, $R_{C\text{-}heteroaryl}$, $R_{C\text{-}x}$ and $R_{C\text{-}y}$ are as defined above,

(VII) $-(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}$-$R_{C\text{-}heteroaryl}$-$R_{C\text{-}aryl}$ where $R_{C\text{-}heteroaryl}$, $R_{C\text{-}aryl}$, $R_{C\text{-}x}$ and $R_{C\text{-}y}$ are as defined above,

(VIII) $-(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}$-$R_{C\text{-}heteroaryl}$-$R_{C\text{-}heteroaryl}$ where $R_{C\text{-}heteroaryl}$, $R_{C\text{-}x}$ and $R_{C\text{-}y}$ are as defined above,

(IX) $-(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}$-$R_{C\text{-}aryl}$-$R_{C\text{-}heterocycle}$ where $R_{C\text{-}aryl}$, $R_{C\text{-}x}$ and $R_{C\text{-}y}$ are as defined above, and $R_{C\text{-}heterocycle}$ is defined as $R_{N\text{-}heterocycle}$, is defined below,

(X) $-(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}$-$R_{C\text{-}heteroaryl}$-$R_{C\text{-}heterocycle}$ where $R_{C\text{-}heteroaryl}$, $R_{C\text{-}heterocycle}$, $R_{C\text{-}x}$ and $R_{C\text{-}y}$ are as defined above,

(XI) -$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heterocycle}$-R_{C-aryl} where $R_{C-heterocycle}$, R_{C-aryl}, R_{C-x} and R_{C-y} are as defined above,

(XII) -$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heterocycle}$-$R_{C-heteroaryl}$ where $R_{C-heterocycle}$, $R_{C-heteroaryl}$, R_{C-x} and R_{C-y} are as defined above,

(XIII) -$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heterocycle}$-$R_{C-heterocycle}$ where $R_{C-heterocycle}$, R_{C-x} and R_{C-y} are as defined above,

(XIV) -$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heterocycle}$ where $R_{C-heterocycle}$ is defined as $R_{1-heterocycle}$ is defined above, and R_{C-x} and R_{C-y} are as defined above,

(XV) -$[C(R_{C-1})(R_{C-2})]_{1-3}$-CO-N-$(R_{C-3})_2$ where R_{C-1} and R_{C-2} are the same or different and are selected from the group consisting of:

(A) -H,

(B) -C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(C) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(D) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(E) -$(CH_2)_{1-2}$-$S(O)_{0-2}$-(C_1-C_6 alkyl),

(F) -$(CH_2)_{0-4}$-C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl,

-Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(G) -(C_1-C_4 alkyl)-$R_{C'-aryl}$ where $R_{C'-aryl}$ is as defined for R_{1-aryl},

(H) -(C_1-C_4 alkyl)-$R_{C-heteroaryl}$ where $R_{C-heteroaryl}$ is as defined above,

(I) -(C_1-C_4 alkyl)-$R_{C\text{-heterocycle}}$ where $R_{C\text{-heterocycle}}$ is as defined above,

(J) -$R_{C\text{-heteroaryl}}$ where $R_{C\text{-heteroaryl}}$ is as defined above,

(K) -$R_{C\text{-heterocycle}}$ where $R_{C\text{-heterocycle}}$ is as defined above,

(M) -$(CH_2)_{1\text{-}4}$-$R_{C\text{-}4}$-$(CH_2)_{0\text{-}4}$-$R_{C'\text{-aryl}}$ where $R_{C\text{-}4}$ is -O-, -S- or -$NR_{C\text{-}5}$- where $R_{C\text{-}5}$ is C_1-C_6 alkyl, and where $R_{C'\text{-aryl}}$ is defined above,

(N) -$(CH_2)_{1\text{-}4}$-$R_{C\text{-}4}$-$(CH_2)_{0\text{-}4}$-$R_{C\text{-heteroaryl}}$ where $R_{C\text{-}4}$ and $R_{C\text{-heteroaryl}}$ are as defined above,

(O) -$R_{C'\text{-aryl}}$ where $R_{C'\text{-aryl}}$ is as defined above,

and where $R_{C\text{-}3}$ is the same or different and is:

(A) -H,

(B) -C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(C) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(D) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(E) -$(CH_2)_{0\text{-}4}$-C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl,

-Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(F) -$R_{C'\text{-aryl}}$ where $R_{C'\text{-aryl}}$ is as defined above,

(G) -$R_{C\text{-heteroaryl}}$ where $R_{C\text{-heteroaryl}}$ is as defined above,

(H) -$R_{C\text{-heterocycle}}$ where $R_{C\text{-heterocycle}}$ is as defined above,

(I) -(C_1-C_4 alkyl)-$R_{C'\text{-aryl}}$ where $R_{C'\text{-aryl}}$ is as defined above,

(J) -(C_1-C_4 alkyl)-$R_{C\text{-}heteroaryl}$ where $R_{C\text{-}heteroaryl}$ is as defined above,

(K) -(C_1-C_4 alkyl)-$R_{C\text{-}heterocycle}$ where $R_{C\text{-}heterocycle}$ is as defined above,

(XVI) -$CH(R_{C\text{-}aryl})_2$ where $R_{C\text{-}aryl}$ are the same or different and are as defined above,

(XVII) -$CH(R_{C\text{-}heteroaryl})_2$ where $R_{C\text{-}heteroaryl}$ are the same or different and are as defined above,

(XVIII) -$CH(R_{C\text{-}aryl})(R_{C\text{-}heteroaryl})$ where $R_{C\text{-}aryl}$ and $R_{C\text{-}heteroaryl}$ are as defined above,

(XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to $R_{C\text{-}aryl}$ or $R_{C\text{-}heteroaryl}$ or $R_{C\text{-}heterocycle}$ where $R_{C\text{-}aryl}$ or $R_{C\text{-}heteroaryl}$ or $R_{C\text{-}heterocycle}$ are as defined above where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH, $NR_{N\text{-}5}$, O, $S(=O)_{0\text{-}2}$, and where cyclopentyl, cyclohexyl, or -cycloheptyl can be optionally substituted with one or two -C_1-C_3 alkyl, -F, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, =O, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(XX) C_2-C_{10} alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(XXI) C_2-C_{10} alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(XXI) -$(CH_2)_{0\text{-}1}$-$CHR_{C\text{-}6}$-$(CH_2)_{0\text{-}1}$-$R_{C\text{-}aryl}$ where $R_{C\text{-}aryl}$ is as defined above and $R_{C\text{-}6}$ is -$(CH_2)_{0\text{-}6}$-OH,

(XXII) -$(CH_2)_{0\text{-}1}$-$CHR_{C\text{-}6}$-$(CH_2)_{0\text{-}1}$-$R_{C\text{-}heteroaryl}$ where $R_{C\text{-}heteroaryl}$ and $R_{C\text{-}6}$ is as defined above,

(XXIII) -CH(-$R_{C\text{-}aryl}$ or $R_{C\text{-}heteroaryl}$)-CO-O(C_1-C_4 alkyl) where $R_{C\text{-}aryl}$ and $R_{C\text{-}heteroaryl}$ are as defined above,

(XXIV) -CH(-CH_2-OH)-CH(-OH)-phenyl-NO_2,

(XXV) (C_1-C_6 alkyl)-O-(C_1-C_6 alkyl)-OH,

(XXVII) $-CH_2-NH-CH_2-CH(-O-CH_2-CH_3)_2$,

(XXVIII) -H,

(XXIX) $-(CH_2)_{0-6}-C(=NR_{1-a})(NR_{1-a}R_{1-b})$ where R_{1-a} and R_{1-b} are as defined above.

It is preferred that R_C is:

$-C_1-C_8$ alkyl,

$-(CH_2)_{0-3}-(C_3-C_7)$ cycloalkyl,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}$,

-cyclopentyl or -cyclohexyl ring fused to R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$.

It is more preferred that R_C is:

$-(CH_2)_{0-3}-(C_3-C_7)$ cycloalkyl,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}$,

-cyclopentyl or -cyclohexyl ring fused to a R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$.

It is even more preferred that R_C is:

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$,

-cyclopentyl or -cyclohexyl ring fused to a R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$.

It is still more preferred that R_C is selected from the group consisting of:

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$ where R_{C-aryl} is phenyl,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$,

-cyclopentyl or -cyclohexyl ring fused to a R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$. Further, it is preferred that when R_C is phenyl, it is substituted in the 3-position or 3,5-positions.

Suitable reaction conditions for opening the epoxide (V) include running the reaction in a wide range of common and inert solvents. C_1-C_6 alcohol solvents are preferred and isopropyl alcohol most preferred. The reactions can be run at

temperatures ranging from 20-25 degrees C up to the reflux temperature of the alcohol employed. The preferred temperature range for conducting the reaction is between 50 degrees C up to the reflux temperature of the alcohol employed. When the substituted C-terminal amine (VI) is a 1-amino-3,5-cis-dimethyl cyclohexyldicarboxylate it is preferrably prepared as follows. To dimethyl-5-aminoisophthalate in acetic acid and methanol, is added rhodium in alumina in a high-pressure bottle. The bottle is saturated with hydrogen at 55 psi and shaken for one week of time. The mixture is then filtered through a layer of diatomaceous earth and rinsed with methanol three times, the solvents are removed under reduced pressure (with heat) to give a concentrate. The concentrate is triturated with ether and filtered again to give the desired C-terminal amine (VI). When the substituted C-terminal amine (VI) is 1-amino-3,5-cis-dimethoxy cyclohexane it is prepared by following the general procedure above and making non-critical variations but starting wth 3,5-dimethoxyaniline. When the substituted C-terminal amine (VI) is an aminomethyl group where the substituent on the methyl group is an aryl group, for example NH_2-CH_2-$R_{C\text{-}aryl}$, and NH_2-CH_2-$R_{C\text{-}aryl}$ is not commercially available it is preferrably prepared as follows. A suitable starting material is the (appropriately substituted) aralkyl compound. The first step is bromination of the alkyl substitutent via methods known to those skilled in the art, see for example R.C. Larock in Comprehensive Organic Transformations, VCH Publishers, 1989, p. 313. Next the alkyl halide is reacted with azide to produce the aryl-(alkyl)-azide. Last the azide is reduced to the corresponding amine by hydrogen/catalyst to give the C-terminal amine (VI) of formula NH_2-CH_2-$R_{C\text{-}aryl}$. The suitably functionalized C-terminal amines (VI) may readily be prepared by one skilled in the art via known methods in the literature, making non-significant modifications. Select literature references include 1) Calderwood, *et al., Tet. Lett.*, 1997, *38*, 1241, 2) Ciganek, J. *Org. Chem.*, 1992, *57*, 4521, 3) Thurkauf, *et al., J. Med. Chem.*, 1990, *33*, 1452, 4) Werner, *et al., Org. Syn., Coll. Vol. 5*, 273, 5) *J. Med. Chem.*, 1999, *42*, 4193, 6) *Chem. Rev.* 1995, *95*, 2457, 7) *J. Am. Chem. Soc.*, 1986, 3150, 8) Felman *et al., J. Med. Chem.*, 1992, *35*, 1183, 9) *J. Am. Chem. Soc.* 1970, *92*, 3700, 10) *J. Med. Chem.*,1997, *40*, 2323.

CHART B discloses an alternative process for production of the enantiomerically pure (S,R)-protected alcohol (VII) from the (S)-protected compound (III). In the alternative process, the (S)-protected compound (III) is first reacted with the appropriately substituted C-terminal amine R_C-NH_2 (VI) using the

preferred conditions described above to produce the corresponding (S)-protected ketone (XI) which is then reduced using the preferred conditions described above to produce the corresponding (S,R)-protected alcohol (VII).

CHART C discloses another alternative process for production of enantiomerically pure (S,R)-protected alcohol (VII) but this time from the epoxide (V). In the process of CHART C, the epoxide (V) is reacted with azide to produce the corresponding enantiomerically pure (S,R)-protected azide (XII). Conditions to conduct the azide mediated epoxide opening are known to those skilled in the art, see for example, J. March, Advanced Organic Chemistry, 3rd Edition, John Wiley & Sons Publishers, 1985, p. 380. Next, the (S,R)-protected azide (XII) is reduced to the corresponding protected amine (XIII) by methods known to those skilled in the art. Preferred reducing conditions to reduce the (S,R)-protected azide (XII) in the presence of a *t*-butoxycarbonyl N-protecting group include catalytic hydrogenation, the conditions for which are known to those skilled in the art. Alternative reducing conditions which may be used to avoid N-deprotection with protecting groups other than *t*-butoxycarbonyl are known to those skilled in the art, see for example, R.C. Larock in Comprehensive Organic Transformations, VCH Publishers, 1989, p. 409.

The (S,R)-protected alcohol (VII) is deprotected to the corresponding (S,R)-amine (VIII) by means known to those skilled in the art for removal of amine protecting group. Suitable means for removal of the amine protecting group depends on the nature of the protecting group. Those skilled in the art, knowing the nature of a specific protecting group, know which reagent is preferable for its removal. For example, it is preferred to remove the preferred protecting group, BOC, by dissolving the (S,R)-protected alcohol (VII) in a trifluoroacetic acid/dichloromethane mixture. When complete, the solvents are removed under reduced pressure to give the corresponding (S,R)-amine (as the corresponding salt, i.e. trifluoroacetic acid salt) which is used without further purification. However, if desired, the (S,R)-amine can be purified further by means well known to those skilled in the art, such as for example, recrystallization. Further, if the non-salt form is desired that also can be obtained by means known to those skilled in the art, such as for example, preparing the free base amine via treatment of the salt with mild basic conditions. Additional BOC deprotection conditions and deprotection conditions for other protecting groups can be found in T.W. Green and P.G.M. Wuts in "Protective Groups in Organic Chemistry," John Wiley and Sons, 1991, p. 309.

Typical chemically suitable salts include trifluoroacetate, and the anion of mineral acids such as chloride, sulfate, phosphate; preferred is trifluoroacetate and chloride.

The (S,R)-amine (VIII) is then reacted with an appropriately substituted amide forming agent (IX) such as anhydride, acyl halide, or acid of the formula $(R_{N-1}\text{-}X_N)_2O$ or $R_{N-1}\text{-}X_N\text{-}X_2$ or $R_{N-1}\text{-}X_N\text{-}OH$ (IX) by nitrogen-acylation means known to those skilled in the art to produce the corresponding (S,R)-substituted amine (X). Nitrogen acylation conditions for reaction of the (S,R)-amine (VIII) with an amide forming agent (IX) to produce the corresponding (S,R)-substituted amine (X) are known to those skilled in the art and can be found in R.C. Larock in Comprehensive Organic Transformations, VCH Publishers, 1989, p. 981, 979, and 972. R_N includes:

(I) $R_{N-1}\text{-}X_N\text{-}$ where X_N is selected from the group consisting of:

(A) -CO-,

(B) $-SO_2-$,

(C) $-(CR'R'')_{1-6}$ where R' and R" are the same or different and are -H and C_1-C_4 alkyl,

(D) $-CO-(CR'R'')_{1-6}\text{-}X_{N-1}$ where X_{N-1} is selected from the group consisting of -O-, -S- and -NR'- and where R' and R" are as defined above,

(E) a single bond;

where R_{N-1} is selected from the group consisting of:

(A) $R_{N\text{-}aryl}$ where $R_{N\text{-}aryl}$ is phenyl, 1-naphthyl, 2-naphthyl, tetralinyl, indanyl, dihydronaphthyl or 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl, optionally substituted with one, two or three of the following substituents which can be the same or different and are:

(1) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(2) -OH,

(3) $-NO_2$,

(4) -F, -Cl, -Br, -I,

(5) -CO-OH,

(6) -C≡N,

(7) -$(CH_2)_{0-4}$-CO-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are selected from the group consisting of:

(a) -H,

(b) -C_1-C_6 alkyl optionally substituted with one substitutent selected from the group consisting of:

(i) -OH,

(ii) $-NH_2$,

(c) -C_1-C_6 alkyl optionally substituted with one, two or three -F, -Cl, -Br, -I,

(d) -C_3-C_7 cycloalkyl,

(e) -(C_1-C_2 alkyl)-(C_3-C_7 cycloalkyl),

(f) -(C_1-C_6 alkyl)-O-(C_1-C_3 alkyl),

(g) -C_2-C_6 alkenyl with one or two double bonds,

(h) -C_2-C_6 alkynyl with one or two triple bonds,

(i) -C_1-C_6 alkyl chain with one double bond and one triple bond,

(j) -$R_{1\text{-aryl}}$ where $R_{1\text{-aryl}}$ is as defined above,

(k) -$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(8) -$(CH_2)_{0-4}$-CO-(C_1-C_{12} alkyl),

(9) -$(CH_2)_{0-4}$-CO-(C_2-C_{12} alkenyl with one, two or three double bonds),

(10) -$(CH_2)_{0-4}$-CO-(C_2-C_{12} alkynyl with one, two or three triple bonds),

(11) -$(CH_2)_{0-4}$-CO-(C_3-C_7 cycloalkyl),

(12) -$(CH_2)_{0-4}$-CO-$R_{1\text{-aryl}}$ where $R_{1\text{-aryl}}$ is as defined above,

(13) -$(CH_2)_{0-4}$-CO-$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(14) -$(CH_2)_{0-4}$-CO-$R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined above,

(15) -$(CH_2)_{0-4}$-CO-R_{N-4} where R_{N-4} is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of C_1-C_6 alkyl,

(16) -$(CH_2)_{0-4}$-CO-O-R_{N-5} where R_{N-5} is selected from the group consisting of:

(a) C_1-C_6 alkyl,

(b) -$(CH_2)_{0-2}$-(R_{1-aryl}) where R_{1-aryl} is as defined above,

(c) C_2-C_6 alkenyl containing one or two double bonds,

(d) C_2-C_6 alkynyl containing one or two triple bonds,

(e) C_3-C_7 cycloalkyl,

(f) -$(CH_2)_{0-2}$-($R_{1-heteroaryl}$) where $R_{1-heteroaryl}$ is as defined above,

(17) -$(CH_2)_{0-4}$-SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined above,

(18) -$(CH_2)_{0-4}$-SO-(C_1-C_8 alkyl),

(19) -$(CH_2)_{0-4}$-SO_2-(C_1-C_{12} alkyl),

(20) -$(CH_2)_{0-4}$-SO_2-(C_3-C_7 cycloalkyl),

(21) -$(CH_2)_{0-4}$-N(H or R_{N-5})-CO-O-R_{N-5} where R_{N-5} can be the same or different and is as defined above,

(22) -$(CH_2)_{0-4}$-N(H or R_{N-5})-CO-N(R_{N-5})$_2$, where R_{N-5} can be the same or different and is as defined above,

(23) -$(CH_2)_{0-4}$-N-CS-N(R_{N-5})$_2$, where R_{N-5} can be the same or different and is as defined above,

(24) -$(CH_2)_{0-4}$-N(-H or R_{N-5})-CO-R_{N-2} where R_{N-5} and R_{N-2} can be the same or different and are as defined above,

(25) -$(CH_2)_{0-4}$-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} can be the same or different and are as defined above,

(26) -$(CH_2)_{0-4}$-R_{N-4} where R_{N-4} is as defined above,

(27) -$(CH_2)_{0-4}$-O-CO-(C_1-C_6 alkyl),

(28) -$(CH_2)_{0-4}$-O-P(O)-$(OR_{N\text{-}aryl\text{-}1})_2$ where $R_{N\text{-}aryl\text{-}1}$ is -H or C_1-C_4 alkyl,

(29) -$(CH_2)_{0-4}$-O-CO-$N(R_{N\text{-}5})_2$ where $R_{N\text{-}5}$ is as defined above,

(30) -$(CH_2)_{0-4}$-O-CS-$N(R_{N\text{-}5})_2$ where $R_{N\text{-}5}$ is as defined above,

(31) -$(CH_2)_{0-4}$-O-$(R_{N\text{-}5})_2$ where $R_{N\text{-}5}$ is as defined above,

(32) -$(CH_2)_{0-4}$-O-($R_{N\text{-}5})_2$-COOH where $R_{N\text{-}5}$ is as defined above,

(33) -$(CH_2)_{0-4}$-S-($R_{N\text{-}5})_2$ where $R_{N\text{-}5}$ is as defined above,

(34) -$(CH_2)_{0-4}$-O-(C_1-C_6 alkyl optionally substituted with one, two, three, four or five of -F),

(35) C_3-C_7 cycloalkyl,

(36) C_2-C_6 alkenyl with one or two double bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(37) C_2-C_6 alkynyl with one or two triple bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(38) -$(CH_2)_{0-4}$-N(-H or $R_{N\text{-}5}$)-SO_2-$R_{N\text{-}2}$ where $R_{N\text{-}5}$ and $R_{N\text{-}2}$ can be the same of different and are as described above,

(39) -$(CH_2)_{0-4}$- C_3-C_7 cycloalkyl,

(B) $-R_{N\text{-}heteroaryl}$ where $R_{N\text{-}heteroaryl}$ is selected from the group consisting of:

pyridinyl,

pyrimidinyl,

quinolinyl,

benzothienyl,

indolyl,

indolinyl,

pryidazinyl,

pyrazinyl,
isoindolyl,
isoquinolyl,
quinazolinyl,
quinoxalinyl,
phthalazinyl,
imidazolyl,
isoxazolyl,
pyrazolyl,
oxazolyl,
thiazolyl,
indolizinyl,
indazolyl,
benzothiazolyl,
benzimidazolyl,
benzofuranyl,
furanyl,
thienyl,
pyrrolyl,
oxadiazolyl,
thiadiazolyl,
triazolyl,
tetrazolyl,
oxazolopyridinyl,
imidazopyridinyl,
isothiazolyl,
naphthyridinyl,
cinnolinyl,
carbazolyl,
beta-carbolinyl,
isochromanyl,
chromanyl,
tetrahydroisoquinolinyl,
isoindolinyl,

isobenzotetrahydrofuranyl,
isobenzotetrahydrothienyl,
isobenzothienyl,
benzoxazolyl,
pyridopyridinyl,
benzotetrahydrofuranyl,
benzotetrahydrothienyl,
purinyl,
benzodioxolyl,
triazinyl,
phenoxazinyl,
phenothiazinyl,
pteridinyl,
benzothiazolyl,
imidazopyridinyl,
imidazothiazolyl,
dihydrobenzisoxazinyl,
benzisoxazinyl,
benzoxazinyl,
dihydrobenzisothiazinyl,
benzopyranyl,
benzothiopyranyl,
coumarinyl,
isocoumarinyl,
chromonyl,
chromanonyl,
pyridinyl-N-oxide,
tetrahydroquinolinyl
dihydroquinolinyl
dihydroquinolinonyl
dihydroisoquinolinonyl
dihydrocoumarinyl
dihydroisocoumarinyl
isoindolinonyl

benzodioxanyl
benzoxazolinonyl
pyrrolyl N-oxide,
pyrimidinyl N-oxide,
pyridazinyl N-oxide,
pyrazinyl N-oxide,
quinolinyl N-oxide,
indolyl N-oxide,
indolinyl N-oxide,
isoquinolyl N-oxide,
quinazolinyl N-oxide,
quinoxalinyl N-oxide,
phthalazinyl N-oxide,
imidazolyl N-oxide,
isoxazolyl N-oxide,
oxazolyl N-oxide,
thiazolyl N-oxide,
indolizinyl N-oxide,
indazolyl N-oxide,
benzothiazolyl N-oxide,
benzimidazolyl N-oxide,
pyrrolyl N-oxide,
oxadiazolyl N-oxide,
thiadiazolyl N-oxide,
triazolyl N-oxide,
tetrazolyl N-oxide,
benzothiopyranyl S-oxide,
benzothiopyranyl S,S-dioxide,

where the $R_{N\text{-heteroaryl}}$ group is bonded by any atom of the parent $R_{N\text{-heteroaryl}}$ group substituted by hydrogen such that the new bond to the $R_{N\text{-heteroaryl}}$ group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two three, or four of:

(1) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I,

-OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(2) -OH,

(3) -NO_2,

(4) -F, -Cl, -Br, -I,

(5) -CO-OH,

(6) -C≡N,

(7) -$(CH_2)_{0-4}$-CO-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are selected from the group consisting of:

(a) -H,

(b) -C_1-C_6 alkyl optionally substituted with one substitutent selected from the group consisting of:

(i) -OH,

(ii) -NH_2,

(c) -C_1-C_6 alkyl optionally substituted with one to three -F, -Cl, -Br, -I,

(d) -C_3-C_7 cycloalkyl,

(e) -(C_1-C_2 alkyl)-(C_3-C_7 cycloalkyl),

(f) -(C_1-C_6 alkyl)-O-(C_1-C_3 alkyl),

(g) -C_2-C_6 alkenyl with one or two double bonds,

(h) -C_2-C_6 alkynyl with one or two triple bonds,

(i) -C_1-C_6 alkyl chain with one double bond and one triple bond,

(j) -$R_{1\text{-aryl}}$ where $R_{1\text{-aryl}}$ is as defined above,

(k) -$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(8) -$(CH_2)_{0-4}$-CO-(C_1-C_{12} alkyl),

(9) -$(CH_2)_{0-4}$-CO-(C_2-C_{12} alkenyl with one, two or three double bonds),

(10) -$(CH_2)_{0-4}$-CO-(C_2-C_{12} alkynyl with one, two or three triple bonds),

(11) -$(CH_2)_{0-4}$-CO-(C_3-C_7 cycloalkyl),

(12) -$(CH_2)_{0-4}$-CO-$R_{1\text{-aryl}}$ where $R_{1\text{-aryl}}$ is as defined above,

(13) -$(CH_2)_{0-4}$-CO-$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(14) -$(CH_2)_{0-4}$-CO-$R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined above,

(15) -$(CH_2)_{0-4}$-CO-R_{N-4} where R_{N-4} is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of C_1-C_6 alkyl,

(16) -$(CH_2)_{0-4}$-CO-O-R_{N-5} where R_{N-5} is selected from the group consisting of:

(a) C_1-C_6 alkyl,

(b) -$(CH_2)_{0-2}$-($R_{1\text{-aryl}}$) where $R_{1\text{-aryl}}$ is as defined above,

(c) C_2-C_6 alkenyl containing one or two double bonds,

(d) C_2-C_6 alkynyl containing one or two triple bonds,

(e) C_3-C_7 cycloalkyl,

(f) -$(CH_2)_{0-2}$-($R_{1\text{-heteroaryl}}$) where $R_{1\text{-heteroaryl}}$ is as defined above,

(17) -$(CH_2)_{0-4}$-SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined above,

(18) -$(CH_2)_{0-4}$-SO-(C_1-C_8 alkyl),

(19) -$(CH_2)_{0-4}$-SO_2-(C_1-C_{12} alkyl),

(20) -$(CH_2)_{0-4}$-SO_2-(C_3-C_7 cycloalkyl),

(21) -$(CH_2)_{0-4}$-N(H or R_{N-5})-CO-O-R_{N-5} where R_{N-5} can be the same or different and is as defined above,

(22) -$(CH_2)_{0-4}$-N(H or R_{N-5})-CO-N(R_{N-5})$_2$, where R_{N-5} can be the same or different and is as defined above,

(23) -$(CH_2)_{0-4}$-N-CS-N$(R_{N-5})_2$, where R_{N-5} can be the same or different and is as defined above,

(24) -$(CH_2)_{0-4}$-N(-H or R_{N-5})-CO-R_{N-2} where R_{N-5} and R_{N-2} can be the same or different and are as defined above,

(25) -$(CH_2)_{0-4}$-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} can be the same or different and are as defined above,

(26) -$(CH_2)_{0-4}$-R_{N-4} where R_{N-4} is as defined above,

(27) -$(CH_2)_{0-4}$-O-CO-(C_1-C_6 alkyl),

(28) -$(CH_2)_{0-4}$-O-P(O)-$(OR_{N\text{-}aryl\text{-}1})_2$ where $R_{N\text{-}aryl\text{-}1}$ is -H or C_1-C_4 alkyl,

(29) -$(CH_2)_{0-4}$-O-CO-N$(R_{N-5})_2$ where R_{N-5} is as defined above,

(30) -$(CH_2)_{0-4}$-O-CS-N$(R_{N-5})_2$ where R_{N-5} is as defined above,

(31) -$(CH_2)_{0-4}$-O-$(R_{N-5})_2$ where R_{N-5} is as defined above,

(32) -$(CH_2)_{0-4}$-O-($R_{N-5})_2$-COOH where R_{N-5} is as defined above,

(33) -$(CH_2)_{0-4}$-S-($R_{N-5})_2$ where R_{N-5} is as defined above,

(34) -$(CH_2)_{0-4}$-O-(C_1-C_6 alkyl optionally substituted with one, two, three, four, or five of -F),

(35) C_3-C_7 cycloalkyl,

(36) C_2-C_6 alkenyl with one or two double bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(37) C_2-C_6 alkynyl with one or two triple bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(38) -$(CH_2)_{0-4}$-N(-H or R_{N-5})-SO_2-R_{N-2} where R_{N-5} and R_{N-2} can be the same or different and are as described above,

(39) -$(CH_2)_{0-4}$- C_3-C_7 cycloalkyl,

(C) $R_{N\text{-}aryl}$-W-$R_{N\text{-}aryl}$,

(D) $R_{N\text{-aryl}}$-W-$R_{N\text{-heteroaryl}}$,

(E) $R_{N\text{-aryl}}$-W-$R_{N\text{-1-heterocycle}}$, where $R_{N\text{-heterocycle}}$ is defined as $R_{1\text{-heterocycle}}$ is defined above,

(F) $R_{N\text{-heteroaryl}}$-W-$R_{N\text{-aryl}}$,

(G) $R_{N\text{-heteroaryl}}$-W-$R_{N\text{-heteroaryl}}$,

(H) $R_{N\text{-heteroaryl}}$-W-$R_{N\text{-1-heterocycle}}$, where $R_{N\text{-1-heterocycle}}$ is defined as $R_{1\text{-heterocycle}}$ is defined above,

(I) $R_{N\text{-heterocycle}}$-W-$R_{N\text{-aryl}}$,

(J) $R_{N\text{-heterocycle}}$-W-$R_{N\text{-heteroaryl}}$,

(K) $R_{N\text{-heterocycle}}$-W-$R_{N\text{-1-heterocycle}}$,

where W is

(1) $-(CH_2)_{0\text{-}4}-$,

(2) -O-,

(3) $-S(O)_{0\text{-}2}-$,

(4) $-N(R_{N\text{-}5})-$ where $R_{N\text{-}5}$ is as defined above, or

(5) -CO-;

(II) -CO-(C_1-C_{10} alkyl) where alkyl is optionally substituted with one two, or three substitutents selected from the group consisting of:

(A) -OH,

(B) -C_1-C_6 alkoxy,

(C) -C_1-C_6 thioalkoxy,

(D) -CO-O-$R_{N\text{-}8}$ where $R_{N\text{-}8}$ is -H, C_1-C_6 alkyl or -phenyl,

(E) -CO-$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are the same or different and are as defined above,

(F) -CO-$R_{N\text{-}4}$ where $R_{N\text{-}4}$ is as defined above,

(G) -SO_2-(C_1-C_8 alkyl),

(H) -SO_2-$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are the same or different and are as defined above,

(I) -NH-CO-(C_1-C_6 alkyl),

(J) -NH-CO-O-$R_{N\text{-}8}$ where $R_{N\text{-}8}$ is as defined above,

(K) -$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are the same or different and are as defined above,

(L) -$R_{N\text{-}4}$ where $R_{N\text{-}4}$ is as defined above,

(M) -O-CO-(C_1-C_6 alkyl),

(N) -O-CO-$NR_{N-8}R_{N-8}$ where the R_{N-8}s are the same or different and are as defined above,

(O) -O-(C_1-C_5 alkyl)-COOH,

(P) -O-(C_1-C_6 alkyl optionally substitued with one, two, or three of: -F, -Cl, -Br, -I),

(Q) -NH-SO_2-(C_1-C_6 alkyl),

(R) -F, -Cl,

(III) -CO-(C_1-C_6 alkyl)-O-(C_1-C_6 alkyl) where alkyl is optionally substituted with one, two, or three substitutents selected from the group consisting of:

(A) -OH,

(B) -C_1-C_6 alkoxy,

(C) -C_1-C_6 thioalkoxy,

(D) -CO-O-R_{N-8} where R_{N-8} is -H, C_1-C_6 alkyl or -phenyl,

(E) -CO-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) -CO-R_{N-4} where R_{N-4} is as defined above,

(G) -SO_2-(C_1-C_8 alkyl),

(H) -SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(I) -NH-CO-(C_1-C_6 alkyl),

(J) -NH-CO-O-R_{N-8} where R_{N-8} is as defined above,

(K) -$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(L) -R_{N-4} where R_{N-4} is as defined above,

(M) -O-CO-(C_1-C_6 alkyl),

(N) -O-CO-$NR_{N-8}R_{N-8}$ where the R_{N-8}s are the same or different and are as defined above,

(O) -O-(C_1-C_5 alkyl)-COOH,

(P) -O-(C_1-C_6 alkyl optionally substitued with one, two, or three of: -F, -Cl, -Br, -I),

(Q) -NH-SO_2-(C_1-C_6 alkyl),

(R) -F, -Cl,

(IV) -CO-(C_1-C_6 alkyl)-S-(C_1-C_6 alkyl) where alkyl is optionally substituted with one, two, or three substitutents selected from the group consisting of:

(A) -OH,

(B) -C_1-C_6 alkoxy,

(C) -C_1-C_6 thioalkoxy,

(D) -CO-O-R_{N-8} where R_{N-8} is as defined above,

(E) -CO-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) -CO-R_{N-4} where R_{N-4} is as defined above,

(G) -SO_2-(C_1-C_8 alkyl),

(H) -SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(I) -NH-CO-(C_1-C_6 alkyl),

(J) -NH-CO-O-R_{N-8} where R_{N-8} is as defined above,

(K) -$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(L) -R_{N-4} where R_{N-4} is as defined above,

(M) -O-CO-(C_1-C_6 alkyl),

(N) -O-CO-$NR_{N-8}R_{N-8}$ where the R_{N-8}s are the same or different and are as defined above,

(O) -O-(C_1-C_5 alkyl)-COOH,

(P) -O-(C_1-C_6 alkyl optionally substitued with one, two, or three of: -F, -Cl, -Br, -I),

(Q) -NH-SO_2-(C_1-C_6 alkyl),

(R) -F, -Cl,

(V) -CO-CH(-$(CH_2)_{0-2}$-O-R_{N-10})-$(CH_2)_{0-2}$-$R_{N\text{-aryl}}$/$R_{N\text{-heteroaryl}}$) where $R_{N\text{-aryl}}$ and $R_{N\text{-heteroaryl}}$ are as defined above, where R_{N-10} is selected from the group consisting of:

(A) -H,

(B) C_1-C_6 alkyl,

(C) C_3-C_7 cycloalkyl,

(D) C_2-C_6 alkenyl with one double bond,

(E) C_2-C_6 alkynyl with one triple bond,

(F) $R_{1\text{-aryl}}$ where $R_{1\text{-aryl}}$ is as defined above,

(G) $R_{N\text{-heteroaryl}}$ where $R_{N\text{-heteroaryl}}$ is as defined above,

(VI) -CO-(C_3-C_8 cycloalkyl) where alkyl is optionally substituted with one or two substitutents selected from the group consisting of:

(A) -$(CH_2)_{0-4}$-OH,

(B) -$(CH_2)_{0-4}$-C_1-C_6 alkoxy,

(C) -$(CH_2)_{0-4}$-C_1-C_6 thioalkoxy,

(D) -$(CH_2)_{0-4}$-CO-O-R_{N-8} where R_{N-8} is -H, C_1-C_6 alkyl or -phenyl,

(E) -$(CH_2)_{0-4}$-CO-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) -$(CH_2)_{0-4}$-CO-R_{N-4} where R_{N-4} is as defined above,

(G) -$(CH_2)_{0-4}$-SO_2-(C_1-C_8 alkyl),

(H) -$(CH_2)_{0-4}$-SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(I) -$(CH_2)_{0-4}$-NH-CO-(C_1-C_6 alkyl),

(J) -NH-CO-O-R_{N-8} where R_{N-8} is as defined above,

(K) -$(CH_2)_{0-4}$-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(L) -$(CH_2)_{0-4}$-R_{N-4} where R_{N-4} is as defined above,

(M) -O-CO-(C_1-C_6 alkyl),

(N) -O-CO-$NR_{N-8}R_{N-8}$ where the R_{N-8}s are the same or different and are as defined above,

(O) -O-(C_1-C_5 alkyl)-COOH,

(P) -O-(C_1-C_6 alkyl optionally substitued with one, two, or three of: -F, -Cl, -Br, -I),

(Q) -NH-SO_2-(C_1-C_6 alkyl),

(R) -F, -Cl.

It is preferred that R_N is selected from the group consisting of:

R_{N-1}-X_N- where X_N is -CO-, where R_{N-1} is $R_{N\text{-aryl}}$ or $R_{N\text{-heteroaryl}}$ where $R_{N\text{-aryl}}$ is phenyl where the substitution on phenyl is 1,3-, and where $R_{N\text{-aryl}}$ or $R_{N\text{-heteroaryl}}$ are substituted with one -CO-$NR_{N-2}R_{N-3}$,

R_{N-1}-X_N- where X_N is-CO-, where R_{N-1} is $R_{N\text{-aryl}}$ or $R_{N\text{-heteroaryl}}$ where $R_{N\text{-aryl}}$ is phenyl substituted with one C_1 alkyl where the substitution on the phenyl is 1,3,5-, and where $R_{N\text{-aryl}}$ or $R_{N\text{-heteroaryl}}$ are substituted with one -CO-$NR_{N-2}R_{N-3}$,

R_{N-1}-X_N- where X_N is -CO-, where R_{N-1} is $R_{N\text{-heteroaryl}}$ where $R_{N\text{-heteroaryl}}$ is substituted with one -CO-$NR_{N-2}R_{N-3}$. It is further preferred that R_{N-2} and R_{N-3} are the same and are C_3 alkyl. It is further preferred that:

R_{N-1}-X_N- where X_N is -CO-, where R_{N-1} is $R_{N\text{-aryl}}$ where $R_{N\text{-aryl}}$ is phenyl substituted with one -CO-$NR_{N-2}R_{N-3}$ where the substitution on phenyl is 1,3-,

R_{N-1}-X_N- where X_N is-CO-, where R_{N-1} is $R_{N\text{-aryl}}$ where $R_{N\text{-aryl}}$ is phenyl substituted with one C_1 alkyl and with one -CO-$NR_{N-2}R_{N-3}$ where the substitution on the phenyl is 1,3,5-. It is preferred that X_N is (A) -CO- and (B) -SO_2-; it is more preferred that X_N be -CO-. X_2 includes -Cl, -Br; it is preferred that X_2 is -Cl.

The nitrogen-acylation of primary amines to produce secondary amides is one of the oldest known reactions. The amide forming agents, $(R_{N-1}\text{-}X_N)_2O$ or $R_{N-1}\text{-}X_N\text{-}X_2$ or $R_{N-1}\text{-}X_N\text{-}OH$ (IX) are known to those skilled in the art and are commercially available or can be readily prepared from known starting materials by methods known in the literature. It is preferred to use an isophthalic acid acylating agent (IX) of the formula $R_{N-2}R_{N-3}$N-CO-phenyl-CO- or a methylisophthalic acid acylating agent (IX) of the formula $R_{N-2}R_{N-3}$N-CO-(CH_3-)phenyl-CO- where the substitution is 5-methyl-1,3-isophthalic acid. The more preferred 5-methyl-1,3-isophthalic acid is 3-[(N,N-dipropylamino)carbonyl]-5-methylbenzoic acid (IX). These compounds are preferably prepared as follows. An ester, preferably the monomethyl ester of isophthalic acid or methyl 5-methyl-1,3-isophthalate is dissolved in a THF/DMF mixture. 1,1'-Carbonyldiimidazole is added at 20-25 degrees C. Next the desired amine (H-$NR_{N-2}R_{N-3}$) is added. After 3-24 hr of stirring at 20 degrees C to the reflux temperature of the solvent, the reaction mixture is partitioned between saturated aqueous ammonium chloride and a water immiscible organic solvent such as ethyl acetate. The aqueous layer is separated and extracted twice more with the organic solvent (ethyl acetate). The organic extracts are combined and then washed with

saturated aqueous solutions of bicarbonate and saline and dried over anhydrous sodium sulfate or magnesium sulfate. Filtration of the drying agent and removal of solvents by reduced pressure gives the methyl ester of the desired $R_{N-2}R_{N-3}$N-CO-phenyl-CO-O-CH_3 or a methylisophthalic acid acylating agent (IX) $R_{N-2}R_{N-3}$N-CO-(CH_3-)phenyl-CO-O-CH_3. Purification of the (methyl) ester can be achieved via chromatography on silica gel eluting with ethyl acetate in hexanes. The isophthalate ester or methylisophthalate ester of the mono-alkyl or di-alkyl amide is then treated with an aqueous solution of base such as lithium hydroxide in a minimum amount of THF/methanol/water and stirred 3-24 hours at 20 degrees C to the reflux temperature of the solvent. The solvents are then removed under reduced pressure and subsequently partitioned between water and a water immiscible solvent such as ethyl acetate, for example. If emulsions prohibit separation of the two phases, a small amount of saline is added to aid in separation. The aqueous phase is separated and extracted once more with a water immiscible solvent such as ethyl acetate, for example. The aqueous phase is then acidified with concentrated acid, preferably hydrochloric until pH ≤ 3. The mixture obtained is then extracted three times with a water immiscible solvent such as ethyl acetate, for example. These combined organic extracts are dried over anhydrous sodium or magnesium sulfate. The drying agent is removed by filtration and the organic solvent is removed under reduced pressure to give product. The mono- or di-alkyl amide isophthalate/methylisophthalate is used as such in the next reaction with the (S,R)-amine (VIII) to produce the (S,R)-substituted amine (X).

When it is desired to produce a primary amide, R_{N-2} and R_{N-3} are both -H, the following procedure is preferred. An ester, preferably the methyl ester of isophthalate or methyl 5-methyl-1,3-isophthalate is dissolved in a THF/DMF mixture. CDI is added at 20-25 degrees C. After five to thirty minutes, ammonia gas is bubbled into the mixture through a syringe needle for 1 hr. The mixture is cooled to 0 degrees C for the duration of the hour. The reaction is left stirring under a balloon of ammonia overnight at 20-25 degrees C, after which time the reaction mixture is partitioned between saturated aqueous ammonium chloride and a water immiscible solvent such as ethyl acetate, for example. The phases are separated and the aqueous phase is extracted twice more with ethyl acetate. The organic extracts are washed with saturated aqueous solutions of bicarbonate and saline and dried over anhydrous sodium or magnesium sulfate. Filtration of the drying agent and

removal of solvents under reduced pressure gives the ester of the desired isophthalic acid or the isophthalic acid acylating agent (IX). Purification of the (methyl) ester can be achieved via chromatography on silica gel eluting with isopropanol/chloroform. The isophthalate ester or methylisophthalate ester of the primary amide is then treated with an aqueous solution of base such as lithium hydroxide in a minimum amount of THF/methanol/water and stirred overnight at 20-25 degrees C after which time the solvents are removed under reduced pressure and subsequently partitioned between water and a water immiscible solvent such as ethyl acetate, for example. If emulsions prohibit separation of the two phases, a small amount of saline is added to aid in separation. The aqueous phase is separated and extracted once more with a water immiscible solvent such as ethyl acetate, for example. The aqueous phase is then acidified with concentrated acid, preferably hydrochloric until pH ≤ 3. The mixture obtained is then extracted three times with ethyl acetate. These combined organic extracts are dried over anhydrous sodium or magnesium sulfate. The drying agent is removed by filtration and the organic solvent removed under reduced pressure to give product. The amide isophthalic acid is used as such in the next reaction with (VIII) to produce (X).

When it is desired that the amine be cyclized to be a group such as morpholinyl, piperazinyl, piperidinyl and pyrrolidinyl, etc the following procedure is preferably followed. An ester, preferably the methyl ester of isophthalic acid or methyl 5-methyl-1,3-isophthalate is dissolved in dry methylene chloride and three drops of DMF are added. The mixture is cooled to 0 degrees C and then oxalyl chloride is added. The mixture is stirred at 0 degrees C for 30 minutes to two hours after which the solvents are removed under reduced pressure. The acid chloride is left under vacuum overnight. The crude acid chloride is dissolved in dry methylene and cooled to 0 degrees C before the addition of the cyclic amine and a tertiary amine base such as N-methyl piperidine, for example. The reaction mixture is stirred at 0 degrees C for 1 to 6 hr before the solvents are removed under reduced pressure. The residue is diluted with water and a water immiscible solvent such as ethyl acetate, for example, and the phases are separated. The aqueous phase is extracted twice more with a water immiscible solvent such as ethyl acetate, for example, and the combined organic extracts are washed with saturated aqueous bicarbonate and dried over anhydrous sodium or magnesium sulfate. Filtration of the drying agent and removal of solvents under reduced pressure gives the product

cyclic amide. The cyclic amide is then treated with an aqueous base such as lithium hydroxide in a minimum amount of THF/methanol/water and stirred overnight at 20-25 degrees C, after which time the solvents are removed under reduced pressure and the residue is subsequently partitioned between water and a water immiscible solvent such as ethyl acetate, for example. The aqueous phase is extracted once more with ethyl acetate. Removal of water from the aqueous phase under reduced pressure gives the desired cyclic amide product (IX).

When it is desired that R_{N-1} is carbocycle, for example but not limited to, cyclohexane, one may then start with a suitably functionalized dimethyl isophthalate and via methods taught in the literature (Meyers, A.I., *Org. Syn.*, **1971**, *51*, 103) one may reduce the six-membered ring with reducing agents such as rhodium (5%) on alumina in the presence of acetic acid and methanol under a hydrogen atmosphere to afford the corresponding dimethyl cyclohexane dicarboxylate.

CHART D sets forth an alternative process for production of the (S,R)-substituted amine (X) from the (S,R)-protected azide (XII), which is produced from the corresponding epoxide (V) in CHART C. The amino protecting group is removed to produce the corresponding unprotected azide (XIV) by methods previously described in CHART A for the conversion of (S,R)-protected alcohol (VII) to the corresponding (S,R)-amine (VIII). The (S,R)-unprotected azide (XIV) is then acylated on nitrogen to produce the corresponding (S,R)-azide (XV). Next, the azide functionality is reduced as previously discussed for the conversion of the (S,R)-protected azide (XII) to the corresponding (S,R)-protected amine (XIII) to give the (S,R)-free amine (XVI). Last, the (S,R)-free amine (XVI) is transformed to the corresponding (S,R)-substituted amine (X) by nitrogen alkylation with a compound of the formula R_C-X_3 to give the corresponding (S,R)-substituted amine (X). X_3 is an appropriate leaving group, such as but not limited to, -Cl, -Br, -I, -O-mesylate, -O-tosylate, O-triflate, etc. X_3 may also be an aldehyde; the corresponding coupling with (XVI) via the well known reductive amination procedure gives the (S,R)-substituted amine (X).

Carbocylic amide forming agents (IX) are also provided for by the invention. For example, the carbocyclic amide forming agents of the formula

R'-CH-C(R'')(R''')-CH-X_N-OH (IX) are readily prepared from known starting materials by methods disclosed in the literature and known to those skilled in the art, for example, *J. Med. Chem.* **1998**, *41*, 1581, *J. Org. Chem.* **2000**, *65*, 1305. It is also understood that instead of the carboxylic acid, one may readily employ an acyl halide, where the halide is preferably choride, or a suitable group to produce a mixed anhydride; these methods are taught by CHART A. For additional guidance on the formation of carbocyles and preferably cyclopropanes, one may consult M.P. Doyle; M.A. McKervery; T. Ye in *Modern Catalytic Methods for Organic Synthesis with Diazo Compounds From Cyclopropanes to Ylides*, Wiley-Interscience, **1998**, pp. 163-279.

CHARTs E, F, G, and H disclose various methods to produce the R_N portion of the substituted amine (X) where the phenyl ring of the R_N 1,3-disubstituted moiety,

-CO-phenyl-CO-, is further substituted in the 5-position with various groups such as amides, nitriles, halides, and amines. These compounds are prepared by methods known to those skilled in the art. The process chemistry of each reaction is known to those skilled in the art. What is novel here is the order of each process step and/or the specific reactants used. One skilled in the art knowing the desired product would know at least one method to prepare the desired product by using known starting materials. Hence, the following discussion is not necessary but is set forth to further aid those interested in preparing the compounds of the invention.

CHART E discloses alternate processes for the transformation of the aniline (XVII) or acid ester (XVIII) to the corresponding acid (IX-XXIII). One process begins with the commercially available aniline (XVII) where R_{N-a} is preferably -H, C_1-C_4 alkyl or benzyl. The aniline (XVII) is treated with a diazotizing reagent such as sodium or potassium nitrite in mineral acid, followed by a halogen source such as copper (II) halide or alkali metal halide, or by an organic diazotizing reagent such as an alkyl nitrite in a strong acid such as acetic acid or trifluoroacetic acid, followed by a halide source such as copper (II) halide or alkali metal halide to give the halo acid ester (XIX) where R_{N-b} is -Cl, -Br or -I. Alternatively, the acid ester (XVIII) is

treated with N-halosuccinimide and trifluoromethanesulfonic acid to give the halo acid ester (XIX). The halo acid ester (XIX) is then converted to the ester amide (XXI) using a primary or secondary amine of the formula H-$NR_{Nalpha}R_{Nbeta}$ (AMINE) where R_{Nalpha} and R_{Nbeta} are the same or different or can be cyclized. These groups, R_{Nalpha} and R_{Nbeta}, become part of the substituted amine (X) and are included in the definition of R_N. R_N includes R_{N-1}-X_N- where the linker, -X_N-, includes -CO- and R_{N-1} includes R_{N-aryl}. R_{N-aryl} is defined to include phenyl (-phenyl) optionally substituted with two amides:

-CO-$NR_{N-2}R_{N-3}$ and

-CO-R_{N-4}. R_{Nalpha} and R_{Nbeta} include both the non-cyclic amides,-CO-NR_{N-2}-R_{N-3} and the cyclic amides-CO-R_{N-4} where R_{N-2}, R_{N-3} and R_{N-4} are as defined in the claims. Alternatively, the halo acid ester (XIX) is converted to the dihalo ester (XX) by methods known to those skilled in the art. R_{N-c} includes -Cl and -F. The dihalo ester (XX) is treated with a primary or secondary amine of the formula H-$NR_{Nalpha}R_{Nbeta}$ (AMINE) to give the ester amide (XXI). The ester amide (XXI) is then reacted with an AMINE in a carbon monoxide atmosphere in the presence of a palladium catalyst using methods such as those reviewed by Heck, (Palladium Reagents in Organic Synthesis, 1985 pp. 342-365). to give the diamide (XXII). Hydrolysis of the ester portion of the diamide (XXII) using methods well known to those skilled in the art gives the diamide acid (XXIII).

In CHART F, an alterate route to intermediate diamide (XXII) is shown starting from commercially available phenol (XXIV). The phenol (XXIV) is treated with a trifluoromethanesulfonating reagent such as trifluoromethanesulfonic anhydride to give triflate (XXV). The triflate (XXV) is reacted under the conditions of palladium catalysis in the presence of carbon monoxide and an amine of the formula H-$NR_{Nalpha}R_{Nbeta}$ (AMINE) as for the conversion of the ester amide (XXI) to the corresponding diamide (XXII) in CHART E to give the diester (XXVI). The diester (XXVI) is hydrolyzed using methods known to those skilled in the art to give the monoacid (XXVII). The monoacid (XXVII) is then converted to the diamide (XXII) using conditions such as for the conversion of the halo acid ester (XIX) to the ester amide (XXI) in CHART E.

CHART G discloses another route to prepare the ester amide (XXI). The reaction starts with commercially available nitro compound (XXVIII) which is condensed with an (AMINE) using coupling methods known to those skilled in the

art to give the nitro amide (XXX). The nitro amide (XXX) can also be prepared by first treating the nitro compound (XXVIII) with reagents such as thionyl chloride, or DMF and oxalyl chloride, or other methods known to those skilled in the art to give the acid chloride (XXIX), which upon treatment with the (AMINE) gives the nitro amide (XXX). Reduction of the nitro amide (XXX) using methods known to those skilled in the art (see, for example, Smith and March, Advanced Organic Chemistry, 5th ed.) gives amide aniline (XXXI). The amide aniline (XXXI) is then treated with diazotizing reagents such as sodium or potassium nitrite in mineral acid, followed by a halogen source such as copper (II) halide or alkali metal halide, or by an organic diazotizing reagent such as an alkyl nitrite in a strong acid such as acetic acid or trifluoroacetic acid, followed by a halide source such as copper (II) halide or alkali metal halide to give the ester amide (XXI).

CHART H discloses a process to prepare the diamide acid (IX-XXIII) from the ester amide (XXI), where one of the amides is unsubstituted and is -CO-NH_2. This process starts from either the ester or the acid, for example the ester amide (XXI) is treated with copper (I) cyanide (CuCN) in N-methylpyrrolidinone or DMF, preferably N-methylpyrrolidinone, to give the nitrile (XXXII). The nitrile (XXXII) is converted to the primary amide (XXXIII) using urea-hydrogen peroxide complex (see *Synth. Commun.* (1993) 3149) or the methods of Synth. Commun. (1990) 1445, *Synth. Commun.* (1997) 3119, *J. Org. Chem.* (1992) 2521, *Tet. Lett.* (1996) 6555, *Ind. J. Chem.*, Sect. B, (1999) 974, *Tet. Lett.* (1995) 3469, *Tet. Lett.* (1998) 3005, or others. When the ester amide (XXI) is in the form of an ester, an additional hydrolysis step using lithium hydroxide, sodium hydroxide, potassium hydroxide, barium hydroxide, or other hydrolysis methods known to those skilled in the art is used to convert the diamide ester (XXXIII) to the diamide acid (IX-XXIII).

CHART I discloses an alternate synthetic route from the protected alcohol (VII) to the substituted amine (X) which uses a diprotected intermediate (XXXIV) whereby the nitrogen atom attached to the R_C substitutent is protected. Using the process of CHART I, the mono protected alcohol (VII) is reacted with a new protecting group to form the orthogonally protected (XXXIV). This is a common strategy employed in traditional peptide chemistry by those skilled in the art, see M. Bodansky, Principles of Peptide Chemistry. When the mono protected alcohol (VII) is protected with CBZ one skilled in the art could react it with either $(BOC)_2O$ in

methylene chloride or similar organic solvent or FMOC-Cl in methylene chloride or similar organic solvent to prepare orthogonally protected (XXXIV). Then the CBZ group is removed by hydrogenation in the presence of a catalytic amount of palladium on carbon in an alcoholic solvent, such as methanol, or ethyl acetate, or with catalytic palladium on carbon in alcoholic solvents in the presence of ammonium formate as is known to those skilled in the art. This gives the R_C-N protected (XXXV). Similarly, when the mono protected alcohol (VII) is protected as a BOC it can be reacted with CBZ-Cl under Schotten-Bauman conditions or CBZ-OSu in THF to prepare the reversed (XXXIV). Then the BOC group can be cleaved with hydrochloric acid (4 N) in methanol, ethanol or dioxane or with trifluoroacetic acid in methylene chloride or by other methods such as those described in The Peptides, Analysis, Synthesis, Biology, Vol. 3, Ed. E. Gross and J. Meienhofer (1981) to liberate the CBZ R_C-N protected (XXXV). This functional group manipulation gives various permutations in the sequence (VII) to (XXXIV) to (XXXV) as is apparent to one skilled in the art. When the appropriately R_C-N protected compound (XXXV) is reacted with the amide forming agent (IX), in acid form, under standard peptide coupling conditions, for example, EDC/HOBt in methylene chloride or DMF or a previously activated acid, $(R_N\text{-})_2O$ gives the corresponding R_N-substituted R_C-N protected (XXXVI). Simple de-protection of the R_N-substituted R_C-N protected (XXXVI) then gives the desired substituted amine (X). Thus when the R_N-substituted R_C-N protected (XXXVI) is protected with BOC, treatment with hydrochloric acid (4N) in dioxane or the other reagents discussed above gives the substituted amine (X). When the R_N-substituted R_C-N protected (XXXVI) is protected with CBZ, treatment with hydrogen from 10 - 50 psi in alcoholic solvents, such as methanol with a catalytic amount of palladium on carbon will give, after work-up, the desired substituted amine (X). Similarly when the R_N-substituted R_C-N protected (XXXVI) is protected with FMOC, treatment with a secondary amine, preferably either piperidine (10 %) or diethylamine (10 %) in an inert solvent such as, for example, methylene chloride will give after work up the desired substituted amine (X).

CHART J discloses a process to prepare compounds where the phenyl ring of the R_N substituent of -CO-phenyl-CO- is substituted with a sulfonamide group in the 5-position. The process starts with the halo amide ester (XXI, CHART E) which

is reacted with sodium nitrite, sulfur dioxide, copper chloride (II) and acetic acid by the method disclosed in *J. Med. Chem.*, 42, 3797 (1999) to prepare the sulfonyl chloride (XXXVII). The sulfonyl chloide (XXXVII) is then reacted with AMINE, as defined above, by methods known to those skilled in the art to produce the corresponding sulfonamide (XXXVIII). Last the sulfonamide (XXXVIII) is transformed to the corresponding sulfonamide acid (XXXIX) by methods known to those skilled in the art such as using lithium hydroxide, sodium hydroxide, potassium hydroxide, barium hydroxide, or other hydrolysis methods known to those skilled in the art.

CHART K discloses how to prepare the R_N substituents where R_N is R_{N-1}-X_N-, where X_N is -CO- and R_{N-1} is $R_{N\text{-}aryl}$ where $R_{N\text{-}aryl}$ is phenyl substituted with one alkyl group and one -CO-$NR_{N-2}R_{N-3}$ or -CO-R_{N-4}. See the discussion above for CHART E regarding the amine, H-$NR_{Nalpha}R_{Nbeta}$ (AMINE), used to form the amide R_N substituents. The process starts with the halo amide ester (XXI) which is then reacted with an alkyl boronic acid having the desired alkyl group in the presence of a palladium catalyst such as $Pd(PPh_3)Cl_2$ using the general method described in *J. Med. Chem.*, 4288 (2000). The alkyl boronic acids are commercially available or can be prepared by the process described in *J. Am. Chem. Soc.*, 60, 105 (1938). It is preferred that R_{N-b} is bromo. This step produces the alkyl ester (XL) which is then hydrolyzed by means known to those skilled in the art to produce the desired alkyl acid (XLI).

CHART L discloses a process to prepare the amide forming agent (IX - XLVII) where the R_N substituent is R_{N-1}-X_N-, where the linker, -X_N- is -CO-, where R_{N-1} is $R_{N\text{-}aryl}$ and where $R_{N\text{-}aryl}$ is phenyl (-phenyl) substituted with groups:

C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, and -N(-H and C_1-C_3 alkyl)-CO-R_{N-5}. This specific amide forming agent, (IX - XLVII) is prepared by starting with the phenyl nitro compoud (XLII) which is reduced to the corresponding phenyl nitro hydroxy compound (XLIII) using borane-methyl sulfide or borane in THF. The phenyl nitro hydroxy compound (XLIII) is reduced to the corresponding phenyl amino hydroxy compound (XLIV) using hydrogen and palladium catalyst as is known to those skilled in the art. The phenyl amino hydroxy

compound (XLIV) is reacted with an aldehyde in the presence of a reducing agent such as sodium cyanoborohydride or sodium triacetoxyborohydride to give the phenyl substituted amino hydroxy compound (XLV). The phenyl substituted amino hydroxy compound (XLV) is acylated with an acid chloride or acid anhydride by methods known to those skilled in the art to give the phenyl disubstituted amino hydroxy compound (XLVI). The phenyl disubstituted amino hydroxy compound (XLVI) is hydrolyzed using an alkali hydroxide, followed by acidification, to give the amide forming agent (IX - XLVII). The amide forming agent (XLVII) is then coupled with amine (VIII) using methods known to those skilled in the art and methods previously discussed, such as with diethyl cyanophosphonate, to give the substituted amine (X). Further treatment of the substituted amine (X) with diethyl cyanophosphonate gives the substituted amine where the hydroxyalkyl substitutent on the phenyl ring has a phosphate substitutent.

CHART M discloses a process to prepare amide forming agents (IX- L) where the R_N substituent is R_{N-1}-X_N-, where the linker, -X_N- is -CO-, where R_{N-1} is $R_{N\text{-}aryl}$ and where $R_{N\text{-}aryl}$ is phenyl (-phenyl) substituted with two groups. The first substituent at what is usually identified as position "5-" can be either:

-$R_{N\text{-}aryl}$ or

-$R_{N\text{-}heteroaryl}$. The second substituent at what is usually identified as postion "3-" can be either:

-CO-$NR_{N-2}R_{N-3}$ or

-CO-R_{N-4}. R_{Nalpha} and R_{Nbeta} include both the non-cyclic amides,-CO-$NR_{N-2}R_{N-3}$ and the cyclic amides-CO-R_{N-4} where R_{N-2}, R_{N-3} and R_{N-4} are as defined in the claims. The process starts with the trisubstituted phenyl compound (XLVIII) where R_{N-d} is -Cl, -Br, -I or -O-triflate. Treatment with an aryl or heteroaryl boronic acid or heteroaryl or aryl boronic acid ester such as (aryl or heteroaryl)-$B(OH)_2$ or (aryl or heteroaryl)-$B(OR^a)(OR^b)$ (where R^a and R^b are lower alkyl, ie. C_1-C_6, or taken together, R^a and R^b are lower alkylene, ie. C_2-C_{12}) in the presence of a metal catalyst with or without a base in an inert solvent yields (XLIX). Metal catalysts in these transformations include, but are not limited to, salts or phosphine complexes of Cu, Pd, or Ni (eg. $Cu(OAc)_2$, $PdCl_2(PPh_3)_2$, $NiCl_2(PPh_3)_2$). Bases may include, but are not limited to, alkaline earth metal carbonates, alkaline earth

metal bicarbonates, alkaline earth metal hydroxides, alkali metal carbonates, alkali metal bicarbonates, alkali metal hydroxides, alkali metal hydrides (preferably sodium hydride), alkali metal alkoxides (preferably sodium methoxide or sodium ethoxide), alkaline earth metal hydrides, alkali metal dialkylamides (preferably lithium diisopropylamide), alkali metal bis(trialkylsilyl)amides (preferably sodium bis(trimethylsilyl)amide), trialkyl amines (preferably diisopropylethylamine or triethylamine) or aromatic amines (preferably pyridine). Inert solvents may include, but are not limited to, acetonitrile, dialkyl ethers (preferably diethyl ether), cyclic ethers (preferably tetrahydrofuran or 1,4-dioxane), N,N-dialkylacetamides (preferably dimethylacetamide), N,N-dialkylformamides (preferably dimethylformamide), dialkylsulfoxides (preferably dimethylsulfoxide), aromatic hydrocarbons (preferably benzene or toluene) or haloalkanes (preferably methylene chloride). Preferred reaction temperatures range from room temperature up to the boiling point of the solvent employed. The reactions may be run in conventional glassware or in one of many commercially available parallel synthesizer units. Non-commercially available boronic acids or boronic acid esters may be obtained from the corresponding optionally substituted aryl halide as described in *Tetrahedron*, 50, 979-988 (1994). Intermediate (XLIX) is then hydrolyzed using alkali metal hydroxide, for example lithium, sodium or potassium hydroxide, followed by acidification, to give aryl or heteroaryl coupled acids (IX-L). Alternatively, as described in *Tetrahedron*, 50, 979-988 (1994), one may convert the $R_{N\text{-}d}$ to the corresponding boronic acid or boronic acid ester $(OH)_2B$- or $(OR^a)(OR^b)B$- and obtain the same products set forth above by treating with a suitable aryl or heteroaryl halide or triflate.

CHART N discloses a process to prepare amide forming agents (IX - LII) where the R_N substituent is $R_{N\text{-}1}$-X_N- where the linker, -X_N- is -CO-, where $R_{N\text{-}1}$ is $R_{N\text{-}aryl}$ and where $R_{N\text{-}aryl}$ is phenyl (-phenyl) substituted with two groups. The first substitutent at what is usually identified as postion "5-" is -C≡C-R. The second substituent at what is usually identified as postion "3-" can be either -CO-$NR_{N\text{-}2}R_{N\text{-}3}$ or -CO-$R_{N\text{-}4}$. The halo ester (XXI) is treated with a mixture of $PdCl_2(Pphenyl_3)_2$ and trimethylsilyl acetylene, using methods known to those skilled in the art, to give

acetylene ester (LI). Acetylene ester (LI) is then hydrolyzed using alkali metal hydroxide, followed by acidification, to give acetylene acid (IX - LII).

CHARTs O and O' disclose processes to prepare amide forming agents (IX - LX) and (IX - LXIII) with an extended methylene group where the R_N substituent is R_{N-1}-X_N- where the linker, -X_N- is -CO-, where R_{N-1} is $R_{N\text{-}aryl}$ and where $R_{N\text{-}aryl}$ is phenyl (-phenyl) substituted with two groups. The substituent at what is usually identified as postion "3-" can be either -CO-$NR_{N-2}R_{N-3}$ or -CO-R_{N-4}. In the process of CHART O, the substituent at the 5-position is -CH_2CO-NH_2 and in the process of CHART O', the substituent at the 5-position is - $CH_2C{\equiv}N$. The starting diester acid (LIII) is reduced with borane in solvents such as THF to give the corresponding diester alcohol (LIV). The diester alcohol (LIV) is converted to the corresponding diester bromo compound (LV) using a brominating agent such as PBr_3, CBr_4, or other halogenating agent such as are known to those skilled in the art. The bromine of the diester bromo compound (LV) is then displaced with cyanide to give the corresponding nitrile (LVI). In CHART O', the nitrile (LVI) is then hydrolyzed to the corresponding cyano ester (LXI). The cyano ester (LXI) is then coupled with H-$NR_{N\alpha}R_{N\beta}$ (AMINE), as previously described using methods known to those skilled in the art to give the corresponding cyano amide (LXII). The cyano amide (LXII) is then hydrolyzed to the corresponding cyano acid (IX-LXIII) which is in turn coupled with amine (VIII) to give the substituted amine (X). When the substitutent on the extended methyl group is -CO-NH_2, the process of CHART O is used. There the nitrile (LVI) is converted to the corresponding diester amine (LVII) by methods known to those skilled in the art. The next steps are the same as for CHART O' where the diester amide (LVII) is hydrolyzed to the corresponding ester amine (LVIII) which is then converted to the corresponding diamide ester (LIX) which is hydrolyzed to the corresponding diamide acid (IX - LX). The diamide acid (IX - XL) is then coupled with the appropriate amine (VIII) to produce the desired substituted amide (X).

CHART P discloses a process to prepare amide forming agents (IX - LXVII) with an extended hydroxymethylene group where the R_N substituent is R_{N-1}-X_N- where the linker, -X_N- is -CO-, where the R_{N-1} is $R_{N\text{-}aryl}$, where $R_{N\text{-}aryl}$ is phenyl (-phenyl) substituted with two groups. The substituent at what is usually identified as position "3-" can be either-CO-$NR_{N-2}R_{N-3}$ or -CO-R_{N-4}. The process begins with a

halo amide (LXIV), preferably iodo, which is converted to the corresponding aldehyde (LXV) and then to the corresponding alcohol (LXVI) by the method described in *Synth. Commun.* 28, 4270 (1998), optionally with variations known to those skilled in the art. Hydrolysis of the alcohol (LXVI) using alkali hydroxides, followed by acidification, gives the desired hydroxy acid (IX - LXVII). The hydroxy acid (IX - LXVII) is then coupled with the appropriate amine (VIII) to give the desired substituted amine (X).

CHART Q discloses a process to prepare amide forming agents (IX - LXXII) with an alkyl group or a halogen atom or an amino group at the 5-position where the R_N substituent is R_{N-1}-X_N- where the linker, -X_N- is -CO-, where the R_{N-1} is $R_{N\text{-}aryl}$, where $R_{N\text{-}aryl}$ is phenyl (-phenyl) substituted with two groups. The substituent at what is usually identified as position "3-" can be either -CO-$NR_{N-2}R_{N-3}$ or -CO-R_{N-4}. The process begins with an appropriately 5-substituted diacid (LXVIII) which is esterified by methods known to those skilled in the art to give the corresponding diester (LXIX). The diester (LXIX) is then hydrolyzed using alkali hydroxides, followed by acidification, to give the corresponding monoacid (LXX). Alternatively, the monoacid (LXX) can be produced directly from the diacid (LXVIII) by known methods. The monoacid (LXX) is then coupled with H-$NR_{Nalpha}R_{Nbeta}$ (AMINE) to give the corresponding amide ester (LXXI). The amide ester (LXXI) is then hydrolyzed using alkali hydroxides, followed by acidification, to give the corresponding acid amide (IX - LXXII).

CHART R discloses a general process to prepare the amide forming agents (IX - LXXVII) which, for example, have an alkyl group at what is known as the 5-position and a ketone at the 3-position. These acids (IX- LXXVII) are formed by starting with the acid (LXXIII) which is converted to the corresponding acid halide (LXXIV) using methods known to those skilled in the art. The acid halide (LXXIV) is preferrably the acid chloride. The acid halide (LXXIV) in the presence of copper (I) bromide and tetrahydrofuran and at temperatures ranging from -78 degrees C to 0 degreesC is treated with a Grignard reagent (aryl-Mg-X, or alkyl-Mg-X, where X is -Cl or -Br) to give the ketone esters (LXXVI and LXXVI'). Many Grignard reagents are available for purchase; others are prepared by methods known to those skilled in the art. An alternative method for preparing the ketone esters (LXXVI, LXXVI') is to prepare the Weinreb amide (LXXV), either from the acid (LXXIII) directly or by

way of acid halide (LXXIV) followed by treatment with N,O-dimethylhydroxylamine to give Weinreb amide (LXXV) and then treating the Weinreb amide (LXXV) with a Grignard reagent, by methods known to those skilled in the art. The ketone esters (LXXVI, LXXVI') are then hydrolyzed using alkali hydroxides, followed by acidification, to give the ketone acids (LXXVII, LXXVII').

CHART S discloses various methods to modify the R_N portion of the substituted amine (X) where the phenyl ring of the R_N moiety is further substituted in the 3-position with various groups such as aryl and heteroaryl. These compounds are prepared by methods known to those skilled in the art. The process chemistry of each reaction is known to those skilled in the art. What is novel here is the order of each process step and/or the specific reactants used. One skilled in the art knowing the desired product would know at least one method to prepare the desired product by using known starting materials. Hence, the following discussion is not necessary but is set forth to further aid those interested in preparing the compounds of the invention.

CHART S sets forth a general method used in the present invention to prepare the substitued amines (X) where $R_N = R_{N\text{-aryl}}\text{-}R_{N\text{-aryl}}\text{-}X_N$ or $R_{N\text{-heteroaryl}}\text{-}R_{N\text{-aryl}}\text{-}X_N$. Treatment of the (S,R)-amine (VIII) with amide forming agents (IX) according to the methods set forth above where for CHART S, $R_{N\text{-}1}$ is $Br\text{-}R_{N\text{-aryl}}$ generates the corresponding (S,R)-substituted amine (X) where R_N is $Br\text{-}N_{R\text{-aryl}}\text{-}X_N$. Further treatment with an aryl boronic acid or aryl boronic acid ester such as (aryl or heteroaryl)-$B(OH)_2$ or (aryl or heteroaryl)-$B(OR^a)(OR^b)$ (where R^a and R^b are lower alkyl, ie. C_1-C_6, or taken together, R^a and R^b are lower alkylene, ie. C_2-C_{12}) in the presence of a metal catalyst with or without a base in an inert solvent yields the (S,R)-substituted amine (X) where R_N is $N_{R\text{-aryl}}\text{-}N_{R\text{-aryl}}\text{-}X_N$ or $R_{N\text{-heteroaryl}}\text{-}R_{N\text{-aryl}}\text{-}X_N$. Metal catalysts in these transformations include, but are not limited to, salts or phosphine complexes of Cu, Pd, or Ni (eg. $Cu(OAc)_2$, $PdCl_2(PPh_3)_2$, $NiCl_2(PPh_3)_2$). Bases may include, but are not limited to, alkaline earth metal carbonates, alkaline earth metal bicarbonates, alkaline earth metal hydroxides, alkali metal carbonates, alkali metal bicarbonates, alkali metal hydroxides, alkali metal hydrides (preferably sodium hydride), alkali metal alkoxides (preferably sodium methoxide or sodium ethoxide), alkaline earth metal hydrides,

alkali metal dialkylamides (preferably lithium diisopropylamide), alkali metal bis(trialkylsilyl)amides (preferably sodium bis(trimethylsilyl)amide), trialkyl amines (preferably diisopropylethylamine or triethylamine) or aromatic amines (preferably pyridine). Inert solvents may include, but are not limited to, acetonitrile, dialkyl ethers (preferably diethyl ether), cyclic ethers (preferably tetrahydrofuran or 1,4-dioxane), N,N-dialkylacetamides (preferably dimethylacetamide), N,N-dialkylformamides (preferably dimethylformamide), dialkylsulfoxides (preferably dimethylsulfoxide), aromatic hydrocarbons (preferably benzene or toluene) or haloaalkanes (preferably methylene chloride). Preferred reaction temperatures range from room temperature up to the boiling point of the solvent employed. The reactions may be run in conventional glassware or in one of many commercially available parallel synthesizer units. Non-commercially available boronic acids or boronic acid esters may be obtained from the corresponding optionally substituted aryl halide as described in *Tetrahedron*, 50, 979-988 (1994).

Where the above chemistry is incompatible with other functionality present in the (S,R)-substituted amine (X) where R_N is Br-$N_{R\text{-}aryl}$-X_N, then one skilled in the art will readily understand that an alternative sequence of coupling steps is required. For example, treatment of an appropriately substituted amide forming agent (IX) $R_{N\text{-}1}$-X_N-OH where $R_{N\text{-}1}$ is Br-$R_{N\text{-}aryl}$ with a boronic acid or boronic acid ester under the conditions described above will afford the appropriately substituted amide forming agent (IX) where $R_{N\text{-}1}$ is $N_{R\text{-}aryl}$-$N_{R\text{-}aryl}$ or $R_{N\text{-}heteroaryl}$-$R_{N\text{-}aryl}$. When the amide forming agent (IX) where $R_{N\text{-}1}$ is $N_{R\text{-}aryl}$-$N_{R\text{-}aryl}$ or $R_{N\text{-}heteroaryl}$-$R_{N\text{-}aryl}$ is treated with the (S,R)-amine (VIII), one then obtains the same substituted amines (X) set forth in CHART S.

The above examples for CHART S are not meant to limit the scope of the chemistry. In addition to bromine, a suitable group may include iodine or triflate. Alternatively, as described in *Tetrahedron*, 50, 979-988 (1994), one may convert the Br-$R_{N\text{-}aryl}$ to the corresponding boronic acid or boronic acid ester $(OH)_2B$-$R_{N\text{-}aryl}$ or $(OR^a)(OR^b)B$-$R_{N\text{-}aryl}$ and obtain the same products set forth above by treating with a suitable aryl or heteroaryl halide or triflate. Additionally, each -$R_{N\text{-}aryl}$ and -$R_{N\text{-}heteroaryl}$ are interchangeable at each occurrence in the chemistry described above.

CHART T discloses a process to prepare amide forming agents (IX - LXXIX) where the R_N substituent is R_{N-1}-X_N-, where the linker, -X_N- is -CO-, where R_{N-1} is $R_{N\text{-}aryl}$ and where $R_{N\text{-}aryl}$ is phenyl substituted with -CO-$NR_{Nalpha}R_{Nbeta}$ (AMINE) and with an amide of the formulas:

-$(CH_2)_{0\text{-}4}$-N(-H and $R_{N\text{-}5}$)-CO-$R_{N\text{-}2}$

-$(CH_2)_{0\text{-}4}$-N(-H or $R_{N\text{-}5}$)-SO_2-$R_{N\text{-}2}$.

The process begins with the amide aniline (XXXI) which is reacted with the corresponding acid halide or sulfonyl halide, or acid anhydride or sulfonyl anhydride to produce the corresponding amide ester (LXXVIII). Suitable solvents include THF or dichloromethane at temperatures ranging from -78 degrees to 100 degrees C. The amide ester (LXXVIII) is then hydrolyzed to the corresponding amide acid (IX - LXXIX) by methods known to those skilled in the art. When the amide forming agent (IX - LXXIX) is reacted with the appropriate amine (VIII), the desired substituted amine (X) is obtained.

CHART U discloses a general method for preparing various C-terminal amines (VI) as represented by the preparation of C-terminal amine (LXXXIV). Methods to prepare amines of this type are well understood using methods known to those skilled in the art, or one may consult the references: 1) *JACS*, 1970, *92*, 3700, and 2) US patent 4,351,842.

CHART V further discloses general methods for preparing various C-terminal amines (VI) as represented by the preparation of C-terminal amines (LXXXIX). Multiple examples of the heterocyclic carboxylic acids or acid chlorides are commercially available. Optionally, the carboxylic acid (LXXXV) may be converted to the acid chloride (LXXXVI) with reagents such as, but not limited to, thionyl chloride. Displacement with ammonia generates the common intermediate amides (LXXXVII) which are readily reduced to amines (VI - LXXXIX) using a variety of methods detailed previously. Alternatively, other heteroaryls are commecially available as the methyl halide (LXXXVIII) which are treated with ammonia to yield the title C-terminal amines (VI - LXXXVIII).

CHART W discloses general methods for preparing thiazolyl containing C-terminal amines as represented by the preparation of C-terminal amines (LXXXXI). The synthesis of the thiazoles is outlined in CHART W; these procedures are amply taught in the literature and are modified from the procedures outlined in: Mashraqui, SH; Keehn, PM. *J. Am. Chem. Soc.* 1982,

104, 4461-4465. The synthesis of substituted 5-aminomethylthiazoles (XCI) was achieved from 5-hydroxymethylthiazole (XC) by the procedure described in: Alterman et al. *J. Med. Chem.* 1998, *41*, 3782-3792. All other thiazole analogs were transformed to the hydroxymethyl derivative using CHART W, and converted to the aminomethyl derivative by the Alterman procedure without notable changes.

CHART X discloses general methods for preparing isoxazolyl containing C-terminal amines as represented by the preparation of C-terminal amines (XCII). The synthesis of isoxazole derivatives was modified from the procedure in: Felman, SW et al. *J. Med. Chem.* 1992, *35*, 1183-1190 and is readily understood by those skilled in the art making non-notable changes to achieve the title compounds. The substituted hydroxylamine precursors were synthesized using the procedure taught by Bousquet, EW. *Org. Synth. Coll. Vol II*, 313-315. Commercially available propargylamine may be protected using any number of methods known in the art (see: Greene, TW; Wuts, PGM. *Protective Groups in Organic Synthesis*, 3rd Ed. New York: John Wiley, 1999. Chapter 7.), prefered is a BOC protecting group. Substituted propargyl amines may be obtained by a number of methods commonly known in the art.

CHART Y discloses a general route to prepare hydroxyethylamines where one carbon atom of the peptide backbone, along with R_2 and R_3 form a ring. It is understood that the present invention also allows for a heteroatom to be incorporated into the ring. In summary, the synthesis of compounds where R_2 and R_3 may form a ring proceeds from a suitably protected amino acid aldehyde and cycloalkyllithium species, both of which are commercially available or where known procedures for making such compounds are known in the art. The general procedure involved is also precedent in the literature, for example, see Klumpp, *et al., J. Am. Chem. Soc.*, 1979, *101*, 7065, and it is intended that making non-critical variations, one may obtain the title compounds provided for by CHART Y. Treatment of a suitably protected amino acid aldehyde and cycloalkyllithium species affords alcohol (XCIII). These reactions are carried out in an inert solvent such as, for example, tetrahydrofuran or diethyl ether. Optimally the reactions are conducted at low temperatures, for example below 0 degrees C. Carbonylation via the Klumpp procedure yields the acid (XCIV) which when exposed to Curtius, or related

procedures well known to those skilled in the art, generates the primary amine (XCV). The primary amines (XCV) may be capped C-terminally via the conditions set forth in CHART C & D followed by nitrogen deprotection and capping N-terminally via the conditions set forth in CHART A.

The compounds of the invention may contain geometric or optical isomers as well as tautomers. Thus, the invention includes all tautomers and pure geometric isomers, such as the *E* and *Z* geometric isomers, as well as mixtures thereof. Futhermore, the invention includes pure enantiomers and diasteriomers as well as mixtures thereof, including racemic mixtures. The individual geometric isomers, enantiomers, or diasteriomers may be prepared or isolated by methods known in the art.

Compounds of the invention with the stereochemistry designated in formula X may be included in mixtures, including racemic mixtures, with other enantiomers, diasteriomers, geometric isomers or tautomers. Compounds of the invention with the stereochemistry designated in formula X are typically present in these mixtures in excess of 50 percent. Preferably, compounds of the invention with the stereochemistry designated in formula X are present in these mixtures in excess of 80 percent. Most preferably, compounds of the invention with the stereochemistry designated in formula X are present in these mixtures in excess of 90 percent.

The (S,R)-substituted amines (X) and the substituted amine with R_N cyclized (X') are amines and as such form salts when reacted with acids. Pharmaceutically acceptable salts are preferred over the corresponding (S,R)-substituted amines (X) and and the substituted amines with R_N cyclized (X') since they produce compounds which are more water soluble, stable and/or more crystalline. Pharmaceutically acceptable salts are any salt which retains the activity of the parent compound and does not impart any deleterious or undesirable effect on the subject to whom it is administered and in the context in which it is administered. Pharmaceutically acceptable salts include salts of both inorganic and organic acids. The preferred pharmaceutically acceptable salts include salts of the following acids acetic, aspartic, benzenesulfonic, benzoic, bicarbonic, bisulfuric, bitartaric, butyric, calcium edetate, camsylic, carbonic, chlorobenzoic, citric, edetic, edisylic, estolic, esyl, esylic, formic, fumaric, gluceptic, gluconic, glutamic, glycollylarsanilic, hexamic, hexylresorcinoic, hydrabamic, hydrobromic, hydrochloric, hydroiodic, hydroxynaphthoic, isethionic, lactic, lactobionic, maleic, malic, malonic, mandelic,

methanesulfonic, methylnitric, methylsulfuric, mucic, muconic, napsylic, nitric, oxalic, p-nitromethanesulfonic, pamoic, pantothenic, phosphoric, monohydrogen phosphoric, dihydrogen phosphoric, phthalic, polygalactouronic, propionic, salicylic, stearic, succinic, succinic, sulfamic, sulfanilic, sulfonic, sulfuric, tannic, tartaric, teoclic and toluenesulfonic. For other acceptable salts, see *Int. J. Pharm.*, 33, 201-217 (1986) and *J.Pharm.Sci.*, 66(1), 1, (1977).

The present invention provides compounds, compositions, kits, and methods for inhibiting beta-secretase enzyme activity and A beta peptide production. Inhibition of beta-secretase enzyme activity halts or reduces the production of A beta from APP and reduces or eliminates the formation of beta-amyloid deposits in the brain.

Methods of the Invention

The compounds of the invention, and pharmaceutically acceptable salts thereof, are useful for treating humans or animals suffering from a condition characterized by a pathological form of beta-amyloid peptide, such as beta-amyloid plaques, and for helping to prevent or delay the onset of such a condition. For example, the compounds are useful for treating Alzheimer's disease, for helping prevent or delay the onset of Alzheimer's disease, for treating patients with MCI (mild cognitive impairment) and preventing or delaying the onset of Alzheimer's disease in those who would progress from MCI to AD, for treating Down's syndrome, for treating humans who have Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, for treating cerebral amyloid angiopathy and preventing its potential consequences, i.e. single and recurrent lobal hemorrhages, for treating other degenerative dementias, including dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, and diffuse Lewy body type Alzheimer's disease. The compounds and compositions of the invention are particularly useful for treating or preventing Alzheimer's disease. When treating or preventing these diseases, the compounds of the invention can either be used individually or in combination, as is best for the patient.

As used herein, the term "treating" means that the compounds of the invention can be used in humans with at least a tentative diagnosis of disease. The

compounds of the invention will delay or slow the progression of the disease thereby giving the individual a more useful life span.

The term "preventing" means that the compounds of the present invention are useful when administered to a patient who has not been diagnosed as possibly having the disease at the time of administration, but who would normally be expected to develop the disease or be at increased risk for the disease. The compounds of the invention will slow the development of disease symptoms, delay the onset of the disease, or prevent the individual from developing the disease at all. Preventing also includes administration of the compounds of the invention to those individuals thought to be predisposed to the disease due to age, familial history, genetic or chromosomal abnormalities, and/or due to the presence of one or more biological markers for the disease, such as a known genetic mutation of APP or APP cleavage products in brain tissues or fluids.

In treating or preventing the above diseases; the compounds of the invention are administered in a therapeutically effective amount. The therapeutically effective amount will vary depending on the particular compound used and the route of administration, as is known to those skilled in the art.

In treating a patient displaying any of the diagnosed above conditions a physician may administer a compound of the invention immediately and continue administration indefinitely, as needed. In treating patients who are not diagnosed as having Alzheimer's disease, but who are believed to be at substantial risk for Alzheimer's disease, the physician should preferably start treatment when the patient first experiences early pre-Alzheimer's symptoms such as, memory or cognitive problems associated with aging. In addition, there are some patients who may be determined to be at risk for developing Alzheimer's through the detection of a genetic marker such as APOE4 or other biological indicators that are predictive for Alzheimer's disease. In these situations, even though the patient does not have symptoms of the disease, administration of the compounds of the invention may be started before symptoms appear, and treatment may be continued indefinitely to prevent or delay the outset of the disease.

Dosage forms and amounts

The compounds of the invention can be administered orally, parenternally, (IV, IM, depo-IM, SQ, and depo SQ), sublingually, intranasally (inhalation),

intrathecally, topically, or rectally. Dosage forms known to those of skill in the art are suitable for delivery of the compounds of the invention.

Compositions are provided that contain therapeutically effective amounts of the compounds of the invention. The compounds are preferably formulated into suitable pharmaceutical preparations such as tablets, capsules, or elixirs for oral administration or in sterile solutions or suspensions for parenternal administration. Typically the compounds described above are formulated into pharmaceutical compositions using techniques and procedures well known in the art.

About 1 to 500 mg of a compound or mixture of compounds of the invention or a physiologically acceptable salt or ester is compounded with a physiologically acceptable vehicle, carrier, excipient, binder, preservative, stabilizer, flavor, etc., in a unit dosage form as called for by accepted pharmaceutical practice. The amount of active substance in those compositions or preparations is such that a suitable dosage in the range indicated is obtained. The compositions are preferably formulated in a unit dosage form, each dosage containing from about 2 to about 100 mg, more preferably about 10 to about 30 mg of the active ingredient. The term "unit dosage from" refers to physically discrete units suitable as unitary dosages for human subjects and other mammals, each unit containing a predetermined quantity of active material calculated to produce the desired therapeutic effect, in association with a suitable pharmaceutical excipient.

To prepare compositions, one or more compounds of the invention are mixed with a suitable pharmaceutically acceptable carrier. Upon mixing or addition of the compound(s), the resulting mixture may be a solution, suspension, emulsion, or the like. Liposomal suspensions may also be suitable as pharmaceutically acceptable carriers. These may be prepared according to methods known to those skilled in the art. The form of the resulting mixture depends upon a number of factors, including the intended mode of administration and the solubility of the compound in the selected carrier or vehicle. The effective concentration is sufficient for lessening or ameliorating at least one symptom of the disease, disorder, or condition treated and may be empirically determined.

Pharmaceutical carriers or vehicles suitable for administration of the compounds provided herein include any such carriers known to those skilled in the art to be suitable for the particular mode of administration. In addition, the active materials can also be mixed with other active materials that do not impair the desired

action, or with materials that supplement the desired action, or have another action. The compounds may be formulated as the sole pharmaceutically active ingredient in the composition or may be combined with other active ingredients.

Where the compounds exhibit insufficient solubility, methods for solubilizing may be used. Such methods are known and include, but are not limited to, using cosolvents such as dimethylsulfoxide (DMSO), using surfactants such as Tween®, and dissolution in aqueous sodium bicarbonate. Derivatives of the compounds, such as salts or prodrugs may also be used in formulating effective pharmaceutical compositions.

The concentration of the compound is effective for delivery of an amount upon administration that lessens or ameliorates at least one symptom of the disorder for which the compound is administered. Typically, the compositions are formulated for single dosage administration.

The compounds of the invention may be prepared with carriers that protect them against rapid elimination from the body, such as time-release formulations or coatings. Such carriers include controlled release formulations, such as, but not limited to, microencapsulated delivery systems. The active compound is included in the pharmaceutically acceptable carrier in an amount sufficient to exert a therapeutically useful effect in the absence of undesirable side effects on the patient treated. The therapeutically effective concentration may be determined empirically by testing the compounds in known *in vitro* and *in vivo* model systems for the treated disorder.

The compounds and compositions of the invention can be enclosed in multiple or single dose containers. The enclosed compounds and compositions can be provided in kits, for example, including component parts that can be assembled for use. For example, a compound inhibitor in lyophilized form and a suitable diluent may be provided as separated components for combination prior to use. A kit may include a compound inhibitor and a second therapeutic agent for co-administration. The inhibitor and second therapeutic agent may be provided as separate component parts. A kit may include a plurality of containers, each container holding one or more unit dose of the compound of the invention. The containers are preferably adapted for the desired mode of administration, including, but not limited to tablets, gel capsules, sustained-release capsules, and the like for oral administration; depot products, pre-filled syringes, ampules, vials, and the like

for parenternal administration; and patches, medipads, creams, and the like for topical administration.

The concentration of active compound in the drug composition will depend on absorption, inactivation, and excretion rates of the active compound, the dosage schedule, and amount administered as well as other factors known to those of skill in the art.

The active ingredient may be administered at once, or may be divided into a number of smaller doses to be administered at intervals of time. It is understood that the precise dosage and duration of treatment is a function of the disease being treated and may be determined empirically using known testing protocols or by extrapolation from *in vivo* or *in vitro* test data. It is to be noted that concentrations and dosage values may also vary with the severity of the condition to be alleviated. It is to be further understood that for any particular subject, specific dosage regimens should be adjusted over time according to the individual need and the professional judgment of the person administering or supervising the administration of the compositions, and that the concentration ranges set forth herein are exemplary only and are not intended to limit the scope or practice of the claimed compositions.

If oral administration is desired, the compound should be provided in a composition that protects it from the acidic environment of the stomach. For example, the composition can be formulated in an enteric coating that maintains its integrity in the stomach and releases the active compound in the intestine. The composition may also be formulated in combination with an antacid or other such ingredient.

Oral compositions will generally include an inert diluent or an edible carrier and may be compressed into tablets or enclosed in gelatin capsules. For the purpose of oral therapeutic administration, the active compound or compounds can be incorporated with excipients and used in the form of tablets, capsules, or troches. Pharmaceutically compatible binding agents and adjuvant materials can be included as part of the composition.

The tablets, pills, capsules, troches, and the like can contain any of the following ingredients or compounds of a similar nature: a binder such as, but not limited to, gum tragacanth, acacia, corn starch, or gelatin; an excipient such as microcrystalline cellulose, starch, or lactose; a disintegrating agent such as, but not limited to, alginic acid and corn starch; a lubricant such as, but not limited to,

magnesium stearate; a gildant, such as, but not limited to, colloidal silicon dioxide; a sweetening agent such as sucrose or saccharin; and a flavoring agent such as peppermint, methyl salicylate, or fruit flavoring.

When the dosage unit form is a capsule, it can contain, in addition to material of the above type, a liquid carrier such as a fatty oil. In addition, dosage unit forms can contain various other materials, which modify the physical form of the dosage unit, for example, coatings of sugar and other enteric agents. The compounds can also be administered as a component of an elixir, suspension, syrup, wafer, chewing gum or the like. A syrup may contain, in addition to the active compounds, sucrose as a sweetening agent and certain preservatives, dyes and colorings, and flavors.

The active materials can also be mixed with other active materials that do not impair the desired action, or with materials that supplement the desired action.

Solutions or suspensions used for parenternal, intradermal, subcutaneous, or topical application can include any of the following components: a sterile diluent such as water for injection, saline solution, fixed oil, a naturally occurring vegetable oil such as sesame oil, coconut oil, peanut oil, cottonseed oil, and the like, or a synthetic fatty vehicle such as ethyl oleate, and the like, polyethylene glycol, glycerine, propylene glycol, or other synthetic solvent; antimicrobial agents such as benzyl alcohol and methyl parabens; antioxidants such as ascorbic acid and sodium bisulfite; chelating agents such as ethylenediaminetetraacetic acid (EDTA); buffers such as acetates, citrates, and phosphates; and agents for the adjustment of tonicity such as sodium chloride and dextrose. Parenternal preparations can be enclosed in ampoules, disposable syringes, or multiple dose vials made of glass, plastic, or other suitable material. Buffers, preservatives, antioxidants, and the like can be incorporated as required.

Where administered intravenously, suitable carriers include physiological saline, phosphate buffered saline (PBS), and solutions containing thickening and solubilizing agents such as glucose, polyethylene glycol, polypropyleneglycol, and mixtures thereof. Liposomal suspensions including tissue-targeted liposomes may also be suitable as pharmaceutically acceptable carriers. These may be prepared according to methods known for example, as described in U.S. Patent No. 4,522,811.

The active compounds may be prepared with carriers that protect the compound against rapid elimination from the body, such as time-release

formulations or coatings. Such carriers include controlled release formulations, such as, but not limited to, implants and microencapsulated delivery systems, and biodegradable, biocompatible polymers such as collagen, ethylene vinyl acetate, polyanhydrides, polyglycolic acid, polyorthoesters, polylactic acid, and the like. Methods for preparation of such formulations are known to those skilled in the art.

The compounds of the invention can be administered orally, parenternally (IV, IM, depo-IM, SQ, and depo-SQ), sublingually, intranasally (inhalation), intrathecally, topically, or rectally. Dosage forms known to those skilled in the art are suitable for delivery of the compounds of the invention.

Compounds of the invention may be administered enterally or parenterally. When administered orally, compounds of the invention can be administered in usual dosage forms for oral administration as is well known to those skilled in the art. These dosage forms include the usual solid unit dosage forms of tablets and capsules as well as liquid dosage forms such as solutions, suspensions, and elixirs. When the solid dosage forms are used, it is preferred that they be of the sustained release type so that the compounds of the invention need to be administered only once or twice daily.

The oral dosage forms are administered to the patient 1, 2, 3, or 4 times daily. It is preferred that the compounds of the invention be administered either three or fewer times, more preferably once or twice daily. Hence, it is preferred that the compounds of the invention be administered in oral dosage form. It is preferred that whatever oral dosage form is used, that it be designed so as to protect the compounds of the invention from the acidic environment of the stomach. Enteric coated tablets are well known to those skilled in the art. In addition, capsules filled with small spheres each coated to protect from the acidic stomach, are also well known to those skilled in the art.

When administered orally, an administered amount therapeutically effective to inhibit beta-secretase activity, to inhibit A beta production, to inhibit A beta deposition, or to treat or prevent AD is from about 0.1 mg/day to about 1,000 mg/day. It is preferred that the oral dosage is from about 1 mg/day to about 100 mg/day. It is more preferred that the oral dosage is from about 5 mg/day to about 50 mg/day. It is understood that while a patient may be started at one dose, that dose may be varied over time as the patient's condition changes.

Compounds of the invention may also be advantageously delivered in a nano crystal dispersion formulation. Preparation of such formulations is described, for example, in U.S. Patent 5,145,684. Nano crystalline dispersions of HIV protease inhibitors and their method of use are described in US 6,045,829. The nano crystalline formulations typically afford greater bioavailability of drug compounds.

The compounds of the invention can be administered parenterally, for example, by IV, IM, depo-IM, SC, or depo-SC. When administered parenterally, a therapeutically effective amount of about 0.5 to about 100 mg/day, preferably from about 5 to about 50 mg daily should be delivered. When a depot formulation is used for injection once a month or once every two weeks, the dose should be about 0.5 mg/day to about 50 mg/day, or a monthly dose of from about 15 mg to about 1,500 mg. In part because of the forgetfulness of the patients with Alzheimer's disease, it is preferred that the parenteral dosage form be a depo formulation.

The compounds of the invention can be administered sublingually. When given sublingually, the compounds of the invention should be given one to four times daily in the amounts described above for IM administration.

The compounds of the invention can be administered intranasally. When given by this route, the appropriate dosage forms are a nasal spray or dry powder, as is known to those skilled in the art. The dosage of the compounds of the invention for intranasal administration is the amount described above for IM administration.

The compounds of the invention can be administered intrathecally. When given by this route the appropriate dosage form can be a parenternal dosage form as is known to those skilled in the art. The dosage of the compounds of the invention for intrathecal administration is the amount described above for IM administration.

The compounds of the invention can be administered topically. When given by this route, the appropriate dosage form is a cream, ointment, or patch. Because of the amount of the compounds of the invention to be administered, the patch is preferred. When administered topically, the dosage is from about 0.5 mg/day to about 200 mg/day. Because the amount that can be delivered by a patch is limited, two or more patches may be used. The number and size of the patch is not important, what is important is that a therapeutically effective amount of the compounds of the invention be delivered as is known to those skilled in the art. The compounds of the invention can be administered rectally by suppository as is known

to those skilled in the art. When administered by suppository, the therapeutically effective amount is from about 0.5 mg to about 500 mg.

The compounds of the invention can be administered by implants as is known to those skilled in the art. When administering a compound of the invention by implant, the therapeutically effective amount is the amount described above for depot administration.

The invention here is the new compounds of the invention and new methods of using the compounds of the invention. Given a particular compound of the invention and a desired dosage form, one skilled in the art would know how to prepare and administer the appropriate dosage form.

The compounds of the invention are used in the same manner, by the same routes of administration, using the same pharmaceutical dosage forms, and at the same dosing schedule as described above, for preventing disease or treating patients with MCI (mild cognitive impairment) and preventing or delaying the onset of Alzheimer's disease in those who would progress from MCI to AD, for treating or preventing Down's syndrome, for treating humans who have Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, for treating cerebral amyloid angiopathy and preventing its potential consequences, i.e. single and recurrent lobar hemorrhages, for treating other degenerative dementias, including dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, and diffuse Lewy body type of Alzheimer's disease.

The compounds of the invention can be used in combination, with each other or with other therapeutic agents or approaches used to treat or prevent the conditions listed above. Such agents or approaches include: acetylcholine esterase inhibitors such as tacrine (tetrahydroaminoacridine, marketed as COGNEX®), donepezil hydrochloride, (marketed as Aricept® and rivastigmine (marketed as Exelon®); gamma-secretase inhibitors; anti-inflammatory agents such as cyclooxygenase II inhibitors; anti-oxidants such as Vitamin E and ginkolides; immunological approaches, such as, for example, immunization with A beta peptide or administration of anti-A beta peptide antibodies; statins; and direct or indirect neurotropic agents such as Cerebrolysin®, AIT-082 (Emilieu, 2000, *Arch. Neurol.* 57:454), and other neurotropic agents of the future.

It should be apparent to one skilled in the art that the exact dosage and frequency of administration will depend on the particular compounds of the invention administered, the particular condition being treated, the severity of the condition being treated, the age, weight, general physical condition of the particular patient, and other medication the individual may be taking as is well known to administering physicians who are skilled in this art.

Inhibition of APP Cleavage

The compounds of the invention inhibit cleavage of APP between Met595 and Asp596 numbered for the APP695 isoform, or a mutant thereof, or at a corresponding site of a different isoform, such as APP751 or APP770, or a mutant thereof (sometimes referred to as the "beta secretase site"). While not wishing to be bound by a particular theory, inhibition of beta-secretase activity is thought to inhibit production of beta amyloid peptide (A beta). Inhibitory activity is demonstrated in one of a variety of inhibition assays, whereby cleavage of an APP substrate in the presence of a beta-secretase enzyme is analyzed in the presence of the inhibitory compound, under conditions normally sufficient to result in cleavage at the beta-secretase cleavage site. Reduction of APP cleavage at the beta-secretase cleavage site compared with an untreated or inactive control is correlated with inhibitory activity. Assay systems that can be used to demonstrate efficacy of the compound inhibitors of the invention are known. Representative assay systems are described, for example, in U.S. Patents No. 5,942,400, 5,744,346, as well as in the Examples below.

The enzymatic activity of beta-secretase and the production of A beta can be analyzed *in vitro* or *in vivo,* using natural, mutated, and/or synthetic APP substrates, natural, mutated, and/or synthetic enzyme, and the test compound. The analysis may involve primary or secondary cells expressing native, mutant, and/or synthetic APP and enzyme, animal models expressing native APP and enzyme, or may utilize transgenic animal models expressing the substrate and enzyme. Detection of enzymatic activity can be by analysis of one or more of the cleavage products, for example, by immunoassay, flurometric or chromogenic assay, HPLC, or other means of detection. Inhibitory compounds are determined as those having the ability to decrease the amount of beta-secretase cleavage product produced in

comparison to a control, where beta-secretase mediated cleavage in the reaction system is observed and measured in the absence of inhibitory compounds.

Beta-secretase

Various forms of beta-secretase enzyme are known, and are available and useful for assay of enzyme activity and inhibition of enzyme activity. These include native, recombinant, and synthetic forms of the enzyme. Human beta-secretase is known as Beta Site APP Cleaving Enzyme (BACE), Asp2, and memapsin 2, and has been characterized, for example, in U.S. Patent No. 5,744,346 and published PCT patent applications WO98/22597, WO00/03819, WO01/23533, and WO00/17369, as well as in literature publications (Hussain et.al., 1999, *Mol.Cell.Neurosci.* 14:419-427; Vassar et.al., 1999, *Science* 286:735-741; Yan et.al., 1999, *Nature* 402:533-537; Sinha et.al., 1999, *Nature* 40:537-540; and Lin et.al., 2000, *PNAS USA* 97:1456-1460). Synthetic forms of the enzyme have also been described (WO98/22597 and WO00/17369). Beta-secretase can be extracted and purified from human brain tissue and can be produced in cells, for example mammalian cells expressing recombinant enzyme.

Useful inhibitory compounds are effective to inhibit 50% of beta-secretase enzymatic activity at a concentration of less than 50 micromolar, preferably at a concentration of 10 micromolar or less, more preferably 1 micromolar or less, and most preferably 10 nanomolar or less.

APP substrate

Assays that demonstrate inhibition of beta-secretase-mediated cleavage of APP can utilize any of the known forms of APP, including the 695 amino acid "normal" isotype described by Kang et.al., 1987, *Nature* 325:733-6, the 770 amino acid isotype described by Kitaguchi et. al., 1981, *Nature* 331:530-532, and variants such as the Swedish Mutation (KM670-1NL) (APP-SW), the London Mutation (V7176F), and others. See, for example, U.S. Patent No. 5,766,846 and also Hardy, 1992, *Nature Genet.* 1:233-234, for a review of known variant mutations. Additional useful substrates include the dibasic amino acid modification, APP-KK disclosed, for example, in WO 00/17369, fragments of APP, and synthetic peptides containing the beta-secretase cleavage site, wild type (WT) or mutated form, e.g., SW, as described, for example, in U.S. Patent No 5,942,400 and WO00/03819.

The APP substrate contains the beta-secretase cleavage site of APP (KM-DA or NL-DA) for example, a complete APP peptide or variant, an APP fragment, a recombinant or synthetic APP, or a fusion peptide. Preferably, the fusion peptide includes the beta-secretase cleavage site fused to a peptide having a moiety useful for enzymatic assay, for example, having isolation and/or detection properties. A useful moiety may be an antigenic epitope for antibody binding, a label or other detection moiety, a binding substrate, and the like.

Antibodies

Products characteristic of APP cleavage can be measured by immunoassay using various antibodies, as described, for example, in Pirttila et.al., 1999, *Neuro.Lett.* 249:21-4, and in U.S. Patent No. 5,612,486. Useful antibodies to detect A beta include, for example, the monoclonal antibody 6E10 (Senetek, St. Louis, MO) that specifically recognizes an epitope on amino acids 1-16 of the A beta peptide; antibodies 162 and 164 (New York State Institute for Basic Research, Staten Island, NY) that are specific for human A beta 1-40 and 1-42, respectively; and antibodies that recognize the junction region of beta-amyloid peptide, the site between residues 16 and 17, as described in U.S. Patent No. 5,593,846. Antibodies raised against a synthetic peptide of residues 591 to 596 of APP and SW192 antibody raised against 590-596 of the Swedish mutation are also useful in immunoassay of APP and its cleavage products, as described in U.S. Patent Nos. 5,604,102 and 5,721,130.

Assay Systems

Assays for determining APP cleavage at the beta-secretase cleavage site are well known in the art. Exemplary assays, are described, for example, in U.S. Patent Nos. 5,744,346 and 5,942,400, and described in the Examples below.

Cell free assays

Exemplary assays that can be used to demonstrate the inhibitory activity of the compounds of the invention are described, for example, in WO00/17369, WO 00/03819, and U.S. Patents No. 5,942,400 and 5,744,346. Such assays can be performed in cell-free incubations or in cellular incubations using cells expressing a beta-secretase and an APP substrate having a beta-secretase cleavage site.

An APP substrate containing the beat-secretase cleavage site of APP, for example, a complete APP or variant, an APP fragment, or a recombinant or synthetic APP substrate containing the amino acid sequence: KM-DA or NL-DA, is incubated in the presence of beta-secretase enzyme, a fragment thereof, or a synthetic or recombinant polypeptide variant having beta-secretase activity and effective to cleave the beta-secretase cleavage site of APP, under incubation conditions suitable for the cleavage activity of the enzyme. Suitable substrates optionally include derivatives that may be fusion proteins or peptides that contain the substrate peptide and a modification useful to facilitate the purification or detection of the peptide or its beta-secretase cleavage products. Useful modifications include the insertion of a known antigenic epitope for antibody binding; the linking of a label or detectable moiety, the linking of a binding substrate, and the like.

Suitable incubation conditions for a cell-free *in vitro* assay include, for example: approximately 200 nanomolar to 10 micromolar substrate, approximately 10 to 200 picomolar enzyme, and approximately 0.1 nanomolar to 10 micromolar inhibitor compound, in aqueous solution, at an approximate pH of 4 -7, at approximately 37 degrees C, for a time period of approximately 10 minutes to 3 hours. These incubation conditions are exemplary only, and can be varied as required for the particular assay components and/or desired measurement system. Optimization of the incubation conditions for the particular assay components should account for the specific beta-secretase enzyme used and its pH optimum, any additional enzymes and/or markers that might be used in the assay, and the like. Such optimization is routine and will not require undue experimentation.

One useful assay utilizes a fusion peptide having maltose binding protein (MBP) fused to the C-terminal 125 amino acids of APP-SW. The MBP portion is captured on an assay substrate by anti-MBP capture antibody. Incubation of the captured fusion protein in the presence of beta-secretase results in cleavage of the substrate at the beta-secretase cleavage site. Analysis of the cleavage activity can be, for example, by immunoassay of cleavage products. One such immunoassay detects a unique epitope exposed at the carboxy terminus of the cleaved fusion protein, for example, using the antibody SW192. This assay is described, for example, in U.S. Patent No 5,942,400.

Cellular assay

Numerous cell-based assays can be used to analyze beta-secretase activity and/or processing of APP to release A beta. Contact of an APP substrate with a beta-secretase enzyme within the cell and in the presence or absence of a compound inhibitor of the invention can be used to demonstrate beta-secretase inhibitory activity of the compound. Preferably, assay in the presence of a useful inhibitory compound provides at least about 30%, most preferably at least about 50% inhibition of the enzymatic activity, as compared with a non-inhibited control.

In one embodiment, cells that naturally express beta-secretase are used. Alternatively, cells are modified to express a recombinant beta-secretase or synthetic variant enzyme as discussed above. The APP substrate may be added to the culture medium and is preferably expressed in the cells. Cells that naturally express APP, variant or mutant forms of APP, or cells transformed to express an isoform of APP, mutant or variant APP, recombinant or synthetic APP, APP fragment, or synthetic APP peptide or fusion protein containing the beta-secretase APP cleavage site can be used, provided that the expressed APP is permitted to contact the enzyme and enzymatic cleavage activity can be analyzed.

Human cell lines that normally process A beta from APP provide a useful means to assay inhibitory activities of the compounds of the invention. Production and release of A beta and/or other cleavage products into the culture medium can be measured, for example by immunoassay, such as Western blot or enzyme-linked immunoassay (EIA) such as by ELISA.

Cells expressing an APP substrate and an active beta-secretase can be incubated in the presence of a compound inhibitor to demonstrate inhibition of enzymatic activity as compared with a control. Activity of beta-secretase can be measured by analysis of one or more cleavage products of the APP substrate. For example, inhibition of beta-secretase activity against the substrate APP would be expected to decrease release of specific beta-secretase induced APP cleavage products such as A beta.

Although both neural and non-neural cells process and release A beta, levels of endogenous beta-secretase activity are low and often difficult to detect by EIA. The use of cell types known to have enhanced beta-secretase activity, enhanced processing of APP to A beta, and/or enhanced production of A beta are therefore preferred. For example, transfection of cells with the Swedish Mutant form of APP

(APP-SW); with APP-KK; or with APP-SW-KK provides cells having enhanced beta-secretase activity and producing amounts of A beta that can be readily measured.

In such assays, for example, the cells expressing APP and beta-secretase are incubated in a culture medium under conditions suitable for beta-secretase enzymatic activity at its cleavage site on the APP substrate. On exposure of the cells to the compound inhibitor, the amount of A beta released into the medium and/or the amount of CTF99 fragments of APP in the cell lysates is reduced as compared with the control. The cleavage products of APP can be analyzed, for example, by immune reactions with specific antibodies, as discussed above.

Preferred cells for analysis of beta-secretase activity include primary human neuronal cells, primary transgenic animal neuronal cells where the transgene is APP, and other cells such as those of a stable 293 cell line expressing APP, for example, APP-SW.

In vivo assays: animal models

Various animal models can be used to analyze beta-secretase activity and /or processing of APP to release A beta, as described above. For example, transgenic animals expressing APP substrate and beta-secretase enzyme can be used to demonstrate inhibitory activity of the compounds of the invention. Certain transgenic animal models have been described, for example, in U.S. Patent Nos: 5,877,399; 5,612,486; 5,387,742; 5,720,936; 5,850,003; 5,877,015,, and 5,811,633, and in Ganes et.al., 1995, *Nature* 373:523. Preferred are animals that exhibit characteristics associated with the pathophysiology of AD. Administration of the compound inhibitors of the invention to the transgenic mice described herein provides an alternative method for demonstrating the inhibitory activity of the compounds. Administration of the compounds in a pharmaceutically effective carrier and via an administrative route that reaches the target tissue in an appropriate therapeutic amount is also preferred.

Inhibition of beta-secretase mediated cleavage of APP at the beta-secretase cleavage site and of A beta release can be analyzed in these animals by measure of cleavage fragments in the animal's body fluids such as cerebral fluid or tissues. Analysis of brain tissues for A beta deposits or plaques is preferred.

On contacting an APP substrate with a beta-secretase enzyme in the presence of an inhibitory compound of the invention and under conditions sufficient to permit enzymatic mediated cleavage of APP and/or release of A beta from the substrate, the compounds of the invention are effective to reduce beta-secretase-mediated cleavage of APP at the beta-secretase cleavage site and/or effective to reduce released amounts of A beta. Where such contacting is the administration of the inhibitory compounds of the invention to an animal model, for example, as described above, the compounds are effective to reduce A beta deposition in brain tissues of the animal, and to reduce the number and/or size of beta amyloid plaques. Where such administration is to a human subject, the compounds are effective to inhibit or slow the progression of disease characterized by enhanced amounts of A beta, to slow the progression of AD in the, and/or to prevent onset or development of AD in a patient at risk for the disease.

Unless defined otherwise, all scientific and technical terms used herein have the same meaning as commonly understood by one of skill in the art to which this invention belongs. All patents and publications referred to herein are hereby incorporated by reference for all purposes.

DEFINITIONS AND CONVENTIONS

The definitions and explanations below are for the terms as used throughout this entire document including both the specification and the claims.

I. CONVENTIONS FOR FORMULAS AND DEFINITIONS OF VARIABLES

The chemical formulas representing various compounds or molecular fragments in the specification and claims may contain variable substituents in addition to expressly defined structural features. These variable substituents are identified by a letter or a letter followed by a numerical subscript, for example, "Z_1" or "R_i" where "i" is an integer. These variable substituents are either monovalent or bivalent, that is, they represent a group attached to the formula by one or two chemical bonds. For example, a group Z_1 would represent a bivalent variable if attached to the formula CH_3-C(=Z_1)H. Groups R_i and R_j would represent monovalent variable substituents if attached to the formula CH_3-CH_2-C(R_i)(R_j)H_2. When

chemical formulas are drawn in a linear fashion, such as those above, variable substituents contained in parentheses are bonded to the atom immediately to the left of the variable substituent enclosed in parentheses. When two or more consecutive variable substituents are enclosed in parentheses, each of the consecutive variable substituents is bonded to the immediately preceding atom to the left which is not enclosed in parentheses. Thus, in the formula above, both R_i and R_j are bonded to the preceding carbon atom. Also, for any molecule with an established system of carbon atom numbering, such as steroids, these carbon atoms are designated as C_i, where "i" is the integer corresponding to the carbon atom number. For example, C_6 represents the 6 position or carbon atom number in the steroid nucleus as traditionally designated by those skilled in the art of steroid chemistry. Likewise the term "R_6" represents a variable substituent (either monovalent or bivalent) at the C_6 position.

Chemical formulas or portions thereof drawn in a linear fashion represent atoms in a linear chain. The symbol "-" in general represents a bond between two atoms in the chain. Thus CH_3-O-CH_2-CH(R_i)-CH_3 represents a 2-substituted-1-methoxypropane compound. In a similar fashion, the symbol "=" represents a double bond, e.g., CH_2=C(R_i)-O-CH_3, and the symbol "≡" represents a triple bond, e.g., HC≡C-CH(R_i)-CH_2-CH_3. Carbonyl groups are represented in either one of two ways: -CO- or -C(=O)-, with the former being preferred for simplicity.

Chemical formulas of cyclic (ring) compounds or molecular fragments can be represented in a linear fashion. Thus, the compound 4-chloro-2-methylpyridine can be represented in linear fashion by N^*=C(CH_3)-CH=CCl-CH=C^*H with the convention that the atoms marked with an asterisk (*) are bonded to each other resulting in the formation of a ring. Likewise, the cyclic molecular fragment, 4-(ethyl)-1-piperazinyl can be represented by -N^*-(CH_2)$_2$-N(C_2H_5)-CH_2-C^*H_2.

A rigid cyclic (ring) structure for any compounds herein defines an orientation with respect to the plane of the ring for substituents attached to each carbon atom of the rigid cyclic compound. For saturated compounds which have two substituents attached to a carbon atom which is part of a cyclic system, -C(X_1)(X_2)- the two substituents may be in either an axial or equatorial position relative to the ring and may change between axial/equatorial. However, the position of the two substituents relative to the ring and each other remains fixed. While

either substituent at times may lie in the plane of the ring (equatorial) rather than above or below the plane (axial), one substituent is always above the other. In chemical structural formulas depicting such compounds, a substituent (X_1) which is "below" another substituent (X_2) will be identified as being in the alpha configuration and is identified by a broken, dashed or dotted line attachment to the carbon atom, i.e., by the symbol "- - -" or "...". The corresponding substituent attached "above" (X_2) the other (X_1) is identified as being in the beta configuration and is indicated by an unbroken line attachment to the carbon atom.

When a variable substituent is bivalent, the valences may be taken together or separately or both in the definition of the variable. For example, a variable R_i attached to a carbon atom as -C(=R_i)- might be bivalent and be defined as oxo or keto (thus forming a carbonyl group (-CO-) or as two separately attached monovalent variable substituents alpha-R_{i-j} and beta-R_{i-k}. When a bivalent variable, R_i, is defined to consist of two monovalent variable substituents, the convention used to define the bivalent variable is of the form "alpha-R_{i-j}:beta-R_{i-k}" or some variant thereof. In such a case both alpha-R_{i-j} and beta-R_{i-k} are attached to the carbon atom to give -C(alpha-R_{i-j})(beta-R_{i-k})-. For example, when the bivalent variable R_6, -C(=R_6)- is defined to consist of two monovalent variable substituents, the two monovalent variable substituents are alpha-R_{6-1}:beta-R_{6-2}, ... alpha-R_{6-9}:beta-R_{6-10}, etc, giving -C(alpha-R_{6-1})(beta-R_{6-2})-, ... -C(alpha-R_{6-9})(beta-R_{6-10})-, etc. Likewise, for the bivalent variable R_{11}, -C(=R_{11})-, two monovalent variable substituents are alpha-R_{11-1}:beta-R_{11-2}. For a ring substituent for which separate alpha and beta orientations do not exist (e.g. due to the presence of a carbon carbon double bond in the ring), and for a substituent bonded to a carbon atom which is not part of a ring the above convention is still used, but the alpha and beta designations are omitted.

Just as a bivalent variable may be defined as two separate monovalent variable substituents, two separate monovalent variable substituents may be defined to be taken together to form a bivalent variable. For example, in the formula -C_1(R_i)H-C_2(R_j)H- (C_1 and C_2 define arbitrarily a first and second carbon atom, respectively) R_i and R_j may be defined to be taken together to form (1) a second bond between C_1 and C_2 or (2) a bivalent group such as oxa (-O-) and the formula thereby describes an epoxide. When R_i and R_j are taken together to form a more complex entity, such as the group -X-Y-, then the orientation of the entity is such

that C_1 in the above formula is bonded to X and C_2 is bonded to Y. Thus, by convention the designation "... R_i and R_j are taken together to form -CH_2-CH_2-O-CO- ..." means a lactone in which the carbonyl is bonded to C_2. However, when designated "... R_j and R_i are taken together to form -CO-O-CH_2-CH_2-the convention means a lactone in which the carbonyl is bonded to C_1.

The carbon atom content of variable substituents is indicated in one of two ways. The first method uses a prefix to the entire name of the variable such as "C_1-C_4", where both "1" and "4" are integers representing the minimum and maximum number of carbon atoms in the variable. The prefix is separated from the variable by a space. For example, "C_1-C_4 alkyl" represents alkyl of 1 through 4 carbon atoms, (including isomeric forms thereof unless an express indication to the contrary is given). Whenever this single prefix is given, the prefix indicates the entire carbon atom content of the variable being defined. Thus C_2-C_4 alkoxycarbonyl describes a group CH_3-$(CH_2)_n$-0-CO- where n is zero, one or two. By the second method the carbon atom content of only each portion of the definition is indicated separately by enclosing the "C_i-C_j" designation in parentheses and placing it immediately (no intervening space) before the portion of the definition being defined. By this optional convention (C_1-C_3)alkoxycarbonyl has the same meaning as C_2-C_4 alkoxycarbonyl because the "C_1-C_3" refers only to the carbon atom content of the alkoxy group. Similarly while both C_2-C_6 alkoxyalkyl and (C_1-C_3)alkoxy(C_1-C_3)alkyl define alkoxyalkyl groups containing from 2 to 6 carbon atoms, the two definitions differ since the former definition allows either the alkoxy or alkyl portion alone to contain 4 or 5 carbon atoms while the latter definition limits either of these groups to 3 carbon atoms.

When the claims contain a fairly complex (cyclic) substituent, at the end of the phrase naming/designating that particular substituent will be a notation in (parentheses) which will correspond to the same name/designation in one of the CHARTS which will also set forth the chemical structural formula of that particular substituent.

II. DEFINITIONS

All temperatures are in degrees Celsius.

TLC refers to thin-layer chromatography.

psi refers to pounds/in^2.

HPLC refers to high pressure liquid chromatography.

THF refers to tetrahydrofuran.

DMF refers to dimethylformamide.

EDC refers to ethyl-1-(3-dimethylaminopropyl)carbodiimide or 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride.

HOBt refers to 1-hydroxy benzotriazole hydrate.

NMM refers to N-methylmorpholine.

NBS refers to N-bromosuccinimide.

TEA refers to triethylamine.

BOC refers to 1,1-dimethylethoxy carbonyl or *t*-butoxycarbonyl, -CO-O-$C(CH_3)_3$.

CBZ refers to benzyloxycarbonyl, -CO-O-CH_2-phenyl.

FMOC refers to 9-fluorenylmethyl carbonate.

TFA refers to trifluoracetic acid, CF_3-COOH.

CDI refers to 1,1'-carbonyldiimidazole.

Saline refers to an aqueous saturated sodium chloride solution.

Chromatography (column and flash chromatography) refers to purification/separation of compounds expressed as (support, eluent). It is understood that the appropriate fractions are pooled and concentrated to give the desired compound(s).

CMR refers to C-13 magnetic resonance spectroscopy, chemical shifts are reported in ppm (δ) downfield from TMS.

NMR refers to nuclear (proton) magnetic resonance spectroscopy, chemical shifts are reported in ppm (d) downfield from TMS.

IR refers to infrared spectroscopy.

-phenyl refers to phenyl (C_6H_5).

MS refers to mass spectrometry expressed as m/e, m/z or mass/charge unit. MH^+ refers to the positive ion of a parent plus a hydrogen atom. EI refers to electron impact. CI refers to chemical ionization. FAB refers to fast atom bombardment.

HRMS refers to high resolution mass spectrometry.

Ether refers to diethyl ether.

Pharmaceutically acceptable refers to those properties and/or substances which are acceptable to the patient from a pharmacological/toxicological point of view and to the manufacturing pharmaceutical chemist from a physical/chemical point of view regarding composition, formulation, stability, patient acceptance and bioavailability.

When solvent pairs are used, the ratios of solvents used are volume/volume (v/v).

When the solubility of a solid in a solvent is used the ratio of the solid to the solvent is weight/volume (wt/v).

BOP refers to benzotriazol-1-yloxy-tris(dimethylamino)phosphonium hexafluorophosphate.

TBDMSCl refers to *t*-butyldimethylsilyl chloride.

TBDMSOTf refers to *t*-butyldimethylsilyl trifluosulfonic acid ester.

Trisomy 21 refers to Down's Syndrome.

The following terms are used (in EXAMPLEs 321 and above) for the amide forming agent (IX):

"PHTH" refers to $(CH_3\text{-}CH_2\text{-}CH_2\text{-})_2N\text{-}CO\text{-phenyl-}CO\text{-}OH$ where the attachment to the - phenyl- ring is 1,3-;

"5-Me-PHTH" refers to $(CH_3\text{-}CH_2\text{-}CH_2\text{-})_2N\text{-}CO\text{-}(CH_3\text{-})$ phenyl -CO-OH where the attachment to the - phenyl - ring is 1,3- for the carbonyl groups and 5- for the methyl group;

"3,5-pyridinyl" refers to $(CH_3\text{-}CH_2\text{-}CH_2\text{-})_2N\text{-}CO\text{-(pyridinyl)-}CO\text{-}OH$ where the attachment to the -pyridinyl- ring is 3,5- for the carbonyl groups;

"$\text{-}SO_2\text{-}$" refers to $(CH_3\text{-}CH_2\text{-}CH_2\text{-})_2CH\text{-}SO_2\text{-}$ phenyl -CO-OH where the attachment to the - phenyl - ring is 1,3-;

"5-OMe-PHTH" refers to $(CH_3\text{-}CH_2\text{-}CH_2\text{-})_2N\text{-}CO\text{-}(CH_3\text{-}O\text{-})$ phenyl -CO-OH where the attachment to the - phenyl - ring is 1,3- for the carbonyl groups and 5- for the methoxy group;

"5-Cl-PHTH" refers to $(CH_3\text{-}CH_2\text{-}CH_2\text{-})_2N\text{-}CO\text{-}(Cl\text{-})$phenyl-CO-OH where the attachment to the -phenyl- ring is 1,3- for the carbonyl groups and 5- for the chlorine atom;

"5-F-PHTH" refers to $(CH_3\text{-}CH_2\text{-}CH_2\text{-})_2N\text{-}CO\text{-}(F\text{-})$phenyl-CO-OH where the attachment to the -phenyl- ring is 1,3- for the carbonyl groups and 5- for the fluorine atom;

"thienyl" refers to $(CH_3\text{-}CH_2\text{-}CH_2\text{-})_2N\text{-}CO\text{-}$thienyl-CO-OH where the attachment to the thiophene ring is -2,5;

"2,4-pyridinyl" refers to $(CH_3\text{-}CH_2\text{-}CH_2\text{-})_2N\text{-}CO\text{-}$(pyridinyl)-CO-OH where the attachment to the -pyridinyl- ring is 2,4- for the carbonyl groups;

"4,6-pyrimidinyl" refers to $(CH_3\text{-}CH_2\text{-}CH_2\text{-})_2N\text{-}CO\text{-}$(pyrimidinyl-)phenyl-CO-OH where the attachment to the -pyrimidiny-l ring is 4,6- for the carbonyl groups;

"morpholinyl" refers to morpholinyl-CO-phenyl-CO-OH where the attachment to the -phenyl- ring is 1,3 for the carbonyl groups.

APP, amyloid precursor protein, is defined as any APP polypeptide, including APP variants, mutations, and isoforms, for example, as disclosed in U.S. Patent No. 5,766,846.

A beta, amyloid beta peptide, is defined as any peptide resulting from beta-secretase mediated cleavage of APP, including peptides of 39, 40, 41, 42, and 43 amino acids, and extending from the beta-secretase cleavage site to amino acids 39, 40, 41, 42, or 43.

Beta-secretase (BACE1, Asp2, Memapsin 2) is an aspartyl protease that mediates cleavage of APP at the amino-terminal edge of A beta. Human beta-secretase is described, for example, in WO00/17369.

"Pharmaceutically acceptable" refers to those properties and/or substances that are acceptable to the patient from a pharmacological/toxicological point of view and to the manufacturing pharmaceutical chemist from a physical/chemical point of view regarding composition, formulation, stability, patient acceptance and bioavailability.

A therapeutically effective amount is defined as an amount effective to reduce or lessen at least one symptom of the disease being treated or to reduce or delay onset of one or more clinical markers or symptoms of the disease.

The present invention provides compounds, compositions, and methods for inhibiting beta-secretase enzyme activity and A beta peptide production. Inhibition of beta-secretase enzyme activity halts or reduces the production of A beta from APP and reduces or eliminates the formation of beta-amyloid deposits in the brain.

EXAMPLES

Without further elaboration, it is believed that one skilled in the art can, using the preceding description, practice the present invention to its fullest extent. The following detailed examples describe how to prepare the various compounds and/or perform the various processes of the invention and are to be construed as merely illustrative, and not limitations of the preceding disclosure in any way whatsoever. Those skilled in the art will promptly recognize appropriate variations from the procedures both as to reactants and as to reaction conditions and techniques.

PREPARATION 1 3-Amino-5-(methoxycarbonyl)benzoic acid (XVII)

A suspension of *mono*-methyl 5-nitro-isophthalate (22.5 g, 100 mmol) and palladium on carbon (5%, 2.00 g) in methanol (100 mL) is shaken in a hydrogenation apparatus under hydrogen (50 psi) for 3 hours. The mixture is then filtered through diatomaceous earth and concentrated to give the title compound, NMR (300 MHz, $CDCl_3$) beta 7.67, 7.41, 7.40 and 3.83; MS (ESI-) for $C_9H_9NO_4$ *m/z* $(M-H)^-$ = 194.

PREPARATION 2 3-Bromo-5-(methoxycarbonyl)benzoic acid (XIX)

A mixture of copper (II) bromide (1.85 g, 8.30 mmol), n-butyl nitrite (1.07 g, 10.4 mmol), and acetonitrile (30 mL) is stirred in a round bottomed flask in a water bath to which a few chunks of ice has been added. 3-Amino-5-(methoxycarbonyl)benzoic acid (XVII, PREPARATION 1, 1.35 g, 6.92 mmol) is added as a slurry in warm acetonitrile (70 mL) over 15 min and the mixture is stirred at 20-25 degrees C for an additional 2 hour, at which time the mixture is partitioned between dichloromethane and hydrochloric acid (3N). The organic phase is separated and dried over sodium sulfate and concentrated to dryness. Chromatography (silica gel, 125 mL; methanol/dichloromethane, 15/85) and concentration of the appropriate fractions gives a solid which is crytallized from methanol to give the title compound in two crops, NMR (DMSO-d_6) delta 3.90, 8.26 and 8.65.

PREPARATION 3 Methyl 3-bromo-5-[(dipropylamino)carbonyl]benzoate (XXI)

Carbonyl diimidazole (3.0 g, 18 mmol) is added to a solution of 3-bromo-5-(methoxycarbonyl)benzoic acid (XIX, PREPARATION 2, 3.9 g, 15 mmol) in THF (30 mL). The mixture is stirred for 0.5 hours. Dipropylamine (AMINE, 4.2 mL, 30 mmol) is added to the mixture, which is then stirred for 24 hours. The solvent is then removed under reduced pressure and the mixture is partitioned between ethyl acetate and water. The organic phase is then washed with saline, dried over anhydrous magnesium sulfate, filtered, and concentrated. Column chromatography (silica gel; ethyl acetate/hexanes, 15/85) gives the title compound, IR (diffuse reflectance) 2968, 2958, 1714, 1637, 1479, 1440, 1422, 1321, 1310, 1288, 1273, 1252, 889, 772 and 718 cm^{-1}; NMR (300 MHz, $CDCl_3$) δ 8.21, 7.96, 7.70, 3.95, 3.46, 3.15, 1.69, 1.57, 1.00 and 0.78; MS (ESI+) for $C_{15}H_{20}BrNO_3$ *m/z* $(M+H)^+$ = 344.1.

PREPARATION 4 3-Bromo-5-[(dipropylamino)carbonyl]benzoic acid

To a solution of methyl 3-bromo-5-[(dipropylamino)carbonyl]benzoate (XXI, PREPARATION 3, 1.4 g, 4.1 mmol) in THF/water/methanol (4/2/2, 8 mL) is added to lithium hydroxide monohydrate (0.17 g, 4.05 mmol). The mixture is stirred at 20 degrees -25 degrees C for 1 hour and then solvent is removed under reduced pressure. The residue is dissolved in water (50 mL) and hydrochloric acid (1 N) is added to adjust the pH to about 3. The aqueous mixture is extracted with ethyl acetate and the organic phase is separated and dried over magnesium sulfate to give the title compound. Analytical calculated for $C_{14}H_{18}BrNO_3$: C, 51.23; H, 5.53; N, 4.27; Br, 24.35. Found: C, 51.37; H, 5.56; N, 4.28.

PREPARATION 5 Methyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]-benzoate (XXII)

To a mixture of methyl 3-bromo-5-[(dipropylamino)carbonyl]benzoate (XXI, PREPARATION 3, 0.5 g, 1.47 mmol) in dry N-methyl pyrrolidinone under a carbon monoxide atmosphere is added palladium (II) acetate (0.017 g, 0.074 mmol), 1,3-bis(diphenylphosphino)propane (0.045 g, 0.11 mmol), hexamethyldisilazane (1.0 mL, 4.7 mmol), and diisopropylethylamine (0.38 g, 2.94 mmol). The mixture is heated at 100 degrees C for 24 hours. The mixture is cooled to 20-25 degrees C and partitioned between water and ethyl acetate. The layers are separated and the

aqueous phase is back-washed with ethyl acetate. The organic phases are combined and washed three times with saline, dried over anhydrous magnesium sulfate, filtered and concentrated. Column chromatography (silica gel, 75 mL; methanol/methylene chloride, 2.5/97.5) gives the title compound, NMR ($CDCl_3$) delta 0.77, 1.02, 1.57, 1.71, 3.17, 3.49, 3.98, 5.78, 6.34, 8.07, 8.20 and 8.48.

PREPARATION 6 3-(Aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoic acid (XXIII)

To a mixture of methyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate (XXII, PREPARATION 5, 0.197 g, 0.64 mmol) in methanol (5.0 mL) is added sodium hydroxide (1N, 3.0 mL). The mixture is stirred at 20-25 degrees C for 24 hours. The mixture is acidified to about pH 5 with hydrochloric acid (10%). Water (50 mL) is added and the mixture is washed twice with ethyl acetate (2 x 50 mL). The organic extracts are combined and dried over anhydrous magnesium sulfate and concentrated to give the title compound, NMR (DMSO-d_6) delta 0.66, 0.930, 1.48, 1.62, 3.12, 3.35, 7.54, 7.98, 8.22 and 8.51.

PREPARATION 7 3-Cyano-5-[(dipropylamino)carbonyl]benzoic acid (IX/XXXII)

A mixture of 3-bromo-5-[(dipropylamino)carbonyl]benzoic acid (PREPARATION 4, 0.596 g, 1.82 mmol) and copper nitrile (0.325 g, 3.63 mmol) in N-methylpyrrolidinone (1.5 mL) is stirred at 175 degrees C for 2.5 hour, at which time the mixture is cooled and partitioned between ethyl acetate and hydrochloric acid (3N). The organic layer is washed twice more with hydrochloric acid (3N) and then twice more with saline which had been acidified with a small amount of hydrochloric acid (3N). The organic layer is dried over magnesium sulfate and concentrated under high vacuum to give the title compound, NMR ($CDCl_3$) delta 0.80, 1.02, 1.60, 1.73, 3.17, 3.51, 7.90, 8.31 and 8.41; an aliquot is crystallized from ethyl ether/dichloromethane/hexane - IR (diffuse reflectance) 3017, 2970, 2937, 2898, 2877, 2473, 2432, 2350, 2318, 2236, 1721, 1608, 1588, 1206 and 1196 cm^{-1}.

PREPARATION 8 3-(Aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoic acid (XXXIII)

A mixture of 3-cyano-5-[(dipropylamino)carbonyl]benzoic acid (IX/XXXII, PREPARATION 7, 0.602 g, 2.19 mmol), potassium carbonate (0.212 g, 1.53 mmol), and acetone (2.5 mL) is stirred at 20-25 degrees C. Water (2.5 mL) and urea-hydrogen peroxide adduct (0.825 g, 8.78 mmol) are added and the mixture is stirred for 15 hours at 20-25 degrees C, at which time additional urea-hydrogen peroxide adduct (0.204 g) is added; after stirring for another 3 hours, an additional 0.205 g of urea-hydrogen peroxide is added. After a total of 39 hours has elapsed, the acetone is removed under reduced pressure and the residue is acidified with hydrochloric acid (3N) to pH = 2-4. The mixture is extracted with dichloromethane, the organic layer is separated and washed with hydrochloric acid (0.5 N), and the organic phase is dried with anhydrous magnesium sulfate to a solid. The solid is crystallized from dichloromethane/hexane/methanol to give the title compound, MS (ESI+) for $C_{15}H_{20}N_2O_4$ *m/z* $(M+H)^+ = 293.2$.

PREPARATION 9 Methyl 3-[(dipropylamino)carbonyl]-5-nitrobenzoate (XXX)

Carbonyl diimidazole (3.90 g, 24.0 mmol) is added to a mixture of *mono*-methyl 5-nitro-isophthalate (XXVIII, 4.50 g, 20.0 mmol) in dry THF (50 mL). The mixture is stirred for 0.5 hours. Dipropylamine (3.28 mL, 24.0 mmol) is added slowly to the mixture. The reaction mixture is then stirred for 4 hours. The solvent is removed under reduced pressure and the mixture is partitioned between ethyl acetate and water. The organic phase is separated and washed with saline, dried over anhydrous sodium sulfate, filtered, and concentrated. Column chromatography (silica gel; ethyl acetate/hexanes, 15/85) gives the title compound, NMR (300 MHz, $CDCl_3$) delta 8.88, 8.41, 8.35, 4.00, 3.48, 3.15, 1.72, 1.57, 1.00 and 0.77; MS (ESI+) for $C_{15}H_{20}N_2O_5$ *m/z* $(M+H)^+ = 309.2$.

PREPARATION 10 Methyl 3-amino-5-[(dipropylamino)carbonyl]benzoate (XXXI)

A suspension of methyl 3-[(dipropylamino)carbonyl]-5-nitrobenzoate (XXX, PREPARATION 9, 6.00g, 20.0 mmol) and palladium on carbon (5%, 0.600 g) in methanol (40 mL) is shaken in a hydrogenation apparatus under hydrogen (45 psi) for 3 hours. The mixture is then filtered through diatomaceous earth and concentrated to give the title compound, NMR (300 MHz, $CDCl_3$) delta 7.27, 6.77, 4.10, 3.82, 3.38, 3.10, 1.62, 1.46, 0.91 and 0.68.

PREPARATION 11 Methyl 3-(chlorosulfonyl)-5-[(dipropylamino)carbonyl]-benzoate (XXXVII)

Methyl 3-amino-5-[(dipropylamino)carbonyl]benzoate (XXXI, PREPARATION 10, 1.11 g, 4 mmol) is added to a mixture of water (5 mL) and concentrated hydrochloric acid (1 mL). Sodium nitrite (0.276 g, 4 mmol) is added to the mixture slowly at 0 degrees C. The mixture is then added to an acetic acid solution (5 mL) of $CuCl_2{}^{\bullet}2H_2O$ saturated with sulfur dioxide. The mixture is stirred for 0.5 hours and poured into ice water. The mixture is extracted with ethyl acetate. The organic phase is separated and washed with saturated sodium bicarbonate, water, and saline and dried over anhydrous sodium sulfate, filtered, and concentrated to give the title compound, NMR (300 MHz, $CDCl_3$) delta 8.69, 8.38, 8.20, 4.01, 3.49, 3.14, 1.72, 1.59, 1.01 and 0.79; MS (ESI+) for $C_{15}H_{20}ClNO_5S$ *m/z* $(M+H)^+$ = 362.2

PREPARATION 12 Methyl 3-(aminosulfonyl)-5-[(dipropylamino)carbonyl]-benzoate (XXXVIII)

To a solution of methyl 3-(chlorosulfonyl)-5-[(dipropylamino)carbonyl]benzoate (XXXVII, PREPARATION 11, 0.100 g, 0.300 mmol) in dry THF (3 mL) is added ammonia (7 N solution in methanol, 0.214 mL, 1.50 mmol). The mixture is stirred for 18 hours and solvent is then removed. The residue is partitioned between ethyl acetate and water. The organic phase is separate and washed with saline, dried over anhydrous sodium sulfate, filtered, and concentrated to give the title compound, NMR (300 MHz, $CDCl_3$) delta 8.45, 8.07, 8.01, 6.05, 3.93, 3.44, 3.09, 1.67, 1.52, 0.96 and 0.73; MS (ESI+) for $C_{12}H_{22}N_2O_5S$ *m/z* $(M+H)^+$ = 343.3.

PREPARATION 13 3-(Aminosulfonyl)-5-[(dipropylamino)carbonyl]benzoic acid (XXXVIII)

Lithium hydroxide monohydrate (0.011 g, 0.263 mmol) is added to a solution of methyl 3-(aminosulfonyl)-5-[(dipropylamino)carbonyl]benzoate (XXXVIII, PREPARATION 12, 0.090 g, 0.263 mmol) in a mixture of THF/methanol/water (2/1/1, 2 mL). The mixture is stirred at 20-25 degrees C for 3

hours. The mixture is then diluted with water and hydrochloric acid (1 N) is added to bring the pH to less than 3. The aqueous solution is extracted with ethyl acetate. The organic phase is separated and washed with saline, dried over anhydrous sodium sulfate, filtered and concentrated to give the title compound. ^{1}H NMR (300 MHz, $CDCl_3$) delta 10.36 (s, 1 H), 8.39 (s, 1 H), 8.09 (s, 2 H), 6.06 (s, 2 H), 3.48 (t, J = 7 Hz, 2 H), 3.15 (t, J = 7 Hz, 2 H), 1.71 (m, 2 H), 1.55 (m, 2 H), 0.97 (t, J = 7 Hz, 3 H), 0.74 (t, J = 7 Hz, 3 H). MS (ESI+) for $C_{11}H_{20}N_2O_5S$ *m/z* 329.2 $(M+H)^+$.

PREPARATION 14 Methyl 3-[(dipropylamino)carbonyl]-5-(1-pyrrolidinylsulfonyl)- benzoate (XXXVIII)

Following the general procedure of PREPARATION 12 and making non-critical variations but using pyrrolidine (0.347 mL, 4.16 mmol), the title compound is obtained, MS (ESI+) for $C_{19}H_{28}N_2O_5S$ *m/z* $(M+H)^+$ = 397.1.

PREPARATION 15 3-[(Dipropylamino)carbonyl]-5-(1-pyrrolidinylsulfonyl)benzoic acid (XXXIX)

Following the general procedure of PREPARATION 13 and making non-critical variations, the title compound is obtained, MS (ESI+) for $C_{18}H_{26}N_2O_5S$ *m/z* $(M+H)^+$ = 383.3.

PREPARATION 16 Methyl 3-[(dipropylamino)carbonyl]-5-[(methylamino)-sulfonyl]benzoate (XXXVIII)

Following the general procedure of PREPARATION 12 and making non-critical variations but using methyl amine (2 N solution in THF, 0.692 mL, 1.38 mmol), the title compound is obtained, MS (ESI+) for $C_{16}H_{24}N_2O_5S$ *m/z* $(M+H)^+$ = 357.1.

PREPARATION 17 3-[(Dipropylamino)carbonyl]-5-[(methylamino)-sulfonyl]benzoic acid (XXXIX)

Following the general procedure of PREPARATION 13 and making non-critical variations, the title compound is obtained, MS (ESI+) for $C_{15}H_{22}N_2O_5S$ *m/z* $(M+H)^+$ = 343.1.

PREPARATION 18 Methyl 3-[(dimethylamino)sulfonyl]-5-[(dipropylamino)-carbonyl]benzoate (XXXVIII)

Following the general procedure of PREPARATION 12 and making non-critical variations but using dimethylamine (2 N solution in THF, 0.692 mL, 1.38 mmol), the title compound is obtained, MS (ESI+) for $C_{17}H_{26}N_2O_5S$ *m/z* $(M+H)^+$ = 371.1.

PREPARATION 19 3-[(Dimethylamino)sulfonyl]-5-[(dipropylamino)carbonyl]-benzoic acid (XXXIX)

Following the general procedure of PREPARATION 13 and making non-critical variations, the title compound is obtained, MS (ESI+) for $C_{16}H_{24}N_2O_5S$ *m/z* $(M+H)^+$ = 357.1.

PREPARATION 20 Methyl 3-[(dipropylamino)carbonyl]-5-ethylbenzoate (IX)

Ethylboronic acid (0.800 g, 10.8 mmol), dichlorobis(triphenylphosphine)-palladium(II) (0.252 g, 0.360 mmol), potassium carbonate (2.50 g, 18.0 mmol) and lithium chloride (0.151 g, 3.60 mmol) are added to a mixture of methyl 3-bromo-5-[(dipropylamino)carbonyl]benzoate (1.23 g, 3.60 mmol) in dry DMF (20 mL). The mixture is heated at 100 degrees C for 18 hours. The mixture is then partitioned between ethyl acetate and water. The phases are separated and the ethyl acetate phase is washed with saline, dried over sodium sulfate and concentrated. The concentrate is column chromatographed (silica gel; ethyl acetate/hexanes, 15/85) to give the title compound, MS (ESI+) for $C_{17}H_{25}NO_3$ *m/z* $(M+H)^+$ = 292.2.

PREPARATION 21 3-[(Dipropylamino)carbonyl]-5-ethylbenzoic acid (IX)

Lithium hydroxide monohydrate (0.0680 g, 1.6 mmol) is added to a mixture of methyl 3-[(dipropylamino)carbonyl]-5-ethylbenzoate (PREPARATION 20, 0.450 g, 1.6 mmol) in a mixture of THF/methanol/water (2/1/1, 8 mL). The mixture is stirred at 20-25 degrees C for 3 hours. The mixture is then diluted with water (20 mL) and hydrochloric acid (1 N) is added to bring the pH to less than 3. The aqueous mixture is extracted with ethyl acetate. The organic phase is separated and washed with saline, dried over anhydrous magnesium sulfate, filtered and concentrated to give the title compound, MS (ESI+) for $C_{16}H_{23}NO_3$ *m/z* $(M+H)^+$ = 278.2.

EXAMPLE 1 tert-Butyl (1S)-3-bromo-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate (III)

N-methyl-morpholine (5.83 Ml, 53 mmole, 1.05 eq.) is added to (2S)-2-[(tert-butoxycarbonyl)amino]-3-(3,5-difluorophenyl)propanoic acid (II, 15 g, 50 mmole) in THF (100 mL) and the reaction is cooled to –78 degrees C. Isobutyl chloroformate (6.87 mL, 53 mmole, 1.05 eq.) is added rapidly. The cold bath is then removed and the mixture stirred for 1 hour. The reaction is monitored by TLC to insure completion of the reaction and the mixture is then filtered and washed with dry THF (50 ml) and kept cold in the filtered flask at –20 degrees C.

In an ice-salt bath is placed a 500 ml graduate cylinder containing ether (200 mL) and aqueous potassium hydroxide (40%, 60 ml). 1-Methyl-3-nitro-1-nitrosoguanidine (5.6 g, 106 mmole, 2.1 eq.) is added slowly with stirring and temperature kept below 0 degrees C. The mixture turned yellow and the bubbling lasted for 10 minutes. The stirring is stopped and without mixing the layers, the top diazomethane ethereal layer is transferred with non-ground tip pipette into the stirred mixed anhydride mixture at –20 degrees C. The reaction is monitored by TLC (ethyl acetate/hexane, 50/50; $R_f = 0.69$). After 1 hour nitrogen is then bubbled into the mixture. The solvent is removed under reduced pressure (with heat) and the mixture is partitioned between ether and water. The phases are separated, the organic phase is washed with bicarbonate, saline, dried over anhydrous sodium sulfate and solvent removed under reduced pressure (with heat). The residue is dissolved in ether (100 mL) and hydrobromic acid (48%, 15 mL, 135 mmole, 2.7 eq,) is added at –20 degrees C, the cold bath is removed and the mixture is stirred for another 0.5 hours. The reaction is monitored by TLC (ethyl acetate/hexane, 50/50; $R_f = 0.88$). The mixture is partitioned between ether and water, washed with bicarbonate, saline, dried over anhydrous sodium sulfate and the solvent removed. The residue is recrystallized from ethanol to give the title compound, TLC (ethyl acetate/hexane, 50/50) $R_f = 0.88$; MS (MH^+) = 379.3.

EXAMPLE 2 tert-Butyl (1S, 2S)-3-bromo-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate (IV)

Sodium borohydride (1.32 g, 34.9 mmole, 1.1 eq.) is added to tert-Butyl (1S)-3-bromo-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate (III, EXAMPLE 1, 12

g, 31.75 mmole) dissolved in absolute alcohol (500 mL) at –78 degrees C. The reaction mixture is stirred for 0.5 hour and monitored by TLC (ethyl acetate/hexane, 20/80; $R_f = 0.2$). The mixture is quenched with water (10 mL) and the solvent removed under reduced pressure with heat (not exceeding 30 degrees C) to dryness. The solid is partitioned between dichloromethane and water, washed with saline, dried over anhydrous sodium sulfate. The solvent is removed under reduced pressure to give the title compound, TLC (ethyl acetate/hexane, 20/80) $R_f = 0.2$; MS (MH^+) = 381.2.

EXAMPLE 3 *tert*-Butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V)

tert-Butyl (1S, 2S)-3-bromo-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate (IV, EXAMPLE 2) is dissolved in absolute alcohol (150 mL) and ethyl acetate (100 mL) and potassium hydroxide (2.3 g, 34.9 mmole, 1.1eq.) in ethyl alcohol (85%, 5mL) is added at –20 degrees C. The cold bath is then removed and the mixture stirred for 0.5 hour. The reaction is monitored by TLC (ethyl acetate/hexane, 20/80). When the reaction is complete, it is diluted with dichloromethane and extracted, washed with water, saline, dried over anhydrous sodium sulfate and the solvent removed under reduced pressure. The crude material is purified by flash chromatography on silica gel to give the title compound, TLC (ethyl acetate/hexane, 20/80) $R_f = 0.3$; MS (MH^+) = 300.4.

EXAMPLE 4 tert-Butyl (1S, 2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate (VII)

tert-Butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3, 245 mg, 0.82 mmol) is suspended in isopropyl alcohol (6 mL) and 3-methoxybenzylamine (160 microL, 1.22 mmol) is added with stirring at 20-25 degrees C. This mixture is heated to gentle reflux (bath temp 85 degrees C) under nitrogen for 2 hours, whereupon the resulting mixture is concentrated under reduced pressure to give the title compound. The title compound is purified by flash chromatography (2-5% methanol/methylene chloride; gradient elution) to give purified title compound.

EXAMPLE 5 (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol trifluoroacetate (VIII)

tert-Butyl (1S, 2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate (VII, EXAMPLE 4, 258 mg, 0.59 mmol) is dissolved in methylene chloride (1 mL) at 20-25 degrees C, and trifluoroacetic acid (1 mL) is added with stirring under nitrogen. The reaction mixture is stirred at 20-25 degrees C for 1 hour, whereupon the reaction mixture is concentrated under reduced pressure to give the title compound. The title compound is used in the next reaction without further purification.

EXAMPLE 6 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol trifluoroacetate salt (VIII, EXAMPLE 5) is dissolved in anhydrous DMF (3 mL) and cooled to 0 degrees C. Triethylamine (500 microliter, 3.6 mmol) and 5-methyl-*N*, *N*-dipropylisophthalamic acid (156 mg, 0.59 mmol) are added with stirring. The mixture is warmed to 20-25 degrees C briefly to allow for complete dissolution of the carboxylic acid, before recooling to 0 degrees C. 1-Hydroxybenzotriazole (157 mg, 1.2 mmol) is added with stirring, followed by 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (229 mg, 1.2 mmol). The resulting mixture is stirred at 0 degrees C for 5 minutes, then warmed to 20-25 degrees C for 15 hours. The reaction mixture is then quenched with aqueous citric acid (10%), and the mixture extracted three times with ethyl acetate. The combined organic extracts are washed with saturated sodium bicarbonate, saline, dried over sodium sulfate, filtered and concentrated under reduced pressure to give the the title compound in crude form. This material is purified by flash chromatography (2-10% methanol/methylene chloride gradient elution) to give purified title compound, MS (ES) $MH^+ = 582.3$.

EXAMPLEs 7-9

Following the general procedure of EXAMPLE 1 and making non critical variations but starting with the protecting group of Column A and using the acid of Column B, the protected compound (III) of Column C is obtained:

EXAMPLE	Column A	Column B	Column C
7	BOC	Hydrochloric	tert-butyl (1S)-3-chloro-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate
8	CBZ	Hydrobromic	benzyl (1S)-3-bromo-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate
9	CBZ	Hydrochloric	benzyl (1S)-3-chloro-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate

EXAMPLEs 10-12

Following the general procedure of EXAMPLE 2 and making non critical variations but starting with the protected compound (III) of Column A, the alcohol (IV) of Column B is obtained:

EXAMPLE	Column A	Column B
10	7	Tert-butyl (1S, 2S)-3-chloro-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate
11	8	Benzyl (1S, 2S)-3-bromo-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate
12	9	Benzyl (1S, 2S)-3-chloro-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate

EXAMPLE 13 Benzyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V)

Following the general procedure of EXAMPLE 3 and making non critical variations but starting with the alcohol (IV) of EXAMPLE 12, the title compound is obtained.

EXAMPLEs 14-107

Following the general procedure of EXAMPLE 4 and making non-critical variations but reacting tert-butyl (1S,2S)-1-(2-oxiranyl)-2-phenylethylcarbamate (V, commercially available) with the C-terminal amine (VI) of Column A, the protected alcohol (VII) of Column B is obtained.

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
14	H_2N-CH_2CH_3	tert-butyl (1S,2R)-1-benzyl-3-(ethylamino)-2-hydroxypropylcarbamate
15	H_2N-CH_2-phenyl	tert-butyl (1S,2R)-1-benzyl-3-(benzylamino)-2-hydroxypropylcarbamate
16	H_2N-$CH(CH_3)_2$	tert-butyl (1S,2R)-1-benzyl-3-(isopropylamino)-2-hydroxypropylcarbamate
17	H_2N-CH_2-phenyl-4-CH_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-methylbenzyl)amino]propylcarbamate
18	H_2N-$(CH_2)_2$-phenyl-4-OCH_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-methoxyphenyl)ethyl]amino}propylcarbamate
19	H_2N-CH_2-phenyl-3-OCH_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl carbamate
20	H_2N-CH(-phenyl)-CO-OC_2H_5	ethyl ({(2R,3S)-3-[(tert-butoxycarbonyl)amino]-2-hydroxy-4-phenylbutyl}amino)(phenyl)acetate
21	H_2N-$(CH_2)_2$-phenyl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenylethyl)amino]propylcarbamate
22	H_2N-CH(-CH_2OH)-	tert-butyl (1S,2R)-1-benzyl-2-

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
	CH(OH)-phenyl-4-NO_2	hydroxy-3-{[(1S)-2-hydroxy-1-(hydroxymethyl)-2-(4-nitrophenyl)ethyl]amino}propylcarbamate
23	H_2N-CH_2-phenyl-2-Cl	tert-butyl (1S,2R)-1-benzyl-3-[(2-chlorobenzyl)amino]-2-hydroxypropylcarbamate
24	H_2N-CH_2-phenyl-4-Cl	tert-butyl (1S,2R)-1-benzyl-3-[(4-chlorobenzyl)amino]-2-hydroxypropylcarbamate
25	H_2N-$(CH_2)_2$-O-$(CH_2)_2$-OH	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-hydroxyethoxy)ethyl]amino}propylcarbamate
26	H_2N-1-indanyl	tert-butyl (1S,2R)-1-benzyl-3-(2,3-dihydro-1H-inden-1-ylamino)-2-hydroxypropylcarbamate
27	H_2N-CH_2-CH(OH)-CH_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxypropyl)amino]propylcarbamate
28	H_2N-CH_2-tetrahydrofuranyl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propylcarbamate
29	H_2N-CH_2-CH(-OCH_2CH_3)	tert-butyl (1S,2R)-1-benzyl-3-[(2,2-diethoxyethyl)amino]-2-hydroxypropylcarbamate
30	H_2N-$(CH_2)_4$-CH_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-(pentylamino)

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
		propylcarbamate
31	H_2N-cyclohexyl	tert-butyl (1S,2R)-1-benzyl-3-(cyclohexylamino)-2-hydroxypropylcarbamate
32	H_2N-CH_2-pyridin-2-yl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl carbamate
33	H_2N-CH_2-phenyl-2-NH_2	tert-butyl (1S,2R)-3-[(2-aminobenzyl)amino]-1-benzyl-2-hydroxypropylcarbamate
34	H_2N-CH_2-pyridin-3-yl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl carbamate
35	H_2N-$(CH_2)_2$-pyrrolidin-1-yl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(1-pyrrolidinyl)ethyl]amino}propylcarbamate
36	H_2N-CH_2-CH(OH)-phenyl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propylcarbamate
37	H_2N-$(CH_2)_3$-O-$(CH_2)_3$-CH_3	tert-butyl (1S,2R)-1-benzyl-3-[(3-butoxypropyl)amino]-2-hydroxypropylcarbamate
38	H_2N-$(CH_2)_3$-O-$CH(CH_3)_2$	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-isopropoxypropyl)amino]propylcarbamate

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
39	H_2N-$(CH_2)_2$-$CH(CH_3)_2$	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino) propylcarbamate
40	H_2N-$(CH_2)_3$-phenyl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-phenylpropyl)amino]propylcarbamate
41	H_2N-$(CH_2)_2$-OCH_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-methoxyethyl)amino]propylcarbamate
42	H_2N-$(CH_2)_2$-O-phenyl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenoxyethyl)amino]propylcarbamate
43	H_2N-$(CH_2)_2$-O-$(CH_2)_2$-CH_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-propoxyethyl)amino]propylcarbamate
44	H_2N-$(CH_2)_2$-$C(CH_3)_3$	tert-butyl (1S,2R)-1-benzyl-3-[(3,3-dimethylbutyl)amino]-2-hydroxypropylcarbamate
45	H_2N-$(CH_2)_4$-phenyl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-phenylbutyl)amino]propylcarbamate
46	H_2N-CH_2-phenyl-3-I	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propylcarbamate
47	H_2N-CH_2-phenyl-4-NO_2	tert-butyl (1S,2R)-1-benzyl-2-

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
		hydroxy-3-[(4-nitrobenzyl)amino]propylcarbamate
48	H_2N-CH_2-phenyl-3-Cl	tert-butyl (1S,2R)-1-benzyl-3-[(3-chlorobenzyl)amino]-2-hydroxypropylcarbamate
49	H_2N-$(CH_2)_2$-phenyl-4-Cl	tert-butyl (1S,2R)-1-benzyl-3-{[2-(4-chlorophenyl)ethyl]amino}-2-hydroxypropylcarbamate
50	H_2N-$(CH_2)_2$-pyridin-2-yl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-pyridinyl)ethyl]amino}propyl carbamate
51	H_2N-CH_2-pyridin-4-yl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-pyridinylmethyl)amino]propyl carbamate
52	H_2N-$(CH_2)_2$-(N-methylpyrrolidin-2-yl)	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(1-methyl-2-pyrrolidinyl)ethyl]amino}propylcarbamate
53	H_2N-CH_2-phenyl-2,3-dimethyl	tert-butyl (1S,2R)-1-benzyl-3-[(2,3-dimethylbenzyl)amino]-2-hydroxypropylcarbamate
54	H_2N-CH_2-phenyl-2-OCF_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethoxy)benzyl]amino}propylcarbamate
55	H_2N-CH_2-phenyl-2-Cl-6-O-phenyl	tert-butyl (1S,2R)-1-benzyl-3-[(2-chloro-6-

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
		phenoxybenzyl)amino]-2-hydroxypropylcarbamate
56	H_2N-CH_2-phenyl-4-CF_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[4-(trifluoromethyl)benzyl]amino}propylcarbamate
57	H_2N-CH_2-phenyl-2,3-dichloro	tert-butyl (1S,2R)-1-benzyl-3-[(2,3-dichlorobenzyl)amino]-2-hydroxypropylcarbamate
58	H_2N-CH_2-phenyl-3,5-dichloro	tert-butyl (1S,2R)-1-benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropylcarbamate
59	H_2N-CH_2-phenyl-3,5-difluoro	tert-butyl (1S,2R)-1-benzyl-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropylcarbamate
60	H_2N-CH_2-phenyl-4-OCF_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[4-(trifluoromethoxy)benzyl]amino}propylcarbamate
61	H_2N-$(CH_2)_2$-phenyl-4-SO_2-NH_2	tert-butyl (1S,2R)-3-{[4-(aminosulfonyl)benzyl]amino}-1-benzyl-2-hydroxypropylcarbamate
62	H_2N-CH_2-phenyl-4-OCH_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-methoxybenzyl)amino]propyl carbamate
63	H_2N-CH_2-phenyl-4-CH_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-methylbenzyl)amino]propylcarbamate

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
64	H_2N-CH_2-Ph-(3,4,5-trimethoxy)	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3,4,5-trimethoxybenzyl)amino]propylcarbamate
65	H_2N-CH_2-phenyl-3-OCF_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propylcarbamate
66	H_2N-CH_2-phenyl-3,5-dimethoxy	tert-butyl (1S,2R)-1-benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropylcarbamate
67	H_2N-CH_2-phenyl-2,4-dimethoxy	tert-butyl (1S,2R)-1-benzyl-3-[(2,4-dimethoxybenzyl)amino]-2-hydroxypropylcarbamate
68	H_2N-CH_2-phenyl-phenyl	tert-butyl (1S,2R)-1-benzyl-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-2-hydroxypropylcarbamate
69	H_2N-CH_2-phenyl-3,4-dichloro	tert-butyl (1S,2R)-1-benzyl-3-[(3,4-dichlorobenzyl)amino]-2-hydroxypropylcarbamate
70	H_2N-CH_2-phenyl-4-F	tert-butyl (1S,2R)-1-benzyl-3-[(4-fluorobenzyl)amino]-2-hydroxypropylcarbamate
71	H_2N-CH_2-phenyl-3-CF_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propylcarbamate
72	H_2N-CH_2-phenyl-2-CH_3	tert-butyl (1S,2R)-1-benzyl-2-

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
		hydroxy-3-[(2-methylbenzyl)amino]propylcarbamate
73	H_2N-CH((R)-CH_3)-phenyl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-phenylethyl]amino}propylcarbamate
74	H_2N-CH((S)-CH_3)-phenyl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-1-phenylethyl]amino}propylcarbamate
75	H_2N-CH_2-phenyl-3,5-(bis)trifluoromethyl	tert-butyl (1S,2R)-1-benzyl-3-{[3,5-bis(trifluoromethyl) benzyl]amino}-2-hydroxypropylcarbamate
76	H_2N-CH_2-phenyl-2-CF_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethyl)benzyl] amino}propylcarbamate
77	H_2N-CH((S)-CH_3)-(naphth-1-yl)	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-1-(1-naphthyl)ethyl]amino}propyl carbamate
78	-NH_2-CH((R)-CH_3)-(naphth-1-yl)	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-(1-naphthyl)ethyl]amino}propylcarbamate
79	H_2N-CH_2-phenyl-3-OCH_3-4-OH	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxy-3-methoxybenzyl)amino]propyl carbamate

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
80	H_2N-CH_2-phenyl-3,4-dihydroxy	tert-butyl (1S,2R)-1-benzyl-3-[(3,4-dihydroxybenzyl)amino]-2-hydroxypropylcarbamate
81	H_2N-$(CH_2)_3$-OCH_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propyl carbamate
82	H_2N-CH((S)-CH_3)-CH_2-OH	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-methylethyl]amino}propyl carbamate
83	H_2N-CH((R)-CH_3)-CH_2-OH	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-2-hydroxy-1-methylethyl]amino}propyl carbamate
84	H_2N-CH_2-C≡CH	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-(2-propynylamino) propylcarbamate
85	H_2N-$(CH_2)_2$-phenyl-2-F	tert-butyl (1S,2R)-1-benzyl-3-{[2-(2-fluorophenyl)ethyl] amino}-2-hydroxypropylcarbamate
86	H_2N-$(CH_2)_2$-phenyl-3-F	tert-butyl (1S,2R)-1-benzyl-3-{[2-(3-fluorophenyl)ethyl] amino}-2-hydroxypropyl carbamate
87	H_2N-$(CH_2)_2$-phenyl-4-F	tert-butyl (1S,2R)-1-benzyl-3-{[2-(4-fluorophenyl)ethyl] amino}-2-hydroxypropyl carbamate

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
88	H_2N-$(CH_2)_2$-phenyl-4-Br	tert-butyl (1S,2R)-1-benzyl-3-{[2-(4-bromophenyl)ethyl] amino}-2-hydroxypropyl carbamate
89	H_2N-$(CH_2)_2$-phenyl-3-OCH_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(3-methoxyphenyl)ethyl]amino} propylcarbamate
90	H_2N-$(CH_2)_2$-phenyl-2,4-dichloro	tert-butyl (1S,2R)-1-benzyl-3-{[2-(2,4-dichlorophenyl)ethyl]amino}-2-hydroxypropylcarbamate
91	H_2N-$(CH_2)_2$-phenyl-3-Cl	tert-butyl (1S,2R)-1-benzyl-3-{[2-(3-chlorophenyl)ethyl]amino}-2-hydroxypropylcarbamate
92	H_2N-$(CH_2)_2$-phenyl-2,5-dimethoxy	tert-butyl (1S,2R)-1-benzyl-3-{[2-(2,5-dimethoxyphenyl) ethyl]amino}-2-hydroxypropylcarbamate
93	H_2N-$(CH_2)_2$-phenyl-4-CH_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-methylphenyl)ethyl]amino}pr opylcarbamate
94	H_2N-CH(-(R)CH_2-OH)-CH_2-phenyl	tert-butyl (1S,2R)-1-benzyl-3-{[(1R)-1-benzyl-2-hydroxyethyl]amino}-2-hydroxypropylcarbamate
95	H_2N-$(CH_2)_3$-(1-morpholinyl)	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[3-(4-morpholinyl)propyl]amino}pr

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
		opylcarbamate
96	H_2N-CH_2-$C(CH_3)_2$	tert-butyl (1S,2R)-1-benzyl-3-[(3,3-dimethylbutyl)amino]-2-hydroxypropylcarbamate
97	H_2N-$(CH_2)_2$-(1-morpholinyl)	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-morpholinyl)ethyl]amino}propylcarbamate
98	H_2N-$CH(OH)$-CH_2-CH_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(1-hydroxypropyl)amino]propylcarbamate
99	H_2N-$(CH_2)_2$-(thien-2-yl)	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-thienylmethyl)amino]propylcarbamate
100	H_2N-$(CH_2)_4$-OH	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxybutyl)amino]propylcarbamate
101	H_2N-$CH(-(S)CH_2$-$OH)$-phenyl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-phenylethyl]amino}propylcarbamate
102	H_2N-CH_2-phenyl-2,4-dichloro	tert-butyl (1S,2R)-1-benzyl-3-[(2,4-dichlorobenzyl)amino]-2-hydroxypropylcarbamate
103	H_2N-$CH(-(R)CH_2$-$OH)$-phenyl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-2-hydroxy-1-phenylethyl]amino}propylcarbamate

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
104	H_2N-CH_2-phenyl-4-$C(CH_3)_3$	tert-butyl (1S,2R)-1-benzyl-3-[(4-tert-butylbenzyl)amino]-2-hydroxypropylcarbamate
105	H_2N-$CH(CH_3)$-phenyl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(1-phenylethyl)amino]propylcarbamate
106	H_2N-(1R,2S)-2-hydroxyinden-1-yl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propylcarbamate
107	H_2N-CH_2-phenyl-3,4-dimethyl	tert-butyl (1S,2R)-1-benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropylcarbamate

EXAMPLEs 108-164

Following the general procedure of EXAMPLE 4 and making non-critical variations but reacting tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3) with the C-terminal amine (VI) of Column A, the protected alcohol (VII) of Column B is obtained.

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
108	H_2N-$(CH_2)_6$-CO-O-CH_3	methyl 7-{[(2R,3S)-3-[(tert-butoxycarbonyl)amino]-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}heptanoate
109	H_2N-$CH(-CH_3)$-CO-NH-CH_2-$CH(CH_3)_2$ r/s	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
		{[2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propylcarbamate
110	H_2N-CH((S)-CH_3)-CO-NH-CH_2-CH(CH_3)$_2$	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propylcarbamate
111	H_2N-C(-CH_3)$_2$-CO-NH-CH_2-CH(CH_3)$_2$	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1,1-dimethyl-2-oxoethyl]amino}propylcarbamate
112	H_2N-CH_2-CO-NH-CH_2-CH(CH_3)$_2$	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-2-oxoethyl]amino}propylcarbamate
113	H_2N-CH((S)-CH_2CH_3)-CO-NH-CH_2-CH(CH_3)$_2$	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]propyl}amino)propylcarbamate
114	H_2N-CH((R)-CH_2CH_3)-CO-NH-CH_2-CH(CH_3)$_2$	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]propyl}amino)propylcarbamate

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
115	H_2N-CH_2-phenyl	tert-butyl (1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate
116	H_2N-CH_2-CH_3	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-(ethylamino)-2-ydroxypropylcarbamate
117	H_2N-CH_2-$CH(CH_3)_2$	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isobutylamino)propylcarbamate
118	H_2N-CH_2-$CH(CH_3)$-CONH-CH_2-$CH(CH_3)_2$	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isobutylamino)-2-methyl-3-oxopropyl]amino}propylcarbamate
119	H_2N-CH_2-phenyl-4-$N(CH_3)_2$	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-{[4-(dimethylamino)benzyl]amino}-2-hydroxypropylcarbamate
120	H_2N-CH((S)-CH_2-phenyl)-CO-NH-CH_2-$CH(CH_3)_2$	tert-butyl (1S,2R)-3-{[(1S)-1-(3,5-difluorobenzyl)-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate
121	H_2N-CH((S)-$CH(CH_3)_2$)-CO-NH-CH_2-$CH(CH_3)_2$	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
		[(isobutylamino)carbonyl]-3-methylbutyl}amino)propylcarbamate
122	H_2N-CH_2-CH_2-$N(CH_3)_2$	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-{[2-(dimethylamino)ethyl]amino}-2-hydroxypropylcarbamate
123	H_2N-CH_2-(pyridin-3-yl)	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-pyridinylmethyl)amino]propylcarbamate
124	H_2N-CH((S)-CH_2-O-CH_2-phenyl)-CO-NH-CH_2-$CH(CH_3)_2$	tert-butyl (1S,2R)-3-{[(1S)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate
125	H_2N-C(-CH_3)$_2$-phenyl	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propylcarbamate
126	H_2N-CH((R)-$CH(CH_3)_2$)-CO-NH-CH_2-$CH(CH_3)_2$	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]-3-methylbutyl}amino)propylcarbamate
127	H_2N-CH((S)-CH_2-CH_2-CH_3)-CO-NH-CH_2-	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
	$CH(CH_3)_2$	({(1S)-1-[(isobutylamino)carbonyl]butyl}amino)propylcarbamate
128	H_2N-CH((S)-CH_2-OH)-CO-NH-CH_2-$CH(CH_3)_2$	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propylcarbamate
129	H_2N-CH_2-CH_2-phenyl	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylethyl)amino]propylcarbamate
130	H_2N-CH((S)-CH_3)-CO-NH-CH_2-phenyl	tert-butyl (1S,2R)-3-{[2-(benzylamino)-1-methyl-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate
131	H_2N-CH((S)-CH_2-CH_3)-phenyl	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1S)-2-(benzylamino)-1-methyl-2-oxoethyl]amino}-2-hydroxypropylcarbamate
132	H_2N-CH_2-phenyl-3-OCH_3	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate
133	H_2N-CH((S)-phenyl)CO-NH$CH_2CH(CH_3)_2$	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
		{[(1S)-2-(isobutylamino)-2-oxo-1-phenylethyl]amino}propylcarbamate
134	H_2N-CH_2-CH_2-$CH(CH_3)_2$	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propylcarbamate
135	H_2N-cyclohexyl	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-(cyclohexylamino)-2-hydroxypropylcarbamate
136	H_2N-$(CH_2)_3$-CH_3	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-(butylamino)-2-hydroxypropylcarbamate
137	H_2N-$(CH_2)_3$-O-CH_3	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxypropyl)amino]propylcarbamate
138	H_2N-CH_2-CH(OH)-phenyl	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propylcarbamate
139	H_2N-cyclohexyl-3,5-dimethoxy	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3R,5S)-3,5-dimethoxycyclohexyl]amino}-2-hydroxypropylcarbamate

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
140	H_2N-cyclohexyl-3,5-di-(-CO-OCH_3)	dimethyl (1R,3S)-5-({(2R,3S)-3-[(tert-butoxycarbonyl)amino]-2-hydroxy-4-phenylbutyl}amino)-1,3-cyclohexanedicarboxylate
141	H_2N-cyclohexyl-3,5-di-(-COOH)	(1R,3S)-5-({(2R,3S)-3-[(tert-butoxycarbonyl)amino]-2-hydroxy-4-phenylbutyl}amino)-1,3-cyclohexanedicarboxylic acid
142	H_2N-CH((R)-CH_2-CH_3)-phenyl	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R)-1-phenylpropyl]amino}propylcarbamate
143	H_2N-CH_2-phenyl-3-Cl	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-chlorobenzyl)amino]-2-hydroxypropylcarbamate
144	H_2N-CH_2-phenyl-3-OCH_3	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl carbamate
145	H_2N-CH_2-phenyl-phenyl	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-2-hydroxypropylcarbamate
146	H_2N-CH_2-phenyl-3-I	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
		[(3-iodobenzyl)amino]propylcarbamate
147	H_2N-CH_2-phenyl-3-CH_3	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methylbenzyl)amino]propylcarbamate
148	H_2N-CH_2-CH(-CH_3)-phenyl	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylpropyl)amino]propylcarbamate
149	H_2N-CH_2-(thiazol-5-yl)	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propylcarbamate
150	H_2N-CH_2-(thien-2-yl)	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-thienylmethyl)amino]propylcarbamate
151	H_2N-4-methoxytetralin-1-yl	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propylcarbamate
152	H_2N-CH_2-pyrazin-2-yl	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
		[(2-pyrazinylmethyl)amino]propylcarbamate
153	H_2N-CH_2-phenyl-3,5-difluoro	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropylcarbamate
154	H_2N-CH_2-phenyl-3,4-methylenedioxy	tert-butyl (1S,2R)-3-[(1,3-benzodioxol-5-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate
155	H_2N-CH_2-phenyl-3,5-dimethoxy	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropylcarbamate
156	H_2N-CH_2-phenyl-3-CF_3	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propylcarbamate
157	H_2N-CH_2-(furan-2-yl)	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropylcarbamate
158	H_2N-(7-methoxytetralin-1-yl)	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propylcarbamate

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
159	H_2N-CH_2-phenyl-3-O-CF_3	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propylcarbamate
160	H_2N-CH_2-phenyl-3-F	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-fluorobenzyl)amino]-2-hydroxypropylcarbamate
161	H_2N-CH_2-phenyl-3-O-$CH(CH_3)_2$	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propylcarbamate
162	H_2N-CH_2-phenyl-3-Br	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-bromobenzyl)amino]-2-hydroxypropylcarbamate
163	H_2N-CH_2-(5-methylfuran-2-yl)	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-methyl-2-furyl)methyl]amino}propylcarbamate
164	H_2N-(5-methoxytetralin-1-yl)	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propylcarbamate

EXAMPLE 165 tert-Butyl-(1S, 2R)-3-azido-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate (XII)

Sodium azide (0.22 g, 4 mmole) and ammonium chloride (2 eq) are added to tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3, 0.6 g, 2 mmole). The reaction is heated to 75-80 degrees C and stirred for 16 hours. The reaction is monitored by TLC to insure completion. The solvent is removed under reduced pressure. The concentrate is partitioned between ethyl acetate and water, the phases are separated and the organic phase is washed with bicarbonate and saline, dried over anhydrous sodium sulfate and concentrated to give the title compound, TLC (ethyl acetate/hexane) $R_f = 0.45$; MS (MH^+) = 343.

EXAMPLE 166 (2R, 3S)-3-amino-1-azido-4-(3,5-difluorophenyl)-2-butanol (XIV)

tert-Butyl-(1S, 2R)-3-azido-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate (XII, EXAMPLE 165, 0.48 g, 1.41 mmole) is dissolved in dichloromethane (20 ml) to which trifluoroacetic acid (5 ml) is added. The reaction is stirred at 20-25 degrees C for 16 hours and the solvent is removed under reduced pressure with heat. Ethyl acetate is added twice and evaporated twice to give the title compound as the trifluoroacetic acid salt which is used in the next reaction without further purification; MS (MH^+) = 242.

EXAMPLE 167 N^1-[(1S,2R)-3-azido-1-(3,5-difluorobenzyl)-2-hydroxypropyl]5-methyl-N^3,N^3-dipropylisophthalamide (XV)

To (2R, 3S)-3-amino-1-azido-4-(3,5-difluorophenyl)-2-buta (XIV, EXAMPLE 166, 0.34 g, 1.4 mmole) in dichloromethane (20 ml) is added N,N-dipropylamidoisophthalic acid (IX, 0.53 g, 2 mmole), *t*-butyl alcohol (0.27 g, 2 mmole) and triethylamine (0.84 ml, 6 mmole) and ethyl-1-(3-dimethylaminopropyl)carbodiimide (0.58 g, 3 mmole). The mixture is stirred at 20-25 degrees C for 16 hours. The reaction is monitored by TLC (methanol/dichloromethane, 20/80 + ethyl acetate/hexane, 50/50; $R_f = 0.76$). When the reaction is complete as measured by TLC, the reaction mixture is partitioned between dichloromethane and water, washed with hydrochloric acid (0.5 N), bicarbonate, saline, dried over anhydrous sodium sulfate and the solvent is removed under reduced pressure with heat to produce a concentrate. The concentrate is

column chouromatographed on silica gel to give the title compound; MS (MH^+) = 488.

EXAMPLE 168 N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide acetic acid salt (XVI)

N^1-[(1S,2R)-3-azido-1-(3,5-difluorobenzyl)-2-hydroxypropyl]5-methyl-N^3,N^3-dipropylisophthalamide (XV, EXAMPLE 167, 0.3 g, 0.62 mmole) in ethyl acetate (20 ml) and acetic acid (5ml) is placed in a Parr pressure bottle. Palladium on carbon (10%, 5 g) is added and the mixture shaken under hydrogen at 50 psi for 2 hours. The mixture is filtered through a diatomaceous earth and the filtrate is concentrated to give the title compound; MS (MH^+) = 462.

EXAMPLE 169 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide acetic acid salt (XVI, EXAMPLE 168, 76 mg, 0.146 mmol) is dissolved in absolute ethanol (2 mL). 3-Furaldehyde (20 microL, 0.231 mmol) and triethylamine (30 microL, 0.215 mmol) are added via syringe, with stirring at 20-25 degrees C. After 10 minutes, palladium on carbon 122 mg, 5 weight %) is added and the mixture placed under a hydrogen atmosphere (50 psi) and shaken for 20 minutes. The resulting mixture is then filtered through diatomaceous earth, with ethanol washings. The filtrate is purified by flash chromatography (2-10% methanol/methylene chloride) to give purified title compound, MS (MH^+) = 542.2.

EXAMPLE 169a tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1S)-2-(ethylamino)-1-methyl-2-oxoethyl]amino}-2-hydroxypropylcarbamate (VII)

Following the general procedure of EXAMPLEs 4 and 14-164 and making non-critical variations and reacting tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3) with (2S)-2-amino-N-ethylpropanamide (VI), the title compound is obtained.

EXAMPLEs 170-320

Following the general procedure of EXAMPLE 5 and making non-critical variations but starting with the protected alcohol (VII) of Column A, the amine (VIII) of Column B is obtained.

EXA	Column A Protected Alcohol (VII) Compound of EXAMPLE	Column B Amine (VIII)
170	14	(2R,3S)-3-amino-1-(ethylamino)-4-phenyl-2-butanol
171	15	(2R,3S)-3-amino-1-(benzylamino)-4-phenyl-2-butanol
172	16	(2R,3S)-3-amino-1-(isopropylamino)-4-phenyl-2-butanol
173	17	(2R,3S)-3-amino-1-[(4-methylbenzyl)amino]-4-phenyl-2-butanol
174	18	(2R,3S)-3-amino-1-{[2-(4-methoxyphenyl)ethyl]amino}-4-phenyl-2-butanol
175	19	(2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol
176	20	ethyl {[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino}(phenyl)acetate
177	21	(2R,3S)-3-amino-4-phenyl-1-[(2-phenylethyl)amino]-2-butanol
178	22	(2S)-2-{[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino}-1-(4-nitorphenyl)-1,3-propanediol
179	23	(2R,3S)-3-amino-1-[(2-chlorobenzyl)amino]-4-phenyl-2-butanol
180	24	(2R,3S)-3-amino-1-[(4-chlorobenzyl)amino]-4-phenyl-2-butanol

EXA	Column A Protected Alcohol (VII) Compound of EXAMPLE	Column B Amine (VIII)
181	25	(2R,3S)-3-amino-1-{[2-(2-hydroxyethoxy)ethyl]amino}-4-phenyl-2-butanol
182	26	(2R,3S)-3-amino-1-(2,3-dihydro-1H-inden-1-ylamino)-4-phenyl-2-butanol
183	27	(2R,3S)-3-amino-1-[(2-hydroxypropyl)amino]-4-phenyl-2-butanol
184	28	(2R,3S)-3-amino-4-phenyl-1-[(tetrahydro-2-furanylmethyl)amino]-2-butanol
185	29	(2R,3S)-3-amino-1-[(2,2-diethoxyethyl)amino]-4-phenyl-2-butanol
186	30	(2R,3S)-3-amino-1-(butylamino)-4-phenyl-2-butanol
187	31	(2R,3S)-3-amino-1-(cyclohexylamino)-4-phenyl-2-butanol
188	32	(2R,3S)-3-amino-4-phenyl-1-[(2-pyridinylmethyl)amino]-2-butanol
189	33	(2R,3S)-3-amino-1-[(2-aminobenzyl)amino]-4-phenyl-2-butanol
190	34	(2R,3S)-3-amino-4-phenyl-1-[(3-pyridinylmethyl)amino]-2-butanol
191	35	(2R,3S)-3-amino-4-phenyl-1-{[2-(1-pyrrolidinyl)ethyl]amino}-2-butanol
192	36	(2R,3S)-3-amino-1-[(2-hydroxy-2-phenylethyl)amino]-4-phenyl-2-butanol
193	37	(2R,3S)-3-amino-1-[(3-butoxypropyl)amino]-4-phenyl-2-butanol
194	38	(2R,3S)-3-amino-1-[(3-

EXA	Column A Protected Alcohol (VII) Compound of EXAMPLE	Column B Amine (VIII)
		isopropoxypropyl)amino]-4-phenyl-2-butanol
195	39	(2R,3S)-3-amino-1-(isopentylamino)-4-phenyl-2-butanol
196	40	(2R,3S)-3-amino-4-phenyl-1-[(3-phenylpropyl)amino]-2-butanol
197	41	(2R,3S)-3-amino-1-[(2-methoxyethyl)amino]-4-phenyl-2-butanol
198	42	(2R,3S)-3-amino-1-[(2-phenoxyethyl)amino]-4-phenyl-2-butanol
199	43	(2R,3S)-3-amino-4-phenyl-1-[(2-propoxyethyl)amino]-2-butanol
200	44	(2R,3S)-3-amino-1-[(3,3-dimethylbutyl)amino]-4-phenyl-2-butanol
201	45	(2R,3S)-3-amino-4-phenyl-1-[(4-phenylbutyl)amino]-2-butanol
202	46	(2R,3S)-3-amino-1-[(3-iodobenzyl)amino]-4-phenyl-2-butanol
203	47	(2R,3S)-3-amino-1-[(4-nitrobenzyl)amino]-4-phenyl-2-butanol
204	48	(2R,3S)-3-amino-1-[(3-chlorobenzyl)amino]-4-phenyl-2-butanol
205	49	(2R,3S)-3-amino-1-{[2-(4-chlorophenyl)ethyl]amino}-4-phenyl-2-butanol
206	50	(2R,3S)-3-amino-4-phenyl-1-{[2-(2-pyridinyl)ethyl]amino}-2-butanol
207	51	(2R,3S)-3-amino-4-phenyl-1-[(4-pyidinylmethyl)amino]-2-butanol
208	52	(2R,3S)-3-amino-1-{[2-(1-methyl-2-

EXA	Column A Protected Alcohol (VII) Compound of EXAMPLE	Column B Amine (VIII)
		pyrrolidinyl)ethyl]amino}-4-phenyl-2-butanol
209	53	(2R,3S)-3-amino-1-[(2,3-dimethylbenzyl)amino]-4-phenyl-2-butanol
210	54	(2R,3S)-3-amino-4-phenyl-1-{[2-(trifluoromethoxy)benzyl]amino}-2-butanol
211	55	(2R,3S)-3-amino-1-[(2-chloro-6-phenoxybenzyl)amino]-4-phenyl-2-butanol
212	56	(2R,3S)-3-amino-4-phenyl-1-{[4-(trifluoromethyl)benzyl]amino}-2-butanol
213	57	(2R,3S)-3-amino-1-[(2,3-dichlorobenzyl)amino]-4-phenyl-2-butanol
214	58	(2R,3S)-3-amino-1-[(3,5-dichlorobenzyl)amino]-4-phenyl-2-butanol
215	59	(2R,3S)-3-amino-1-[(3,5-difluorobenzyl)amino]-4-phenyl-2-butanol
216	60	(2R,3S)-3-amino-4-phenyl-1-{[4-(trifluoromethoxy)benzyl]amino}-2-butanol
217	61	4-({[(2R,3S)-3-amino-2-hydroxy-4-phenyl butyl]amino}methyl)benzenesulfonamide
218	62	(2R,3S)-3-amino-1-[(4-methoxybenzyl)amino]-4-phenyl-2-butanol
219	63	(2R,3S)-3-amino-1-[(4-methylbenzyl)amino]-4-phenyl-2-butanol
220	64	(2R,3S)-3-amino-4-phenyl-1-[(3,4,5-trimethoxybenzyl)amino]-2-butanol
221	65	(2R,3S)-3-amino-4-phenyl-1-{[3-(trifluoromethoxy)benzyl]amino}-2-butanol
222	66	(2R,3S)-3-amino-1-[(3,5-

EXA	Column A Protected Alcohol (VII) Compound of EXAMPLE	Column B Amine (VIII)
		dimethoxybenzyl)amino]-4-phenyl-2-butanol
223	67	(2R,3S)-3-amino-1-[(2,4-dimethoxybenzyl)amino]-4-phenyl-2-butanol
224	68	(2R,3S)-3-amino-1-[([1,1'-biphenyl]-3-ylmethyl)amino]-4-phenyl-2-butanol
225	69	(2R,3S)-3-amino-1-[(3,4-dichlorobenzyl)amino]-4-phenyl-2-butanol
226	70	(2R,3S)-3-amino-1-[(2-fluorobenzyl)amino]-4-phenyl-2-butanol
227	71	(2R,3S)-3-amino-4-phenyl-1-{[3-(trifluoromethyl)benzyl]amino}-2-butanol
228	72	(2R,3S)-3-amino-1-[(2-methylbenzyl)amino]-4-phenyl-2-butanol
229	73	(2R,3S)-3-amino-4-phenyl-1-{[(1R)-1-phenylethyl]amino}-2-butanol
230	74	(2R,3S)-3-amino-4-phenyl-1-{[(1S)-1-phenylethyl]amino}-2-butanol
231	75	(2R,3S)-3-amino-1-{[3,5-bis(trifluoromethyl)benzyl]amino}-4-phenyl-2-butanol
232	76	(2R,3S)-3-amino-4-phenyl-1-{[2-(trifluoromethyl)benzyl]amino}-2-butanol
233	77	(2R,3S)-3-amino-1-{[(1S)-1-(1-naphthyl)ethyl]amino}-4-phenyl-2-butanol
234	78	(2R,3S)-3-amino-1-{[(1R)-1-(1-naphthyl)ethyl]amino}-4-phenyl-2-butanol
235	79	4-({[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino}methyl)-2-methoxyphenol

EXA	Column A Protected Alcohol (VII) Compound of EXAMPLE	Column B Amine (VIII)
236	80	4-({[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino}methyl)-1,2-benzenediol
237	81	(2R,3S)-3-amino-1-[(3-methoxypropyl)amino]-4-phenyl-2-butanol
238	82	(2R,3S)-3-amino-1-{[(1S)-2-hydroxy-1-methylethyl]amino}-4-phenyl-2-butanol
239	83	(2R,3S)-3-amino-1-{[(1R)-2-hydroxy-1-methylethyl]amino}-4-phenyl-2-butanol
240	84	(2R,3S)-3-amino-4-phenyl-1-(2-propynylamino)-2-butanol
241	85	(2R,3S)-3-amino-1-{[2-(2-fluorophenyl)ethyl]amino}-4-phenyl-2-butanol
242	86	(2R,3S)-3-amino-1-{[2-(3-fluorophenyl)ethyl]amino}-4-phenyl-2-butanol
243	87	(2R,3S)-3-amino-1-{[2-(4-fluorophenyl)ethyl]amino}-4-phenyl-2-butanol
244	88	(2R,3S)-3-amino-1-{[2-(4-bromophenyl)ethyl]amino}-4-phenyl-2-butanol
245	89	(2R,3S)-3-amino-1-{[2-(3-methoxyphenyl)ethyl]amino}-4-phenyl-2-butanol
246	90	(2R,3S)-3-amino-1-{[2-(2,4-dichlorophenyl)ethyl]amino}-4-phenyl-2-butanol
247	91	(2R,3S)-3-amino-1-{[2-(3-chlorophenyl)ethyl]amino}-4-phenyl-2-butanol
248	92	(2R,3S)-3-amino-1-{[2-(2,5-dimethoxyphenyl)ethyl]amino}-4-phenyl-2-

EXA	Column A Protected Alcohol (VII) Compound of EXAMPLE	Column B Amine (VIII)
		butanol
249	93	(2R,3S)-3-amino-1-{[2-(4-methylphenyl)ethyl]amino}-4-phenyl-2-butanol
250	94	(2R,3S)-3-amino-1-{[(1R)-1-benzyl-2-hydroxyethyl]amino}-4-phenyl-2-butanol
251	95	(2R,3S)-3-amino-1-{[3-(4-morpholinyl)propyl]amino}-4-phenyl-2-butanol
252	96	(2R,3S)-3-amino-1-(isobutylamino)-4-phenyl-2-butanol
253	97	(2R,3S)-3-amino-1-{[2-(4-morpholinyl)ethyl]amino}-4-phenyl-2-butanol
254	98	(2R,3S)-3-amino-4-phenyl-1-[(2-hydroxybutyl)amino]-2-butanol
255	99	(2R,3S)-3-amino-4-phenyl-1-{[2-(2-thienyl)ethyl]amino}-2-butanol
256	100	4-{[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino}-1-butanol
257	101	(2R,3S)-3-amino-1-{[(1S)-2-hydroxy-1-phenylethyl]amino}-4-phenyl-2-butanol
258	102	(2R,3S)-3-amino-1-[(2,4-dichlorobenzyl)amino]-4-phenyl-2-butanol
259	103	(2R,3S)-3-amino-1-{[(1R)-2-hydroxy-1-phenylethyl]amino}-4-phenyl-2-butanol
260	104	(2R,3S)-3-amino-1-[(4-tert-butylbenzyl)amino]-4-phenyl-2-butanol
261	105	(2R,3S)-3-amino-4-phenyl-1-[(1-phenylethyl)amino]-2-butanol
262	106	(1R,2S)-1-{[(2R,3S)-3-amino-2-hydroxy-4-

EXA	Column A Protected Alcohol (VII) Compound of EXAMPLE	Column B Amine (VIII)
		phenylbutyl]amino}-2,3-dihydro-1H-inden-2-ol
263	107	(2R,3S)-3-amino-1-[(3,4-dimethylbenzyl)amino]-4-phenyl-2-butanol
264	108	methyl 7-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}heptanoate
265	109	2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutylpropanamide
266	110	(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutylpropanamide
267	111	2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-2-methylpropanamide
268	112	2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutylacetamide
269	113	(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutylbutanamide
270	114	(2R)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutylbutanamide
271	115	(2R,3S)-3-amino-1-(benzylamino)-4-(3,5-difluorophenyl)-2-butanol
272	116	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-(ethylamino)-2-butanol
273	117	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-(isobutylamino)-2-butanol

EXA	Column A Protected Alcohol (VII) Compound of EXAMPLE	Column B Amine (VIII)
274	118	3-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-2-methylpropanamide
275	119	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[4-(dimethylamino)benzyl]amino}-2-butanol
276	120	(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-3-phenylpropanamide
277	121	(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-3-methylbutanamide
278	122	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[2-(dimethylamino)ethyl]amino}-2-butanol
279	123	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-pyridinylmethyl)amino]-2-butanol
280	124	(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-3-(benzyloxy)-N-isobutylpropanamide
281	125	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(1-methyl-1-phenylethyl)amino]-2-butanol
282	126	(2R)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-3-methylbutanamide
283	127	(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutylpentanamide
284	128	(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-3-

EXA	Column A Protected Alcohol (VII) Compound of EXAMPLE	Column B Amine (VIII)
		hydroxy-N-isobutylpropanamide
285	129	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-phenylethyl)amino]-2-butanol
286	130	(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-benzylpropanamide
287	131	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[(1S)-1-phenylpropyl]amino}-2-butanol
287a	169a	(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-ethylpropanamide
288	132	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol
289	133	(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-2-phenylethanamide
290	134	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-(isopentylamino)-2-butanol
291	135	(2R,3S)-3-amino-1-(cyclohexylamino)-4-(3,5-difluorophenyl)-2-butanol
292	136	(2R,3S)-3-amino-1-(butylamino)-4-(3,5-difluorophenyl)-2-butanol
293	137	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxypropyl)amino]-2-butanol
294	138	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-hydroxy-2-phenylethyl)amino]-2-butanol
295	139	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[(3R,5S)-3,5-dimethoxycyclohexyl]amino}-2-

EXA	Column A Protected Alcohol (VII) Compound of EXAMPLE	Column B Amine (VIII)
		butanol
296	140	dimethyl (1R,3S)-5-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylate
297	141	(1R,3S)-5-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylic acid
298	142	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[(1R)-1-phenylpropyl]amino}-2-butanol
299	143	(2R,3S)-3-amino-1-[(3-chlorobenzyl)amino]-4-(3,5-difluorophenyl)-2-butanol
300	144	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol
301	145	(2R,3S)-3-amino-1-[([1,1'-biphenyl]-3-ylmethyl)amino]-4-(3,5-difluorophenyl)-2-butanol
302	146	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-iodobenzyl)amino]-2-butanol
303	147	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methylbenzyl)amino]-2-butanol
304	148	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-phenylpropyl)amino]-2-butanol
305	149	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(1,3-thiazol-5-ylmethyl)amino]-2-butanol
306	150	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-thienylmethyl)amino]-2-butanol
307	151	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(5-methoxy-1,2,3,4-tetrahydro-1-

EXA	Column A Protected Alcohol (VII) Compound of EXAMPLE	Column B Amine (VIII)
		naphthalenyl)amino]-2-butanol
308	152	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-pyrazinylmethyl)amino]-2-butanol
309	153	(2R,3S)-3-amino-1-[(3,5-difluorobenzyl)amino]-4-(3,5-difluorophenyl)-2-butanol
310	154	(2R,3S)-3-amino-1-[(1,3-benzodioxol-5-ylmethyl)amino]-4-(3,5-difluorophenyl)-2-butanol
311	155	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3,5-dimethoxybenzyl)amino]-2-butanol
312	156	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[3-(trifluoromethyl)benzyl]amino}-2-butanol
313	157	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-furylmethyl)amino]-2-butanol
314	158	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]-2-butanol
315	159	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[3-(trifluoromethoxy)benzyl]amino}-2-butanol
316	160	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-fluorobenzyl)amino]-2-butanol
317	161	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-isopropoxybenzyl)amino]-2-butanol
318	162	(2R,3S)-3-amino-1-[(3-bromobenzyl)amino]-4-(3,5-difluorophenyl)-2-butanol
319	163	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(5-methyl-2-furylmethyl)amino]-2-butanol

EXA	Column A Protected Alcohol (VII) Compound of EXAMPLE	Column B, Amine (VIII)
320	164	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]-2-butanol

EXAMPLEs 321-473

Following the general procedure of EXAMPLE 6 and making non-critical variations but starting with the amine (VIII) of Column A and reacting it with the amide forming agent (IX) of Column B, the substituted amine (X) of Column C is obtained.

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
321	170	"PHTH"	N^1-[(1S,2R)-1-benzyl-3-(ethylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide	MH+ = 440.7
322	171	"PHTH"	N^1-[(1S,2R)-1-benzyl-3-(benzylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide	MH+ = 502.7
323	172	"PHTH"	N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(isopropylamino)propyl]-N^3,N^3-dipropylisophthalamide	MH+ = 454.6
324	173	"PHTH"	N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(4-toluidino)propyl]-N^3,N^3-dipropylisophthalamide	MH+ = 502.2
325	174	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-methoxyphenyl)ethyl]amino}propyl)-N^3,N^3-ipropylisophthalamide	MH+ = 546
326	175	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 532
327	176	"PHTH"	ethyl {[(3S)-3-({3-[(dipropylamino)	MH+ =

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
			carbonyl] benzoyl}amino)-2-hydroxy-4-phenylbutyl]amino}(phenyl)acetate	574
329	178	"PHTH"	N^1-((1S)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-(hydroxymethyl)-2-(4-nitrophenyl)ethyl]amino}propyl) - N^3,N^3-dipropylisophthalamide	MH+ = 607
330	179	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(2-chlorobenzyl)amino]-2-hydroxypropyl} -N^3,N^3-dipropylisophthalamide	MH+ = 537
331	180	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(4-chlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH+ = 537
332	181	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-hydroxyethoxy) ethyl] amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 500
333	182	"PHTH"	N^1-[(1S,2R)-1-benzyl-3-(2,3-dihydro-1H-inden-1-ylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide	MH+ = 528
334	183	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxypropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 470
335	184	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 496
336	185	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(2,2-diethoxyethyl)amino]-2-hydroxypropyl} -N^3,N^3-dipropylisophthalamide	MH+ = 528
337	186	"PHTH"	N^1-[(1S,2R)-1-benzyl-3-	MH+ =

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
			(butylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide	468
338	187	"PHTH"	N^1-[(1S,2R)-1-benzyl-3-(cyclohexylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide	MH+ = 494
339	188	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 507
340	189	"PHTH"	N^1-{(1S,2R)-3-[(2-aminobenzyl)amino]-1-benzyl-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH+ = 517
341	190	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 503
342	191	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(1-pyrrolidinyl)ethyl] amino} propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 509
343	192	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 532
344	193	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(3-butoxypropyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH+ = 526
345	194	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-isopropoxypropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 512
346	195	"PHTH"	N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propyl]-N^3,N^3-dipropylisophthalamide	MH+ = 482
347	196	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-	MH+ =

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
			[(3-phenylpropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	530
348	197	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 470
349	198	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 532
350	199	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 498
351	200	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(3,3-dimethylbutyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH+ = 496
352	201	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-phenylbutyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 544
353	202	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 628
354	203	"PHTH"	N^1-{(1S)-1-benzyl-2-hydroxy-3-[(4-nitrobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 547
355	204	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(3-chlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH+ = 537
356	205	"PHTH"	N^1-((1S,2R)-1-benzyl-3-{[2-(4-chlorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide	MH+ = 551
357	206	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-pyridinyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 517

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
358	207	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-pyridinylmethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH^+ = 503
359	208	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(1-methyl-2-pyrrolidinyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 523
360	209	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(2,3-dimethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH+ = 580
361	210	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethoxy) benzyl] amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 586
362	211	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(2-chloro-6-phenoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH+ = 629
363	212	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[4-(trifluoromethyl)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 570
364	213	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(2,3-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH+ = 571
365	214	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH+ = 571
366	215	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH+ = 538
367	216	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-	MH+ =

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
			{[4-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	586
368	217	"PHTH"	N^1-[(1S,2R)-3-({2-[4-(aminosulfonyl)phenyl]ethyl}amino)-1-benzyl-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide	MH+ = 595
369	218	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 532
370	219	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-methylbenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 516
371	220	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3,4,5-trimethoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 592
372	221	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 586
373	222	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH+ = 562
374	223	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(2,4-dimethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH^+ = 562
375	224	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH+ = 578
376	225	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(3,4-dichlorobenzyl)amino]-2-	MH+ = 571

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
			hydroxypropyl}-N^3,N^3-dipropylisophthalamide	
377	226	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(2-fluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH+ = 520
378	227	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 570
379	228	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-methylbenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 516
380	229	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-phenylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 516
381	230	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-1-phenylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 516
382	231	"PHTH"	N^1-((1S,2R)-1-benzyl-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide	MH+ = 638
383	232	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethyl)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 570
384	233	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-1-(1-naphthyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 566
385	234	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-(1-naphthyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 566

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
386	235	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxy-3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 548
387	236	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(3,4-dihydroxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH+ = 534
388	237	"PHTH"	N^1-{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 484
389	238	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-methylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 470
390	239	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-2-hydroxy-1-methylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 470
391	240	"PHTH"	N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(2-propynylamino)propyl]-N^3,N^3-dipropylisophthalamide	MH+ = 450
392	241	"PHTH"	N^1-((1S,2R)-1-benzyl-3-{[2-(2-fluorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide	MH+ = 534
393	242	"PHTH"	N^1-((1S,2R)-1-benzyl-3-{[2-(3-fluorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide	MH+ = 534
394	243	"PHTH"	N^1-((1S,2R)-1-benzyl-3-{[2-(4-fluorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide	MH+ = 534
395	244	"PHTH"	N^1-((1S,2R)-1-benzyl-3-{[2-(4-bromophenyl)ethyl]amino}-2-	MH+ = 595

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
			hydroxypropyl)-N^3,N^3-dipropylisophthalamide	
396	245	"PHTH"	N^1-((1S)-1-benzyl-2-hydroxy-3-{[2-(3-methoxyphenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 546
397	246	"PHTH"	N^1-((1S,2R)-1-benzyl-3-{[2-(2,4-dichlorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide	MH+ = 585
398	247	"PHTH"	N^1-((1S,2R)-1-benzyl-3-{[2-(3-chlorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide	MH+ = 551
399	248	"PHTH"	N^1-((1S)-1-benzyl-3-{[2-(2,5-dimethoxyphenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide	MH+ = 576
400	249	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-methylphenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 530
401	250	"PHTH"	N^1-((1S,2R)-1-benzyl-3-{[(1R)-1-benzyl-2-hydroxyethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide	MH^+ = 546
402	251	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(4-morpholinyl)propyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 539
403	252	"PHTH"	N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(isobutylamino)propyl]-N^3,N^3-dipropylisophthalamide	MH+ = 468
404	253	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-morpholinyl)ethyl]amino}propyl)-	MH+ = 525

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
			N^3,N^3-dipropylisophthalamide	
405	254	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxybutyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 484
406	255	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-thienyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 522
407	256	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxybutyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 484
408	257	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-phenylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 532
409	258	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(2,4-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH+ = 571
410	259	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-2-hydroxy-1-phenylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 532
411	260	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(4-tert-butylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH^+ = 558
412	261	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(1-phenylethyl)amino]propyl}-N^3,N^3- dipropylisophthalamide	MH^+ = 516
413	262	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH^+ = 544
414	263	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-	MH^+ = 530

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
			dipropylisophthalamide	
416	265	"PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 575
417	266	"PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 575
418	266	"3,5-pyridinyl"	N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide	MH+ = 576
419	267	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1,1-dimethyl-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 603
420	268	"5-Me-PH"	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide .	MH+ = 575.3
421	269	"5-Me-PHTH"	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 603.8
422	270	"5-Me-PHTH"	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 603.8
423	271	"5-Me-PHTH"	N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-	MH+ = 552.3

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
			methyl-N^3,N^3-dipropylisophthalamide	
424	272	"5-Me-PHTH"	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(ethylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 490.7
425	273	"5-Me-PHTH"	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isobutylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 518.0
426	274	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isobutylamino)-2-methyl-3-oxopropyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 603
427	275	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[4-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 595.8
428	276	"5-Me-PHTH"	N^1-[(1S,2R)-3-{[(1S)-1-benzyl-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 665.9
429	277	"5-Me-PHTH"	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]-2-methylpropyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 617.9
430	278	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[2-(dimethylamino)ethyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 533.3
431	279	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-	MH^+ = 553

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
			2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	
432	280	"5-Me-PHTH"	N^1-[(1S,2R)-3-{[(1S)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 695.9
433	281	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 580.8
434	282	"5-Me-PHTH"	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]-2-methylpropyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 617.9
435	283	"5-Me-PHTH"	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]butyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 617.9
436	284	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 605.8
437	285	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 566.8

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
438	286	"5-Me-PHTH"	N^1-[(1S,2R)-3-{[(1S)-2-(benzylamino)-1-methyl-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 623.2
439	287	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-phenylpropyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 580.8
440	287a	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1S)-2-(ethylamino)-1-methyl-2-oxoethyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 561.2
441	289	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-2-oxo-1-phenylethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 651.9
442	290	"5-Me-PHTH"	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 531.69
443	291	"5-Me-PHTH"	N^1-[(1S,2R)-3-(cyclohexylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 544.2
444	292	"5-Me-PHTH"	N^1-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 518.2
445	293	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-	MH+ = 534.2

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
			methoxypropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	
446	294	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 582
447	295	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3R,5S)-3,5-dimethoxycyclohexyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 604.2
448	296	"5-Me-PHTH"	dimethyl (1R,3S)-5-{[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylate	MH+ = 660.2
449	297	"5-Me-PHTH"	(1R,3S)-5-{[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylic acid	MH+ = 632.2
450	298	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R)-1-phenylpropyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 580.8
451	299	"5-Me-PHTH"	N^1-[(1S,2R)-3-[(3-chlorobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 586.3
452	300	"-SO_2-"	N-{(1S,2R)-1-(3,5-difluorobenzyl)-	MH+ =

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
			2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(2-propylpentyl)sulfonyl]benzamide	603.2
453	301	"5-Me-PHTH"	N^1-[(1S,2R)-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 628.8
454	302	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 678
455	303	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 566.8
456	304	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylpropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 694
457	305	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 559.5
458	306	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-thienylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 558.5
459	307	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-	MH+ = 814

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
			dipropylisophthalamide	
460	308	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyrazinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 554.3
461	309	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 588.7
462	310	"PHTH"	N^1-{(1S,2R)-3-[(1,3-benzodioxol-5-ylmethyl)amino]-1-benzyl-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH+ = 546
463	311	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 612.4
464	312	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 620.3
466	314	"5-Me-PHTH"	Racemic N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 814
467	315	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 636.3
468	316	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-fluorobenzyl)amino]-2-	MH+ = 570.3

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
			hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide	
469	317	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 610.4
470	318	"5-Me-PHTH"	N^1-[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 631.6
471	319	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-methyl-2-furyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 556
472	320	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide "isomer A"	MH+ = 622
473	320	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide "isomer B"	MH^+ = 622

EXAMPLE 474 N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(1,2,3,4-tetrahydro-1-naphthalenylamino)propyl]-N^3,N^3-dipropylisophthalamide (X)

Following the general procedures of EXAMPLEs 6 and 321-473 and making non-critical variations but starting with (2R,3S)-3-amino-4-phenyl-1-(1,2,3,4-tetrahydro-1-naphthalenylamino-2-butanol (VIII) and using "PHTH", the title compound is obtained, $MH^+ = 542.3$.

EXAMPLEs 475-483

Following the general procedure of EXAMPLE 6 and making non-critical variations but starting with the amine (VIII) of Column A and reacting it with the amide forming agent (IX) of Column B, the substitute amine (X) of Column C is obtained.

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
475	271	"5-OMe-PHTH"	N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methoxy-N^3,N^3-dipropylisophthalamide	MH^+ = 568.2
476	271	"PHTH"	N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide	MH^+ = 538.2
477	271	"5-Cl-PHTH"	N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-chloro-N^3,N^3-dipropylisophthalamide	MH^+ = 572.1
478	271	"3,5-pyridinyl"	N^3-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide	MH^+ = 539.5
479	271	"5-F-PHTH"	N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-fluoro-N^3,N^3-dipropylisophthalamide	MH^+ = 556.3
480	271	"thienyl"	N^2-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-2,5-thiophenedicarboxamide	MH^+ = 544.2
481	271	"2,4-pyridinyl"	N^4-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^2,N^2-dipropyl-2,4-pyridinedicarboxamide	MH^+ = 539.3

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
482	271	"4,6-pyrimidinyl"	N^4-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^6,N^6dipropyl-4,6-pyrimidinedicarboxamide	MH+ = 540
483	271	"morpholinyl"	N-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-(4-morpholinylcarbonyl)benzamide	MH+ = 552

EXAMPLE 484 N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide (X)

Following the general procedures of EXAMPLEs 4 and 14-107 and making non-critical variations but starting with tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V, commercially available) and NH_2-CH_2-phenyl-(3-CH_3) (VI), the corresponding protected alcohol (VII) is obtained.

Following the general procedure of EXAMPLEs 5 and 170-320 and making non-critical variations, the corresponding amine (VIII) is obtained.

Following the general procedure of EXAMPLEs 6 and 321-483 and making non-critical variations but using "PHTH" (IX), the title compound is obtained, MH^+ = 516.

EXAMPLE 485 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide (X)

Following the general procedure of EXAMPLEs 6 and making non-critical variations and using (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol (VIII, EXAMPLE 5) with 5-(dipropylamino)-5-oxopentanoic acid (IX), the title compound is obtained, MH^+ = 534.2.

EXAMPLE 486 N^1-[(1S,2R)-3-{[(1R)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedures of EXAMPLEs 124, 280 and 432 and making non-critical variations but using the "R" C-terminal amine (VI), the title compound is obtained, $MH^+ = 695$.

EXAMPLE 487 N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedures of EXAMPLEs 128, 284 and 436 and making non-critical variations but using the "R" C-terminal amine (VI), the title compound is obtained, $MH^+ = 719$.

EXAMPLE 488 N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(pentylamino)propyl]-N^3,N^3-dipropylisophthalamide (X)

Following the general procedures of EXAMPLEs 96, 252 and 403 and making non-critical variations but using NH_2-$(CH_2)_4$-CH_3 as the C-terminal amine (VI), the title compound is obtained, $MH^+ = 481$.

EXAMPLE 489 N^1-[(1S)-3-({2-[4-(aminosulfonyl)phenyl]ethyl}amino)-1-benzyl-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide (X)

Following the general procedures of EXAMPLEs 61, 217 and 368 and making non-critical variations but using racemic C-terminal amine (VI), the title compound is obtained, $MH^+ = 595$.

EXAMPLE 491 N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide (X)

Following the general procedure of EXAMPLE 457 and making non-critical variations and using (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(1,3-thiazol-5-ylmethyl)amino]-2-butanol (VIII, EXAMPLE 305) with "3,5-pyridinyl" as the amide forming agent (IX), the title compound is obtained.

EXAMPLE 492 3-Benzoyl-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}benzamide (X)

Following the general procedure of EXAMPLE 452 and making non-critical variations and using (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol trifluoroacetate (VIII, EXAMPLE 5) and reacting it with phenyl-CO-phenyl-CO-OH (IX) where the attachment to the –phenyl- ring is 1,3- for the carbonyl groups, the title compound is obtained, MH^+ = 545.2

EXAMPLE 493 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}[1,1'-biphenyl]-3-carboxamide (X)

Following the general procedure of EXAMPLE 452 and making non-critical variations and using (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol trifluoroacetate (VIII, EXAMPLE 5) and reacting it with phenyl-phenyl-CO-OH (IX) where the attachment to the middle –phenyl- ring is 1,3- for the carbonyl group and other –phenyl , the title compound is obtained, MH^+ = 517.2.

EXAMPLE 494 N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLE 452 and making non-critical variations and using (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol trifluoroacetate (VIII, EXAMPLE 5) and reacting it with $(CH_3\text{-}O\text{-}CH_2\text{-}CH_2\text{-})_2N\text{-}CO\text{--}CO\text{-}OH$ (IX) where the attachment to the -phenyl - ring is 1,3- for the carbonyl groups, the title compound is obtained, MH^+ = 570.3.

EXAMPLE 495 N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3-(2-methoxyethyl)-N^3-propylisophthalamide (X)

Following the general procedure of EXAMPLE 452 and making non-critical variations and using (2R,3S)-3-amino-1-(benzylamino)-4-(3,5-difluorophenyl)-2-butanol (VIII, EXAMPLE 271) and reacting it with $(CH_3\text{-}CH_2\text{-}CH_2\text{-})(CH_3\text{-}O\text{-}CH_2\text{-}$

CH_2-)N-CO-phenyl-CO-OH (IX) where the attachment to the –phenyl- ring is 1,3- for the carbonyl groups, the title compound is obtained, MH^+ = 554.5.

EXAMPLE 496 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-ethoxybenzamide (X)

Following the general procedure of EXAMPLE 452 and making non-critical variations and using (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol trifluoroacetate (VIII, EXAMPLE 5) and reacting it with CH_3-CH_2-O-phenyl-CO-OH (IX) where the attachment to the –phenyl- ring is 1,3- for the carbonyl and ethoxy group, the title compound is obtained, MH+ = 485

EXAMPLE 497 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-naphthamide (X)

Following the general procedure of EXAMPLE 452 and making non-critical variations and using (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol trifluoroacetate (VIII, EXAMPLE 5) and reactin*ortho* position, the title compound is obtained, MH+ = 491.

EXAMPLE 498 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3), 1,2,3,4-tetrahydro-1-naphthalenylamine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, MH^+ = 588.

EXAMPLE 499 N^1-[(1R)-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-

oxiranyl]ethylcarbamate (V, EXAMPLE 3), H_2N-CH_2-phenyl-(3,5-ditrifluoromethyl) (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 688$.

EXAMPLE 500 N^1-((1S,2R)-1-benzyl-3-{[2-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), H_2N-CH_2-phenyl-(2-fluoro-5-trifluoromethyl) (VI) and "PHTH" (IX), the title compound is obtained, $MH^+ = 588$.

EXAMPLE 501 N^1-{(1S,2R)-1-benzyl-3-[(2,3-difluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), H_2N-CH_2-phenyl-(2,3-difluoro) (VI) and "PHTH" (IX), the title compound is obtained, $MH^+ = 538$.

EXAMPLE 502 N^1-((1S,2R)-1-benzyl-3-{[3-fluoro-4-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), H_2N-CH_2-phenyl-(3-fluoro-4-trifluoromethyl) (VI) and "PHTH" (IX), the title compound is obtained, $MH^+ = 588$.

EXAMPLE 503 N^1-{(1S,2R)-1-benzyl-3-[(2,5-difluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), H_2N-CH_2-phenyl-(2,5-difluoro) (VI) and "PHTH" (IX), the title compound is obtained, $MH^+ = 538$.

EXAMPLE 504 N^1-((1S,2R)-1-benzyl-3-{[3-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), H_2N-CH_2-phenyl-(3-fluoro-5-trifluoromethyl) (VI) and "PHTH" (IX), the title compound is obtained, $MH^+ = 588$.

EXAMPLE 505 N^1-{(1S,2R)-1-benzyl-3-[(3,4-difluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), H_2N-CH_2-phenyl-(3,4-difluoro) (VI) and "PHTH" (IX), the title compound is obtained, $MH^+ = 538$.

EXAMPLE 506 N^1-((1S,2R)-1-benzyl-3-{[4-fluoro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), H_2N-CH_2-phenyl-(3-trifluoromethyl-4-fluoro) (VI) and "PHTH" (IX), the title compound is obtained, $MH^+ = 588$.

EXAMPLE 507 N^1-((1S,2R)-1-benzyl-3-{[2-chloro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), H_2N-CH_2-phenyl-(2-chloro-5-trifluoromethyl) (VI) and "PHTH" (IX), the title compound is obtained, $MH^+ = 605$.

EXAMPLE 508 N^1-((1S,2R)-1-benzyl-3-{[4-chloro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), H_2N-CH_2-phenyl-(3-trifluoromethyl-4-chloro) (VI) and "PHTH" (IX), the title compound is obtained, $MH^+ = 605$.

EXAMPLE 509 N^1-[(1S,2R)-1-benzyl-3-(2,3-dihydro-1H-inden-2-ylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), H_2N-(2,3-dihydro-1H-inden-2-yl) and "PHTH" (IX), the title compound is obtained, $MH^+ = 528$.

EXAMPLE 510 N^1-{(1S)-1-benzyl-2-hydroxy-3-[(3-nitrobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), H_2N-CH_2-phenyl-3-nitro (VI) and "PHTH" (IX), the title compound is obtained, $MH^+ = 547$.

EXAMPLE 511 N^1-((1S,2R)-1-benzyl-3-{[3-(difluoromethoxy)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), H_2N-CH_2-phenyl-3(-O-CHF_2) (VI) and "PHTH" (IX), the title compound is obtained, $MH^+ = 538$.

EXAMPLE 512 N^1-{(1S,2R)-1-benzyl-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), H_2N-CH_2-phenyl-(3-O-CH_2-CH_3) (VI) and "PHTH" (IX), the title compound is obtained, $MH^+ = 546$.

EXAMPLE 513 N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(5-methyl-2-pyrazinyl)methyl]amino}propyl)-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 5-methyl-2-methylaminoprazine (VI) and "PHTH" (IX), the title compound is obtained, $MH^+ = 518$.

EXAMPLE 514 N^1-{(1S,2R)-1-benzyl-3-[(3-bromo-4-fluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), H_2N-CH_2-phenyl-(3-bromo-4-fluoro) (VI) and "PHTH" (IX), the title compound is obtained, $MH^+ = 599$.

EXAMPLE 515 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), H_2N-CH_2-phenyl-(3,5-dimethyl) (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 580$.

EXAMPLE 516 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), H_2N-CH_2-phenyl-(3-ethoxy) (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 596$.

EXAMPLE 517 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), H_2N-CH_2-CH_2-O-phenyl (VI) and "5-Me-PHTH" (IX), the title compound is obtained, MH^+ = 582.

EXAMPLE 518 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isobutoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), H_2N-CH_2-phenyl-O-*i*-butyl (VI) and "5-Me-PHTH" (IX), the title compound is obtained, MH^+ = 624.

EXAMPLE 519 N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(4-methyl-1,3-thiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), H_2N-CH_2-4-methyl-1,3-thiazol-2-yl (VI) and "5-Me-PHTH" (IX), the title compound is obtained, MH^+ = 573.

EXAMPLE 520 N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3-methyl-N^3-propylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), H_2N-CH_2-phenyl (VI) and (CH_3-CH_2-CH_2-)(CH_3-)N-CO-(CH_3-)phenyl-CO-OH (IX) where the attachment to the –phenyl- ring for the carbonyls is 1-,3- and 5- for the methyl group, the title compound is obtained, MH^+ = 510.

EXAMPLE 521 N^2-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-2,5-furandicarboxamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-

oxiranyl]ethylcarbamate (V), H_2N-CH_2-phenyl (VI) and (CH_3-CH_2-CH_2-)$_2$N-CO-(2,5-furanyl)-CO- (IX), the title compound is obtained, $MH^+ = 528$.

EXAMPLE 522 N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), H_2N-CH_2-phenyl-3-trifluoromethyl (VI) and "3,5-pyridinyl" (IX), the title compound is obtained, $MH^+ = 607$.

EXAMPLE 523 N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), H_2N-C(-CH_3)$_2$-phenyl (VI) and "3,5-pyridinyl" (IX), the title compound is obtained, $MH^+ = 567$.

EXAMPLE 524 N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of CHARTs A, C and D and EXAMPLEs 165-168 and making non-critical variations, but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 462$.

EXAMPLE 525 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1,2-diphenylethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), NH_2-CH()-CH_2-phenyl (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 642$.

EXAMPLE 526 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide, isomer A (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), 7-methoxy-1,2,3,4-tetrahydro-1-naphthalenylamine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 622$.

EXAMPLE 527 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide, isomer B (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), 7-methoxy-1,2,3,4-tetrahydro-1-naphthalenylamine (VI)
and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 622$.

EXAMPLE 528 Benzyl-(1S, 2R)-3-azido-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate (XII)

Following the general procedure of EXAMPLE 165 and making non-critical variations but starting with benzyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 13), the title compound is obtained.

EXAMPLE 529 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(dimethylamino)benzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 3-dimethylaminobenzoic acid (IX), the title compound is obtained, $MH^+ = 448$.

EXAMPLE 530 N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2-methyl-1H-benzimidazole-5-carboxamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 2-methyl-1H-benzimidazole-5-carboxylic acid (IX), the title compound is obtained, $MH^+ = 459$.

EXAMPLE 531 3-(aminosulfonyl)-N-{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-chlorobenzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 3-(aminosulfonyl)-4-chlorobenzoic acid (IX), the title compound is obtained, $MH^+ = 519$.

EXAMPLE 532 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-cyanobenzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 3-cyanobenzoic acid (IX), the title compound is obtained, $MH^+ = 430$.

EXAMPLE 533 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]- propyl}-4-chloro-3-nitrobenzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 4-chloro-3-nitrobenzoic acid (IX), the title compound is obtained, $MH^+ = 484$.

EXAMPLE 534 Methyl 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-nitrobenzoate (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-

methoxybenzylamine (VI) and 3-nitro-5-(methyl-O-CO-)-phenyl-CO-OH (IX), the title compound is obtained, $MH^+ = 508$.

EXAMPLE 535 tert-butyl 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-phenylcarbamate (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 3-(*t*-butyl-O-CO-NH)-phenyl-CO-OH (IX), the title compound is obtained, $MH^+ = 520$.

EXAMPLE 536 N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-9,10-dioxo-9,10-dihydro-2-anthourancenylcarboxamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 9,10-dioxo-9,10-dihydro-2-anthourancenylcarboxylic acid (IX), the title compound is obtained, $MH^+ = 535$.

EXAMPLE 537 N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1H-1,2,3-benzotriazole-6-carboxamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 1H-1,2,3-benzotriazolyl-6-carboxylic acid (IX), the title compound is obtained, $MH^+ = 446$.

EXAMPLE 538 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzoic acid (IX), the title compound is obtained, $MH^+ = 501$.

EXAMPLE 539 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]- propyl}-1H-indole-5-carboxamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and indole-5-carboxylic acid (IX), the title compound is obtained, $MH^+ = 444$.

EXAMPLE 540 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-fluoro-5-(trifluoromethyl)benzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 3-fluoro-5-(trifluoromethyl)benzoic acid (IX), the title compound is obtained, $MH^+ = 491$.

EXAMPLE 541 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]- propyl}-3-(trifluoromethyl)benzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 3-(trifluoromethyl)benzoic acid (IX), the title compound is obtained, $MH^+ = 473$.

EXAMPLE 542 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]- propyl}-4-(butylamino)benzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 4-(butylamino)benzoic acid (IX), the title compound is

obtained, $MH^+ = 476$.

EXAMPLE 543 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]- propyl}-3-(trifluoromethoxy)benzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 3-(trifluoromethoxy)benzoic acid (IX), the title compound is obtained, $MH^+ = 489$.

EXAMPLE 544 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]- propyl}-3,5-dimethoxybenzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 3,5-dimethoxybenzoic acid (IX), the title compound is obtained, $MH^+ = 465$.

EXAMPLE 545 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]- propyl}-3,5-dimethylbenzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 3,5-dimethylbenzoic acid (IX), the title compound is obtained, $MH^+ = 433$.

EXAMPLE 546 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]- propyl}-3,5-difluorobenzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 3,5-difluorobenzoic acid (IX), the title compound is obtained, $MH^+ = 441$.

EXAMPLE 547 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]- propyl}-3,5-dichlorobenzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 3,5-dichlorobenzoic acid (IX), the title compound is obtained, $MH^+ = 474$.

EXAMPLE 548 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]- propyl}-4-(benzyloxy)benzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 4-(benzyloxy)benzoic acid (IX), the title compound is obtained, $MH^+ = 511$.

EXAMPLE 549 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]- propyl}-1,3-benzodioxole-5-carboxamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 1,3-benzodioxole-5-carboxylic acid (IX), the title compound is obtained, $MH^+ = 449$.

EXAMPLE 550 3-(acetylamino)-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}benzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 3-(acetylamino)benzoic acid (IX), the title compound is obtained, $MH^+ = 462$.

EXAMPLE 551 4-(acetylamino)-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}benzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 4-(acetylamino)benzoic acid (IX), the title compound is obtained, $MH^+ = 462$.

EXAMPLE 552 N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3,5-dimethyl-4-isoxazolyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3), 3,5-dimethyl-4-isoxazolylmethylamine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 571.3$.

EXAMPLE 553 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-phenylpropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3), 3-phenylpropyl)amine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 580$.

EXAMPLE 554 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-furylmethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3), 3-furylmethylamine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 542$.

EXAMPLE 555 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-3-furanylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-

oxiranyl]ethylcarbamate (V, EXAMPLE 3), (tetrahydro-3-furanylmethyl)amine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 546$.

EXAMPLE 556 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-propoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3), (3-propoxybenzyl)amine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 610$.

EXAMPLE 557 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3), (2-pyridinylmethyl)amine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 553$.

EXAMPLE 558 N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-hydroxy-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3), benzylamine (VI) and 5-hydroxy-N,N-dipropylisophthalic acid (IX), the title compound is obtained, $MH^+ = 554$.

EXAMPLE 559 N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[1-methyl-1-(3-methylphenyl)ethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3), [1-methyl-1-(3-

methylphenyl)ethyl]amine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 594$.

EXAMPLE 560 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1S)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3), (1S)-1,2,3,4-tetrahydro-1-naphthalenylamine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 592$.

EXAMPLE 561 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3), 2,5-dimethylbenzylamine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 580$.

EXAMPLE 562 N^1-[(1S,2R)-3-{[2-chloro-5-(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3), 2-chloro-5-trifluorobenzylamine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 654$.

EXAMPLE 563 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-5-methylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-

oxiranyl]ethylcarbamate (V, EXAMPLE 3), 2-hydroxy-5-methylbenzylamine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 582$.

EXAMPLE 564 N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S,2R)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3), [(1S,2R)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 594$.

EXAMPLE 565 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-ylamino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3), (1R)-2,3-dihydro-1H-inden-1-ylamine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 578$.

EXAMPLE 566 5-chloro-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3), (1-methyl-1-phenylethyl)amine (VI) and "5-Cl-PHTH" (IX), the title compound is obtained, $MH^+ = 601$.

EXAMPLE 567 N^1-[(1S,2R)-3-[(1-benzofuran-2-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-

oxiranyl]ethylcarbamate (V, EXAMPLE 3), (1-benzofuran-2-ylmethyl)amine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 592$.

EXAMPLE 568 N^1-[(1S,2R)-3-{[(1R)-1-(3-bromophenyl)ethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3), (1R)-1-(3-bromophenyl)ethyl]amine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 645$.

EXAMPLE 569 N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(4-fluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), 3-iodobenzylamine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 660$.

EXAMPLE 570 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyl(butyryl)amino]-5-methylbenzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 3-[butyl(butyryl)amino]-5-methylbenzoic acid (IX), the title compound is obtained, $MH^+ = 560$.

EXAMPLE 571 N^1-{1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 4-methyl-N,N-dipropylisophthalic acid (IX), the title compound is obtained, $MH^+ = 546$.

EXAMPLE 572 N^3-{1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^1,N^1-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 4-methyl-N,N-dipropylisophthalic acid (IX), the title compound is obtained, $MH^+ = 546$.

EXAMPLE 573 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), 3-methoxybenzylamine (VI) and 4-methyl-N,N-dipropylisophthalic acid (IX), the title compound is obtained, $MH^+ = 582$.

EXAMPLE 574 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-butyl-1H-indole-6-carboxamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 1-butyl-1H-indole-6-carboxylic acid (IX), the title compound is obtained, $MH^+ = 500$.

EXAMPLE 575 N^1-[(1S,2R)-3-anilino-1-(3,5-difluorobenzyl)-2-hydroxypropyl] -5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), aniline (VI) and and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 538$.

EXAMPLE 576 5-bromo-N^1-[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), 3-bromobenzylamine (VI) and 5-bromo-N,N-dipropylisophthalic acid (IX), the title compound is obtained, $MH^+ = 696$.

EXAMPLE 577 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-methylpentanamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), 3-iodobenzylamine (VI) and 4-methylpentanoic acid (IX), the title compound is obtained, $MH^+ = 531$.

EXAMPLE 578 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-methylpentanamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), 3-iodobenzylamine (VI) and CH_3-CH_2-$CH(CH_3)$-CH_2-CO-OH (IX), the title compound is obtained, $MH^+ = 531$.

EXAMPLE 579 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-hydroxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), 3-hydroxybenzylamine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 568$.

EXAMPLE 580 *tert*-butyl (1S)-1-(3,5-difluorobenzyl)-3-[(3-methoxybenzyl)amino]-2-oxopropylcarbamate (XI)

tert-butyl (1S)-3-bromo-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate (III, EXAMPLE 1, 1 equivalent) is dissolved in isopropanol and treated with 3-methoxybenzylamine (VI, 5 equivalents). The reaction is heated at reflux for 2 hours and monitored by TLC for disappearance of the ketone (III). Upon completion, the reaction is concentrated to dryness under reduced pressure and partitioned between equal parts water and ethyl acetate. The organic phase is extracted, washed two additional times with water, then saline, then dried over anhydrous sodium sulfate, filtered and concentrated under reduced pressure. The crude material is purified by column chromatography on silica gel to give the title compound.

EXAMPLE 581 *tert*-butyl (1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate (XIII)

tert-butyl-(1S, 2R)-3-azido-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate (XII, EXAMPLE 165, 1 equivalent) is dissolved in methanol and treated with 10% palladium on carbon under hydrogen (50 psi). The reaction is shaken at 20-25 degrees C for 2 hours, filtered through a diatomaceous earthpad, and concentrated under reduced pressure to dryness. The crude material is purified by column chromatography on silica gel to give the title compound.

EXAMPLE 582 Benzyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate (VII)

A mixture of benzyl (1S)-1-[(2S)-oxiranyl]-2-phenylethylcarbamate (V, 0.22 g, 0.74 mmol), 3-methoxybenzylamine (VI, 0.13 g, 0.92 mmol), and ethanol (2 mL) is stirred at reflux for 2.3 hours and then cooled and concentrated under reduced pressure. The residue is chouromatographed (silica gel; methanol/dichloromethane/ammonium hydroxide, 4/96/trace) to give the title compound, MS m/z at $(m + H)^+ = 435.2$.

EXAMPLE 583 Benzyl (1S,2R)-1-benzyl-2-hydroxy-3-(tert-butylcarbamoyl)-3-[(3-methoxybenzyl)amino]propyl-carbamate (XXXIV)

A mixture of benzyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl-carbamate (VII, EXAMPLE 582, 9.00 g, 21.0 mmol), di-*tert*-butyl dicarbonate (5.00 g, 23 mmol), sodium carbonate monohydrate (3.12 g,

25 mmol), THF (200 mL), and water (100 mL) is stirred at 20-25 degrees C for 2 hours. THF is removed under reduced pressure and the residue is partitioned between ethyl acetate and water. The organic layer is then washed with saline, dried over anhydrous sodium sulfate, filtered, and concentrated. Column chromatography (silica gel; methanol/dichloromethane, 1/99) gives the title compound, NMR (300 MHz, $CDCl_3$) delta7.26, 6.79, 5.00, 4.42, 3.89, 3.78, 3.44, 3.28, 2.91, 1.49; MS (ESI+) for $C_{31}H_{38}N_2O_6$ *m/z* $(M+H)^+ = 535.3$.

EXAMPLE 584 *tert*-Butyl (2R,3S)-3-amino-2-hydroxy-4-phenylbutyl(3-methoxybenzyl)carbamate (XXXV)

A suspension of benzyl (1S,2R)-1-benzyl-2-hydroxy-3-(tert-butylcarbamoyl)-3-[(3-methoxybenzyl)amino]propyl-carbamate (XXXIV, EXAMPLE 583, 10.2 g, 18.6 mmol) and palladium on carbon (5%, 1.00 g) in ethanol (100 mL) is shaken in a hydrogenation apparatus under hydrogen (50 psi) for 2 hours. Then the mixture is filtered through diatomaceous earth and concentrated. The concentrate is chromatographed (silica gel; methanol/dichloromethane, 5/95) to give the title compound, NMR ($CDCl_3$) δ 1.50, 2.46, 2.92, 3.04, 3.42, 3.52, 3.72, 3.82, 4.49, 6.83, 7.19 and 7.28; MS (ESI+) for $C_{23}H_{32}N_2O_4$ *m/z* $(M+H)^+ = 401.3$.

EXAMPLE 585 *tert*-butyl (2R,3S)-3-({3-cyano-5-[(dipropylamino)carbonyl]benzoyl}amino)-2-hydroxy-4-phenylbutyl(3-methoxybenzyl)carbamate (XXXVI)

To a mixture of 3-cyano-5-[(dipropylamino)carbonyl]benzoic acid (IX/XXXII, PREPARATION 7, 0.086 g, 0.314 mmol) and *tert*-butyl (2R,3S)-3-amino-2-hydroxy-4-phenylbutyl(3-methoxybenzyl)carbamate (XXXV, EXAMPLE 584, 0.126 g, 0.314 mmol) in dichloromethane (0.3 mL) is added diethylcyanophosphonate (0.062 g, 0.330 mmol) and triethylamine (0.032 g, 0.316 mmol). The mixture is stirred at 20-25 degrees for 65 hours and then partitioned between dichloromethane and saturated aqueous sodium bicarbonate. The organic phase is separated and dried over sodium sulfate and concentrated. The residue is chromatographed (silica gel, 20 mL; methanol/dichloromethane, 5/95) to give several mixed fractions, which are combined and rechromatographed (silica gel;

acetone/hexane, 20/80) to give the title compound, MS (ESI+) for $C_{38}H_{48}N_4O_6$ *m/z* 657.6 $(M+H)^+$ = 657.6; (M+Na) = 679.5 and $(M-C_4H_9O_2)$ = 557.5.

EXAMPLE 586 N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano-N^3,N^3-dipropylisophthalamide hydrochloride (X)

A mixture of *tert*-butyl (2R,3S)-3-({3-cyano-5-[(dipropylamino)carbonyl]benzoyl}amino)-2-hydroxy-4-phenylbutyl(3-methoxybenzyl)carbamate (XXXVI, EXAMPLE 585, 0.080 g, 0.122 mmol), dichloromethane (1 mL), and methanol saturated with hydrochloric acid (1 mL) is stirred for 8 hours, after which time the solvents are removed under reduced pressure. A few drops of methanol, followed by ether, gives the title compound, MS (ESI+) for $C_{33}H_{40}N_4O_4$ *m/z* $(M+H)^+$ = 557.5

EXAMPLE 587 N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide (X)

To a mixture of 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoic acid (IX, PREPARATION 6, 0.18 g, 0.616 mmol) in dry DMF (16 mL) is added EDC (0.182 g, 0.9 mmol), HOBT (0.127 g, 0.9 mmol), triethylamine (0.062 g, 0.616 mol), and (2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol (VIII, EXAMPLE 175, 0.185 g, 0.616 mmol). The mixture is stirred at 20-25 degrees C for 3 days. The mixture is partitioned between water and ethyl acetate. The phases are separated and the organic phase is washed three times with water. The organic phase is dried over anhydrous magnesium sulfate, filtered and concentrated. Column chromatography (silica gel, 75 mL; methanol/methylene chloride, 10/90) gives the title compound, IR (diffuse reflectance) 3306, 3301, 3270, 2962, 1676, 1667, 1663, 1645, 1638, 1627, 1615, 1550, 1537, 1450 and 1439 cm^{-1}; NMR ($CDCl_3$) δ 0.645, 0.968, 1.20, 1.43, 1.67, 2.8, 2.97, 3.38, 3.47, 3.73, 3.87, 4.31, 6.78, 6.91, 7.23, 7.72, 7.87, 8.22 and 8.43.

EXAMPLE 588 1- *tert*-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propylcarbamate (VII)

tert-Butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3, 1.75 g, 5.8 mmole) is mixed with isopropanol (30 ml). The reaction flask is charged with 3-iodobenzylamine (VI). The reaction mixture is heated to reflux for 45 minutes, HPLC analysis indicates complete disappearance of the epoxide (V). The reaction mixture is concentrated under reduced pressure and the residue is partitioned between ethyl acetate (150 ml) and aqueous hydrochloric acid (3%, 35 ml). The organic phase is separated and washed with aqueous hydrochloric acid (3%, 20 ml), bicarbonate, saline and dried over sodium sulfate. Concentration under reduced pressure gives the title compound, M + H = 535.

EXAMPLE 589 1-9H-fluoren-9-ylmethyl (2R,3S)-3-(3-t-butyloxycarbonyl)amino-4-(3,5-difluorophenyl)-2-hydroxybutyl(3'-iodobenzyl)carbamate hydrochloride (XXXIV)

1- *tert*-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propylcarbamate (VII, EXAMPLE 588, 2.5 g, 4.7 mmole) and triethylamine (0.72 ml, 5.1 mmole) in THF (10 ml) are mixed. The reaction is cooled to 0 degrees and treated with FMOC-Cl (1.2 g, 4.7 mmole) in THF (2 ml) via addition funnel. After 15 minutes HPLC indicates complete disappearance of starting material. The reaction is diluted with ethyl acetate and washed with aqueous potassium bisulfate, saturated aqueous bicarbonate, saline and dried over sodium sulfate. Concentration under reduced pressure gives crude product which is purified by flash chromatography, eluting with ethyl acetate/hexane (20/80) followed by ethyl acetate to give the title compound, M + H = 757.

EXAMPLE 590 1-9H-fluoren-9-ylmethyl (2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl(3-iodobenzyl)carbamate hydrochloride (XXXV)

1-9H-fluoren-9-ylmethyl (2R,3S)-3-(3-t-butyloxycarbonyl)amino-4-(3,5-difluorophenyl)-2-hydroxybutyl(3'-iodobenzyl)carbamate hydrochloride (XXXIV, EXAMPLE 589, 2.9 g) in hydrochloric acid/dioxane (4N, 10 ml). The mixture is stirred 1 hour then slowly poured into rapidly stirring ether (200 ml). The product is filtered and dried to give the title compound, M + H = 657.

EXAMPLE 591 1-9H-fluoren-9-ylmethyl (2R,3S)-4-(3,5-difluorophenyl)-2-hydroxy-3-{[5-oxo-5-(1-piperidinyl)pentanoyl]amino}butyl(3-iodobenzyl)carbamate (XXXVI)

HOBt (81 mg, 0.6 mmole) and EDC (105 mg, 0.55 mmole) are added to 1-carboxy-5-piperdinylglutaramide (IX, 100 mg, 0.5 mmole) in DMF (2 ml). The acid is activated 60 minutes then treated with 1-9H-fluoren-9-ylmethyl (2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl(3-iodobenzyl)carbamate hydrochloride (XXXV, EXAMPLE 590, 300 mg, 0.43 mmole) and NMM (0.19 ml, 1.72 mmole). The reaction is stirred 3 hours then concentrated under reduced pressure. The residue is partitioned between ethyl acetate and saturated aqueous bicarbonate. The organic phases are washed with aqueous potassium bisulfate, saline, dried over sodium sulfate and finally concentrated under reduced pressure to give crude product. Purification via flash chromatography with ethyl acetate/hexane (50/50) then methanol/ethyl acetate (10/90) gives the title compound, M + H = 838.

EXAMPLE 592 1- N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide trifluroacetate (X)

1- N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide trifluroacetate (XXXVI, EXAMPLE 591, 240 mg, 0.29 mmole is dissolved in diethylamine (10%, 9 ml) in methylene chloride. The reaction is stirred at 20-25 degrees overnight. The next morning the reaction is concentrated under reduced pressure and the residue is redissolved in methylene chloride and purified by preparative reverse phase HPLC. The appropriate fractions are pooled and concentrated under reduced pressure and partitioned between ethyl acetate and saline. The organic phase is separated and dried over sodium sulfate and concentrated to give the title compound, M + H = 614.

EXAMPLE 593 5-(Aminosulfonyl)-N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N-dipropylisophthalamide (X)

O-(7-Azabenzotriazol-1-yl)-*N,N,N',N'*-tetramethyluronium hexafluorophosphate (HATU, 0.0928 g, 0.244 mmol) is added to a mixture of, 3-(aminosulfonyl)-5-[(dipropylamino)-carbonyl]benzoic acid (XXXIX, PREPARATION 13, 0.0800 g, 0.244 mmol) and (2R,3S)-3-amino-1-[(3-methoxybenzyl)-amino]-4-phenyl-2-butanol (VIII, EXAMPLE 175, 0.0732 g, 0.244 mmol) in dry DMF (3 mL). The mixture is stirred for 18 hours at 20-25 degrees, and then partitioned between ethyl acetate and water. The organic phase is separated and washed with saline, dried over anhydrous sodium sulfate, filtered and concentrated. The concentrate is column chouromatographed (silica gel; methanol/dichloromethane, 5/95) to give the title compound, MS (ESI+) for $C_{32}H_{42}N_4O_6S$ *m/z* $(M+H)^+ = 611.5$; HRMS (FAB) calculated for $C_{32}H_{42}N_4O_6S + H_1 = 611.2903$, found = 611.2904.

EXAMPLE 594 N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(1-pyrrolidinylsulfonyl)isophthalamide (X)

Following the general procedure of EXAMPLE 593 and making non-critical variations but using 3-[(dipropylamino)carbonyl]-5-(1-pyrrolidinylsulfonyl)benzoic acid (XXXIX, PREPARATION 15, the title compound is obtained, ES (ESI+) for $C_{36}H_{48}N_4O_6S$ *m/z* $(M+H)^+ = 665.6$; HRMS (FAB) calculated for $C_{36}H_{48}N_4O_6S + H_1 = 665.3372$, found = 665.3393.

EXAMPLE 595 N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylamino)sulfonyl]-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLE 593 and making non-critical variations but using 3-[(dipropylamino)carbonyl]-5-[(methylamino)-sulfonyl]benzoic acid (XXXIX, PREPARATION 17, the title compound is obtained, MS (ESI+) for $C_{33}H_{44}N_4O_6S$ *m/z* $(M+H)^+ = 625.5$.

EXAMPLE 596 N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(dimethylamino)sulfonyl]-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLE 593 and making non-critical variations but using 3-[(dimethylamino)sulfonyl]-5-[(dipropylamino)carbonyl]-benzoic acid (XXXIX, PREPARATION 19), the title compound is obtained, MS (ESI+) for $C_{34}H_{46}N_4O_6S$ *m/z* $(M+H)^+ = 639.5$

.

EXAMPLES 597-619

Following the general procedure of EXAMPLEs 589 through 592 but starting with (2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol (VIII, EXAMPLE 175) and using the amide forming agent (IX) of Column A, the Substituted Amine (X) of Column B is obtained.

EX #	Column A Amide Forming Agent (IX)	Column B Substituted Amine (X)	MS Data M + H
597	2-methyl-3-(methylsulfonyl) propanoic acid	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-methyl-3-(methylsulfonyl) propanamide hydrochloride	449
598	3-(methylsulfonyl)propanoic acid	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl}-3-(methylsulfonyl)propanamide hydrochloride	435
599	2-amino-1,3-thiazole-4-carboxylic acid hydrochloride	2-amino-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1,3-thiazole-4-carboxamide dihydrochloride	427
600	5-(methylsulfonyl)pentanoic acid	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methylsulfonyl)pentanamide hydrochloride	463

EX #	Column A Amide Forming Agent (IX)	Column B Substituted Amine (X)	MS Data M + H
601	4-anilino-4-oxobutanoic acid	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl}-N^4-phenylsuccinamide hydrochloride	476
602	(2R)-4-amino-2,3,3-trimethyl-4-oxobutanoic acid	(3R)-N^4-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2,2,3-trimethylbutanediamide hydrochloride	442
603	3-[(dipropylamino)sulfonyl] propanoic acid	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]-propanamide hydrochloride	520
604	5-(dipropylamino)-5-oxopentanoic acid	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl}-N^5,N^5-dipropylpentanediamide hydrochloride	498
605	4-oxo-4-(1-piperidinyl) butanoic acid	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl}-4-oxo-4-(1-piperidinyl)butanamide hydrochloride	468
606	4-(dipropylamino)-4-oxobutanoic acid	N~1~-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl}-N^4,N^4-dipropylsuccinamide hydrochloride	484
607	5-oxo-5-(1-piperidinyl)	N-{(1S,2R)-1-benzyl-2-	482

EX #	Column A Amide Forming Agent (IX)	Column B Substituted Amine (X)	MS Data M + H
	pentanoic acid	hydroxy-3-[(3-methoxybenzyl) amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide hydrochloride	
608	5-anilino-5-oxopentanoic acid	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl}-N^5-phenylpentanediamide hydrochloride	490
609	3,3-dimethyl-4-oxo-4-(1-piperidinyl)butanoic acid	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl}-3,3-dimethyl-4-oxo-4-(1-piperidinyl) butanamide hydrochloride	496
610	4-(isopentylsulfonyl) butanoic acid	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl}-4-(isopentylsulfonyl) butanamide hydrochloride	505
611	4-(dipropylamino)-2,2-dimethyl-4-oxobutanoic acid	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl}-2,2-dimethyl-N^4,N^4-dipropylsuccinamide hydrochloride	512
612	4-[(dipropylamino)sulfonyl] butanoic acid	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl}-4-[(dipropylamino)sulfonyl] butanamide hydrochloride	534
613	4-[(methylanilino)sulfonyl] butanoic acid	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)	540

EX #	Column A Amide Forming Agent (IX)	Column B Substituted Amine (X)	MS Data M + H
		amino]propyl}-4-[(methylanilino)sulfonyl]butanamide hydrochloride	
614	3-[(methylanilino) sulfonyl]propanoic acid	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl}-3-[(methylanilino)sulfonyl]propanamide	526
615	Acetic acid	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl} acetamide hydrochloride	343
616	3-(isopentylsulfonyl) propanoic acid	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl}-3-(isopentylsulfonyl) propanamide hydrochloride	491
617	5-oxo-5-(1-piperidinyl) pentanoic acid	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl) pentanamide trifluoroacetate	614
618	5-oxo-5-(1-piperidinyl) pentanoic acid	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl) amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide trifluoroacetate	578
619	3-[(dipropylamino)sulfonyl] propanoic acid	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]	652

EX #	Column A Amide Forming Agent (IX)	Column B Substituted Amine (X)	MS Data M + H
		propanamide	

EXAMPLE 620 N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethyl-N^3,N^3-dipropylisophthalamide (X)

Diethyl cyanophosphonate (0.132 mL, 0.870 mmol) is added to a mixture of 3-[(dipropylamino)carbonyl]-5-ethylbenzoic acid (IX, PREPARATION 21, 0.200 g, 0.720 mmol), (2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol (VIII, EXAMPLE 175, 0.216 mg, 0.720 mmol), and triethylamine (0.121 mL, 0.870 mmol) in dichloromethane (3 mL). The mixture was stirred for 1 hour at 20-25 degrees C. Dichloromethane is then removed under reduced pressure. The residue is partitioned between ethyl acetate and water. The organic phase is separated and is washed with saline, dried over anhydrous sodium sulfate, filtered and concentrated. The concentrate is column chouromatographed (silica gel; methanol/dichloromethane, 5/95) to give the title compound, MS (ESI+) for $C_{34}H_{45}N_3O_4$ *m/z* $(M+H)^+$ = 560.4; HOURMS (FAB) calculated for $C_{34}H_{45}N_3O_4$+H = 560.3488, found = 560.3487.

EXAMPLE 621 N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-isobutyl-N^3,N^3-dipropylisophthalamide

Following the general procedure of PREPARATIONS 20 and 21 and making non-critical variations but using isobutylboronic acid, and following the general procedure of EXAMPLE 620 but using the 5-isobutylisophthalic acid (IX), the title compound is obtained, MS (ESI+) for $C_{36}H_{49}N_3O_4$ *m/z* $(M+H)^+$ = 588.6; HRMS (FAB) calculated for $C_{36}H_{49}N_3O_4$ +H_1 = 588.3801, found = 588.3810.

EXAMPLE 622 N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-tert-butyl-N^3,N^3-dipropylisophthalamide

Following the general procedure of PREPARATIONS 20 and 21 and making non-critical variations but using tert-butylboronic acid, and following the general procedure of EXAMPLE 620 but using the tert-butylphthalic acid (IX), the title compound is obtained, MS (ESI+) for $C_{36}H_{49}N_3O_4$ *m/z* $(M+H)^+ = 588.5$; HRMS (FAB) calculated for $C_{36}H_{49}N_3O_4 + H_1 = 588.3801$, found = 588.3791.

EXAMPLE 623 N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano-N^3-propylisophthalamide (X)

Following the general procedure of EXAMPLE 586 and making non-critical variations, but using *tert*-butyl (2R,3S)-3-({3-cyano-5-[(propylamino)carbonyl]benzoyl}amino)-2-hydroxy-4-phenylbutyl(3-methoxybenzyl)carbamate (XXXVI) the title compound is obtained, M + H = 515.1.

EXAMPLES 624-628

Following the general procedure of EXAMPLE 587 and making non-critical variations, but using the appropriate amines (VIII) and amide forming agents (IX), for example PREPARATIONS 6 and 19, the titled compounds are obtained.

EXAMPLE	Substituted Amine (X)	M + H =
624	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide	611.0
625	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dimethyl-N^5,N^5-dipropyl-1,3,5-benzenetricarboxamide	603.0
626	N^1-[(1S,2R)-3-amino-1-benzyl-2-hydroxypropyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide	455.1
627	N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide	525.6
628	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3-propyl-1,3,5-benzenetricarboxamide	533.1

EXAMPLE 629 *N*-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyryl(propyl)amino]-5-methylbenzamide (X)

Following the procedure of EXAMPLE 570 and making non-critical variations, diethyl cyanophosphonate (0.0760 mL, 0.550 mmol) is added to a mixture of 3-[butyryl(propyl)amino]-5-methylbenzoic acid (IX, 0.120 g, 0.460 mmol), (2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol (VIII, 0.137 g, 0.460 mmol), and triethylamine (0.0760 mL, 0.550 mmol) in dichloromethane (5 mL). The mixture is stirred for 1 hour at 20-25 degrees C. Dichloromethane is then removed under reduced pressure. The residue is partitioned between ethyl acetate and water. The organic is separated, is washed with saline, dried over anhydrous sodium sulfate, filtered and concentrated. The concentrate is column chromatographed (silica gel; methanol/dichloromethane, 5/95) to give the title compound, NMR (400 MHz, $CDCl_3$) δ 7.09, 4.15, 3.80, 3.79, 3.60, 3.02, 2.84, 2.36, 1.94, 1.56, 1.49, 0.87 and 0.81;. MS (ESI+) for $C_{33}H_{43}N_3O_4$ *m/z* $(M+H)^+$ = 546.3; HRMS (FAB) calculated for $C_{33}H_{43}N_3O_4+H$ = 546.3331, found = 546.3331.

EXAMPLE 630 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide (X)

Following the general procedure of EXAMPLE 539 and making non-critical variations, the title compound is obtained, IR (diffuse reflectance) 3330, 3314, 2960, 2952, 2931, 2873, 1621, 1599, 1525, 1499, 1467, 1353, 1283, 1253 and 786 cm^{-1}.

EXAMPLE 631 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide (X)

Following the general procedure of EXAMPLE 539 and making non-critical variations, the title compound is obtained, IR (diffuse reflectance) 3289, 1627, 1621, 1595, 1531, 1525, 1520, 1507, 1466, 1458, 1450, 1349, 1317, 1252 and 1117 cm^{-1}.

EXAMPLEs 633-708

Following the general procedures of CHART A as well as PREPARATIONs 1-13, EXAMPLEs 1-13, 321-48-579, 597-622 and 624-631 and making non-critical variations and using the appropriate reagents, the substituted amines (X) of EXAMPLES 633-708 are obtained.

EXAMPLE	Substituted Amine (X)	MH^+ =
633	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide	580
634	N^1-[(1S,2R)-3-[(3-aminobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	567
635	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}octanamide	559
636	N^3-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl}amino)propyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide	635
637	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide	648
638	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	594
639	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-ylamino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide	578
640	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-methylbenzamide	551
641	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1H-isoindol-3-ylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide	577
642	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S,5R)-2-isopropyl-5-	600

EXAMPLE	Substituted Amine (X)	MH^+ =
	methylcyclohexyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	
643	N^1,N^1-diallyl-5-chloro-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}isophthalamide	597
644	5-chloro-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^3,N^3-bis(2-methoxyethyl)isophthalamide	633
645	N^5-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide	593
646	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide	580
647	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide	595
648	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(4,5-dimethyl-2-furyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide	570
649	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	606
650	N^1-[(1S,2R)-3-(cyclopropylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	502
651	N^1-[(1S,2R)-3-[(cyclopropylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	516
652	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide	630
653	N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropyl}-N^5,N^5-dipropyl-3,5-	529

EXAMPLE	Substituted Amine (X)	MH^+ =
	pyridinedicarboxamide	
654	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	546
655	N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide	565
656	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-oxo-3-azepanyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	573
657	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-methyl-2-furyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	556
658	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	546
659	5-chloro-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^3,N^3-di(2-propynyl)isophthalamide	593
660	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropenylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	592
661	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	548
662	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(hexylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	546
663	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide	633
664	methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-	610

EXAMPLE	Substituted Amine (X)	MH^+ =
	hydroxybutyl]amino}methyl)benzoate	
665	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	520
666	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-isoxazolylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	543
667	(1R,2R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide	628
668	N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide	533
669	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	582
670	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	594
671	4-(butyrylamino)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}benzamide	622
672	N^1-[(1S,2R)-3-[(3-amino-3-oxopropyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	533
673	N^3-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide 1-oxide	555
674	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide	688
675	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]propyl}-5-	572

EXAMPLE	Substituted Amine (X)	MH^+ =
	methyl-N^3,N^3-dipropylisophthalamide	
676	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide	576
677	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-methyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	573
678	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-thiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide	587
679	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3R)-2-oxoazepanyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	573
680	N^1-[(1S,2R)-3-(cyclobutylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	516
681	N^1-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-ethynyl-N^3,N^3-dipropylisophthalamide	528
682	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide	590
683	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(5-hexynylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	542
684	N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-methyl-2-furyl)methyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide	543
685	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^5,N^5-dipropylpentanediamide	532
686	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(2-furyl)-1-methylethyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide	570
687	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-	599

EXAMPLE	Substituted Amine (X)	MH^+ =
	isobutyl-5-isoxazolyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	
688	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	615
689	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide	554
690	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	516
691	N^1-((1S,2R)-1-benzyl-3-{[2-(2-chlorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide	551
692	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(2-oxo-1-pyrrolidinyl)propyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	537
693	N^1-{(1S,2R)-1-benzyl-3-[(cyclohexylmethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	508
694	N^1-[(1S,2R)-1-benzyl-3-(cyclopropylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide	452
695	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-oxo-3-azepanyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	523
696	N-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-(butylsulfonyl)benzamide	531
697	N^1-[(1S,2R)-1-benzyl-3-({2-[(2-ethylhexyl)oxy]ethyl}amino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide	568
698	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S,2R)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-N^3,N^3-dipropylisophthalamide	544
699	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[1-(4-hydroxyphenyl)ethyl]amino}propyl)-N^3,N^3-	532

EXAMPLE	Substituted Amine (X)	MH^+ =
	dipropylisophthalamide	
700	N^1-[(1S,2R)-1-benzyl-3-(cycloheptylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide	508
701	N^1-{(1S,2R)-1-benzyl-3-[([1,1'-biphenyl]-2-ylmethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	578
702	N^1-{(1S,2R)-1-benzyl-3-[(2-fluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	520
703	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(dimethylamino)benzamide	484
704	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-naphthamide	491
705	N^1-[(1S,2R)-1-benzyl-3-({2-[({5-[(dimethylamino)methyl]-2-furyl}methyl)sulfanyl]ethyl}amino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide	609
706	N^1-[(1S,2R)-1-benzyl-3-({2-[(2-chloro-6-fluorobenzyl)sulfanyl]ethyl}amino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide	615
707	N^1-[(1S,2R)-3-[([1,1'-biphenyl]-4-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	628
708	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1-naphthylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide	588

EXAMPLEs 709-737

Following the general procedure of CHART D and EXAMPLEs 165-169 and making non-critical variations and using the appropriate reagents, the substituted amines (X) of EXAMPLES 709-737 are obtained.

EXAMPLE	Substituted Amine (X)	MH^+
709	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1H-imidazol-5-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	542
710	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-phenyl-1H-imidazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	618
711	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-imidazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	556
712	N^1-[(1S,2R)-3-{[(2-butyl-4-chloro-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	633
713	N^1-[(1S,2R)-3-{[(6-chloroimidazo[2,1-b][1,3]thiazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	633
714	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-benzimidazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	606
715	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-hydroxy-1-naphthyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	618
716	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(4-oxo-4H-chouromen-3-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	620
717	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1,5-dimethyl-3-oxo-2-phenyl-2,3-dihydro-1H-pyrazol-4-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide	662
718	N^1-[(1S,2R)-3-({[5-cyano-6-(methylsulfanyl)-2-pyridinyl]methyl}amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	624
719	[5-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-	614

EXAMPLE	Substituted Amine (X)	MH^+
	hydroxybutyl]amino}methyl)-2-furyl]methyl acetate	
720	N^1-[(1S,2R)-3-[(1-benzofuran-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	592
721	methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1-methyl-1H-pyrrole-2-carboxylate	613
722	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({[1-(phenylsulfonyl)-1H-pyrrol-2-yl]methyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide	681
723	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-pyrrol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	555
724	N^1-[(1S,2R)-3-{[(4-chloro-1-methyl-1H-pyrazol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	591
725	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide	646
726	N^1-[(1S,2R)-3-{[(5-chloro-3-methyl-1-phenyl-1H-pyrazol-4-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	667
727	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-phenyl-1H-pyrazol-4-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	618
728	N^1-[(1S,2R)-3-{[(5-chloro-2-thienyl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	593
729	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-phenoxy-2-thienyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	650

EXAMPLE	Substituted Amine (X)	MH^+
730	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-quinolinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	603
731	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-quinolinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	603
732	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-indol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	605
733	N^1-[(1S,2R)-3-{[(1-benzyl-1H-indol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	681
734	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-indol-3-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	605
735	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[({1-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl}methyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	745
736	N^1-[(1S,2R)-3-{[(2-butyl-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	598
737	methyl 3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1H-indole-6-carboxylate	649

EXAMPLE 738 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-[butyl(butyryl)amino]benzyl diethyl phosphate (X)

Following the general procedure of CHART L and EXAMPLE 620 and making non-critical variations, the title compound is obained, HRMS (FAB) = 712.3749.

EXAMPLE 739 N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(cyanomethyl)-N^3,N^3-dipropylisophthalamide (X)

Step 1. A mixture of diethyl 1,3,5-benzenetricarboxylate (5.2 g) and borane methylsulfide complex (6.1 g) is stirred in THF (150 mL) at 20-25 degrees C overnight. The mixture is then treated with methanol, concentrated to dryness, and chouromatographed (silica gel) to give diethyl 5-(hydroxymethyl)isophthalate. Diethyl 5-(hydroxymethyl)isophthalate (3.4 g) is hydroyzed in ethanol and water with lithium hydroxide monohydrate (0.57 g) at 20-25 degrees C for 3.5 hours at which time the solvents are removed under reduced pressure. Water (100 mL) is added and the mixture is acidified to pH = 4 with concentrated hydrochloric acid. The mixture is extracted with ethyl acetate and dried over magnesium sulfate, filtered, and concentrated to give 3-(ethoxycarbonyl)-5-(hydroxymethyl)benzoic acid, high resolution MS MH+ = 225.0769. 3-(Ethoxycarbonyl)-5-(hydroxymethyl)benzoic acid (2.3 g), EDC (3.0 g), 1-HOBT (2.1 g), diisopropylethylamine (2.7 mL), dipropyl amine (2.8 mL), and DMF (50 mL) are stirred at 20-25 degrees C overnight. The mixture is then partitioned between ethyl acetate, water, and saline. The organic phase is separated and dried over magnesium sulfate, filtered, and concentrated. Chromatography (silica gel) gives ethyl 3-[(dipropylamino)carbonyl]-5-(hydroxymethyl)benzoate, NMR ($CDCl_3$) δ 0.77, 1.0, 1.4, 1.6, 1.7, 3.2, 3.5, 4.4, 4.8, 7.6, 8.0 and 8.1.

Step 2. A mixture of ethyl 3-[(dipropylamino)carbonyl]-5-(hydroxymethyl)benzoate (1.5 g) and phosphorous tribromide (0.95 mL) is stirred in dichloromethane (10 mL) and heated at 50 degrees C for 4 hours and then cooled and partitioned between dichloromethane and water. The organic phase is separated and washed with aqueous sodium bicarbonate and then dried over magnesium sulfate and taken to dryness to give ethyl 3-(bromomethyl)-5-[(dipropylamino)carbonyl]benzoate, high resolution MS MH+ = 370.1020. Ethyl 3-(bromomethyl)-5-[(dipropylamino)carbonyl]benzoate (1.4 g) and sodium cyanide (0.2 g) are stirred in dry DMSO (25 mL) at 20-25 degrees C for 3.5 hours and the mixture is then partitioned between ethyl acetate, water and saline. The organic layer is separated and dried over magnesium sulfate and taken to dryness under

reduced pressure to give ethyl 3-(cyanomethyl)-5-[(dipropylamino)carbonyl]benzoate. Ethyl 3-(cyanomethyl)-5-[(dipropylamino)carbonyl]benzoate (0.6 g) is hydrolyzed with lithium hydroxide monohydrate (0.1 g) in ethanol and water at 20-25 degrees C overnight and then added to water (50 mL). The pH is adjusted to 4 using concentrated hydrochloric acid and the mixture is partitioned between ethyl acetate, water, and saline. The organic phase is separated and dried over magnesium sulfate and taken to dryness under reduced pressure to give 3-(cyanomethyl)-5-[(dipropylamino)carbonyl]benzoic acid, MS M+H = 287.2.

Step 3. A mixture of 3-(cyanomethyl)-5-[(dipropylamino)carbonyl]benzoic acid (IX, 0.13 g), (2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol (VIII, 0.14 g), HATU (0.17 g), and dichloromethane (10 mL) is stirred at 40 degrees C overnight. After cooling, the mixture is washed with water and the organic phase is separated and dried over magnesium sulfate and taken to dryness under reduced pressure. Chromatography (silica gel) gives the title compound, M + H = 571.2

EXAMPLE 740 N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(hydroxymethyl)-N^3,N^3-dipropylisophthalamide (X)

Following the procedure of CHART P and EXAMPLE 739 and making non-critical variations but using 3-[(dipropylamino)carbonyl]-5-(hydroxymethyl)benzoic acid (IX) and (2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol (VIII), the title compound is obtained, HRMS (FAB) = 615.3571.

EXAMPLE 741 N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide (X)

Step 1: A mixture of methyl 3-bromo-5-[(dipropylamino)carbonyl]benzoate (XXI, 200 mg, 0.58 mmol), $PdCl_2(Ph_3P)_2$ (16 mg, 0.03 mol %) and copper (I) iodide (6 mg, 0.05 mol %) in triethylamine (1.2 mL) is heated to reflux. (Trimethylsilyl) acetylene (100 microliter, 0.7 mmol) is added, and the mixture stirred for 3 hours, cooled to 20-25 degrees, diluted with water (20 mL), and extracted with chloroform (3 x 15 mL). The combined organic extracts are washed with saline (20 mL), dried

over sodium sulfate and concentrated under reduced pressure to give methyl 3-[(dipropylamino)carbonyl]-5-ethynylbenzoate (XXXII, 185.5 mg), NMR (300 MHz, $CDCl_3$): δ 7.95, 7.75, 7.43, 3.74, 3.25, 2.95, 1.49, 1.34, 0.79, 0.56 and 0.06.

Step 2: To a stirred mixture of the protected methyl 3-[(dipropylamino)carbonyl]-5-ethynylbenzoate (XXXII, Step 1, 185.3 mg, 0.49 mmol) in methanol (2.5 mL) is added a mixture of potassium hydroxide (2.9 mL of a 1 M mixture in water, 2.9 mmol). The reaction mixture is stirred for 4 hours diluted with chloroform (40 mL), the phases are separated and the organic phase is concentrated under reduced pressure to give 3-[(dipropylamino)carbonyl]-5-ethynylbenzoic acid, NMR (300 MHz, $CDCl_3$): δ 8.22, 8.05, 7.71, 3.48, 3.17, 3.16, 1.71, 1.55, 1.00 and 0.78.

Step 3: To a stirred mixture of 3-[(dipropylamino)carbonyl]-5-ethynylbenzoic acid (70 mg, 0.24 mmol) in DMF (2.5 mL) is added (2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol dihydrochloride (VIII, 81 mg, 0.24 mmol), HOBt (36 mg, 0.26 mmol) and diisopropylethylamine (170 microliter, 0.96 mmol). To this reaction mixture is added EDC (51mg, 0.26 mmol) and the reaction mixture is stirred overnight. The reaction mixture is diluted with ethyl acetate (30 mL), washed with water (3 x 50 mL), hydrochloric acid (1 N, 30 mL), saturated sodium bicarbonate (30 mL), saline (30 mL), dried over sodium sulfate and concentrated under reduced pressure. Purification by flash chromatography (silica, ethyl acetate to methanol/chloroform, 1/10) gives the title compound, IR (KBr): 3276, 2956, 2921, 1610, 1450 and 1264 cm^{-1}; ESI-MS (*m/z*) $[M + H]^+ = 556$.

EXAMPLE 742 N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropyl-5-prop-1-ynylisophthalamide (X)

Following the general procedure of EXAMPLE 741 and making non-critical variations but using propyne in place of (trimethylsilyl) acetylene and using (2R,3S)-3-amino-1-[(3-iodobenzyl)amino]-4-phenyl-2-butanol dihydrochloride (VIII) in place of (2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol dihydrochloride (VIII), the title compound is obtained, IR (ATR): 3305, 2930, 2872, 1613 and 1537 cm^{-1}; ESI-MS (*m/z*) $[M+H]^+ = 666$.

EXAMPLE 743 N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-ethynyl-N^3,N^3-dipropylisophthalamide (X)

Step 1: A mixture of tert-butyl (1S)-1-[(2S)-oxiranyl]-2-phenylethylcarbamate (V, 2.3 g, 8.7 mmol) and 3-(trifluoromethyl)benzylamine (VI, 1.9 mL, 13.1 mmol) in 2-propanol (70 mL) is heated at reflux for 4 hours. The reaction mixture is cooled to 20-25 degrees and concentrated under reduced pressure to give tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propylcarbamate (VII, 3.1 g) as a solid, ESI-MS (*m/z*) $[M + H]^+ = 439$.

Step 2: A mixture of tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propylcarbamate (VII, step 1, 2.5 g, 5.7 mmol) and hydrochloric acid (29 mL of a 4.0 M mixture in dioxane, 114 mmol) is stirred at 20-25 degrees. A precipitate forms and is collected by filtration, washed with ether, and dried under reduced pressure to give (2R,3S)-3-amino-4-phenyl-1-{[3-(trifluoromethyl)benzyl]amino}-2-butanol dihydrochloride (VIII, 2.13 g), ESI-MS (*m/z*) $[M +]^+ = 339$.

Step 3: A mixture of 3-[(dipropylamino)carbonyl]-5-ethynylbenzoic acid (IX, 231 mg, 0.8 mmol), (2R,3S)-3-amino-4-phenyl-1-{[3-(trifluoromethyl)benzyl]amino}-2-butanol dihydrochloride (VIII, Step 2, 493.5 mg, 1.2 mmol) HOBt (162 mg, 1.2 mmol), and diisopropylethylamine (832 Micro Liter, 4.8 mmol) is stirred in methylene chloride (4 mL) for 15 minutes EDC (206 mg, 1.2 mmol) is added and the reaction mixture is stirred overnight. The reaction mixture is diluted with water, and extracted with methylene chloride (3 x 25 mL). The organic phase is washed with hydrochloric acid (1N, 25 mL), saturated sodium bicarbonate (25 mL), saline dried over sodium sulfate and concentrated under reduced pressure. Purification by flash column chromatography (silica, 100% ethyl acetate to methanol/chloroform, 1/9) gives title compound, IR (ATR): 3302, 2963, 2932 and 1615 cm^{-1}; MS (*m/z*) $[M + H]^+ = 549$.

EXAMPLE 744 N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLE 744 and making non-critical variations but using 3-iodobenzylamine hydrochloride salt (VI), the title compound is obtained, IR (ATR) 3295, 2960, 2927 and 1616 cm^{-1}, APCI-MS (*m/z*) $[M + H]^+$ = 652.

EXAMPLE 745 N^1-{(1S,2R)-1-benzyl-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLE 744 and making non-critical variations but using 3-fluorobenzylamine (VI), the title compound is obtained, IR (ATR): 3217, 2961, 2918 and 1615 cm^{-1}; APCI-MS (*m/z*) $[M + H]^+$ = 544.

EXAMPLE 746 N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(8-quinolinyl)isophthalamide (X)

Step 1: A mixture of methyl-3-bromo-5-[(dipropylamino)carbonyl]benzoate (XLVIII, 200 mg, 0.58 mmol), 8-quinolineboronic acid (200.6 mg, 1.2 mmol), sodium carbonate (870 Micro Liter of a 2 M mixture in water, 1.74 mmol) in toluene (6 mL) is degassed under reduced pressure for 15 minutes and purged with argon. Palladium tetrakis(triphenylphosphine) (139 mg, 0.12 mmol) is added and the reaction mixture is degassed under reduced pressure for 15 minutes and purged with argon. The reaction mixture is heated at reflux overnight, cooled to 20-25 degrees C and diluted with chloroform. The organic phase is separated and washed with water (3 x 50 mL), and saline, dried over sodium sulfate and concentrated under reduced pressure. Purification by flash column chromatography (silica, ethyl acetate/hexanes, 1.3/1) gives methyl 3-[(dipropylamino)carbonyl]-5-(8-quinolinyl)benzoate (XLIX, 176 mg), NMR (300 MHz, $CDCl_3$): delta 8.91, 8.42, 8.21, 8.09, 7.95, 7.86, 7.77, 7.64, 3.94, 3.49, 3.34, 1.64, 0.99 and 0.84.

Step 2: To a mixture of methyl 3-[(dipropylamino)carbonyl]-5-(8-quinolinyl)benzoate (XLIX, step 1, 175.5 mg, 0.45 mmol) in methanol (2 mL) is added lithium hydroxide (32.3 mg, 1.4 mmol) and water (500 microliter). After stirring overnight, the reaction mixture is partitioned between ethyl acetate (10 mL) and water (10 mL). The aqueous phase is separated and acidified with hydrochloric acid (1N), and extracted with chloroform (3 x 40 mL). The organic phase is washed with saline, dried (sodium sulfate) and concentrated under reduced pressure to give

3-[(dipropylamino)carbonyl]-5-(8-quinolinyl)benzoic acid (IX – L, 130 mg), NMR (300 MHz, CD_3OD) δ 8.84, 8.39, 8.35, 8.05, 7.96, 7.90, 7.87, 7.79, 7.68, 3.50, 3.37, 1.76-1.61, 0.99 and 0.84.

Step 3: A mixture of 3-[(dipropylamino)carbonyl]-5-(8-quinolinyl)benzoic acid (IX – L, Step 2, 130 mg, 0.35 mmol), (2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol dihydrochloride (VIII, 117 mg, 0.35 mmol), HOBt (70 mg, 0.52 mmol) and diisopropylethylamine (241 microliter, 1.4 mmol) in methylene chloride (2 mL) is stirred for 15 minutes EDC (89 mg, 0.52 mmol) is added and the reaction mixture is stirred overnight. The reaction mixture is diluted with water and extracted with methylene chloride (3 x 25 mL). The organic phase is washed with hydrochloric acid (1N, 25 mL), saturated sodium bicarbonate (25 mL), saline, dried (sodium sulfate), and concentrated under reduced pressure. Purification by flash column chromatography (silica; methanol/chloroform, 1/9) gives the title compound, IR (NaCl): 3301, 2916, 2365 and 1613 cm^{-1}; APCI-MS (*m/z*) $[M + H]^+ = 659$.

EXAMPLE 747 N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-methoxy-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide hydrochloride (X)

Step 1: A mixture of 4-methoxyphenyl boronic acid (463 mg, 3.05 mmol), 3-bromo-5-[(dipropylamino)carbonyl]benzoic acid (XLVIII, 1.02 g, 3.05 mmol), and potassium phosphate (1.29 g, 6.10 mmol) in 1,2-dimethoxyethane (10 mL) and water (5 mL) is degassed with argon for 15 minutes Bis(triphenylphosphine)palladium (II) chloride (21 mg, 0.03 mmol) is added, the reaction mixture is degassed again with argon, and heated at 85 degrees C overnight. The reaction mixture is cooled to 20-25 degrees C, and passed through a plug of diatomaceous earth.

The filtrate is acidified to pH = 4 with hydrochloric acid (1N) and extracted with ethyl acetate. The organic phase is washed with water and saline and dried (magnesium sulfate). The product is purified by flash column chromatography (silica gel; ethyl acetate/acetic acid, 99/1) to give 5-[(dipropylamino)carbonyl]-4'-methoxy[1,1'-biphenyl]-3-carboxylic acid (IX - L, 667 mg), ESI-MS (*m/z*) $[M + H]^+ = 356$.

Step 2: A mixture of 5-[(dipropylamino)carbonyl]-4'-methoxy[1,1'-biphenyl]-3-carboxylic acid (IX - L, step 1, 316 mg, 0.89 mmol), (2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol dihydrochloride (VIII, 332 mg, 0.89 mmol), HOBt (181 mg, 1.34 mmol), and *N*-methylmorpholine (0.37 g, 3.56 mmol) in methylene chloride (8 mL) and dimethylformamide (2 mL) is stirred at 20-25 degrees for 15 minutes EDC (257 mg, 1.34 mmol) is added and the reaction mixture is stirred for 4.5 hours. The reaction mixture is partitioned between methylene chloride and water. The organic phase is washed with hydrochloric acid (1N) , water, and saline, dried (magnesium sulfate), and concentrated. The concentrate is dissolved in a minimum of methanol, treated with hydrochloric acid (3 mL of a 1.0 M mixture in ether, 3 mmol), and stirred for 10 minutes. More ether is added to precipitate the rest of the product. The precipitate is collected by filtration and dried in the vacuum oven at 50 degrees C to give the title compound, mp = 205-209 degrees C; IR (ATR): 2964 and 1649 cm^{-1}; APCI-MS (*m/z*) $[M + H]^+$ = 638.

EXAMPLE 748 N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide hydrochloride (X)

Step 1: A mixture of tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, 500 mg, 1.67 mmol) and 3-methoxybenzylamine (VI, 0.34g, 2.51 mmol) in 2-propanol (3 mL) is heated at reflux overnight, allowed to cool to 20-25 degrees C, and concentrated under reduced pressure. The residue is crystallized from ethyl acetate/hexanes and collected by filtration to afford tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate (VII, 575 mg) as a solid: ESI-MS (*m/z*): 437 $[M + H]^+$.

Step 2: A mixture of tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate (VII, Step 1, 535 mg, 1.23 mmol) in methanol (2 mL) is treated with hydrochloric acid (3.2 mL of a 1.0 M mixture in ether, 3.2 mmol), and stirred at 20-25 degrees C for 30 minutes Ether is added until a precipitate formed. The precipitate is collected by filtration is (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol dihydrochloride (VIII).

Step 3: A mixture of 5-[(dipropylamino)carbonyl][1,1'-biphenyl]-3-carboxylic acid (IX, 188 mg, 0.56 mmol), (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol dihydrochloride (VIII, Step 2, 230 mg, 0.56 mmol), HOBt (114 mg, 0.84 mmol), and *N*-methylmorpholine (0.23 g, 2.24 mmol) in methylene chloride (6 mL) and dimethylformamide (1 mL) is stirred at 20-25 degrees C for 15 minutes EDC (161 mg, 0.84 mmol) is added and the reaction mixture is stirred at 20-25 degrees C overnight. The reaction mixture is washed with water, 1 N hydrochloric acid, water, and saline, dried (sodium sulfate), and concentrated under reduced pressure to give the title compound, mp 230-233degrees C; IR (ATR): 2965, 1651, 1596 and 1267 cm^{1}; ESI-MS (*m/z*) $[M + H]^+ = 644$.

EXAMPLE 749 N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide hydrochloride (X)

Following the general procedure of EXAMPLE 748 and making non-critical variations but using (2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol dihydrochloride (VIII) in place of (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol dihydrochloride (VIII), the title compound is obtained, mp = 214-219 degrees C; IR (KBr): 3227, 2961, 1632 and 1605 cm^{-1}; ESI-MS (*m/z*) $[M + H]^+ = 608$.

EXAMPLE 750 N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]-N^5,N^5-dipropyl-1,1'-biphenyl-3,5-dicarboxamide (X)

Step 1: A flask is charged with 1,1'-bis(diphenylphosphino)ferrocene-dichloropalladium 1:1 complex (37 mg, 0.05 mmol), potassium acetate (492 mg, 4.5 mmol) and bis(pinacolato)diboron (408 mg, 1.6 mmol) and is degassed under reduced pressure for 15 min and purged with argon. To this mixture is added a mixture of methyl-3-bromo-5-[(dipropylamino)carbonyl]benzoate (XXI, 500 mg, 1.5 mmol) in anhydrous dimethyl sulfoxide (9 mL) and the reaction mixture is stirred at 80 degrees C for 4 hours. The reaction mixture is cooled to 20-25 degrees C, diluted with toluene (50 mL), washed with water (3 x 150 mL), saline, dried (magnesium sulfate), and concentrated under reduced pressure to give methyl 3-[(dipropylamino)carbonyl]-5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzoate, ESI-MS (*m/z*) $[M + H]^+ = 390$.

Step 2: A mixture of methyl 3-[(dipropylamino)carbonyl]-5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzoate (Step 1, 534 mg, 1.4 mmol), 4-bromobenzenedimethyl-sulfonamide (363 mg, 1.4 mmol), and sodium carbonate (2 mL of a 2 M mixture in water, 4.1 mmol) in toluene (10 mL) is degassed under reduced pressure for 15 minutes and then purged with argon. Palladium tetrakis(triphenylphosphine) (40 mg, 0.025 mmol) is added and the reaction mixture is degassed under reduced pressure for 15 minutes and then purged with argon. The reaction mixture is heated at reflux for 4 hours, cooled to 20-25 degrees C, filtered through a plug of diatomaceous earth and sodium sulfate, and the filtrate is concentrated under reduced pressure. Purification by flash column chromatography (silica; ethyl acetate/hexanes, 1/1) gives methyl 4'-[(dimethylamino)sulfonyl]-5-[(dipropylamino)carbonyl][1,1'-biphenyl]-3-carboxylate (XXXVIII), ESI-MS (*m/z*) $[M + H]^+ = 447$.

Step 3: A mixture of methyl 4'-[(dimethylamino)sulfonyl]-5-[(dipropylamino)carbonyl][1,1'-biphenyl]-3-carboxylate (XXXVIII, step 2, 555 mg, 1.24 mmol) in methanol (6 mL) and sodium hydroxide (2 mL of a 6.0 M mixture in water, 12 mmol) is stirred at 20-25 degrees C for 4 hours. The reaction mixture is partitioned between ethyl acetate (40 mL) and water (40 mL). The aqueous phase is acidified to pH = 4 with hydrochloric acid (1N), extracted with ether (3 x 100 mL), and the combined organic phases are concentrated under reduced pressure to give methyl 4'-[(dimethylamino)sulfonyl]-5-[(dipropylamino)carbonyl][1,1'-biphenyl]-3-carboxylic acid (IX - XXXIX), NMR (300 MHz, $CDCl_3$): δ 8.37, 8.12, 7.89, 7.80, 3.51, 3.22, 2.76, 1.74, 1.59, 1.02 and 0.79.

Step 4: A mixture of the acid (IX – XXXIX, Step 3, 150 mg, 0.35 mmol), (2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol dihydrochloride (VIII, 129 mg, 0.35 mmol) HOBt (47 mg, 0.35 mmol), and *N*-methylmorpholine (122 μL, 1.1 mmol) is stirred in methylene chloride (4 mL) for 15 minutes EDC (107 mg, 0.62 mmol) is added and the reaction mixture is stirred overnight. The reaction mixture is diluted with water, and extracted with methylene chloride (3 x 25 mL). The organic phase is washed with hydrochloric acid (1N, 25 mL), saturated sodium bicarbonate (25 mL), saline, dried (sodium sulfate), and concentrated under reduced pressure. Purification by flash column chromatography (silica; 100% ethyl

acetate to methanol/chloroform, 1/9) gives the title compound, IR (ATR): 2932, 2837 and 1593 cm^{-1}; APCI-MS (*m/z*) $[M + H]^+ = 715$.

EXAMPLE 751 N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]-N^5,N^5-dipropyl-1,1'-biphenyl-3,5-dicarboxamide (X)

Following the general procedure of EXAMPLE 750 and making non-critical variations but using 2R,3S)-3-amino-1-[(3-iodobenzyl)amino]-4-phenyl-2-butanol dihydrochloride (VIII), the title compound is obtained, IR (ATR): 3303, 2930, 2872 and 1614 cm^{-1}; APCI-MS (*m/z*) $[M + H]^+ = 811$.

EXAMPLE 752 N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(3-thienyl)isophthalamide hydrochloride (X)

Step 1: To an ice-cold mixture of methyl 3-amino-5-[(dipropylamino)carbonyl]benzoate (XLVIII, 1.0 g, 3.60 mmol) in aqueous hydrogen tetrafluoroborate (48% wt. in H_2O, 12.9 mmol) is added a cold mixture of aqueous sodium nitrite (0.25 g, 3.60 mmol) dropwise. The mixture is stirred for 10 min and then extracted with ethyl acetate. The organic phase is washed with water, dried over magnesium sulfate, filtered, and concentrated under reduced pressure to give a diazonium salt which is used without further purification, NMR (500 MHz, CD_3OD): δ 9.26, 8.86, 8.71, 4.03, 3.50, 3.22, 1.75, 1.60, 1.01 and 0.79.

Step 2: To a mixture of thiophene-3-boronic acid (1.0 g, 7.82 mmol) in methanol is added a concentrated aqueous mixture of potassium hydrogen difluoride (2.01 g, 25.8 mmol) dropwise. The reaction mixture is stirred for 10 minutes and concentrated under reduced pressure. The resulting solid is extracted with acetone and concentrated under reduced pressure gives crude material, which is recrystallized from acetone/ether to give potassium trifluoro(3-thienyl)borate salt, ESI-MS (*m/z*) $[M + H]^+ = 151$.

Step 3: A mixture of potassium trifluoro(3-thienyl)borate salt (step 2, 0.69 g, 1.82 mmol), diazonium salt from (XLVIII, step 1, 0.42 g, 2.19 mmol), and lead acetate (0.02 g, 0.09 mmol) in the dark is purged with argon for 15 minutes. Dioxane (8 mL) is added and the reaction mixture is degassed with argon and stirred

at 20-25 degrees C overnight. The reaction mixture is diluted with ether, washed with saline, dried over magnesium sulfate and concentrated under reduced pressure to give methyl 3-[(dipropylamino)carbonyl]-5-(3-thienyl)benzoate (XLIX) which is purified by flash chromatography (silica; ethyl acetate/hexanes, 1/1), ESI-MS (*m/z*) $[M + H]^+ = 346$.

Step 4: A mixture of methyl 3-[(dipropylamino)carbonyl]-5-(3-thienyl)benzoate (XLIX, step 3, 0.31 g, 0.88 mmol) in THF/methanol/sodium hydroxide (3/1/1, 5 mL) is stirred at 40 degrees C for 2 hours. The reaction is cooled to 20-25 degrees C, diluted with water and extracted with ethyl acetate. The aqueous phase is acidified to pH = 4 and extracted with ethyl acetate. The organic phase is washed with water and saline, dried over magnesium sulfate and concentrated under reduced pressure to give 3-[(dipropylamino)carbonyl]-5-(3-thienyl)benzoic acid (IX – L), ESI-MS (*m/z*) $[M + H]^+ = 332$.

Step 5: A mixture of 3-[(dipropylamino)carbonyl]-5-(3-thienyl)benzoic acid (IX – L, step 4, 0.26 g, 0.79 mmol), (2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol dihydrochloride (VIII, 0.26 g, 0.71 mmol), HOBt (0.16 g, 1.18 mmol), and triethylamine (0.44 mL, 3.15 mmol) in DMF (4 mL) is stirred at 20-25 degrees C for 10 minutes EDC (0.23 g, 1.18 mmol) is added and the reaction mixture is stirred for 4 hours. The reaction mixture is diluted with water and extracted with ethyl acetate. The organic phase is washed with hydrochloric acid (1 N), water, and saline, dried over magnesium sulfate and concentrated under reduced pressure. Recrystallization (methylene chloride/hexanes, 1/1) gives the title compound, mp = 199-201 degrees C; IR (KBr): 3278, 2961, 2874 and 2837 cm^{-1}; ESI-MS (*m/z*) $[M + H]^+ = 614$.

EXAMPLE 753 N-{(1R,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-pentanoylbenzamide (X)

Step 1: To an ice-cold, stirred mixture of oxalyl chloride (733 mg, 5.77 mmol) in methylene chlor0ide (5 mL) is added 3 drops of dimethylformamide. After 10 minutes 3-(methoxycarbonyl)-5-methylbenzoic acid (LXXIII, 560 mg, 2.89 mmol) is added. The reaction mixture is stirred for 1 hour and concentrated under reduced pressure to provide an acid chloride (LXXIV), which is used without further purification.

Step 2: To a -78 degrees C, stirred mixture of acid halide (LXXIV, step 1, 612 mg, 2.89 mmol) and copper (I) bromide (415 mg, 2.89 mmol) in tetrahydrofuran (5 mL) is added butyl magnesium chloride (1.44 mL of a 2.0 M mixture in tetrahydrofuran, 2.89 mmol). The reaction mixture is warmed to 20-25 degrees C, quenched by addition of saturated ammonium chloride, and diluted with ether. The organic phase is separated, washed with saline, dried (sodium sulfate), filtered, and concentrated under reduced pressure. Purification by flash column chromatography (silica; hexanes/ethyl acetate, 6.5/1) gives methyl 3-methyl-5-pentanoylbenzoate (LXXVI), NMR (300 MHz, CD_3OD): δ 8.43, 8.05, 3.96, 3.01, 1.77, 1.55 and 1.22.

Step 3: A mixture of methyl 3-methyl-5-pentanoylbenzoate (LXXVI, step 2. 133 mg, 0.605 mmol) in methanol (1 mL) is stirred with tetrahydrofuran/methanol/sodium hydroxide (2 N) (3/1/1, 3 mL) for 3 days. The reaction mixture is diluted with ethyl acetate and washed with water. The aqueous phase is separated and acidified with hydrochloric acid (1 N) and extracted with methylene chloride. The organic phase is dried (sodium sulfate), filtered, and concentrated under reduced pressure to give 3-methyl-5-pentanoylbenzoic acid (IX – LXXVII), NMR (300 MHz, CD_3OD): δ 8.44, 8.03, 3.10, 2.33, 1.78, 1.64 and 1.34.

Step 4: To a mixture of 3-methyl-5-pentanoylbenzoic acid (IX - LXXVII, 112 mg, 0.589 mmol), (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol dihydrochloride (VIII, 239 mg, 0.589 mmol), HOBt (80 mg, 0.589 mmol), and *N*-methylmorpholine (250 mg, 2.47 mmol) in methylene chloride (3 mL) is added EDC (203 mg, 1.06 mmol). The reaction mixture is stirred overnight and then partitioned between ethyl acetate and water. The organic phase is washed with hydrochloric acid (1 N), saturated sodium bicarbonate, saline, dried (sodium sulfate), filtered, and concentrated under reduced pressure. Purification by flash column chromatography (silica; methylene chloride/methanol, 12/1) gives the title compound, IR (ATR): 3297, 2957, 1687 and 1628 cm^{-1}; APCI-MS (*m/z*) $[M + H]^+ = 539$.

EXAMPLE 754 N^1-(4-hydroxybutyl)-N^3-{(1S)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^1-propylisophthalamide (X)

Step 1: To a mixture of methyl (2S)-3-[4-(benzyloxy)phenyl]-2-(tert-butoxycarbonyl)aminopropanoate (1.79 g, 4.65 mmol) in a THF/methanol/water (1/2/1, 16 ml) is added lithium hydroxide (340 mg, 13.9 mmol) and the mixture stirred at 20-25 degrees C for 12 hours. The mixture is quenched with citric acid (10%). The resulting mixture is extracted with ethyl acetate (3 x 15 ml). The combined organic extracts are washed three times with water, dried over sodium sulfate, filtered, and concentrated under reduced pressure to give (2S)-3-[4-(benzyloxy)phenyl]-2-[(tert-butoxycarbonyl)amino]propanoic acid which is carried on without purification. To a -78 degrees C, stirred mixture of (2S)-3-[4-(benzyloxy)phenyl]-2-[(tert-butoxycarbonyl)amino]propanoic acid (10.0 g, 27.0 mmol) in THF (200 mL) is added NMM (3.20 mL, 29.0 mmol) and isobutyl chloroformate (3.8 mL, 29.0 mmol). The cold bath is removed, the reaction mixture is stirred for 1 hour, and then filtered. The filtrate is kept cold and used in the next step. To an ice-cold, stirred mixture of ether (110 mL) and potassium hydroxide (40%, 35 mL) is slowly added 1-methyl-3-nitro-1-nitrosoguanidine (8.40 g, 57.0 mmol). The reaction mixture is stirred until gas evolution ends. The organic phase is separated and slowly added to an ice-cold, stirred mixture of the mixed anhydride filtrate from step 2. After the reaction mixture is stirred for 1 hour, nitrogen is bubbled into the mixture for 10 minutes The resulting mixture is concentrated under reduced pressure, diluted with ethyl acetate (200 mL), and washed with water (100 mL). The organic phase is washed with saturated sodium bicarbonate and saline, dried over sodium sulfate, filtered, and concentrated under reduced pressure to give the diazoketone, which is carried on without purification or characterization. To an ice-cold, stirred mixture of diazoketone in ether (100 mL) is added hydrobromous acid (48%, 4 mL, 73 mmol). The cold bath is removed, the reaction mixture stirred for 30 minutes, and partitioned between ether and water. The organic phase separated and washed with saturated sodium bicarbonate and saline, dried over sodium sulfate, filtered, and concentrated under reduced pressure to give tert-butyl (1S)-1-[4-(benzyloxy)benzyl]-3-bromo-2-oxopropylcarbamate (IV) which is used without further purification or characterization. To a -78 degrees C, stirred mixture of tert-butyl (1S)-1-[4-(benzyloxy)benzyl]-3-bromo-2-oxopropylcarbamate (IV) in a isopropanol/THF (2/1, 150 mL) is slowly added sodium borohydride (1.15 g, 30.0 mmol). The reaction mixture is stirred for 30 minutes followed by the addition of water (30 mL). The resulting mixture is

warmed to 20-25 degrees C and concentrated under reduced pressure in a water bath not exceeding 30 degrees C. The crude residue is dissolved in ethyl acetate and washed with water and saline. The organic phase is dried over magnesium sulfate, filtered and concentrated under reduced pressure to give the bromohydrin as a solid. To an ice-cold, stirred mixture of bromohydrin in ethanol (150 mL) and ethyl acetate (100 ml) is added a potassium hydroxyde (1 N) ethanol mixture (36 mL, 36 mmol). The cold bath is removed and the reaction mixture is stirred for 30 minutes. The resulting mixture is partitioned between ethyl acetate and water. The organic phase is separated and washed with saline, dried over magnesium sulfate, filtered, and concentrated under reduced pressure. Purification by flash chromatography (silica; hexanes/ethyl acetate, 5/1) gives tert-butyl (1S)-2-[4-(benzyloxy)phenyl]-1-[(2S)-oxiranyl]ethylcarbamate (V, as a 8/1 mixture of diastereomers), NMR (500 MHz, $CDCl_3$) δ 7.44-7.32, 7.14, 6.93, 5.07, 4.45, 3.61, 3.00-2.60 and 1.39.

Step 2: A mixture of 4-benzyloxybutyric acid (2.69 g, 13.8 mmol), propylamine (0.82 g, 13.8 mmol), HOBt (2.05 g, 15.2 mmol), *N*-methylmorpholine (1.68 g, 16.6 mmol) and EDC (2.91 g, 15.2 mmol) in DMF (6 mL) is stirred at 20-25 degrees C for 18 hours. The mixture is diluted with ethyl acetate (40 mL) and washed with water (10 mL), hydrochloric acid (1 N, 10 mL), saturated sodium bicarbonate (10 mL), and saline (10 mL). The organic phase is separated, dried over magnesium sulfate, filtered, and concentrated under reduced pressure to provide 4-(benzyloxy)-N-propylbutanamide (2.59 g), APCI-MS (*m/z*) $[M + H]^+ = 236$.

Step 3: To an ice-cold, stirred mixture of 4-(benzyloxy)-N-propylbutanamide (2.59 g, 11.0 mmol) in THF (8 mL) is added lithium aluminum hydride (0.54 g, 14.3 mmol). The reaction mixture is heated to 40-50 degrees C for 5 hours. The cooled reaction mixture is quenched with water (0.5 mL), sodium hydroxide (2 N, 1.0 mL), and saline (0.5 mL) then diluted with ether (30 mL). The precipitate that formed is filtered off, and the ether phase dried over magnesium sulfate, filtered, and concentrated under reduced pressure to give N-[4-(benzyloxy)butyl]-N-propylamine (2.41 g), APCI-MS (*m/z*): 222 $[M + H]^+$.

Step 4: A mixture of N-[4-(benzyloxy)butyl]-N-propylamine (2.31 g, 10.44 mmol), 3-(ethoxycarbonyl)-5-methylbenzoic acid (2.18 g, 10.44 mmol), HOBt (1.56 g, 11.49 mmol), *N*-methylmorpholine (1.37 mL, 12.52 mmol), and EDC (2.20 g, 11.49 mmol) in DMF (12 mL) is stirred at 20-25 degrees C for 18 hours. The

reaction mixture is diluted with ethyl acetate (80 mL) and washed with water (2 x 20 mL), hydrochloric acid (1 N, 20 mL), saturated sodium bicarbonate (20 mL) and saline (20 mL), dried over magnesium sulfate, filtered, and concentrated under reduced pressure. Purification by flash chromatography (silica; hexanes/ethyl acetate,1/1) gives ethyl 3-{[[4-(benzyloxy)butyl](propyl)amino]carbonyl}-5-methylbenzoate (1.79 g), NMR (500 MHz, DMSO-d_6): delta7.80, 7.64, 7.40, 7.38-7.16, 4.50-4.43, 4.34-4.29, 3.53-3.30, 3.20-3.06, 2.41-2.36, 1.70-1.40, 1.36-1.29, 0.94-0.84 and 0.82-0.72; APCI-MS (*m/z*) $[M + H]^+ = 412$.

Step 5: To a mixture of ethyl 3-{[[4-(benzyloxy)butyl](propyl)-amino]carbonyl}-5-methylbenzoate (1.75 g, 4.25 mmol) in THF/ethanol/water (1/2/1, 30 mL) is added lithium hydroxide (0.31 g, 12.76 mmol). The reaction mixture is stirred for 2 h and then acidified to pH = 3 with concentrated hydrochloric acid (0.5 mL). The reaction mixture is extracted with ethyl acetate (2 x 30 mL), dried over magnesium sulfate, filtered, and concentrated under reduced pressure to give 3-{[[4-(benzyloxy)butyl](propyl)amino]carbonyl}-5-methylbenzoic acid (IX, 1.63 g), ESI-MS (*m/z*) $[M + H]^+ = 384$.

Step 6: A mixture of tert-butyl (1S)-2-[4-(benzyloxy)phenyl]-1-[(2S)-oxiranyl]ethylcarbamate (V, 1.58 g, 4.28 mmol) and 3-methoxybenzylamine (VI, 825 microliter, 6.42 mmol) in isopropanol (45 mL) is heated to 90 degrees C for 4 hours. Upon cooling to 20-25 degrees C, the reaction mixture is concentrated under reduced pressure. Purification by flash chromatography (silica; methylene chloride/methanol/ammonium hydroxide 98/1/1 to 95/:4/1) gives tert-butyl (1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate (VII, 1.97 g), NMR (300 MHz, MeOH-d_4): δ 7.41-6.79, 5.05, 4.33-3.33, 3.74, 3.54, 3.03-2.46 and 1.29; ESI-MS (*m/z*) $[M + H]^+ = 507$.

Step 7: tert-Butyl (1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate (VII, step 6, 2.34 g, 4.62 mmol) in dioxane (10 mL) is treated with hydrochloric acid (12 mL of a 4.0 M mixture in dioxane, 48 mmol) for 2 hours. The precipitate that forms is collected by filtration, washed with ether, and dried under reduced pressure overnight to give (2R,3S)-3-amino-4-[4-(benzyloxy)phenyl]-1-[(3-methoxybenzyl)amino]-2-butanol hydrochloride (VIII), NMR (300 MHz, MeOH-d_4): δ 7.44-6.96, 5.05, 4.21, 3.83, 3.65) and 3.21-2.77; ESI-MS (*m/z* $[M + H]^+ = 407$.

Step 8: To an ice-cold, stirred mixture of 3-{[[4-(benzyloxy)butyl](propyl)amino]carbonyl}-5-methylbenzoic acid (IX, 310 mg, 0.809 mmol), (2R,3S)-3-amino-4-[4-(benzyloxy)phenyl]-1-[(3-methoxybenzyl)amino]-2-butanol hydrochloride (VIII, 359 mg, 0.809 mmol), and bromotripyrrolidinophosphonium hexafluorophosphate (415 mg, 0.890 mmol) in methylene chloride (10 mL) is added diisopropylethylamine (285 microL, 1.62 mmol) dropwise. The resulting mixture is stirred at 0 degrees C for 30 minutes and then warmed to 20-25 degrees C. After 4 hours, the reaction is concentrated under reduced pressure and is partitioned between ethyl acetate and water. The aqueous phase is separated and extracted with ethyl acetate (3 x 15 mL), the combined organic phases are dried over magnesium sulfate, and concentrated under reduced pressure. The concentrate is purified by flash chromatography (silica; methylene chloride/methanol/ammonium hydroxide 96/3/0.5) to give N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3-[4-(benzyloxy)butyl]-5-methyl-N^3-propylisophthalamide (X) NMR (300 MHz, Acetone-d_6): delta7.99-6.74), 5.01 4.51-4.29, 4.36, 4.01, 3.80, 3.55-3.16, 2.98-2.82, 2.65-2.62, 2.36, 1.85-1.29, 1.01 and 0.68; ESI-MS (*m/z*) $[M + H]^+ = 772$.

Step 9. A mixture of N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3-[4-(benzyloxy)butyl]-5-methyl-N^3-propylisophthalamide (X, 100 mg, 0.130 mmol) and palladium on carbon (10%, 100 mg) in absolute glacial acetic acid (5 mL) is shaken under an atmosphere of hydrogen at 35 psi for 5 hours. The resulting mixture is filtered through diatomaceous earth and washed with methanol. The combined filtrates are concentrated under reduced pressure. The concentrate is purified by flash column chromatography (silica; gradent of dichloromethane/methanol/ammonium hydroxide 97/3/0.05 to 93/7/0.05) to give the title compound: NMR (300 MHz, CD_3OD): δ 7.55-6.64, 4.19, 3.99-3.72, 3.63-3.36, 3.21-3.09, 2.79-2.69, 2.39, 1.90-1.40, 1.29 and 1.02-0.6; ESI-MS (*m/z*) $[M + H]^+ = 592$.

EXAMPLE 755 N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N^3-(3-hydroxypropyl)-5-methyl-N^3-propylisophthalamide (X)

Following the general procedure of EXAMPLE 754 and making non-critical variations, but using 3-{[[3-(benzyloxy)propyl](propyl)amino]carbonyl}-5-

methylbenzoic acid (IX) in place of 3-{[[4-(benzyloxy)butyl](propyl)amino]-carbonyl}-5-methylbenzoic acid (IX), the title compound is obtained, ESI-MS (*m/z*) $[M + H]^+ = 578$.

EXAMPLE 756 N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Step 1. To a stirred mixture of 3-[(dipropylamino)carbonyl]-5-methylbenzoic acid (IX, 150 mg, 0.570 mmol), (2R,3S)-3-amino-4-[4-(benzyloxy)phenyl]-1-[(3-methoxybenzyl)amino]-2-butanol hydrochloride (VIII, 274 mg, 0.571 mmol), *N*, *N*-diisopropylethylamine (400 microliter, 2.28 mmol), and HOBt (116 mg, 0.857 mmol) in dichloromethane (10 mL) is added EDC (165 mg, 0.857 mmol). The resulting mixture is stirred at 20-25 degrees C for 16 hours. The reaction mixture is partitioned between dichloromethane and water. The aqueous phase is separated and extracted with dichloromethane (3 x 15 mL). The combined organic phases are washed with water, dried (magnesium sulfate), and concentrated under reduced pressure. Purification by flash column chromatography (silica; dichloromethane/methanol/ammonium hydroxide, 97/3/0.05) gives N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide, ESI-MS (*m/z*) $[M + H]^+ = 652$.

Step 2. A mixture of N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide (140 mg, 0.215 mmol) and palladium on carbon (10%, 140 mg) in absolute glacial acetic acid (5 mL) is shaken under an atmosphere of hydrogen at 35 psi for 5 hours The resulting mixture is filtered through diatomaceous earth and washed with methanol. The combined filtrates are concentrated under reduced pressure. The concentrate is purified by flash column chromatography (silica; methylene chloride/methanol/ammonium hydroxide gradient from 97/3/0.05 to 93/7/0.05) to give the title compound, IR (KBr) 2962, 2931, 1611, 1594 and 1263 cm^{-1}; ESI-MS (*m/z*) $[M + H]^+ = 562$.

EXAMPLE 757 N^1-((1S,2R)-1-benzyl-3-{[3-(2,4-dimethylphenyl)propyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Step 1: A stirred mixture of tert-butyl (1S)-1-[(2S)-oxiranyl]-2-phenylethylcarbamate (V, 247 mg, 0.939 mmol), sodium carbonate (299 mg, 2.82 mmol), and 3-(2,4-dimethylphenyl)propylamine (VI, 628 mg, 2.82 mmol) is heated at reflux overnight. The reaction mixture is cooled to 20-25 degrees C and concentrated under reduced pressure. Purification by flash column chromatography (silica; methylene chloride/methanol/ammonium hydroxide, 98/2/1) gives tert-butyl (1S,2R)-1-benzyl-3-{[3-(2,4-dimethylphenyl)propyl]amino}-2-hydroxypropylcarbamate (VII), NMR (300 MHz, CD_3OD): δ 7.22-7.16, 3.81, 3.18, 2.77, 2.54, 2.15, 2.13, 1.89 and 1.23.

Step 2: To a stirred mixture of tert-butyl (1S,2R)-1-benzyl-3-{[3-(2,4-dimethylphenyl)propyl]amino}-2-hydroxypropylcarbamate (VII, 180 mg, 0.423 mmol) in dioxane (2 mL) is added hydrochloric acid (0.32 mL of a 4 N mixture in dioxane, 1.27 mmol). The reaction mixture is stirred overnight and concentrated under reduced pressure to give (2R,3S)-3-amino-1-{[3-(2,4-dimethylphenyl)propyl]amino}-4-phenyl-2-butanol hydrochloride (VIII), NMR (300 MHz, $CDCl_3$): δ 7.14, 3.73, 2.70, 2.32 and 1.86.

Step 3: To a stirred mixture of (2R,3S)-3-amino-1-{[3-(2,4-dimethylphenyl)propyl]amino}-4-phenyl-2-butanol hydrochloride (VIII, 163 mg, 0.411 mmol), 3-[(dipropylamino)carbonyl]-5-methylbenzoic acid (IX, 108 mg, 0.411 mmol), HOBt (55 mg, 0.411 mmol), and *N*-methylmorpholine (133 mg, 1.32 mmol) in methylene chloride (5 mL) is added EDC (142 mg, 0.740 mmol). The reaction mixture is stirred overnight and then partitioned between ethyl acetate and water. The organic phase is washed with hydrochloric acid (1 N), saturated sodium bicarbonate, saline, dried (sodium sulfate), filtered, and concentrated under reduced pressure. Purification by flash column chromatography (silica; methylene chloride/methanol/ammonium hydroxide, 95/5/1) gives the title compound, IR (ATR): 3299, 2930 and 1614 cm^{-1}; APCI-MS (*m/z*) $[M + H]^+ = 572$.

EXAMPLE 758 N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(4-methylphenyl)propyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide hydrochloride (X)

Following the general procedure of EXAMPLE 757 and making non-critical variations, but using 3-(4-methylphenyl)propylamine in place of 3-(2,4-

dimethylphenyl)propylamine, the title compound is obtained, IR (ATR): 3282, 2929 and 1594 cm^{-1}; APCI-MS (*m/z*) $[M + H]^+ = 558$.

EXAMPLE 759 N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5, and 6 and making non-critical variations but using tert-butyl (1S)-1-[(2S)-oxiranyl]-2-phenylethylcarbamate (V) and 3-methoxybenzylamine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, MS $[M+H]^+ = 546.3$.

EXAMPLE 760 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1,3-dioxo-2-propyl-5-isoindolinecarboxamide (X)

Following the general procedure of EXAMPLEs 4, 5, and 6 and making non-critical variations but using tert-butyl (1S)-1-[(2S)-oxiranyl]-2-phenylethylcarbamate (V) and 3-methoxybenzylamine (VI) and 1,3-dioxo-2-propylisoindoline-5-carboxylic acid (IX), the title compound is obtained, MS $[M+H]^+ = 516.2$.

EXAMPLE 761 N-{(1R,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-bromo-5-methylbenzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using benzyl (1S)-1-[(2S)-oxiranyl]-2-phenylethylcarbamate (V) and 3-methoxybenzylamine (VI) and 3-bromo-5-methylbenzoic acid (IX), the title compound is obtained, MS $[M+H]^+ = 497.1, 499.1$.

EXAMPLE 762 3-bromo-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]

ethylcarbamate (V) and 3-methoxybenzylamine (VI) and 3-bromo-5-methylbenzoic acid (IX), the title compound is obtained, MS $[M+H]^+$ = 533.3, 535.3.

EXAMPLE 763 N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using benzyl (1S)-1-[(2S)-oxiranyl]-2-phenylethylcarbamate (V) and 3-methoxybenzylamine (VI) and 3-[(dipropylamino)carbonyl]-4-methylbenzoic acid (IX), the title compound is obtained, MS $[M+H]^+$ = 546.4.

EXAMPLE 764 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl- N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl] ethylcarbamate (V) and 3-methoxybenzylamine (VI) and 3-[(dipropylamino)carbonyl]-4-methylbenzoic acid (IX), the title compound is obtained, MS $[M+H]^+$ = 582.3.

EXAMPLE 765 N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^1,N^1-dipropylisophthalamide (X)

3-Bromo-4-methylbenzoic acid (10.94 g, 43.25 mmol), copper(I)cyanide (7.75 g, 86.5 mmol) and 1-methyl-2-pyrrolidinone (75 ml) are heated to 160 degrees C overnight. The mixture is cooled and vacuum distilled to give a residue which is stirred in hydrochloric acid (6N, 60 ml) for 10 minutes. The resulting solid is collected by filtration, washed with water, ether, and dried. The solid is heated to 90 degrees C in sodium hydroxide (2N, 250 ml) for 3 hours and the mixture is then cooled and stirred overnight at 20-25 degrees C. The reaction is acidified to about pH 3 with concentrated hydrochloric acid which gives a precipitate. The solids are collected by filtration and washed with water, then triturated in boiling water,

filtered and dried in a vacuum oven at 60 degrees C. The solid is dissolved in methanol (75 ml) and concentrated hydrochloric acid (5 ml) is added and the mixture is refluxed overnight. The mixture then is cooled and concentrated under reduced pressure. Chromatography (silica gel; methanol/methylene chloride, 8/92) gives 5-(methoxycarbonyl)-2-methylbenzoic acid.

To 5-(methoxycarbonyl)-2-methylbenzoic acid (250 mg, 1.3 mmol) and triethylamine (0.72 ml, 5.2 mmol) in methylene chloride (14 ml) is added diethylcyanopyrocarbonate (90%, 0.24 ml, 1.4 mmol) with stirring. After 1 minute, (2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol dihydrochloride (VIII, 485 mg, 1.3 mmol) is added and the reaction is stirred overnight. The mixture is concentrated followed by chromatography (silica gel; methanol/methylene chloride 8/92) to afford 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-4-methylbenzoate.

3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino) carbonyl]-4-methylbenzoate (200 mg, 0.42 mmol) is treated with lithium hydroxide (39 mg, 0.96 mmol) in tetrahydrofuran/methanol/water (2/1/1, 2 ml), and the mixture stirred overnight at 20-25 degrees C. The mixture is decanted and the supernatant concentrated to give 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-4-methylbenzoic acid.

3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino) carbonyl]-4-methylbenzoic acid (124 mg, 0.27 mmol) is dissolved in triethylamine (0.07 ml, 0.54 mmol) and methylene chloride (3 ml) and treated with diethylcyanopyrocarbonate (90%, 0.06 ml, 0.32 mmol) with stirring for 2 minutes. Dipropylamine (0.04 ml, 0.32 mmol) is added and stirring continued overnight. The organic phase is diluted with methylene chloride and washed with saturated sodium bicarbonate (2 X 50 ml) and saline (50 ml) then dried over anhydrous sodium sulfate, filtered and concentrated. Chromatography (silica gel; methanol/methylene chloride, 8/92) gives the title compound, MS $[M+H]^+ = 546.3$.

EXAMPLE 766 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(2-furyl)-5-methylbenzamide (X)

N-{(1R,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-bromo-5-methylbenzamide (X, EXAMLE 761, 295 mg, 0.59 mmol), 2-furanylboronic acid (133 mg, 1.19 mmol) and sodium carbonate (366 mg, 2.95 mmol) are combined in dimethylformamide (5 ml) and sparged under a flow of nitrogen for 15 minutes. Tetrakis(triphenylphosphino)palladium (136 mg, 0.12 mmol) is added and the mixture heated to 100 degrees C overnight. The mixture is cooled to 20-25 degrees C, diluted with chloroform (50 ml) and extracted with water (3 x 100 ml). The organic phase is separated and washed with saturated sodium bicarbonate (2 x 100 ml) and saline (100 ml), dried over anhydrous sodium sulfate, filtered, and concentrated under reduced pressue. The residue is chouromatographed (silica gel; methanol/methylene chloride, 8/92) to give the title compound, MS $[M+H]^+ = 485.3$.

EXAMPLE 767 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3',5,5'-trimethyl-1,1'-biphenyl-3-carboxamide (X)

Following the general procedure of EXAMPLE 766 and making non-critical variations but using 3,5-dimethylphenylboronic acid, the title compound is obtained, MS $[M+H]^+ = 523.3$.

EXAMPLE 768 3'-Acetyl-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl[1,1'-biphenyl]-3-carboxamide (X)

Following the general procedure of EXAMPLE 766 and making non-critical variations but using 3-acetylphenylboronic acid, the title compound is obtained, MS $[M+H]^+ = 537.3$.

EXAMPLE 769 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3'-methoxy-5-methyl[1,1'-biphenyl]-3-carboxamide (X)

Following the general procedure of EXAMPLE 766 and making non-critical variations but using 3-methoxyphenylboronic acid, the title compound is obtained, MS $[M+H]^+ = 525.3$.

EXAMPLE 770 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl[1,1'-biphenyl]-3-carboxamide (X)

Following the general procedure of EXAMPLE 766 and making non-critical variations but using phenylboronic acid, the title compound is obtained, MS $[M+H]^+ = 495.3$.

EXAMPLE 771 3-Methyl-5-(3-thienyl)benzoic acid (IX)

Following the general procedure of EXAMPLE 766 and making non-critical variations but using 2-thiopheneboronic acid and methyl 3-bromo-5-methylbenzoate, methyl 3-methyl-5-(3-thienyl)benzoate is obtained.

Methyl 3-methyl-5-(3-thienyl)benzoate (257 mg, 1.1 mmol) is treated with lithium hydroxide (186 mg, 4.4 mmol) in tetrahydrofuran/methanol/water (8 ml, 2:1:1) and the mixture is stirred for 2 hours at 20-25 degrees C. The mixture is acidified and concentrated to give the title compound, MS $[M+H]^+ = 217.0$.

EXAMPLE 772 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(3-thienyl)benzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using benzyl (1S)-1-[(2S)-oxiranyl]-2-phenylethylcarbamate (V) and 3-methoxybenzylamine (VI) and 3-methyl-5-(3-thienyl)benzoic acid (IX), the title compound is obtained, MS $[M+H]^+ = 501.2$.

EXAMPLE 773 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(2-thienyl)benzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using benzyl (1S)-1-[(2S)-oxiranyl]-2-phenylethylcarbamate

(V) and 3-methoxybenzylamine (VI) and 3-methyl-5-(2-thienyl)benzoic acid, the title compound is obtained, MS $[M+H]^+ = 501.2$.

EXAMPLE 774 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl}-3-methyl-5-(3-thienyl)benzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl] ethylcarbamate (V) and 3-methoxybenzylamine (VI) and 3-methyl-5-(3-thienyl)benzoic acid (IX), the title compound is obtained, MS $[M+H]^+ = 537.2$.

EXAMPLE 775 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino] propyl}-3-methyl-5-(3-thienyl)benzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl] ethylcarbamate (V) and 3-iodobenzylamine (VI) and 3-methyl-5-(3-thienyl)benzoic acid (IX), the title compound is obtained, MS $[M+H]^+ = 633.1$.

EXAMPLE 776 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-3-(3-thienyl)benzamide (X)

Following the general procedure of EXAMPLEs 4, 5, 6 and 766 and making non-critical variations but using benzyl (1S)-1-[(2S)-oxiranyl]-2-phenylethylcarbamate (V) and 3-methoxybenzylamine (VI) and 3-bromo-4-methylbenzoic acid (IX) and 3-thiopheneboronic acid, the title compound is obtained, MS $[M+H]^+ = 501.2$.

EXAMPLE 777 N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3,N^5,N^5-tetrapropylbenzene-1,3,5-tricarboxamide hydrochloride (X)

Following the general procedures of CHART A as well as PREPARATIONs 1-13, EXAMPLEs 1-13, 321-48-579, 597-622 and 624-631 and making non-critical

variations and using the appropriate reagents, the title compound is obtained, HRMS (FAB) = 659.4155.

EXAMPLE 778 N^1-{(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide (X)

Following the general procedures of CHART A as well as PREPARATIONs 1-13, EXAMPLEs 1-13, 321-48-579, 597-622 and 624-631 and making non-critical variations and using the appropriate reagents, the title compound is obtained, MS $(M+H)^+$ = 609.2.

EXAMPLE 779 Ethyl 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoate hydrochloride (X)

Following the general procedures of CHART A as well as PREPARATIONs 1-13, EXAMPLEs 1-13, 321-48-579, 597-622 and 624-631 and making non-critical variations and using the appropriate reagents, the title compound is obtained, HRMS (FAB) = 604.3392.

EXAMPLE 780 N^1-{(1S,2R)-2-Hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide (X)

Following the general procedures of CHART A as well as PREPARATIONs 1-13, EXAMPLEs 1-13, 321-48-579, 597-622 and 624-631 and making non-critical variations and using the appropriate reagents, the title compound is obtained, MS $(M+H)^+$ = 591.0.

EXAMPLE 781 N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide (X)

Following the general procedures of CHART A and CHART T as well as PREPARATIONs 1-13, EXAMPLEs 1-13, 321-48-579, 597-622 and 624-631 and

making non-critical variations and using the appropriate reagents, the title compound is obtained, MS $(M+H)^+ = 679.2$.

EXAMPLE 782 5-Amino-N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide (X)

Following the general procedures of CHART A and CHART T as well as PREPARATIONs 1-13, EXAMPLEs 1-13, 321-48-579, 597-622 and 624-631 and making non-critical variations and using the appropriate reagents, the title compound is obtained, MS $(M+H)^+ = 547.3$.

EXAMPLE 783 N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(trifluoroacetyl)amino]isophthalamide (X)

Following the general procedures of CHART A and CHART T as well as PREPARATIONs 1-13, EXAMPLEs 1-13, 321-48-579, 597-622 and 624-631 and making non-critical variations and using the appropriate reagents, the title compound is obtained, MS $(M+H)^+ = 643.2$

EXAMPLE 784 N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide hydrochloride (X)

Following the general procedures of CHART A and CHART T as well as PREPARATIONs 1-13, EXAMPLEs 1-13, 321-48-579, 597-622 and 624-631 and making non-critical variations and using the appropriate reagents, the title compound is obtained, MS $(M+H)^+ = 625.0$

EXAMPLE 785 N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide hydrochloride (X)

Following the general procedures of CHART A and CHART T as well as PREPARATIONs 1-13, EXAMPLEs 1-13, 321-48-579, 597-622 and 624-631 and making non-critical variations and using the appropriate reagents, the title compound is obtained, MS $(M+H)^+ = 693.1$

EXAMPLE 786 N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(thien-2-ylcarbonyl)amino]isophthalamide (X)

Following the general procedures of CHART A and CHART T as well as PREPARATIONs 1-13, EXAMPLEs 1-13, 321-48-579, 597-622 and 624-631 and making non-critical variations and using the appropriate reagents, the title compound is obtained, MS $(M+H)^+ = 657.2$.

EXAMPLE 787 N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methacryloylamino)-N^3,N^3-dipropylisophthalamide (X)

Following the general procedures of CHART A and CHART T as well as PREPARATIONs 1-13, EXAMPLEs 1-13, 321-48-579, 597-622 and 624-631 and making non-critical variations and using the appropriate reagents, the title compound is obtained, MS $(M+H)^+ = 615.1$.

EXAMPLE 788 N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(2,2-dimethylpropanoyl)amino]-N^3,N^3-dipropylisophthalamide (X)

Following the general procedures of CHART A and CHART T as well as PREPARATIONs 1-13, EXAMPLEs 1-13, 321-48-579, 597-622 and 624-631 and making non-critical variations and using the appropriate reagents, the title compound is obtained, MS $(M+H)^+ = 631.3$.

EXAMPLE 789 N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide (X)

Following the general procedures of CHART A and CHART T as well as PREPARATIONs 1-13, EXAMPLEs 1-13, 321-48-579, 597-622 and 624-631 and making non-critical variations and using the appropriate reagents, the title compound is obtained, MS $(M+H)^+ = 687.2$.

EXAMPLE 790 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methylthio)pentanamide

Following the general procedure of EXAMPLEs 588-592 and 597-619 and using the appropriate starting materials the title compound is obtained, HRMS m/z calculated for $C_{24}H_{35}N_2O_3S$ (M+H) = 431.2363, found = 431.2397.

EXAMPLE 791 tert-butyl (2R,3S)-3-({3-[(dipropylamino)sulfonyl]-propanoyl}amino)-2-hydroxy-4-phenylbutyl(3-methoxybenzyl)carbamate

Following the general procedure of EXAMPLEs 588-592 and 597-619 and using the appropriate starting materials the title compound is obtained, HRMS calculated for M+H is 620.3369, observed = 620.3379.

EXAMPLE 792 2-Butylcyclopropylamine hydrochloride (VI)

A solution of triethylphosphonoacetate (22.4 g, 0.1 mol) in 13 mL of diglyme is added to a mixture of 13 mL of diglyme and sodium hydride (60%, 5.7 g, 0.12 mol) in mineral oil. When hydrogen evolution ceased, 1,2-epoxyhexane (12 g, 0.12 mol) in diglyme (12 mL) is added. The mixture is stirred for 1 day at 25 degrees C and 3 hours at 140 degrees C. A mixture of sodium hydroxide (15 g in 25 mL of water) is added in the cold. The mixture is refluxed 15 hours, diluted with cold water (100 mL), and washed with ether (3 x 50 mL). Acidification to pH = 2 with sulfuric acid (25%), extraction with ether (5 x 25 mL), drying the ether over anhydrous sodium sulfate, filtration and concentration gives 2-butylcyclopropanecarboxylic acid. The acid (5.0 g, 0.035 mmol) in dichloromethane (15 mL) is heated with thionyl chloride (5.1 g, 3.1 mL) for 15 hours at 60 degrees C. The reaction mixture is distilled (76 degrees C- 80 degrees C) to give the acid chloride which is dissolved in acetone (15 mL), cooled to -10 degrees C and treated with sodium azide (2.2 g, 33.8 mmol) in water (5 mL). The reaction mixture is stirred at -10 degrees C for another 1 hour and then poured onto ice/water, extracted with ether (3x10 mL), dried, and cautiously evaporated to dryness at 20-25 degrees C under reduced pressure. The residue is dissolved in toluene (15 mL) and carefully warmed to 100 degrees C while vigorously stirring for 1 hour. Concentrated hydrochloric acid (7 mL) is

added and the reaction mixture is refluxed for 15 minutes. The acidic layer is evaporated to dryness to give the title compound, $MH^+ = 114.2$.

EXAMPLE 793 2-Aminomethyl-3-methylfuran (VI)

3-Methylfuroic acid (4.0 g, 32 mmol) is dissolved in DMF (10 mL) at 20-25 degrees C, and 1,1-carbonyldiimidazole (5.7 g, 35 mmol) is added. After 15 minutes, ammonia is bubbled into the mixture for approximately 2 minutes. This mixture is stirred at 20-25 degrees C for 2 hours then the mixture is concentrated under reduced pressure. The residue is partitioned between ethyl acetate and 10% aqueous citric acid. The layers are separated, and the aqueous layer extracted with additional ethyl acetate (2 x). The combined organic phases are washed with saturated sodium bicarbonate, then saline and dried over magnesium sulfate, filtered and concentrated. Crystals formed upon standing, which are isolated by filtration and washing with a small amount of ethyl acetate/hexanes (80/20), MS(ESI): MH+: 126.1. 3-Methylfuroic amide (317 mg, 2.5 mmol) is dissolved in dry THF (5 mL). Lithium aluminum hydride (230 mg, 6.0 mmol) is added in one portion, and the mixture heated to reflux overnight. The mixture is cooled to 0 degrees C, and quenched by addition of THF/water (50/50). The mixture is then diluted with THF, and filtered through diatomaceous earth. The filtrate is concentrated to give the title compound, MS(ESI): (M-H)+: 109.1.

EXAMPLE 794 4-Aminomethyl-3,5-dimethylisoxazole (VI)

4-Chloromethyl-3,5-dimethylisoxazole (700 mg, 4.8 mmol) is suspended in concentrated aqueous ammonia at 20-25 degrees C, and vigorously stirred overnight. The reaction mixture is extracted with isopropyl alcohol/chloroform (10/90, 2 x). The combined organic phases are concentrated under nitrogen flow. The residue is purified by flash chromatography methanol/methylene chloride (5-20%, 1% triethylamine) to give the title compound, MR ($CDCl_3$, 300 MHz) delta3.62, 2.37, 2.29, and 1.44.

EXAMPLE 795 5-Hydroxymethyl-2-(2-methylpropyl) thiazole (VI)

Isovalerothioamide is synthesized according to the procedure in *J. Med. Chem.* 41, 602-617 (1998). Isovaleramide (10 g, 9.9 mmol) is suspended in dry

ether (400 mL), then phosphorous(V) sulfide (4.4 g, 0.99 mmol) is added in portions. This is vigorously stirred at 20-25 degrees C for 2 hours, then filtered. The filtrate is concentrated under reduced pessure and the residue used without further purification: MS(ESI): MH+: 118.1.

Isovalerothioamide (6.0 g, 51 mmol) and ethyl formylchloroacetate (*Heterocycles* 32 (4), 693-701, (1991), 5.0 g, 33 mmol) are dissolved in dry DMF (20 mL), and heated to 95 degrees C for 4 hours. The reaction is subsequently cooled to 0 degrees C, and cold water (50 mL) is added. The mixture is basified to pH = 8 with solid sodium bicarbonate, then extracted with ether (3 x 35 mL). The combined organic extracts are washed with water, then saline and dried over magnesium sulfate, filtered, and concentrated. The residue is purified by flash chromatography (ethyl acetate/hexanes 4-10% elution) to give the desired product. NMR ($CDCl_3$, 300 MHz) δ 8.27, 4.45-4.30, 3.70-3.50, 3.00-2.80, 2.30-2.10, 1.40-1.20, and 1.10-0.90.

A solution of ethyl 2-(2-methylpropyl)thiazole-5-carboxylate (2.05 g, 9.6 mmol) in THF (10 mL) is added dropwise with stirring to a suspension of lithium aluminum hydride (730 mg, 19 mmol) in dry THF (50 mL) at 0 degrees C. Upon complete addition, the reaction mixture is allowed to stir at 20-25 degrees C. The reaction mixture is cooled to 0 degrees C, and water (0.75 mL), aqueous sodium hydroxide (15%, 0.75 mL), and water (2.25 mL) is added in succession. This mixture is stirred at 0 degrees C for 1 hour, then filtered through diatomaceous earth, (THF and chloroform). The filtrate is concentrated to give 5-hydroxymethyl-2-(2-methylpropyl)thiazole, MS(ESI): MH+: 172.1.

EXAMPLE 796 3-(2-Methylpropyl)-5-aminomethylisoxazole (VI)

Isovaleraldehyde (5.4 mL, 50 mmol) and hydroxylamine hydrochloride (3.5 g, 50.4 mmol) are vigorously stirred in water (6 mL). To this is added a solution of sodium carbonate (2.65 g, 25 mmol) in water (15 mL). This is vigorously stirred overnight. The mixture is extracted with ether. The organic layer is washed with water, then dried over sodium sulfate, filtered and concentrated. This is used in subsequent reactions without further purification: MS(ESI): MH+: 102.1.

Propargylamine (8.0 mL, 117 mmol) is dissolved in methylene chloride (60 mL), and di-*tert*-butyl dicarbonate (25 g, 114 mmol) is added. This is stirred

overnight, and concentrated to provide the BOC-protected propargylamine, which is used without further purification: MS(ESI): MNa+: 178.0.

BOC-propargylamine (6.2 g, 39.7 mmol) and isovaleroxime (3.97 g, 39.3 mmol) is dissolved in methylene chloride (60 mL), and triethylamine (0.55 mL, 3.95 mmol) is added. This is cooled to 0 degrees C, and bleach (5% aqueous solution, 59.1 g) is added dropwise with vigorous stirring. After addition is complete, the mixture is allowed to warm to 20-25 degrees C over 22 hours. The layers are separated, and the aqueous layer is extracted with methylene chloride (2 x). The combined organic extracts are washed with saline, dried over magnesium sulfate, filtered and concentrated. The residue is purified by chromatography (silica gel, ethyl acetate/hexanes 5-10%) to give the BOC-protected title compound, MS(ESI): MH+: 255.3.

BOC-protected 3-(2-methylpropyl)-5-aminomethylisoxazole (2.4 g, 9.3 mmol) is dissolved in methylene chloride (10 mL) and treated with trifluoroacetic acid (10 mL) at 20-25 degrees C. This is stirred at 20-25 degrees C for 70 minutes, then concentrated. The product is dissolved in methylene chloride, and washed with aqueous potassium carbonate (1 M) until basic (pH = 11). The organic layer is isolated, dried over sodium sulfate, filtered and concentrated to give the title compound: MS(ESI): MH+: 155.2.

EXAMPLE 797 tert-butyl (3R)-2-oxo-1-propylazepanylcarbamate (VI)

To N-t-Boc-D-Lys-OH (10 g, 41.4mmole) in DMF (4 liters) is added benzotriazol-1yloxytripyrrolidino-phosphonium hexafluorophosphate (BOP, 18.3 g, 41.4mmole) and sodium bicarbonate (17.4 g, 206.8mmole); the reaction is stirred at 20-25 degrees C for 12 hours. The reaction is then concentrated to 50 ml volume and diluted with ethyl acetate and washed with sodium bicarbonate 3x, water, 1M potassium bisulfate and brine, dried and concentrated. Purification by chromatography on silica gel afforded 5.05 g of the tert-butyl (3R)-2-oxoazepanylcarbamate as a solid; the procedure employed is similar to that described in *J.Med.Chem.* 1999, 4193. M+H-(t-Boc) (m/e=129.2), M+Na (m/e=251.1).

To the above lactam (2 g, 8.77mmole) in dry THF (20 ml) is added n-butyllithium /hexane (2.5 M, 5.3 ml, 13.2 mmole) at –78 degrees C, the reaction is stirred for 1 hour and 1-bromopropane (3.2 ml, 35.1 mmole) is added. The reaction

is stirred for 1 hour and the cold bath removed and stirring continued for another 16 hours. Tetrabutylammonium iodide (0.49 g, 2.63mmole) is added and the reaction stirred for another 16 hours. The reaction is partitioned between ethyl acetate/hydrochloric acid + ice + water, the mixture is washed with water and saline and concentrated. Purification by chromatography on silica gel afforded the title compound, MS (M+Na+) 293.3.

EXAMPLE 798 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the procedure described in *J. Am. Chem. Soc.* 1986, 3150, the trifluoroacetic acid salt of N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide (92.9 mg, 0.117 mmol) is dissolved in triethylamine (0.2 M, 0.6 mL) before the addition of $PdCl_2(PPh_3)_2$ (3.3 mg, 0.005 mmol), and copper (I) iodide (1.1 mg, 0.006 mmol). The reaction is heated to reflux. While the reaction is refluxing, trimethylsilylacetylene (0.02 ml, 0.14 mmol) is added via syringe. The reaction is refluxed for 3 hour under N_2 (g), and the reaction cooled to 20-25 degrees C before partitioning between aqueous sodium bicarbonate and ethyl acetate. The product is extracted with ethyl acetate (3 x), washed with saline, dried over sodium sulfate$_4$, and filtered before the removal of solvent under reduced pressure.

The TMS protected acetylene (0.117 mmol) is dissolved in methanol (0.2 M, 0.5 mL) before the addition of potassium hydroxide (1M, 0.7 mL, 0.7 mmol). The reaction is stirred at 20-25 degrees C for 6 hours, at which point the mixture is partitioned between sodium bicarbonate and ethyl acetate. The product is extracted with ethyl acetate (3 x), washed with saline, dried over sodium sulfate, and filtered before the removal of solvent under reduced pressure. Column chromatography (silica gel; 1.5-2 % isopropanol/chloroform under basic conditions; a few drops of ammonium hydroxide per 100 mL of elution solvent) gives the title compound, MS *m/z* $(M+H)^+ = 576.3$.

EXAMPLE 799 1-phenylcyclopropylamine (VI)

Following the procedure described in N.W. Werner *et.al., J. Org. Syn.* Coll. Vol. 5, 273-276, sodium azide (0.915g, 14.1 mmol) is slowly added to a solution of 1-phenyl-cyclopropanecarboxlic acid (1.0 g, 6.1 mmol) in concentrated sulfuric acid (5 ml) and dichloromethane (10 ml). The sodium sulfate precipitated out of solution. The reaction mixture is heated to 50 degrees C for 17 hours and then cooled to 0 degrees C. The mixture is basified to pH = 11 with sodium hydroxide (1N) and extracted with dichloromethane (2 x). The organic layers are combined, dried over sodium sulfate, filtered and concentrated. The residue is purified by chromatography (silica gel; isopropyl alcohol/chloroform/ammonium hydroxide 4/95/1) to give the title compound, MS (ESI+) for $C_9H_{11}N$ *m/z* $(M+H)^+$ = 134.

EXAMPLE 800 7-methoxy-1,2,3,4-tetrahydro-1-naphthalenamine (VI)

7-Methoxy-1-tetralone (2.0 g, 11.3 mmol), hydroxylamine hydrochloride (1.56 g, 22.6 mmol) and sodium acetate (1.8g, 22.6 mmol) are suspended in ethanol/water (3/1, 40 mL). The mixture is heated for 45 min. at 100 degrees C. The mixture is allowed to cool overnight and the precipitate obtained is filtered and washed with water to yield an intermediate oxime, MS (ES) (M+H): 192.1. The oxime is dissolved in glacial acetic acid (25 ml) and palladium/carbon (500 mg) is added and the mixture hydrogenated under 50 psi at 20-25 degrees C overnight. The catalyst is filtered over diatomaceous earth and washed with methanol. The combined filtrates are concentrated. The concentrate is triturated with ether to give the title compound, MS (CI) (MH+): 178.2.

EXAMPLE 801 3-Amino-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-methylbutanamide dihydrochloride

Following the general procedure of EXAMPLE 6 and making non-critical variations but starting with (2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol (VIII) and reacting it with 3-[(tert-butoxycarbonyl)amino]-2-methylbutanoic acid (IX), and then treatment with HCl, the title compound is obtained, HRMS calculated = 400.2600, observed = 400.2596.

EXAMPLE 802 N-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-ethylhexanamide hydrochloride

Following the general procedure of EXAMPLE 6 and making non-critical variations but starting with (2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol (VIII) and reacting it with 2-ethylhexanoic acid (IX), the title compound is obtained, HRMS calculated = 427.2961, observed = 427.2961.

EXAMPLE 803 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(isobutylsulfonyl)amino]propanamide trifluoroacetate

Following the general procedure of CHART I and making non-critical variations but starting with (2R,3S)-3-amino-1-[(3-iodobenzyl)amino]-4-phenyl-2-butanol (VIII) and reacting it with N-(isobutylsulfonyl)-beta-alanine (IX), the title compound is obtained, HRMS calculated = 588.1393, observed = 588.1379.

EXAMPLE 804 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3-(isobutylsulfonyl)-beta-alaninamide trifluoroacetate

Following the general procedure of CHART I and making non-critical variations but starting with (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-iodobenzyl)amino]-2-butanol (VIII) and reacting it with N-(isobutylsulfonyl)-beta-alanine (IX), the title compound is obtained, M + H = 624.

EXAMPLE 805 5-bromo-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide hydrochloride

Following the general procedure of EXAMPLE 6 and making non-critical variations but starting with (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-iodobenzyl)amino]-2-butanol (VIII) and reacting it with 3-bromo-5-[(dipropylamino)carbonyl]benzoic acid (IX) , the title compound is obtained, M + H = 745.

EXAMPLE 806 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide

Following the general procedure of EXAMPLE 6 and making non-critical variations but starting with (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(1-phenylcyclopropyl)amino]-2-butanol (VIII) and reacting it with 3-[(dipropylamino)carbonyl]-5-methylbenzoic acid (IX) , the substituted amine (X) is obtained. MH+ = 578.

EXAMPLE 806.1 5-bromo-N^1-[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide

Following the general procedure of EXAMPLE 6 and making non-critical variations but starting with (2R,3S)-3-amino-1-[(3-bromobenzyl)amino]-4-(3,5-difluorophenyl)-2-butanol hydrochloride (VIII) and reacting it with 3-bromo-5-[(dipropylamino)carbonyl]benzoic acid (IX), the title compound is obtained, NMR (500 MHz, CD_3OD): δ 7.85, 7.72, 7.69, 7.67, 7.52, 7.51, 6.88, 6.86, 6.74, 4.39-4.13, 3.95-3.88, 3.53-2.96, 2.82, 1.70, 1.50, 0.99 and 0.71.

EXAMPLES 807-1,064

Following the general procedure of CHART A and EXAMPLES 4-6, 321-327, 329-464, 466-527, 529-579, 597-619 and 633-708 and making non-critical variations, and using the appropriate amines (VIII) and amide forming agents (IX), the titled compounds are obtained.

EXAMPLE	Substituted Amine (X)
807	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(2-propylpentanoyl)benzamide
808	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(2-ethylpentanoyl)-5-methylbenzamide
809	N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(2-propylpentanoyl)benzamide
810	N-{(1S,2R)-1-benzyl-3-[(3-ethynylbenzyl)amino]-2-

EXAMPLE	Substituted Amine (X)
	hydroxypropyl}-3-methyl-5-(2-propylpentanoyl)benzamide
811	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(2-ethylbutanoyl)-5-methylbenzamide
812	N^1-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-(2-propylpentanoyl)isophthalamide
813	N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(2-ethylpentanoyl)-5-methylbenzamide
814	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-(2-propylpentanoyl)isophthalamide
815	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(2-propylpentanoyl)isophthalamide
816	N-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(4-hydroxybenzyl)propyl]-3-methyl-5-(2-propylpentanoyl)benzamide
817	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(2-propylpentanoyl)benzamide
818	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(2-propylpentanoyl)benzamide
819	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-pyridinyl)benzyl]amino}propyl)-5-methyl- N^3,N^3-dipropylisophthalamide
820	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-pyridinyl)benzyl]amino}propyl)-5-methyl- N^3,N^3-dipropylisophthalamide
821	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-5-(1-propynyl)isophthalamide

EXAMPLE	Substituted Amine (X)
822	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3-dipropyl-5-(1-propynyl)isophthalamide
823	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3-dipropyl-5-(2-propynyl)isophthalamide
824	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-5-(2-propynyl)isophthalamide
825	N^1-{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide
826	N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(3-thienylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide
827	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide
828	N^1-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-butynyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
829	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide
830	N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(2-thienylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide
831	N^1-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide

EXAMPLE	Substituted Amine (X)
832	N^1-{(1S,2R)-3-(benzylamino)-1-[4-(benzyloxy)benzyl]-2-hydroxypropyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
833	N^1-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide
834	N^1-[(1S,2R)-3-(benzylamino)-1-(cyclohexylmethyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide
835	N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide
836	N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(1-naphthylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
837	2,3,5-trideoxy-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-5-[(3-methoxybenzyl)amino]-1-S-phenyl-1-thio-D-erythouro-pentitol
838	N^1-[(1S,2R)-3-(benzylamino)-1-(3-furylmethyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide
839	N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-methylbutyl)-5-methyl- N^3,N^3-dipropylisophthalamide
840	N^1-[(1S,2R)-3-(benzylamino)-1-(4-fluorobenzyl)-2-hydroxypropyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
841	N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide
842	N^1-[(1S,2R)-3-(benzylamino)-1-(2-furylmethyl)-2-

EXAMPLE	Substituted Amine (X)
	hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide
843	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide
844	N^1-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-methylbutyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
845	N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide
846	N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(4-hydroxybenzyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide
847	N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-butynyl)-5-methyl- N^3,N^3-dipropylisophthalamide
848	N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-butynyl)- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
849	5-(benzylamino)-2,3,5-trideoxy-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-1-S-phenyl-1-thio-D-erythouro-pentitol
850	N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
851	N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(4-hydroxybenzyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
852	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-

EXAMPLE	Substituted Amine (X)
	benzenetricarboxamide
853	N^1-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-methylbutyl}-5-methyl- N^3,N^3-dipropylisophthalamide
854	N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
855	*N^1-[(1S,2R)-3-(benzylamino)-1-(3-furylmethyl)-2-hydroxypropyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
856	N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl) amino]ethyl}-3-methylbutyl)- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
857	N^1-[(1S,2R)-3-(benzylamino)-1-(4-fluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide
858	N^1-[(1S,2R)-3-(benzylamino)-1-(2-furylmethyl)-2-hydroxypropyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
859	N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
860	N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(1-naphthylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide
861	N^1-{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
862	N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(2-thienylmethyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide

EXAMPLE	Substituted Amine (X)
863	N^1-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
864	N^1-{(1S,2R)-3-(benzylamino)-1-[4-(benzyloxy)benzyl]-2-hydroxypropyl}-5-methyl- N^3,N^3-dipropylisophthalamide
865	N^1-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
866	N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(3-thienylmethyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
867	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
868	N^1-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-butynyl}-5-methyl-N^3,N^3-dipropylisophthalamide
869	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
870	N^1-{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
871	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
872	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
873	N^1-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-

EXAMPLE	Substituted Amine (X)
	methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
874	N^1-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
875	N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
876	N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-methylbutyl)- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
877	N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
878	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
879	N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
880	N^1-{(1S,2R)-2-hydroxy-1-[3-(hydroxymethyl)benzyl]-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
881	N^1-{(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-[3-(hydroxymethyl)benzyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
882	N^1-{(1S,2R)-2-hydroxy-1-[3-(hydroxymethyl)benzyl]-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide

EXAMPLE	Substituted Amine (X)
883	N^1-{(1S,2R)-2-hydroxy-1-[4-(hydroxymethyl)benzyl]-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
884	N^1-{(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-[4-(hydroxymethyl)benzyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
885	N^1-{(1S,2R)-2-hydroxy-1-[4-(hydroxymethyl)benzyl]-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
886	N^1-{(1S,2R)-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
887	N^1-[(1S,2R)-3-[(3-ethylbenzyl)amino]-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide
888	N^1-{(1S,2R)-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
889	N^1-{(1S,2R)-1-[3-(benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
890	N^1-{(1S,2R)-1-[3-(benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
891	N-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide
892	N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-

EXAMPLE	Substituted Amine (X)
	dipropylpentanediamide
893	3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]propanamide
894	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- N^5,N^5-dipropylpentanediamide
895	3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide
896	N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5-dipropylpentanediamide
897	3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}propanamide
898	N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5-dipropylpentanediamide
899	3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide
900	N^1-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5-dipropylpentanediamide
901	3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide
902	N^1-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5-dipropylpentanediamide
903	3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]propanamide

EXAMPLE	Substituted Amine (X)
904	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- N^5,N^5-dipropylpentanediamide
905	3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]propanamide
906	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- N^5,N^5-dipropylpentanediamide
907	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-{[(2R)-1-ethylpyrrolidinyl]carbonyl}-5-methylbenzamide
908	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-{[(2S)-1-ethylpyrrolidinyl]carbonyl}-5-methylbenzamide
909	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(1-ethyl-1H-imidazol-2-yl)carbonyl]-5-methylbenzamide
910	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(1-ethyl-4-methyl-1H-imidazol-5-yl)carbonyl]-5-methylbenzamide
911	N^1-((1S,2S)-1-(3,5-difluorobenzyl)-2-hydroxy-2-{1-[(3-methoxybenzyl)amino]cyclopropyl}ethyl)-5-methyl- N^3,N^3-dipropylisophthalamide
912	N^1-((1S,2S)-1-(3,5-difluorobenzyl)-2-{1-[(3-ethylbenzyl)amino]cyclopropyl}-2-hydroxyethyl)-5-methyl- N^3,N^3-dipropylisophthalamide
913	(1R,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^2,N^2-dipropyl-1,2,3-cyclopropanetricarboxamide
914	(1R,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-phenyl- N^2,N^2-

EXAMPLE	Substituted Amine (X)
	dipropyl-1,2-cyclopropanedicarboxamide
915	(1R,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide
916	(1R,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide
917	(1R,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-phenyl- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide
918	(1R,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^2,N^2-dipropyl-1,2,3-cyclopropanetricarboxamide
919	(1R,2R,3S)-3-(2-amino-2-oxoethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl) amino] propyl}- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide
920	(1R,2R,3R)-3-(2-amino-2-oxoethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]-propyl}-N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide
921	(1R,2R,3S)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-methylcyclopropanecarboxamide
922	(1R,2R,3R)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-methylcyclopropanecarboxamide
923	(1S,2R,3R)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-phenylcyclopropanecarboxamide
924	(1S,2R,3S)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-

EXAMPLE	Substituted Amine (X)
	oxoethyl]-3-phenylcyclopropanecarboxamide
925	(1S,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[2-(dipropylamino)-2-oxoethyl]-1,2-cyclopropanedicarboxamide
926	(1S,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[2-(dipropylamino)-2-oxoethyl]-1,2-cyclopropanedicarboxamide
927	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide
928	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide
929	N^1-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide
930	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-{methyl[(trifluoromethyl)sulfonyl]amino}- N^3,N^3-dipropylisophthalamide
931	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-{methyl[(trifluoromethyl)sulfonyl]amino}- N^3,N^3-dipropylisophthalamide
932	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-5-{propyl[(trifluoromethyl)sulfonyl]amino}isophthalamide
933	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylsulfonyl)amino]- N^3,N^3-dipropylisophthalamide

EXAMPLE	Substituted Amine (X)
934	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide
935	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide
936	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide
937	N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-3-[(dipropylamino)sulfonyl]propanamide
938	N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-thiazol-5-yl)methyl]amino}-2-hydroxypropyl)-3-[(dipropylamino)sulfonyl]propanamide
939	N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}propyl)-3-[(dipropylamino)sulfonyl]propanamide
940	N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-5-isoxazolyl)methyl]amino}propyl)-3-[(dipropylamino)sulfonyl]propanamide
941	N-[(1S,2R)-3-[(3-cyclopropylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-[(dipropylamino)sulfonyl]propanamide
942	N^1-[(1S,2R)-3-[(3-cyclopropylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide
943	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1,3-thiazol-2-yl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
944	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1,3-

EXAMPLE	Substituted Amine (X)
	oxazol-2-yl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
945	N^1-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide
946	N^1-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
947	N^1-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-(aminosulfonyl)- N^3,N^3-dipropylisophthalamide
948	N^1-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-(methylsulfonyl)-N^3,N^3-dipropylisophthalamide
949	N^1-[(1S,2R)-3-{[3-(diethylamino)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide
950	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-morpholinyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
951	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-piperazinyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
952	N^1-[(1S,2R)-3-{[3-(aminosulfonyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide
953	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({3-[(dimethylamino)sulfonyl]benzyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide

EXAMPLE	Substituted Amine (X)
954	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-piperidinylsulfonyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
955	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(methylsulfonyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
956	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isopropylsulfonyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
957	N^1-[(1S,2R)-3-{[3-(aminocarbonyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide
958	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({3-[(dimethylamino)carbonyl]benzyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide
959	N^1-[(1S,2R)-3-[(3-cyanobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide
960	3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)phenylcarbamate
961	3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)phenyl dimethylcarbamate
962	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-propynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
963	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-methyl-1-butynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide

EXAMPLE	Substituted Amine (X)
964	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(2-propynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
965	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(5-isobutyl-1,3,4-oxadiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
966	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(5-ethyl-1,3,4-oxadiazol-2-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide
967	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(5-ethyl-1,3,4-thiadiazol-2-yl) methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide
968	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(5-isobutyl-1,3,4-thiadiazol-2-yl) methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
969	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(3-ethyl-1,2,4-thiadiazol-5-yl) methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide
970	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-isobutyl-1,2,4-thiadiazol-5-yl) methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
971	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-isobutyl-1,2,4-oxadiazol-5-yl) methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
972	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(3-ethyl-1,2,4-oxadiazol-5-yl) methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide
973	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-oxazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-

EXAMPLE	Substituted Amine (X)
	dipropylisophthalamide
974	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-oxazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
975	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-isobutyl-1,3,4-oxadiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
976	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-isobutyl-1,3,4-thiadiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
977	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(5-ethyl-1,3,4-thiadiazol-2-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide
978	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(5-ethyl-1,3,4-oxadiazol-2-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide
979	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3-ethyl-1,2,4-oxadiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide
980	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3-ethyl-1,2,4-thiadiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide
981	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-1,2,4-thiadiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
982	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-1,2,4-oxadiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
983	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-2H-

EXAMPLE	Substituted Amine (X)
	tetraazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide
984	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-2H-tetraazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
985	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-4-pyrimidinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide
986	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isopropyl-4-pyrimidinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
987	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethynyl-4-pyrimidinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide
988	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(6-isopropyl-4-pyrimidinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
989	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[6-(dimethylamino)-4-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide
990	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[2-(dimethylamino)-4-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide
991	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[4-(dimethylamino)-2-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide
992	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(4-isopropyl-2-pyrimidinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide

EXAMPLE	Substituted Amine (X)
993	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(4-ethyl-2-pyrimidinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide
994	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(5-ethyl-3-pyridazinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide
995	N^3-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide
996	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-isopropyl-3-pyridazinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
997	N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-propynyl)benzyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide
998	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(6-isopropyl-4-pyridazinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
999	N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}- N^5,N^5-dipropyl-3,5-pyridinedicarboxamide
1000	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(6-ethyl-4-pyridazinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide
1001	N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide
1002	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(6-ethyl-2-pyrazinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-

EXAMPLE	Substituted Amine (X)
	dipropylisophthalamide
1003	N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide
1004	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(6-isopropyl-2-pyrazinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
1005	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3,4,5-trifluorobenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide
1006	N^1-((1S,2R)-2-hydroxy-1-(3,4,5-trifluorobenzyl)-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
1007	N^1-((1S,2R)-2-hydroxy-1-(2,3,5,6-tetrafluorobenzyl)-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
1008	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2,3,5,6-tetrafluorobenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide
1009	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-6-methoxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
1010	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-6-methoxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1011	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1R,2S)-6-ethyl-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide

EXAMPLE	Substituted Amine (X)
1012	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1R,2S)-6-ethyl-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}-2-hydroxypropyl)-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1013	N^1-{(1S,2R)-2-hydroxy-1-(1H-indol-5-ylmethyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1014	N^1-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(1H-indol-5-ylmethyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide
1015	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-methylbenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide
1016	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-methylbenzyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1017	N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethyl)benzyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1018	N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethyl)benzyl]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1019	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-pyridinylmethyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide
1020	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-pyridinylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1021	N^1-{(1S,2R)-1-[3-fluoro-5-(trifluoromethyl)benzyl]-2-

EXAMPLE	Substituted Amine (X)
	hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1022	N^1-{(1S,2R)-1-[3-fluoro-5-(trifluoromethyl)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1023	N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethoxy)benzyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1024	N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethoxy)benzyl]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1025	N^1-{(1S,2R)-2-hydroxy-1-(3-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1026	N^1-{(1S,2R)-2-hydroxy-1-(3-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1027	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(4-methylbenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide
1028	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(4-methylbenzyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1029	N^1-{(1S,2R)-1-(4-fluoro-3-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1030	N^1-{(1S,2R)-1-(4-fluoro-3-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide

EXAMPLE	Substituted Amine (X)
1031	N^1-{(1S,2R)-1-(4-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1032	N^1-{(1S,2R)-1-(4-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1033	N^1-{(1S,2R)-2-hydroxy-1-(3-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1034	N^1-{(1S,2R)-2-hydroxy-1-(3-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1035	N^1-{(1S,2R)-2-hydroxy-1-(4-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1036	N^1-{(1S,2R)-2-hydroxy-1-(4-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1037	N^1-{(1S,2R)-1-(3-chloro-5-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1038	N^1-{(1S,2R)-1-(3-chloro-5-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1039	N^1-{(1S,2R)-1-(4-chloro-3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1040	N^1-{(1S,2R)-1-(4-chloro-3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-

EXAMPLE	Substituted Amine (X)
	benzenetricarboxamide
1041	N^1-{(1S,2R)-1-(3,5-dichlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1042	N^1-{(1S,2R)-1-(3,5-dichlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1043	N^1-{(1S,2R)-1-[4-(dimethylamino)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1044	N^1-{(1S,2R)-1-[4-(dimethylamino)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1045	N^1-{(1S,2R)-1-(3-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1046	N^1-{(1S,2R)-1-(3-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1047	N^1-{(1S,2R)-1-(3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1048	N^1-{(1S,2R)-1-(3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1049	N^1-{(1S,2R)-2-hydroxy-1-(4-isopropylbenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1050	N^1-{(1S,2R)-2-hydroxy-1-(4-isopropylbenzyl)-3-[(3-

EXAMPLE	Substituted Amine (X)
	methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1051	N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(6-methoxy-2-pyridinyl)methyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1052	N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(6-methoxy-2-pyridinyl)methyl]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1053	N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(5-methyl-2-pyridinyl)methyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1054	N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(5-methyl-2-pyridinyl)methyl]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1055	N^1-{(1S,2R)-1-(3-fluoro-4-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1056	N^1-{(1S,2R)-1-(3-fluoro-4-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1057	N^1-{(1S,2R)-1-(3-fluoro-4-methoxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1058	N^1-{(1S,2R)-1-(3-fluoro-4-methoxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1059	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-methoxy-5-methylbenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide

EXAMPLE	Substituted Amine (X)
1060	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-methoxy-5-methylbenzyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1061	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1,3-thiazol-2-ylmethyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide
1062	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1,3-thiazol-2-ylmethyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1063	N^1-{(1S,2R)-1-[(5-chloro-2-thienyl)methyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1064	N^1-{(1S,2R)-1-[(5-chloro-2-thienyl)methyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide

EXAMPLES 1,065-1,155

Following the general procedure of CHART A and EXAMPLES 4-6, 321-327, 329-464, 466-527, 529-579, 597-619 and 633-708 and making non-critical variations, and using the appropriate amines (VIII) and amide forming agents (IX), the titled compounds are obtained.

EXAMPLE	Substituted Amine (X)
1,065	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide
1,066	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide
1,067	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide

EXAMPLE	Substituted Amine (X)
1,068	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(propylsulfonyl)amino]-1,3-thiazole-4-carboxamide
1,069	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide
1,070	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-thiazole-4-carboxamide
1,071	N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,072	N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)cyclopropyl]amino}-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,073	N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)-1-methylethyl]amino}-2-hydroxypropyl)-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide
1,074	N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)-1-methylethyl]amino}-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,075	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,076	N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)-1-methylethyl]amino}-2-hydroxypropyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,077	N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)cyclopropyl]amino}-2-hydroxypropyl)-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide
1,078	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide

EXAMPLE	Substituted Amine (X)
1,079	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,080	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,081	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-piperidinylcarbonyl)benzamide
1,082	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide
1,083	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,084	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide
1,085	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-piperidinylcarbonyl)benzamide
1,086	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide
1,087	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,088	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide
1,089	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(4-morpholinylcarbonyl)benzamide
1,090	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-[(ethylsulfonyl)amino]-1,3-oxazole-2-carboxamide

EXAMPLE	Substituted Amine (X)
1,091	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,092	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(ethylsulfonyl)amino]-1,3-oxazole-2-carboxamide
1,093	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(4-morpholinylcarbonyl)benzamide
1,094	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(propylsulfonyl)amino]-1,3-oxazole-2-carboxamide
1,095	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,096	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(methylsulfonyl)amino]-1,3-thiazole-2-carboxamide
1,097	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-piperazinylcarbonyl)benzamide
1,098	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-[(methylsulfonyl)amino]-1,3-thiazole-2-carboxamide
1,099	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,100	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide
1,101	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-piperazinylcarbonyl)benzamide
1,102	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-

EXAMPLE	Substituted Amine (X)
	hydroxypropyl}-4-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide
1,103	N^4-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4,5-dicarboxamide
1,104	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide
1,105	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3-methylisophthalamide
1,106	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide
1,107	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,108	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide
1,109	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-N^3-methylisophthalamide
1,110	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-methyl-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide
1,111	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,112	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-methyl-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide
1,113	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-

EXAMPLE	Substituted Amine (X)
	methoxybenzyl)amino]propyl}-N^3-ethyl-4-hydroxyisophthalamide
1,114	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide
1,115	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,116	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(methylsulfonyl)amino]-3-isoxazolecarboxamide
1,117	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-ethyl-4-hydroxyisophthalamide
1,118	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-3-isoxazolecarboxamide
1,119	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,120	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(methylsulfonyl)amino]-5-isoxazolecarboxamide
1,121	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3-ethyl-4-hydroxyisophthalamide
1,122	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(methylsulfonyl)amino]-5-isoxazolecarboxamide
1,123	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,124	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-(hydroxymethyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,125	N^3-(cyclopropylmethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-

EXAMPLE	Substituted Amine (X)
	hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxyisophthalamide
1,126	5-cyclopropyl-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,127	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,128	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-isopropyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,129	N^3-(cyclopropylmethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxyisophthalamide
1,130	N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,131	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,132	N-[(1S,2R)-3-(cyclopropylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,133	N-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(4-hydroxybenzyl)propyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,134	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3-isobutylisophthalamide
1,135	2-{[(cyclopropylmethyl)sulfonyl]amino}-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-1,3-oxazole-4-carboxamide
1,136	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy- N^3-isobutyl-N^3-methylisophthalamide

EXAMPLE	Substituted Amine (X)
1,137	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,138	N3-(cyclopropylmethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3-methylisophthalamide
1,139	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,140	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3-methyl-N^3-propylisophthalamide
1,141	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,142	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-N^3-methyl-N^3-propylisophthalamide
1,143	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(phenylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,144	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N3-ethyl-4-hydroxy-N^3-propylisophthalamide
1,145	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-{[(4-methylphenyl)sulfonyl]amino}-1,3-oxazole-4-carboxamide
1,146	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N3-ethyl-4-hydroxy-N^3-propylisophthalamide
1,147	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-{[(4-methylphenyl)sulfonyl]amino}-1,3-oxazole-

EXAMPLE	Substituted Amine (X)
	4-carboxamide
1,148	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(phenylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,149	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3, N^3-dipropylisophthalamide
1,150	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[methyl(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,151	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-N^3, N^3-dipropylisophthalamide
1,152	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[methyl(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,153	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-N^3, N^3-dipropylisophthalamide
1,154	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide
1,155	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide

EXAMPLES 1,156-1,214

Following the general procedure of CHART A and EXAMPLES 4-6, 321-327, 329-464, 466-527, 529-579, 597-619 and 633-708 and making non-critical variations, and using the appropriate amines (VIII) and amide forming agents (IX), the titled compounds are obtained.

EXAMPLE	Substituted Amine (X)	MH+

EXAMPLE	Substituted Amine (X)	MH+
1,156	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-[propionyl(propyl)amino]benzamide	532.5
1,157	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-butyl-1H-indole-5-carboxamide	Anal. Found: C = 73.58; H = 7.44; N = 8.24.
1,159	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyl(propionyl)amino]-5-methylbenzamide	546.3
1,160	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-1-propyl-1H-indole-6-carboxamide	500.3
1,161	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-(1-propylbutyl)-1H-indole-6-carboxamide	542.2
1,162	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(2-oxo-2,3-dihydro-1,3-benzoxazol-6-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	573.3
1,163	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide	713.0
1,164	3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoic acid	576.1
1,165	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-prop-1-ynylisophthalamide	604.4

EXAMPLE	Substituted Amine (X)	MH+
1,166	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-(dipropylamino)isonicotinamide	HRMS = 505.3176
1,167	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide	
1,168	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(ethylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide	
1,169	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-[(propylsulfonyl)amino]isophthalamide	
1,170	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(isopropylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide	
1,171	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(isobutylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide	
1,172	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide	
1,173	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(2-furylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide	
1,174	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-[(1,3-thiazol-5-ylsulfonyl)amino]isophthalamide	
1,175	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(1,3-oxazol-5-ylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide	
1,176	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-	

EXAMPLE	Substituted Amine (X)	MH+
	ethylbenzyl)amino]-2-hydroxypropyl}-5-[(1,3-oxazol-4-ylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide	
1,177	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-[(1,3-thiazol-4-ylsulfonyl)amino]isophthalamide	
1,178	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-{[(1-methyl-1H-imidazol-4-yl)sulfonyl]amino}-N^3,N^3-dipropylisophthalamide	
1,179	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(phenylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide	
1,180	5-{[(5-cyanopyridin-2-yl)sulfonyl]amino}-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	
1,181	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-({[5-(trifluoromethyl)pyridin-2-yl]sulfonyl}amino)isophthalamide	
1,182	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(1-methyl-1H-imidazol-4-yl)sulfonyl]amino}benzamide	
1,183	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-({[5-(trifluoromethyl)pyridin-2-yl]sulfonyl}amino)benzamide	
1,184	3-{[(5-cyanopyridin-2-yl)sulfonyl]amino}-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide	
1,185	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(phenylsulfonyl)amino]benzamide	
1,186	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-	

EXAMPLE	Substituted Amine (X)	MH+
	ethylbenzyl)amino]-2-hydroxypropyl}-3-[(methylsulfonyl)amino]benzamide	
1,187	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(ethylsulfonyl)amino]benzamide	
1,188	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(propylsulfonyl)amino]benzamide	
1,189	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isobutylsulfonyl)amino]benzamide	
1,190	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isopropylsulfonyl)amino]benzamide	
1,191	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(1-ethylpropyl)sulfonyl]amino}benzamide	
1,192	3-[(cyclohexylsulfonyl)amino]-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide	
1,193	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(1-propylbutyl)sulfonyl]amino}benzamide	
1,194	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(thien-2-ylsulfonyl)amino]benzamide	

EXAMPLE	Substituted Amine (X)	MH+
1,195	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(2-furylsulfonyl)amino]benzamide	
1,196	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isoxazol-5-ylsulfonyl)amino]benzamide	
1,197	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isoxazol-3-ylsulfonyl)amino]benzamide	
1,198	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(3-furylsulfonyl)amino]benzamide	
1,199	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(thien-3-ylsulfonyl)amino]benzamide	
1,200	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1,3-thiazol-4-ylsulfonyl)amino]benzamide	
1,201	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1,3-thiazol-5-ylsulfonyl)amino]benzamide	
1,202	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1,3-thiazol-2-ylsulfonyl)amino]benzamide	
1,203	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide	

EXAMPLE	Substituted Amine (X)	MH+
1,204	N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide	
1,205	N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide	
1,206	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide	
1,207	N^1-(tert-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}isophthalamide	
1,208	N^1-(tert-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide	
1,209	5-bromo-N^1-(tert-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}isophthalamide	
1,210	3-tert-butoxy-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide	
1,211	3-tert-butoxy-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylbenzamide	
1,212	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(trifluoromethyl)sulfonyl]amino}benzamide	

EXAMPLE	Substituted Amine (X)	MH+
1,213	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(trifluoromethoxy)benzamide	
1,214	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(trifluoromethoxy)benzamide	

EXAMPLES 1,215-1,259

Following the general procedure of CHART A and EXAMPLES 4-6, 321-327, 329-464, 466-527, 529-579, 597-619 and 633-708 and making non-critical variations, and using the appropriate amines (VIII) and amide forming agents (IX), the titled compounds are obtained.

EXAMPLE	Substituted Amine (X)	[M+H]+
1,215	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-hydroxy-2-(4-methylphenyl)acetamide	581.4
1,216	N1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-N3-methylisophthalamide	610.4
1,217	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-hydroxy-2-(4-methoxy-3-nitrophenyl)acetamide	642.4
1,218	5-(aminosulfonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-methoxybenzamide	646.5
1,219	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-3-(pyrrolidin-1-ylcarbonyl)benzamide	650.5
1,220	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide	621.4

EXAMPLE	Substituted Amine (X)	[M+H]+
1,221	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(3,5-dimethylisoxazol-4-yl)-N^3,N^3-dipropylisophthalamide	663.4
1,222	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(1,3-thiazol-2-yl)isophthalamide	651.4
1,223	3-(cyclohexylcarbonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide	565.4
1,224	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3-propylisophthalamide	540.4
1,225	3-[cyclohexyl(hydroxy)methyl]-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide	567.4
1,226	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-(4-methyl-1,3-oxazol-2-yl)-N^3,N^3-dipropylisophthalamide	647.5
1,227	N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide	567
1,228	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-1,2,4-oxadiazol –5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	600
1,229	N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide	563
1,230	N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide	581
1,231	N^1-[(1S,2R)-3-[(1-acetylpiperidin-4-yl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-	587

EXAMPLE	Substituted Amine (X)	[M+H]+
	dipropylisophthalamide	
1,232	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-pent-1-ynylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	618
1,233	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-hydroxybut-1-ynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	620
1,234	1-{3-[({(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}amino)carbonyl]-5-methylbenzoyl}-L-prolinamide	593
1,235	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-isopropyl-5-methylisophthalamide	538
1,236	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-ethyl-N^3,5-dimethylisophthalamide	538
1,237	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,5-dimethyl-N^3-prop-2-ynylisophthalamide	548
1,238	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-isobutyl-5-methylisophthalamide	552
1,239	N^1-(sec-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide	552
1,240	N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide	552
1,241	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-diethyl-5-methylisophthalamide	552
1,242	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-	552

EXAMPLE	Substituted Amine (X)	[M+H]+
	ethylbenzyl)amino]-2-hydroxypropyl}-N^3,5-dimethyl-N^3-propylisophthalamide	
1,243	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-isopropyl-N^3,5-dimethylisophthalamide	552
1,244	N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^1,5-dimethylisophthalamide	566
1,245	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-isobutyl-N^3,5-dimethylisophthalamide	566
1,246	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-ethyl-5-methyl-N^3-propylisophthalamide	566
1,247	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-ethyl-N^3-isopropyl-5-methylisophthalamide	566
1,248	N^1,N^1-diallyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide	576
1,249	3-(azepan-1-ylcarbonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylbenzamide	578
1,250	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(4-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide	580
1,251	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(3-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide	580
1,252	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-diisopropyl-5-methylisophthalamide	580

EXAMPLE	Substituted Amine (X)	[M+H]+
1,253	N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^1-ethyl-5-methylisophthalamide	580
1,254	N^1-(cyclopropylmethyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^1-propylisophthalamide	592
1,255	1-{3-[({(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}amino)carbonyl]-5-methylbenzoyl}-D-prolinamide	593
1,256	N^1-cyclohexyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^1,5-dimethylisophthalamide	592
1,257	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[1-(3-methylphenyl)cyclopropyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	592
1,258	N^3-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1,2,3,4-tetrahydronaphthalen-1-ylamino)propyl]-N^5,N^5-diisopropylpyridine-3,5-dicarboxamide	579
1,259	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(trifluoromethyl)sulfonyl]amino}benzamide	586.1

CHART A

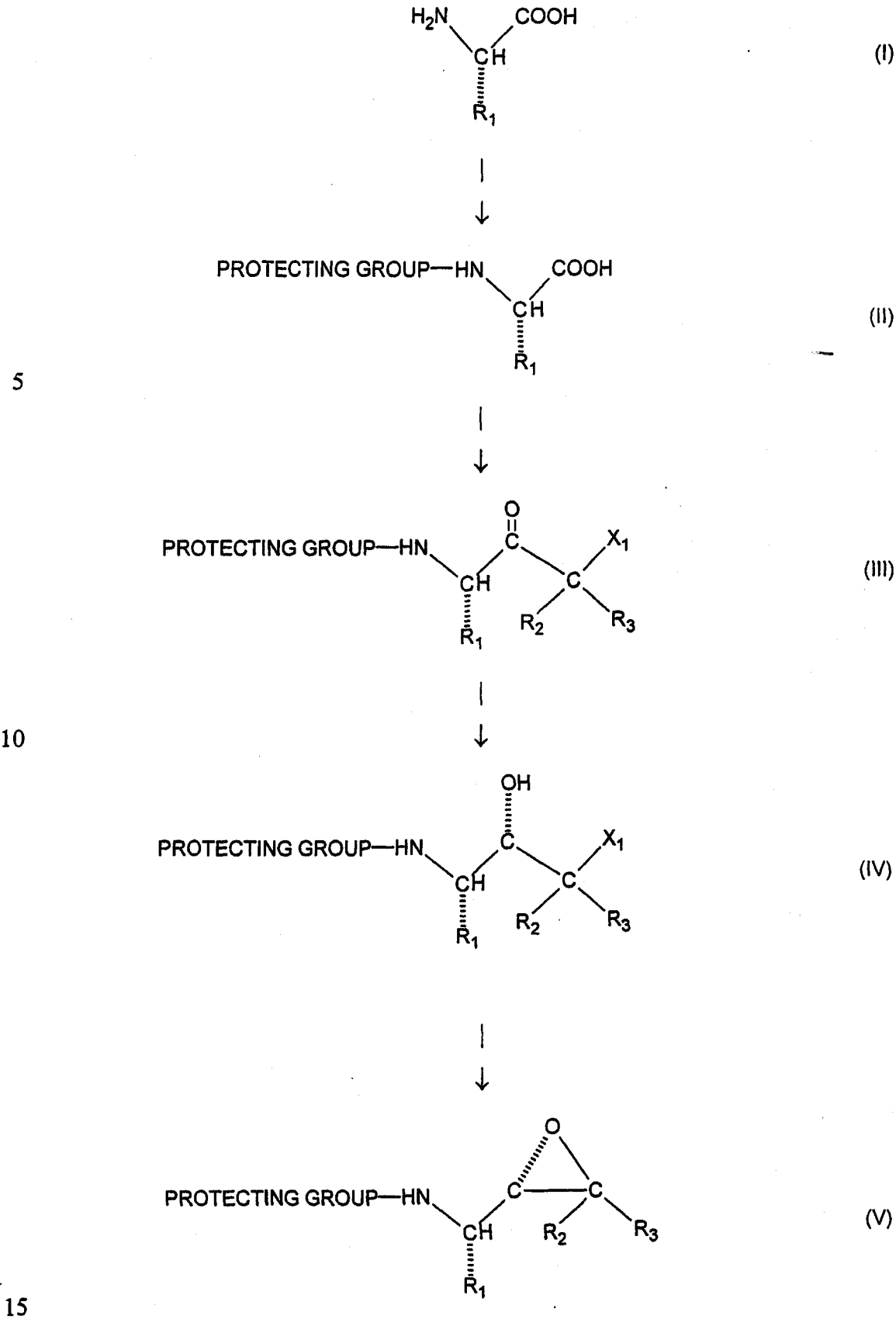
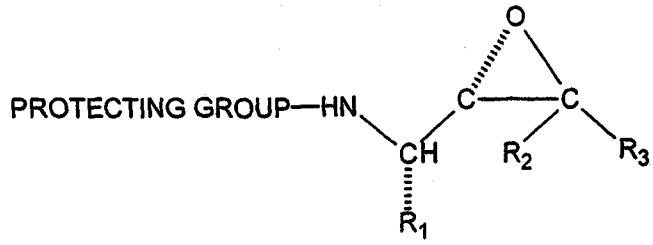

H2N
COOH
CH
R1
(I)
PROTECTING GROUP—HN
COOH
CH
R1
(II)
PROTECTING GROUP—HN
O
C
X1
CH
C
R1
R2
R3
(III)
PROTECTING GROUP—HN
OH
C
X1
CH
C
R1
R2
R3
(IV)
PROTECTING GROUP—HN
O
C
C
CH
R1
R2
R3
(V)

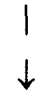
CHART A - Continued

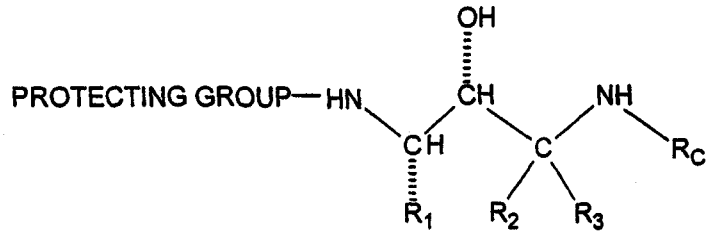


(V)

+

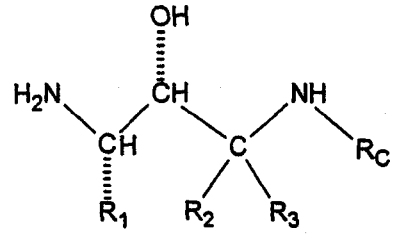
R_C-NH_2 — (VI)





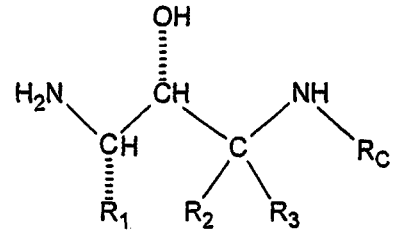
(VII)





(VIII)

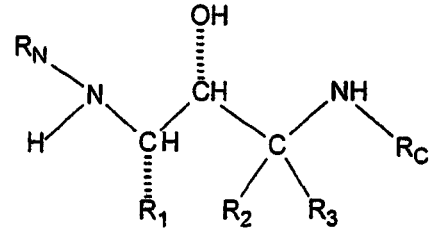
CHART A - Continued



(VIII)

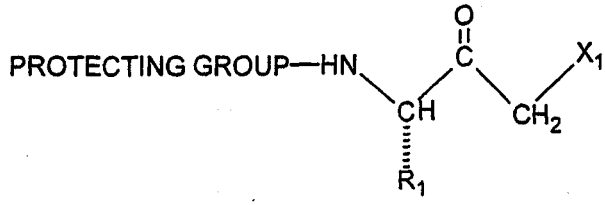
+

$(R_{N-1}\text{-}X_N\text{-})_2O$ or $R_{N-1}\text{-}X_N\text{-}X_2$ or $R_{N-1}\text{-}X_N\text{-}OH$ (IX)

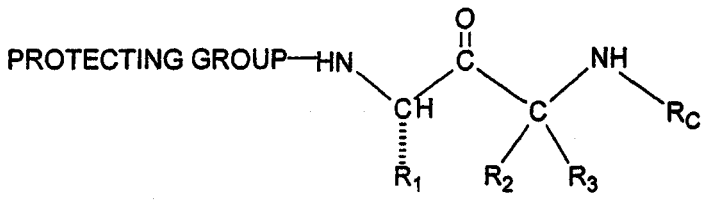


(X)

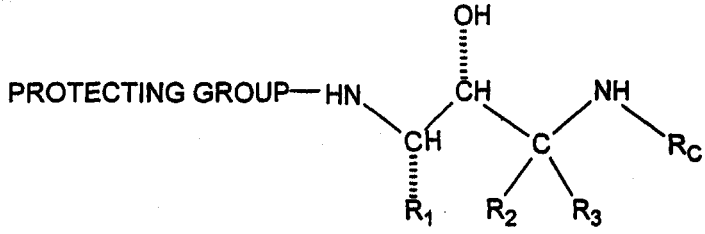
CHART B



(III)

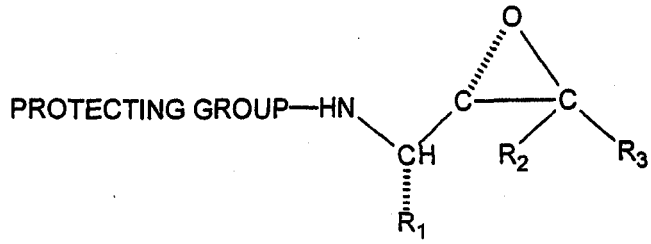


(XI)

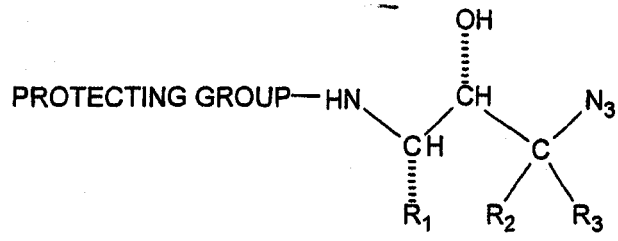


(VII)

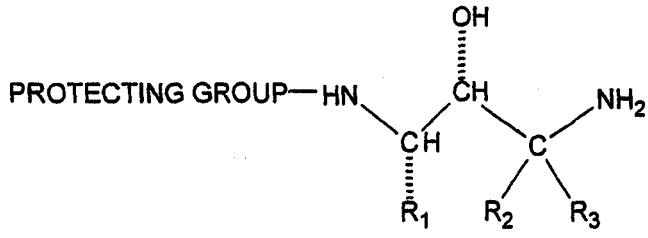
CHART C



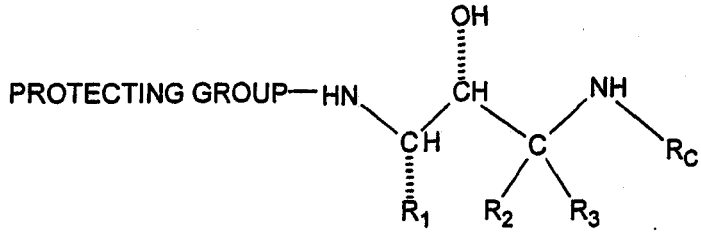
(V)



(XII)

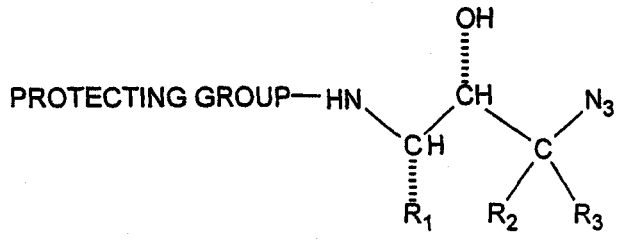


(XIII)

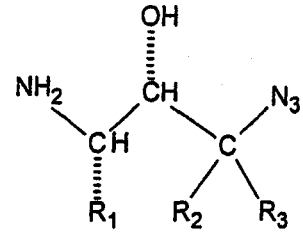


(VII)

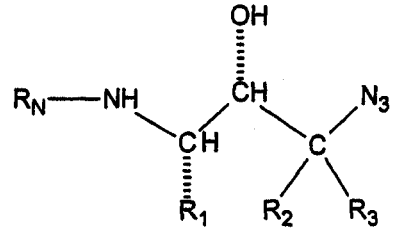
CHART D



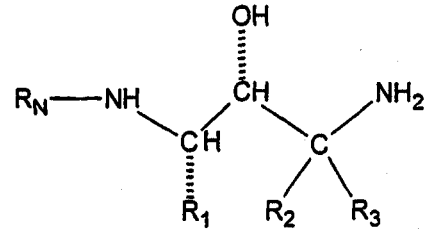
(XII)



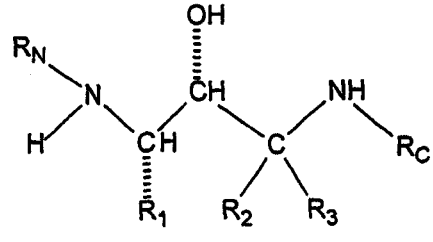
(XIV)



(XV)

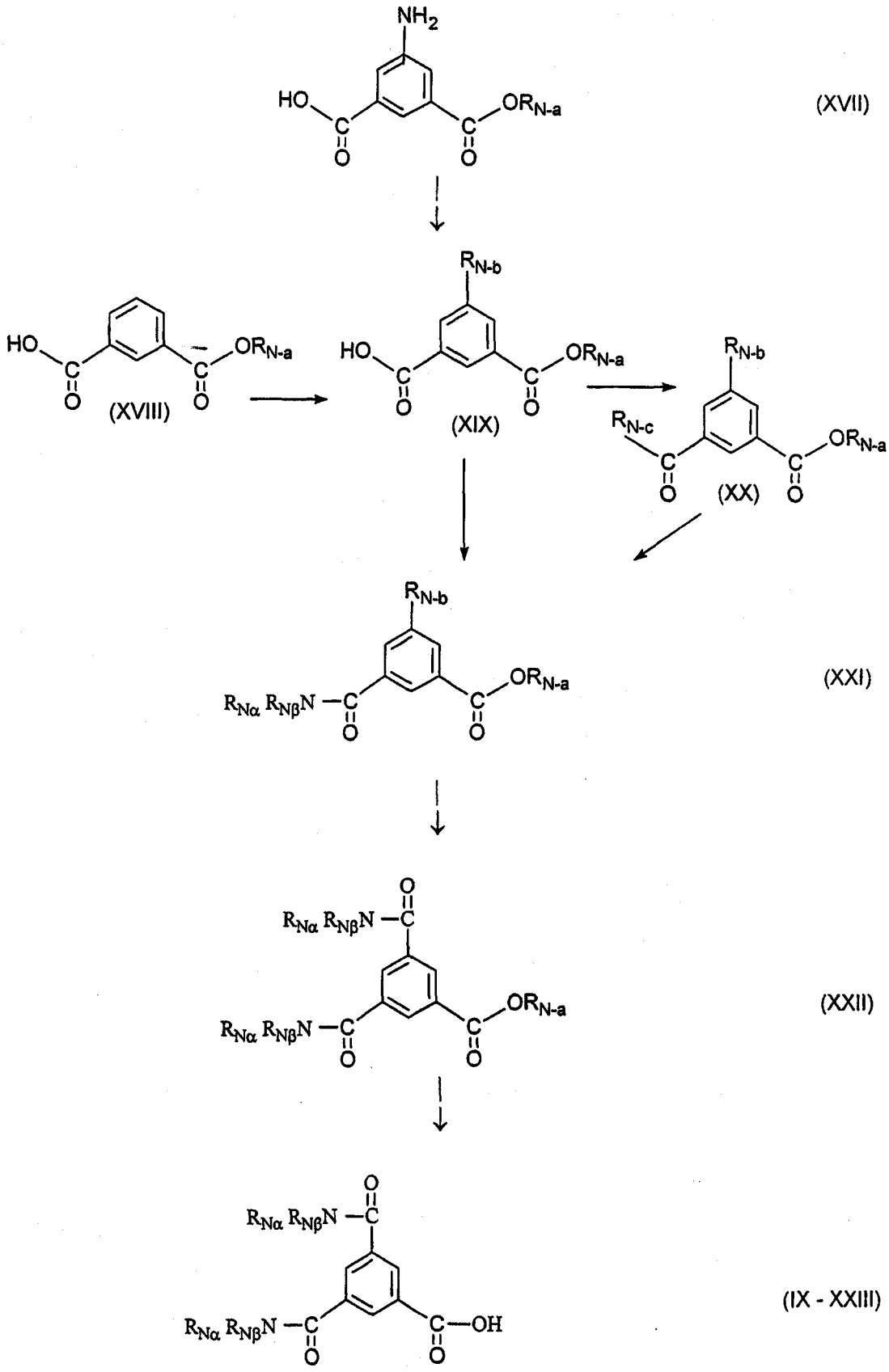


(XVI)



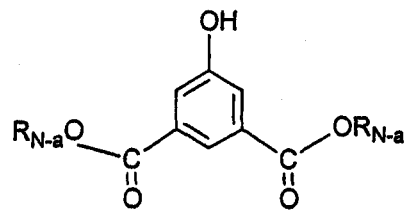
(X)

CHART E


NH2
HO
ORN-a
(XVII)
RN-b
RN-c
(XVIII)
(XIX)
(XX)
RNα RNβN
(XXI)
(XXII)
OH
(IX - XXIII)

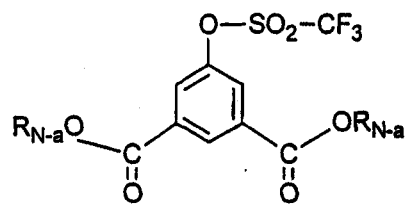
30 DEC 2004

CHART F



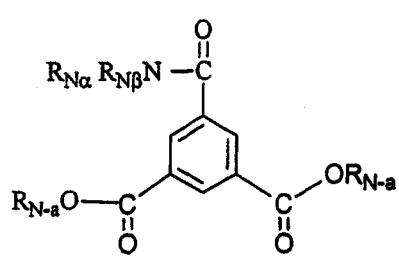
(XXIV)

↓



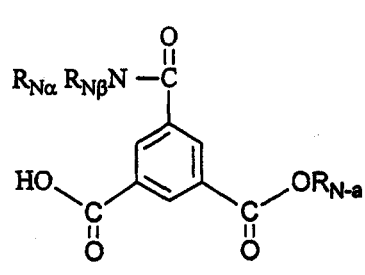
(XXV)

↓



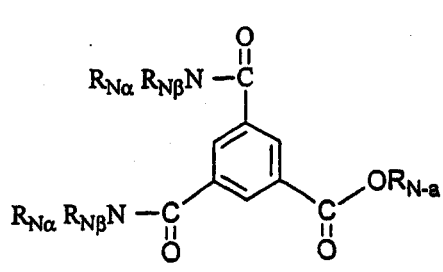
(XXVI)

↓



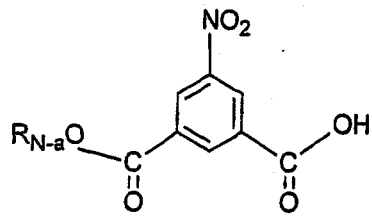
(XXVII)

↓

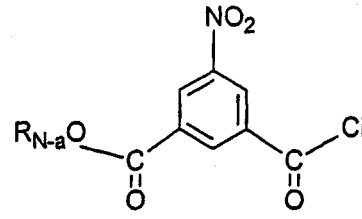


(XXII)

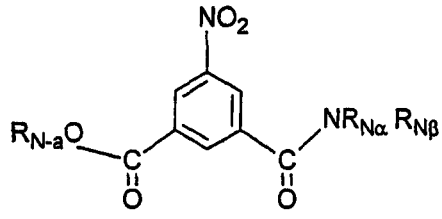
CHART G



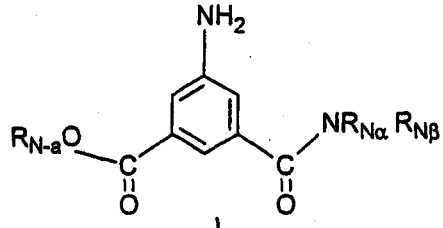
(XXVIII)



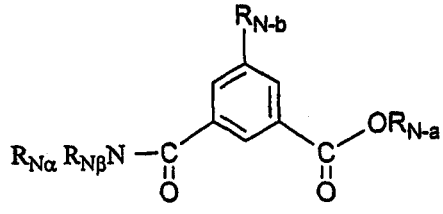
(XXIX)



(XXX)

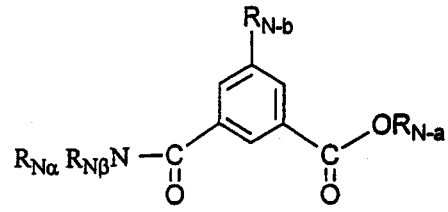


(XXXI)

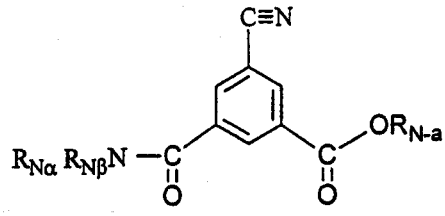


(XXI)

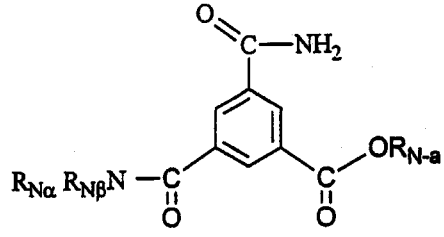
CHART H



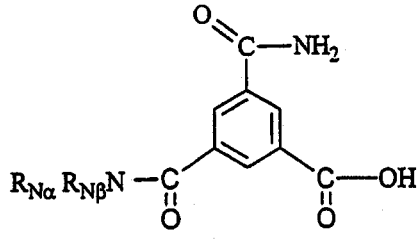
(XXI)



(XXXII)

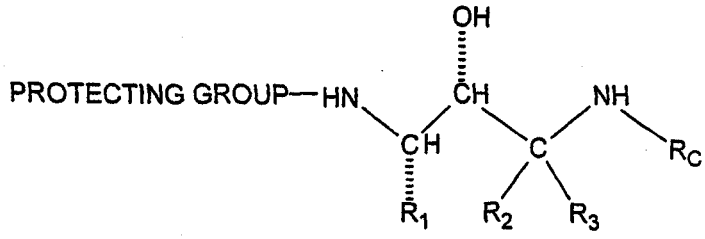


(XXXIII)



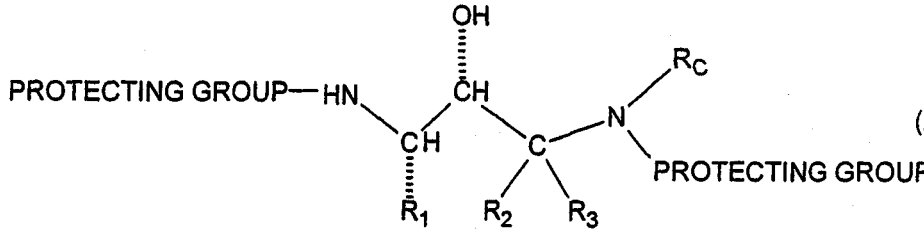
(IX - XXIII)

CHART I



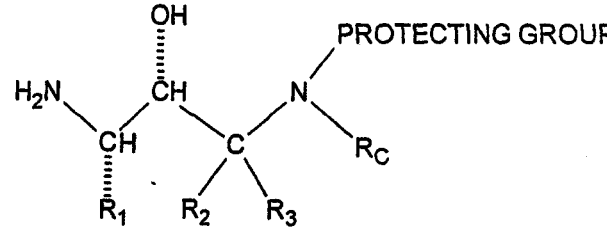
(VII)

↓



(XXXIV)

↓

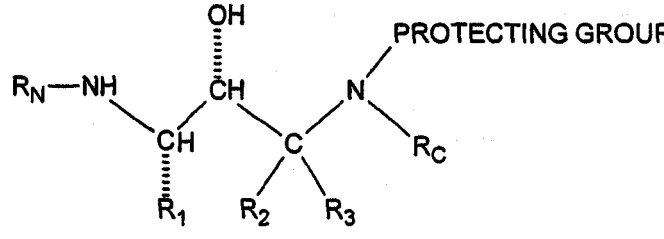


(XXXV)

+

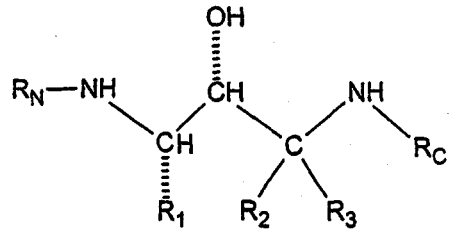
$(R_N\text{-})_2O$ or $R_N\text{-}X_2$ or $R_N\text{-}OH$ (IX)

↓



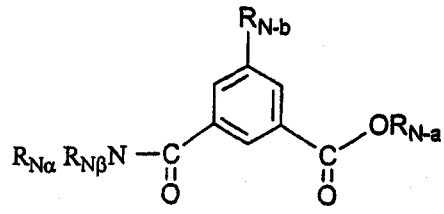
(XXXVI)

↓

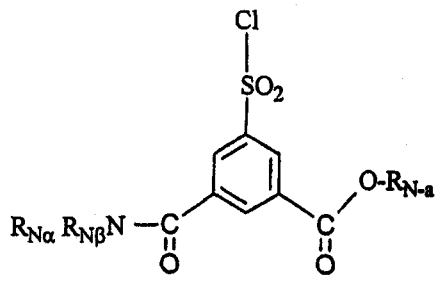


(X)

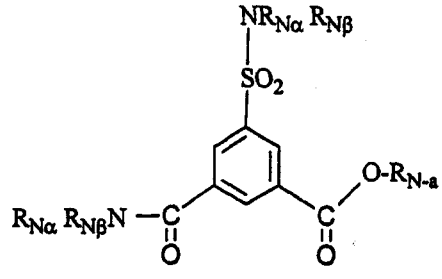
CHART J



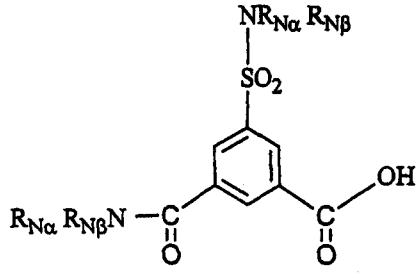
(XXI)



(XXXVII)

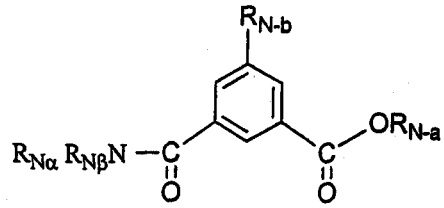


(XXXVIII)

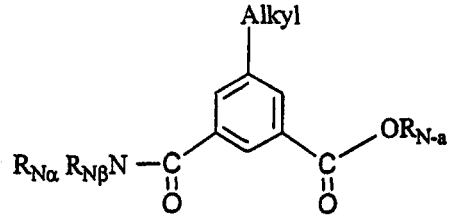


(IX - XXXIX)

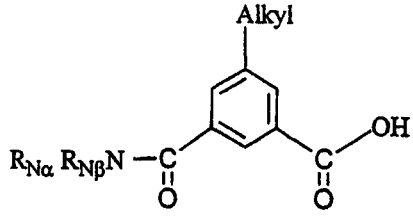
CHART K



(XXI)

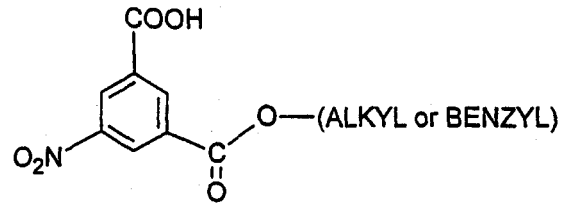


(XL)

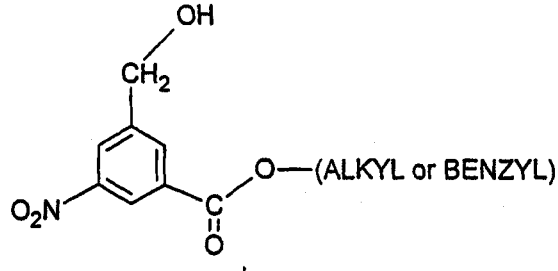


(IX - XLI)

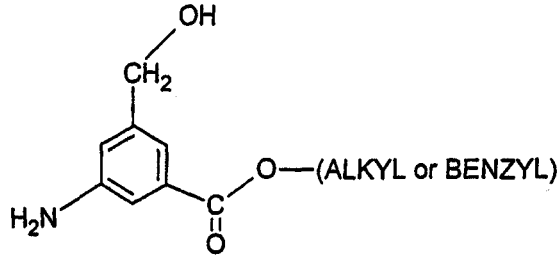
CHART L



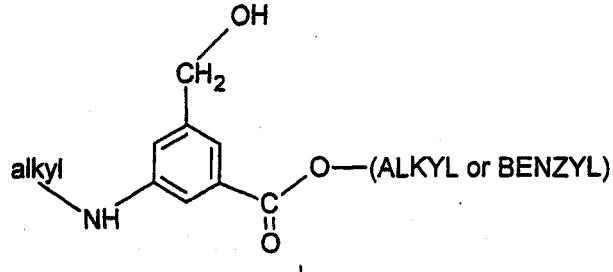
(XLII)



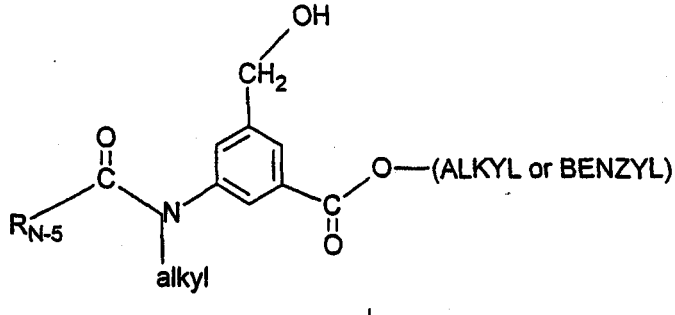
(XLIII)



(XLIV)

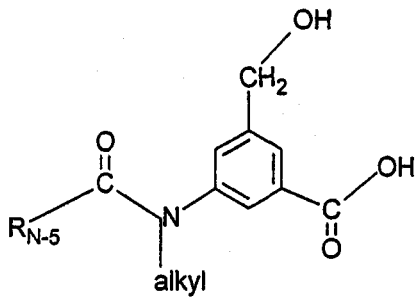


(XLV)

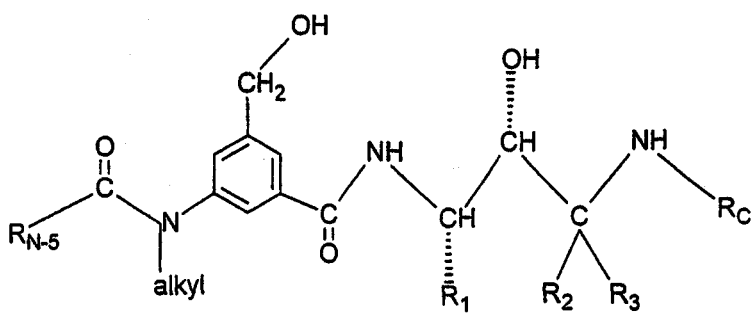


(XLVI)

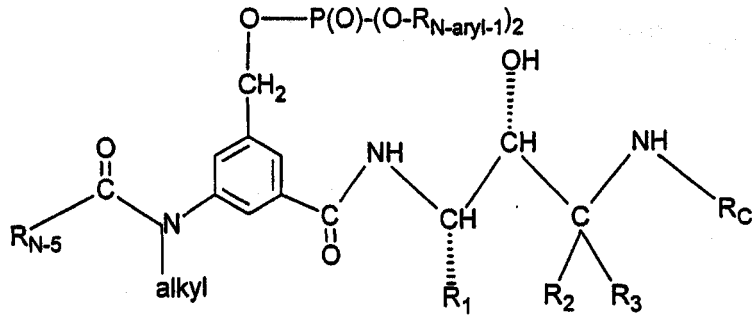
CHART L - Continued



(IX - XLVII)

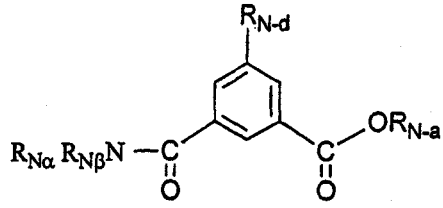


(X)

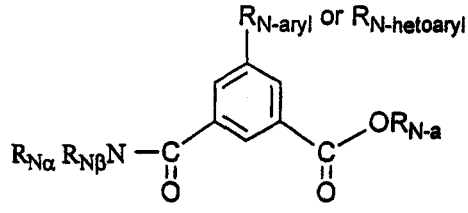


(X)

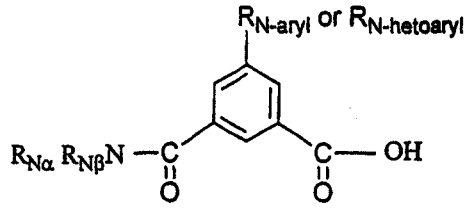
CHART M



(XLVIII)

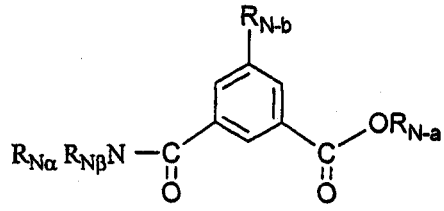


(XLIX)

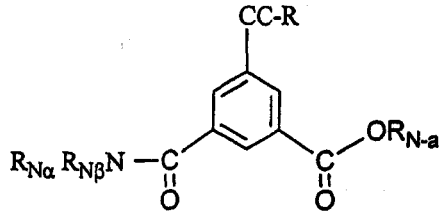


(IX - L)

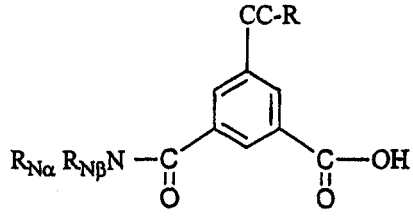
CHART N



(XXI)

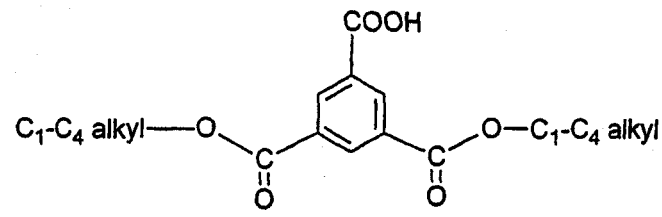


(LI)

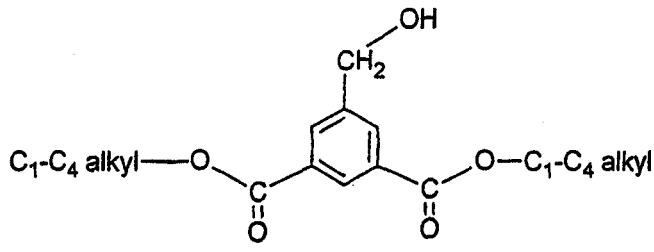


(IX - LII)

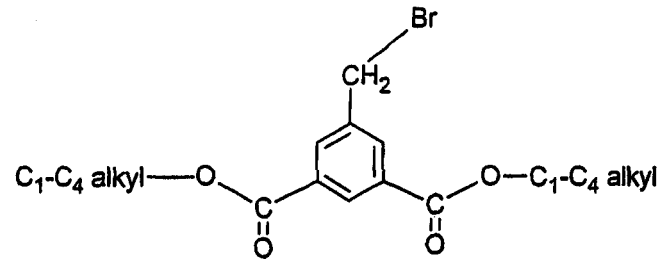
CHART O



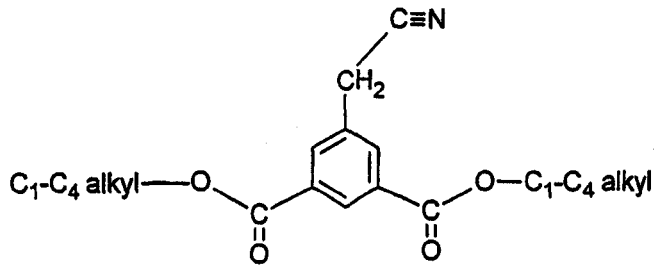
(LIII)



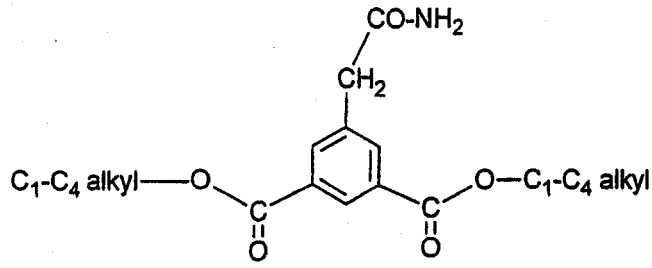
(LIV)



(LV)

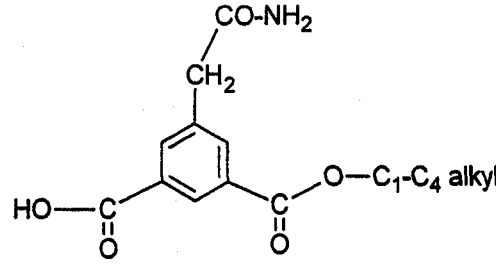


(LVI)

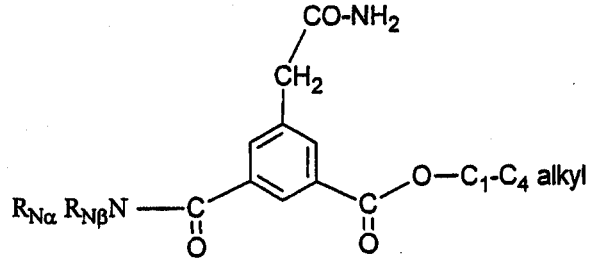


(LVII)

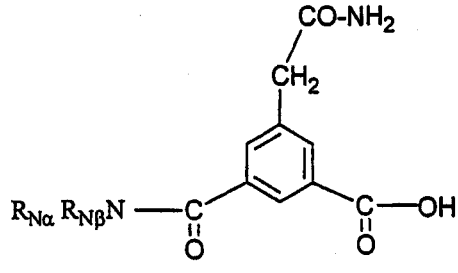
CHART O – Continued



(LVIII)

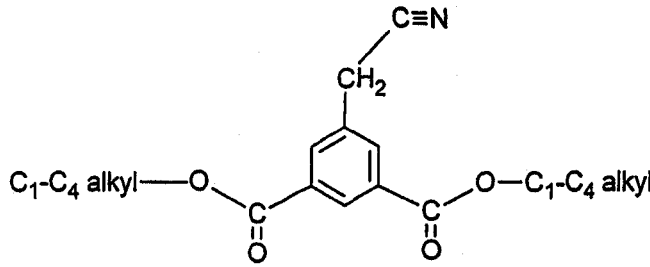


(LIX)

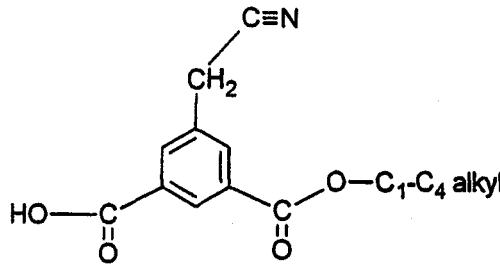


(IX - LX)

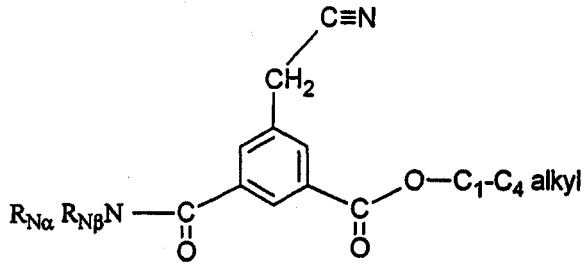
CHART O - continued



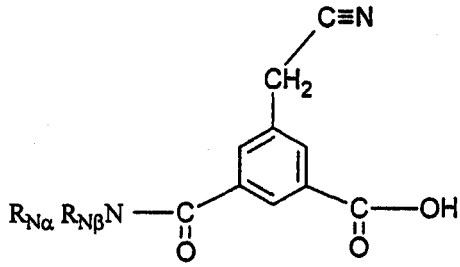
(LVI)



(LXI)

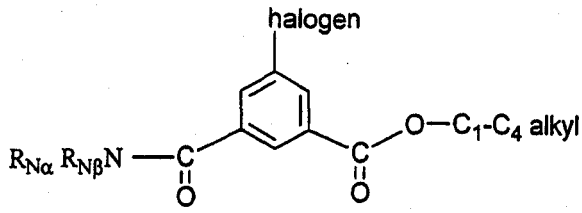


(LXII)

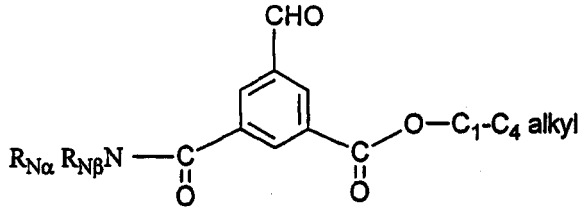


(IX - LXIII)

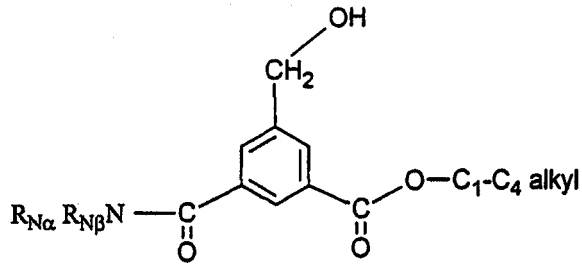
CHART P



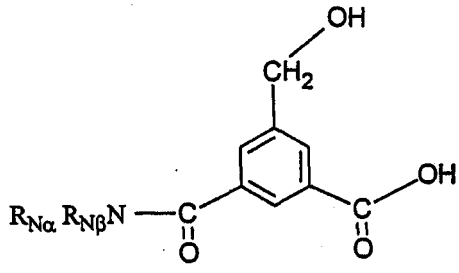
(LXIV)



(LXV)



(LXVI)



(IX - LXVII)

CHART Q

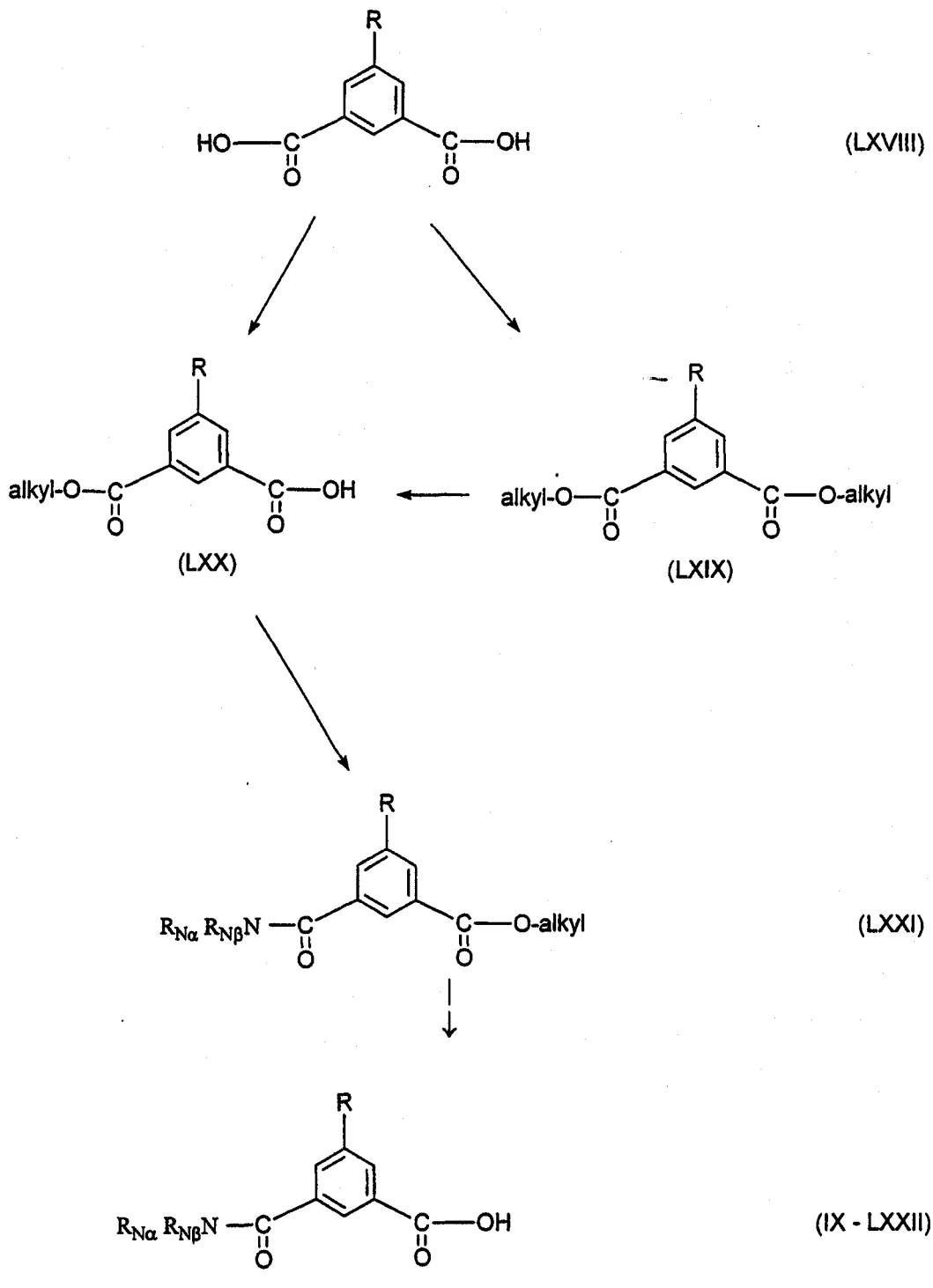
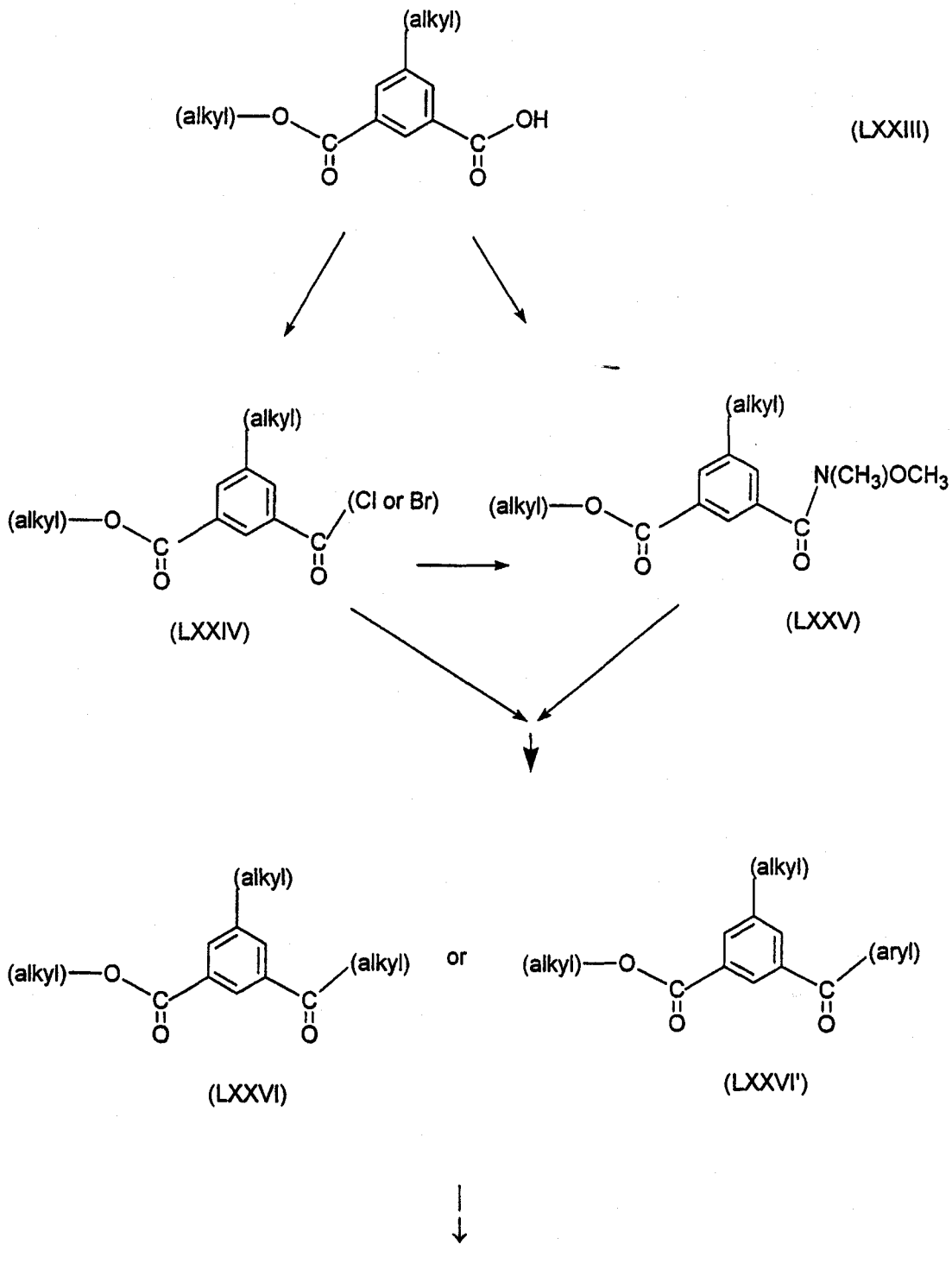
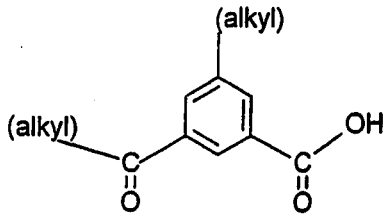
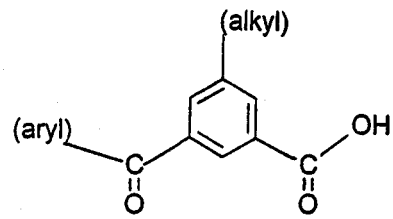

R
HO—C(=O)—C(=O)—OH
(LXVIII)
alkyl-O—C(=O)—C(=O)—OH
(LXX)
alkyl-O—C(=O)—C(=O)—O-alkyl
(LXIX)
$R_{N\alpha}$ $R_{N\beta}$N—C(=O)—C(=O)—O-alkyl
(LXXI)
$R_{N\alpha}$ $R_{N\beta}$N—C(=O)—C(=O)—OH
(IX - LXXII)

CHART R


(alkyl)
(alkyl)—O
OH
(LXXIII)
(Cl or Br)
(LXXIV)
N(CH3)OCH3
(LXXV)
(LXXVI)
or
(aryl)
(LXXVI')

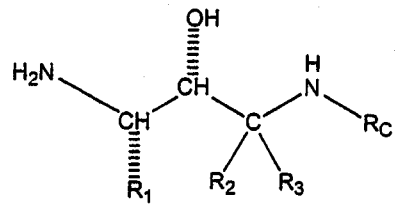


(IX - LXXVII)

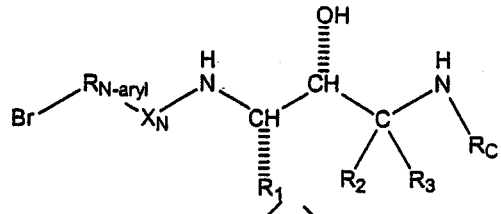


(IX - LXXVII')

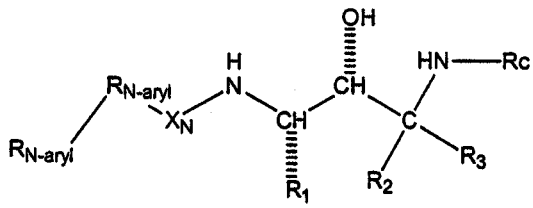
CHART S



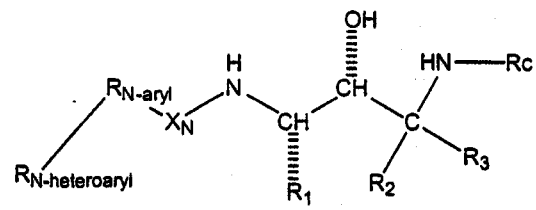
(VII)



(X ,R_N = Br-$R_{N\text{-aryl}}$-X_N)

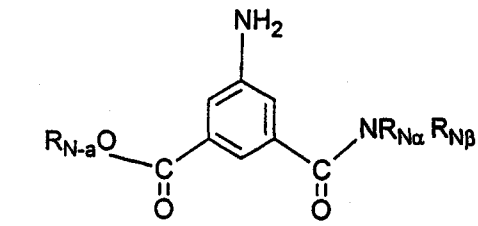


(X, R_N =$R_{N\text{-aryl}}$-$R_{N\text{-aryl}}$-X_N)

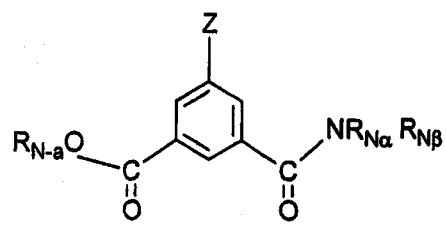


(X, R_N =$R_{N\text{-heteroaryl}}$-$R_{N\text{-aryl}}$-X_N)

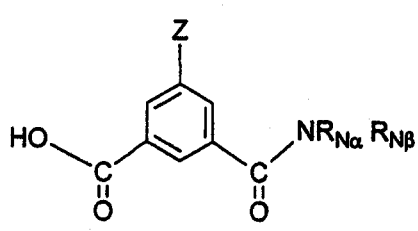
CHART T



(XXXI)

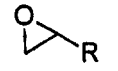


(LXXVIII)



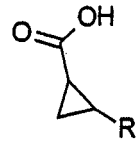
(IX - LXXIX)

CHART U



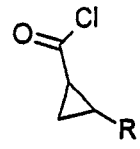
(LXXX)





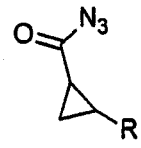
(LXXXI)





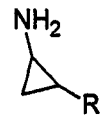
(LXXXII)





(LXXXIII)





(LXXXIV)

CHART V

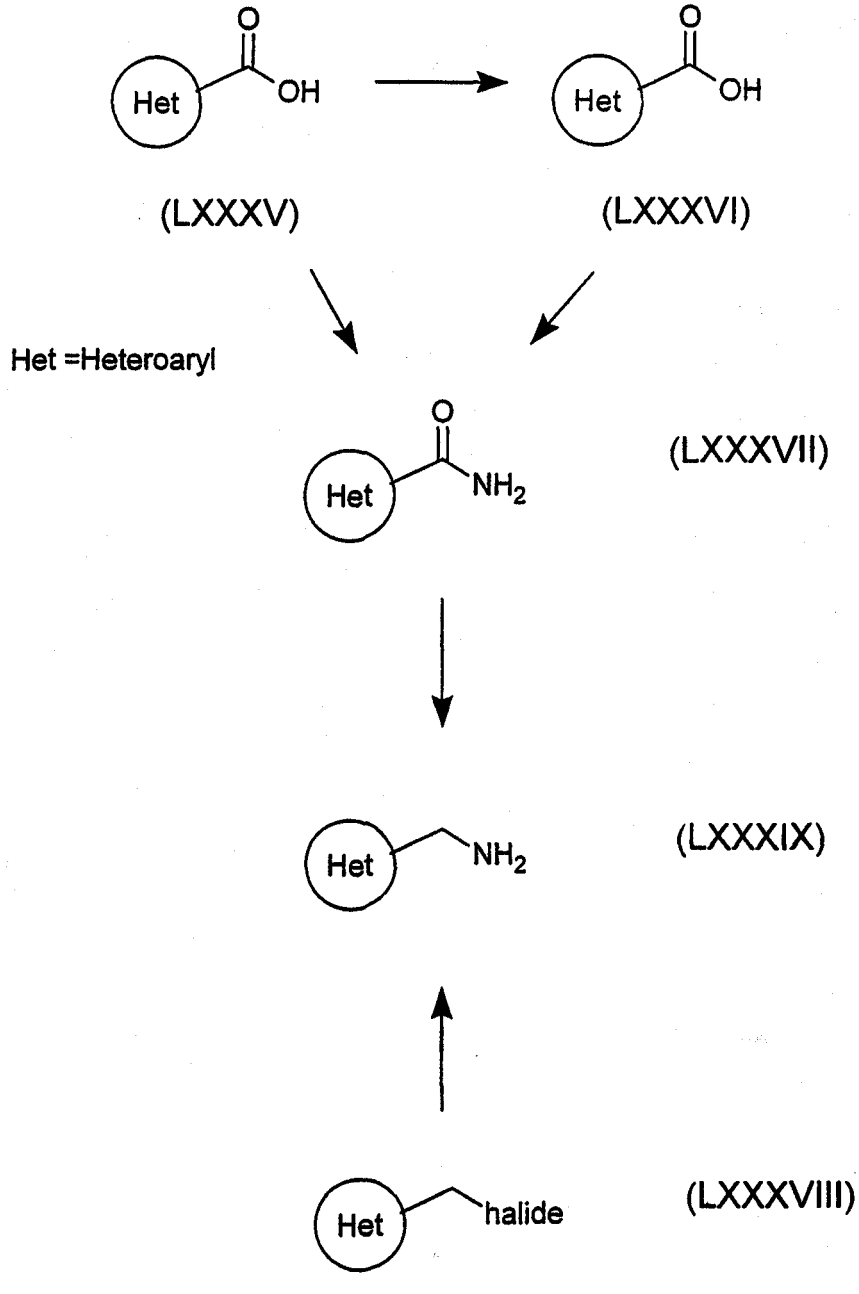

Het
OH
(LXXXV)
Het
OH
(LXXXVI)
Het =Heteroaryl
Het
NH2
(LXXXVII)
Het
NH2
(LXXXIX)
Het
halide
(LXXXVIII)

CHART W

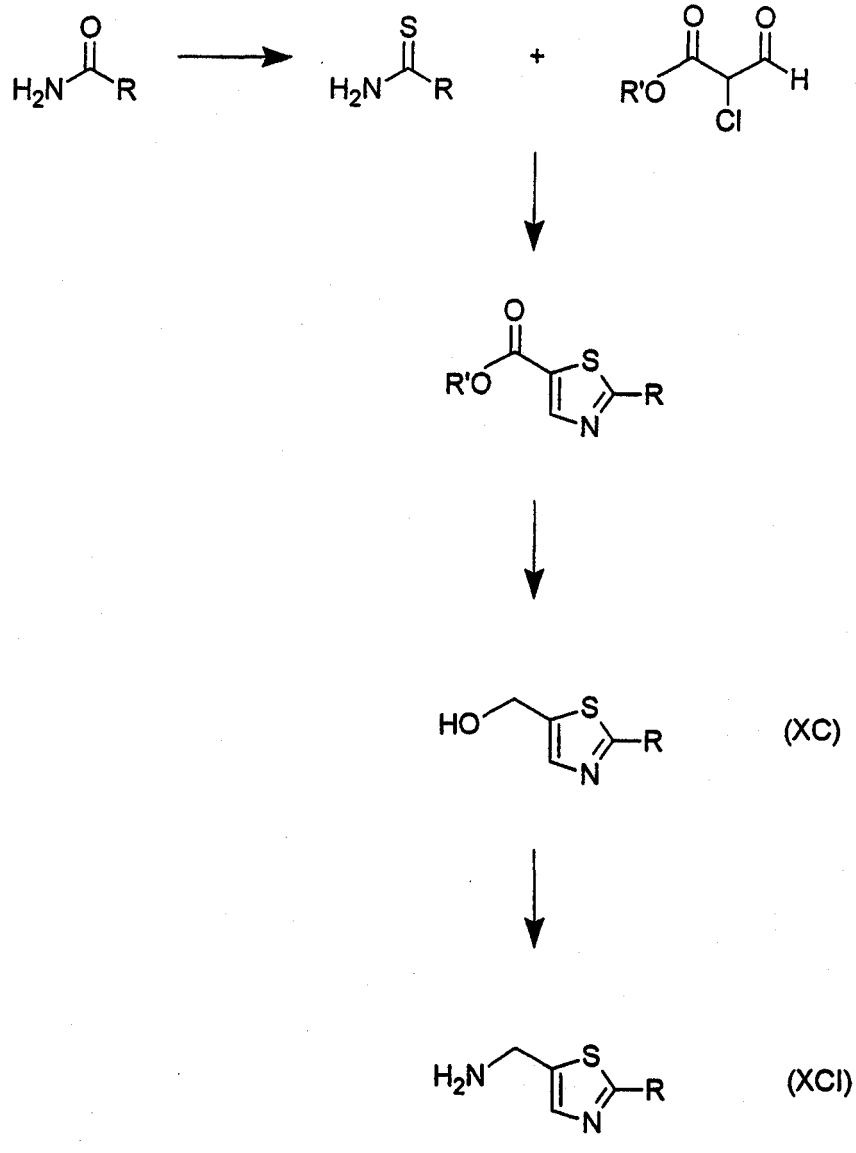
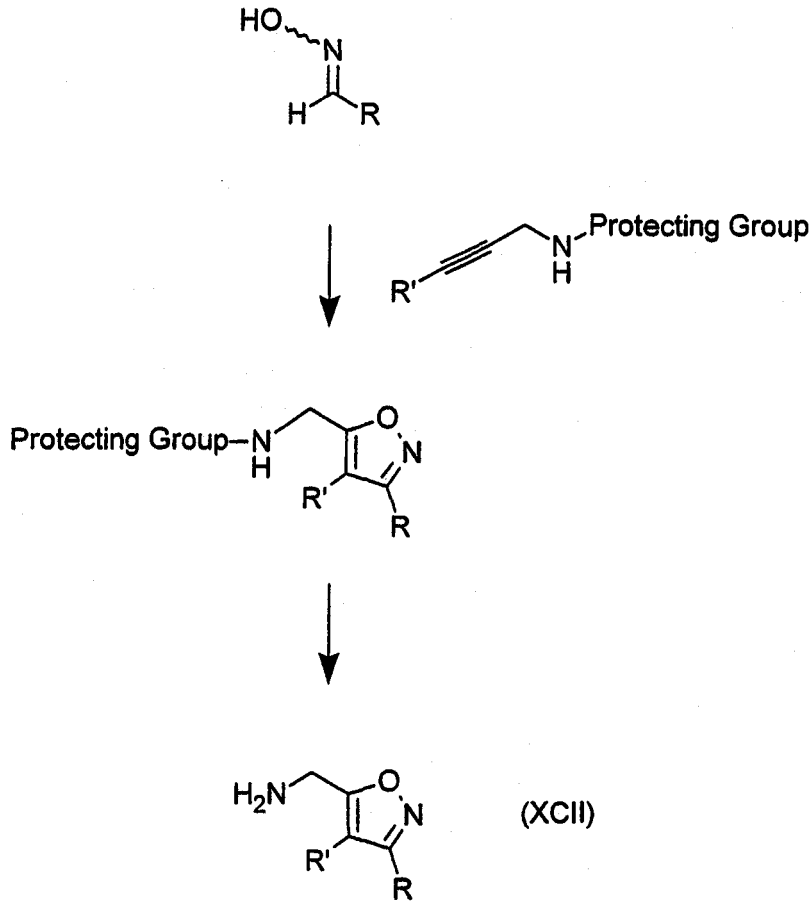
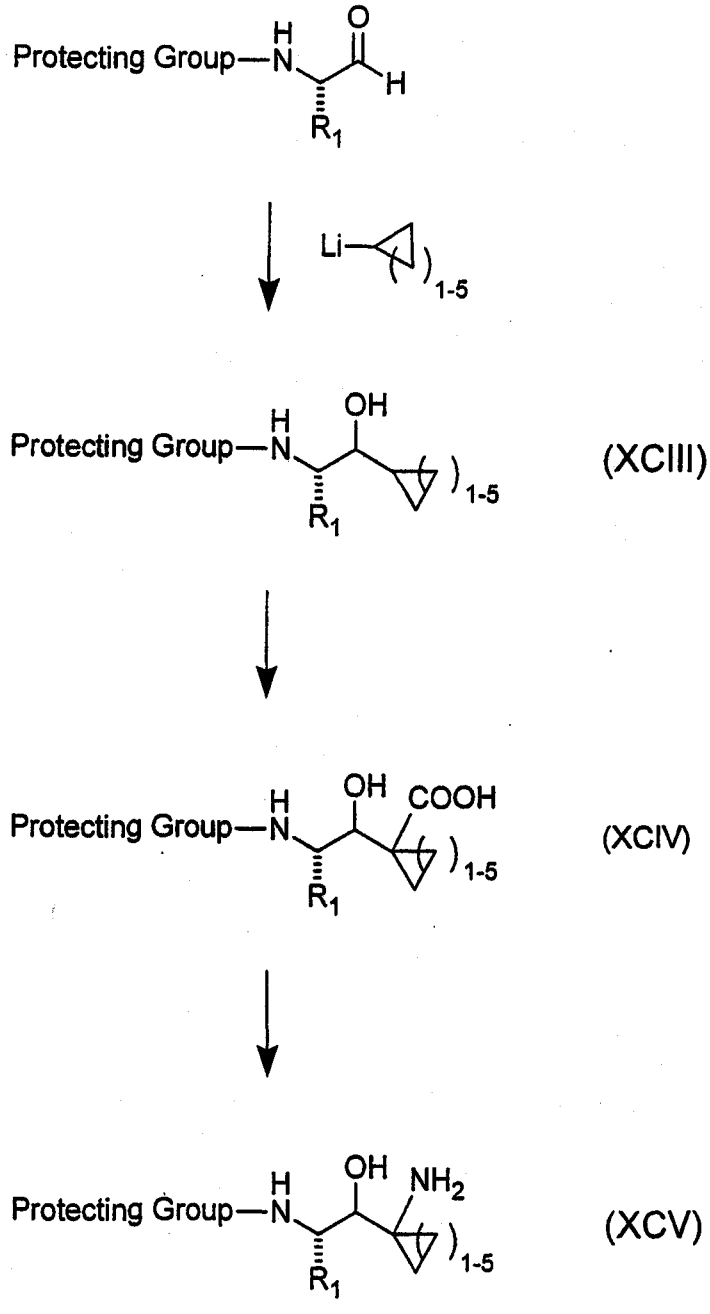


CHART X


HO
N
H
R
Protecting Group
N
H
R'
Protecting Group–N
H
O
N
R'
R
H2N
O
N
R'
R

(XCII)

CHART Y



(XCIII)

(XCIV)

(XCV)

BIOLOGICAL EXAMPLES

Example A

Enzyme Inhibition Assay

The compounds of the invention are analyzed for inhibitory activity by use of the MBP-C125 assay. This assay determines the relative inhibition of beta-secretase cleavage of a model APP substrate, MBP-C125SW, by the compounds assayed as compared with an untreated control. A detailed description of the assay parameters can be found, for example, in U.S. Patent No. 5,942,400. Briefly, the substrate is a fusion peptide formed of maltose binding protein (MBP) and the carboxy terminal 125 amino acids of APP-SW, the Swedish mutation. The beta-secretase enzyme is derived from human brain tissue as described in Sinha et.al, 1999, *Nature* 40:537-540) or recombinantly produced as the full-length enzyme (amino acids 1-501), and can be prepared, for example, from 293 cells expressing the recombinant cDNA, as described in WO00/47618.

Inhibition of the enzyme is analyzed, for example, by immunoassay of the enzyme's cleavage products. One exemplary ELISA uses an anti-MBP capture antibody that is deposited on precoated and blocked 96-well high binding plates, followed by incubation with diluted enzyme reaction supernatant, incubation with a specific reporter antibody, for example, biotinylated anti-SW192 reporter antibody, and further incubation with streptavidin/alkaline phosphatase. In the assay, cleavage of the intact MBP-C125SW fusion protein results in the generation of a truncated amino-terminal fragment, exposing a new SW-192 antibody-positive epitope at the carboxy terminus. Detection is effected by a fluorescent substrate signal on cleavage by the phosphatase. ELISA only detects cleavage following Leu 596 at the substrate's APP-SW 751 mutation site.

Specific Assay Procedure:

Compounds are diluted in a 1:1 dilution series to a six-point concentration curve (two wells per concentration) in one 96-plate row per compound tested. Each of the test compounds is prepared in DMSO to make up a 10 millimolar stock solution. The stock solution is serially diluted in DMSO to obtain a final compound

concentration of 200 micromolar at the high point of a 6-point dilution curve. Ten (10) microliters of each dilution is added to each of two wells on row C of a corresponding V-bottom plate to which 190 microliters of 52 millimolar NaOAc, 7.9% DMSO, pH 4.5 are pre-added. The NaOAc diluted compound plate is spun down to pellet precipitant and 20 microliters/well is transferred to a corresponding flat-bottom plate to which 30 microliters of ice-cold enzyme-substrate mixture (2.5 microliters MBP-C125SW substrate, 0.03 microliters enzyme and 24.5 microliters ice cold 0.09% TX100 per 30 microliters) is added. The final reaction mixture of 200 micromolar compound at the highest curve point is in 5% DMSO, 20 millimolar NaAc, 0.06% TX100, at pH 4.5.

Warming the plates to 37 degrees C starts the enzyme reaction. After 90 minutes at 37 degrees C, 200 microliters/well cold specimen diluent is added to stop the reaction and 20 microliters/well is transferred to a corresponding anti-MBP antibody coated ELISA plate for capture, containing 80 microliters/well specimen diluent. This reaction is incubated overnight at 4 degrees C and the ELISA is developed the next day after a 2 hours incubation with anti-192SW antibody, followed by Streptavidin-AP conjugate and fluorescent substrate. The signal is read on a fluorescent plate reader.

Relative compound inhibition potency is determined by calculating the concentration of compound that showed a fifty percent reduction in detected signal (IC_{50}) compared to the enzyme reaction signal in the control wells with no added compound. In this assay, the compounds of the invention exhibited an IC_{50} of less than 50 micromolar.

Example B

Cell Free Inhibition Assay Utilizing a Synthetic APP Substrate

A synthetic APP substrate that can be cleaved by beta-secretase and having N-terminal biotin and made fluorescent by the covalent attachment of oregon green at the Cys residue is used to assay beta-secretase activity in the presence or absence of the inhibitory compounds of the invention. Useful substrates include the following:

Biotin-SEVNL-DAEFR[oregon green]KK [SEQ ID NO: 1]

Biotin-SEVKM-DAEFR[oregon green]KK [SEQ ID NO: 2]

Biotin-GLNIKTEEISEISY-EVEFRC[oregon green]KK [SEQ ID NO: 3]

Biotin-ADRGLTTRPGSGLTNIKTEEISEVNL-DAEF[oregon green]KK [SEQ ID NO:4]

Biotin-FVNQHLCoxGSHLVEALY-LVCoxGERGFFYTPKA[oregon green]KK [SEQ ID NO: 5]

The enzyme (0.1 nanomolar) and test compounds (0.001 - 100 micromolar) are incubated in pre-blocked, low affinity, black plates (384 well) at 37 degrees C for 30 minutes. The reaction is initiated by addition of 150 millimolar substrate to a final volume of 30 microliter per well. The final assay conditions are: 0.001 - 100 micromolar compound inhibitor; 0.1 molar sodium acetate (pH 4.5); 150 nanomolar substrate; 0.1 nanomolar soluble beta-secretase; 0.001% Tween 20, and 2% DMSO. The assay mixture is incubated for 3 hours at 37 degrees C, and the reaction is terminated by the addition of a saturating concentration of immunopure streptavidin. After incubation with streptavidin at room temperature for 15 minutes, fluorescence polarization is measured, for example, using a LJL Acquest (Ex485 nm/ Em530 nm). The activity of the beta-secretase enzyme is detected by changes in the fluorescence polarization that occur when the substrate is cleaved by the enzyme. Incubation in the presence or absence of compound inhibitor demonstrates specific inhibition of beta-secretase enzymatic cleavage of its synthetic APP substrate. In this assay, compounds of the invention exhibited an IC50 of less than 50 micromolar.

Example C

Beta-secretase inhibition: P26-P4'SW assay

Synthetic substrates containing the beta-secretase cleavage site of APP are used to assay beta-secretase activity, using the methods described, for example, in published PCT application WO00/47618. The P26-P4'SW substrate is a peptide of the sequence: (biotin)CGGADRGLTTRPGSGLTNIKTEEISEVNLDAEF [SEQ ID NO: 6]

The P26-P1 standard has the sequence:

(biotin)CGGADRGLTTRPGSGLTNIKTEEISEVNL [SEQ ID NO: 7]

Briefly, the biotin-coupled synthetic substrates are incubated at a concentration of from about 0 to about 200 micromolar in this assay. When testing

inhibitory compounds, a substrate concentration of about 1.0 micromolar is preferred. Test compounds diluted in DMSO are added to the reaction mixture, with a final DMSO concentration of 5%. Controls also contain a final DMSO concentration of 5%. The concentration of beta secretase enzyme in the reaction is varied, to give product concentrations with the linear range of the ELISA assay, about 125 to 2000 picomolar, after dilution.

The reaction mixture also includes 20 millimolar sodium acetate, pH 4.5, 0.06% Triton X100, and is incubated at 37 degrees C for about 1 to 3 hours. Samples are then diluted in assay buffer (for example, 145.4 nanomolar sodium chloride, 9.51 millimolar sodium phosphate, 7.7 millimolar sodium azide, 0.05% Triton X405, 6g/liter bovine serum albumin, pH 7.4) to quench the reaction, then diluted further for immunoassay of the cleavage products.

Cleavage products can be assayed by ELISA. Diluted samples and standards are incubated in assay plates coated with capture antibody, for example, SW192, for about 24 hours at 4 degrees C. After washing in TTBS buffer (150 millimolar sodium chloride, 25 millimolar Tris, 0.05% Tween 20, pH 7.5), the samples are incubated with strepavidin-AP according to the manufacturer's instructions. After a one hour incubation at room temperature, the samples are washed in TTBS and incubated with fluorescent substrate solution A (31.2 g/liter 2-amino-2-methyl-1-propanol, 30 mg/liter, pH 9.5). Reaction with streptavidin-alkaline phosphate permits detection by fluorescence. Compounds that are effective inhibitors of beta-secretase activity demonstrate reduced cleavage of the substrate as compared to a control.

Example D

Assays using Synthetic Oligopeptide-Substrates

Synthetic oligopeptides are prepared that incorporate the known cleavage site of beta-secretase, and optionally detectable tags, such as fluorescent or chouromogenic moieties. Examples of such peptides, as well as their production and detection methods are described in U.S. Patent No: 5,942,400, herein incorporated by reference. Cleavage products can be detected using high performance liquid chromatography, or fluorescent or chromogenic detection

methods appropriate to the peptide to be detected, according to methods well known in the art.

By way of example, one such peptide has the sequence SEVNL-DAEF [SEQ ID NO: 8], and the cleavage site is between residues 5 and 6. Another preferred substrate has the sequence ADRGLTTRPGSGLTNIKTEEISEVNL-DAEF [SEQ ID NO: 9], and the cleavage site is between residues 26 and 27.

These synthetic APP substrates are incubated in the presence of beta-secretase under conditions sufficient to result in beta-secretase mediated cleavage of the substrate. Comparison of the cleavage results in the presence of the compound inhibitor to control results provides a measure of the compound's inhibitory activity.

Example E

Inhibition of beta-secretase activity - cellular assay

An exemplary assay for the analysis of inhibition of beta-secretase activity utilizes the human embryonic kidney cell line HEKp293 (ATCC Accession No. CRL-1573) transfected with APP751 containing the naturally occurring double mutation Lys651Met52 to Asn651Leu652 (numbered for APP751), commonly called the Swedish mutation and shown to overproduce A beta (Citron et.al., 1992, *Nature* 360:672-674), as described in USPN 5,604,102.

The cells are incubated in the presence/absence of the inhibitory compound (diluted in DMSO) at the desired concentration, generally up to 10 micrograms/ml. At the end of the treatment period, conditioned media is analyzed for beta-secretase activity, for example, by analysis of cleavage fragments. A beta can be analyzed by immunoassay, using specific detection antibodies. The enzymatic activity is measured in the presence and absence of the compound inhibitors to demonstrate specific inhibition of beta-secretase mediated cleavage of APP substrate.

Example F

Inhibition of Beta-Secretase in Animal Models of AD

Various animal models can be used to screen for inhibition of beta-secretase activity. Examples of animal models useful in the invention include, but are not limited to, mouse, guinea pig, dog, and the like. The animals used can be wild type,

transgenic, or knockout models. In addition, mammalian models can express mutations in APP, such as APP695-SW and the like described herein. Examples of transgenic non-human mammalian models are described in U.S. Patent Nos. 5,604,102, 5,912,410 and 5,811,633.

PDAPP mice, prepared as described in Games et.al., 1995, *Nature* 373:523-527 are useful to analyze *in vivo* suppression of A beta release in the presence of putative inhibitory compounds. As described in USPN 6,191,166, 4 month old PDAPP mice are administered compound formulated in vehicle, such as corn oil. The mice are dosed with compound (1-30 mg/ml; preferably 1-10 mg/ml). After time, e.g., 3-10 hours, the animals are sacrificed, and brains removed for analysis.

Transgenic animals are administered an amount of the compound inhibitor formulated in a carrier suitable for the chosen mode of administration. Control animals are untreated, treated with vehicle, or treated with an inactive compound. Administration can be acute, i.e., single dose or multiple doses in one day, or can be chronic, i.e., dosing is repeated daily for a period of days. Beginning at time 0, brain tissue or cerebral fluid is obtained from selected animals and analyzed for the presence of APP cleavage peptides, including A beta, for example, by immunoassay using specific antibodies for A beta detection. At the end of the test period, animals are sacrificed and brain tissue or cerebral fluid is analyzed for the presence of A beta and/or beta-amyloid plaques. The tissue is also analyzed for necrosis.

Animals administered the compound inhibitors of the invention are expected to demonstrate reduced A beta in brain tissues or cerebral fluids and reduced beta amyloid plaques in brain tissue, as compared with non-treated controls.

Example G

Inhibition of A beta production in human patients

Patients suffering from Alzheimer's Disease (AD) demonstrate an increased amount of A beta in the brain. AD patients are administered an amount of the compound inhibitor formulated in a carrier suitable for the chosen mode of administration. Administration is repeated daily for the duration of the test period. Beginning on day 0, cognitive and memory tests are performed, for example, once per month.

Patients administered the compound inhibitors are expected to demonstrate slowing or stabilization of disease progression as analyzed by changes in one or more of the following disease parameters: A beta present in CSF or plasma; brain or hippocampal volume; A beta deposits in the brain; amyloid plaque in the brain; and scores for cognitive and memory function, as compared with control, non-treated patients.

Example H

Prevention of A beta production in patients at risk for AD

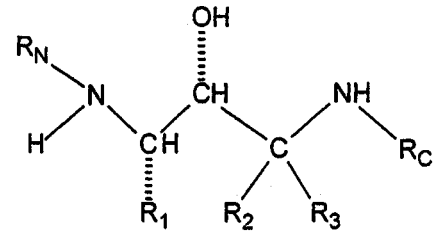
Patients predisposed or at risk for developing AD are identified either by recognition of a familial inheritance pattern, for example, presence of the Swedish Mutation, and/or by monitoring diagnostic parameters. Patients identified as predisposed or at risk for developing AD are administered an amount of the compound inhibitor formulated in a carrier suitable for the chosen mode of administration. Administration is repeated daily for the duration of the test period. Beginning on day 0, cognitive and memory tests are performed, for exmple, once per month.

Patients administered the compound inhibitors are expected to demonstrate slowing or stabilization of disease progression as analyzed by changes in one or more of the following disease parameters: A beta present in CSF or plasma; brain or hippocampal volume; amyloid plaque in the brain; and scores for cognitive and memory function, as compared with control, non-treated patients.

While this invention has been described with respect to various specific examples and embodiments, it is to be understood that the invention is not limited thereby and should only be construed by interpretation of the scope of the appended claims.

WE CLAIM:

1. A substituted amine of formula (X)



(X)

where R_1 is:

(I) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, C_1-C_7 alkyl (optionally substituted with C_1-C_3 alkyl and C_1-C_3 alkoxy), -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl, and -OC=O $NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(II) $-CH_2$-$S(O)_{0\text{-}2}$-(C_1-C_6 alkyl),

(III) $-CH_2$-CH_2-$S(O)_{0\text{-}2}$-(C_1-C_6 alkyl),

(IV) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(V) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(VI) $-(CH_2)_{n1}$-($R_{1\text{-aryl}}$) where n_1 is zero or one and where $R_{1\text{-aryl}}$ is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally substituted with one, two, three, or four of the following substituents on the aryl ring:

(A) C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, and $-NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above, -C≡N, $-CF_3$, C_1-C_3 alkoxy,

(B) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(C) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(D) -F, Cl, -Br or -I,

(F) -C_1-C_6 alkoxy optionally substituted with one, two, or three of: -F,

(G) $-NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are as defined below,

(H) -OH,

(I) -C≡N,

(J) C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(K) -CO-(C_1-C_4 alkyl),

(L) $-SO_2-NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(M) $-CO-NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above, or

(N) $-SO_2$-(C_1-C_4 alkyl),

(VII) $-(CH_2)_{n1}-(R_{1\text{-heteroaryl}})$ where n_1 is as defined above and where $R_{1\text{-heteroaryl}}$ is selected from the group consisting of:

pyridinyl,

pyrimidinyl,

quinolinyl,

benzothienyl,

indolyl,

indolinyl,

pryidazinyl,

pyrazinyl,

isoindolyl,

isoquinolyl,
quinazolinyl,
quinoxalinyl,
phthalazinyl,
imidazolyl,
isoxazolyl,
pyrazolyl,
oxazolyl,
thiazolyl,
indolizinyl,
indazolyl,
benzothiazolyl,
benzimidazolyl,
benzofuranyl,
furanyl,
thienyl,
pyrrolyl,
oxadiazolyl,
thiadiazolyl,
triazolyl,
tetrazolyl,
oxazolopyridinyl,
imidazopyridinyl,
isothiazolyl,
naphthyridinyl,
cinnolinyl,
carbazolyl,
beta-carbolinyl,
isochromanyl,
chromanyl,
tetrahydroisoquinolinyl,
isoindolinyl,
isobenzotetrahydrofuranyl,
isobenzotetrahydrothienyl,

isobenzothienyl,
benzoxazolyl,
pyridopyridinyl,
benzotetrahydrofuranyl,
benzotetrahydrothienyl,
purinyl,
benzodioxolyl,
triazinyl,
phenoxazinyl,
phenothiazinyl,
pteridinyl,
benzothiazolyl,
imidazopyridinyl,
imidazothiazolyl,
dihydrobenzisoxazinyl,
benzisoxazinyl,
benzoxazinyl,
dihydrobenzisothiazinyl,
benzopyranyl,
benzothiopyranyl,
coumarinyl,
isocoumarinyl,
chromonyl,
chromanonyl, and
pyridinyl-N-oxide
tetrahydroquinolinyl
dihydroquinolinyl
dihydroquinolinonyl
dihydroisoquinolinonyl
dihydrocoumarinyl
dihydroisocoumarinyl
isoindolinonyl
benzodioxanyl
benzoxazolinonyl

pyrrolyl N-oxide,
pyrimidinyl N-oxide,
pyridazinyl N-oxide,
pyrazinyl N-oxide,
quinolinyl N-oxide,
indolyl N-oxide,
indolinyl N-oxide,
isoquinolyl N-oxide,
quinazolinyl N-oxide,
quinoxalinyl N-oxide,
phthalazinyl N-oxide,
imidazolyl N-oxide,
isoxazolyl N-oxide,
oxazolyl N-oxide,
thiazolyl N-oxide,
indolizinyl N-oxide,
indazolyl N-oxide,
benzothiazolyl N-oxide,
benzimidazolyl N-oxide,
pyrrolyl N-oxide,
oxadiazolyl N-oxide,
thiadiazolyl N-oxide,
triazolyl N-oxide,
tetrazolyl N-oxide,
benzothiopyranyl S-oxide,
benzothiopyranyl S,S-dioxide,

where the $R_{1\text{-heteroaryl}}$ group is bonded to $-(CH_2)_{n1}-$ by any ring atom of the parent $R_{1\text{-heteroaryl}}$ group substituted by hydrogen such that the new bond to the $R_{1\text{-heteroaryl}}$ group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four:

(1) C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH,

-SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(2) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(3) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(4) -F, Cl, -Br or -I,

(6) -C_1-C_6 alkoxy optionally substituted with one, two, or three of: -F,

(7) -$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are as defined below,

(8) -OH,

(9) -C≡N,

(10) C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(11) -CO-(C_1-C_4 alkyl),

(12) -SO_2-$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(13) -CO-$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

or

(14) -SO_2-(C_1-C_4 alkyl), with the proviso that when n_1 is zero $R_{1\text{-heteroaryl}}$ is not bonded to the carbon chain by nitrogen, or

(VIII) -$(CH_2)_{n1}$-($R_{1\text{-heterocycle}}$) where n_1 is as defined above and $R_{1\text{-heterocycle}}$ is selected from the group consisting of:

morpholinyl,

thiomorpholinyl,

thiomorpholinyl S-oxide,

thiomorpholinyl S,S-dioxide,

piperazinyl,

homopiperazinyl,

pyrrolidinyl,
pyrrolinyl,
tetrahydropyranyl,
piperidinyl,
tetrahydrofuranyl,
tetrahydrothienyl,
homopiperidinyl,
homomorpholinyl,
homothiomorpholinyl,
homothiomorpholinyl S,S-dioxide, and
oxazolidinonyl,
dihydropyrazolyl
dihydropyrrolyl
dihydropyrazinyl
dihydropyridinyl
dihydropyrimidinyl
dihydrofuryl
dihydropyranyl
tetrahydrothienyl S-oxide
tetrahydrothienyl S,S-dioxide
homothiomorpholinyl S-oxide

where the $R_{1\text{-heterocycle}}$ group is bonded by any atom of the parent $R_{1\text{-heterocycle}}$ group substituted by hydrogen such that the new bond to the $R_{1\text{-heterocycle}}$ group replaces the hydrogen atom and its bond, where heterocycle is optionally substituted with one, two, three, or four:

(1) C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1\text{-a}}R_{1\text{-b}}$ where $R_{1\text{-a}}$ and $R_{1\text{-b}}$ are as defined above,

(2) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1\text{-a}}R_{1\text{-b}}$ where $R_{1\text{-a}}$ and $R_{1\text{-b}}$ are -H or C_1-C_6 alkyl,

(3) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(4) -F, Cl, -Br or -I,

(5) C_1-C_6 alkoxy,

(6) -C_1-C_6 alkoxy optionally substituted with one, two, or three of -F,

(7) -$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined below,

(8) -OH,

(9) -C≡N,

(10) C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(11) -CO-(C_1-C_4 alkyl),

(12) -SO_2-$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(13) -CO-$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(14) -SO_2-(C_1-C_4 alkyl), or

(15) =O, with the proviso that when n_1 is zero $R_{1\text{-heterocycle}}$ is not bonded to the carbon chain by nitrogen;

where R_2 is:

(I)-H,

(II) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(III) -$(CH_2)_{0-4}$-R_{2-1} where R_{2-1} is $R_{1\text{-aryl}}$ or $R_{1\text{-heteroaryl}}$ where $R_{1\text{-aryl}}$ and $R_{1\text{-heteroaryl}}$ are as defined above;

(IV) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of

-F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl, -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(V) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl, or

(VI) -$(CH_2)_{0-4}$- C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl;

where R_3 is:

(I)-H,

(II) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(III) -$(CH_2)_{0-4}$-R_{2-1} where R_{2-1} is R_{1-aryl} or $R_{1-heteroaryl}$ where R_{1-aryl} and $R_{1-heteroaryl}$ are as defined above;

(IV) C_2-C_6 alkenyl with one or two double bonds,

(V) C_2-C_6 alkynyl with one or two triple bonds, or

(VI) -$(CH_2)_{0-4}$- C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

and where R_2 and R_3 are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-,

-S-, -SO_2-, and -NR_{N-2}-, where R_{N-2} is as defined below;

where R_N is:

(I) R_{N-1}-X_N- where X_N is selected from the group consisting of:

(A) -CO-,

(B) -SO_2-,

(C) -(CR'R")$_{1-6}$ where R' and R" are the same or different and are -H or C_1-C_4 alkyl,

(D) -CO-(CR'R")$_{1-6}$-X_{N-1} where X_{N-1} is selected from the group consisting of -O-, -S- and -NR'- and where R' and R" are as defined above, and

(E) a single bond;

where R_{N-1} is selected from the group consisting of:

(A) R_{N-aryl} where R_{N-aryl} is phenyl, 1-naphthyl, 2-naphthyl, tetralinyl, indanyl, dihydronaphthyl or 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl, optionally substituted with one, two or three of the following substituents which can be the same or different and are:

(1) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, and -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(2) -OH,

(3) $-NO_2$,

(4) -F, -Cl, -Br, or -I,

(5) -CO-OH,

(6) -C≡N,

(7) -$(CH_2)_{0-4}$-CO-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are selected from the group consisting of:

(a) -H,

(b) -C_1-C_6 alkyl optionally substituted with one substitutent selected from the group consisting of:

(i) -OH, and

(ii) $-NH_2$,

(c) -C_1-C_6 alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

(d) -C_3-C_7 cycloalkyl,

(e) -(C_1-C_2 alkyl)-(C_3-C_7 cycloalkyl),

(f) -(C_1-C_6 alkyl)-O-(C_1-C_3 alkyl),

(g) -C_2-C_6 alkenyl with one or two double bonds,

(h) -C_2-C_6 alkynyl with one or two triple bonds,

(i) -C_1-C_6 alkyl chain with one double bond and one triple bond,

(j) -$R_{1\text{-aryl}}$ where $R_{1\text{-aryl}}$ is as defined above, and

(k) -$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(8) -$(CH_2)_{0\text{-}4}$-CO-(C_1-C_{12} alkyl),

(9) -$(CH_2)_{0\text{-}4}$-CO-(C_2-C_{12} alkenyl with one, two or three double bonds),

(10) -$(CH_2)_{0\text{-}4}$-CO-(C_2-C_{12} alkynyl with one, two or three triple bonds),

(11) -$(CH_2)_{0\text{-}4}$-CO-(C_3-C_7 cycloalkyl),

(12) -$(CH_2)_{0\text{-}4}$-CO-$R_{1\text{-aryl}}$ where $R_{1\text{-aryl}}$ is as defined above,

(13) -$(CH_2)_{0\text{-}4}$-CO-$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(14) -$(CH_2)_{0\text{-}4}$-CO-$R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined above,

(15) -$(CH_2)_{0\text{-}4}$-CO-$R_{N\text{-}4}$ where $R_{N\text{-}4}$ is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of: C_1-C_6 alkyl,

(16) -$(CH_2)_{0\text{-}4}$-CO-O-$R_{N\text{-}5}$ where $R_{N\text{-}5}$ is selected from the group consisting of:

(a) C_1-C_6 alkyl,

(b) -$(CH_2)_{0\text{-}2}$-($R_{1\text{-aryl}}$) where $R_{1\text{-aryl}}$ is as defined above,

(c) C_2-C_6 alkenyl containing one or two double bonds,

(d) C_2-C_6 alkynyl containing one or two triple bonds,

(e) C_3-C_7 cycloalkyl, and

(f) -$(CH_2)_{0-2}$-($R_{1\text{-heteroaryl}}$) where $R_{1\text{-heteroaryl}}$ is as defined above,

(17) -$(CH_2)_{0-4}$-SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined above,

(18) -$(CH_2)_{0-4}$-SO-(C_1-C_8 alkyl),

(19) -$(CH_2)_{0-4}$-SO_2-(C_1-C_{12} alkyl),

(20) -$(CH_2)_{0-4}$-SO_2-(C_3-C_7 cycloalkyl),

(21) -$(CH_2)_{0-4}$-N(H or R_{N-5})-CO-O-R_{N-5} where R_{N-5} can be the same or different and is as defined above,

(22) -$(CH_2)_{0-4}$-N(H or R_{N-5})-CO-N(R_{N-5})$_2$, where R_{N-5} can be the same or different and is as defined above,

(23) -$(CH_2)_{0-4}$-N-CS-N(R_{N-5})$_2$, where R_{N-5} can be the same or different and is as defined above,

(24) -$(CH_2)_{0-4}$-N(-H or R_{N-5})-CO-R_{N-2} where R_{N-5} and R_{N-2} can be the same or different and are as defined above,

(25) -$(CH_2)_{0-4}$-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} can be the same or different and are as defined above,

(26) -$(CH_2)_{0-4}$-R_{N-4} where R_{N-4} is as defined above,

(27) -$(CH_2)_{0-4}$-O-CO-(C_1-C_6 alkyl),

(28) -$(CH_2)_{0-4}$-O-P(O)-($OR_{N\text{-aryl-1}}$)$_2$ where $R_{N\text{-aryl-1}}$ is -H or C_1-C_4 alkyl,

(29) -$(CH_2)_{0-4}$-O-CO-N(R_{N-5})$_2$ where R_{N-5} is as defined above,

(30) -$(CH_2)_{0-4}$-O-CS-N(R_{N-5})$_2$ where R_{N-5} is as defined above,

(31) -$(CH_2)_{0-4}$-O-(R_{N-5})$_2$ where R_{N-5} is as defined above,

(32) -$(CH_2)_{0-4}$-O-(R_{N-5})$_2$-COOH where R_{N-5} is as defined above,

(33) -$(CH_2)_{0-4}$-S-(R_{N-5})$_2$ where R_{N-5} is as defined above,

(34) -$(CH_2)_{0-4}$-O-(C_1-C_6 alkyl optionally substituted with one, two, three, four, or five -F),

(35) C_3-C_7 cycloalkyl,

(36) C_2-C_6 alkenyl with one or two double bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, or -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(37) C_2-C_6 alkynyl with one or two triple bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, or -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(38) -$(CH_2)_{0-4}$-N(-H or R_{N-5})-SO_2-R_{N-2} where R_{N-5} and R_{N-2} can be the same or different and are as described above, or

(39) -$(CH_2)_{0-4}$- C_3-C_7 cycloalkyl,

(B) -$R_{N\text{-heteroaryl}}$ where $R_{N\text{-heteroaryl}}$ is selected from the group consisting of:

pyridinyl,
pyrimidinyl,
quinolinyl,
benzothienyl,
indolyl,
indolinyl,
pryidazinyl,
pyrazinyl,
isoindolyl,
isoquinolyl,
quinazolinyl,
quinoxalinyl,
phthalazinyl,
imidazolyl,
isoxazolyl,
pyrazolyl,
oxazolyl,
thiazolyl,
indolizinyl,

indazolyl,
benzothiazolyl,
benzimidazolyl,
benzofuranyl,
furanyl,
thienyl,
pyrrolyl,
oxadiazolyl,
thiadiazolyl,
triazolyl,
tetrazolyl,
oxazolopyridinyl,
imidazopyridinyl,
isothiazolyl,
naphthyridinyl,
cinnolinyl,
carbazolyl,
beta-carbolinyl,
isochromanyl,
chromanyl,
tetrahydroisoquinolinyl,
isoindolinyl,
isobenzotetrahydrofuranyl,
isobenzotetrahydrothienyl,
isobenzothienyl,
benzoxazolyl,
pyridopyridinyl,
benzotetrahydrofuranyl,
benzotetrahydrothienyl,
purinyl,
benzodioxolyl,
triazinyl,
phenoxazinyl,
phenothiazinyl,

pteridinyl,
benzothiazolyl,
imidazopyridinyl,
imidazothiazolyl,
dihydrobenzisoxazinyl,
benzisoxazinyl,
benzoxazinyl,
dihydrobenzisothiazinyl,
benzopyranyl,
benzothiopyranyl,
coumarinyl,
isocoumarinyl,
chromonyl,
chromanonyl, and
pyridinyl-N-oxide,
tetrahydroquinolinyl
dihydroquinolinyl
dihydroquinolinonyl
dihydroisoquinolinonyl
dihydrocoumarinyl
dihydroisocoumarinyl
isoindolinonyl
benzodioxanyl
benzoxazolinonyl
pyrrolyl N-oxide,
pyrimidinyl N-oxide,
pyridazinyl N-oxide,
pyrazinyl N-oxide,
quinolinyl N-oxide,
indolyl N-oxide,
indolinyl N-oxide,
isoquinolyl N-oxide,
quinazolinyl N-oxide,
quinoxalinyl N-oxide,

phthalazinyl N-oxide,

imidazolyl N-oxide,

isoxazolyl N-oxide,

oxazolyl N-oxide,

thiazolyl N-oxide,

indolizinyl N-oxide,

indazolyl N-oxide,

benzothiazolyl N-oxide,

benzimidazolyl N-oxide,

pyrrolyl N-oxide,

oxadiazolyl N-oxide,

thiadiazolyl N-oxide,

triazolyl N-oxide,

tetrazolyl N-oxide,

benzothiopyranyl S-oxide,

benzothiopyranyl S,S-dioxide,

where the $R_{N\text{-heteroaryl}}$ group is bonded by any atom of the parent $R_{N\text{-heteroaryl}}$ group substituted by hydrogen such that the new bond to the $R_{N\text{-heteroaryl}}$ group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four of:

(1) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(2) -OH,

(3) $-NO_2$,

(4) -F, -Cl, -Br, or -I

(5) -CO-OH,

(6) -C≡N,

(7) $-(CH_2)_{0\text{-}4}$-CO-$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are the same or different and are selected from the group consisting of:

(a) -H,

(b) -C_1-C_6 alkyl optionally substituted with one substitutent selected from the group consisting of:

(i) -OH, and

(ii) -NH_2,

(c) -C_1-C_6 alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

(d) -C_3-C_7 cycloalkyl,

(e) -(C_1-C_2 alkyl)-(C_3-C_7 cycloalkyl),

(f) -(C_1-C_6 alkyl)-O-(C_1-C_3 alkyl),

(g) -C_2-C_6 alkenyl with one or two double bonds,

(h) -C_2-C_6 alkynyl with one or two triple bonds,

(i) -C_1-C_6 alkyl chain with one double bond and one triple bond,

(j) -$R_{1\text{-aryl}}$ where $R_{1\text{-aryl}}$ is as defined above, and

(k) -$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(8) -$(CH_2)_{0\text{-}4}$-CO-(C_1-C_{12} alkyl),

(9) -$(CH_2)_{0\text{-}4}$-CO-(C_2-C_{12} alkenyl with one, two or three double bonds),

(10) -$(CH_2)_{0\text{-}4}$-CO-(C_2-C_{12} alkynyl with one, two or three triple bonds),

(11) -$(CH_2)_{0\text{-}4}$-CO-(C_3-C_7 cycloalkyl),

(12) -$(CH_2)_{0\text{-}4}$-CO-$R_{1\text{-aryl}}$ where $R_{1\text{-aryl}}$ is as defined above,

(13) -$(CH_2)_{0\text{-}4}$-CO-$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(14) -$(CH_2)_{0\text{-}4}$-CO-$R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined above,

(15) -$(CH_2)_{0\text{-}4}$-CO-$R_{N\text{-}4}$ where $R_{N\text{-}4}$ is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is

optionally substituted with one, two, three, or four of: C_1-C_6 alkyl,

(16) -$(CH_2)_{0-4}$-CO-O-R_{N-5} where R_{N-5} is selected from the group consisting of:

(a) C_1-C_6 alkyl,

(b) -$(CH_2)_{0-2}$-(R_{1-aryl}) where R_{1-aryl} is as defined above,

(c) C_2-C_6 alkenyl containing one or two double bonds,

(d) C_2-C_6 alkynyl containing one or two triple bonds,

(e) C_3-C_7 cycloalkyl,

(f) -$(CH_2)_{0-2}$-($R_{1-heteroaryl}$) where $R_{1-heteroaryl}$ is as defined above,

(17) -$(CH_2)_{0-4}$-SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined above,

(18) -$(CH_2)_{0-4}$-SO-(C_1-C_8 alkyl),

(19) -$(CH_2)_{0-4}$-SO_2-(C_1-C_{12} alkyl),

(20) -$(CH_2)_{0-4}$-SO_2-(C_3-C_7 cycloalkyl),

(21) -$(CH_2)_{0-4}$-N(H or R_{N-5})-CO-O-R_{N-5} where R_{N-5} can be the same or different and is as defined above,

(22) -$(CH_2)_{0-4}$-N(H or R_{N-5})-CO-N(R_{N-5})$_2$, where R_{N-5} can be the same or different and is as defined above,

(23) -$(CH_2)_{0-4}$-N-CS-N(R_{N-5})$_2$, where R_{N-5} can be the same or different and is as defined above,

(24) -$(CH_2)_{0-4}$-N(-H or R_{N-5})-CO-R_{N-2} where R_{N-5} and R_{N-2} can be the same or different and are as defined above,

(25) -$(CH_2)_{0-4}$-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} can be the same or different and are as defined above,

(26) -$(CH_2)_{0-4}$-R_{N-4} where R_{N-4} is as defined above,

(27) -$(CH_2)_{0-4}$-O-CO-(C_1-C_6 alkyl),

(28) -$(CH_2)_{0-4}$-O-P(O)-($OR_{N-aryl-1}$)$_2$ where $R_{N-aryl-1}$ is -H or C_1-C_4 alkyl,

(29) -$(CH_2)_{0-4}$-O-CO-N(R_{N-5})$_2$ where R_{N-5} is as defined above,

(30) -$(CH_2)_{0-4}$-O-CS-N$(R_{N-5})_2$ where R_{N-5} is as defined above,

(31) -$(CH_2)_{0-4}$-O-$(R_{N-5})_2$ where R_{N-5} is as defined above,

(32) -$(CH_2)_{0-4}$-O-($R_{N-5})_2$-COOH where R_{N-5} is as defined above,

(33) -$(CH_2)_{0-4}$-S-($R_{N-5})_2$ where R_{N-5} is as defined above,

(34) -$(CH_2)_{0-4}$-O-(C_1-C_6 alkyl optionally substituted with one, two, three, four, or five of: -F),

(35) C_3-C_7 cycloalkyl,

(36) C_2-C_6 alkenyl with one or two double bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, or -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(37) C_2-C_6 alkynyl with one or two triple bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, or -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, or

(38) -$(CH_2)_{0-4}$-N(-H or R_{N-5})-SO_2-R_{N-2} where R_{N-5} and R_{N-2} can be the same or different and are as described above, or

(39) -$(CH_2)_{0-4}$- C_3-C_7 cycloalkyl,

(C) $R_{N\text{-}aryl}$-W-$R_{N\text{-}aryl}$, where $R_{N\text{-}aryl}$ is defined as above,

(D) $R_{N\text{-}aryl}$-W-$R_{N\text{-}heteroaryl}$, where $R_{N\text{-}aryl}$ and $R_{N\text{-}heteroaryl}$ are as defined above,

(E) $R_{N\text{-}aryl}$-W-$R_{N\text{-}1\text{-}heterocycle}$, where $R_{N\text{-}heterocycle}$ is defined as $R_{1\text{-}heterocycle}$, is defined above,

(F) $R_{N\text{-}heteroaryl}$-W-$R_{N\text{-}aryl}$, where $R_{N\text{-}aryl}$ and $R_{n\text{-}heteroaryl}$ are as defined above,

(G) $R_{N\text{-}heteroaryl}$-W-$R_{N\text{-}heteroaryl}$, where $R_{N\text{-}heteroaryl}$ is as defined above,

(H) $R_{N\text{-}heteroaryl}$-W-$R_{N\text{-}1\text{-}heterocycle}$, where $R_{N\text{-}1\text{-}heterocycle}$ is as defined as $R_{1\text{-}heterocycle}$ is as defined above, and where $R_{N\text{-}heteroaryl}$ is as defined above,

(I) $R_{N\text{-}heterocycle}$-W-$R_{N\text{-}aryl}$, where $R_{N\text{-}heterocycle}$ is as defined as $R_{1\text{-}heterocycle}$ is defined and where $R_{N\text{-}aryl}$ are as defined above,

(J) $R_{N\text{-heterocycle}}$-W-$R_{N\text{-heteroaryl}}$, where $R_{N\text{-heterocycle}}$ is as defined as $R_{1\text{-heterocycle}}$ as defined above and $R_{N\text{-heteroaryl}}$ are as defined above, and

(K) $R_{N\text{-heterocycle}}$-W-$R_{N\text{-1-heterocycle}}$, where $R_{N\text{-heterocycle}}$ and $R_{N\text{-heteroaryl}}$ are as defined above,

where W is

(1) $-(CH_2)_{0\text{-}4}-$,

(2) -O-,

(3) $-S(O)_{0\text{-}2}-$,

(4) $-N(R_{N\text{-}5})-$ where $R_{N\text{-}5}$ is as defined above, or

(5) -CO-,

(II) -CO-(C_1-C_{10} alkyl) where alkyl is optionally substituted with one, two, or three substitutents selected from the group consisting of:

(A) -OH,

(B) -C_1-C_6 alkoxy,

(C) -C_1-C_6 thioalkoxy,

(D) -CO-O-$R_{N\text{-}8}$ where $R_{N\text{-}8}$ is -H, C_1-C_6 alkyl or -phenyl,

(E) -CO-$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are the same or different and are as defined above,

(F) -CO-$R_{N\text{-}4}$ where $R_{N\text{-}4}$ is as defined above,

(G) -SO_2-(C_1-C_8 alkyl),

(H) -SO_2-$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are the same or different and are as defined above,

(I) -NH-CO-(C_1-C_6 alkyl),

(J) -NH-CO-O-$R_{N\text{-}8}$ where $R_{N\text{-}8}$ is as defined above,

(K) -$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are the same or different and are as defined above,

(L) -$R_{N\text{-}4}$ where $R_{N\text{-}4}$ is as defined above,

(M) -O-CO-(C_1-C_6 alkyl),

(N) -O-CO-$NR_{N\text{-}8}R_{N\text{-}8}$ where $R_{N\text{-}8}$ are the same or different and are as defined above,

(O) -O-(C_1-C_5 alkyl)-COOH,

(P) -O-(C_1-C_6 alkyl optionally substitued with one, two, or three of: -F, -Cl, -Br, or -I),

(Q) -NH-SO_2-(C_1-C_6 alkyl), and

(R) -F, or -Cl

(III) -CO-(C_1-C_6 alkyl)-O-(C_1-C_6 alkyl) where alkyl isoptionally substituted with one, two, or three substitutents selected from the group consisting of:

(A) -OH,

(B) -C_1-C_6 alkoxy,

(C) -C_1-C_6 thioalkoxy,

(D) -CO-O-R_{N-8} where R_{N-8} is -H, C_1-C_6 alkyl or -φ,

(E) -CO-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) -CO-R_{N-4} where R_{N-4} is as defined above,

(G) -SO_2-(C_1-C_8 alkyl),

(H) -SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(I) -NH-CO-(C_1-C_6 alkyl),

(J) -NH-CO-O-R_{N-8} where R_{N-8} is as defined above,

(K) -$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(L) -R_{N-4} where R_{N-4} is as defined above,

(M) -O-CO-(C_1-C_6 alkyl),

(N) -O-CO-$NR_{N-8}R_{N-8}$ where the R_{N-8}s are the same or different and are as defined above,

(O) -O-(C_1-C_5 alkyl)-COOH,

(P) -O-(C_1-C_6 alkyl optionally substitued with one, two, or three of: -F, -Cl, -Br, or -I),

(Q) -NH-SO_2-(C_1-C_6 alkyl),

(R) -F, -Cl,

(IV) -CO-(C_1-C_6 alkyl)-S-(C_1-C_6 alkyl) where alkyl is optionally substituted with one, two, or three substitutents selected from the group consisting of:

(A) -OH,

(B) -C_1-C_6 alkoxy,

(C) -C_1-C_6 thioalkoxy,

(D) -CO-O-R_{N-8} where R_{N-8} is as defined above,

(E) -CO-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) -CO-R_{N-4} where R_{N-4} is as defined above,

(G) -SO_2-(C_1-C_8 alkyl),

(H) -SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(I) -NH-CO-(C_1-C_6 alkyl),

(J) -NH-CO-O-R_{N-8} where R_{N-8} is as defined above,

(K) -$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(L) -R_{N-4} where R_{N-4} is as defined above,

(M) -O-CO-(C_1-C_6 alkyl),

(N) -O-CO-$NR_{N-8}R_{N-8}$ where R_{N-8} are the same or different and are as defined above,

(O) -O-(C_1-C_5 alkyl)-COOH,

(P) -O-(C_1-C_6 alkyl optionally substitued with one, two, or three of: -F, -Cl, -Br, -I),

(Q) -NH-SO_2-(C_1-C_6 alkyl),

(R) -F, or -Cl,

(V) -CO-CH(-$(CH_2)_{0-2}$-O-R_{N-10})-$(CH_2)_{0-2}$-R_{N-aryl}/$R_{N-heteroaryl}$) where R_{N-aryl} and $R_{N-heteroaryl}$ are as defined above, where R_{N-10} is selected from the group consisting of:

(A) -H,

(B) C_1-C_6 alkyl,

(C) C_3-C_7 cycloalkyl,

(D) C_2-C_6 alkenyl with one double bond,

(E) C_2-C_6 alkynyl with one triple bond,

(F) R_{1-aryl} where R_{1-aryl} is as defined above, and

(G) $R_{N-heteroaryl}$ where $R_{N-heteroaryl}$ is as defined above, or

(VI) -CO-(C_3-C_8 cycloalkyl) where alkyl is optionally substituted with one or two substitutents selected from the group consisting of:

(A) -$(CH_2)_{0-4}$-OH,

(B) -$(CH_2)_{0-4}$-C_1-C_6 alkoxy,

(C) -$(CH_2)_{0-4}$-C_1-C_6 thioalkoxy,

(D) -$(CH_2)_{0-4}$-CO-O-R_{N-8} where R_{N-8} is -H, C_1-C_6 alkyl or -phenyl,

(E) -$(CH_2)_{0-4}$-CO-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) -$(CH_2)_{0-4}$-CO-R_{N-4} where R_{N-4} is as defined above,

(G) -$(CH_2)_{0-4}$-SO_2-(C_1-C_8 alkyl),

(H) -$(CH_2)_{0-4}$-SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(I) -$(CH_2)_{0-4}$-NH-CO-(C_1-C_6 alkyl),

(J) -NH-CO-O-R_{N-8} where R_{N-8} is as defined above,

(K) -$(CH_2)_{0-4}$-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(L) -$(CH_2)_{0-4}$-R_{N-4} where R_{N-4} is as defined above,

(M) -O-CO-(C_1-C_6 alkyl),

(N) -O-CO-$NR_{N-8}R_{N-8}$ where R_{N-8} are the same or different and are as defined above,

(O) -O-(C_1-C_5 alkyl)-COOH,

(P) -O-(C_1-C_6 alkyl optionally substitued with one, two, or three of: -F, -Cl, -Br, or -I),

(Q) -NH-SO_2-(C_1-C_6 alkyl), and

(R) -F, or -Cl,

where R_C is:

(I)-C_1-C_{10} alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, -OC=O $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, -$S(=O)_{0-2}$ R_{1-a} where R_{1-a} is as defined above, - NR_{1-a}C=O $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as

defined above, -C=O $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, and -$S(=O)_2$ $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(II) -$(CH_2)_{0-3}$-(C_3-C_8) cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -CO-OH, -CO-O-(C_1-C_4 alkyl), and -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(III) -$(CR_{C-x}R_{C-y})_{0-4}$-R_{C-aryl} where R_{C-x} and R_{C-y} are

-H,

C_1-C_4 alkyl optionally substituted with one or two -OH,,

C_1-C_4 alkoxy optionally substituted with one, two, or three of: -F,

-$(CH_2)_{0-4}$-C_3-C_7 cycloalkyl,

C_2-C_6 alkenyl containing one or two double bonds,

C_2-C_6 alkynyl contianing one or two triple bonds,

phenyl-,

and where R_{C-x} and R_{C-y} are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO_2-, and -NR_{N-2}- and R_{C-aryl} is the same as R_{N-aryl};

(IV) -$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heteroaryl}$ where $R_{C-heteroaryl}$ is the same as $R_{N-heteroaryl}$ and R_{C-x} and R_{C-y} are as defined above,

(V) -$(CR_{C-x}R_{C-y})_{0-4}$-R_{C-aryl}-R_{C-aryl} where R_{C-aryl}, R_{C-x} and R_{C-y} are as defined above,

(VI) -$(CR_{C-x}R_{C-y})_{0-4}$-R_{C-aryl}-$R_{C-heteroaryl}$ where R_{C-aryl} , $R_{C-heteroaryl}$,R_{C-x} and R_{C-y} are as defined above,

(VII) -$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heteroaryl}$-R_{C-aryl} where $R_{C-heteroaryl}$, R_{C-aryl}, R_{C-x} and R_{C-y} are as defined above,

(VIII) -$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heteroaryl}$-$R_{C-heteroaryl}$ where $R_{C-heteroaryl}$, R_{C-x} and R_{C-y} are as defined above,

(IX) -$(CR_{C-x}R_{C-y})_{0-4}$-R_{C-aryl}-$R_{C-heterocycle}$ where R_{C-aryl}, R_{C-x} and R_{C-y} are as defined above, and $R_{C-heterocycle}$ is the same as $R_{N-heterocycle}$,

(X) -$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heteroaryl}$-$R_{C-heterocycle}$ where $R_{C-heteroaryl}$, $R_{C-heterocycle}$, R_{C-x} and R_{C-y} are as defined above,

(XI) -$(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}$-$R_{C\text{-}heterocycle}$-$R_{C\text{-}aryl}$ where $R_{C\text{-}heterocycle}$, $R_{C\text{-}aryl}$, $R_{C\text{-}x}$ and $R_{C\text{-}y}$ are as defined above,

(XII) -$(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}$-$R_{C\text{-}heterocycle}$-$R_{C\text{-}heteroaryl}$ where $R_{C\text{-}heterocycle}$, $R_{C\text{-}heteroaryl}$, $R_{C\text{-}x}$ and $R_{C\text{-}y}$ are as defined above,

(XIII) -$(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}$-$R_{C\text{-}heterocycle}$-$R_{C\text{-}heterocycle}$ where $R_{C\text{-}heterocycle}$, $R_{C\text{-}x}$ and $R_{C\text{-}y}$ are as defined above,

(XIV) -$(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}$-$R_{C\text{-}heterocycle}$ where $R_{C\text{-}heterocycle}$, $R_{C\text{-}x}$ and $R_{C\text{-}y}$ are as defined above,

(XV) -$[C(R_{C\text{-}1})(R_{C\text{-}2})]_{1\text{-}3}$-CO-N-$(R_{C\text{-}3})_2$ where $R_{C\text{-}1}$ and $R_{C\text{-}2}$ are the same or different and are selected from the group consisting of:

(A) -H,

(B) -C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, -O- phenyl, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(C) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, -O- phenyl, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(D) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, -O- phenyl, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(E) -$(CH_2)_{1\text{-}2}$-$S(O)_{0\text{-}2}$-(C_1-C_6 alkyl),

(F) -$(CH_2)_{0\text{-}4}$-C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl,

-Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, -O- phenyl, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(G) -(C_1-C_4 alkyl)-$R_{C'\text{-}aryl}$ where $R_{C'\text{-}aryl}$ is as defined for $R_{1\text{-}aryl}$,

(H) -(C_1-C_4 alkyl)-$R_{C\text{-}heteroaryl}$ where $R_{C\text{-}heteroaryl}$ is as defined above,

(I) -(C_1-C_4 alkyl)-$R_{C\text{-heterocycle}}$ where $R_{C\text{-heterocycle}}$ is as defined above,

(J) -$R_{C\text{-heteroaryl}}$ where $R_{C\text{-heteroaryl}}$ is as defined above,

(K) -$R_{C\text{-heterocycle}}$ where $R_{C\text{-heterocycle}}$ is as defined above,

(M) -$(CH_2)_{1\text{-}4}$-$R_{C\text{-}4}$-$(CH_2)_{0\text{-}4}$-$R_{C'\text{-aryl}}$ where $R_{C\text{-}4}$ is -O-, -S- or -$NR_{C\text{-}5}$- where $R_{C\text{-}5}$ is C_1-C_6 alkyl, and where $R_{C'\text{-aryl}}$ is as defined above,

(N) -$(CH_2)_{1\text{-}4}$-$R_{C\text{-}4}$-$(CH_2)_{0\text{-}4}$-$R_{C\text{-heteroaryl}}$ where $R_{C\text{-}4}$ and $R_{C\text{-heteroaryl}}$ are as defined above, and

(O) -$R_{C'\text{-aryl}}$ where $R_{C'\text{-aryl}}$ is as defined above,

and where $R_{C\text{-}3}$ is the same or different and is:

(A) -H,

(B) -C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O- phenyl, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(C) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O- phenyl, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(D) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O- phenyl, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(E) -$(CH_2)_{0\text{-}4}$-C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl,

-Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O- phenyl, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(F) -$R_{C'\text{-aryl}}$ where $R_{C'\text{-aryl}}$ is as defined above,

(G) -$R_{C\text{-heteroaryl}}$ where $R_{C\text{-heteroaryl}}$ is as defined above,

(H) -$R_{C\text{-heterocycle}}$ where $R_{C\text{-heterocycle}}$ is as defined above,

(I) -(C_1-C_4 alkyl)-$R_{C'\text{-aryl}}$ where $R_{C'\text{-aryl}}$ is as defined above,

(J) -(C_1-C_4 alkyl)-$R_{C\text{-}heteroaryl}$ where $R_{C\text{-}heteroaryl}$ is as defined above, or

(K) -(C_1-C_4 alkyl)-$R_{C\text{-}heterocycle}$ where $R_{C\text{-}heterocycle}$ is as defined above,

(XVI) -$CH(R_{C\text{-}aryl})_2$ where $R_{C\text{-}aryl}$ are the same or different and are as defined above,

(XVII) -$CH(R_{C\text{-}heteroaryl})_2$ where $R_{C\text{-}heteroaryl}$ are the same or different and are as defined above,

(XVIII) -$CH(R_{C\text{-}aryl})(R_{C\text{-}heteroaryl})$ where $R_{C\text{-}aryl}$ and $R_{C\text{-}heteroaryl}$ are as defined above,

(XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to $R_{C\text{-}aryl}$ or $R_{C\text{-}heteroaryl}$ or $R_{C\text{-}heterocycle}$ where $R_{C\text{-}aryl}$ or $R_{C\text{-}heteroaryl}$ or $R_{C\text{-}heterocycle}$ are as defined above where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH, $NR_{N\text{-}5}$, O, or $S(=O)_{0\text{-}2}$, and where cyclopentyl, cyclohexyl, or -cycloheptyl can be optionally substituted with one or two -C_1-C_3 alkyl, -F, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, =O, or -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(XX) C_2-C_{10} alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O- phenyl, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(XXI) C_2-C_{10} alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O- phenyl, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(XXI) -$(CH_2)_{0\text{-}1}$-$CHR_{C\text{-}6}$-$(CH_2)_{0\text{-}1}$-$R_{C\text{-}aryl}$ where $R_{C\text{-}aryl}$ is as defined above and $R_{C\text{-}6}$ is -$(CH_2)_{0\text{-}6}$-OH,

(XXII) -$(CH_2)_{0\text{-}1}$-$CHR_{C\text{-}6}$-$(CH_2)_{0\text{-}1}$-$R_{C\text{-}heteroaryl}$ where $R_{C\text{-}heteroaryl}$ and $R_{C\text{-}6}$ is as defined above,

(XXIII) -CH(-$R_{C\text{-}aryl}$ or $R_{C\text{-}heteroaryl}$)-CO-O(C_1-C_4 alkyl) where $R_{C\text{-}aryl}$ and $R_{C\text{-}heteroaryl}$ are as defined above,

(XXIV) -CH(-CH_2-OH)-CH(-OH)-phenyl-NO_2,

(XXV) (C_1-C_6 alkyl)-O-(C_1-C_6 alkyl)-OH,

(XXVII) $-CH_2-NH-CH_2-CH(-O-CH_2-CH_3)_2$,

(XXVIII) -H, or

(XXIX) $-(CH_2)_{0-6}-C(=NR_{1-a})(NR_{1-a}R_{1-b})$ where R_{1-a} and R_{1-b} are as defined above;

or a pharmaceutically acceptable salt thereof.

2. A substituted amine of formula (X) according to claim 1

where R_1 is:

$-(CH_2)_{0-1}-(R_{1\text{-aryl}})$

$-(CH_2)_{n1}-(R_{1\text{-heteroaryl}})$

where R_N is:

$R_{N-1}-X_N-$ where X_N is selected from the group consisting of:

-CO-, and

$-SO_2-$,

where R_{N-1} is selected from the group consisting of:

$-R_{N\text{-aryl}}$, and

$-R_{N\text{-heteroaryl}}$, or

$-CO-CH(-(CH_2)_{0-2}-O-R_{N-10})-(CH_2)_{0-2}-R_{N\text{-aryl}}/R_{N\text{-heteroaryl}})$;

where R_C is:

$-C_1-C_8$ alkyl,

$-(CH_2)_{0-3}-(C_3-C_7)$ cycloalkyl,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C\text{-aryl}}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C\text{-heteroaryl}}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C\text{-heterocycle}}$, or

-cyclopentyl or -cyclohexyl ring fused to $R_{C\text{-aryl}}$ or $R_{C\text{-heteroaryl}}$ or $R_{C\text{-heterocycle}}$.

3. A substituted amine of formula (X) according to claim 2

where R_1 is:

$-(CH_2)-(R_{1\text{-aryl}})$, or

$-(CH_2)-(R_{1\text{-heteroaryl}})$;

where R_2 is -H;

where R_3 is -H;

where R_N is:

R_{N-1}-X_N- where X_N is:

-CO-,

where R_{N-1} is selected from the group consisting of:

-$R_{N\text{-}aryl}$,

-$R_{N\text{-}heteroaryl}$,

where R_C is:

-$(CH_2)_{0-3}$-$(C_3$-$C_7)$ cycloalkyl,

-$(CR_{C\text{-}x}R_{C\text{-}y})_{0-4}$-$R_{C\text{-}aryl}$,

-$(CR_{C\text{-}x}R_{C\text{-}y})_{0-4}$-$R_{C\text{-}heteroaryl}$,

-$(CR_{C\text{-}x}R_{C\text{-}y})_{0-4}$-$R_{C\text{-}heterocycle}$, or

-cyclopentyl or -cyclohexyl ring fused to a $R_{C\text{-}aryl}$ or $R_{C\text{-}heteroaryl}$ or $R_{C\text{-}heterocycle}$.

4. A substituted amine of formula (X) according to claim 3 where R_C is:

-$(CR_{C\text{-}x}R_{C\text{-}y})_{0-4}$-$R_{C\text{-}aryl}$,

-$(CR_{C\text{-}x}R_{C\text{-}y})_{0-4}$-$R_{C\text{-}heteroaryl}$,

-cyclopentyl or -cyclohexyl ring fused to a $R_{C\text{-}aryl}$ or $R_{C\text{-}heteroaryl}$ or $R_{C\text{-}heterocycle}$.

5. A substituted amine of formula (X) according to claim 1 where R_1 is -(CH_2)-$(R_{1\text{-}aryl})$ where $R_{1\text{-}aryl}$ is phenyl.

6. A substituted amine of formula (X) according to claim 1 where R_1 is -(CH_2)-$(R_{1\text{-}aryl})$ where $R_{1\text{-}aryl}$ is phenyl substituted with two -F.

7. A substituted amine of formula (X) according to claim 6 where the -F substitution is 3,5-difluorobenzyl.

8. A substituted amine of formula (X) according to claim 1 where R_2 is -H.

9. A substituted amine of formula (X) according to claim 1 where R_3 is -H.

10. A substituted amine of formula (X) according to claim 1 where R_N is

R_{N-1}-X_N- where X_N is -CO-, where R_{N-1} is R_{N-aryl} where R_{N-aryl} is phenyl substituted with one -CO-$NR_{N-2}R_{N-3}$ where the substitution on phenyl is 1,3-.

11. A substituted amine of formula (X) according to claim 10 where R_{N-2} and R_{N-3} are the same and are C_3 alkyl.

12. A substituted amine of formula (X) according to claim 1 where R_N is R_{N-1}-X_N- where X_N is-CO-, where R_{N-1} is R_{N-aryl} where R_{N-aryl} is phenyl substituted with one C_1 alkyl and with one -CO-$NR_{N-2}R_{N-3}$ where the substitution on the phenyl is 1,3,5-.

13. A substituted amine of formula (X) according to claim 12 where R_{N-2} and R_{N-3} are the same and are C_3 alkyl.

14. A substituted amine of formula (X) according to claim 1 where R_N is R_{N-1}-X_N- where X_N is -CO-, where R_{N-1} is $R_{N-heteroaryl}$ where $R_{N-heteroaryl}$ is substituted with one -CO-$NR_{N-2}R_{N-3}$.

15. A substituted amine of formula (X) according to claim 14 where R_{N-2} and R_{N-3} are the same and are -C_3 alkyl.

16. A substituted amine of formula (X) according to claim 1 where R_C is:

-$(CR_{C-x}R_{C-y})_{0-4}$-R_{C-aryl} where R_{C-aryl} is phenyl,

-$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heteroaryl}$,

-cyclopentyl or -cyclohexyl ring fused to a R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$.

17. A substituted amine of formula (X) according to claim 16 where R_C is:

-$(CR_{C-x}R_{C-y})_{0-4}$-R_{C-aryl} where R_{C-aryl} is phenyl.

18. A substituted amine of formula (X) according to claim 17 where phenyl is substituted in the 3-position or 3,5-positions.

19. A substituted amine of formula (X) according to claim 16 where R_C is:

-(CH_2)-$R_{C\text{-heteroaryl}}$.

20. A substituted amine of formula (X) according to claim 16 where R_C is:

-(CH_2)-$R_{C\text{-heterocycle}}$.

21. A substituted amine of formula (X) according to claim 16 where R_C is:

-cyclohexyl ring fused to a phenyl ring.

22. A substituted amine of formula (X) according to claim 1 where the pharmaceutically acceptable salt is selected from the group consisting of salts of the following acids acetic, aspartic, benzenesulfonic, benzoic, bicarbonic, bisulfuric, bitartaric, butyric, calcium edetate, camsylic, carbonic, chlorobenzoic, citric, edetic, edisylic, estolic, esyl, esylic, formic, fumaric, gluceptic, gluconic, glutamic, glycollylarsanilic, hexamic, hexylresorcinoic, hydrabamic, hydrobromic, hydrochloric, hydroiodic, hydroxynaphthoic, isethionic, lactic, lactobionic, maleic, malic, malonic, mandelic, methanesulfonic, methylnitric, methylsulfuric, mucic, muconic, napsylic, nitric, oxalic, p-nitromethanesulfonic, pamoic, pantothenic, phosphoric, monohydrogen phosphoric, dihydrogen phosphoric, phthalic, polygalactouronic, propionic, salicylic, stearic, succinic, sulfamic, sulfanilic, sulfonic, sulfuric, tannic, tartaric, teoclic and toluenesulfonic.

23. A substituted amine of formula (X) according to claim 1 which is selected from the group consisting of:

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(ethylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(benzylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(isopropylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(4-toluidino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-methoxyphenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

ethyl {[(3S)-3-({3-[(dipropylamino)carbonyl]benzoyl}amino)-2-hydroxy-4-phenylbutyl]amino}(phenyl)acetate,

N^1-((1S)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-(hydroxymethyl)-2-(4-nitrophenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2-chlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(4-chlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-hydroxyethoxy)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(2,3-dihydro-1H-inden-1-ylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxypropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,2-diethoxyethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(butylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(cyclohexylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-[(2-aminobenzyl)amino]-1-benzyl-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(1-pyrrolidinyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-butoxypropyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-isopropoxypropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-phenylpropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,3-dimethylbutyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-phenylbutyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-benzyl-2-hydroxy-3-[(4-nitrobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-chlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(4-chlorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-pyridinyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-pyridinylmethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(1-methyl-2-pyrrolidinyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,3-dimethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2-chloro-6-phenoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[4-(trifluoromethyl)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,3-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[4-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-({2-[4-(aminosulfonyl)phenyl]ethyl}amino)-1-benzyl-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-methylbenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3,4,5-trimethoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,4-dimethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2-fluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-methylbenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-phenylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-1-phenylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethyl)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-1-(1-naphthyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-(1-naphthyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxy-3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-dihydroxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-methylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-2-hydroxy-1-methylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(2-propynylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(2-fluorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(3-fluorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(4-fluorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(4-bromophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S)-1-benzyl-2-hydroxy-3-{[2-(3-methoxyphenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(2,4-dichlorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(3-chlorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S)-1-benzyl-3-{[2-(2,5-dimethoxyphenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-methylphenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[(1R)-1-benzyl-2-hydroxyethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(4-morpholinyl)propyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(isobutylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-morpholinyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxybutyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-thienyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxybutyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-phenylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,4-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-2-hydroxy-1-phenylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(4-tert-butylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3- dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(1-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3- dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1,1-dimethyl-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(ethylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isobutylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isobutylamino)-2-methyl-3-oxopropyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[4-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1S)-1-benzyl-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]-2-methylpropyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[2-(dimethylamino)ethyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1S)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]-2-methylpropyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]butyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1S)-2-(benzylamino)-1-methyl-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-phenylpropyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1S)-2-(ethylamino)-1-methyl-2-oxoethyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-2-oxo-1-phenylethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(cyclohexylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3R,5S)-3,5-dimethoxycyclohexyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

dimethyl (1R,3S)-5-{[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylate,

(1R,3S)-5-{[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylic acid,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R)-1-phenylpropyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-chlorobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(2-propylpentyl)sulfonyl]benzamide,

N^1-[(1S,2R)-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylpropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-thienylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyrazinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-[(1,3-benzodioxol-5-ylmethyl)amino]-1-benzyl-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-methyl-2-furyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1,2,3,4-tetrahydro-1-naphthalenylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methoxy-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-chloro-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-fluoro-N^3,N^3-dipropylisophthalamide,

N^2-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-2,5-thiophenedicarboxamide,

N^4-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^2,N^2-dipropyl-2,4-pyridinedicarboxamide,

N^4-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^6,N^6dipropyl-4,6- pyrimidinedicarboxamide,

N-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-(4-morpholinylcarbonyl)benzamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^1-[(1S,2R)-3-{[(1R)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(pentylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S)-3-({2-[4-(aminosulfonyl)phenyl]ethyl}amino)-1-benzyl-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

3-benzoyl-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}[1,1'-biphenyl]-3-carboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3-(2-methoxyethyl)-N^3-propylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-ethoxybenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-naphthamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1R)-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,3-difluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-fluoro-4-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,5-difluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-difluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[4-fluoro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-chloro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[4-chloro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(2,3-dihydro-1H-inden-2-ylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-benzyl-2-hydroxy-3-[(3-nitrobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-(difluoromethoxy)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(5-methyl-2-pyrazinyl)methyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-bromo-4-fluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isobutoxybenzyl)amino]propyl} -5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(4-methyl-1,3-thiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3-methyl-N^3-propylisophthalamide,

N^2-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-2,5-furandicarboxamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1,2-diphenylethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide, isomer A,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide, isomer B,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(dimethylamino)benzamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2-methyl-1H-benzimidazole-5-carboxamide,

3-(aminosulfonyl)-N-{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-chlorobenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-cyanobenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-chloro-3-nitrobenzamide,

methyl 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-nitrobenzoate,

tert-butyl 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]phenylcarbamate,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-9,10-dioxo-9,10-dihydro-2-anthrancenylcarboxamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1H-1,2,3-benzotriazole-6-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1H-indole-5-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-fluoro-5-(trifluoromethyl)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(trifluoromethyl)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(butylamino)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(trifluoromethoxy)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,5-dimethoxybenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,5-dimethylbenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,5-difluorobenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,5-dichlorobenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(benzyloxy)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1,3-benzodioxole-5-carboxamide,

3-(acetylamino)-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}benzamide,

4-(acetylamino)-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}benzamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3,5-dimethyl-4-isoxazolyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-phenylpropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-furylmethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-3-furanylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-propoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-hydroxy-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[1-methyl-1-(3-methylphenyl)ethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1S)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[2-chloro-5-(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-5-methylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S,2R)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-ylamino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

5-chloro-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(1-benzofuran-2-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1R)-1-(3-bromophenyl)ethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyl(butyryl)amino]-5-methylbenzamide,

N^1-{1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^1,N^1-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-butyl-1H-indole-6-carboxamide,

N^1-[(1S,2R)-3-anilino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

5-bromo-N^1-[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-methylpentanamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-methylpentanamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-hydroxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano-N^3,N^3-dipropylisophthalamide hydrochloride,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

1- N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide trifluroacetate,

5-(aminosulfonyl)-N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(1-pyrrolidinylsulfonyl)isophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylamino)sulfonyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(dimethylamino)sulfonyl]-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-methyl-3-(methylsulfonyl)propanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(methylsulfonyl)propanamide,

2-amino-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1,3-thiazole-4-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methylsulfonyl)pentanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^4-phenylsuccinamide,

(3R)-N^4-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2,2,3-trimethylbutanediamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-oxo-4-(1-piperidinyl)butanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^4,N^4-dipropylsuccinamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5-phenylpentanediamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,3-dimethyl-4-oxo-4-(1-piperidinyl)butanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(isopentylsulfonyl)butanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2,2-dimethyl-N^4,N^4-dipropylsuccinamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-[(dipropylamino)sulfonyl]butanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-[(methylanilino)sulfonyl]butanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(methylanilino)sulfonyl]propanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}acetamide, N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(isopentylsulfonyl)propanamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide and

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-isobutyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-tert-butyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dimethyl-N^5,N^5-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-amino-1-benzyl-2-hydroxypropyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3-propyl-1,3,5-benzenetricarboxamide,

N-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyryl(propyl)amino]-5-methylbenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-aminobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}octanamide,

N^3-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl}amino)propyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-ylamino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-methylbenzamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1H-isoindol-3-ylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S,5R)-2-isopropyl-5-methylcyclohexyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1,N^1-diallyl-5-chloro-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}isophthalamide,

N^1,N^1-diallyl-5-chloro-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}isophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(4,5-dimethyl-2-furyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(cyclopropylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(cyclopropylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-oxo-3-azepanyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-methyl-2-furyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

5-chloro-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^3,N^3-di(2-propynyl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropenylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(hexylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide,

methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)benzoate,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-isoxazolylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

(1R,2R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

4-(butyrylamino)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}benzamide,

N^1-[(1S,2R)-3-[(3-amino-3-oxopropyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide 1-oxide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-methyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-thiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3R)-2-oxoazepanyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(cyclobutylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(5-hexynylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-methyl-2-furyl)methyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(2-furyl)-1-methylethyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-5-isoxazolyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(2-chlorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(2-oxo-1-pyrrolidinyl)propyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(cyclohexylmethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(cyclopropylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-oxo-3-azepanyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-(butylsulfonyl)benzamide,

N^1-[(1S,2R)-1-benzyl-3-({2-[(2-ethylhexyl)oxy]ethyl}amino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S,2R)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[1-(4-hydroxyphenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(cycloheptylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[([1,1'-biphenyl]-2-ylmethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2-fluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(dimethylamino)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-naphthamide,

N^1-[(1S,2R)-1-benzyl-3-({2-[({5-[(dimethylamino)methyl]-2-furyl}methyl)sulfanyl]ethyl}amino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-({2-[(2-chloro-6-fluorobenzyl)sulfanyl]ethyl}amino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[([1,1'-biphenyl]-4-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1-naphthylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1H-imidazol-5-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-phenyl-1H-imidazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-imidazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(2-butyl-4-chloro-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(6-chloroimidazo[2,1-b][1,3]thiazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-benzimidazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-hydroxy-1-naphthyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(4-oxo-4H-chromen-3-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1,5-dimethyl-3-oxo-2-phenyl-2,3-dihydro-1H-pyrazol-4-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-({[5-cyano-6-(methylsulfanyl)-2-pyridinyl]methyl}amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

[5-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-2-furyl]methyl acetate,

N^1-[(1S,2R)-3-[(1-benzofuran-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1-methyl-1H-pyrrole-2-carboxylate,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({[1-(phenylsulfonyl)-1H-pyrrol-2-yl]methyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-pyrrol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(4-chloro-1-methyl-1H-pyrazol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(5-chloro-3-methyl-1-phenyl-1H-pyrazol-4-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-phenyl-1H-pyrazol-4-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(5-chloro-2-thienyl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-phenoxy-2-thienyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-quinolinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-quinolinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-indol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1-benzyl-1H-indol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-indol-3-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[({1-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl}methyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(2-butyl-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

methyl 3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1H-indole-6-carboxylate,

3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-amino)carbonyl]-5-[butyl(butyryl)amino]benzyl diethyl phosphate,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(cyanomethyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(hydroxymethyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropyl-5-prop-1-ynylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(8-quinolinyl)isophthalamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-methoxy-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]-N^5,N^5-dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]-N^5,N^5-dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(3-thienyl)isophthalamide,

N-{(1R,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-pentanoylbenzamide,

N^1-(4-hydroxybutyl)-N^3-{(1S)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^1-propylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N^3-(3-hydroxypropyl)-5-methyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-(2,4-dimethylphenyl)propyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(4-methylphenyl)propyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1,3-dioxo-2-propyl-5-isoindolinecarboxamide,

N-{(1R,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-bromo-5-methylbenzamide,

3-bromo-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl- N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^1,N^1-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(2-furyl)-5-methylbenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3',5,5'-trimethyl-1,1'-biphenyl-3-carboxamide,

3'-Acetyl-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl[1,1'-biphenyl]-3-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3'-methoxy-5-methyl[1,1'-biphenyl]-3-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl[1,1'-biphenyl]-3-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(2-thienyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl}-3-methyl-5-(3-thienyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino] propyl}-3-methyl-5-(3-thienyl)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-3-(3-thienyl)benzamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3,N^5,N^5-tetrapropylbenzene-1,3,5-tricarboxamide,

N^1-{(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide,

Ethyl 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoate,

N^1-{(1S,2R)-2-Hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

5-Amino-N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(trifluoroacetyl)amino]isophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(thien-2-ylcarbonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methacryloylamino)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(2,2-dimethylpropanoyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide.

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methylthio)pentanamide,

tert-butyl (2R,3S)-3-({3-[(dipropylamino)sulfonyl]- propanoyl}amino)-2-hydroxy-4-phenylbutyl(3-methoxybenzyl)carbamate

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-[propionyl(propyl)amino]benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-butyl-1H-indole-5-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-bromo-5-methylbenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyl(propionyl)amino]-5-methylbenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-1-propyl-1H-indole-6-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-(1-propylbutyl)-1H-indole-6-carboxamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(2-oxo-2,3-dihydro-1,3-benzoxazol-6-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoic acid,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-prop-1-ynylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-(dipropylamino)isonicotinamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-hydroxy-2-(4-methylphenyl)acetamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-N3-methylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-hydroxy-2-(4-methoxy-3-nitrophenyl)acetamide,

5-(aminosulfonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-methoxybenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-3-(pyrrolidin-1-ylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(3,5-dimethylisoxazol-4-yl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(1,3-thiazol-2-yl)isophthalamide,

3-(cyclohexylcarbonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3-propylisophthalamide,

3-[cyclohexyl(hydroxy)methyl]-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-(4-methyl-1,3-oxazol-2-yl)-N^3,N^3-dipropylisophthalamide

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-1,2,4-oxadiazol -5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropy l}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-hydroxybut-1-ynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

1-{3-[({(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropy l}amino)carbonyl]-5-methylbenzoyl}-L-prolinamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-isopropyl-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-ethyl-N^3,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,5-dimethyl-N^3-prop-2-ynylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-isobutyl-5-methylisophthalamide,

N^1-(sec-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,N^3-diethyl-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,5-dimethyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-isopropyl-N^3,5-dimethylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^1,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-isobutyl-N^3,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-ethyl-5-methyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-ethyl-N^3-isopropyl-5-methylisophthalamide,

N^1,N^1-diallyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

3-(azepan-1-ylcarbonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)am ino]-2-hydroxypropyl}-5-methylbenzamide

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(4-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(3-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,N^3-diisopropyl-5-methylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^1-ethyl-5-methylisophthalamide,

N^1-(cyclopropylmethyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^1-propylisophthalamide,

1-{3-[({(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropy l}amino)carbonyl]-5-methylbenzoyl}-D-prolinamide,

N^1-cyclohexyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]- 2-hydroxypropyl}-N^1,5-dimethylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[1-(3-methylphenyl)cycloprop yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1,2,3,4-tetrahydronaphthalen-1-ylamino)propyl]-N^5,N^5-diisopropylpyridine-3,5-dicarboxamide, and

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(trifluoromethyl)sulfonyl]amino}benzamide.

24. A substituted amine of formula (X) according to claim 23 which is selected from the group consisting of:

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-hydroxyethoxy)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-[(2-aminobenzyl)amino]-1-benzyl-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1,1-dimethyl-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isobutylamino)-2-methyl-3-oxopropyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1S)-1-benzyl-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]-2-methylpropyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1S)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]butyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(cyclohexylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

(1R,3S)-5-{[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylic acid,

N^1-[(1S,2R)-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylpropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-thienylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyrazinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methoxy-N^3,N^3-dipropylisophthalamide

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-chloro-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-fluoro-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-N3,N3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}[1,1'-biphenyl]-3-carboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3-(2-methoxyethyl)-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1R)-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[4-fluoro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[4-chloro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-benzyl-2-hydroxy-3-[(3-nitrobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-(difluoromethoxy)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-bromo-4-fluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(4-methyl-1,3-thiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3-methyl-N^3-propylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide, isomer B,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-furylmethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-3-furanylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-propoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-hydroxy-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[1-methyl-1-(3-methylphenyl)ethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1S)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[2-chloro-5-(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-5-methylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

5-chloro-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1R)-1-(3-bromophenyl)ethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-hydroxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano-N^3,N^3-dipropylisophthalamide hydrochloride,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

5-(aminosulfonyl)-N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(1-pyrrolidinylsulfonyl)isophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylamino)sulfonyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(dimethylamino)sulfonyl]-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-tert-butyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-aminobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl}amino)propyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-ylamino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

5-chloro-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^3,N^3-bis(2-methoxyethyl)isophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(4,5-dimethyl-2-furyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropenylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(hexylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide,

methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)benzoate,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-isoxazolylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

(1R,2R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide 1-oxide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-methyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-thiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(5-hexynylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-methyl-2-furyl)methyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(2-furyl)-1-methylethyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-5-isoxazolyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-[(1S,2R)-3-[([1,1'-biphenyl]-4-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1H-imidazol-5-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-phenyl-1H-imidazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(2-butyl-4-chloro-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-({[5-cyano-6-(methylsulfanyl)-2-pyridinyl]methyl}amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

[5-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-2-furyl]methyl acetate,

N^1-[(1S,2R)-3-[(1-benzofuran-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1-methyl-1H-pyrrole-2-carboxylate,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-pyrrol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(5-chloro-2-thienyl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-indol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1-benzyl-1H-indol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-indol-3-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(2-butyl-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

methyl 3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1H-indole-6-carboxylate,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(cyanomethyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(hydroxymethyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropyl-5-prop-1-ynylisophthalamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-methoxy-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide hydrochloride,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]-N^5,N^5-dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]-N^5,N^5-dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

N-{(1R,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-pentanoylbenzamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N^3-(3-hydroxypropyl)-5-methyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3,N^5,N^5-tetrapropylbenzene-1,3,5-tricarboxamide,

N^1-{(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide,

ethyl 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoate,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

5-amino-N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(thien-2-ylcarbonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methacryloylamino)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methylthio)pentanamide,

3-amino-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-methylbutanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-ethylhexanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(isobutylsulfonyl)amino]propanamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3-(isobutylsulfonyl)-beta-alaninamide,

5-bromo-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide, and

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(2-oxo-2,3-dihydro-1,3-benzoxazol-6-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoic acid,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-prop-1-ynylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-3-(pyrrolidin-1-ylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(1,3-thiazol-2-yl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3-propylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-1,2,4-oxadiazol -5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropy l}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-hydroxybut-1-ynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

1-{3-[({(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropy l}amino)carbonyl]-5-methylbenzoyl}-L-prolinamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-isopropyl-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-ethyl-N^3,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,5-dimethyl-N^3-prop-2-ynylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-isobutyl-5-methylisophthalamide,

N^1-(sec-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,N^3-diethyl-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,5-dimethyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-isopropyl-N^3,5-dimethylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^1,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-isobutyl-N^3,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-ethyl-5-methyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-ethyl-N^3-isopropyl-5-methylisophthalamide,

N^1,N^1-diallyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

3-(azepan-1-ylcarbonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylbenzamide

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(4-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(3-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,N^3-diisopropyl-5-methylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^1-ethyl-5-methylisophthalamide,

N^1-(cyclopropylmethyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^1-propylisophthalamide,

N^1-cyclohexyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]- 2-hydroxypropyl}-N^1,5-dimethylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[1-(3-methylphenyl)cycloprop yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide, and

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(trifluoromethyl)sulfonyl]amino}benzamide.

25. A substituted amine of formula (X) according to claim 1 which is selected from the group consisting of:

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(2-ethylpentanoyl)-5-methylbenzamide,

N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-benzyl-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(2-ethylbutanoyl)-5-methylbenzamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-(2-propylpentanoyl)isophthalamide,

N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(2-ethylpentanoyl)-5-methylbenzamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-(2-propylpentanoyl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(2-propylpentanoyl)isophthalamide,

N-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(4-hydroxybenzyl)propyl]-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-pyridinyl)benzyl]amino}propyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-pyridinyl)benzyl]amino}propyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-5-(1-propynyl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3-dipropyl-5-(1-propynyl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3-dipropyl-5-(2-propynyl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-5-(2-propynyl)isophthalamide,

N^1-{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(3-thienylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-butynyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(2-thienylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-(benzylamino)-1-[4-(benzyloxy)benzyl]-2-hydroxypropyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(cyclohexylmethyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(1-naphthylmethyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

2,3,5-trideoxy-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-5-[(3-methoxybenzyl)amino]-1-S-phenyl-1-thio-D-erythro-pentitol,

N^1-[(1S,2R)-3-(benzylamino)-1-(3-furylmethyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-methylbutyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(4-fluorobenzyl)-2-hydroxypropyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(2-furylmethyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-methylbutyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(4-hydroxybenzyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-butynyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-butynyl)-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

5-(benzylamino)-2,3,5-trideoxy-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-1-S-phenyl-1-thio-D-erythro-pentitol,

N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(4-hydroxybenzyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-methylbutyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3-furylmethyl)-2-hydroxypropyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-methylbutyl)- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(4-fluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(2-furylmethyl)-2-hydroxypropyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(1-naphthylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(2-thienylmethyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-3-(benzylamino)-1-[4-(benzyloxy)benzyl]-2-hydroxypropyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(3-thienylmethyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-butynyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-methylbutyl)- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-[3-(hydroxymethyl)benzyl]-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-[3-(hydroxymethyl)benzyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-[3-(hydroxymethyl)benzyl]-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-[4-(hydroxymethyl)benzyl]-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-[4-(hydroxymethyl)benzyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-[4-(hydroxymethyl)benzyl]-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-ethylbenzyl)amino]-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-[3-(benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-[3-(benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]propanamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide,

N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}propanamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide,

N^1-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide,

N^1-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]propanamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]propanamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- N^5,N^5-dipropylpentanediamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-{[(2R)-1-ethylpyrrolidinyl]carbonyl}-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-{[(2S)-1-ethylpyrrolidinyl]carbonyl}-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(1-ethyl-1H-imidazol-2-yl)carbonyl]-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(1-ethyl-4-methyl-1H-imidazol-5-yl)carbonyl]-5-methylbenzamide,

N^1-((1S,2S)-1-(3,5-difluorobenzyl)-2-hydroxy-2-{1-[(3-methoxybenzyl)amino]cyclopropyl}ethyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S,2S)-1-(3,5-difluorobenzyl)-2-{1-[(3-ethylbenzyl)amino]cyclopropyl}-2-hydroxyethyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

(1R,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^2,N^2-dipropyl-1,2,3-cyclopropanetricarboxamide,

(1R,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-phenyl- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-

methoxybenzyl)amino]propyl}-3-methyl- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-phenyl- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^2,N^2-dipropyl-1,2,3-cyclopropanetricarboxamide,

(1R,2R,3S)-3-(2-amino-2-oxoethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3R)-3-(2-amino-2-oxoethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3S)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-methylcyclopropanecarboxamide,

(1R,2R,3R)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-methylcyclopropanecarboxamide,

(1S,2R,3R)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-phenylcyclopropanecarboxamide,

(1S,2R,3S)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-phenylcyclopropanecarboxamide,

(1S,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[2-(dipropylamino)-2-oxoethyl]-1,2-cyclopropanedicarboxamide,

(1S,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[2-(dipropylamino)-2-oxoethyl]-1,2-cyclopropanedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-{methyl[(trifluoromethyl)sulfonyl]amino}- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-{methyl[(trifluoromethyl)sulfonyl]amino}- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-5-{propyl[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylsulfonyl)amino]- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-3-[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-thiazol-5-yl)methyl]amino}-2-hydroxypropyl)-3-[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}propyl)-3-[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-5-isoxazolyl)methyl]amino}propyl)-3-[(dipropylamino)sulfonyl]propanamide,

N-[(1S,2R)-3-[(3-cyclopropylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-[(dipropylamino)sulfonyl]propanamide,

N^1-[(1S,2R)-3-[(3-cyclopropylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1,3-thiazol-2-yl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1,3-oxazol-2-yl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-(aminosulfonyl)- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-(methylsulfonyl)- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[3-(diethylamino)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-morpholinyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-piperazinyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[3-(aminosulfonyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({3-[(dimethylamino)sulfonyl]benzyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-piperidinylsulfonyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(methylsulfonyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isopropylsulfonyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[3-(aminocarbonyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({3-[(dimethylamino)carbonyl]benzyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-cyanobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)phenylcarbamate,

3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)phenyl dimethylcarbamate,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-propynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-methyl-1-butynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(2-propynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(5-isobutyl-1,3,4-oxadiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(5-ethyl-1,3,4-oxadiazol-2-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(5-ethyl-1,3,4-thiadiazol-2-yl) methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(5-isobutyl-1,3,4-thiadiazol-2-yl) methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(3-ethyl-1,2,4-thiadiazol-5-yl) methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-isobutyl-1,2,4-thiadiazol-5-yl) methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-isobutyl-1,2,4-oxadiazol-5-yl) methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(3-ethyl-1,2,4-oxadiazol-5-yl) methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-oxazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-oxazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-isobutyl-1,3,4-oxadiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-isobutyl-1,3,4-thiadiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(5-ethyl-1,3,4-thiadiazol-2-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(5-ethyl-1,3,4-oxadiazol-2-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3-ethyl-1,2,4-oxadiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3-ethyl-1,2,4-thiadiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-1,2,4-thiadiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-1,2,4-oxadiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-2H-tetraazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-2H-tetraazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-4-pyrimidinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isopropyl-4-pyrimidinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethynyl-4-pyrimidinyl)methyl]amino}-2-hydroxypropyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(6-isopropyl-4-pyrimidinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[6-(dimethylamino)-4-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[2-(dimethylamino)-4-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[4-(dimethylamino)-2-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(4-isopropyl-2-pyrimidinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(4-ethyl-2-pyrimidinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(5-ethyl-3-pyridazinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-isopropyl-3-pyridazinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-propynyl)benzyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(6-isopropyl-4-pyridazinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}- N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(6-ethyl-4-pyridazinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(6-ethyl-2-pyrazinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(6-isopropyl-2-pyrazinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3,4,5-trifluorobenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-2-hydroxy-1-(3,4,5-trifluorobenzyl)-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-2-hydroxy-1-(2,3,5,6-tetrafluorobenzyl)-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2,3,5,6-tetrafluorobenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-6-methoxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-6-methoxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1R,2S)-6-ethyl-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1R,2S)-6-ethyl-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}-2-hydroxypropyl)-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(1H-indol-5-ylmethyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(1H-indol-5-ylmethyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-methylbenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-methylbenzyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethyl)benzyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethyl)benzyl]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-pyridinylmethyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-pyridinylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-[3-fluoro-5-(trifluoromethyl)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-[3-fluoro-5-(trifluoromethyl)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethoxy)benzyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethoxy)benzyl]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(3-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(3-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(4-methylbenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(4-methylbenzyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(4-fluoro-3-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(4-fluoro-3-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(4-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(4-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(3-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(3-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-chloro-5-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-chloro-5-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(4-chloro-3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(4-chloro-3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3,5-dichlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-dichlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-[4-(dimethylamino)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-[4-(dimethylamino)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-isopropylbenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-isopropylbenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(6-methoxy-2-pyridinyl)methyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(6-methoxy-2-pyridinyl)methyl]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(5-methyl-2-pyridinyl)methyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(5-methyl-2-pyridinyl)methyl]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-fluoro-4-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluoro-4-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-fluoro-4-methoxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluoro-4-methoxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-methoxy-5-methylbenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-methoxy-5-methylbenzyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1,3-thiazol-2-ylmethyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1,3-thiazol-2-ylmethyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-[(5-chloro-2-thienyl)methyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-[(5-chloro-2-thienyl)methyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(propylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)cyclopropyl]amino}-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)-1-methylethyl]amino}-2-hydroxypropyl)-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)-1-methylethyl]amino}-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)-1-methylethyl]amino}-2-hydroxypropyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)cyclopropyl]amino}-2-hydroxypropyl)-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-piperidinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-piperidinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(4-morpholinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-[(ethylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(ethylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(4-morpholinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(propylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(methylsulfonyl)amino]-1,3-thiazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-piperazinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-[(methylsulfonyl)amino]-1,3-thiazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-piperazinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N^4-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4,5-dicarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3-methylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-N^3-methylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-methyl-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-methyl-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3-ethyl-4-hydroxyisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(methylsulfonyl)amino]-3-isoxazolecarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-ethyl-4-hydroxyisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-3-isoxazolecarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(methylsulfonyl)amino]-5-isoxazolecarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3-ethyl-4-hydroxyisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(methylsulfonyl)amino]-5-isoxazolecarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-(hydroxymethyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^3-(cyclopropylmethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxyisophthalamide,

5-cyclopropyl-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-isopropyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^3-(cyclopropylmethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxyisophthalamide,

N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-[(1S,2R)-3-(cyclopropylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(4-hydroxybenzyl)propyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3-isobutylisophthalamide,

2-{[(cyclopropylmethyl)sulfonyl]amino}-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy- N^3-isobutyl-N^3-methylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^3-(cyclopropylmethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3-methylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3-methyl-N^3-propylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-N^3-methyl-N^3-propylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(phenylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N3-ethyl-4-hydroxy-N^3-propylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-{[(4-methylphenyl)sulfonyl]amino}-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N3-ethyl-4-hydroxy-N^3-propylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-{[(4-methylphenyl)sulfonyl]amino}-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(phenylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3, N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[methyl(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-N^3, N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[methyl(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-N^3, N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(ethylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-[(propylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(isopropylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(isobutylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(2-furylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-[(1,3-thiazol-5-ylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(1,3-oxazol-5-ylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(1,3-oxazol-4-ylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-[(1,3-thiazol-4-ylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-{[(1-methyl-1H-imidazol-4-yl)sulfonyl]amino}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(phenylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

5-{[(5-cyanopyridin-2-yl)sulfonyl]amino}-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-({[5-(trifluoromethyl)pyridin-2-yl]sulfonyl}amino)isophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(1-methyl-1H-imidazol-4-yl)sulfonyl]amino}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-({[5-(trifluoromethyl)pyridin-2-yl]sulfonyl}amino)benzamide,

3-{[(5-cyanopyridin-2-yl)sulfonyl]amino}-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(phenylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(methylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(ethylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(propylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isobutylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isopropylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(1-ethylpropyl)sulfonyl]amino}benzamide,

3-[(cyclohexylsulfonyl)amino]-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(1-propylbutyl)sulfonyl]amino}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(thien-2-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(2-furylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isoxazol-5-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isoxazol-3-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(3-furylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(thien-3-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1,3-thiazol-4-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1,3-thiazol-5-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1,3-thiazol-2-ylsulfonyl)amino]benzamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-(tert-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}isophthalamide,

N^1-(tert-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

5-bromo-N^1-(tert-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}isophthalamide,

3-tert-butoxy-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide,

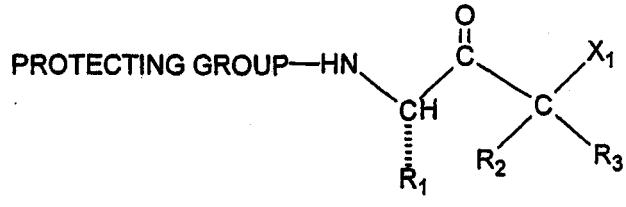
3-tert-butoxy-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(trifluoromethyl)sulfonyl]amino}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(trifluoromethoxy)benzamide, and

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(trifluoromethoxy)benzamide.

26. A protected compound of the formula (III)



(III)

where R_1, R_2 and R_3 are as defined in claim 1;

where X_1 is -Cl, -Br, -I, -O-tosylate, -O-mesylate, or -O-nosylate;

where PROTECTING GROUP is selected from the group consisting of *t*-butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl, dichloroacetyl, chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-

phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl, 4-fluorobenzyloxycarbonyl, 4-chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl, 2-chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-nitrobenzyloxycarbonyl, 4-cyanobenzyloxycarbonyl, 2-(4-xenyl)isopropoxycarbonyl, 1,1-diphenyleth-1-yloxycarbonyl, 1,1-diphenylprop-1-yloxycarbonyl, 2-phenylprop-2-yloxycarbonyl, 2-(*p*-toluyl)prop-2-yloxycarbonyl, cyclopentanyloxycarbonyl, 1-methylcyclopentanyloxycarbonyl, cyclohexanyloxycarbonyl, 1-methylcyclohexanyloxycabonyl, 2-methylcyclohexanyloxycarbonyl, 2-(4-toluylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-(triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-(trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1-enyloxycarbonyl, 5-benzisoxalylmethoxycarbonyl, 4-acetoxybenzyloxycarbonyl, 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl, cyclopropylmethoxycarbonyl, 4-(decyloxyl)benzyloxycarbonyl, isobornyloxycarbonyl and 1-piperidyloxycarbonyl, 9-fluorenylmethyl carbonate, -CH-CH=CH_2 and phenyl-C(=N-)-H.

27. A protected compound of formula (III) according to claim 26 where R_1 is:

$-CH_2-(R_{1\text{-aryl}})$, or

$-CH_2-(R_{1\text{-heteroaryl}})$.

28. A protected compound of formula (III) according to claim 27 where $R_{1\text{-aryl}}$ is phenyl.

29. A protected compound of formula (III) according to claim 28 where phenyl is substituted with one, two or three -F, -Cl, -Br or -I.

30. A protected compound of formula (III) according to claim 29 where phenyl is substituted with one or two -F.

31. A protected compound of formula (III) according to claim 30 where phenyl is substituted with two -F in the 3- and 5- positions giving 3,5-difluorophenyl.

32. A protected compound of formula (III) according to claim 26 where R_2 and R_3 are both -H.

33. A protected compound of formula (III) according to claim 26 where PROTECTING GROUP is *t*-butoxycarbonyl.

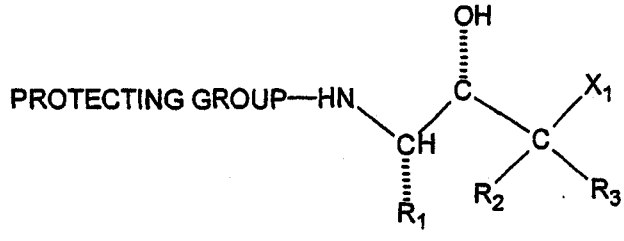
34. A protected compound of formula (III) according to claim 26 where PROTECTING GROUP is benzyloxycarbonyl.

35. A protected compound of formula (III) according to claim 26 where X_1 is -Cl or -Br.

36. A protected compound of formula (III) according to claim 26 which is selected from the group consisting of:

tert-butyl (1S)-3-bromo-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate,
tert-butyl (1S)-3-chloro-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate,
benzyl (1S)-3-bromo-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate and
benzyl (1S)-3-chloro-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate.

37. An alcohol of the formula (IV)



(IV)

where R_1, R_2 and R_3 are as defined in claim 1;
where X_1 and PROTECTING GROUP are as defined in claim 26.

38. An alcohol of formula (IV) according to claim 37 where R_1 is:

$-CH_2-(R_{1\text{-aryl}})$, or

$-CH_2-(R_{1\text{-heteroaryl}})$.

39. An alcohol of formula (IV) according to claim 38 where $R_{1\text{-aryl}}$ is phenyl.

40. An alcohol of formula (IV) according to claim 39 where phenyl is substituted with one, two or three -F, -Cl, -Br or -I.

41. An alcohol of formula (IV) according to claim 40 where phenyl is substituted with one or two -F.

42. An alcohol of formula (IV) according to claim 41 where phenyl is substituted with two -F in the 3- and 5- positions giving 3,5-difluorophenyl.

43. An alcohol of formula (IV) according to claim 37 where R_2 and R_3 are both -H.

44. An alcohol of formula (IV) according to claim 37 where PROTECTING GROUP is *t*-butoxycarbonyl.

45. An alcohol of formula (IV) according to claim 37 where PROTECTING GROUP is benzyloxycarbonyl.

46. An alcohol of formula (IV) according to claim 37 where X_1 is -Cl or -Br.

47. An alcohol of formula (IV) according to claim 37 which is selected from the group consisting of:

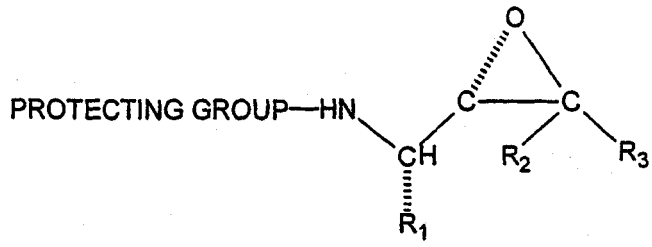
tert-butyl (1S, 2S)-3-bromo-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate,

tert-butyl (1S, 2S)-3-chloro-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate,

benzyl (1S, 2S)-3-bromo-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate and

benzyl (1S, 2S)-3-chloro-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate.

48. An epoxide of the formula (V)



(V)

where R_2 and R_3 are as defined in claim 1;

where PROTECTING GROUP is as defined in claim 26 and

where R_1 is:

$-CH_2$-phenyl where -phenyl is substituted with two -F,

$-(CH_2)_{n1}-R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined in claim 1 or

$-(CH_2)_{n1}-R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined in claim 1.

49. An epoxide of formula (V) according to claim 48 where R_1 is:

$-(CH_2)_{n1}-(R_{1\text{-heteroaryl}})$.

50. An epoxide of formula (V) according to claim 48 where n_1 is 1.

51. An epoxide of formula (V) according to claim 48 where R_1 is:

$-(CH_2)_{n1}-(R_{1\text{-heterocycle}})$.

52. An epoxide of formula (V) according to claim 51 where n_1 is 1.

53. An epoxide of formula (V) according to claim 48 where phenyl is substituted in the 3- and 5- positions giving 3,5-difluorophenyl.

54. An epoxide of formula (V) according to claim 48 where R_2 and R_3 are both -H.

55. An epoxide of formula (V) according to claim 48 where PROTECTING GROUP is *t*-butoxycarbonyl.

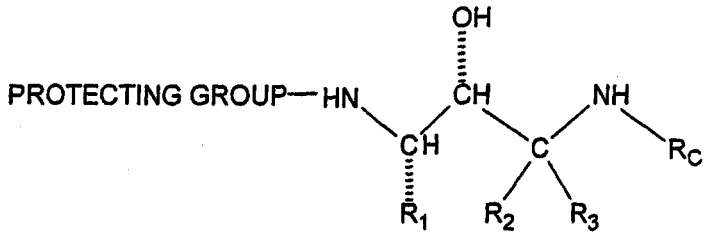
56. An epoxide of formula (V) according to claim 48 where PROTECTING GROUP is benzyloxycarbonyl.

57. An epoxide of formula (V) according to claim 48 which is selected from the group consisting of:

tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate, and

benzyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate.

58. A protected alcohol of the formula (VII)



(VII)

where R_2, R_3 and R_C are as defined in claim 1,

where PROTECTING GROUP is as defined in claim 26 and

where R_1 is:

$-CH_2$-phenyl where -phenyl is substituted with two -F,

$-(CH_2)_{n1}-R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined in claim 1 and

$-(CH_2)_{n1}-R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined in claim 1,

chemically acceptable salts thereof.

59. A protected alcohol of formula (VII) according to claim 58 where R_1 is:

$-(CH_2)_{n1}-(R_{1\text{-heteroaryl}})$.

60. A protected alcohol of formula (VII) according to claim 59 where n_1 is 1.

61. A protected alcohol of formula (VII) according to claim 58 where R_1 is:

$-(CH_2)_{n1}-(R_{1\text{-heterocycle}})$.

62. A protected alcohol of formula (VII) according to claim 61 where n_1 is 1.

63. A protected alcohol of formula (VII) according to claim 58 where phenyl is substituted in the 3- and 5- positions giving 3,5-difluorophenyl.

64. A protected alcohol of formula (VII) according to claim 58 where R_2 and R_3 are both -H.

65. A protected alcohol of formula (VII) according to claim 58 where PROTECTING GROUP is *t*-butoxycarbonyl.

66. A protected alcohol of formula (VII) according to claim 58 where PROTECTING GROUP is benzyloxycarbonyl.

67. A protected alcohol of formula (VII) according to claim 58 where R_C is:

-H,

$-C_1$-C_8 alkyl,

$-(CH_2)_{0-3}$-(C_3-C_7) cycloalkyl,

$-(CR_{C-x}R_{C-y})_{0-4}$-R_{C-aryl},

$-(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heteroaryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heterocycle}$, or

-cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$ where R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$ are as defined in claim 1.

68. A protected alcohol of formula (VII) according to claim 67 where R_C is:

$-C_1$-C_8 alkyl,

$-(CH_2)_{0-3}$-(C_3-C_7) cycloalkyl,

$-(CR_{C-x}R_{C-y})_{0-4}$-R_{C-aryl},

$-(CR_{C-x}R_{C-y})_{0}$-4-$RC_{-heteroaryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heterocycle}$, or

- cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$ where R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$ are as defined in claim 1.

69. A protected alcohol of formula (VII) according to claim 68 where R_C is:

$-C_1$-C_8 alkyl,

$-(CR_{C-x}R_{C-y})_{0-4}$-R_{C-aryl},

$-(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heteroaryl}$,

- cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to $R_{C\text{-}aryl}$ or $R_{C\text{-}heteroaryl}$ or $R_{C\text{-}heterocycle}$ where $R_{C\text{-}aryl}$ or $R_{C\text{-}heteroaryl}$ or $R_{C\text{-}heterocycle}$ are as defined in claim 1.

70. A protected alcohol of formula (VII) according to claim 58 which is selected from the group consisting of:

tert-butyl (1S, 2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-(ethylamino)-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-(benzylamino)-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-(tert-butylamino)-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-methylbenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-methoxyphenyl)ethyl]amino}propylcarbamate

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate,

ethyl ({(2R,3S)-3-[(tert-butoxycarbonyl)amino]-2-hydroxy-4-phenylbutyl}amino)(phenyl)acetate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenylethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-(hydroxymethyl)-2-phenylethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(2-chlorobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(4-chlorobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-hydroxyethoxy)ethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-(2,3-dihydro-1H-inden-1-ylamino)-2-hydroxypropylcarbamate

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxypropyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(2,2-diethoxyethyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-(pentylamino)propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-(cyclohexylamino)-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-pyridinylmethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-3-[(2-aminobenzyl)amino]-1-benzyl-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-pyridinylmethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(1-pyrrolidinyl)ethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3-butoxypropyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-isopropoxypropyl)amino]propylcarbamate

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-phenylpropyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-methoxyethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenoxyethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-propoxyethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3,3-dimethylbutyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-phenylbutyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-nitrobenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3-chlorobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(4-chlorobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-pyridinyl)ethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-pyridinylmethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(1-methyl-2-pyrrolidinyl)ethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(2,3-dimethylbenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethoxy)benzyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(2-chloro-6-phenoxybenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[4-(trifluoromethyl)benzyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(2,3-dichlorobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[4-(trifluoromethoxy)benzyl]amino}propylcarbamate,

tert-butyl (1S,2R)-3-{[4-(aminosulfonyl)benzyl]amino}-1-benzyl-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-methoxybenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-methylbenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3,4,5-trimethoxybenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(2,4-dimethoxybenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3,4-dichlorobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(4-fluorobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-methylbenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-phenylethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-1-phenylethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethyl)benzyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-1-(1-naphthyl)ethyl]amino}propyl carbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-(1-naphthyl)ethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxy-3-methoxybenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3,4-dihydroxybenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-2-hydroxy-1-methylethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-methylethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-(2-propynylamino)propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-{[2-(2-fluorophenyl)ethyl]amino}-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-{[2-(3-fluorophenyl)ethyl]amino}-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-{[2-(4-fluorophenyl)ethyl]amino}-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-{[2-(4-bromophenyl)ethyl]amino}-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(3-methoxyphenyl)ethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-{[2-(2,4-dichlorophenyl)ethyl]amino}-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-{[2-(3-chlorophenyl)ethyl]amino}-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-{[2-(2,6-dimethoxyphenyl)ethyl]amino}-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-methylphenyl)ethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-{[(1R)-1-benzyl-2-hydroxyethyl]amino}-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[3-(4-morpholinyl)propyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3,3-dimethylbutyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-morpholinyl)ethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(1-hydroxypropyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-thienylmethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxybutyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-phenylethyl]amino} propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(2,4-dichlorobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-2-hydroxy-1-phenylethyl]amino} propylcarbamate

tert-butyl (1S,2R)-1-benzyl-3-[(3-tert-butylbenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(1-phenylethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropylcarbamate,

methyl 7-{[(2R,3S)-3-[(tert-butoxycarbonyl)amino]-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}heptanoate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1,1-dimethyl-2-oxoethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-2-oxoethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]propyl}amino)propylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]propyl}amino)propylcarbamate,

tert-butyl (1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-(ethylamino)-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isobutylamino)propylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isobutylamino)-2-methyl-3-oxopropyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-{[4-(dimethylamino)benzyl]amino}-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-3-{[(1S)-1-benzyl-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]-3-methylbutyl}amino)propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-{[2-(dimethylamino)ethyl]amino}-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-pyridinylmethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-3-{[(1S)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]-3-methylbutyl}amino)propylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]butyl}amino)propylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenylethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-3-{[2-(benzylamino)-1-methyl-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-{[(1S)-2-(benzylamino)-1-methyl-2-oxoethyl]amino}-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1S)-2-(ethylamino)-1-methyl-2-oxoethyl]amino}-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-(isobutylamino)-2-oxo-1-phenylethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-(cyclohexylamino)-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-(butylamino)-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-{[(3R,5S)-3,5-dimethoxycyclohexyl]amino}-2-hydroxypropylcarbamate,

dimethyl (1R,3S)-5-({(2R,3S)-3-[(tert-butoxycarbonyl)amino]-2-hydroxy-4-phenylbutyl}amino)-1,3-cyclohexanedicarboxylate,

(1R,3S)-5-({(2R,3S)-3-[(tert-butoxycarbonyl)amino]-2-hydroxy-4-phenylbutyl}amino)-1,3-cyclohexanedicarboxylic acid,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-phenylpropyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3-chlorobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methylbenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenylpropyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-thienylmethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-pyrazinylmethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-3-[(1,3-benzodioxol-5-ylmethyl)amino]-1-benzyl-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(2-furylmethyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3-fluorobenzyl)amino]-2-hydroxypropylcarbamate,

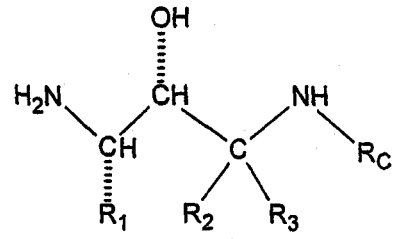
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3-bromobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(5-methyl-2-furyl)methyl]amino}propylcarbamate, and

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propylcarbamate.

71. An amine of the formula (VIII)



(VIII)

where R_2, R_3 and R_C are as defined in claim 1, and

where R_1 is:

$-CH_2$-phenyl where -phenyl is substituted with two -F,

$-(CH_2)_{n1}-R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined in claim 1 or

$-(CH_2)_{n1}-R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined in claim 1, and

chemically acceptable salts thereof.

72. An amine of formula (VIII) according to claim 71 where R_1 is:

$-(CH_2)_{n1}-(R_{1\text{-heteroaryl}})$.

73. An amine of formula (VIII) according to claim 72 where n_1 is 1.

74. An amine of formula (VIII) according to claim 71 where R_1 is:

$-(CH_2)_{n1}-(R_{1\text{-heterocycle}})$.

75. An amine of formula (VIII) according to claim 74 where n_1 is 1.

76. An amine of formula (VIII) according to claim 71 where phenyl is substituted in the 3- and 5- positions giving 3,5-difluorophenyl.

77. An amine of formula (VIII) according to claim 71 where R_2 and R_3 are both -H.

78. An amine of formula (VIII) according to claim 71 where R_C is:

-H,

$-C_1$-C_8 alkyl,

$-(CH_2)_{0\text{-}3}-(C_3\text{-}C_7)$ cycloalkyl,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}$, or

-cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$ where R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$ are as defined in claim 1.

79. An amine of formula (VIII) according to claim 78 where R_C is:

$-C_1-C_8$ alkyl,

$-(CH_2)_{0-3}-(C_3-C_7)$ cycloalkyl,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}$, or

- cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$ where R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$ are as defined in claim 1.

80. An amine of formula (VIII) according to claim 79 where R_C is:

$-C_1-C_8$ alkyl,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$, or

- cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$ where R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$ are as defined above.

81. An amine of formula (VIII) according to claim 71 which is selected from the group consisting of:

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol,

(2R,3S)-3-amino-1-(ethylamino)-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-(benzylamino)-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-(isopropylamino)-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(4-methylbenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[2-(4-methoxyphenyl)ethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol,

ethyl {[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino}(phenyl)acetate,

(2R,3S)-3-amino-4-phenyl-1-[(2-phenylethyl)amino]-2-butanol,

(2S)-2-{[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino}-1-(4-nitrophenyl)-1,3-propanediol,

(2R,3S)-3-amino-1-[(2-chlorobenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(4-chlorobenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[2-(2-hydroxyethoxy)ethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-(2,3-dihydro-1H-inden-1-ylamino)-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(2-hydroxypropyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-[(tetrahydro-2-furanylmethyl)amino]-2-butanol,

(2R,3S)-3-amino-1-[(2,2-diethoxyethyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-(butylamino)-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-(cyclohexylamino)-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-[(2-pyridinylmethyl)amino]-2-butanol,

(2R,3S)-3-amino-1-[(2-aminobenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-[(3-pyridinylmethyl)amino]-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-{[2-(1-pyrrolidinyl)ethyl]amino}-2-butanol,

(2R,3S)-3-amino-1-[(2-hydroxy-2-phenylethyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(3-butoxypropyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(3-isopropoxypropyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-(isopentylamino)-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-[(3-phenylpropyl)amino]-2-butanol,

(2R,3S)-3-amino-1-[(2-methoxyethyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(2-phenoxyethyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-[(2-propoxyethyl)amino]-2-butanol,

(2R,3S)-3-amino-1-[(3,3-dimethylbutyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-[(4-phenylbutyl)amino]-2-butanol,

(2R,3S)-3-amino-1-[(3-iodobenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(4-nitrobenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(3-chlorobenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[2-(4-chlorophenyl)ethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-{[2-(2-pyridinyl)ethyl]amino}-2-butanol,

(2R,3S)-3-amino-4-phenyl-1- [(4-pyridinylmethyl)amino]-2-butanol,

(2R,3S)-3-amino-1-{[2-(1-methyl-2-pyrrolidinyl)ethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(2,3-dimethylbenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-{[2-(trifluoromethoxy)benzyl]amino}-2-butanol,

(2R,3S)-3-amino-1-[(2-chloro-6-phenoxybenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-{[4-(trifluoromethyl)benzyl]amino}-2-butanol,

(2R,3S)-3-amino-1-[(2,3-dichlorobenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(3,5-dichlorobenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(3,5-difluorobenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-{[4-(trifluoromethoxy)benzyl]amino}-2-butanol,

4-({[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino}methyl)benzenesulfonamide,

(2R,3S)-3-amino-1-[(4-methoxybenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(4-methylbenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-[(3,4,5-trimethoxybenzyl)amino]-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-{[3-(trifluoromethoxy)benzyl]amino}-2-butanol,

(2R,3S)-3-amino-1-[(3,5-dimethoxybenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(2,4-dimethoxybenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[([1,1'-biphenyl]-3-ylmethyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(3,4-dichlorobenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(2-fluorobenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-{[3-(trifluoromethyl)benzyl]amino}-2-butanol,

(2R,3S)-3-amino-1-[(2-methylbenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-{[(1R)-1-phenylethyl]amino}-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-{[(1S)-1-phenylethyl]amino}-2-butanol,

(2R,3S)-3-amino-1-{[3,5-bis(trifluoromethyl)benzyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-{[2-(trifluoromethyl)benzyl]amino}-2-butanol,

(2R,3S)-3-amino-1-{[(1S)-1-(1-naphthyl)ethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[(1R)-1-(1-naphthyl)ethyl]amino}-4-phenyl-2-butanol,

4-({[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino}methyl)-2-methoxyphenol,

4-({[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino}methyl)-1,2-benzenediol,

(2R,3S)-3-amino-1-[(3-methoxypropyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[(1R)-2-hydroxy-1-methylethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[(1S)-2-hydroxy-1-methylethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-(2-propynylamino)-2-butanol,

(2R,3S)-3-amino-1-{[2-(2-fluorophenyl)ethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[2-(3-fluorophenyl)ethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[2-(4-fluorophenyl)ethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[2-(4-bromophenyl)ethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[2-(3-methoxyphenyl)ethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[2-(2,4-dichlorophenyl)ethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[2-(3-chlorophenyl)ethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[2-(2,5-dimethoxyphenyl)ethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[2-(4-methylphenyl)ethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[(1R)-1-benzyl-2-hydroxyethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[3-(4-morpholinyl)propyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-(isobutylamino)-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[2-(4-morpholinyl)ethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-[(2-hydroxybutyl)amino]-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-{[2-(2-thienyl)ethyl]amino}-2-butanol,

4-{[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino}-1-butanol,

(2R,3S)-3-amino-1-{[(1S)-2-hydroxy-1-phenylethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(2,4-dichlorobenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[(1R)-2-hydroxy-1-phenylethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(4-tert-butylbenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-[(1-phenylethyl)amino]-2-butanol,

(1R,2S)-1-{[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino}-2,3-dihydro-1H-inden-2-ol,

(2R,3S)-3-amino-1-[(3,4-dimethylbenzyl)amino]-4-phenyl-2-butanol,

methyl 7-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}heptanoate,

2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutylpropanamide,

(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutylpropanamide,

2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-2-methylpropanamide,

2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutylacetamide,

(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutylbutanamide,

(2R)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutylbutanamide,

(2R,3S)-3-amino-1-(benzylamino)-4-(3,5-difluorophenyl)-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-(ethylamino)-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-(isobutylamino)-2-butanol,

3-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-2-methylpropanamide,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[4-(dimethylamino)benzyl]amino}-2-butanol ,

(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-3-phenylpropanamide,

(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-3-methylbutanamide,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[2-(dimethylamino)ethyl]amino}-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-pyridinylmethyl)amino]-2-butanol,

(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-3-(benzyloxy)-N-isobutylpropanamide,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(1-methyl-1-phenylethyl)amino]-2-butanol,

(2R)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-3-methylbutanamide,

(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutylpentanamide,

(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-3-hydroxy-N-isobutylpropanamide,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-phenylethyl)amino]-2-butanol,

(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-benzylpropanamide,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[(1S)-1-phenylpropyl]amino}-2-butanol,

(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-ethylpropanamide,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol,

(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-2-phenylethanamide,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-(isopentylamino)-2-butanol,

(2R,3S)-3-amino-1-(cyclohexylamino)-4-(3,5-difluorophenyl)-2-butanol,

(2R,3S)-3-amino-1-(butylamino)-4-(3,5-difluorophenyl)-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxypropyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-hydroxy-2-phenylethyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[(3R,5S)-3,5-dimethoxycyclohexyl]amino}-2-butanol,

dimethyl (1R,3S)-5-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylate,

(1R,3S)-5-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylic acid,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[(1R)-1-phenylpropyl]amino}-2-butanol,

(2R,3S)-3-amino-1-[(3-chlorobenzyl)amino]-4-(3,5-difluorophenyl)-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol,

(2R,3S)-3-amino-1-[([1,1'-biphenyl]-3-ylmethyl)amino]-4-(3,5-difluorophenyl)-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-iodobenzyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methylbenzyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-phenylpropyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(1,3-thiazol-5-ylmethyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-thienylmethyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-pyrazinylmethyl)amino]-2-butanol,

(2R,3S)-3-amino-1-[(3,5-difluorobenzyl)amino]-4-(3,5-difluorophenyl)-2-butanol,

(2R,3S)-3-amino-1-[(1,3-benzodioxol-5-ylmethyl)amino]-4-(3,5-difluorophenyl)-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3,5-dimethoxybenzyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[3-(trifluoromethyl)benzyl]amino}-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-furylmethyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[3-(trifluoromethoxy)benzyl]amino}-2-butanol ,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-fluorobenzyl)amino]-2-butanol,

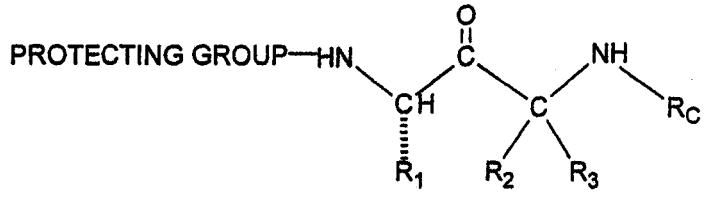
(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-isopropoxybenzyl)amino]-2-butanol,

(2R,3S)-3-amino-1-[(3-bromobenzyl)amino]-4-(3,5-difluorophenyl)-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(5-methyl-2-furylmethyl)amino]-2-butanol, and

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]-2-butanol.

82. A protected ketone of formula (XI)



(XI)

where R_2, R_3 and R_C are as defined in claim 1;

where PROTECTING GROUP is as defined in claim 26 and

where R_1 is:

$-CH_2$-phenyl where -phenyl is substituted with two -F,

$-(CH_2)_{n1}-R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined in claim 1 or

$-(CH_2)_{n1}-R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined in claim 1.

83. A protected ketone of formula (XI) according to claim 82 where R_1 is:

$-(CH_2)_{n1}-(R_{1\text{-heteroaryl}})$.

84. A protected ketone of formula (XI) according to claim 83 where n_1 is 1.

85. A protected ketone of formula (XI) according to claim 82 where R_1 is:

$-(CH_2)_{n1}-(R_{1\text{-heterocycle}})$.

86. A protected ketone of formula (XI) according to claim 85 where n_1 is 1.

87. A protected ketone of formula (XI) according to claim 82 where phenyl is substituted in the 3- and 5- positions giving 3,5-difluorophenyl.

88. A protected ketone of formula (XI) according to claim 82 where R_2 and R_3 are both -H.

89. A protected ketone of formula (XI) according to claim 82 where PROTECTING GROUP is *t*-butoxycarbonyl.

90. A protected ketone of formula (XI) according to claim 82 where PROTECTING GROUP is benzyloxycarbonyl.

91. A protected ketone of formula (XI) according to claim 82 where R_C is:

-H,

$-C_1$-C_8 alkyl,

$-(CH_2)_{0-3}-(C_3-C_7)$ cycloalkyl,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C\text{-aryl}}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C\text{-heteroaryl}}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C\text{-heterocycle}}$, or

-cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to $R_{C\text{-aryl}}$ or $R_{C\text{-heteroaryl}}$ or $R_{C\text{-heterocycle}}$ where $R_{C\text{-aryl}}$ or $R_{C\text{-heteroaryl}}$ or $R_{C\text{-heterocycle}}$ are as defined above.

92. A protected ketone of formula (XI) according to claim 91 where R_C is:

$-C_1$-C_8 alkyl,

$-(CH_2)_{0-3}-(C_3-C_7)$ cycloalkyl,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C\text{-aryl}}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C\text{-heteroaryl}}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C\text{-heterocycle}}$, or

- cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to $R_{C\text{-}aryl}$ or $R_{C\text{-}heteroaryl}$ or $R_{C\text{-}heterocycle}$ where $R_{C\text{-}aryl}$ or $R_{C\text{-}heteroaryl}$ or $R_{C\text{-}heterocycle}$ are as defined in claim 1.

93. A protected ketone of formula (XI) according to claim 92 where R_C is:

$-C_1$-C_8 alkyl,

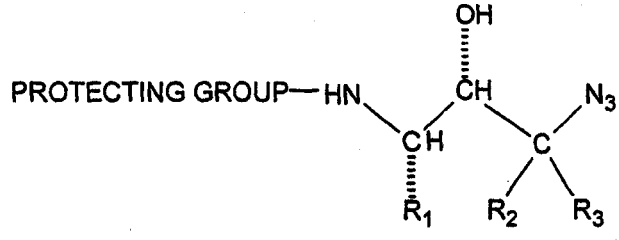
$-(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}\text{-}R_{C\text{-}aryl}$,

$-(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}\text{-}R_{C\text{-}heteroaryl}$,

- cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to $R_{C\text{-}aryl}$ or $R_{C\text{-}heteroaryl}$ or $R_{C\text{-}heterocycle}$ where $R_{C\text{-}aryl}$ or $R_{C\text{-}heteroaryl}$ or $R_{C\text{-}heterocycle}$ are as defined in claim 1.

94. A protected ketone of formula (XI) according to claim 82 which is *tert*-butyl (1S)-1-(3,5-difluorobenzyl)-3-[(3-methoxybenzyl)amino]-2-oxopropylcarbamate.

95. A protected azide of formula (XII)



(XII)

where R_1, R_2 and R_3 are as defined in claim 1;
where PROTECTING GROUP is as defined in claim 26.

96. A protected azide of formula (XII) according to claim 95 where R_1 is:

$-CH_2-(R_{1\text{-}aryl})$, or

$-CH_2-(R_{1\text{-}heteroaryl})$.

97. A protected azide of formula (XII) according to claim 96 where $R_{1\text{-}aryl}$ is phenyl.

98. A protected azide of formula (XII) according to claim 97 where phenyl is substituted with one, two or three -F, -Cl, -Br or -I.

99. A protected azide of formula (XII) according to claim 98 where phenyl is substituted with one or two -F.

100. A protected azide of formula (XII) according to claim 99 where phenyl is substituted with two -F in the 3- and 5- positions giving 3,5-difluorophenyl.

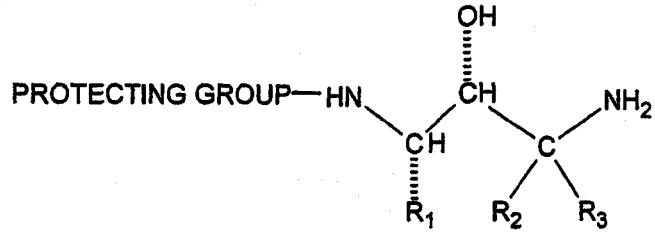
101. A protected azide of formula (XII) according to claim 95 where R_2 and R_3 are both -H.

102. A protected azide of formula (XII) according to claim 95 where PROTECTING GROUP is *t*-butoxycarbonyl.

103. A protected azide of formula (XII) according to claim 95 where PROTECTING GROUP is benzyloxycarbonyl.

104. A protected azide of formula (XII) according to claim 95 which is:
tert-Butyl-(1S, 2R)-3-azido-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate, or
benzyl-(1S, 2R)-3-azido-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate

105. A protected amine of formula (XIII)



(XIII)

where R_2 and R_3 are as defined in claim 1;
where PROTECTING GROUP is as defined in claim 26; and
where R_1 is:

-CH_2-phenyl where -phenyl is substituted with two -F,
-$(CH_2)_{n1}$-$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined in claim 1 or
-$(CH_2)_{n1}$-$R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined in claim 1.

106. A protected amine of formula (XIII) according to claim 105 where R_1 is:

$-(CH_2)_{n1}-(R_{1\text{-heteroaryl}})$.

107. A protected amine of formula (XIII) according to claim 106 where n_1 is 1.

108. A protected amine of formula (XIII) according to claim 105 where R_1 is:

$-(CH_2)_{n1}-(R_{1\text{-heterocycle}})$.

109. A protected amine of formula (XIII) according to claim 108 where n_1 is 1.

110. A protected amine of formula (XIII) according to claim 105 where phenyl is substituted in the 3- and 5- positions giving 3,5-difluorophenyl.

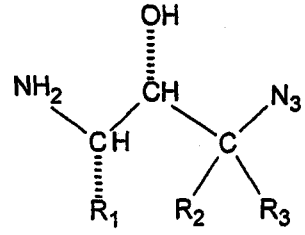
111. A protected amine of formula (XIII) according to claim 105 where R_2 and R_3 are both -H.

112. A protected amine of formula (XIII) according to claim 105 where PROTECTING GROUP is *t*-butoxycarbonyl.

113. A protected amine of formula (XIII) according to claim 105 where PROTECTING GROUP is benzyloxycarbonyl.

114. A protected amine of formula (XIII) according to claim 105 which is *tert*-butyl (1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate.

115. An unprotected azide of formula (XIV)



(XIV)

where R_1, R_2 and R_3 are as defined in claim 1; and

where PROTECTING GROUP is as defined in claim 26.

116. An unprotected azide of formula (XIV) according to claim 115 where R_1 is:

$-CH_2-(R_{1\text{-aryl}})$, or

$-CH_2-(R_{1\text{-heteroaryl}})$.

117. An unprotected azide of formula (XIV) according to claim 116 where $R_{1\text{-aryl}}$ is phenyl.

118. An unprotected azide of formula (XIV) according to claim 117 where phenyl is substituted with one, two or three -F, -Cl, -Br or -I.

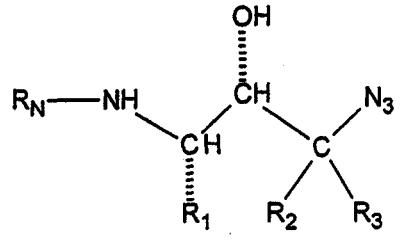
119. An unprotected azide of formula (XIV) according to claim 118 where phenyl is substituted with one or two -F.

120. An unprotected azide of formula (XIV) according to claim 119 where phenyl is substituted with two -F in the 3- and 5- positions giving 3,5-difluorophenyl.

121. An unprotected azide of formula (XIV) according to claim 1115 where R_2 and R_3 are both -H.

122. An unprotected azide of formula (XIV) according to claim 115 which is (2R, 3S)-3-amino-1-azido-4-(3,5-difluorophenyl)-2-butanol.

123. An azide of formula (XV)



(XV)

where R_1, R_2, R_3 and R_N are as defined in claim 1.

124. An azide of formula (XV) according to claim 123 where R_1 is:

$-CH_2-(R_{1\text{-aryl}})$, or

$-CH_2-(R_{1\text{-heteroaryl}})$.

125. An azide of formula (XV) according to claim 124 where $R_{1\text{-aryl}}$ is phenyl.

126. An azide of formula (XV) according to claim 125 where phenyl is substituted with one, two or three -F, -Cl, -Br or -I.

127. An azide of formula (XV) according to claim 126 where phenyl is substituted with one or two -F.

128. An azide of formula (XV) according to claim 127 where phenyl is substituted with two -F in the 3- and 5- positions giving 3,5-difluorophenyl.

129. An azide of formula (XV) according to claim 123 where R_2 and R_3 are both -H.

130. An azide of formula (XV) according to claim 123 where R_N is:

$R_{N\text{-}1}-X_N-$ where X_N is selected from the group consisting of:

-CO-, and

$-SO_2-$,

where $R_{N\text{-}1}$ is selected from the group consisting of:

$R_{N\text{-aryl}}$, and

$-R_{N\text{-heteroaryl}}$.

131. An azide of formula (XV) according to claim 130 where R_N is:

$R_{N\text{-}1}-X_N-$ where X_N is selected from the group consisting of:

-CO-,

where $R_{N\text{-}1}$ is selected from the group consisting of:

$R_{N\text{-aryl}}$

$-R_{N\text{-heteroaryl}}$.

132. An azide of formula (XV) according to claim 131 where R_N is:

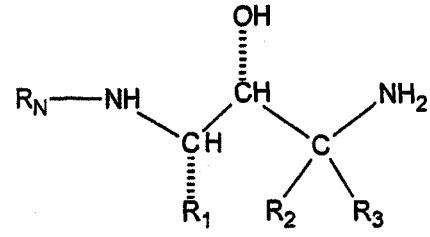
(a) R_{N-1}-X_N,- where X_N is -CO-, where R_{N-1} is R_{N-aryl} where R_{N-aryl} is phenyl substituted with one -CO-$NR_{N-2}R_{N-3}$ where the substitution on phenyl is 1,3- and where R_{N-2} and R_{N-3} are the same and are C_3 alkyl, or

(b) R_{N-1}-X_N- where X_N is-CO-, where R_{N-1} is R_{N-aryl} where R_{N-aryl} is phenyl substituted with one C_1 alkyl and with one -CO-$NR_{N-2}R_{N-3}$ where the substitution on the phenyl is 1,3,5- and where R_{N-2} and R_{N-3} are the same and are C_3 alkyl.

133. An azide of formula (XV) according to claim 123 which is

N^1-[(1S,2R)-3-azido-1-(3,5-difluorobenzyl)-2-hydroxypropyl]5-methyl-N^3,N^3-dipropylisophthalamide.

134. A free amine of formula (XVI)



(XVI)

where R_2, R_3 and R_N are as defined in claim 1; and

where R_1 is:

-CH_2-phenyl where -phenyl is substituted with two -F,

-$(CH_2)_{n1}$-$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined in claim 1 or

-$(CH_2)_{n1}$-$R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined in claim 1.

135. A free amine of formula (XVI) according to claim 134 where R_1 is:

-$(CH_2)_{n1}$-($R_{1\text{-heteroaryl}}$).

136. A free amine of formula (XVI) according to claim 135 where n_1 is 1.

137. A free amine of formula (XVI) according to claim 134 where R_1 is:

-$(CH_2)_{n1}$-($R_{1\text{-heterocycle}}$).

138. A free amine of formula (XVI) according to claim 137 where n_1 is 1.

139. A free amine of formula (XVI) according to claim 134 where phenyl is substituted in the 3- and 5- positions giving 3,5-difluorophenyl.

140. A free amine of formula (XVI) according to claim 134 where R_2 and R_3 are both -H.

141. A free amine of formula (XVI) according to claim 134 where R_N is:

R_{N-1}-X_N- where X_N is selected from the group consisting of:

-CO-, and

$-SO_2-$,

where R_{N-1} is selected from the group consisting of:

$R_{N\text{-}aryl}$, and

$-R_{N\text{-}heteroaryl}$.

142. A free amine of formula (XVI) according to claim 141 where R_N is:

R_{N-1}-X_N- where X_N is:

-CO-,

where R_{N-1} is selected from the group consisting of:

$R_{N\text{-}aryl}$, and

$-R_{N\text{-}heteroaryl}$.

143. A free amine of formula (XVI) according to claim 142 where R_N is:

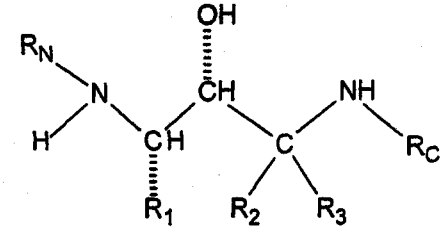
(a) R_{N-1}-X_N- where X_N is -CO-, where R_{N-1} is $R_{N\text{-}aryl}$ where $R_{N\text{-}aryl}$ is phenyl substituted with one -CO-$NR_{N-2}R_{N-3}$ where the substitution on phenyl is 1,3- and where R_{N-2} and R_{N-3} are the same and are C_3 alkyl, or

(b) R_{N-1}-X_N- where X_N is-CO-, where R_{N-1} is $R_{N\text{-}aryl}$ where $R_{N\text{-}aryl}$ is phenyl substituted with one C_1 alkyl and with one -CO-$NR_{N-2}R_{N-3}$ where the substitution on the phenyl is 1,3,5- and where R_{N-2} and R_{N-3} are the same and are C_3 alkyl.

144. A free amine of formula (XVI) according to claim 134 which is

N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide.

145. A method of treating a patient who has, or in preventing a patient from getting, a disease or condition selected from the group consisting of Alzheimer's disease, for helping prevent or delay the onset of Alzheimer's disease, for treating patients with mild cognitive impairment (MCI) and preventing or delaying the onset of Alzheimer's disease in those who would progress from MCI to AD, for treating Down's syndrome, for treating humans who have Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, for treating cerebral amyloid angiopathy and preventing its potential consequences, i.e. single and recurrent lobar hemorrhages, for treating other degenerative dementias, including dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, diffuse Lewy body type of Alzheimer's disease and who is in need of such treatment which comprises administration of a therapeutically effective amount of a compound selected from the group consisting of a substituted amine of formula (X)



(X)

where R_1, R_2, R_3, R_N and R_C are as defined in claim 1,
and pharmaceutically acceptable salts thereof.

146. A method of treatment according to claim 145, wherein the disease is Alzheimer's disease.

147. A method of treatment according to claim 145, wherein the method is helping prevent or delay the onset of Alzheimer's disease.

148. A method of treatment according to claim 145, wherein the disease is mild cognitive impairment.

149. A method of treatment according to claim 145, wherein the disease is Down's syndrome.

150. A method of treatment according to claim 145, wherein the disease is Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type.

151. A method of treatment according to claim 145, wherein the disease is cerebral amyloid angiopathy.

152. A method of treatment according to claim 145, wherein the disease is degenerative dementias.

153. A method of treatment according to claim 145, wherein the disease is diffuse Lewy body type of Alzheimer's disease.

154. A method of treatment according to claim 145, wherein the method is treating an existing disease.

155. A method of treatment according to claim 145, wherein the method is preventing a disease from developing.

156. A method of treatment according to claim 145, wherein the therapeutically effective amount for oral administration is from about 0.1 mg/day to about 1,000 mg/day; for parenteral, sublingual, intranasal, intrathecal administration is from about 0.5 to about 100 mg/day; for depo administration and implants is from about 0.5 mg/day to about 50 mg/day; for topical administration is from about 0.5 mg/day to about 200 mg/day; for rectal administration is from about 0.5 mg to about 500 mg.

157. A method of treatment according to claim 156, wherein the therapeutically effective amount for oral administration is from about 1 mg/day to about 100 mg/day and for parenteral administration is from about 5 to about 50 mg daily.

158. A method of treatment according to claim 157 where the therapeutically effective amount for oral administration is from about 5 mg/day to about 50 mg/day.

159. A method of treatment according to claim 145 where:

where R_1 is:

$-(CH_2)_{0-1}-(R_{1\text{-aryl}})$, or

$-(CH_2)_{n1}-(R_{1\text{-heteroaryl}})$

where R_N is:

$R_{N-1}-X_{N,}-$ where X_N is selected from the group consisting of:

$-CO-$, and

$-SO_2-$,

where R_{N-1} is selected from the group consisting of:

$-R_{N\text{-aryl}}$, and

$-R_{N\text{-heteroaryl}}$,

$-CO-CH(-(CH_2)_{0-2}-O-R_{N-10})-(CH_2)_{0-2}-R_{N\text{-aryl}}/R_{N\text{-heteroaryl}})$, and

where R_C is:

$-C_1-C_8$ alkyl,

$-(CH_2)_{0-3}-(C_3-C_7)$ cycloalkyl,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C\text{-aryl}}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C\text{-heteroaryl}}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C\text{-heterocycle}}$, or

-cyclopentyl or -cyclohexyl ring fused to $R_{C\text{-aryl}}$ or $R_{C\text{-heteroaryl}}$ or $R_{C\text{-heterocycle}}$.

160. A method of treatment according to claim 159 where:

where R_1 is:

$-(CH_2)-(R_{1\text{-aryl}})$, or

$-(CH_2)-(R_{1\text{-heteroaryl}})$;

where R_2 is -H;

where R_3 is -H;

where R_N is:

$R_{N-1}-X_N-$ where X_N is:

$-CO-$,

where R_{N-1} is selected from the group consisting of:

$-R_{N\text{-}aryl}$, and

$-R_{N\text{-}heteroaryl}$,

where R_C is:

$-(CH_2)_{0\text{-}3}-(C_3\text{-}C_7)$ cycloalkyl,

$-(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}-R_{C\text{-}aryl}$,

$-(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}-R_{C\text{-}heteroaryl}$,

$-(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}-R_{C\text{-}heterocycle}$, or

-cyclopentyl or -cyclohexyl ring fused to a $R_{C\text{-}aryl}$ or $R_{C\text{-}heteroaryl}$ or $R_{C\text{-}heterocycle}$.

161. A method of treatment according to claim 160 where R_C is:

$-(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}-R_{C\text{-}aryl}$,

$-(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}-R_{C\text{-}heteroaryl}$, or

-cyclopentyl or -cyclohexyl ring fused to a $R_{C\text{-}aryl}$ or $R_{C\text{-}heteroaryl}$ or $R_{C\text{-}heterocycle}$.

162. A method of treatment according to claim 145 where R_1 is:

$-(CH_2)-(R_{1\text{-}aryl})$ where $R_{1\text{-}aryl}$ is phenyl.

163. A method of treatment according to claim 162 where R_1 is:

$-(CH_2)-(R_{1\text{-}aryl})$ where $R_{1\text{-}aryl}$ is phenyl substituted with two -F.

164. A method of treatment according to claim 163 where the -F substitution is 3,5-difluorobenzyl.

165. A method of treatment according to claim 145 where R_2 is -H.

166. A method of treatment according to claim 145 where R_3 is -H.

167. A method of treatment according to claim 145 where R_N is

$R_{N\text{-}1}\text{-}X_N\text{-}$ where X_N is -CO-, where $R_{N\text{-}1}$ is $R_{N\text{-}aryl}$ where $R_{N\text{-}aryl}$ is phenyl substituted with one $-CO\text{-}NR_{N\text{-}2}R_{N\text{-}3}$ where the substitution on phenyl is 1,3-.

168. A method of treatment according to claim 167 where R_{N-2} and R_{N-3} are the same and are C_3 alkyl.

169. A method of treatment according to claim 145 where R_N is

R_{N-1}-X_N- where X_N is-CO-, where R_{N-1} is R_{N-aryl} where R_{N-aryl} is phenyl substituted with one C_1 alkyl and with one -CO-$NR_{N-2}R_{N-3}$ where the substitution on the phenyl is 1,3,5-.

170. A method of treatment according to claim 169 where R_{N-2} and R_{N-3} are the same and are C_3 alkyl.

171. A method of treatment according to claim 145 where R_N is

R_{N-1}-X_N- where X_N is -CO-, where R_{N-1} is $R_{N-heteroaryl}$ where $R_{N-heteroaryl}$ is substituted with one -CO-$NR_{N-2}R_{N-3}$.

172. A method of treatment according to claim 171 where R_{N-2} and R_{N-3} are the same and are -C_3 alkyl.

173. A method of treatment according to claim 145 where R_C is:

-$(CR_{C-x}R_{C-y})_{0-4}$-R_{C-aryl} where R_{C-aryl} is phenyl,

-$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heteroaryl}$, or

-cyclopentyl or -cyclohexyl ring fused to a R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$.

174. A method of treatment according to claim 173where R_C is:

-$(CR_{C-x}R_{C-y})_{0-4}$-R_{C-aryl} where R_{C-aryl} is phenyl.

175. A method of treatment according to claim 174 where phenyl is substituted in the 3-position or 3,5-positions.

176. A method of treatment according to claim 173 where R_C is:

-(CH_2)-$R_{C-heteroaryl}$.

177. A method of treatment according to claim 173 where R_C is:

-(CH_2)-$R_{C\text{-heterocycle}}$.

178. A method of treatment according to claim 173 where R_C is:

-cyclohexyl ring fused to a phenyl ring.

179. A method of treatment according to claim 145 where the pharmaceutically acceptable salt is selected from the group consisting of salts of the following acids acetic, aspartic, benzenesulfonic, benzoic, bicarbonic, bisulfuric, bitartaric, butyric, calcium edetate, camsylic, carbonic, chlorobenzoic, citric, edetic, edisylic, estolic, esyl, esylic, formic, fumaric, gluceptic, gluconic, glutamic, glycollylarsanilic, hexamic, hexylresorcinoic, hydrabamic, hydrobromic, hydrochloric, hydroiodic, hydroxynaphthoic, isethionic, lactic, lactobionic, maleic, malic, malonic, mandelic, methanesulfonic, methylnitric, methylsulfuric, mucic, muconic, napsylic, nitric, oxalic, p-nitromethanesulfonic, pamoic, pantothenic, phosphoric, monohydrogen phosphoric, dihydrogen phosphoric, phthalic, polygalactouronic, propionic, salicylic, stearic, succinic, succinic, sulfamic, sulfanilic, sulfonic, sulfuric, tannic, tartaric, teoclic and toluenesulfonic.

180. A method of treatment according to claim 145 where the substituted amine (X) is selected from the group consisting of:

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(ethylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(benzylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(isopropylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(4-toluidino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-methoxyphenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

ethyl {[(3S)-3-({3-[(dipropylamino)carbonyl]benzoyl}amino)-2-hydroxy-4-phenylbutyl]amino}(phenyl)acetate,

N^1-((1S)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-(hydroxymethyl)-2-(4-nitrophenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2-chlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(4-chlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-hydroxyethoxy)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(2,3-dihydro-1H-inden-1-ylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxypropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,2-diethoxyethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(butylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(cyclohexylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-[(2-aminobenzyl)amino]-1-benzyl-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(1-pyrrolidinyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-butoxypropyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-isopropoxypropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-phenylpropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,3-dimethylbutyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-phenylbutyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-benzyl-2-hydroxy-3-[(4-nitrobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-chlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(4-chlorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-pyridinyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-pyridinylmethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(1-methyl-2-pyrrolidinyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,3-dimethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2-chloro-6-phenoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[4-(trifluoromethyl)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,3-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[4-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-({2-[4-(aminosulfonyl)phenyl]ethyl}amino)-1-benzyl-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-methylbenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3,4,5-trimethoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,4-dimethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2-fluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-methylbenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-phenylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-1-phenylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethyl)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-1-(1-naphthyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-(1-naphthyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxy-3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-dihydroxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-methylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-2-hydroxy-1-methylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(2-propynylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(2-fluorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(3-fluorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(4-fluorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(4-bromophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S)-1-benzyl-2-hydroxy-3-{[2-(3-methoxyphenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(2,4-dichlorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(3-chlorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S)-1-benzyl-3-{[2-(2,5-dimethoxyphenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-methylphenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[(1R)-1-benzyl-2-hydroxyethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(4-morpholinyl)propyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(isobutylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-morpholinyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxybutyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-thienyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxybutyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-phenylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,4-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-2-hydroxy-1-phenylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(4-tert-butylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3- dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(1-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3- dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1,1-dimethyl-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(ethylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isobutylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isobutylamino)-2-methyl-3-oxopropyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[4-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1S)-1-benzyl-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]-2-methylpropyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[2-(dimethylamino)ethyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1S)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]-2-methylpropyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]butyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1S)-2-(benzylamino)-1-methyl-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-phenylpropyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1S)-2-(ethylamino)-1-methyl-2-oxoethyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-2-oxo-1-phenylethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(cyclohexylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3R,5S)-3,5-dimethoxycyclohexyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

dimethyl (1R,3S)-5-{[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylate,

(1R,3S)-5-{[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylic acid,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R)-1-phenylpropyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-chlorobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(2-propylpentyl)sulfonyl]benzamide,

N^1-[(1S,2R)-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylpropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-thienylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyrazinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-[(1,3-benzodioxol-5-ylmethyl)amino]-1-benzyl-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-methyl-2-furyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1,2,3,4-tetrahydro-1-naphthalenylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methoxy-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-chloro-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-fluoro-N^3,N^3-dipropylisophthalamide,

N^2-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-2,5-thiophenedicarboxamide,

N^4-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^2,N^2-dipropyl-2,4-pyridinedicarboxamide,

N^4-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^6,N^6dipropyl-4,6- pyrimidinedicarboxamide,

N-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-(4-morpholinylcarbonyl)benzamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^1-[(1S,2R)-3-{[(1R)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(pentylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S)-3-({2-[4-(aminosulfonyl)phenyl]ethyl}amino)-1-benzyl-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

3-benzoyl-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}[1,1'-biphenyl]-3-carboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3-(2-methoxyethyl)-N^3-propylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-ethoxybenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-naphthamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1R)-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,3-difluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-fluoro-4-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,5-difluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-difluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[4-fluoro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-chloro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[4-chloro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(2,3-dihydro-1H-inden-2-ylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-benzyl-2-hydroxy-3-[(3-nitrobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-(difluoromethoxy)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(5-methyl-2-pyrazinyl)methyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-bromo-4-fluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isobutoxybenzyl)amino]propyl} -5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(4-methyl-1,3-thiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3-methyl-N^3-propylisophthalamide,

N^2-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-2,5-furandicarboxamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1,2-diphenylethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide, isomer A,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide, isomer B,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(dimethylamino)benzamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2-methyl-1H-benzimidazole-5-carboxamide,

3-(aminosulfonyl)-N-{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-chlorobenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-cyanobenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-chloro-3-nitrobenzamide,

methyl 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-nitrobenzoate,

tert-butyl 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]phenylcarbamate,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-9,10-dioxo-9,10-dihydro-2-anthrancenylcarboxamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1H-1,2,3-benzotriazole-6-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1H-indole-5-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-fluoro-5-(trifluoromethyl)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(trifluoromethyl)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(butylamino)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(trifluoromethoxy)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,5-dimethoxybenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,5-dimethylbenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,5-difluorobenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,5-dichlorobenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(benzyloxy)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1,3-benzodioxole-5-carboxamide,

3-(acetylamino)-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}benzamide,

4-(acetylamino)-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}benzamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3,5-dimethyl-4-isoxazolyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-phenylpropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-furylmethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-3-furanylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-propoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-hydroxy-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[1-methyl-1-(3-methylphenyl)ethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1S)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[2-chloro-5-(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-5-methylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S,2R)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-ylamino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

5-chloro-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(1-benzofuran-2-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1R)-1-(3-bromophenyl)ethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyl(butyryl)amino]-5-methylbenzamide,

N^1-{1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^1,N^1-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-butyl-1H-indole-6-carboxamide,

N^1-[(1S,2R)-3-anilino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

5-bromo-N^1-[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-methylpentanamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-methylpentanamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-hydroxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano-N^3,N^3-dipropylisophthalamide hydrochloride,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

1- N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide trifluroacetate,

5-(aminosulfonyl)-N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(1-pyrrolidinylsulfonyl)isophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylamino)sulfonyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(dimethylamino)sulfonyl]-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-methyl-3-(methylsulfonyl)propanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(methylsulfonyl)propanamide,

2-amino-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1,3-thiazole-4-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methylsulfonyl)pentanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^4-phenylsuccinamide,

(3R)-N^4-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2,2,3-trimethylbutanediamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-oxo-4-(1-piperidinyl)butanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^4,N^4-dipropylsuccinamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5-phenylpentanediamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,3-dimethyl-4-oxo-4-(1-piperidinyl)butanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(isopentylsulfonyl)butanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2,2-dimethyl-N^4,N^4-dipropylsuccinamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-[(dipropylamino)sulfonyl]butanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-[(methylanilino)sulfonyl]butanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(methylanilino)sulfonyl]propanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}acetamide, N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(isopentylsulfonyl)propanamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide and

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-isobutyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-tert-butyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dimethyl-N^5,N^5-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-amino-1-benzyl-2-hydroxypropyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3-propyl-1,3,5-benzenetricarboxamide,

N-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyryl(propyl)amino]-5-methylbenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-aminobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}octanamide,

N^3-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl}amino)propyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-ylamino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-methylbenzamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1H-isoindol-3-ylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S,5R)-2-isopropyl-5-methylcyclohexyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1,N^1-diallyl-5-chloro-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}isophthalamide,

N^1,N^1-diallyl-5-chloro-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}isophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(4,5-dimethyl-2-furyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(cyclopropylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(cyclopropylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-oxo-3-azepanyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-methyl-2-furyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

5-chloro-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^3,N^3-di(2-propynyl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropenylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(hexylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide,

methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)benzoate,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-isoxazolylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

(1R,2R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

4-(butyrylamino)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}benzamide,

N^1-[(1S,2R)-3-[(3-amino-3-oxopropyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide 1-oxide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-methyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-thiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3R)-2-oxoazepanyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(cyclobutylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(5-hexynylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-methyl-2-furyl)methyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(2-furyl)-1-methylethyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-5-isoxazolyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(2-chlorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(2-oxo-1-pyrrolidinyl)propyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(cyclohexylmethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(cyclopropylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-oxo-3-azepanyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-(butylsulfonyl)benzamide,

N^1-[(1S,2R)-1-benzyl-3-({2-[(2-ethylhexyl)oxy]ethyl}amino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S,2R)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[1-(4-hydroxyphenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(cycloheptylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[([1,1'-biphenyl]-2-ylmethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2-fluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(dimethylamino)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-naphthamide,

N^1-[(1S,2R)-1-benzyl-3-({2-[({5-[(dimethylamino)methyl]-2-furyl}methyl)sulfanyl]ethyl}amino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-({2-[(2-chloro-6-fluorobenzyl)sulfanyl]ethyl}amino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[([1,1'-biphenyl]-4-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1-naphthylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1H-imidazol-5-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-phenyl-1H-imidazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-imidazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(2-butyl-4-chloro-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(6-chloroimidazo[2,1-b][1,3]thiazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-benzimidazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-hydroxy-1-naphthyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(4-oxo-4H-chromen-3-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1,5-dimethyl-3-oxo-2-phenyl-2,3-dihydro-1H-pyrazol-4-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-({[5-cyano-6-(methylsulfanyl)-2-pyridinyl]methyl}amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

[5-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-2-furyl]methyl acetate,

N^1-[(1S,2R)-3-[(1-benzofuran-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1-methyl-1H-pyrrole-2-carboxylate,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({[1-(phenylsulfonyl)-1H-pyrrol-2-yl]methyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-pyrrol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(4-chloro-1-methyl-1H-pyrazol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(5-chloro-3-methyl-1-phenyl-1H-pyrazol-4-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-phenyl-1H-pyrazol-4-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(5-chloro-2-thienyl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-phenoxy-2-thienyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-quinolinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-quinolinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-indol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1-benzyl-1H-indol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-indol-3-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[({1-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl}methyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(2-butyl-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

methyl 3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1H-indole-6-carboxylate,

3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-amino)carbonyl]-5-[butyl(butyryl)amino]benzyl diethyl phosphate,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(cyanomethyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(hydroxymethyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropyl-5-prop-1-ynylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(8-quinolinyl)isophthalamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-methoxy-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]-N^5,N^5-dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]-N^5,N^5-dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(3-thienyl)isophthalamide,

N-{(1R,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-pentanoylbenzamide,

N^1-(4-hydroxybutyl)-N^3-{(1S)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^1-propylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N^3-(3-hydroxypropyl)-5-methyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-(2,4-dimethylphenyl)propyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(4-methylphenyl)propyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1,3-dioxo-2-propyl-5-isoindolinecarboxamide,

N-{(1R,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-bromo-5-methylbenzamide,

3-bromo-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl- N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^1,N^1-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(2-furyl)-5-methylbenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3',5,5'-trimethyl-1,1'-biphenyl-3-carboxamide,

3'-Acetyl-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl[1,1'-biphenyl]-3-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3'-methoxy-5-methyl[1,1'-biphenyl]-3-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl[1,1'-biphenyl]-3-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(2-thienyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(3-thienyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-methyl-5-(3-thienyl)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-3-(3-thienyl)benzamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3,N^5,N^5-tetrapropylbenzene-1,3,5-tricarboxamide,

N^1-{(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide,

Ethyl 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoate,

N^1-{(1S,2R)-2-Hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

5-Amino-N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(trifluoroacetyl)amino]isophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(thien-2-ylcarbonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methacryloylamino)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(2,2-dimethylpropanoyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide.

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methylthio)pentanamide,

tert-butyl (2R,3S)-3-({3-[(dipropylamino)sulfonyl]- propanoyl}amino)-2-hydroxy-4-phenylbutyl(3-methoxybenzyl)carbamate

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-[propionyl(propyl)amino]benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-butyl-1H-indole-5-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-bromo-5-methylbenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyl(propionyl)amino]-5-methylbenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-1-propyl-1H-indole-6-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-(1-propylbutyl)-1H-indole-6-carboxamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(2-oxo-2,3-dihydro-1,3-benzoxazol-6-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoic acid,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-prop-1-ynylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-(dipropylamino)isonicotinamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-hydroxy-2-(4-methylphenyl)acetamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-N3-methylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-hydroxy-2-(4-methoxy-3-nitrophenyl)acetamide,

5-(aminosulfonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-methoxybenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-3-(pyrrolidin-1-ylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(3,5-dimethylisoxazol-4-yl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(1,3-thiazol-2-yl)isophthalamide,

3-(cyclohexylcarbonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3-propylisophthalamide,

3-[cyclohexyl(hydroxy)methyl]-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-(4-methyl-1,3-oxazol-2-yl)-N^3,N^3-dipropylisophthalamide

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-1,2,4-oxadiazol -5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropy l}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-hydroxybut-1-ynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

1-{3-[({(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropy l}amino)carbonyl]-5-methylbenzoyl}-L-prolinamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-isopropyl-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-ethyl-N^3,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,5-dimethyl-N^3-prop-2-ynylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-isobutyl-5-methylisophthalamide,

N^1-(sec-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,N^3-diethyl-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,5-dimethyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-isopropyl-N^3,5-dimethylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^1,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-isobutyl-N^3,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-ethyl-5-methyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-ethyl-N^3-isopropyl-5-methylisophthalamide,

N^1,N^1-diallyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

3-(azepan-1-ylcarbonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)am ino]-2-hydroxypropyl}-5-methylbenzamide

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(4-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(3-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,N^3-diisopropyl-5-methylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^1-ethyl-5-methylisophthalamide,

N^1-(cyclopropylmethyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^1-propylisophthalamide,

1-{3-[({(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropy l}amino)carbonyl]-5-methylbenzoyl}-D-prolinamide,

N^1-cyclohexyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]- 2-hydroxypropyl}-N^1,5-dimethylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[1-(3-methylphenyl)cycloprop yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1,2,3,4-tetrahydronaphthalen-1-ylamino)propyl]-N^5,N^5-diisopropylpyridine-3,5-dicarboxamide, and

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(trifluoromethyl)sulfonyl]amino}benzamide.

181. A method of treatment according to claim 180 where the substituted amine (X) is selected from the group consisting of:

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-hydroxyethoxy)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-[(2-aminobenzyl)amino]-1-benzyl-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1,1-dimethyl-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isobutylamino)-2-methyl-3-oxopropyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1S)-1-benzyl-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]-2-methylpropyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1S)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]butyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(cyclohexylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

(1R,3S)-5-{[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylic acid,

N^1-[(1S,2R)-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylpropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-thienylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyrazinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methoxy-N^3,N^3-dipropylisophthalamide

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-chloro-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-fluoro-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-N3,N3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}[1,1'-biphenyl]-3-carboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3-(2-methoxyethyl)-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1R)-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[4-fluoro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[4-chloro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-benzyl-2-hydroxy-3-[(3-nitrobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-(difluoromethoxy)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-bromo-4-fluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(4-methyl-1,3-thiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3-methyl-N^3-propylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide, isomer B,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-furylmethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-3-furanylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-propoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-hydroxy-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[1-methyl-1-(3-methylphenyl)ethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1S)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[2-chloro-5-(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-5-methylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

5-chloro-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1R)-1-(3-bromophenyl)ethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-hydroxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano-N^3,N^3-dipropylisophthalamide hydrochloride,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

5-(aminosulfonyl)-N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(1-pyrrolidinylsulfonyl)isophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylamino)sulfonyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(dimethylamino)sulfonyl]-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-tert-butyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-aminobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl}amino)propyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-ylamino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

5-chloro-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^3,N^3-bis(2-methoxyethyl)isophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(4,5-dimethyl-2-furyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropenylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(hexylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide,

methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)benzoate,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-isoxazolylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

(1R,2R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide 1-oxide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-methyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-thiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(5-hexynylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-methyl-2-furyl)methyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(2-furyl)-1-methylethyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-5-isoxazolyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-[(1S,2R)-3-[([1,1'-biphenyl]-4-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1H-imidazol-5-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-phenyl-1H-imidazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(2-butyl-4-chloro-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-({[5-cyano-6-(methylsulfanyl)-2-pyridinyl]methyl}amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

[5-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-2-furyl]methyl acetate,

N^1-[(1S,2R)-3-[(1-benzofuran-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1-methyl-1H-pyrrole-2-carboxylate,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-pyrrol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(5-chloro-2-thienyl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-indol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1-benzyl-1H-indol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-indol-3-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(2-butyl-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

methyl 3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1H-indole-6-carboxylate,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(cyanomethyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(hydroxymethyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropyl-5-prop-1-ynylisophthalamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-methoxy-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide hydrochloride,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]-N^5,N^5-dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]-N^5,N^5-dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

N-{(1R,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-pentanoylbenzamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N^3-(3-hydroxypropyl)-5-methyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3,N^5,N^5-tetrapropylbenzene-1,3,5-tricarboxamide,

N^1-{(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide,

ethyl 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoate,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

5-amino-N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(thien-2-ylcarbonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methacryloylamino)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methylthio)pentanamide,

3-amino-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-methylbutanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-ethylhexanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(isobutylsulfonyl)amino]propanamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3-(isobutylsulfonyl)-beta-alaninamide,

5-bromo-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide, and

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(2-oxo-2,3-dihydro-1,3-benzoxazol-6-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoic acid,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-prop-1-ynylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-3-(pyrrolidin-1-ylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(1,3-thiazol-2-yl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3-propylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-1,2,4-oxadiazol -5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropy l}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-hydroxybut-1-ynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

1-{3-[({(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropy l}amino)carbonyl]-5-methylbenzoyl}-L-prolinamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-isopropyl-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-ethyl-N^3,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,5-dimethyl-N^3-prop-2-ynylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-isobutyl-5-methylisophthalamide,

N^1-(sec-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,N^3-diethyl-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,5-dimethyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-isopropyl-N^3,5-dimethylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^1,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-isobutyl-N^3,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-ethyl-5-methyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-ethyl-N^3-isopropyl-5-methylisophthalamide,

N^1,N^1-diallyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

3-(azepan-1-ylcarbonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylbenzamide

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(4-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(3-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,N^3-diisopropyl-5-methylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^1-ethyl-5-methylisophthalamide,

N^1-(cyclopropylmethyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^1-propylisophthalamide,

N^1-cyclohexyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]- 2-hydroxypropyl}-N^1,5-dimethylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[1-(3-methylphenyl)cycloprop yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide, and

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(trifluoromethyl)sulfonyl]amino}benzamide.

182. A method of treatment according to claim 145 where the substituted amine (X) is selected from the group consisting of:

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(2-ethylpentanoyl)-5-methylbenzamide,

N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-benzyl-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(2-ethylbutanoyl)-5-methylbenzamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-(2-propylpentanoyl)isophthalamide,

N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(2-ethylpentanoyl)-5-methylbenzamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-(2-propylpentanoyl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(2-propylpentanoyl)isophthalamide,

N-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(4-hydroxybenzyl)propyl]-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-pyridinyl)benzyl]amino}propyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-pyridinyl)benzyl]amino}propyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-5-(1-propynyl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3-dipropyl-5-(1-propynyl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3-dipropyl-5-(2-propynyl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-5-(2-propynyl)isophthalamide,

N^1-{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(3-thienylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-butynyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(2-thienylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-(benzylamino)-1-[4-(benzyloxy)benzyl]-2-hydroxypropyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(cyclohexylmethyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(1-naphthylmethyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

2,3,5-trideoxy-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-5-[(3-methoxybenzyl)amino]-1-S-phenyl-1-thio-D-erythro-pentitol,

N^1-[(1S,2R)-3-(benzylamino)-1-(3-furylmethyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-methylbutyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(4-fluorobenzyl)-2-hydroxypropyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(2-furylmethyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-methylbutyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(4-hydroxybenzyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-butynyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-butynyl)-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

5-(benzylamino)-2,3,5-trideoxy-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-1-S-phenyl-1-thio-D-erythro-pentitol,

N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(4-hydroxybenzyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-methylbutyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3-furylmethyl)-2-hydroxypropyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-methylbutyl)- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(4-fluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(2-furylmethyl)-2-hydroxypropyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(1-naphthylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(2-thienylmethyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-3-(benzylamino)-1-[4-(benzyloxy)benzyl]-2-hydroxypropyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(3-thienylmethyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-butynyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-methylbutyl)- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-[3-(hydroxymethyl)benzyl]-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-[3-(hydroxymethyl)benzyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-[3-(hydroxymethyl)benzyl]-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-[4-(hydroxymethyl)benzyl]-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-[4-(hydroxymethyl)benzyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-[4-(hydroxymethyl)benzyl]-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-ethylbenzyl)amino]-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-[3-(benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-[3-(benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]propanamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide,

N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}propanamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide,

N^1-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide,

N^1-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]propanamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]propanamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- N^5,N^5-dipropylpentanediamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-{[(2R)-1-ethylpyrrolidinyl]carbonyl}-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-{[(2S)-1-ethylpyrrolidinyl]carbonyl}-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(1-ethyl-1H-imidazol-2-yl)carbonyl]-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(1-ethyl-4-methyl-1H-imidazol-5-yl)carbonyl]-5-methylbenzamide,

N^1-((1S,2S)-1-(3,5-difluorobenzyl)-2-hydroxy-2-{1-[(3-methoxybenzyl)amino]cyclopropyl}ethyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S,2S)-1-(3,5-difluorobenzyl)-2-{1-[(3-ethylbenzyl)amino]cyclopropyl}-2-hydroxyethyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

(1R,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^2,N^2-dipropyl-1,2,3-cyclopropanetricarboxamide,

(1R,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-phenyl- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-

methoxybenzyl)amino]propyl}-3-methyl- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-phenyl- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^2,N^2-dipropyl-1,2,3-cyclopropanetricarboxamide,

(1R,2R,3S)-3-(2-amino-2-oxoethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3R)-3-(2-amino-2-oxoethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3S)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-methylcyclopropanecarboxamide,

(1R,2R,3R)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-methylcyclopropanecarboxamide,

(1S,2R,3R)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-phenylcyclopropanecarboxamide,

(1S,2R,3S)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-phenylcyclopropanecarboxamide,

(1S,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[2-(dipropylamino)-2-oxoethyl]-1,2-cyclopropanedicarboxamide,

(1S,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[2-(dipropylamino)-2-oxoethyl]-1,2-cyclopropanedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-{methyl[(trifluoromethyl)sulfonyl]amino}- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-{methyl[(trifluoromethyl)sulfonyl]amino}- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-5-{propyl[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylsulfonyl)amino]- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-3-[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-thiazol-5-yl)methyl]amino}-2-hydroxypropyl)-3-[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}propyl)-3-[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-5-isoxazolyl)methyl]amino}propyl)-3-[(dipropylamino)sulfonyl]propanamide,

N-[(1S,2R)-3-[(3-cyclopropylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-[(dipropylamino)sulfonyl]propanamide,

N^1-[(1S,2R)-3-[(3-cyclopropylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1,3-thiazol-2-yl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1,3-oxazol-2-yl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-(aminosulfonyl)- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-(methylsulfonyl)- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[3-(diethylamino)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-morpholinyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-piperazinyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[3-(aminosulfonyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({3-[(dimethylamino)sulfonyl]benzyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-piperidinylsulfonyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(methylsulfonyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isopropylsulfonyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[3-(aminocarbonyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({3-[(dimethylamino)carbonyl]benzyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-cyanobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)phenylcarbamate,

3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)phenyl dimethylcarbamate,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-propynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-methyl-1-butynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(2-propynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(5-isobutyl-1,3,4-oxadiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(5-ethyl-1,3,4-oxadiazol-2-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(5-ethyl-1,3,4-thiadiazol-2-yl) methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(5-isobutyl-1,3,4-thiadiazol-2-yl) methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(3-ethyl-1,2,4-thiadiazol-5-yl) methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-isobutyl-1,2,4-thiadiazol-5-yl) methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-isobutyl-1,2,4-oxadiazol-5-yl) methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(3-ethyl-1,2,4-oxadiazol-5-yl) methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-oxazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-oxazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-isobutyl-1,3,4-oxadiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-isobutyl-1,3,4-thiadiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(5-ethyl-1,3,4-thiadiazol-2-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(5-ethyl-1,3,4-oxadiazol-2-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3-ethyl-1,2,4-oxadiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3-ethyl-1,2,4-thiadiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-1,2,4-thiadiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-1,2,4-oxadiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-2H-tetraazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-2H-tetraazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-4-pyrimidinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isopropyl-4-pyrimidinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethynyl-4-pyrimidinyl)methyl]amino}-2-hydroxypropyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(6-isopropyl-4-pyrimidinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[6-(dimethylamino)-4-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[2-(dimethylamino)-4-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[4-(dimethylamino)-2-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(4-isopropyl-2-pyrimidinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(4-ethyl-2-pyrimidinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(5-ethyl-3-pyridazinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-isopropyl-3-pyridazinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-propynyl)benzyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(6-isopropyl-4-pyridazinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}- N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(6-ethyl-4-pyridazinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(6-ethyl-2-pyrazinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(6-isopropyl-2-pyrazinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3,4,5-trifluorobenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-2-hydroxy-1-(3,4,5-trifluorobenzyl)-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-2-hydroxy-1-(2,3,5,6-tetrafluorobenzyl)-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2,3,5,6-tetrafluorobenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-6-methoxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-6-methoxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1R,2S)-6-ethyl-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1R,2S)-6-ethyl-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}-2-hydroxypropyl)-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(1H-indol-5-ylmethyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(1H-indol-5-ylmethyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-methylbenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-methylbenzyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethyl)benzyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethyl)benzyl]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-pyridinylmethyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-pyridinylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-[3-fluoro-5-(trifluoromethyl)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-[3-fluoro-5-(trifluoromethyl)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethoxy)benzyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethoxy)benzyl]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(3-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(3-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(4-methylbenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(4-methylbenzyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(4-fluoro-3-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(4-fluoro-3-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(4-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(4-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(3-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(3-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-chloro-5-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-chloro-5-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(4-chloro-3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(4-chloro-3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3,5-dichlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-dichlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-[4-(dimethylamino)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-[4-(dimethylamino)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-isopropylbenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-isopropylbenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(6-methoxy-2-pyridinyl)methyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(6-methoxy-2-pyridinyl)methyl]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(5-methyl-2-pyridinyl)methyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(5-methyl-2-pyridinyl)methyl]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-fluoro-4-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluoro-4-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-fluoro-4-methoxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluoro-4-methoxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-methoxy-5-methylbenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-methoxy-5-methylbenzyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1,3-thiazol-2-ylmethyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1,3-thiazol-2-ylmethyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-[(5-chloro-2-thienyl)methyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-[(5-chloro-2-thienyl)methyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(propylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)cyclopropyl]amino}-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)-1-methylethyl]amino}-2-hydroxypropyl)-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)-1-methylethyl]amino}-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)-1-methylethyl]amino}-2-hydroxypropyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)cyclopropyl]amino}-2-hydroxypropyl)-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-piperidinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-piperidinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(4-morpholinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-[(ethylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(ethylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(4-morpholinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(propylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(methylsulfonyl)amino]-1,3-thiazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-piperazinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-[(methylsulfonyl)amino]-1,3-thiazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-piperazinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N^4-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4,5-dicarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3-methylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-N^3-methylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-methyl-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-methyl-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3-ethyl-4-hydroxyisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(methylsulfonyl)amino]-3-isoxazolecarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-ethyl-4-hydroxyisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-3-isoxazolecarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(methylsulfonyl)amino]-5-isoxazolecarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3-ethyl-4-hydroxyisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(methylsulfonyl)amino]-5-isoxazolecarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-(hydroxymethyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^3-(cyclopropylmethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxyisophthalamide,

5-cyclopropyl-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-isopropyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^3-(cyclopropylmethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxyisophthalamide,

N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-[(1S,2R)-3-(cyclopropylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(4-hydroxybenzyl)propyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3-isobutylisophthalamide,

2-{[(cyclopropylmethyl)sulfonyl]amino}-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy- N^3-isobutyl-N^3-methylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^3-(cyclopropylmethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3-methylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3-methyl-N^3-propylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-N^3-methyl-N^3-propylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(phenylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N3-ethyl-4-hydroxy-N^3-propylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-{[(4-methylphenyl)sulfonyl]amino}-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N3-ethyl-4-hydroxy-N^3-propylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-{[(4-methylphenyl)sulfonyl]amino}-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(phenylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3, N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[methyl(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-N^3, N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[methyl(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-N^3, N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(ethylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-[(propylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(isopropylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(isobutylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(2-furylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-[(1,3-thiazol-5-ylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(1,3-oxazol-5-ylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(1,3-oxazol-4-ylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-[(1,3-thiazol-4-ylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-{[(1-methyl-1H-imidazol-4-yl)sulfonyl]amino}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(phenylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

5-{[(5-cyanopyridin-2-yl)sulfonyl]amino}-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-({[5-(trifluoromethyl)pyridin-2-yl]sulfonyl}amino)isophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(1-methyl-1H-imidazol-4-yl)sulfonyl]amino}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-({[5-(trifluoromethyl)pyridin-2-yl]sulfonyl}amino)benzamide,

3-{[(5-cyanopyridin-2-yl)sulfonyl]amino}-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(phenylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(methylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(ethylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(propylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isobutylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isopropylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(1-ethylpropyl)sulfonyl]amino}benzamide,

3-[(cyclohexylsulfonyl)amino]-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(1-propylbutyl)sulfonyl]amino}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(thien-2-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(2-furylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isoxazol-5-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isoxazol-3-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(3-furylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(thien-3-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1,3-thiazol-4-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1,3-thiazol-5-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1,3-thiazol-2-ylsulfonyl)amino]benzamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-(tert-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}isophthalamide,

N^1-(tert-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

5-bromo-N^1-(tert-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}isophthalamide,

3-tert-butoxy-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide,

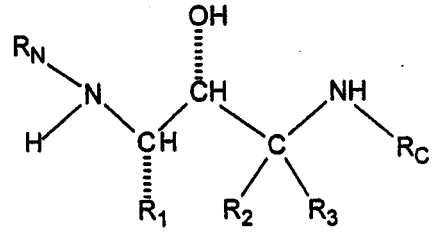
3-tert-butoxy-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(trifluoromethyl)sulfonyl]amino}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(trifluoromethoxy)benzamide, and

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(trifluoromethoxy)benzamide.

183 . A pharmaceutical composition which comprises a substituted amine of formula (X)

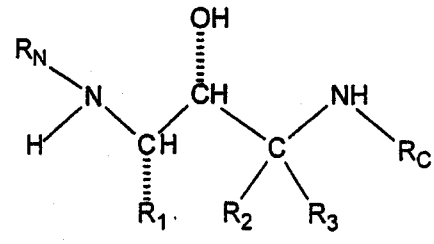


(X)

where R_1, R_2, R_3, R_N and R_C are as defined in claim 1,

or a pharmaceutically acceptable salt thereof, and one or more pharmaceutically acceptable inert carriers.

184. Use of a substituted amine of formula (X)



(X)

where R_1, R_2, R_3, R_N and R_C are as defined in claim 1;
and pharmaceutically acceptable salts thereof for the manufacture of a medicament for use in treating a patient who has, or in preventing a patient from getting, a disease or condition selected from the group consisting of Alzheimer's disease, for helping prevent or delay the onset of Alzheimer's disease, for treating patients with mild cognitive impairment (MCI) and preventing or delaying the onset of Alzheimer's disease in those who would progress from MCI to AD, for treating Down's syndrome, for treating humans who have Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, for treating cerebral amyloid angiopathy and preventing its potential consequences, i.e. single and recurrent lobar hemorrhages, for treating other degenerative dementias, including dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, or diffuse Lewy body type of Alzheimer's disease.

185. Use of a substituted amine of formula (X) according to claim 184, wherein the disease is Alzheimer's disease.

186. Use of a substituted amine of formula (X) according to claim 184, wherein the method is helping prevent or delay the onset of Alzheimer's disease,

187. Use of a substituted amine of formula (X) according to claim 184, wherein the disease is mild cognitive impairment.

188. Use of a substituted amine of formula (X) according to claim 184, wherein the disease is Down's syndrome.

189. Use of a substituted amine of formula (X) according to claim 184, wherein the disease is Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type.

190. Use of a substituted amine of formula (X) according to claim 184, wherein the disease is cerebral amyloid angiopathy.

191. Use of a substituted amine of formula (X) according to claim 184, wherein the disease is degenerative dementias.

192. Use of a substituted amine of formula (X) according to claim 184, wherein the disease is diffuse Lewy body type of Alzheimer's disease.

193. Use of a substituted amine of formula (X) according to claim 184, wherein the compound is a substituted amine of formula (X).

194. Use of a substituted amine of formula (X) according to claim 184, wherein the compound is a substituted amine with R_N cyclized of formula (X').

195. Use of a substituted amine of formula (X) according to claim 184, :

where R_1 is:

$-(CH_2)_{0-1}-(R_{1\text{-aryl}})$, or

$-(CH_2)_{n1}-(R_{1\text{-heteroaryl}})$

where R_N is:

$R_{N-1}-X_N-$ where X_N is selected from the group consisting of:

-CO-, and

$-SO_2-$,

where R_{N-1} is selected from the group consisting of:

$-R_{N\text{-aryl}}$, and

$-R_{N\text{-heteroaryl}}$, or

$-CO-CH(-(CH_2)_{0-2}-O-R_{N-10})-(CH_2)_{0-2}-R_{N\text{-aryl}}/R_{N\text{-heteroaryl}})$

where R_C is:

$-C_1-C_8$ alkyl,

$-(CH_2)_{0-3}-(C_3-C_7)$ cycloalkyl,

$-(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}\text{-}R_{C\text{-aryl}}$,

$-(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}\text{-}R_{C\text{-heteroaryl}}$,

$-(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}\text{-}R_{C\text{-heterocycle}}$, or

-cyclopentyl or -cyclohexyl ring fused to $R_{C\text{-aryl}}$ or $R_{C\text{-heteroaryl}}$ or $R_{C\text{-}}$ heterocycle.

196. Use of a substituted amine of formula (X) according to claim 184 where:

where R_1 is:

$-(CH_2)\text{-}(R_{1\text{-aryl}})$, or

$-(CH_2)\text{-}(R_{1\text{-heteroaryl}})$;

where R_2 is -H;

where R_3 is -H;

where R_N is:

$R_{N\text{-}1}\text{-}X_N$- where X_N is selected from the group consisting of:

-CO-,

where $R_{N\text{-}1}$ is selected from the group consisting of:

$R_{N\text{-aryl}}$, and

$-R_{N\text{-heteroaryl}}$,

where R_C is:

$-(CH_2)_{0\text{-}3}\text{-}(C_3\text{-}C_7)$ cycloalkyl,

$-(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}\text{-}R_{C\text{-aryl}}$,

$-(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}\text{-}R_{C\text{-heteroaryl}}$,

$-(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}\text{-}R_{C\text{-heterocycle}}$, or

-cyclopentyl or -cyclohexyl ring fused to a $R_{C\text{-aryl}}$ or $R_{C\text{-heteroaryl}}$ or $R_{C\text{-}}$ heterocycle.

197. Use of a substituted amine of formula (X) according to claim 195 where R_C is:

$-(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}\text{-}R_{C\text{-aryl}}$,

$-(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}\text{-}R_{C\text{-heteroaryl}}$, or

-cyclopentyl or -cyclohexyl ring fused to a $R_{C\text{-aryl}}$ or $R_{C\text{-heteroaryl}}$ or $R_{C\text{-}}$ heterocycle.

198. Use of a substituted amine of formula (X) according to claim 184 where R_1 is:

$-(CH_2)\text{-}(R_{1\text{-aryl}})$ where $R_{1\text{-aryl}}$ is phenyl.

199. Use of a substituted amine of formula (X) according to claim 198 where R_1 is: $-(CH_2)-(R_{1\text{-aryl}})$ where $R_{1\text{-aryl}}$ is phenyl substituted with two -F.

200. Use of a substituted amine of formula (X) according to claim 199 where the -F substitution is 3,5-difluorobenzyl.

201. Use of a substituted amine of formula (X) according to claim 184 where R_2 is -H.

202. Use of a substituted amine of formula (X) according to claim 184 where R_3 is -H.

203. Use of a substituted amine of formula (X) according to claim 184 where R_N is $R_{N\text{-}1}\text{-}X_N\text{-}$ where X_N is -CO-, and where $R_{N\text{-}1}$ is $R_{N\text{-aryl}}$ where $R_{N\text{-aryl}}$ is phenyl substituted with one $\text{-CO-NR}_{N\text{-}2}R_{N\text{-}3}$ where the substitution on phenyl is 1,3-.

204. Use of a substituted amine of formula (X) according to claim 203 where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are the same and are C_3 alkyl.

205. Use of a substituted amine of formula (X) according to claim 184 where R_N is $R_{N\text{-}1}\text{-}X_N\text{-}$ where X_N is-CO-, where $R_{N\text{-}1}$ is $R_{N\text{-aryl}}$ where $R_{N\text{-aryl}}$ is phenyl substituted with one C_1 alkyl and with one $\text{-CO-NR}_{N\text{-}2}R_{N\text{-}3}$ where the substitution on the phenyl is 1,3,5-.

206. Use of a substituted amine of formula (X) according to claim 205 where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are the same and are C_3 alkyl.

207. Use of a substituted amine of formula (X) according to claim 184 where R_N is $R_{N\text{-}1}\text{-}X_N\text{-}$ where X_N is -CO-, where $R_{N\text{-}1}$ is $R_{N\text{-heteroaryl}}$ where $R_{N\text{-heteroaryl}}$ is substituted with one $\text{-CO-NR}_{N\text{-}2}R_{N\text{-}3}$.

208. Use of a substituted amine of formula (X) according to claim 207 where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are the same and are $-C_3$ alkyl.

209. Use of a substituted amine of formula (X) according to claim 184 where R_C is:
-$(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}$-$R_{C\text{-}aryl}$ where $R_{C\text{-}aryl}$ is phenyl,
-$(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}$-$R_{C\text{-}heteroaryl}$, or
-cyclopentyl or -cyclohexyl ring fused to a $R_{C\text{-}aryl}$ or $R_{C\text{-}heteroaryl}$ or $R_{C\text{-}heterocycle}$.

210. Use of a substituted amine of formula (X) according to claim 209 where R_C is:
-$(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}$-$R_{C\text{-}aryl}$ where $R_{C\text{-}aryl}$ is phenyl.

211. Use of a substituted amine of formula (X) according to claim 210 where phenyl is substituted in the 3-position or 3,5-positions.

212. Use of a substituted amine of formula (X) according to claim 209 where R_C is:
-(CH_2)-$R_{C\text{-}heteroaryl}$.

213. Use of a substituted amine of formula (X) according to claim 209 where R_C is:
-(CH_2)-$R_{C\text{-}heterocycle}$.

214. Use of a substituted amine of formula (X) according to claim 209 where R_C is:
-cyclohexyl ring fused to a phenyl ring.

215. Use of a substituted amine of formula (X) according to claim 184 where the pharmaceutically acceptable salt is selected from the group consisting of salts of the following acids acetic, aspartic, benzenesulfonic, benzoic, bicarbonic, bisulfuric, bitartaric, butyric, calcium edetate, camsylic, carbonic, chlorobenzoic, citric, edetic, edisylic, estolic, esyl, esylic, formic, fumaric, gluceptic, gluconic, glutamic, glycollylarsanilic, hexamic, hexylresorcinoic, hydrabamic, hydrobromic, hydrochloric, hydroiodic, hydroxynaphthoic, isethionic, lactic, lactobionic, maleic, malic, malonic, mandelic, methanesulfonic, methylnitric, methylsulfuric, mucic, muconic, napsylic, nitric, oxalic, p-nitromethanesulfonic, pamoic, pantothenic, phosphoric, monohydrogen phosphoric, dihydrogen phosphoric, phthalic, polygalactouronic, propionic, salicylic, stearic, succinic, sulfamic, sulfanilic, sulfonic, sulfuric, tannic, tartaric, teoclic and toluenesulfonic.

216. Use of a substituted amine of formula (X) according to claim 184 where the substituted amine (X) is

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(ethylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(benzylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(isopropylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(4-toluidino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-methoxyphenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

ethyl {[(3S)-3-({3-[(dipropylamino)carbonyl]benzoyl}amino)-2-hydroxy-4-phenylbutyl]amino}(phenyl)acetate,

N^1-((1S)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-(hydroxymethyl)-2-(4-nitrophenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2-chlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(4-chlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-hydroxyethoxy)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(2,3-dihydro-1H-inden-1-ylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxypropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,2-diethoxyethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(butylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(cyclohexylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-[(2-aminobenzyl)amino]-1-benzyl-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(1-pyrrolidinyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-butoxypropyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-isopropoxypropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-phenylpropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,3-dimethylbutyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-phenylbutyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-benzyl-2-hydroxy-3-[(4-nitrobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-chlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(4-chlorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-pyridinyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-pyridinylmethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(1-methyl-2-pyrrolidinyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,3-dimethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2-chloro-6-phenoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[4-(trifluoromethyl)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,3-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[4-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-({2-[4-(aminosulfonyl)phenyl]ethyl}amino)-1-benzyl-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-methylbenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3,4,5-trimethoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,4-dimethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2-fluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-methylbenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-phenylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-1-phenylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethyl)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-1-(1-naphthyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-(1-naphthyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxy-3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-dihydroxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-methylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-2-hydroxy-1-methylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(2-propynylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(2-fluorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(3-fluorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(4-fluorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(4-bromophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S)-1-benzyl-2-hydroxy-3-{[2-(3-methoxyphenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(2,4-dichlorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(3-chlorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S)-1-benzyl-3-{[2-(2,5-dimethoxyphenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-methylphenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[(1R)-1-benzyl-2-hydroxyethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(4-morpholinyl)propyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(isobutylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-morpholinyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxybutyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-thienyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxybutyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-phenylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,4-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-2-hydroxy-1-phenylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(4-tert-butylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3- dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(1-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3- dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1,1-dimethyl-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(ethylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isobutylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isobutylamino)-2-methyl-3-oxopropyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[4-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1S)-1-benzyl-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]-2-methylpropyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[2-(dimethylamino)ethyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1S)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]-2-methylpropyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]butyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1S)-2-(benzylamino)-1-methyl-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-phenylpropyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1S)-2-(ethylamino)-1-methyl-2-oxoethyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-2-oxo-1-phenylethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(cyclohexylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3R,5S)-3,5-dimethoxycyclohexyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

dimethyl (1R,3S)-5-{[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylate,

(1R,3S)-5-{[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylic acid,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R)-1-phenylpropyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-chlorobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(2-propylpentyl)sulfonyl]benzamide,

N^1-[(1S,2R)-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylpropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-thienylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyrazinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-[(1,3-benzodioxol-5-ylmethyl)amino]-1-benzyl-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-methyl-2-furyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S;2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1,2,3,4-tetrahydro-1-naphthalenylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methoxy-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-chloro-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-fluoro-N^3,N^3-dipropylisophthalamide,

N^2-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-2,5-thiophenedicarboxamide,

N^4-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^2,N^2-dipropyl-2,4-pyridinedicarboxamide,

N^4-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^6,N^6dipropyl-4,6- pyrimidinedicarboxamide,

N-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-(4-morpholinylcarbonyl)benzamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^1-[(1S,2R)-3-{[(1R)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(pentylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S)-3-({2-[4-(aminosulfonyl)phenyl]ethyl}amino)-1-benzyl-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

3-benzoyl-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}[1,1'-biphenyl]-3-carboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3-(2-methoxyethyl)-N^3-propylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-ethoxybenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-naphthamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1R)-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,3-difluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-fluoro-4-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,5-difluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-difluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[4-fluoro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-chloro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[4-chloro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(2,3-dihydro-1H-inden-2-ylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-benzyl-2-hydroxy-3-[(3-nitrobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-(difluoromethoxy)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(5-methyl-2-pyrazinyl)methyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-bromo-4-fluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isobutoxybenzyl)amino]propyl} -5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(4-methyl-1,3-thiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3-methyl-N^3-propylisophthalamide,

N^2-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-2,5-furandicarboxamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1,2-diphenylethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide, isomer A,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide, isomer B,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(dimethylamino)benzamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2-methyl-1H-benzimidazole-5-carboxamide,

3-(aminosulfonyl)-N-{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-chlorobenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-cyanobenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-chloro-3-nitrobenzamide,

methyl 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-nitrobenzoate,

tert-butyl 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]phenylcarbamate,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-9,10-dioxo-9,10-dihydro-2-anthrancenylcarboxamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1H-1,2,3-benzotriazole-6-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1H-indole-5-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-fluoro-5-(trifluoromethyl)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(trifluoromethyl)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(butylamino)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(trifluoromethoxy)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,5-dimethoxybenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,5-dimethylbenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,5-difluorobenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,5-dichlorobenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(benzyloxy)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1,3-benzodioxole-5-carboxamide,

3-(acetylamino)-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}benzamide,

4-(acetylamino)-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}benzamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3,5-dimethyl-4-isoxazolyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-phenylpropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-furylmethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-3-furanylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-propoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-hydroxy-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[1-methyl-1-(3-methylphenyl)ethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1S)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[2-chloro-5-(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-5-methylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S,2R)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-ylamino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

5-chloro-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(1-benzofuran-2-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1R)-1-(3-bromophenyl)ethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyl(butyryl)amino]-5-methylbenzamide,

N^1-{1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^1,N^1-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-butyl-1H-indole-6-carboxamide,

N^1-[(1S,2R)-3-anilino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

5-bromo-N^1-[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-methylpentanamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-methylpentanamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-hydroxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano-N^3,N^3-dipropylisophthalamide hydrochloride,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

1- N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide trifluroacetate,

5-(aminosulfonyl)-N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(1-pyrrolidinylsulfonyl)isophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylamino)sulfonyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(dimethylamino)sulfonyl]-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-methyl-3-(methylsulfonyl)propanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(methylsulfonyl)propanamide,

2-amino-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1,3-thiazole-4-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methylsulfonyl)pentanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^4-phenylsuccinamide,

(3R)-N^4-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2,2,3-trimethylbutanediamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-oxo-4-(1-piperidinyl)butanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^4,N^4-dipropylsuccinamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5-phenylpentanediamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,3-dimethyl-4-oxo-4-(1-piperidinyl)butanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(isopentylsulfonyl)butanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2,2-dimethyl-N^4,N^4-dipropylsuccinamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-[(dipropylamino)sulfonyl]butanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-[(methylanilino)sulfonyl]butanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(methylanilino)sulfonyl]propanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}acetamide, N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(isopentylsulfonyl)propanamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide and

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-isobutyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-tert-butyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dimethyl-N^5,N^5-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-amino-1-benzyl-2-hydroxypropyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3-propyl-1,3,5-benzenetricarboxamide,

N-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyryl(propyl)amino]-5-methylbenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-aminobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}octanamide,

N^3-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl}amino)propyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-ylamino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-methylbenzamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1H-isoindol-3-ylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S,5R)-2-isopropyl-5-methylcyclohexyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1,N^1-diallyl-5-chloro-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}isophthalamide,

N^1,N^1-diallyl-5-chloro-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}isophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(4,5-dimethyl-2-furyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(cyclopropylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(cyclopropylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-oxo-3-azepanyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-methyl-2-furyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

5-chloro-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^3,N^3-di(2-propynyl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropenylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(hexylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide,

methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)benzoate,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-isoxazolylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

(1R,2R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

4-(butyrylamino)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}benzamide,

N^1-[(1S,2R)-3-[(3-amino-3-oxopropyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide 1-oxide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-methyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-thiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3R)-2-oxoazepanyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(cyclobutylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(5-hexynylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-methyl-2-furyl)methyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(2-furyl)-1-methylethyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-5-isoxazolyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(2-chlorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(2-oxo-1-pyrrolidinyl)propyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(cyclohexylmethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(cyclopropylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-oxo-3-azepanyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-(butylsulfonyl)benzamide,

N^1-[(1S,2R)-1-benzyl-3-({2-[(2-ethylhexyl)oxy]ethyl}amino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S,2R)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[1-(4-hydroxyphenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(cycloheptylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[([1,1'-biphenyl]-2-ylmethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2-fluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(dimethylamino)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-naphthamide,

N^1-[(1S,2R)-1-benzyl-3-({2-[({5-[(dimethylamino)methyl]-2-furyl}methyl)sulfanyl]ethyl}amino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-({2-[(2-chloro-6-fluorobenzyl)sulfanyl]ethyl}amino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[([1,1'-biphenyl]-4-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1-naphthylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1H-imidazol-5-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-phenyl-1H-imidazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-imidazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(2-butyl-4-chloro-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(6-chloroimidazo[2,1-b][1,3]thiazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-benzimidazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-hydroxy-1-naphthyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(4-oxo-4H-chromen-3-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1,5-dimethyl-3-oxo-2-phenyl-2,3-dihydro-1H-pyrazol-4-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-({[5-cyano-6-(methylsulfanyl)-2-pyridinyl]methyl}amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

[5-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-2-furyl]methyl acetate,

N^1-[(1S,2R)-3-[(1-benzofuran-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1-methyl-1H-pyrrole-2-carboxylate,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({[1-(phenylsulfonyl)-1H-pyrrol-2-yl]methyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-pyrrol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(4-chloro-1-methyl-1H-pyrazol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(5-chloro-3-methyl-1-phenyl-1H-pyrazol-4-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-phenyl-1H-pyrazol-4-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(5-chloro-2-thienyl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-phenoxy-2-thienyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-quinolinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-quinolinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-indol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1-benzyl-1H-indol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-indol-3-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[({1-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl}methyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(2-butyl-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

methyl 3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1H-indole-6-carboxylate,

3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-amino)carbonyl]-5-[butyl(butyryl)amino]benzyl diethyl phosphate,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(cyanomethyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(hydroxymethyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropyl-5-prop-1-ynylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(8-quinolinyl)isophthalamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-methoxy-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]-N^5,N^5-dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]-N^5,N^5-dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(3-thienyl)isophthalamide,

N-{(1R,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-pentanoylbenzamide,

N^1-(4-hydroxybutyl)-N^3-{(1S)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^1-propylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N^3-(3-hydroxypropyl)-5-methyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-(2,4-dimethylphenyl)propyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(4-methylphenyl)propyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1,3-dioxo-2-propyl-5-isoindolinecarboxamide,

N-{(1R,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-bromo-5-methylbenzamide,

3-bromo-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl- N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^1,N^1-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(2-furyl)-5-methylbenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3',5,5'-trimethyl-1,1'-biphenyl-3-carboxamide,

3'-Acetyl-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl[1,1'-biphenyl]-3-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3'-methoxy-5-methyl[1,1'-biphenyl]-3-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl[1,1'-biphenyl]-3-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(2-thienyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl}-3-methyl-5-(3-thienyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino] propyl}-3-methyl-5-(3-thienyl)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-3-(3-thienyl)benzamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3,N^5,N^5-tetrapropylbenzene-1,3,5-tricarboxamide,

N^1-{(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide,

Ethyl 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoate,

N^1-{(1S,2R)-2-Hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

5-Amino-N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(trifluoroacetyl)amino]isophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(thien-2-ylcarbonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methacryloylamino)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(2,2-dimethylpropanoyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide.

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methylthio)pentanamide,

tert-butyl (2R,3S)-3-({3-[(dipropylamino)sulfonyl]- propanoyl}amino)-2-hydroxy-4-phenylbutyl(3-methoxybenzyl)carbamate

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-[propionyl(propyl)amino]benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-butyl-1H-indole-5-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-bromo-5-methylbenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyl(propionyl)amino]-5-methylbenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-1-propyl-1H-indole-6-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-(1-propylbutyl)-1H-indole-6-carboxamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(2-oxo-2,3-dihydro-1,3-benzoxazol-6-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoic acid,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-prop-1-ynylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-(dipropylamino)isonicotinamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-hydroxy-2-(4-methylphenyl)acetamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-N3-methylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-hydroxy-2-(4-methoxy-3-nitrophenyl)acetamide,

5-(aminosulfonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-methoxybenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-3-(pyrrolidin-1-ylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(3,5-dimethylisoxazol-4-yl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(1,3-thiazol-2-yl)isophthalamide,

3-(cyclohexylcarbonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3-propylisophthalamide,

3-[cyclohexyl(hydroxy)methyl]-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-(4-methyl-1,3-oxazol-2-yl)-N^3,N^3-dipropylisophthalamide

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-1,2,4-oxadiazol -5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropy l}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-hydroxybut-1-ynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

1-{3-[({(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropy l}amino)carbonyl]-5-methylbenzoyl}-L-prolinamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-isopropyl-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-ethyl-N^3,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,5-dimethyl-N^3-prop-2-ynylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-isobutyl-5-methylisophthalamide,

N^1-(sec-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,N^3-diethyl-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,5-dimethyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-isopropyl-N^3,5-dimethylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^1,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-isobutyl-N^3,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-ethyl-5-methyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-ethyl-N^3-isopropyl-5-methylisophthalamide,

N^1,N^1-diallyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

3-(azepan-1-ylcarbonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)am ino]-2-hydroxypropyl}-5-methylbenzamide

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(4-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(3-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,N^3-diisopropyl-5-methylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^1-ethyl-5-methylisophthalamide,

N^1-(cyclopropylmethyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^1-propylisophthalamide,

1-{3-[({(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropy l}amino)carbonyl]-5-methylbenzoyl}-D-prolinamide,

N^1-cyclohexyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]- 2-hydroxypropyl}-N^1,5-dimethylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[1-(3-methylphenyl)cycloprop yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1,2,3,4-tetrahydronaphthalen-1-ylamino)propyl]-N^5,N^5-diisopropylpyridine-3,5-dicarboxamide, and

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(trifluoromethyl)sulfonyl]amino}benzamide.

217. Use of a a substituted amine of formula (X) according to claim 216 where the substituted amine (X) is selected from the group consisting of:

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-hydroxyethoxy)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-[(2-aminobenzyl)amino]-1-benzyl-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1,1-dimethyl-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isobutylamino)-2-methyl-3-oxopropyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1S)-1-benzyl-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]-2-methylpropyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1S)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]butyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(cyclohexylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

(1R,3S)-5-{[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylic acid,

N^1-[(1S,2R)-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylpropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-thienylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyrazinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methoxy-N^3,N^3-dipropylisophthalamide

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-chloro-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-fluoro-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-N3,N3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}[1,1'-biphenyl]-3-carboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3-(2-methoxyethyl)-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1R)-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[4-fluoro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[4-chloro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-benzyl-2-hydroxy-3-[(3-nitrobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-(difluoromethoxy)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-bromo-4-fluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(4-methyl-1,3-thiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3-methyl-N^3-propylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide, isomer B,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-furylmethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-3-furanylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-propoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-hydroxy-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[1-methyl-1-(3-methylphenyl)ethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1S)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[2-chloro-5-(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-5-methylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

5-chloro-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1R)-1-(3-bromophenyl)ethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-hydroxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano-N^3,N^3-dipropylisophthalamide hydrochloride,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

5-(aminosulfonyl)-N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(1-pyrrolidinylsulfonyl)isophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylamino)sulfonyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(dimethylamino)sulfonyl]-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-tert-butyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-aminobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl}amino)propyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-ylamino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

5-chloro-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^3,N^3-bis(2-methoxyethyl)isophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(4,5-dimethyl-2-furyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropenylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(hexylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide,

methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)benzoate,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-isoxazolylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

(1R,2R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide 1-oxide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-methyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-thiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(5-hexynylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-methyl-2-furyl)methyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(2-furyl)-1-methylethyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-5-isoxazolyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-[(1S,2R)-3-[([1,1'-biphenyl]-4-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1H-imidazol-5-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-phenyl-1H-imidazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(2-butyl-4-chloro-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-({[5-cyano-6-(methylsulfanyl)-2-pyridinyl]methyl}amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

[5-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-2-furyl]methyl acetate,

N^1-[(1S,2R)-3-[(1-benzofuran-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1-methyl-1H-pyrrole-2-carboxylate,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-pyrrol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(5-chloro-2-thienyl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-indol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1-benzyl-1H-indol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-indol-3-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(2-butyl-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

methyl 3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1H-indole-6-carboxylate,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(cyanomethyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(hydroxymethyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropyl-5-prop-1-ynylisophthalamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-methoxy-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide hydrochloride,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]-N^5,N^5-dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]-N^5,N^5-dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

N-{(1R,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-pentanoylbenzamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N^3-(3-hydroxypropyl)-5-methyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3,N^5,N^5-tetrapropylbenzene-1,3,5-tricarboxamide,

N^1-{(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide,

ethyl 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoate,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

5-amino-N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(thien-2-ylcarbonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methacryloylamino)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methylthio)pentanamide,

3-amino-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-methylbutanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-ethylhexanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(isobutylsulfonyl)amino]propanamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3-(isobutylsulfonyl)-beta-alaninamide,

5-bromo-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide, and

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(2-oxo-2,3-dihydro-1,3-benzoxazol-6-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoic acid,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-prop-1-ynylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-3-(pyrrolidin-1-ylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(1,3-thiazol-2-yl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3-propylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-1,2,4-oxadiazol -5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropy l}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-hydroxybut-1-ynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

1-{3-[({(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropy l}amino)carbonyl]-5-methylbenzoyl}-L-prolinamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-isopropyl-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-ethyl-N^3,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,5-dimethyl-N^3-prop-2-ynylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-isobutyl-5-methylisophthalamide,

N^1-(sec-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,N^3-diethyl-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,5-dimethyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-isopropyl-N^3,5-dimethylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^1,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-isobutyl-N^3,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-ethyl-5-methyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-ethyl-N^3-isopropyl-5-methylisophthalamide,

N^1,N^1-diallyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

3-(azepan-1-ylcarbonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylbenzamide

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(4-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(3-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,N^3-diisopropyl-5-methylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^1-ethyl-5-methylisophthalamide,

N^1-(cyclopropylmethyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^1-propylisophthalamide,

N^1-cyclohexyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]- 2-hydroxypropyl}-N^1,5-dimethylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[1-(3-methylphenyl)cycloprop yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide, and

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(trifluoromethyl)sulfonyl]amino}benzamide.

218. Use of a a substituted amine of formula (X) according to claim 217 where the substituted amine (X) is selected from the group consisting of:

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(2-ethylpentanoyl)-5-methylbenzamide,

N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-benzyl-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(2-ethylbutanoyl)-5-methylbenzamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-(2-propylpentanoyl)isophthalamide,

N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(2-ethylpentanoyl)-5-methylbenzamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-(2-propylpentanoyl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(2-propylpentanoyl)isophthalamide,

N-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(4-hydroxybenzyl)propyl]-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-pyridinyl)benzyl]amino}propyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-pyridinyl)benzyl]amino}propyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-5-(1-propynyl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3-dipropyl-5-(1-propynyl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3-dipropyl-5-(2-propynyl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-5-(2-propynyl)isophthalamide,

N^1-{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(3-thienylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-butynyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(2-thienylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-(benzylamino)-1-[4-(benzyloxy)benzyl]-2-hydroxypropyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(cyclohexylmethyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(1-naphthylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

2,3,5-trideoxy-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-5-[(3-methoxybenzyl)amino]-1-S-phenyl-1-thio-D-erythro-pentitol,

N^1-[(1S,2R)-3-(benzylamino)-1-(3-furylmethyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-methylbutyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(4-fluorobenzyl)-2-hydroxypropyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(2-furylmethyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-methylbutyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(4-hydroxybenzyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-butynyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-butynyl)- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

5-(benzylamino)-2,3,5-trideoxy-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-1-S-phenyl-1-thio-D-erythro-pentitol,

N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(4-hydroxybenzyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-methylbutyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3-furylmethyl)-2-hydroxypropyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-methylbutyl)- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(4-fluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(2-furylmethyl)-2-hydroxypropyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(1-naphthylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(2-thienylmethyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-3-(benzylamino)-1-[4-(benzyloxy)benzyl]-2-hydroxypropyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(3-thienylmethyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-butynyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-methylbutyl)- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-[3-(hydroxymethyl)benzyl]-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-[3-(hydroxymethyl)benzyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-[3-(hydroxymethyl)benzyl]-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-[4-(hydroxymethyl)benzyl]-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-[4-(hydroxymethyl)benzyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-[4-(hydroxymethyl)benzyl]-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-ethylbenzyl)amino]-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-[3-(benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-[3-(benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]propanamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide,

N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}propanamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide,

N^1-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide,

N^1-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]propanamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]propanamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- N^5,N^5-dipropylpentanediamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-{[(2R)-1-ethylpyrrolidinyl]carbonyl}-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-{[(2S)-1-ethylpyrrolidinyl]carbonyl}-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(1-ethyl-1H-imidazol-2-yl)carbonyl]-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(1-ethyl-4-methyl-1H-imidazol-5-yl)carbonyl]-5-methylbenzamide,

N^1-((1S,2S)-1-(3,5-difluorobenzyl)-2-hydroxy-2-{1-[(3-methoxybenzyl)amino]cyclopropyl}ethyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S,2S)-1-(3,5-difluorobenzyl)-2-{1-[(3-ethylbenzyl)amino]cyclopropyl}-2-hydroxyethyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

(1R,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^2,N^2-dipropyl-1,2,3-cyclopropanetricarboxamide,

(1R,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-phenyl- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-phenyl- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^2,N^2-dipropyl-1,2,3-cyclopropanetricarboxamide,

(1R,2R,3S)-3-(2-amino-2-oxoethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3R)-3-(2-amino-2-oxoethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3S)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-methylcyclopropanecarboxamide,

(1R,2R,3R)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-methylcyclopropanecarboxamide,

(1S,2R,3R)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-phenylcyclopropanecarboxamide,

(1S,2R,3S)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-phenylcyclopropanecarboxamide,

(1S,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[2-(dipropylamino)-2-oxoethyl]-1,2-cyclopropanedicarboxamide,

(1S,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[2-(dipropylamino)-2-oxoethyl]-1,2-cyclopropanedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-{methyl[(trifluoromethyl)sulfonyl]amino}- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-{methyl[(trifluoromethyl)sulfonyl]amino}- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-5-{propyl[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylsulfonyl)amino]- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-3-[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-thiazol-5-yl)methyl]amino}-2-hydroxypropyl)-3-[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}propyl)-3-[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-5-isoxazolyl)methyl]amino}propyl)-3-[(dipropylamino)sulfonyl]propanamide,

N-[(1S,2R)-3-[(3-cyclopropylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-[(dipropylamino)sulfonyl]propanamide,

N^1-[(1S,2R)-3-[(3-cyclopropylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1,3-thiazol-2-yl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1,3-oxazol-2-yl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-(aminosulfonyl)- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-(methylsulfonyl)- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[3-(diethylamino)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-morpholinyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-piperazinyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[3-(aminosulfonyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({3-[(dimethylamino)sulfonyl]benzyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-piperidinylsulfonyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(methylsulfonyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isopropylsulfonyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[3-(aminocarbonyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({3-[(dimethylamino)carbonyl]benzyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-cyanobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)phenylcarbamate,

3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)phenyl dimethylcarbamate,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-propynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-methyl-1-butynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(2-propynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(5-isobutyl-1,3,4-oxadiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(5-ethyl-1,3,4-oxadiazol-2-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(5-ethyl-1,3,4-thiadiazol-2-yl) methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(5-isobutyl-1,3,4-thiadiazol-2-yl) methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(3-ethyl-1,2,4-thiadiazol-5-yl) methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-isobutyl-1,2,4-thiadiazol-5-yl) methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-isobutyl-1,2,4-oxadiazol-5-yl) methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(3-ethyl-1,2,4-oxadiazol-5-yl) methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-oxazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-oxazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-isobutyl-1,3,4-oxadiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-isobutyl-1,3,4-thiadiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(5-ethyl-1,3,4-thiadiazol-2-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(5-ethyl-1,3,4-oxadiazol-2-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3-ethyl-1,2,4-oxadiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3-ethyl-1,2,4-thiadiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-1,2,4-thiadiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-1,2,4-oxadiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-2H-tetraazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-2H-tetraazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-4-pyrimidinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isopropyl-4-pyrimidinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethynyl-4-pyrimidinyl)methyl]amino}-2-hydroxypropyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(6-isopropyl-4-pyrimidinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[6-(dimethylamino)-4-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[2-(dimethylamino)-4-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[4-(dimethylamino)-2-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(4-isopropyl-2-pyrimidinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(4-ethyl-2-pyrimidinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(5-ethyl-3-pyridazinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-isopropyl-3-pyridazinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-propynyl)benzyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(6-isopropyl-4-pyridazinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}- N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(6-ethyl-4-

pyridazinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(6-ethyl-2-pyrazinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(6-isopropyl-2-pyrazinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3,4,5-trifluorobenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-2-hydroxy-1-(3,4,5-trifluorobenzyl)-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-2-hydroxy-1-(2,3,5,6-tetrafluorobenzyl)-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2,3,5,6-tetrafluorobenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-6-methoxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-6-methoxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1R,2S)-6-ethyl-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1R,2S)-6-ethyl-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}-2-hydroxypropyl)-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(1H-indol-5-ylmethyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(1H-indol-5-ylmethyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-methylbenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-methylbenzyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethyl)benzyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethyl)benzyl]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-pyridinylmethyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-pyridinylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-[3-fluoro-5-(trifluoromethyl)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-[3-fluoro-5-(trifluoromethyl)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethoxy)benzyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethoxy)benzyl]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(3-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(3-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(4-methylbenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(4-methylbenzyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(4-fluoro-3-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(4-fluoro-3-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(4-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(4-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(3-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(3-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-chloro-5-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-chloro-5-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(4-chloro-3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(4-chloro-3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3,5-dichlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-dichlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-[4-(dimethylamino)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-[4-(dimethylamino)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-isopropylbenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-isopropylbenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(6-methoxy-2-pyridinyl)methyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(6-methoxy-2-pyridinyl)methyl]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(5-methyl-2-pyridinyl)methyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(5-methyl-2-pyridinyl)methyl]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-fluoro-4-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluoro-4-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-fluoro-4-methoxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluoro-4-methoxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-methoxy-5-methylbenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-methoxy-5-methylbenzyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1,3-thiazol-2-ylmethyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1,3-thiazol-2-ylmethyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-[(5-chloro-2-thienyl)methyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-[(5-chloro-2-thienyl)methyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(propylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)cyclopropyl]amino}-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)-1-methylethyl]amino}-2-hydroxypropyl)-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)-1-methylethyl]amino}-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)-1-methylethyl]amino}-2-hydroxypropyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)cyclopropyl]amino}-2-hydroxypropyl)-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-piperidinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-piperidinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(4-morpholinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-[(ethylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(ethylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(4-morpholinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(propylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(methylsulfonyl)amino]-1,3-thiazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-piperazinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-[(methylsulfonyl)amino]-1,3-thiazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-piperazinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N^4-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4,5-dicarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3-methylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-N^3-methylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-methyl-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-methyl-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3-ethyl-4-hydroxyisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(methylsulfonyl)amino]-3-isoxazolecarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-ethyl-4-hydroxyisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-3-isoxazolecarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(methylsulfonyl)amino]-5-isoxazolecarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3-ethyl-4-hydroxyisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(methylsulfonyl)amino]-5-isoxazolecarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-(hydroxymethyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^3-(cyclopropylmethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxyisophthalamide,

5-cyclopropyl-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-isopropyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^3-(cyclopropylmethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxyisophthalamide,

N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-[(1S,2R)-3-(cyclopropylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(4-hydroxybenzyl)propyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3-isobutylisophthalamide,

2-{[(cyclopropylmethyl)sulfonyl]amino}-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy- N^3-isobutyl-N^3-methylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^3-(cyclopropylmethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3-methylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3-methyl-N^3-propylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-N^3-methyl-N^3-propylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(phenylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N3-ethyl-4-hydroxy-N^3-propylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-{[(4-methylphenyl)sulfonyl]amino}-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N3-ethyl-4-hydroxy-N^3-propylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-{[(4-methylphenyl)sulfonyl]amino}-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(phenylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3, N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[methyl(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-N^3, N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[methyl(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-N^3, N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(ethylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-[(propylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(isopropylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(isobutylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(2-furylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-[(1,3-thiazol-5-ylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(1,3-oxazol-5-ylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(1,3-oxazol-4-ylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-[(1,3-thiazol-4-ylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-{[(1-methyl-1H-imidazol-4-yl)sulfonyl]amino}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(phenylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

5-{[(5-cyanopyridin-2-yl)sulfonyl]amino}-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-({[5-(trifluoromethyl)pyridin-2-yl]sulfonyl}amino)isophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(1-methyl-1H-imidazol-4-yl)sulfonyl]amino}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-({[5-(trifluoromethyl)pyridin-2-yl]sulfonyl}amino)benzamide,

3-{[(5-cyanopyridin-2-yl)sulfonyl]amino}-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(phenylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(methylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(ethylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(propylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isobutylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isopropylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(1-ethylpropyl)sulfonyl]amino}benzamide,

3-[(cyclohexylsulfonyl)amino]-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(1-propylbutyl)sulfonyl]amino}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(thien-2-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(2-furylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isoxazol-5-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isoxazol-3-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(3-furylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(thien-3-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1,3-thiazol-4-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1,3-thiazol-5-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1,3-thiazol-2-ylsulfonyl)amino]benzamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-(tert-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}isophthalamide,

N^1-(tert-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

5-bromo-N^1-(tert-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}isophthalamide,

3-tert-butoxy-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide,

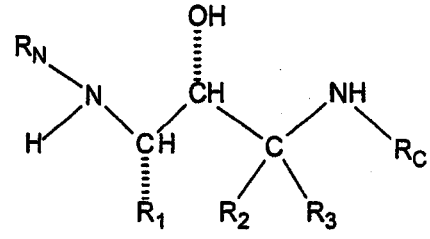
3-tert-butoxy-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(trifluoromethyl)sulfonyl]amino}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(trifluoromethoxy)benzamide, and

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(trifluoromethoxy)benzamide.

218. A method for inhibiting beta-secretase activity, comprising exposing said beta-secretase to an effective inhibitory amount of a compound of formula (X)



(X)

where R_1, R_2, R_3, R_N and R_C are as defined in claim 1,
or a pharmaceutically acceptable salt thereof.

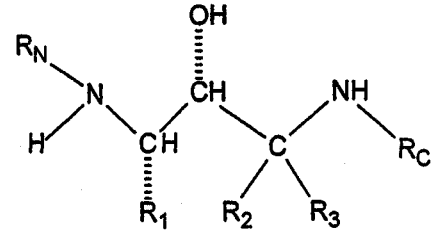
219. The method of claim 218, wherein said beta-secretase is exposed to said compound *in vitro*.

220. The method of claim 218, wherein said beta-secretase is exposed to said compound in a cell.

221. The method of claim 220, wherein said cell is in an animal.

222. The method of claim 221, wherein said animal is a human.

223. A method for inhibiting cleavage of amyloid precursor protein (APP), in a reaction mixture, at a site between Met596 and Asp597, numbered for the APP-695 amino acid isotype; or at a corresponding site of an isotype or mutant thereof, comprising exposing said reaction mixture to an effective inhibitory amount of a compound of formula (X)



(X)

where R_1, R_2, R_3, R_N and R_C are as defined in claim 1,

or a pharmaceutically acceptable salt thereof.

224. The method of claim 223, wherein said cleavage site is between Met652 and Asp653, numbered for the APP-751 isotype; between Met 671 and Asp 672, numbered for the APP-770 isotype; between Leu596 and Asp597 of the APP-695 Swedish Mutation; between Leu652 and Asp653 of the APP-751 Swedish Mutation; or between Leu671 and Asp672 of the APP-770 Swedish Mutation.

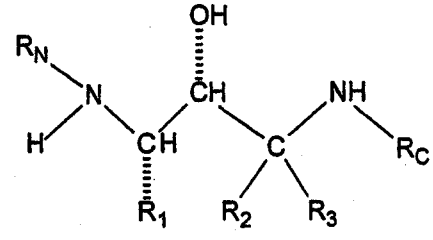
225. The method of claim 223, wherein said reaction mixture is exposed *in vitro*.

226. The method of claim 223, wherein said reaction mixture is exposed in a cell.

227. The method of claim 226, wherein said cell is an animal cell.

228. The method of claim 227, wherein said cell is a human cell.

229. A method for inhibiting production of amyloid beta peptide (A beta) in a cell, comprising administering to said cell an effective inhibitory amount of a compound of formula (X)



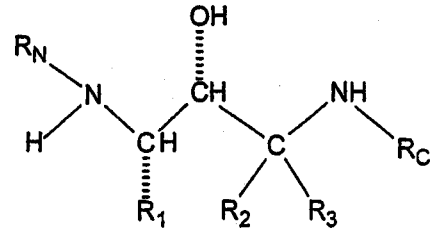
(X)

where R_1, R_2, R_3, R_N and R_C are as defined in claim 1,
or a pharmaceutically acceptable salt thereof.

230. The method of claim 229, wherein said administering is to an animal.

231. The method of claim 230, wherein said administering is to a human.

232. A method for inhibiting the production of beta-amyloid plaque in an animal, comprising administering to said animal an effective inhibitory amount of a compound of formula (X)

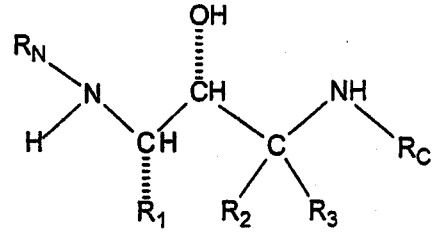


(X)

where R_1, R_2, R_3, R_N and R_C are as defined in claim 1,
or a pharmaceutically acceptable salt thereof.

233. The method of claim 232, wherein said animal is a human.

234. A method for treating or preventing a disease characterized by beta-amyloid deposits in the brain comprising administering to a patient an effective therapeutic amount of a compound of formula (X)



(X)

where R_1, R_2, R_3, R_N and R_C are as defined in claim 1,
or a pharmaceutically acceptable salt thereof.

235. The method of claim 234, wherein said therapeutic amount is in the range of from about 0.1 to about 1000 mg/day.

236. The method of claim 234, wherein said thereapeutic amount is in the range of from about 15 to about 1500 mg/day.

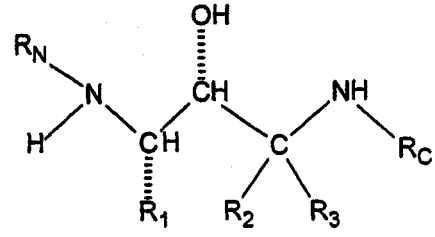
237. The method of claim 236, wherein said thereapeutic amount is in the range of from about 1 to about 100 mg/day.

238. The method of claim 237, wherein said thereapeutic amount is in the range of from about 5 to about 50 mg/day.

239. The method of claim 234, wherein said disease is Alzheimer's disease.

240. The method of claim 234, wherein said disease is Mild Cognitive Impairment, Down's Syndrome, or Hereditary Cerebral Hemmorrhage with Amyloidosis of the Dutch Type.

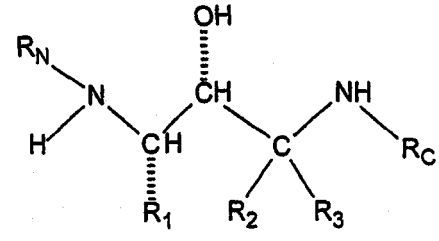
241. A composition comprising beta-secretase complexed with a compound of formula (X)



(X)

where R_1, R_2, R_3, R_N and R_C are as defined in claim 1,
or a pharmaceutically acceptable salt thereof.

242. A method for producing a beta-secretase complex comprising: exposing beta-secretase, in a reaction mixture under conditions suitable for the production of said complex, to a compound of formula (X)



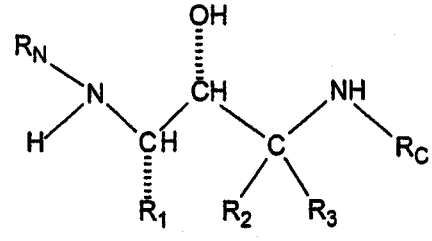
(X)

where R_1, R_2, R_3, R_N and R_C are as defined in claim 1,
or a pharmaceutically acceptable salt thereof.

243. The method of claim 242, where said exposing is *in vitro*.

244. The method of claim 242, wherein said reaction mixture is a cell.

245. A kit comprising component parts capable of being assembled, wherein at least one component part comprises, enclosed in a container, a compound of formula (X)

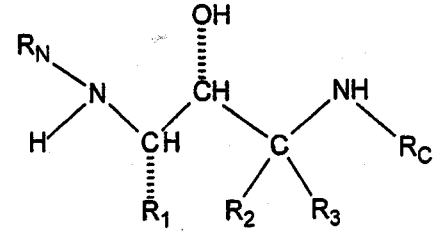


(X)

where R_1, R_2, R_3, R_N and R_C are as defined in claim 1,
or a pharmaceutically acceptable salt thereof.

246. The kit of claim 245, wherein said compound is lyophilized and at least one further component part comprises a diluent.

247. A kit comprising a plurality of containers, each container comprising one or more unit dose of a compound of formula (X)



(X)

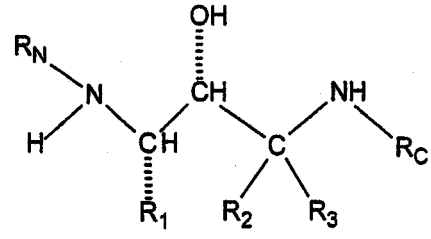
where R_1, R_2, R_3, R_N and R_C are as defined in claim 1,
or a pharmaceutically acceptable salt thereof.

248. The kit of claim 247, wherein each container is adapted for oral delivery and comprises a tablet, gel, or capsule.

249. The kit of claim 248, wherein each container is adapted for parenternal delivery and comprises a depot product, syringe, ampoule, or vial.

250. The kit of claim 248, wherein each container is adapted for topical delivery and comprises a patch, medipad, ointment, or cream.

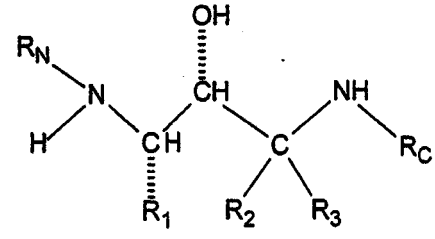
251. A kit comprising one or more therapeutic agent selected from the group consisting of an antioxidant, an anti-inflamatory, a gamma secretase inhibitor, a neurotrophic agent, an acetylcholinesterase inhibitor, a statin, an A beta peptide, and an anti-A beta antibody; and
a compound of formula (X)



(X)

where R_1, R_2, R_3, R_N and R_C are as defined in claim 1,
or a pharmaceutically acceptable salt thereof.

252. A composition comprising an inert diluent or edible carrier; and
a compound of formula (X)



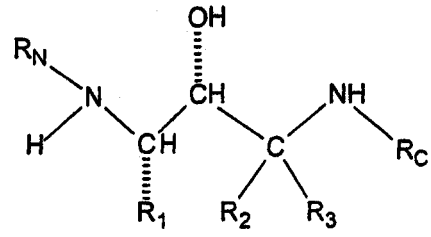
(X)

where R_1, R_2, R_3, R_N and R_C are as defined in claim 1,
or a pharmaceutically acceptable salt thereof.

253. The composition of claim 252, wherein said carrier is an oil.

254. A composition comprising a binder, excipient, disintegrating agent, lubricant, or gildant; and

a compound of formula (X)

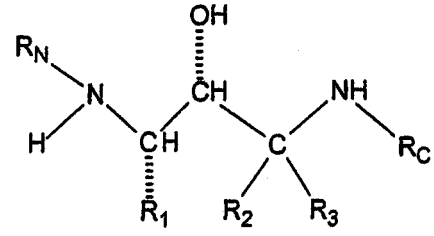


(X)

where R_1, R_2, R_3, R_N and R_C are as defined in claim 1,

or a pharmaceutically acceptable salt thereof..

255. A composition comprising a compound of formula (X)



(X)

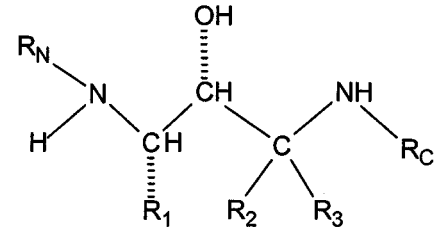
where R_1, R_2, R_3, R_N and R_C are as defined in claim 1,

or a pharmaceutically acceptable salt thereof,

and where the compound is disposed in a cream, ointment, or patch.

Claims

256. A substituted amine of formula (X)



(X)

where R_1 is:

(I) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, C_1-C_7 alkyl (optionally substituted with C_1-C_3 alkyl and C_1-C_3 alkoxy), -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl, and -OC=O $NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(II) -CH_2-$S(O)_{0\text{-}2}$-(C_1-C_6 alkyl),

(III) -CH_2-CH_2-$S(O)_{0\text{-}2}$-(C_1-C_6 alkyl),

(IV) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(V) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(VI) -$(CH_2)_{n1}$-($R_{1\text{-}aryl}$) where n_1 is zero or one and where $R_{1\text{-}aryl}$ is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally substituted with one, two, three, or four of the following substituents on the aryl ring:

(A) C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above, -C≡N, -CF_3, C_1-C_3 alkoxy,

(B) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(C) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(D) -F, Cl, -Br or -I,

(F) -C_1-C_6 alkoxy optionally substituted with one, two, or three of: -F,

(G) -$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are as defined below,

(H) -OH,

(I) -C≡N,

(J) C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(K) -CO-(C_1-C_4 alkyl),

(L) -SO_2-$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(M) -CO-$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above, or

(N) -SO_2-(C_1-C_4 alkyl),

(VII) -$(CH_2)_{n1}$-($R_{1\text{-heteroaryl}}$) where n_1 is as defined above and where $R_{1\text{-heteroaryl}}$ is selected from the group consisting of:

pyridinyl,

pyrimidinyl,

quinolinyl,

benzothienyl,

indolyl,

indolinyl,

pryidazinyl,

pyrazinyl,

isoindolyl,

30 DEC 2004

isoquinolyl,
quinazolinyl,
quinoxalinyl,
phthalazinyl,
imidazolyl,
isoxazolyl,
pyrazolyl,
oxazolyl,
thiazolyl,
indolizinyl,
indazolyl,
benzothiazolyl,
benzimidazolyl,
benzofuranyl,
furanyl,
thienyl,
pyrrolyl,
oxadiazolyl,
thiadiazolyl,
triazolyl,
tetrazolyl,
oxazolopyridinyl,
imidazopyridinyl,
isothiazolyl,
naphthyridinyl,
cinnolinyl,
carbazolyl,
beta-carbolinyl,
isochromanyl,
chromanyl,
tetrahydroisoquinolinyl,
isoindolinyl,
isobenzotetrahydrofuranyl,
isobenzotetrahydrothienyl,

isobenzothienyl,
benzoxazolyl,
pyridopyridinyl,
benzotetrahydrofuranyl,
benzotetrahydrothienyl,
purinyl,
benzodioxolyl,
triazinyl,
phenoxazinyl,
phenothiazinyl,
pteridinyl,
benzothiazolyl,
imidazopyridinyl,
imidazothiazolyl,
dihydrobenzisoxazinyl,
benzisoxazinyl,
benzoxazinyl,
dihydrobenzisothiazinyl,
benzopyranyl,
benzothiopyranyl,
coumarinyl,
isocoumarinyl,
chromonyl,
chromanonyl, and
pyridinyl-N-oxide
tetrahydroquinolinyl
dihydroquinolinyl
dihydroquinolinonyl
dihydroisoquinolinonyl
dihydrocoumarinyl
dihydroisocoumarinyl
isoindolinonyl
benzodioxanyl
benzoxazolinonyl

pyrrolyl N-oxide,
pyrimidinyl N-oxide,
pyridazinyl N-oxide,
pyrazinyl N-oxide,
quinolinyl N-oxide,
indolyl N-oxide,
indolinyl N-oxide,
isoquinolyl N-oxide,
quinazolinyl N-oxide,
quinoxalinyl N-oxide,
phthalazinyl N-oxide,
imidazolyl N-oxide,
isoxazolyl N-oxide,
oxazolyl N-oxide,
thiazolyl N-oxide,
indolizinyl N-oxide,
indazolyl N-oxide,
benzothiazolyl N-oxide,
benzimidazolyl N-oxide,
pyrrolyl N-oxide,
oxadiazolyl N-oxide,
thiadiazolyl N-oxide,
triazolyl N-oxide,
tetrazolyl N-oxide,
benzothiopyranyl S-oxide,
benzothiopyranyl S,S-dioxide,

where the $R_{1\text{-heteroaryl}}$ group is bonded to $-(CH_2)_{n1}-$ by any ring atom of the parent $R_{1\text{-heteroaryl}}$ group substituted by hydrogen such that the new bond to the $R_{1\text{-heteroaryl}}$ group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four:

(1) C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH,

-SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(2) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(3) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(4) -F, Cl, -Br or -I,

(6) -C_1-C_6 alkoxy optionally substituted with one, two, or three of: -F,

(7) -$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are as defined below,

(8) -OH,

(9) -C≡N,

(10) C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(11) -CO-(C_1-C_4 alkyl),

(12) -SO_2-$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(13) -CO-$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

or

(14) -SO_2-(C_1-C_4 alkyl), with the proviso that when n_1 is zero $R_{1\text{-heteroaryl}}$ is not bonded to the carbon chain by nitrogen, or

(VIII) -$(CH_2)_{n1}$-($R_{1\text{-heterocycle}}$) where n_1 is as defined above and $R_{1\text{-heterocycle}}$ is selected from the group consisting of:

morpholinyl,

thiomorpholinyl,

thiomorpholinyl S-oxide,

thiomorpholinyl S,S-dioxide,

piperazinyl,

homopiperazinyl,

pyrrolidinyl,
pyrrolinyl,
tetrahydropyranyl,
piperidinyl,
tetrahydrofuranyl,
tetrahydrothienyl,
homopiperidinyl,
homomorpholinyl,
homothiomorpholinyl,
homothiomorpholinyl S,S-dioxide, and
oxazolidinonyl,
dihydropyrazolyl
dihydropyrrolyl
dihydropyrazinyl
dihydropyridinyl
dihydropyrimidinyl
dihydrofuryl
dihydropyranyl
tetrahydrothienyl S-oxide
tetrahydrothienyl S,S-dioxide
homothiomorpholinyl S-oxide

where the $R_{1\text{-heterocycle}}$ group is bonded by any atom of the parent $R_{1\text{-heterocycle}}$ group substituted by hydrogen such that the new bond to the $R_{1\text{-heterocycle}}$ group replaces the hydrogen atom and its bond, where heterocycle is optionally substituted with one, two, three, or four:

(1) C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1\text{-a}}R_{1\text{-b}}$ where $R_{1\text{-a}}$ and $R_{1\text{-b}}$ are as defined above,

(2) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1\text{-a}}R_{1\text{-b}}$ where $R_{1\text{-a}}$ and $R_{1\text{-b}}$ are -H or C_1-C_6 alkyl,

(3) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(4) -F, Cl, -Br or -I,

(5) C_1-C_6 alkoxy,

(6) -C_1-C_6 alkoxy optionally substituted with one, two, or three of -F,

(7) -$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are as defined below,

(8) -OH,

(9) -C≡N,

(10) C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(11) -CO-(C_1-C_4 alkyl),

(12) -SO_2-$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(13) -CO-$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(14) -SO_2-(C_1-C_4 alkyl), or

(15) =O, with the proviso that when n_1 is zero $R_{1\text{-heterocycle}}$ is not bonded to the carbon chain by nitrogen;

where R_2 is:

(I)-H,

(II) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(III) -$(CH_2)_{0\text{-}4}$-$R_{2\text{-}1}$ where $R_{2\text{-}1}$ is $R_{1\text{-aryl}}$ or $R_{1\text{-heteroaryl}}$ where $R_{1\text{-aryl}}$ and $R_{1\text{-heteroaryl}}$ are as defined above;

(IV) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of

-F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl, -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(V) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl, or

(VI) -$(CH_2)_{0\text{-}4}$- C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl;

where R_3 is:

(I)-H,

(II) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(III) -$(CH_2)_{0\text{-}4}$-$R_{2\text{-}1}$ where $R_{2\text{-}1}$ is $R_{1\text{-aryl}}$ or $R_{1\text{-heteroaryl}}$ where $R_{1\text{-aryl}}$ and $R_{1\text{-heteroaryl}}$ are as defined above;

(IV) C_2-C_6 alkenyl with one or two double bonds,

(V) C_2-C_6 alkynyl with one or two triple bonds, or

(VI) -$(CH_2)_{0\text{-}4}$- C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

and where R_2 and R_3 are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-,

-S-, -SO_2-, and -$NR_{N\text{-}2}$-, where $R_{N\text{-}2}$ is as defined below;

where R_N is:

(I) $R_{N\text{-}1}$-X_N- where X_N is selected from the group consisting of:

(A) -CO-,

(B) -SO_2-,

(C) -(CR'R")$_{1-6}$ where R' and R" are the same or different and are -H or C_1-C_4 alkyl,

(D) -CO-(CR'R")$_{1-6}$-X_{N-1} where X_{N-1} is selected from the group consisting of -O-, -S- and -NR'- and where R' and R" are as defined above, and

(E) a single bond;

where R_{N-1} is selected from the group consisting of:

(A) $R_{N\text{-}aryl}$ where $R_{N\text{-}aryl}$ is phenyl, 1-naphthyl, 2-naphthyl, tetralinyl, indanyl, dihydronaphthyl or 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl, optionally substituted with one, two or three of the following substituents which can be the same or different and are:

(1) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(2) -OH,

(3) $-NO_2$,

(4) -F, -Cl, -Br, or -I,

(5) -CO-OH,

(6) -C≡N,

(7) $-(CH_2)_{0\text{-}4}$-CO-$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are the same or different and are selected from the group consisting of:

(a) -H,

(b) -C_1-C_6 alkyl optionally substituted with one substitutent selected from the group consisting of:

(i) -OH, and

(ii) $-NH_2$,

(c) -C_1-C_6 alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

(d) -C_3-C_7 cycloalkyl,

(e) -(C_1-C_2 alkyl)-(C_3-C_7 cycloalkyl),

(f) -(C_1-C_6 alkyl)-O-(C_1-C_3 alkyl),

(g) -C_2-C_6 alkenyl with one or two double bonds,

(h) -C_2-C_6 alkynyl with one or two triple bonds,

(i) -C_1-C_6 alkyl chain with one double bond and one triple bond,

(j) -$R_{1\text{-aryl}}$ where $R_{1\text{-aryl}}$ is as defined above, and

(k) -$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(8) -$(CH_2)_{0\text{-}4}$-CO-(C_1-C_{12} alkyl),

(9) -$(CH_2)_{0\text{-}4}$-CO-(C_2-C_{12} alkenyl with one, two or three double bonds),

(10) -$(CH_2)_{0\text{-}4}$-CO-(C_2-C_{12} alkynyl with one, two or three triple bonds),

(11) -$(CH_2)_{0\text{-}4}$-CO-(C_3-C_7 cycloalkyl),

(12) -$(CH_2)_{0\text{-}4}$-CO-$R_{1\text{-aryl}}$ where $R_{1\text{-aryl}}$ is as defined above,

(13) -$(CH_2)_{0\text{-}4}$-CO-$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(14) -$(CH_2)_{0\text{-}4}$-CO-$R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined above,

(15) -$(CH_2)_{0\text{-}4}$-CO-$R_{N\text{-}4}$ where $R_{N\text{-}4}$ is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of: C_1-C_6 alkyl,

(16) -$(CH_2)_{0\text{-}4}$-CO-O-$R_{N\text{-}5}$ where $R_{N\text{-}5}$ is selected from the group consisting of:

(a) C_1-C_6 alkyl,

(b) -$(CH_2)_{0\text{-}2}$-($R_{1\text{-aryl}}$) where $R_{1\text{-aryl}}$ is as defined above,

(c) C_2-C_6 alkenyl containing one or two double bonds,

(d) C_2-C_6 alkynyl containing one or two triple bonds,

(e) C_3-C_7 cycloalkyl, and

(f) -$(CH_2)_{0-2}$-($R_{1\text{-heteroaryl}}$) where $R_{1\text{-heteroaryl}}$ is as defined above,

(17) -$(CH_2)_{0-4}$-SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined above,

(18) -$(CH_2)_{0-4}$-SO-(C_1-C_8 alkyl),

(19) -$(CH_2)_{0-4}$-SO_2-(C_1-C_{12} alkyl),

(20) -$(CH_2)_{0-4}$-SO_2-(C_3-C_7 cycloalkyl),

(21) -$(CH_2)_{0-4}$-N(H or R_{N-5})-CO-O-R_{N-5} where R_{N-5} can be the same or different and is as defined above,

(22) -$(CH_2)_{0-4}$-N(H or R_{N-5})-CO-N(R_{N-5})$_2$, where R_{N-5} can be the same or different and is as defined above,

(23) -$(CH_2)_{0-4}$-N-CS-N(R_{N-5})$_2$, where R_{N-5} can be the same or different and is as defined above,

(24) -$(CH_2)_{0-4}$-N(-H or R_{N-5})-CO-R_{N-2} where R_{N-5} and R_{N-2} can be the same or different and are as defined above,

(25) -$(CH_2)_{0-4}$-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} can be the same or different and are as defined above,

(26) -$(CH_2)_{0-4}$-R_{N-4} where R_{N-4} is as defined above,

(27) -$(CH_2)_{0-4}$-O-CO-(C_1-C_6 alkyl),

(28) -$(CH_2)_{0-4}$-O-P(O)-($OR_{N\text{-aryl-}1}$)$_2$ where $R_{N\text{-aryl-}1}$ is -H or C_1-C_4 alkyl,

(29) -$(CH_2)_{0-4}$-O-CO-N(R_{N-5})$_2$ where R_{N-5} is as defined above,

(30) -$(CH_2)_{0-4}$-O-CS-N(R_{N-5})$_2$ where R_{N-5} is as defined above,

(31) -$(CH_2)_{0-4}$-O-(R_{N-5})$_2$ where R_{N-5} is as defined above,

(32) -$(CH_2)_{0-4}$-O-(R_{N-5})$_2$-COOH where R_{N-5} is as defined above,

(33) -$(CH_2)_{0-4}$-S-(R_{N-5})$_2$ where R_{N-5} is as defined above,

(34) -$(CH_2)_{0-4}$-O-(C_1-C_6 alkyl optionally substituted with one, two, three, four, or five -F),

(35) C_3-C_7 cycloalkyl,

(36) C_2-C_6 alkenyl with one or two double bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, or -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(37) C_2-C_6 alkynyl with one or two triple bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, or -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(38) -$(CH_2)_{0-4}$-N(-H or R_{N-5})-SO_2-R_{N-2} where R_{N-5} and R_{N-2} can be the same or different and are as described above, or

(39) -$(CH_2)_{0-4}$- C_3-C_7 cycloalkyl,

(B) -$R_{N\text{-}heteroaryl}$ where $R_{N\text{-}heteroaryl}$ is selected from the group consisting of:

pyridinyl,
pyrimidinyl,
quinolinyl,
benzothienyl,
indolyl,
indolinyl,
pryidazinyl,
pyrazinyl,
isoindolyl,
isoquinolyl,
quinazolinyl,
quinoxalinyl,
phthalazinyl,
imidazolyl,
isoxazolyl,
pyrazolyl,
oxazolyl,
thiazolyl,
indolizinyl,

indazolyl,
benzothiazolyl,
benzimidazolyl,
benzofuranyl,
furanyl,
thienyl,
pyrrolyl,
oxadiazolyl,
thiadiazolyl,
triazolyl,
tetrazolyl,
oxazolopyridinyl,
imidazopyridinyl,
isothiazolyl,
naphthyridinyl,
cinnolinyl,
carbazolyl,
beta-carbolinyl,
isochromanyl,
chromanyl,
tetrahydroisoquinolinyl,
isoindolinyl,
isobenzotetrahydrofuranyl,
isobenzotetrahydrothienyl,
isobenzothienyl,
benzoxazolyl,
pyridopyridinyl,
benzotetrahydrofuranyl,
benzotetrahydrothienyl,
purinyl,
benzodioxolyl,
triazinyl,
phenoxazinyl,
phenothiazinyl,

pteridinyl,
benzothiazolyl,
imidazopyridinyl,
imidazothiazolyl,
dihydrobenzisoxazinyl,
benzisoxazinyl,
benzoxazinyl,
dihydrobenzisothiazinyl,
benzopyranyl,
benzothiopyranyl,
coumarinyl,
isocoumarinyl,
chromonyl,
chromanonyl, and
pyridinyl-N-oxide,
tetrahydroquinolinyl
dihydroquinolinyl
dihydroquinolinonyl
dihydroisoquinolinonyl
dihydrocoumarinyl
dihydroisocoumarinyl
isoindolinonyl
benzodioxanyl
benzoxazolinonyl
pyrrolyl N-oxide,
pyrimidinyl N-oxide,
pyridazinyl N-oxide,
pyrazinyl N-oxide,
quinolinyl N-oxide,
indolyl N-oxide,
indolinyl N-oxide,
isoquinolyl N-oxide,
quinazolinyl N-oxide,
quinoxalinyl N-oxide,

phthalazinyl N-oxide,

imidazolyl N-oxide,

isoxazolyl N-oxide,

oxazolyl N-oxide,

thiazolyl N-oxide,

indolizinyl N-oxide,

indazolyl N-oxide,

benzothiazolyl N-oxide,

benzimidazolyl N-oxide,

pyrrolyl N-oxide,

oxadiazolyl N-oxide,

thiadiazolyl N-oxide,

triazolyl N-oxide,

tetrazolyl N-oxide,

benzothiopyranyl S-oxide,

benzothiopyranyl S,S-dioxide,

where the $R_{N\text{-}heteroaryl}$ group is bonded by any atom of the parent $R_{N\text{-}heteroaryl}$ group substituted by hydrogen such that the new bond to the $R_{N\text{-}heteroaryl}$ group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four of:

(1) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(2) -OH,

(3) $-NO_2$,

(4) -F, -Cl, -Br, or -I

(5) -CO-OH,

(6) -C≡N,

(7) $-(CH_2)_{0\text{-}4}$-CO-$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are the same or different and are selected from the group consisting of:

(a) -H,

(b) -C_1-C_6 alkyl optionally substituted with one substitutent selected from the group consisting of:

(i) -OH, and

(ii) -NH_2,

(c) -C_1-C_6 alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

(d) -C_3-C_7 cycloalkyl,

(e) -(C_1-C_2 alkyl)-(C_3-C_7 cycloalkyl),

(f) -(C_1-C_6 alkyl)-O-(C_1-C_3 alkyl),

(g) -C_2-C_6 alkenyl with one or two double bonds,

(h) -C_2-C_6 alkynyl with one or two triple bonds,

(i) -C_1-C_6 alkyl chain with one double bond and one triple bond,

(j) -$R_{1\text{-aryl}}$ where $R_{1\text{-aryl}}$ is as defined above, and

(k) -$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(8) -$(CH_2)_{0\text{-}4}$-CO-(C_1-C_{12} alkyl),

(9) -$(CH_2)_{0\text{-}4}$-CO-(C_2-C_{12} alkenyl with one, two or three double bonds),

(10) -$(CH_2)_{0\text{-}4}$-CO-(C_2-C_{12} alkynyl with one, two or three triple bonds),

(11) -$(CH_2)_{0\text{-}4}$-CO-(C_3-C_7 cycloalkyl),

(12) -$(CH_2)_{0\text{-}4}$-CO-$R_{1\text{-aryl}}$ where $R_{1\text{-aryl}}$ is as defined above,

(13) -$(CH_2)_{0\text{-}4}$-CO-$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(14) -$(CH_2)_{0\text{-}4}$-CO-$R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined above,

(15) -$(CH_2)_{0\text{-}4}$-CO-$R_{N\text{-}4}$ where $R_{N\text{-}4}$ is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is

optionally substituted with one, two, three, or four of: C_1-C_6 alkyl,

(16) -$(CH_2)_{0-4}$-CO-O-R_{N-5} where R_{N-5} is selected from the group consisting of:

(a) C_1-C_6 alkyl,

(b) -$(CH_2)_{0-2}$-(R_{1-aryl}) where R_{1-aryl} is as defined above,

(c) C_2-C_6 alkenyl containing one or two double bonds,

(d) C_2-C_6 alkynyl containing one or two triple bonds,

(e) C_3-C_7 cycloalkyl,

(f) -$(CH_2)_{0-2}$-($R_{1-heteroaryl}$) where $R_{1-heteroaryl}$ is as defined above,

(17) -$(CH_2)_{0-4}$-SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined above,

(18) -$(CH_2)_{0-4}$-SO-(C_1-C_8 alkyl),

(19) -$(CH_2)_{0-4}$-SO_2-(C_1-C_{12} alkyl),

(20) -$(CH_2)_{0-4}$-SO_2-(C_3-C_7 cycloalkyl),

(21) -$(CH_2)_{0-4}$-N(H or R_{N-5})-CO-O-R_{N-5} where R_{N-5} can be the same or different and is as defined above,

(22) -$(CH_2)_{0-4}$-N(H or R_{N-5})-CO-N(R_{N-5})$_2$, where R_{N-5} can be the same or different and is as defined above,

(23) -$(CH_2)_{0-4}$-N-CS-N(R_{N-5})$_2$, where R_{N-5} can be the same or different and is as defined above,

(24) -$(CH_2)_{0-4}$-N(-H or R_{N-5})-CO-R_{N-2} where R_{N-5} and R_{N-2} can be the same or different and are as defined above,

(25) -$(CH_2)_{0-4}$-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} can be the same or different and are as defined above,

(26) -$(CH_2)_{0-4}$-R_{N-4} where R_{N-4} is as defined above,

(27) -$(CH_2)_{0-4}$-O-CO-(C_1-C_6 alkyl),

(28) -$(CH_2)_{0-4}$-O-P(O)-($OR_{N-aryl-1}$)$_2$ where $R_{N-aryl-1}$ is -H or C_1-C_4 alkyl,

(29) -$(CH_2)_{0-4}$-O-CO-N(R_{N-5})$_2$ where R_{N-5} is as defined above,

(30) -$(CH_2)_{0-4}$-O-CS-N$(R_{N-5})_2$ where R_{N-5} is as defined above,

(31) -$(CH_2)_{0-4}$-O-$(R_{N-5})_2$ where R_{N-5} is as defined above,

(32) -$(CH_2)_{0-4}$-O-($R_{N-5})_2$-COOH where R_{N-5} is as defined above,

(33) -$(CH_2)_{0-4}$-S-($R_{N-5})_2$ where R_{N-5} is as defined above,

(34) -$(CH_2)_{0-4}$-O-(C_1-C_6 alkyl optionally substituted with one, two, three, four, or five of: -F),

(35) C_3-C_7 cycloalkyl,

(36) C_2-C_6 alkenyl with one or two double bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, or -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(37) C_2-C_6 alkynyl with one or two triple bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, or -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, or

(38) -$(CH_2)_{0-4}$-N(-H or R_{N-5})-SO_2-R_{N-2} where R_{N-5} and R_{N-2} can be the same or different and are as described above, or

(39) -$(CH_2)_{0-4}$- C_3-C_7 cycloalkyl,

(C) $R_{N\text{-}aryl}$-W-$R_{N\text{-}aryl}$, where $R_{N\text{-}aryl}$ is defined as above,

(D) $R_{N\text{-}aryl}$-W-$R_{N\text{-}heteroaryl}$, where $R_{N\text{-}aryl}$ and $R_{N\text{-}heteroaryl}$ are as defined above,

(E) $R_{N\text{-}aryl}$-W-$R_{N\text{-}1\text{-}heterocycle}$, where $R_{N\text{-}heterocycle}$ is defined as $R_{1\text{-}heterocycle}$, is defined above,

(F) $R_{N\text{-}heteroaryl}$-W-$R_{N\text{-}aryl}$, where $R_{N\text{-}aryl}$ and $R_{n\text{-}heteroaryl}$ are as defined above,

(G) $R_{N\text{-}heteroaryl}$-W-$R_{N\text{-}heteroaryl}$, where $R_{N\text{-}heteroaryl}$ is as defined above,

(H) $R_{N\text{-}heteroaryl}$-W-$R_{N\text{-}1\text{-}heterocycle}$, where $R_{N\text{-}1\text{-}heterocycle}$ is as defined as $R_{1\text{-}heterocycle}$ is as defined above, and where $R_{N\text{-}heteroaryl}$ is as defined above,

(I) $R_{N\text{-}heterocycle}$-W-$R_{N\text{-}aryl}$, where $R_{N\text{-}heterocycle}$ is as defined as $R_{1\text{-}heterocycle}$ is defined and where $R_{N\text{-}aryl}$ are as defined above,

(J) $R_{N\text{-heterocycle}}$-W-$R_{N\text{-heteroaryl}}$, where $R_{N\text{-heterocycle}}$ is as defined as $R_{1\text{-heterocycle}}$ as defined above and $R_{N\text{-heteroaryl}}$ are as defined above, and

(K) $R_{N\text{-heterocycle}}$-W-$R_{N\text{-1-heterocycle}}$, where $R_{N\text{-heterocycle}}$ and $R_{N\text{-heteroaryl}}$ are as defined above,

where W is

(1) -$(CH_2)_{0\text{-}4}$-,

(2) -O-,

(3) -$S(O)_{0\text{-}2}$-,

(4) -$N(R_{N\text{-}5})$- where $R_{N\text{-}5}$ is as defined above, or

(5) -CO-$_1$

(II) -CO-(C_1-C_{10} alkyl) where alkyl is optionally substituted with one, two, or three substitutents selected from the group consisting of:

(A) -OH,

(B) -C_1-C_6 alkoxy,

(C) -C_1-C_6 thioalkoxy,

(D) -CO-O-$R_{N\text{-}8}$ where $R_{N\text{-}8}$ is -H, C_1-C_6 alkyl or -phenyl,

(E) -CO-$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are the same or different and are as defined above,

(F) -CO-$R_{N\text{-}4}$ where $R_{N\text{-}4}$ is as defined above,

(G) -SO_2-(C_1-C_8 alkyl),

(H) -SO_2-$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are the same or different and are as defined above,

(I) -NH-CO-(C_1-C_6 alkyl),

(J) -NH-CO-O-$R_{N\text{-}8}$ where $R_{N\text{-}8}$ is as defined above,

(K) -$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are the same or different and are as defined above,

(L) -$R_{N\text{-}4}$ where $R_{N\text{-}4}$ is as defined above,

(M) -O-CO-(C_1-C_6 alkyl),

(N) -O-CO-$NR_{N\text{-}8}R_{N\text{-}8}$ where $R_{N\text{-}8}$ are the same or different and are as defined above,

(O) -O-(C_1-C_5 alkyl)-COOH,

(P) -O-(C_1-C_6 alkyl optionally substitued with one, two, or three of: -F, -Cl, -Br, or -I),

(Q) -NH-SO_2-(C_1-C_6 alkyl), and

(R) -F, or -Cl

(III) -CO-(C_1-C_6 alkyl)-O-(C_1-C_6 alkyl) where alkyl isoptionally substituted with one, two, or three substitutents selected from the group consisting of:

(A) -OH,

(B) -C_1-C_6 alkoxy,

(C) -C_1-C_6 thioalkoxy,

(D) -CO-O-R_{N-8} where R_{N-8} is -H, C_1-C_6 alkyl or -ϕ,

(E) -CO-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) -CO-R_{N-4} where R_{N-4} is as defined above,

(G) -SO_2-(C_1-C_8 alkyl),

(H) -SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(I) -NH-CO-(C_1-C_6 alkyl),

(J) -NH-CO-O-R_{N-8} where R_{N-8} is as defined above,

(K) -$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(L) -R_{N-4} where R_{N-4} is as defined above,

(M) -O-CO-(C_1-C_6 alkyl),

(N) -O-CO-$NR_{N-8}R_{N-8}$ where the R_{N-8}s are the same or different and are as defined above,

(O) -O-(C_1-C_5 alkyl)-COOH,

(P) -O-(C_1-C_6 alkyl optionally substitued with one, two, or three of: -F, -Cl, -Br, or -I),

(Q) -NH-SO_2-(C_1-C_6 alkyl),

(R) -F, -Cl,

(IV) -CO-(C_1-C_6 alkyl)-S-(C_1-C_6 alkyl) where alkyl is optionally substituted with one, two, or three substitutents selected from the group consisting of:

(A) -OH,

(B) -C_1-C_6 alkoxy,

(C) -C_1-C_6 thioalkoxy,

(D) -CO-O-R_{N-8} where R_{N-8} is as defined above,

(E) -CO-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) -CO-R_{N-4} where R_{N-4} is as defined above,

(G) -SO_2-(C_1-C_8 alkyl),

(H) -SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(I) -NH-CO-(C_1-C_6 alkyl),

(J) -NH-CO-O-R_{N-8} where R_{N-8} is as defined above,

(K) -$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(L) -R_{N-4} where R_{N-4} is as defined above,

(M) -O-CO-(C_1-C_6 alkyl),

(N) -O-CO-$NR_{N-8}R_{N-8}$ where R_{N-8} are the same or different and are as defined above,

(O) -O-(C_1-C_5 alkyl)-COOH,

(P) -O-(C_1-C_6 alkyl optionally substitued with one, two, or three of: -F, -Cl, -Br, -I),

(Q) -NH-SO_2-(C_1-C_6 alkyl),

(R) -F, or -Cl,

(V) -CO-CH(-$(CH_2)_{0-2}$-O-R_{N-10})-$(CH_2)_{0-2}$-$R_{N\text{-}aryl}$/$R_{N\text{-}heteroaryl}$) where R_{N-aryl} and $R_{N-heteroaryl}$ are as defined above, where R_{N-10} is selected from the group consisting of:

(A) -H,

(B) C_1-C_6 alkyl,

(C) C_3-C_7 cycloalkyl,

(D) C_2-C_6 alkenyl with one double bond,

(E) C_2-C_6 alkynyl with one triple bond,

(F) R_{1-aryl} where R_{1-aryl} is as defined above, and

(G) $R_{N-heteroaryl}$ where $R_{N-heteroaryl}$ is as defined above, or

(VI) -CO-(C_3-C_8 cycloalkyl) where alkyl is optionally substituted with one or two substitutents selected from the group consisting of:

(A) -$(CH_2)_{0-4}$-OH,

(B) -$(CH_2)_{0-4}$-C_1-C_6 alkoxy,

(C) -$(CH_2)_{0-4}$-C_1-C_6 thioalkoxy,

(D) -$(CH_2)_{0-4}$-CO-O-R_{N-8} where R_{N-8} is -H, C_1-C_6 alkyl or -phenyl,

(E) -$(CH_2)_{0-4}$-CO-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) -$(CH_2)_{0-4}$-CO-R_{N-4} where R_{N-4} is as defined above,

(G) -$(CH_2)_{0-4}$-SO_2-(C_1-C_8 alkyl),

(H) -$(CH_2)_{0-4}$-SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(I) -$(CH_2)_{0-4}$-NH-CO-(C_1-C_6 alkyl),

(J) -NH-CO-O-R_{N-8} where R_{N-8} is as defined above,

(K) -$(CH_2)_{0-4}$-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(L) -$(CH_2)_{0-4}$-R_{N-4} where R_{N-4} is as defined above,

(M) -O-CO-(C_1-C_6 alkyl),

(N) -O-CO-$NR_{N-8}R_{N-8}$ where R_{N-8} are the same or different and are as defined above,

(O) -O-(C_1-C_5 alkyl)-COOH,

(P) -O-(C_1-C_6 alkyl optionally substitued with one, two, or three of: -F, -Cl, -Br, or -I),

(Q) -NH-SO_2-(C_1-C_6 alkyl), and

(R) -F, or -Cl,

where R_C is:

(I)-C_1-C_{10} alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, -OC=O $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, -$S(=O)_{0-2}$ R_{1-a} where R_{1-a} is as defined above, - NR_{1-a}C=O $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as

defined above, -C=O $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, and -$S(=O)_2$ $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(II) -$(CH_2)_{0-3}$-(C_3-C_8) cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, -O-phenyl, -CO-OH, -CO-O-(C_1-C_4 alkyl), and -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(III) -$(CR_{C-x}R_{C-y})_{0-4}$-R_{C-aryl} where R_{C-x} and R_{C-y} are

-H,

C_1-C_4 alkyl optionally substituted with one or two -OH,,

C_1-C_4 alkoxy optionally substituted with one, two, or three of: -F,

-$(CH_2)_{0-4}$-C_3-C_7 cycloalkyl,

C_2-C_6 alkenyl containing one or two double bonds,

C_2-C_6 alkynyl contianing one or two triple bonds,

phenyl-,

and where R_{C-x} and R_{C-y} are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO_2-, and -NR_{N-2}- and R_{C-aryl} is the same as R_{N-aryl};

(IV) -$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heteroaryl}$ where $R_{C-heteroaryl}$ is the same as $R_{N-heteroaryl}$ and R_{C-x} and R_{C-y} are as defined above,

(V) -$(CR_{C-x}R_{C-y})_{0-4}$-R_{C-aryl}-R_{C-aryl} where R_{C-aryl}, R_{C-x} and R_{C-y} are as defined above,

(VI) -$(CR_{C-x}R_{C-y})_{0-4}$-R_{C-aryl}-$R_{C-heteroaryl}$ where R_{C-aryl} , $R_{C-heteroaryl}$, R_{C-x} and R_{C-y} are as defined above,

(VII) -$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heteroaryl}$-R_{C-aryl} where $R_{C-heteroaryl}$, R_{C-aryl}, R_{C-x} and R_{C-y} are as defined above,

(VIII) -$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heteroaryl}$-$R_{C-heteroaryl}$ where $R_{C-heteroaryl}$, R_{C-x} and R_{C-y} are as defined above,

(IX) -$(CR_{C-x}R_{C-y})_{0-4}$-R_{C-aryl}-$R_{C-heterocycle}$ where R_{C-aryl}, R_{C-x} and R_{C-y} are as defined above, and $R_{C-heterocycle}$ is the same as $R_{N-heterocycle}$,

(X) -$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heteroaryl}$-$R_{C-heterocycle}$ where $R_{C-heteroaryl}$, $R_{C-heterocycle}$, R_{C-x} and R_{C-y} are as defined above,

(XI) -$(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}$-$R_{C\text{-heterocycle}}$-$R_{C\text{-aryl}}$ where $R_{C\text{-heterocycle}}$, $R_{C\text{-aryl}}$, $R_{C\text{-}x}$ and $R_{C\text{-}y}$ are as defined above,

(XII) -$(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}$-$R_{C\text{-heterocycle}}$-$R_{C\text{-heteroaryl}}$ where $R_{C\text{-heterocycle}}$, $R_{C\text{-heteroaryl}}$, $R_{C\text{-}x}$ and $R_{C\text{-}y}$ are as defined above,

(XIII) -$(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}$-$R_{C\text{-heterocycle}}$-$R_{C\text{-heterocycle}}$ where $R_{C\text{-heterocycle}}$, $R_{C\text{-}x}$ and $R_{C\text{-}y}$ are as defined above,

(XIV) -$(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}$-$R_{C\text{-heterocycle}}$ where $R_{C\text{-heterocycle}}$, $R_{C\text{-}x}$ and $R_{C\text{-}y}$ are as defined above,

(XV) -$[C(R_{C\text{-}1})(R_{C\text{-}2})]_{1\text{-}3}$-CO-N-$(R_{C\text{-}3})_2$ where $R_{C\text{-}1}$ and $R_{C\text{-}2}$ are the same or different and are selected from the group consisting of:

(A) -H,

(B) -C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, -O- phenyl, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(C) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, -O- phenyl, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(D) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, -O- phenyl, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(E) -$(CH_2)_{1\text{-}2}$-$S(O)_{0\text{-}2}$-(C_1-C_6 alkyl),

(F) -$(CH_2)_{0\text{-}4}$-C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl,

-Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, -O- phenyl, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(G) -(C_1-C_4 alkyl)-$R_{C'\text{-aryl}}$ where $R_{C'\text{-aryl}}$ is as defined for $R_{1\text{-aryl}}$,

(H) -(C_1-C_4 alkyl)-$R_{C\text{-heteroaryl}}$ where $R_{C\text{-heteroaryl}}$ is as defined above,

(I) -(C_1-C_4 alkyl)-$R_{C\text{-heterocycle}}$ where $R_{C\text{-heterocycle}}$ is as defined above,

(J) -$R_{C\text{-heteroaryl}}$ where $R_{C\text{-heteroaryl}}$ is as defined above,

(K) -$R_{C\text{-heterocycle}}$ where $R_{C\text{-heterocycle}}$ is as defined above,

(M) -$(CH_2)_{1\text{-}4}$-$R_{C\text{-}4}$-$(CH_2)_{0\text{-}4}$-$R_{C'\text{-aryl}}$ where $R_{C\text{-}4}$ is -O-, -S- or -$NR_{C\text{-}5}$- where $R_{C\text{-}5}$ is C_1-C_6 alkyl, and where $R_{C'\text{-aryl}}$ is as defined above,

(N) -$(CH_2)_{1\text{-}4}$-$R_{C\text{-}4}$-$(CH_2)_{0\text{-}4}$-$R_{C\text{-heteroaryl}}$ where $R_{C\text{-}4}$ and $R_{C\text{-heteroaryl}}$ are as defined above, and

(O) -$R_{C'\text{-aryl}}$ where $R_{C'\text{-aryl}}$ is as defined above,

and where $R_{C\text{-}3}$ is the same or different and is:

(A) -H,

(B) -C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O- phenyl, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(C) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O- phenyl, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(D) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O- phenyl, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(E) -$(CH_2)_{0\text{-}4}$-C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl,

-Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O- phenyl, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(F) -$R_{C'\text{-aryl}}$ where $R_{C'\text{-aryl}}$ is as defined above,

(G) -$R_{C\text{-heteroaryl}}$ where $R_{C\text{-heteroaryl}}$ is as defined above,

(H) -$R_{C\text{-heterocycle}}$ where $R_{C\text{-heterocycle}}$ is as defined above,

(I) -(C_1-C_4 alkyl)-$R_{C'\text{-aryl}}$ where $R_{C'\text{-aryl}}$ is as defined above,

(J) -(C_1-C_4 alkyl)-$R_{C\text{-}heteroaryl}$ where $R_{C\text{-}heteroaryl}$ is as defined above, or

(K) -(C_1-C_4 alkyl)-$R_{C\text{-}heterocycle}$ where $R_{C\text{-}heterocycle}$ is as defined above,

(XVI) -$CH(R_{C\text{-}aryl})_2$ where $R_{C\text{-}aryl}$ are the same or different and are as defined above,

(XVII) -$CH(R_{C\text{-}heteroaryl})_2$ where $R_{C\text{-}heteroaryl}$ are the same or different and are as defined above,

(XVIII) -$CH(R_{C\text{-}aryl})(R_{C\text{-}heteroaryl})$ where $R_{C\text{-}aryl}$ and $R_{C\text{-}heteroaryl}$ are as defined above,

(XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to $R_{C\text{-}aryl}$ or $R_{C\text{-}heteroaryl}$ or $R_{C\text{-}heterocycle}$ where $R_{C\text{-}aryl}$ or $R_{C\text{-}heteroaryl}$ or $R_{C\text{-}heterocycle}$ are as defined above where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH, $NR_{N\text{-}5}$, O, or $S(=O)_{0\text{-}2}$, and where cyclopentyl, cyclohexyl, or -cycloheptyl can be optionally substituted with one or two -C_1-C_3 alkyl, -F, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, =O, or -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(XX) C_2-C_{10} alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O- phenyl, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(XXI) C_2-C_{10} alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O- phenyl, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(XXI) -$(CH_2)_{0\text{-}1}$-$CHR_{C\text{-}6}$-$(CH_2)_{0\text{-}1}$-$R_{C\text{-}aryl}$ where $R_{C\text{-}aryl}$ is as defined above and $R_{C\text{-}6}$ is -$(CH_2)_{0\text{-}6}$-OH,

(XXII) -$(CH_2)_{0\text{-}1}$-$CHR_{C\text{-}6}$-$(CH_2)_{0\text{-}1}$-$R_{C\text{-}heteroaryl}$ where $R_{C\text{-}heteroaryl}$ and $R_{C\text{-}6}$ is as defined above,

(XXIII) -CH(-$R_{C\text{-}aryl}$ or $R_{C\text{-}heteroaryl}$)-CO-O(C_1-C_4 alkyl) where $R_{C\text{-}aryl}$ and $R_{C\text{-}heteroaryl}$ are as defined above,

(XXIV) -CH(-CH_2-OH)-CH(-OH)-phenyl-NO_2,

(XXV) (C_1-C_6 alkyl)-O-(C_1-C_6 alkyl)-OH,

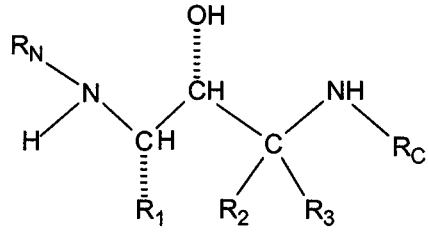
(XXVII) $-CH_2-NH-CH_2-CH(-O-CH_2-CH_3)_2$,

(XXVIII) -H, or

(XXIX) $-(CH_2)_{0-6}-C(=NR_{1-a})(NR_{1-a}R_{1-b})$ where R_{1-a} and R_{1-b} are as defined above;

or a pharmaceutically acceptable salt thereof.

257. A substituted amine of formula (X)



(X)

where R_1 is:

(VI) $-(CH_2)_{n1}-(R_{1-aryl})$ where n_1 is one and where R_{1-aryl} is phenyl optionally substituted with one, two, three, or four of the following substituents on the aryl ring:

(A) C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl, -C≡N, $-CF_3$, C_1-C_3 alkoxy,

(B) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(C) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(D) -F, Cl, -Br or -I,

(F) $-C_1-C_6$ alkoxy optionally substituted with one, two, or three of: -F,

(G) -$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined below,

(H) -OH,

(I) -C≡N,

(J) C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(K) -CO-(C_1-C_4 alkyl),

(L) -SO_2-$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(M) -CO-$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, or

(N) -SO_2-(C_1-C_4 alkyl),

where R_2 is:

(I)-H,

where R_3 is:

(I)-H,

where R_N is:

(I) R_{N-1}-X_N- where X_N is:

(A) -CO-,

where R_{N-1} is selected from the group consisting of:

(A) R_{N-aryl} where R_{N-aryl} is phenyl, 1-naphthyl, 2-naphthyl, tetralinyl, indanyl, dihydronaphthyl or 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl, optionally substituted with one, two or three of the following substituents which can be the same or different and are:

(1) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(2) -OH,

(3) -NO_2,

(4) -F, -Cl, -Br, or -I,

(5) -CO-OH,

(6) -C≡N,

(7) -$(CH_2)_{0-4}$-CO-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are selected from the group consisting of:

(a) -H,

(b) -C_1-C_6 alkyl optionally substituted with one substitutent selected from the group consisting of:

(i) -OH, and

(ii) -NH_2,

(c) -C_1-C_6 alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

(d) -C_3-C_7 cycloalkyl,

(e) -(C_1-C_2 alkyl)-(C_3-C_7 cycloalkyl),

(f) -(C_1-C_6 alkyl)-O-(C_1-C_3 alkyl),

(g) -C_2-C_6 alkenyl with one or two double bonds,

(h) -C_2-C_6 alkynyl with one or two triple bonds,

(i) -C_1-C_6 alkyl chain with one double bond and one triple bond,

(j) -$R_{2\text{-aryl}}$ where $R_{2\text{-aryl}}$ is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally substituted as defined above for $R_{1\text{-aryl}}$, and

(k) -$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is selected from the group consisting of: pyridinyl, pyrimidinyl, quinolinyl, benzothienyl, indolyl, indolinyl, pryidazinyl, pyrazinyl, isoindolyl, isoquinolyl, quinazolinyl, quinoxalinyl, phthalazinyl, imidazolyl, isoxazolyl, pyrazolyl, oxazolyl, thiazolyl, indolizinyl, indazolyl, benzothiazolyl, benzimidazolyl, benzofuranyl, furanyl, thienyl, pyrrolyl, oxadiazolyl, thiadiazolyl, triazolyl, tetrazolyl, oxazolopyridinyl, imidazopyridinyl, isothiazolyl, naphthyridinyl, cinnolinyl, carbazolyl, beta-carbolinyl, isochromanyl, chromanyl, tetrahydroisoquinolinyl, isoindolinyl, isobenzotetrahydrofuranyl, isobenzotetrahydrothienyl, isobenzothienyl, benzoxazolyl, pyridopyridinyl, benzotetrahydrofuranyl, benzotetrahydrothienyl, purinyl, benzodioxolyl, triazinyl, phenoxazinyl, phenothiazinyl, pteridinyl, benzothiazolyl, imidazopyridinyl, imidazothiazolyl, dihydrobenzisoxazinyl, benzisoxazinyl, benzoxazinyl, dihydrobenzisothiazinyl, benzopyranyl, benzothiopyranyl,

coumarinyl, isocoumarinyl, chromonyl, chromanonyl, pyridinyl-N-oxide, tetrahydroquinolinyl, dihydroquinolinyl, dihydroquinolinonyl, dihydroisoquinolinonyl, dihydrocoumarinyl, dihydroisocoumarinyl, isoindolinonyl, benzodioxanyl, benzoxazolinonyl, pyrrolyl N-oxide, pyrimidinyl N-oxide, pyridazinyl N-oxide, pyrazinyl N-oxide, quinolinyl N-oxide, indolyl N-oxide, indolinyl N-oxide, isoquinolyl N-oxide, quinazolinyl N-oxide, quinoxalinyl N-oxide, phthalazinyl N-oxide, imidazolyl N-oxide, isoxazolyl N-oxide, oxazolyl N-oxide, thiazolyl N-oxide, indolizinyl N-oxide, indazolyl N-oxide, benzothiazolyl N-oxide, benzimidazolyl N-oxide, pyrrolyl N-oxide, oxadiazolyl N-oxide, thiadiazolyl N-oxide, triazolyl N-oxide, tetrazolyl N-oxide, benzothiopyranyl S-oxide, benzothiopyranyl S,S-dioxide, where heteroaryl is optionally substituted with one, two, three, or four:

(1) C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(2) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(3) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(4) -F, Cl, -Br or -I,

(6) -C_1-C_6 alkoxy optionally substituted with one, two, or three of: -F,

(7) -$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are as defined below,

(8) -OH,

(9) -C≡N,

(10) C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are -H or C_1-C_6 alkyl,

(11) -CO-(C_1-C_4 alkyl),

(12) -SO_2-$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(13) -CO-$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

or

(14) -SO_2-(C_1-C_4 alkyl), with the proviso that when n_1 is zero $R_{1\text{-heteroaryl}}$ is not bonded to the carbon chain by nitrogen,,

(8) -$(CH_2)_{0\text{-}4}$-CO-(C_1-C_{12} alkyl),

(9) -$(CH_2)_{0\text{-}4}$-CO-(C_2-C_{12} alkenyl with one, two or three double bonds),

(10) -$(CH_2)_{0\text{-}4}$-CO-(C_2-C_{12} alkynyl with one, two or three triple bonds),

(11) -$(CH_2)_{0\text{-}4}$-CO-(C_3-C_7 cycloalkyl),

(12) -$(CH_2)_{0\text{-}4}$-CO- $R_{2\text{-aryl}}$ where $R_{2\text{-aryl}}$ is as defined above,

(13) -$(CH_2)_{0\text{-}4}$-CO-$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(14) -$(CH_2)_{0\text{-}4}$-CO-$R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is selected from the group consisting of:

morpholinyl, thiomorpholinyl, thiomorpholinyl S-oxide, thiomorpholinyl S,S-dioxide, piperazinyl, homopiperazinyl, pyrrolidinyl, pyrrolinyl, tetrahydropyranyl, piperidinyl, tetrahydrofuranyl, tetrahydrothienyl, homopiperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S,S-dioxide, oxazolidinonyl, dihydropyrazolyl, dihydropyrrolyl, dihydropyrazinyl, dihydropyridinyl, dihydropyrimidinyl, dihydrofuryl, dihydropyranyl, tetrahydrothienyl S-oxide, tetrahydrothienyl S,S-dioxide, homothiomorpholinyl S-oxide, where heterocycle is optionally substituted with one, two, three, or four:

(a) C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(b) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where

R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(c) C_2-C_6 alkynyl with one or two triple bonds,

optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(d) -F, Cl, -Br or -I,

(e) C_1-C_6 alkoxy,

(f) -C_1-C_6 alkoxy optionally substituted with one, two, or three of -F,

(g) -$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined below,

(h) -OH,

(i) -C≡N,

(j) C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(k) -CO-(C_1-C_4 alkyl),

(l) -SO_2-$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(m) -CO-$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(n) -SO_2-(C_1-C_4 alkyl), or

(o) =O, ,

(15) -$(CH_2)_{0-4}$-CO-R_{N-4} where R_{N-4} is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of: C_1-C_6 alkyl,

(16) -$(CH_2)_{0-4}$-CO-O-R_{N-5} where R_{N-5} is selected from the group consisting of:

(a) C_1-C_6 alkyl,

(b) -$(CH_2)_{0-2}$-(R_{2-aryl}) where R_{2-aryl} is as defined above,

(c) C_2-C_6 alkenyl containing one or two double bonds,

(d) C_2-C_6 alkynyl containing one or two triple bonds,

(e) C_3-C_7 cycloalkyl, and

(f) -$(CH_2)_{0-2}$-($R_{1\text{-heteroaryl}}$) where $R_{1\text{-heteroaryl}}$ is as defined above,

(17) -$(CH_2)_{0-4}$-SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined above,

(18) -$(CH_2)_{0-4}$-SO-(C_1-C_8 alkyl),

(19) -$(CH_2)_{0-4}$-SO_2-(C_1-C_{12} alkyl),

(20) -$(CH_2)_{0-4}$-SO_2-(C_3-C_7 cycloalkyl),

(21) -$(CH_2)_{0-4}$-N(H or R_{N-5})-CO-O-R_{N-5} where R_{N-5} can be the same or different and is as defined above,

(22) -$(CH_2)_{0-4}$-N(H or R_{N-5})-CO-N(R_{N-5})$_2$, where R_{N-5} can be the same or different and is as defined above,

(23) -$(CH_2)_{0-4}$-N-CS-N(R_{N-5})$_2$, where R_{N-5} can be the same or different and is as defined above,

(24) -$(CH_2)_{0-4}$-N(-H or R_{N-5})-CO-R_{N-2} where R_{N-5} and R_{N-2} can be the same or different and are as defined above,

(25) -$(CH_2)_{0-4}$-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} can be the same or different and are as defined above,

(26) -$(CH_2)_{0-4}$-R_{N-4} where R_{N-4} is as defined above,

(27) -$(CH_2)_{0-4}$-O-CO-(C_1-C_6 alkyl),

(28) -$(CH_2)_{0-4}$-O-P(O)-($OR_{N\text{-aryl-}1}$)$_2$ where $R_{N\text{-aryl-}1}$ is -H or C_1-C_4 alkyl,

(29) -$(CH_2)_{0-4}$-O-CO-N(R_{N-5})$_2$ where R_{N-5} is as defined above,

(30) -$(CH_2)_{0-4}$-O-CS-N(R_{N-5})$_2$ where R_{N-5} is as defined above,

(31) -$(CH_2)_{0-4}$-O-(R_{N-5})$_2$ where R_{N-5} is as defined above,

(32) -$(CH_2)_{0-4}$-O-(R_{N-5})$_2$-COOH where R_{N-5} is as defined above,

(33) -$(CH_2)_{0-4}$-S-(R_{N-5})$_2$ where R_{N-5} is as defined above,

(34) -$(CH_2)_{0-4}$-O-(C_1-C_6 alkyl optionally substituted with one, two, three, four, or five -F),

(35) C_3-C_7 cycloalkyl,

(36) C_2-C_6 alkenyl with one or two double bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, or -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(37) C_2-C_6 alkynyl with one or two triple bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, or -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(38) -$(CH_2)_{0-4}$-N(-H or R_{N-5})-SO_2-R_{N-2} where R_{N-5} and R_{N-2} can be the same or different and are as described above, or

(39) -$(CH_2)_{0-4}$- C_3-C_7 cycloalkyl,

(B) -$R_{N\text{-}heteroaryl}$ where $R_{N\text{-}heteroaryl}$ is selected from the group consisting of:

pyridinyl,
pyrimidinyl,
quinolinyl,
benzothienyl,
indolyl,
indolinyl,
pryidazinyl,
pyrazinyl,
isoindolyl,
isoquinolyl,
quinazolinyl,
quinoxalinyl,
phthalazinyl,
imidazolyl,
isoxazolyl,
pyrazolyl,
oxazolyl,

thiazolyl,
indolizinyl,
indazolyl,
benzothiazolyl,
benzimidazolyl,
benzofuranyl,
furanyl,
thienyl,
pyrrolyl,
oxadiazolyl,
thiadiazolyl,
triazolyl,
tetrazolyl,
oxazolopyridinyl,
imidazopyridinyl,
isothiazolyl,
naphthyridinyl,
cinnolinyl,
carbazolyl,
beta-carbolinyl,
isochromanyl,
chromanyl,
tetrahydroisoquinolinyl,
isoindolinyl,
isobenzotetrahydrofuranyl,
isobenzotetrahydrothienyl,
isobenzothienyl,
benzoxazolyl,
pyridopyridinyl,
benzotetrahydrofuranyl,
benzotetrahydrothienyl,
purinyl,
benzodioxolyl,
triazinyl,

phenoxazinyl,
phenothiazinyl,
pteridinyl,
benzothiazolyl,
imidazopyridinyl,
imidazothiazolyl,
dihydrobenzisoxazinyl,
benzisoxazinyl,
benzoxazinyl,
dihydrobenzisothiazinyl,
benzopyranyl,
benzothiopyranyl,
coumarinyl,
isocoumarinyl,
chromonyl,
chromanonyl, and
pyridinyl-N-oxide,
tetrahydroquinolinyl
dihydroquinolinyl
dihydroquinolinonyl
dihydroisoquinolinonyl
dihydrocoumarinyl
dihydroisocoumarinyl
isoindolinonyl
benzodioxanyl
benzoxazolinonyl
pyrrolyl N-oxide,
pyrimidinyl N-oxide,
pyridazinyl N-oxide,
pyrazinyl N-oxide,
quinolinyl N-oxide,
indolyl N-oxide,
indolinyl N-oxide,
isoquinolyl N-oxide,

quinazolinyl N-oxide,
quinoxalinyl N-oxide,
phthalazinyl N-oxide,
imidazolyl N-oxide,
isoxazolyl N-oxide,
oxazolyl N-oxide,
thiazolyl N-oxide,
indolizinyl N-oxide,
indazolyl N-oxide,
benzothiazolyl N-oxide,
benzimidazolyl N-oxide,
pyrrolyl N-oxide,
oxadiazolyl N-oxide,
thiadiazolyl N-oxide,
triazolyl N-oxide,
tetrazolyl N-oxide,
benzothiopyranyl S-oxide,
benzothiopyranyl S,S-dioxide,

where the $R_{N\text{-}heteroaryl}$ group is bonded by any atom of the parent $R_{N\text{-}heteroaryl}$ group substituted by hydrogen such that the new bond to the $R_{N\text{-}heteroaryl}$ group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four of:

(1) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(2) -OH,

(3) $-NO_2$,

(4) -F, -Cl, -Br, or -I

(5) -CO-OH,

(6) -C≡N,

(7) $-(CH_2)_{0\text{-}4}$-CO-$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are the same or different and are selected from the group consisting of:

(a) -H,

(b) -C_1-C_6 alkyl optionally substituted with one substitutent selected from the group consisting of:

(i) -OH, and

(ii) -NH_2,

(c) -C_1-C_6 alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

(d) -C_3-C_7 cycloalkyl,

(e) -(C_1-C_2 alkyl)-(C_3-C_7 cycloalkyl),

(f) -(C_1-C_6 alkyl)-O-(C_1-C_3 alkyl),

(g) -C_2-C_6 alkenyl with one or two double bonds,

(h) -C_2-C_6 alkynyl with one or two triple bonds,

(i) -C_1-C_6 alkyl chain with one double bond and one triple bond,

(j) - $R_{2\text{-aryl}}$ where $R_{2\text{-aryl}}$ is as defined above, and

(k) -$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(8) -$(CH_2)_{0\text{-}4}$-CO-(C_1-C_{12} alkyl),

(9) -$(CH_2)_{0\text{-}4}$-CO-(C_2-C_{12} alkenyl with one, two or three double bonds),

(10) -$(CH_2)_{0\text{-}4}$-CO-(C_2-C_{12} alkynyl with one, two or three triple bonds),

(11) -$(CH_2)_{0\text{-}4}$-CO-(C_3-C_7 cycloalkyl),

(12) -$(CH_2)_{0\text{-}4}$-CO- $R_{2\text{-aryl}}$ where $R_{2\text{-aryl}}$ is as defined above,

(13) -$(CH_2)_{0\text{-}4}$-CO-$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(14) -$(CH_2)_{0\text{-}4}$-CO-$R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined above,

(15) -$(CH_2)_{0\text{-}4}$-CO-$R_{N\text{-}4}$ where $R_{N\text{-}4}$ is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl,

homomorpholinyl, homothiomorpholinyl, homomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of: C_1-C_6 alkyl,

(16) -$(CH_2)_{0-4}$-CO-O-R_{N-5} where R_{N-5} is selected from the group consisting of:

(a) C_1-C_6 alkyl,

(b) -$(CH_2)_{0-2}$-(R_{2-aryl}) where R_{2-aryl} is as defined above,

(c) C_2-C_6 alkenyl containing one or two double bonds,

(d) C_2-C_6 alkynyl containing one or two triple bonds,

(e) C_3-C_7 cycloalkyl,

(f) -$(CH_2)_{0-2}$-($R_{1-heteroaryl}$) where $R_{1-heteroaryl}$ is as defined above,

(17) -$(CH_2)_{0-4}$-SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined above,

(18) -$(CH_2)_{0-4}$-SO-(C_1-C_8 alkyl),

(19) -$(CH_2)_{0-4}$-SO_2-(C_1-C_{12} alkyl),

(20) -$(CH_2)_{0-4}$-SO_2-(C_3-C_7 cycloalkyl),

(21) -$(CH_2)_{0-4}$-N(H or R_{N-5})-CO-O-R_{N-5} where R_{N-5} can be the same or different and is as defined above,

(22) -$(CH_2)_{0-4}$-N(H or R_{N-5})-CO-N(R_{N-5})$_2$, where R_{N-5} can be the same or different and is as defined above,

(23) -$(CH_2)_{0-4}$-N-CS-N(R_{N-5})$_2$, where R_{N-5} can be the same or different and is as defined above,

(24) -$(CH_2)_{0-4}$-N(-H or R_{N-5})-CO-R_{N-2} where R_{N-5} and R_{N-2} can be the same or different and are as defined above,

(25) -$(CH_2)_{0-4}$-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} can be the same or different and are as defined above,

(26) -$(CH_2)_{0-4}$-R_{N-4} where R_{N-4} is as defined above,

(27) -$(CH_2)_{0-4}$-O-CO-(C_1-C_6 alkyl),

(28) -$(CH_2)_{0-4}$-O-P(O)-($OR_{N-aryl-1}$)$_2$ where $R_{N-aryl-1}$ is -H or C_1-C_4 alkyl,

(29) -$(CH_2)_{0-4}$-O-CO-N$(R_{N-5})_2$ where R_{N-5} is as defined above,

(30) -$(CH_2)_{0-4}$-O-CS-N$(R_{N-5})_2$ where R_{N-5} is as defined above,

(31) -$(CH_2)_{0-4}$-O-$(R_{N-5})_2$ where R_{N-5} is as defined above,

(32) -$(CH_2)_{0-4}$-O-($R_{N-5})_2$-COOH where R_{N-5} is as defined above,

(33) -$(CH_2)_{0-4}$-S-($R_{N-5})_2$ where R_{N-5} is as defined above,

(34) -$(CH_2)_{0-4}$-O-(C_1-C_6 alkyl optionally substituted with one, two, three, four, or five of: -F),

(35) C_3-C_7 cycloalkyl,

(36) C_2-C_6 alkenyl with one or two double bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, or $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(37) C_2-C_6 alkynyl with one or two triple bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, or $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, or

(38) -$(CH_2)_{0-4}$-N(-H or R_{N-5})-SO_2-R_{N-2} where R_{N-5} and R_{N-2} can be the same or different and are as described above, or

(39) -$(CH_2)_{0-4}$- C_3-C_7 cycloalkyl,

(C) $R_{N\text{-}aryl}$-W-$R_{N\text{-}aryl}$, where $R_{N\text{-}aryl}$ is defined as above,

(D) $R_{N\text{-}aryl}$-W-$R_{N\text{-}heteroaryl}$, where $R_{N\text{-}aryl}$ and $R_{N\text{-}heteroaryl}$ are as defined above,

(E) $R_{N\text{-}aryl}$-W-$R_{N\text{-}1\text{-}heterocycle}$, where $R_{N\text{-}heterocycle}$ is defined as $R_{1\text{-}heterocycle}$, is defined above,

(F) $R_{N\text{-}heteroaryl}$-W-$R_{N\text{-}aryl}$, where $R_{N\text{-}aryl}$ and $R_{n\text{-}heteroaryl}$ are as defined above,

(G) $R_{N\text{-}heteroaryl}$-W-$R_{N\text{-}heteroaryl}$, where $R_{N\text{-}heteroaryl}$ is as defined above,

(H) $R_{N\text{-}heteroaryl}$-W-$R_{N\text{-}1\text{-}heterocycle}$, where $R_{N\text{-}1\text{-}heterocycle}$ is as defined as $R_{1\text{-}heterocycle}$ is as defined above, and where $R_{N\text{-}heteroaryl}$ is as defined above,

(I) $R_{N\text{-heterocycle}}$-W-$R_{N\text{-aryl}}$, where $R_{N\text{-heterocycle}}$ is as defined as $R_{1\text{-heterocycle}}$ is defined and where $R_{N\text{-aryl}}$ are as defined above,

(J) $R_{N\text{-heterocycle}}$-W-$R_{N\text{-heteroaryl}}$, where $R_{N\text{-heterocycle}}$ is as defined as $R_{1\text{-heterocycle}}$ as defined above and $R_{N\text{-heteroaryl}}$ are as defined above, and

(K) $R_{N\text{-heterocycle}}$-W-$R_{N\text{-1-heterocycle}}$, where $R_{N\text{-heterocycle}}$ and $R_{N\text{-heteroaryl}}$ are as defined above,

where W is

(6) -$(CH_2)_{0\text{-}4}$-,

(7) -O-,

(8) -$S(O)_{0\text{-}2}$-,

(9) -$N(R_{N\text{-}5})$- where $R_{N\text{-}5}$ is as defined above, or

(10) -CO_1

(II) -CO-(C_1-C_{10} alkyl) where alkyl is optionally substituted with one, two, or three substitutents selected from the group consisting of:

(A) -OH,

(B) -C_1-C_6 alkoxy,

(C) -C_1-C_6 thioalkoxy,

(D) -CO-O-$R_{N\text{-}8}$ where $R_{N\text{-}8}$ is -H, C_1-C_6 alkyl or -phenyl,

(E) -CO-$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are the same or different and are as defined above,

(F) -CO-$R_{N\text{-}4}$ where $R_{N\text{-}4}$ is as defined above,

(G) -SO_2-(C_1-C_8 alkyl),

(H) -SO_2-$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are the same or different and are as defined above,

(I) -NH-CO-(C_1-C_6 alkyl),

(J) -NH-CO-O-$R_{N\text{-}8}$ where $R_{N\text{-}8}$ is as defined above,

(K) -$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are the same or different and are as defined above,

(L) -$R_{N\text{-}4}$ where $R_{N\text{-}4}$ is as defined above,

(M) -O-CO-(C_1-C_6 alkyl),

(N) -O-CO-$NR_{N\text{-}8}R_{N\text{-}8}$ where $R_{N\text{-}8}$ are the same or different and are as defined above,

(O) -O-(C_1-C_5 alkyl)-COOH,

(P) -O-(C_1-C_6 alkyl optionally substitued with one, two, or three of: -F, -Cl, -Br, or -I),

(Q) -NH-SO_2-(C_1-C_6 alkyl), and

(R) -F, or -Cl

(III) -CO-(C_1-C_6 alkyl)-O-(C_1-C_6 alkyl) where alkyl isoptionally substituted with one, two, or three substitutents selected from the group consisting of:

(A) -OH,

(B) -C_1-C_6 alkoxy,

(C) -C_1-C_6 thioalkoxy,

(D) -CO-O-R_{N-8} where R_{N-8} is -H, C_1-C_6 alkyl or -ϕ,

(E) -CO-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) -CO-R_{N-4} where R_{N-4} is as defined above,

(G) -SO_2-(C_1-C_8 alkyl),

(H) -SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(I) -NH-CO-(C_1-C_6 alkyl),

(J) -NH-CO-O-R_{N-8} where R_{N-8} is as defined above,

(K) -$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(L) -R_{N-4} where R_{N-4} is as defined above,

(M) -O-CO-(C_1-C_6 alkyl),

(N) -O-CO-$NR_{N-8}R_{N-8}$ where the R_{N-8}s are the same or different and are as defined above,

(O) -O-(C_1-C_5 alkyl)-COOH,

(P) -O-(C_1-C_6 alkyl optionally substitued with one, two, or three of: -F, -Cl, -Br, or -I),

(Q) -NH-SO_2-(C_1-C_6 alkyl),

(R) -F, -Cl,

(IV) -CO-(C_1-C_6 alkyl)-S-(C_1-C_6 alkyl) where alkyl is optionally substituted with one, two, or three substitutents selected from the group consisting of:

(A) -OH,

(B) -C_1-C_6 alkoxy,

(C) -C_1-C_6 thioalkoxy,

(D) -CO-O-R_{N-8} where R_{N-8} is as defined above,

(E) -CO-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) -CO-R_{N-4} where R_{N-4} is as defined above,

(G) -SO_2-(C_1-C_8 alkyl),

(H) -SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(I) -NH-CO-(C_1-C_6 alkyl),

(J) -NH-CO-O-R_{N-8} where R_{N-8} is as defined above,

(K) -$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(L) -R_{N-4} where R_{N-4} is as defined above,

(M) -O-CO-(C_1-C_6 alkyl),

(N) -O-CO-$NR_{N-8}R_{N-8}$ where R_{N-8} are the same or different and are as defined above,

(O) -O-(C_1-C_5 alkyl)-COOH,

(P) -O-(C_1-C_6 alkyl optionally substitued with one, two, or three of: -F, -Cl, -Br, -I),

(Q) -NH-SO_2-(C_1-C_6 alkyl),

(R) -F, or -Cl,

(V) -CO-CH(-$(CH_2)_{0-2}$-O-R_{N-10})-$(CH_2)_{0-2}$-$R_{N\text{-}aryl}$/$R_{N\text{-}heteroaryl}$) where R_{N-aryl} and $R_{N-heteroaryl}$ are as defined above, where R_{N-10} is selected from the group consisting of:

(A) -H,

(B) C_1-C_6 alkyl,

(C) C_3-C_7 cycloalkyl,

(D) C_2-C_6 alkenyl with one double bond,

(E) C_2-C_6 alkynyl with one triple bond,

(F) R_{2-aryl} where R_{2-aryl} is as defined above, and

(G) $R_{N-heteroaryl}$ where $R_{N-heteroaryl}$ is as defined above, or

(VI) -CO-(C_3-C_8 cycloalkyl) where alkyl is optionally substituted with one or two substitutents selected from the group consisting of:

(A) -$(CH_2)_{0-4}$-OH,

(B) -$(CH_2)_{0-4}$-C_1-C_6 alkoxy,

(C) -$(CH_2)_{0-4}$-C_1-C_6 thioalkoxy,

(D) -$(CH_2)_{0-4}$-CO-O-R_{N-8} where R_{N-8} is -H, C_1-C_6 alkyl or -phenyl,

(E) -$(CH_2)_{0-4}$-CO-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) -$(CH_2)_{0-4}$-CO-R_{N-4} where R_{N-4} is as defined above,

(G) -$(CH_2)_{0-4}$-SO_2-(C_1-C_8 alkyl),

(H) -$(CH_2)_{0-4}$-SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(I) -$(CH_2)_{0-4}$-NH-CO-(C_1-C_6 alkyl),

(J) -NH-CO-O-R_{N-8} where R_{N-8} is as defined above,

(K) -$(CH_2)_{0-4}$-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(L) -$(CH_2)_{0-4}$-R_{N-4} where R_{N-4} is as defined above,

(M) -O-CO-(C_1-C_6 alkyl),

(N) -O-CO-$NR_{N-8}R_{N-8}$ where R_{N-8} are the same or different and are as defined above,

(O) -O-(C_1-C_5 alkyl)-COOH,

(P) -O-(C_1-C_6 alkyl optionally substitued with one, two, or three of: -F, -Cl, -Br, or -I),

(Q) -NH-SO_2-(C_1-C_6 alkyl), and

(R) -F, or -Cl,

where R_C is:

(I)-C_1-C_{10} alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, -OC=O $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, -$S(=O)_{0-2}$ R_{1-a} where R_{1-a} is as defined above, - $NR_{1-a}C=O$ $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as

defined above, -C=O $NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above, and -$S(=O)_2$ $NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(II) -$(CH_2)_{0\text{-}3}$-$(C_3\text{-}C_8)$ cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, -O-phenyl, -CO-OH, -CO-O-(C_1-C_4 alkyl), and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(III) -$(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}$-$R_{C\text{-}aryl}$ where $R_{C\text{-}x}$ and $R_{C\text{-}y}$ are

-H,

C_1-C_4 alkyl optionally substituted with one or two -OH,,

C_1-C_4 alkoxy optionally substituted with one, two, or three of: -F,

-$(CH_2)_{0\text{-}4}$-C_3-C_7 cycloalkyl,

C_2-C_6 alkenyl containing one or two double bonds,

C_2-C_6 alkynyl contianing one or two triple bonds,

phenyl-,

and where $R_{C\text{-}x}$ and $R_{C\text{-}y}$ are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO_2-, and -$NR_{N\text{-}2}$- and $R_{C\text{-}aryl}$ is the same as $R_{N\text{-}aryl}$;

(IV) -$(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}$-$R_{C\text{-}heteroaryl}$ where $R_{C\text{-}heteroaryl}$ is the same as $R_{N\text{-}heteroaryl}$ and $R_{C\text{-}x}$ and $R_{C\text{-}y}$ are as defined above,

(V) -$(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}$-$R_{C\text{-}aryl}$-$R_{C\text{-}aryl}$ where $R_{C\text{-}aryl}$, $R_{C\text{-}x}$ and $R_{C\text{-}y}$ are as defined above,

(VI) -$(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}$-$R_{C\text{-}aryl}$-$R_{C\text{-}heteroaryl}$ where $R_{C\text{-}aryl}$, $R_{C\text{-}heteroaryl}$, $R_{C\text{-}x}$ and $R_{C\text{-}y}$ are as defined above,

(VII) -$(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}$-$R_{C\text{-}heteroaryl}$-$R_{C\text{-}aryl}$ where $R_{C\text{-}heteroaryl}$, $R_{C\text{-}aryl}$, $R_{C\text{-}x}$ and $R_{C\text{-}y}$ are as defined above,

(VIII) -$(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}$-$R_{C\text{-}heteroaryl}$-$R_{C\text{-}heteroaryl}$ where $R_{C\text{-}heteroaryl}$, $R_{C\text{-}x}$ and $R_{C\text{-}y}$ are as defined above,

(IX) -$(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}$-$R_{C\text{-}aryl}$-$R_{C\text{-}heterocycle}$ where $R_{C\text{-}aryl}$, $R_{C\text{-}x}$ and $R_{C\text{-}y}$ are as defined above, and $R_{C\text{-}heterocycle}$ is the same as $R_{N\text{-}heterocycle}$,

(X) -$(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}$-$R_{C\text{-}heteroaryl}$-$R_{C\text{-}heterocycle}$ where $R_{C\text{-}heteroaryl}$, $R_{C\text{-}heterocycle}$, $R_{C\text{-}x}$ and $R_{C\text{-}y}$ are as defined above,

(XI) -($CR_{C-x}R_{C-y}$)$_{0-4}$-$R_{C-heterocycle}$-R_{C-aryl} where $R_{C-heterocycle}$, R_{C-aryl}, R_{C-x} and R_{C-y} are as defined above,

(XII) -($CR_{C-x}R_{C-y}$)$_{0-4}$-$R_{C-heterocycle}$-$R_{C-heteroaryl}$ where $R_{C-heterocycle}$, $R_{C-heteroaryl}$, R_{C-x} and R_{C-y} are as defined above,

(XIII) -($CR_{C-x}R_{C-y}$)$_{0-4}$-$R_{C-heterocycle}$-$R_{C-heterocycle}$ where $R_{C-heterocycle}$, R_{C-x} and R_{C-y} are as defined above,

(XIV) -($CR_{C-x}R_{C-y}$)$_{0-4}$-$R_{C-heterocycle}$ where $R_{C-heterocycle}$, R_{C-x} and R_{C-y} are as defined above,

(XV) -[$C(R_{C-1})(R_{C-2})$]$_{1-3}$-CO-N-(R_{C-3})$_2$ where R_{C-1} and R_{C-2} are the same or different and are selected from the group consisting of:

(A) -H,

(B) -C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O- phenyl, and -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(C) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O- phenyl, and -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(D) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O- phenyl, and -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(E) -(CH_2)$_{1-2}$-S(O)$_{0-2}$-(C_1-C_6 alkyl),

(F) -(CH_2)$_{0-4}$-C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl,

-Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O- phenyl, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(G) -(C_1-C_4 alkyl)-$R_{C'-aryl}$ where $R_{C'-aryl}$ is as defined for R_{2-aryl},

(H) -(C_1-C_4 alkyl)-$R_{C-heteroaryl}$ where $R_{C-heteroaryl}$ is as defined above,

(I) -(C_1-C_4 alkyl)-$R_{C\text{-heterocycle}}$ where $R_{C\text{-heterocycle}}$ is as defined above,

(J) -$R_{C\text{-heteroaryl}}$ where $R_{C\text{-heteroaryl}}$ is as defined above,

(K) -$R_{C\text{-heterocycle}}$ where $R_{C\text{-heterocycle}}$ is as defined above,

(M) -$(CH_2)_{1\text{-}4}$-$R_{C\text{-}4}$-$(CH_2)_{0\text{-}4}$-$R_{C'\text{-aryl}}$ where $R_{C\text{-}4}$ is -O-, -S- or -$NR_{C\text{-}5}$- where $R_{C\text{-}5}$ is C_1-C_6 alkyl, and where $R_{C'\text{-aryl}}$ is as defined above,

(N) -$(CH_2)_{1\text{-}4}$-$R_{C\text{-}4}$-$(CH_2)_{0\text{-}4}$-$R_{C\text{-heteroaryl}}$ where $R_{C\text{-}4}$ and $R_{C\text{-heteroaryl}}$ are as defined above, and

(O) -$R_{C'\text{-aryl}}$ where $R_{C'\text{-aryl}}$ is as defined above,

and where $R_{C\text{-}3}$ is the same or different and is:

(A) -H,

(B) -C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O- phenyl, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(C) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O- phenyl, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(D) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O- phenyl, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(E) -$(CH_2)_{0\text{-}4}$-C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl,

-Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O- phenyl, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(F) -$R_{C'\text{-aryl}}$ where $R_{C'\text{-aryl}}$ is as defined above,

(G) -$R_{C\text{-heteroaryl}}$ where $R_{C\text{-heteroaryl}}$ is as defined above,

(H) -$R_{C\text{-heterocycle}}$ where $R_{C\text{-heterocycle}}$ is as defined above,

(I) -(C_1-C_4 alkyl)-$R_{C'\text{-aryl}}$ where $R_{C'\text{-aryl}}$ is as defined above,

(J) -(C_1-C_4 alkyl)-$R_{C\text{-}heteroaryl}$ where $R_{C\text{-}heteroaryl}$ is as defined above, or

(K) -(C_1-C_4 alkyl)-$R_{C\text{-}heterocycle}$ where $R_{C\text{-}heterocycle}$ is as defined above,

(XVI) -$CH(R_{C\text{-}aryl})_2$ where $R_{C\text{-}aryl}$ are the same or different and are as defined above,

(XVII) -$CH(R_{C\text{-}heteroaryl})_2$ where $R_{C\text{-}heteroaryl}$ are the same or different and are as defined above,

(XVIII) -$CH(R_{C\text{-}aryl})(R_{C\text{-}heteroaryl})$ where $R_{C\text{-}aryl}$ and $R_{C\text{-}heteroaryl}$ are as defined above,

(XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to $R_{C\text{-}aryl}$ or $R_{C\text{-}heteroaryl}$ or $R_{C\text{-}heterocycle}$ where $R_{C\text{-}aryl}$ or $R_{C\text{-}heteroaryl}$ or $R_{C\text{-}heterocycle}$ are as defined above where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH, $NR_{N\text{-}5}$, O, or $S(=O)_{0\text{-}2}$, and where cyclopentyl, cyclohexyl, or -cycloheptyl can be optionally substituted with one or two -C_1-C_3 alkyl, -F, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, =O, or -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(XX) C_2-C_{10} alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O- phenyl, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(XXI) C_2-C_{10} alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O- phenyl, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(XXI) -$(CH_2)_{0\text{-}1}$-$CHR_{C\text{-}6}$-$(CH_2)_{0\text{-}1}$-$R_{C\text{-}aryl}$ where $R_{C\text{-}aryl}$ is as defined above and $R_{C\text{-}6}$ is -$(CH_2)_{0\text{-}6}$-OH,

(XXII) -$(CH_2)_{0\text{-}1}$-$CHR_{C\text{-}6}$-$(CH_2)_{0\text{-}1}$-$R_{C\text{-}heteroaryl}$ where $R_{C\text{-}heteroaryl}$ and $R_{C\text{-}6}$ is as defined above,

(XXIII) -CH(-$R_{C\text{-}aryl}$ or $R_{C\text{-}heteroaryl}$)-CO-O(C_1-C_4 alkyl) where $R_{C\text{-}aryl}$ and $R_{C\text{-}heteroaryl}$ are as defined above,

(XXIV) -CH(-CH_2-OH)-CH(-OH)-phenyl-NO_2,

(XXV) (C_1-C_6 alkyl)-O-(C_1-C_6 alkyl)-OH,

(XXVII) $-CH_2-NH-CH_2-CH(-O-CH_2-CH_3)_2$,

(XXVIII) -H, or

(XXIX) $-(CH_2)_{0-6}-C(=NR_{1-a})(NR_{1-a}R_{1-b})$ where R_{1-a} and R_{1-b} are as defined above;

or a pharmaceutically acceptable salt thereof.

258. A substituted amine of formula (X) according to claim 256

where R_1 is:

$-(CH_2)_{0-1}-(R_{1\text{-aryl}})$

$-(CH_2)_{n1}-(R_{1\text{-heteroaryl}})$

where R_N is:

$R_{N-1}-X_N-$ where X_N is selected from the group consisting of:

-CO-, and

$-SO_2-$,

where R_{N-1} is selected from the group consisting of:

$-R_{N\text{-aryl}}$, and

$-R_{N\text{-heteroaryl}}$, or

$-CO-CH(-(CH_2)_{0-2}-O-R_{N-10})-(CH_2)_{0-2}-R_{N\text{-aryl}}/R_{N\text{-heteroaryl}})$;

where R_C is:

$-C_1-C_8$ alkyl,

$-(CH_2)_{0-3}-(C_3-C_7)$ cycloalkyl,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C\text{-aryl}}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C\text{-heteroaryl}}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C\text{-heterocycle}}$, or

-cyclopentyl or -cyclohexyl ring fused to $R_{C\text{-aryl}}$ or $R_{C\text{-heteroaryl}}$ or $R_{C\text{-heterocycle}}$.

259. A substituted amine of formula (X) according to claim 257

where R_N is:

$R_{N-1}-X_N-$

where R_{N-1} is selected from the group consisting of:

$-R_{N\text{-aryl}}$, and

$-R_{N\text{-heteroaryl}}$, or

-CO-CH(-$(CH_2)_{0-2}$-O-R_{N-10})-$(CH_2)_{0-2}$-$R_{N\text{-}aryl}$/$R_{N\text{-}heteroaryl}$);

where R_C is:

-C_1-C_8 alkyl,

-$(CH_2)_{0-3}$-(C_3-C_7) cycloalkyl,

-$(CR_{C\text{-}x}R_{C\text{-}y})_{0-4}$-$R_{C\text{-}aryl}$,

-$(CR_{C\text{-}x}R_{C\text{-}y})_{0-4}$-$R_{C\text{-}heteroaryl}$,

-$(CR_{C\text{-}x}R_{C\text{-}y})_{0-4}$-$R_{C\text{-}heterocycle}$, or

-cyclopentyl or -cyclohexyl ring fused to $R_{C\text{-}aryl}$ or $R_{C\text{-}heteroaryl}$ or $R_{C\text{-}heterocycle}$.

260. A substituted amine of formula (X) according to claim 258

where R_1 is:

-(CH_2)-($R_{1\text{-}aryl}$), or

-(CH_2)-($R_{1\text{-}heteroaryl}$);

where R_2 is -H;

where R_3 is -H;

where R_N is:

$R_{N\text{-}1}$-X_N- where X_N is:

-CO-,

where $R_{N\text{-}1}$ is selected from the group consisting of:

-$R_{N\text{-}aryl}$,

-$R_{N\text{-}heteroaryl}$,

where R_C is:

-$(CH_2)_{0-3}$-(C_3-C_7) cycloalkyl,

-$(CR_{C\text{-}x}R_{C\text{-}y})_{0-4}$-$R_{C\text{-}aryl}$,

-$(CR_{C\text{-}x}R_{C\text{-}y})_{0-4}$-$R_{C\text{-}heteroaryl}$,

-$(CR_{C\text{-}x}R_{C\text{-}y})_{0-4}$-$R_{C\text{-}heterocycle}$, or

-cyclopentyl or -cyclohexyl ring fused to a $R_{C\text{-}aryl}$ or $R_{C\text{-}heteroaryl}$ or $R_{C\text{-}heterocycle}$.

261. A substituted amine of formula (X) according to claim 259

where $R_{N\text{-}1}$ is selected from the group consisting of:

-$R_{N\text{-}aryl}$,

-$R_{N\text{-}heteroaryl}$,

where R_C is:

$-(CH_2)_{0-3}-(C_3-C_7)$ cycloalkyl,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}$, or

-cyclopentyl or -cyclohexyl ring fused to a R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$.

262. A substituted amine of formula (X) according to claim 260 where R_C is:

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$,

-cyclopentyl or -cyclohexyl ring fused to a R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$.

263. A substituted amine of formula (X) according to claim 256 where R_1 is $-(CH_2)-(R_{1-aryl})$ where R_{1-aryl} is phenyl.

264. A substituted amine of formula (X) according to claim 256 where R_1 is $-(CH_2)-(R_{1-aryl})$ where R_{1-aryl} is phenyl substituted with two -F.

265. A substituted amine of formula (X) according to claim 264 where the -F substitution is 3,5-difluorobenzyl.

266. A substituted amine of formula (X) according to claim 256 where R_2 is -H.

267. A substituted amine of formula (X) according to claim 256 where R_3 is -H.

268. A substituted amine of formula (X) according to claim 256 where R_N is $R_{N-1}-X_N-$ where X_N is -CO-, where R_{N-1} is R_{N-aryl} where R_{N-aryl} is phenyl substituted with one $-CO-NR_{N-2}R_{N-3}$ where the substitution on phenyl is 1,3-.

269. A substituted amine of formula (X) according to claim 268 where R_{N-2} and R_{N-3} are the same and are C_3 alkyl.

270. A substituted amine of formula (X) according to claim 256 where R_N is R_{N-1}-X_N- where X_N is-CO-, where R_{N-1} is $R_{N\text{-}aryl}$ where $R_{N\text{-}aryl}$ is phenyl substituted with one C_1 alkyl and with one -CO-$NR_{N-2}R_{N-3}$ where the substitution on the phenyl is 1,3,5-.

271. A substituted amine of formula (X) according to claim 270 where R_{N-2} and R_{N-3} are the same and are C_3 alkyl.

272. A substituted amine of formula (X) according to claim 256 where R_N is R_{N-1}-X_N- where X_N is -CO-, where R_{N-1} is $R_{N\text{-}heteroaryl}$ where $R_{N\text{-}heteroaryl}$ is substituted with one -CO-$NR_{N-2}R_{N-3}$.

273. A substituted amine of formula (X) according to claim 272 where R_{N-2} and R_{N-3} are the same and are -C_3 alkyl.

274. A substituted amine of formula (X) according to claim 256 where R_C is:

-$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C\text{-}aryl}$ where $R_{C\text{-}aryl}$ is phenyl,

-$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C\text{-}heteroaryl}$,

-cyclopentyl or -cyclohexyl ring fused to a $R_{C\text{-}aryl}$ or $R_{C\text{-}heteroaryl}$ or $R_{C\text{-}heterocycle}$.

275. A substituted amine of formula (X) according to claim 274 where R_C is:

-$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C\text{-}aryl}$ where $R_{C\text{-}aryl}$ is phenyl.

276. A substituted amine of formula (X) according to claim 275 where phenyl is substituted in the 3-position or 3,5-positions.

277. A substituted amine of formula (X) according to claim 274 where R_C is:

-(CH_2)-$R_{C\text{-}heteroaryl}$.

278. A substituted amine of formula (X) according to claim 274 where R_C is:

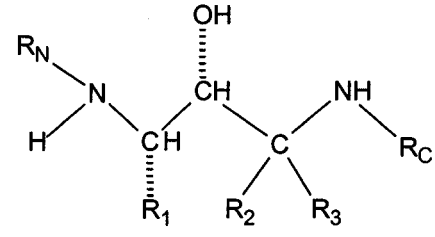
-(CH_2)-$R_{C\text{-}heterocycle}$.

279. A substituted amine of formula (X) according to claim 274 where R_C is:

-cyclohexyl ring fused to a phenyl ring.

280. A substituted amine of formula (X) according to claim 256 where the pharmaceutically acceptable salt is selected from the group consisting of salts of the following acids acetic, aspartic, benzenesulfonic, benzoic, bicarbonic, bisulfuric, bitartaric, butyric, calcium edetate, camsylic, carbonic, chlorobenzoic, citric, edetic, edisylic, estolic, esyl, esylic, formic, fumaric, gluceptic, gluconic, glutamic, glycollylarsanilic, hexamic, hexylresorcinoic, hydrabamic, hydrobromic, hydrochloric, hydroiodic, hydroxynaphthoic, isethionic, lactic, lactobionic, maleic, malic, malonic, mandelic, methanesulfonic, methylnitric, methylsulfuric, mucic, muconic, napsylic, nitric, oxalic, p-nitromethanesulfonic, pamoic, pantothenic, phosphoric, monohydrogen phosphoric, dihydrogen phosphoric, phthalic, polygalactouronic, propionic, salicylic, stearic, succinic, sulfamic, sulfanilic, sulfonic, sulfuric, tannic, tartaric, teoclic and toluenesulfonic.

281. Use of a substituted amine of formula (X)



(X)

where R_1, R_2, R_3, R_N and R_C are as defined in claim 1;
and pharmaceutically acceptable salts thereof for the manufacture of a medicament for use in treating a patient who has, or in preventing a patient from getting, a disease or condition selected from the group consisting of Alzheimer's disease, for helping prevent or delay the onset of Alzheimer's disease, for treating patients with mild cognitive impairment (MCI) and preventing or delaying the onset of Alzheimer's disease in those who would progress from MCI to AD, for treating Down's syndrome, for treating humans who have Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, for treating cerebral amyloid angiopathy and preventing its potential consequences, i.e. single and recurrent lobar hemorrhages, for treating other degenerative dementias, including dementias of mixed vascular

and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, or diffuse Lewy body type of Alzheimer's disease.

282. Use of a substituted amine of formula (X) according to claim 281, wherein the disease is Alzheimer's disease.