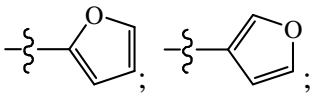
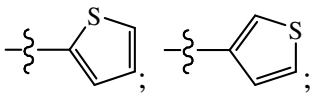


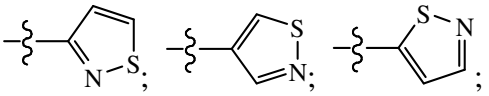
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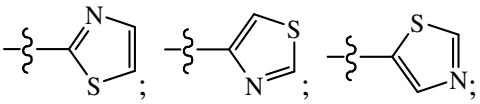
x)



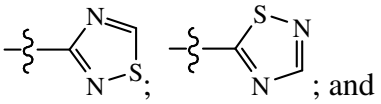
xi)



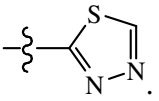
xii)



xiii)


; and

xiv)


.

R^1 heteroaryl units can be substituted or unsubstituted. Non-limiting examples of units that can substitute for hydrogen include units chosen from:

i) C_1-C_6 linear, C_3-C_6 branched, and C_3-C_6 cyclic alkyl;

ii) substituted or unsubstituted phenyl and benzyl;

iii) substituted or ~~of~~ unsubstituted C_1-C_9 heteroaryl;

iv) $–C(O)R^9$; and

v) $–NHC(O)R^9$;

wherein R^9 is C_1-C_6 linear and branched alkyl; C_1-C_6 linear and C_3-C_6 branched alkoxy; or $–NHCH_2C(O)R^{10}$; R^{10} is chosen from hydrogen, methyl, ethyl, and *tert*-butyl.

An example of R^1 relates to units substituted by an alkyl unit chosen from methyl, ethyl, n-propyl, *iso*-propyl, n-butyl, *iso*-butyl, *sec*-butyl, and *tert*-butyl.

Another example of R^1 includes units that are substituted by substituted or unsubstituted phenyl and benzyl, wherein the phenyl and benzyl substitutions are chosen from one or more:

Ophthalmic eye-drop solutions are also packaged in multidose form, for example, as a plastic bottle with an eye-dropper (e.g., Visine™ Original). In such formulations, preservatives are required to prevent microbial contamination after opening of the container. Suitable preservatives include, but are not limited to: benzalkonium chloride, thimerosal, chlorobutanol, methyl paraben, propyl paraben, phenylethyl alcohol, edetate disodium, sorbic acid, polyquatemium-1, or other agents known to those skilled in the art, and all of which are contemplated for use in the present invention. Such preservatives are typically employed at a level of from 0.001 to about 1.0% weight/volume.

Eye drops provide a pulse entry of the drug, but the drug is rapidly diluted by tears and flushed out of the eye. Polymers can be added to ophthalmic solutions in order to increase the viscosity of the vehicle; this prolongs contact with the cornea, often enhancing bioavailability. The types of polymers permitted by the Federal Food and Drug Administration in ophthalmic solutions are defined concentrations of cellulose derivatives (methylcellulose, hydroxyethylcellulose, hydroxypropylcellulose and carboxymethylcellulose)- , dextran 70, gelatin, polyols, glycerin, polyethylene glycol 300, polyethylene glycol 400, polysorbate 80, propylene ~~glyclol~~ glycol, polyvinyl alcohol and povidone, all of which (singly or in combination) are contemplated for use in the present invention.

In certain clinical conditions, the eye drop solutions can be formulated with other pharmaceutical agents, in order to attenuate the irritancy of the other ingredient and to facilitate clinical response. Such agents may include, but are not limited to, a vasoconstrictor such as phenylephrine, oxymetazoline, napthazoline or tetrahydrozoline; a mast-cell stabilizer such as olopatadine; an antihistamine such as azelastine; an antibiotic such as tetracycline; a steroidal anti-inflammatory drug such as betamethasone; a non-steroidal anti-inflammatory drug such as diclofenac; an immunomodulator such as imiquimod or interferons; and antiviral agents such as valaciclovir, cidofovir and trifluridine. The doses used for the above described purposes will vary, but will be in an effective amount to suppress discomfort, itch, irritation, or pain in the eye. When the compositions are dosed topically, the "pharmaceutically effective amount" of compound can generally be in a concentration range of from 0.05 mg/mL to about 500 mg/mL, with 1 to 4 drops administered as a unit dose 1 to 4 times per day. The most common method of ocular drug delivery is the instillation of drops into the lower eyelid (i.e., "eye drops"). About 70% of prescriptions for eye medication are for eye drops. This is due to factors such as expense, ease of bulk manufacture, and patient compliance, as well as effective and uniform

pharmaceutically effective dose thereof, or multiples of an effective dose. The compounds can be packaged as a sterile formulation, and the hermetically sealed container is designed to preserve sterility of the formulation until use.

PROCEDURES

Measurement and Quantization of Retinal Edema and Neovascularization and the Effective Inhibition of Ocular Edema and New Blood Vessel Formation by the disclosed HPTP-β Inhibitors

The following studies were conducted to measure the effect of the disclosed compounds on vascular leak and neovascularization of retina tissue.

Study 1

Twenty (20) 21 day old Rhodopsin/VEGF transgenic mice having constitutive expression of VEGF in their retinal neurons as disclosed by Tobe T *et al*., in "Evolution of neovascularization in mice with over expression of vascular endothelial growth factor in photoreceptors" *Invest Ophthalmol Visual Sci*. 1998; 39:180-188 ~~mg/kg~~, were divided into two equal groups. Each group received subcutaneous injections as follows: **Group 1** received 10 mg/kg/injection (high dose) of a compound from Table XXI; and **Group 2** received injections of vehicle. Two injections were given to each animal on Day 1 while one injection was given to each animal on Day 2.

Two hours after the third and final dose on Day 2, the animals were euthanized, mice were euthanized, retinas removed, and immunohistochemical staining for albumin was done. Briefly, eyes were harvested and fixed in 10% PBS-buffered formalin for 2 hours at room temperature. Retinas were dissected and put in PBS in an Eppendorf tube and blocked with 8% normal donkey serum with 0.05% triton for 1 hour. A goat anti-mouse albumin antibody (Abcam) was added at a 1:150 dilution and stained at RT for 2 hours. After 3 washes with PBST, a donkey anti-goat antibody conjugated with DyLight 593 (from Jackson ImmunoResearch) was added and stained at RT for 50 minutes avoiding light. After 3 washes with PBST, retinas were mounted and imaged by fluorescence microscopy. Areas of albumin staining were assessed using image analysis software (ImagePro Plus 5).

Study 2

Twenty (20) 21 day old Rhodopsin/VEGF transgenic mice having constitutive expression of VEGF in their retinal neurons were divided into two equal groups. Each group received subcutaneous injections as follows: **Group 1** received 3 mg/kg/injection (low dose) of a compound from Table XXI; and **Group 2** received injections of vehicle.

Two injections were given to each animal on Day 1 while one injection was given to each animal on Day 2.

Two hours after the final dose on Day 2 the animals were euthanized and ocular samples were prepared as described in **Study 1** above. **Figure 1B** is a histogram showing the relative concentrations of albumin found on the surfaces of the retinas of the control animals versus the animals treated with 3 mg/kg~~/~~dose. Comparing **Figure 1A** to **Figure 1B** ~~mg/kg~~, these data indicate that the animals treated with a lower dose of 3 mg/kg/injection had less neovascularization than the animals dosed with 10 ~~3~~ mg/kg/dose.

Figure 2A and **Figure 2B** are representative photomicrographs of the immunohistochemically stained retinas obtained from the sacrificed animals described herein above. **Figure 2B** is a photomicrograph of the retina of a vehicle-treated transgenic mouse. Arrowheads indicate focal, perivasular deposits of albumin (an indicator of vascular leak). As can be seen in these micrographs, the control animal showed significant deposition of albumin in the retina whereas the retina of a transgenic mouse treated with 3 mg/kg/dose showed a marked reduction of perivasular deposits of albumin. (**Figure 2B)**.

Study 3

Thirty (30) Rhodopsin/VEGF transgenic mice having constitutive expression of VEGF in their retinal neurons were divided into three equal groups. At day 14 post natal each group received subcutaneous injections as follows: **Group 1** received 10 mg/kg/injection (high dose) of a compound from Table XXI; **Group 2** received 3 mg/kg/injection (low dose) of a compound from Table XXI; and **Group 3** received injections of vehicle. Each animal received two injections per day for 7 days.

At P21, mice were sacrificed; eyes were harvested and fixed in 10% PBS-buffered formalin for 2 hours at room temperature. Retinas were dissected and put in PBS in an Eppendorf tube and stained with GSA-Lectin conjugated with FITC for 2 hours at room temperature. After 3 washes with PBST, retinas were mounted and imaged by fluorescence microscopy. Areas of retinal neovascularization were assessed using image analysis software (ImagePro Plus 5).

Figure 3A (arrows) shows the significant level of sprouting of new blood vessels (neovascular tufts) in the retina of the vehicle-treated animals while **Figure 3B** shows the marked reduction of neovascular tufts in the retinas of animals treated with compound D91.

Figure 4 compares the relative amounts of neovascularization found in this study between animals treated with 10 mg/kg/injection, 3 mg/kg/injection and vehicle. Consistent with the data shown in Figures 1A and 1B, animals dosed with 3 mg/kg/injection had

CLAIMS

1. A method for preventing neovascularization in the eye and associated tissue, comprising administering to a subject an effective amount of one or more HPTP-β inhibitors.

2. A method for treating neovascularization in the eye and associated tissue, comprising administering to a subject an effective amount of one or more HPTP-β inhibitors.

3. A method for treating retinopathy in a subject, comprising administering to the subject an effective amount of one or more HPTP-β inhibitors.

4. A method for treating a subject diagnosed with retinopathy, comprising administering to the subject an effective amount of one or more HPTP-β inhibitors.

5. A method for treating diabetic macular edema in a subject, comprising administering to the subject an effective amount of one or more HPTP-β inhibitors.

6. A method for treating a subject diagnosed with diabetic macular edema, comprising administering to the subject an effective amount of one or more HPTP-β inhibitors.

7. A method for preventing diabetic macular edema in a subject, comprising administering to the subject an effective amount of one or more HPTP-β inhibitors.

8. A method for preventing diabetic macular edema in a subject diagnosed with diabetes, comprising administering to the subject an effective amount of one or more HPTP-β inhibitors.

9. A method for treating age-related macular degeneration in a subject, comprising administering to the subject an effective amount of one or more HPTP-β inhibitors.

10. A method for treating a subject diagnosed with age-related macular degeneration, comprising administering to the subject an effective amount of one or more HPTP-β inhibitors.

11. A method for treating diabetic retinopathy in a subject, comprising administering to the subject an effective amount of one or more HPTP-β inhibitors.

12. A method for treating a subject diagnosed with diabetic retinopathy, comprising administering to the subject an effective amount of one or more HPTP-β inhibitors.

13. A composition for treating neovascularization in the eye and associated tissue, comprising:
    a) one or more HPTP-β inhibitors or pharmaceutically acceptable salts thereof; and
    b) one or more carriers or compatible excipients.

14. A composition for preventing neovascularization in the eye and associated tissue, comprising:
    a) one or more HPTP-β inhibitors or pharmaceutically acceptable salts thereof; and
    b) one or more carriers or compatible excipients.

15. A composition for treating retinopathy, comprising:
    a) one or more HPTP-β inhibitors or pharmaceutically acceptable salts thereof; and
    b) one or more carriers or compatible excipients.

16. A composition for preventing retinopathy, comprising:
    a) one or more HPTP-β inhibitors or pharmaceutically acceptable salts thereof; and
    b) one or more carriers or compatible excipients.

17. A composition for treating diabetic macular edema, comprising:
    a) one or more HPTP-β inhibitors or pharmaceutically acceptable salts thereof; and
    b) one or more carriers or compatible excipients.

18. A composition for preventing diabetic macular edema, comprising:

a) one or more HPTP-β inhibitors or pharmaceutically acceptable salts thereof; and

b) one or more carriers or compatible excipients.

19. A composition for treating age-related macular degeneration, comprising:

a) one or more HPTP-β inhibitors or pharmaceutically acceptable salts thereof; and

b) one or more carriers or compatible excipients.

20. A composition for preventing age-related macular degeneration, comprising:

a) one or more HPTP-β inhibitors or pharmaceutically acceptable salts thereof; and

b) one or more carriers or compatible excipients.

21. A composition for treating diabetic retinopathy, comprising:

a) one or more HPTP-β inhibitors or pharmaceutically acceptable salts thereof; and

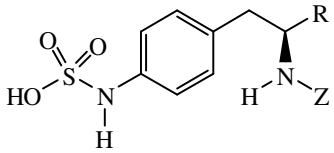
b) one or more carriers or compatible excipients.

22. A composition for preventing diabetic retinopathy, comprising:

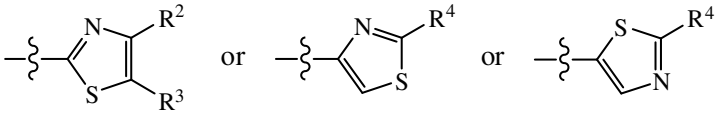
a) one or more HPTP-β inhibitors or pharmaceutically acceptable salts thereof; and

b) one or more carriers or compatible excipients.

23. The method according to any of Claims 1 to 12, wherein the one or more HPTP-β inhibitors have the formula:



wherein R is a substituted or unsubstituted thiazolyl unit having the formula:



R^2, R^3, and R^4 are each independently:

i) hydrogen;

ii) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl;

iii) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkenyl;

iv) substituted or unsubstituted C_2-C_6 linear or branched alkynyl;

v) substituted or unsubstituted C_6 or C_{10} aryl;

vi) substituted or unsubstituted C_1-C_9 heteroaryl;

vii) substituted or unsubstituted C_1-C_9 heterocyclic; or

viii) R^2 and R^3 can be taken together to form a saturated or unsaturated ring having from 5 to 7 atoms; wherein from 1 to 3 atoms can optionally be heteroatoms chosen from oxygen, nitrogen, and sulfur;

Z is a unit having the formula:

$$—(L)_n—R^1$$

R^1 is chosen from:

i) hydrogen;

ii) hydroxyl;

iii) amino;

iv) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl;

v) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkoxy;

vi) substituted or unsubstituted C_6 or C_{10} aryl;

vii) substituted or unsubstituted C_1-C_9 heterocyclic rings; or

viii) substituted or unsubstituted C_1-C_9 heteroaryl rings;

L is a linking unit having the formula:

$$–[Q]_y[C(R^{5a}R^{5b})]_x[Q^1]_z[C(R^{6a}R^{6b})]_w–$$

Q and Q^1 are each independently:

i) –C(O)–;

ii) –NH–;

iii) –C(O)NH–;

iv) –NHC(O)–;

v) –NHC(O)NH–;

vi) –NHC(O)O–;

vii) –C(O)O–;

viii) –C(O)NHC(O)–;

ix) –O–;

x) –S–;

xi) $–SO_2–$;

xii) –C(=NH)–;

xiii) –C(=NH)NH–;

xiv) –NHC(=NH)–; or

xv) –NHC(=NH)NH–;

R^{5a} and R^{5b} are each independently:

i) hydrogen;

ii) hydroxy;

iii) halogen;

iv) substituted or unsubstituted C_1-C_6 linear or C_3-C_6 branched alkyl; or

v) a unit having the formula:

$$–[C(R^{7a}R^{7b})]_tR^8$$

R^{7a} and R^{7b} are each independently:

i) hydrogen; or

ii) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl;

R^8 is:

i) hydrogen;

ii) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl;

iii) substituted or unsubstituted C_6 or C_{10} aryl;

iv) substituted or unsubstituted C_1-C_9 heteroaryl; or

v) substituted or unsubstituted C_1-C_9 heterocyclic;

R^{6a} and R^{6b} are each independently:

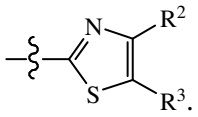
i) hydrogen; or

ii) C_1-C_4 linear or C_3-C_4 branched alkyl;

the index n is 0 or 1; the indices t, w and x are each independently from 0 to 4; the indices y and z are each independently 0 or 1; or

a pharmaceutically acceptable salt thereof.

24. The method according to Claim 23, wherein R has the formula:



25. The method according to Claim 24, wherein R^2 and R^3 are each independently hydrogen or substituted or unsubstituted C_1-C_6 linear, branched, or cyclic alkyl.

26. The method according to Claim 24, wherein R^2 is chosen from methyl, ethyl, n-propyl, *iso*-propyl, n-butyl, *iso*-butyl, *sec*-butyl, and *tert*-butyl; and R^3 is hydrogen.

27. The method according to Claim 24, wherein R^2 is methyl or ethyl.

28. The method according to Claim 24, wherein R^2 is an alkyl unit wherein one or more hydrogen atoms are substituted with one or more substitutions chosen from:

i) halogen;

ii) $-N(R^{11})_2$; and

iii) $-OR^{11}$;

wherein each R^{11} is independently hydrogen or C_1-C_4 linear or branched alkyl.

29. The method according to Claim 24, wherein R^2 is substituted or unsubstituted phenyl and R^3 is hydrogen.

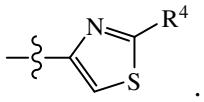
30. The method according to Claim 24, wherein R^2 is substituted or unsubstituted heteroaryl and R^3 is hydrogen.

31. The method according to Claim 24, wherein R^2 is a heteroaryl unit chosen from 1,2,3,4-tetrazol-1-yl ,1,2,3,4-tetrazol-5-yl, [1,2,3]triazol-4-yl, [1,2,3]triazol-5-yl, [1,2,4]triazol-4-yl, [1,2,4]triazol-5-yl, imidazol-2-yl, imidazol-4-yl, pyrrol-2-yl, pyrrol-3-yl, oxazol-2-yl, oxazol-4-yl, oxazol-5-yl, isoxazol-3-yl, isoxazol-4-yl, isoxazol-5-yl, [1,2,4]oxadiazol-3-yl, [1,2,4]oxadiazol-5-yl, [1,3,4]oxadiazol-2-yl, furan-2-yl, furan-3-yl, thiophen-2-yl,

thiophen-3-yl, isothiazol-3-yl, isothiazol-4-yl, isothiazol-5-yl, thiazol-2-yl, thiazol-4-yl, thiazol-5-yl, [1,2,4]thiadiazol-3-yl, [1,2,4]thiadiazol-5-yl, or [1,3,4]thiadiazol-2-yl.

32. The method according to Claim 24, wherein R^2 is thiophen-2-yl or thiophen-3-yl.

33. The method according to Claim 23, wherein R has the formula:



.

34. The method according to Claim 33, wherein R^4 is hydrogen or substituted or unsubstituted C_1-C_6 linear, branched, or cyclic alkyl.

35. The method according to Claim 33, wherein R^4 is chosen from methyl, ethyl, n-propyl, *iso*-propyl, n-butyl, *iso*-butyl, *sec*-butyl, and *tert*-butyl; and R^3 is hydrogen.

36. The method according to Claim 33, wherein R^4 is methyl or ethyl.

37. The method according to Claim 33, wherein R^4 is substituted or unsubstituted phenyl and R^3 is hydrogen.

38. The method according to Claim 33, wherein R^4 is an alkyl unit wherein one or more hydrogen atoms are substituted with one or more substitutions chosen from:

i) halogen;

ii) $-N(R^{11})_2$; and

iii) $-OR^{11}$;

wherein each R^{11} is independently hydrogen or C_1-C_4 linear or C_3-C_4 branched alkyl.

39. The method according to Claim 33, wherein R^4 is substituted or unsubstituted heteroaryl.

40. The method according to Claim 33, wherein R^4 is a heteroaryl unit chosen from 1,2,3,4-tetrazol-1-yl ,1,2,3,4-tetrazol-5-yl, [1,2,3]triazol-4-yl, [1,2,3]triazol-5-yl, [1,2,4]triazol-4-

yl, [1,2,4]triazol-5-yl, imidazol-2-yl, imidazol-4-yl, pyrrol-2-yl, pyrrol-3-yl, oxazol-2-yl, oxazol-4-yl, oxazol-5-yl, isoxazol-3-yl, isoxazol-4-yl, isoxazol-5-yl, [1,2,4]oxadiazol-3-yl, [1,2,4]oxadiazol-5-yl, [1,3,4]oxadiazol-2-yl, furan-2-yl, furan-3-yl, thiophen-2-yl, thiophen-3-yl, isothiazol-3-yl, isothiazol-4-yl, isothiazol-5-yl, thiazol-2-yl, thiazol-4-yl, thiazol-5-yl, [1,2,4]thiadiazol-3-yl, [1,2,4]thiadiazol-5-yl, and [1,3,4]thiadiazol-2-yl.

41. The method according to Claim 33, wherein R^4 is thiophen-2-yl or thiophen-3-yl.

42. The method according to Claim 33, wherein R^1 is hydrogen, methyl, ethyl, *tert*-butyl, or methyl substituted with phenyl.

43. The method according to Claim 33, wherein L has the formula:

$$–C(O)[C(R^{5a}R^{5b})]_xNHC(O)–$$

R^{5a} is hydrogen, substituted or unsubstituted phenyl, and substituted or unsubstituted heteroaryl; the index x is 1 or 2.

44. The method according to Claim 23, wherein R^{5a} has the formula:

$$–[C(R^{7a}R^{7b})]_wR^8$$

each R^{7a} is independently hydrogen, methyl, or ethyl; each R^{7b} is hydrogen; R^8 is hydrogen, substituted or unsubstituted C_1-C_4 linear, C_3-C_4 branched, or C_3-C_4 cyclic alkyl, or substituted or unsubstituted phenyl, wherein the substitutions are chosen from one or more hydroxy, halogen, or C_1-C_4 alkyl; R^{5b} is hydrogen; the index w is 1 or 2.

45. The method according to Claim 23, wherein L has the formula chosen from:

i) $–C(O)[C(R^{5a}H)]NHC(O)O–$;

ii) $–C(O)[C(R^{5a}H)][CH_2]NHC(O)O–$;

ii) $–C(O)[CH_2][C(R^{5a}H)]NHC(O)O–$;

iv) $–C(O)[C(R^{5a}H)]NHC(O)–$;

v) $–C(O)[C(R^{5a}H)][CH_2]NHC(O)–$; or

vi) $–C(O)[CH_2][C(R^{5a}H)]NHC(O)–$;

wherein R^{5a} is:

i) hydrogen;
ii) methyl;
iii) ethyl;
iv) isopropyl;
v) phenyl;
vi) benzyl;
vii) 4-hydroxybenzyl;
viii) hydroxymethyl; or
ix) 1-hydroxyethyl.

46. The method according to Claim 23, wherein R^1 is chosen from phenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,3-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2,3-dichlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2,3-dimethoxyphenyl, 3,4-dimethoxyphenyl, and 3,5-dimethoxyphenyl.

47. The method according to Claim 23, wherein R^2 is methyl or ethyl, R^3 is hydrogen, and L has the formula $–C(O)CH_2–$.

48. The method according to Claim 23, wherein R^2 is methyl or ethyl, R^3 is hydrogen, and L has the formula $–C(O)CH_2CH_2–$.

49. The method according to Claim 23, wherein R^4 is methyl, ethyl, phenyl, thiophen-2-yl, thiazol-2-yl, oxazol-2-yl, and isoxazol-3-yl; and L has the formula $–C(O)CH_2–$.

50. The method according to Claim 23, wherein R^4 is methyl, ethyl, phenyl, thiophen-2-yl, thiazol-2-yl, oxazol-2-yl, and isoxazol-3-yl; and L has the formula $–C(O)CH_2CH_2–$.

51. The method according to Claim 23, wherein R^1 is a substituted or unsubstituted heteroaryl unit, said substitutions chosen from:

i) C_1-C_6 linear, C_3-C_6 branched, and C_3-C_6 cyclic alkyl;

ii) substituted or unsubstituted phenyl and benzyl;

iii) substituted of unsubstituted heteroaryl;

iv) $-C(O)R^9$; or

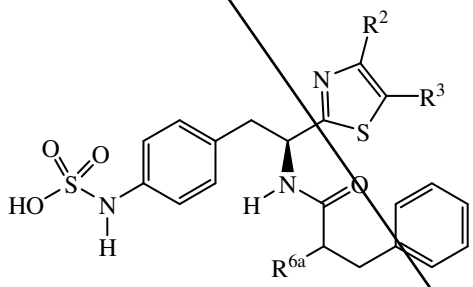
v) $-NHC(O)R^9$;

R^9 is C_1-C_6 linear or C_3-C_6 branched alkyl; C_1-C_6 linear or C_3-C_6 branched alkoxy; or $-NHCH_2C(O)R^{10}$; R^{10} is chosen from hydrogen, methyl, ethyl, or *tert*-butyl.

52. The method according to Claim 51, wherein R^1 is a heteroaryl unit substituted by an alkyl unit chosen from methyl, ethyl, n-propyl, *iso*-propyl, n-butyl, *iso*-butyl, *sec*-butyl, or *tert*-butyl.

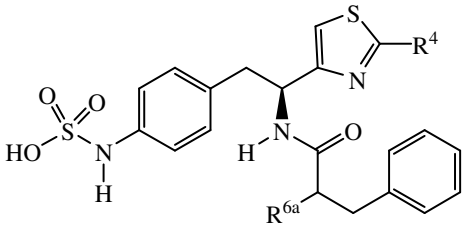
53. The method according to Claim 51, wherein R^1 is a heteroaryl unit substituted by a carboxy unit having the formula $-NHC(O)R^9$; R^9 is chosen from methyl, methoxy, ethyl, ethoxy, *tert*-butyl, and *tert*-butoxy.

54. The method according to Claim 23, wherein the compound has the formula:



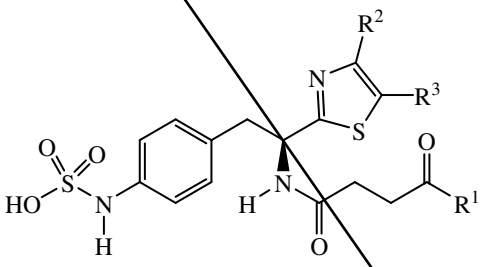
wherein R^2 is methyl or ethyl, R^3 is hydrogen, R^{6a} is chosen from phenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,3-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2,3-dichlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2,3-dimethoxyphenyl, 3,4-dimethoxyphenyl, 3,5-dimethoxyphenyl, 3-methyl-1,2,4-oxadiazol-5-yl, thiophen-2-yl, thiophen-3-yl, thiazol-2-yl, thiazol-4-yl, thiazol-5-yl, oxazol-2-yl, oxazol-4-yl, oxazol-5-yl, or isoxazol-3-yl.

55. The method according to Claim 23, wherein the compound has the formula:



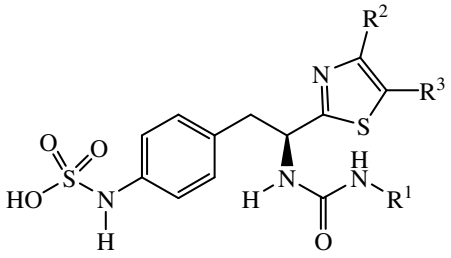
wherein R^4 is methyl, ethyl, phenyl, or thiophen-2-yl, R^{6a} is chosen from phenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,3-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2,3-dichlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2,3-dimethoxyphenyl, 3,4-dimethoxyphenyl, 3,5-dimethoxyphenyl, 3-methyl-1,2,4-oxadiazol-5-yl, thiophen-2-yl, thiophen-3-yl, thiazol-2-yl, thiazol-4-yl, thiazol-5-yl, oxazol-2-yl, oxazol-4-yl, oxazol-5-yl, or isoxazol-3-yl.

56. The method according to Claim 23, wherein the compound has the formula:



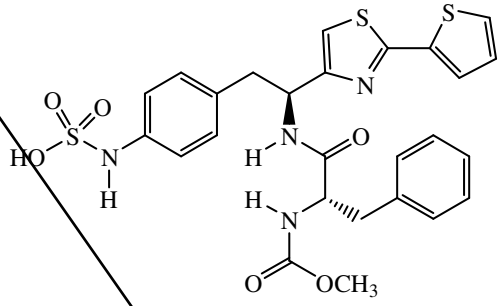
wherein R^2 is chosen from methyl, ethyl, phenyl, and thiophen-2-yl, R^3 is hydrogen or methyl; R^1 is chosen from phenyl, thiophen-2-yl, thiophen-3-yl, thiazol-2-yl, thiazol-4-yl, thiazol-5-yl, oxazol-2-yl, oxazol-4-yl, oxazol-5-yl, and isoxazol-3-yl.

57. The method according Claim 23, wherein the compound has the formula:



wherein R^2 and R^3 are each independently hydrogen, methyl or ethyl; R^1 is chosen from phenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,3-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2,3-dichlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2,3-dimethoxyphenyl, 3,4-dimethoxyphenyl, and 3,5-dimethoxyphenyl.

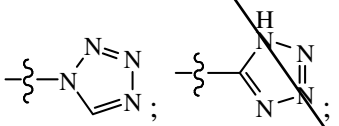
58. The method according to Claim 23, wherein the compound has the formula:



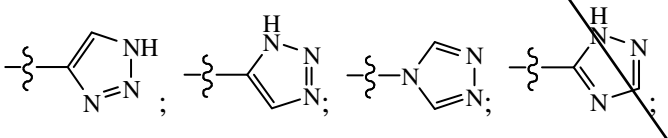
or the ammonium salt thereof.

59. The method according to Claim 23, wherein R^1 is a substituted or unsubstituted heteroaryl unit chosen from:

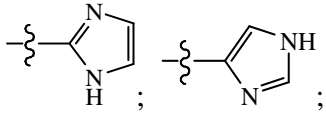
i) 1,2,3,4-tetrazol-1-yl and 1,2,3,4-tetrazol-5-yl having the respective formulae:



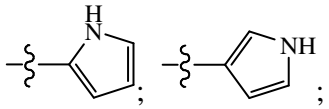
ii) [1,2,3]triazol-4-yl, [1,2,3]triazol-5-yl, [1,2,4]triazol-4-yl, and [1,2,4]triazol-5-yl having the respective formulae:



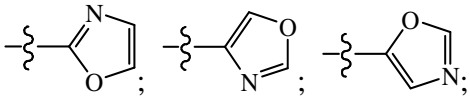
iii) imidazol-2-yl and imidazol-4-yl having the respective formulae:



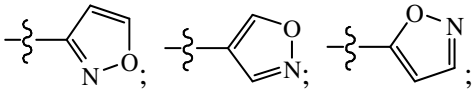
iv) pyrrol-2-yl and pyrrol-3-yl having the respective formulae:



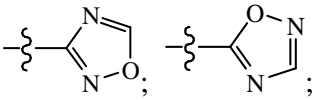
v) oxazol-2-yl, oxazol-4-yl, and oxazol-5-yl having the respective formulae:



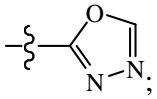
vi) isoxazol-3-yl, isoxazol-4-yl, and isoxazol-5-yl having the respective formulae:



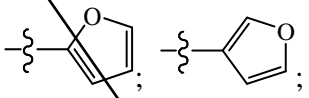
vii) [1,2,4]oxadiazol-3-yl and [1,2,4]oxadiazol-5-yl having the respective formulae:



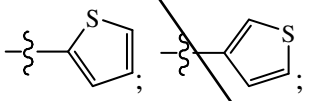
viii) [1,3,4]oxadiazol-2-yl having the formula:



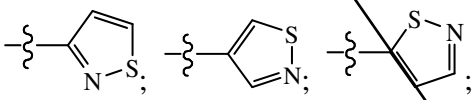
ix) furan-2-yl and furan-3-yl having the respective formulae:



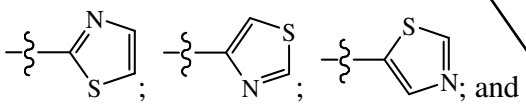
x) thiophen-2-yl and thiophen-3-yl having the respective formulae:



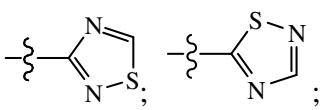
xi) isothiazol-3-yl, isothiazol-4-yl and isothiazol-5-yl having the respective formulae:



xii) thiazol-2-yl, thiazol-4-yl and thiazol-5-yl having the respective formulae:



xiii) [1,2,4]thiadiazol-3-yl and [1,2,4]thiadiazol-5-yl having the respective formulae:



said heteroaryl unit substitutions chosen from:

i) C_1-C_6 linear, C_3-C_6 branched, C_3-C_6 and cyclic alkyl;

ii) substituted or unsubstituted phenyl and benzyl;

iii) substituted of unsubstituted heteroaryl;

iv) $–C(O)R^9$; and

v) $–NHC(O)R^9$;

R^9 is C_1-C_6 linear and branched alkyl; C_1-C_6 linear and C_3-C_6 branched alkoxy; or $–NHCH_2C(O)R^{10}$; R^{10} is chosen from hydrogen, methyl, ethyl, and *tert*-butyl;

R^4 is a unit chosen from:

i) hydrogen;

ii) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl;

iii) substituted or unsubstituted C_2-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkenyl;

iv) substituted or unsubstituted C_2-C_6 linear or C_3-C_6 branched alkynyl;

v) substituted or unsubstituted C_6 or C_{10} aryl;

vi) substituted or unsubstituted C_1-C_9 heteroaryl;

vii) substituted or unsubstituted C_1-C_9 heterocyclic.

60. The method according to Claim 23, wherein the compound is chosen from:

(*S*)-4-[2-Benzamido-2-(4-ethylthiazol-2-yl)ethyl]phenylsulfamic acid;

(*S*)-4-{2-(4-Ethylthiazol-2-yl)-2-[2-(2-fluorophenyl)acetamido]ethyl}phenyl-sulfamic acid;

(*S*)-4-(2-(4-Ethylthiazol-2-yl)-2-(2-(3-fluorophenyl)acetamido)ethyl)phenylsulfamic acid;

(*S*)-4-(2-(2-(2,3-Difluorophenyl)acetamido)-2-(4-ethylthiazol-2-yl)ethyl)phenyl-sulfamic acid;

(*S*)-4-(2-(2-(3,4-Difluorophenyl)acetamido)-2-(4-ethylthiazol-2-yl)ethyl)phenyl-sulfamic acid;

(*S*)-4-(2-(2-(2-Chlorophenyl)acetamido)-2-(4-ethylthiazol-2-yl)ethyl)phenylsulfamic acid;

(*S*)-4-(2-(2-(3-Chlorophenyl)acetamido)-2-(4-ethylthiazol-2-yl)ethyl)phenyl-sulfamic acid;

(*S*)-4-(2-(4-Ethylthiazol-2-yl)-2-(2-(3-hydroxyphenyl)acetamido)ethyl)phenyl-sulfamic acid;

(*S*)-4-(2-(4-Ethylthiazol-2-yl)-2-(2-(2-methoxyphenyl)acetamido)ethyl)phenyl-sulfamic acid;

(*S*)-4-(2-(4-Ethylthiazol-2-yl)-2-(2-(3-methoxyphenyl)acetamido)ethyl)phenyl-sulfamic acid;

(*S*)-4-(2-(4-Ethylthiazol-2-yl)-2-(3-phenylpropanamido)ethyl)phenylsulfamic acid;

(*S*)-4-(2-(2-(3,4-Dimethoxyphenyl)acetamido)-2-(4-ethylthiazol-2-yl)ethyl)-phenylsulfamic acid;

(*S*)-4-(2-(2-(2,3-Dimethoxyphenyl)acetamido)-2-(4-ethylthiazol-2-yl)ethyl)-phenylsulfamic acid;

(*S*)-4-(2-(3-(3-Chlorophenyl)propanamido)-2-(4-ethylthiazol-2-yl)ethyl)phenyl-sulfamic acid;

(*S*)-4-(2-(4-Ethylthiazol-2-yl)-2-(3-(2-methoxyphenyl)propanamido)ethyl)phenyl-sulfamic acid;

(*S*)-4-(2-(4-Ethylthiazol-2-yl)-2-(3-(3-methoxyphenyl)propanamido)ethyl)pheny-lsulfamic acid;

(*S*)-4-(2-(4-Ethylthiazol-2-yl)-2-(3-(4-methoxyphenyl)propanamido)ethyl)phenyl-sulfamic acid;

(*S*)-4-{2-[2-(4-Ethyl-2,3-dioxopiperazin-1-yl)acetamido]-2-(4-ethylthiazol-2-yl)ethyl}phenylsulfamic acid;

(*S*)-4-{2-(4-Ethylthiazol-2-yl)-2-[2-(5-methyl-2,4-dioxo-3,4-dihydropyrimidin-1(2*H*)-yl)acetamide]ethyl}phenylsulfamic acid;

(*S*)-4-[2-(Benzo[*d*][1,3]dioxole-5-carboxamido)-2-(4-ethylthiazol-2-yl)ethyl]-phenylsulfamic acid;

4-((*S*)-2-(2-(2-Chlorophenyl)acetamido)-2-(2-(thiophene2-yl)thiazol-4-yl)ethyl)-phenylsulfamic acid;

4-((*S*)-2-(2-(3-Methoxyphenyl)acetamido)-2-(2-(thiophene2-yl)thiazol-4-yl)ethyl)-phenylsulfamic acid;

4-{(*S*)-2-(3-Phenylpropanamido)-2-[2-(thiophene2-yl)thiazol-4-yl]ethyl}phenyl-sulfamic acid;

4-{(*S*)-2-(3-(3-Chlorophenyl)propanamido)-2-[2-(thiophene2-yl)thiazol-4-yl]ethyl}-phenylsulfamic acid;

4-{(*S*)-2-[2-(3-Fluorophenyl)acetamide]-2-[2-(thiophene2-yl)thiazol-4-yl]ethyl}-phenylsulfamic acid;

(*S*)-4-{2-[2-(2,5-Dimethylthiazol-4-yl)acetamide]-2-(4-ethylthiazol-2-yl]ethyl}-phenylsulfamic acid;

(*S*)-4-{2-[2-(2,4-Dimethylthiazol-5-yl)acetamide]-2-(4-methylthiazol-2-ylethyl}-phenylsulfamic acid;

(*S*)-4-{2-(4-Ethylthiazol-2-yl)-2-[3-(thiazol-2-yl)propanamido]ethyl}phenylsulfamic acid;

(*S*)-4-{2-(4-Ethylthiazol-2-yl)-2-[2-(4-ethylthiazol-2-yl)acetamide]ethyl}phenyl-sulfamic acid;

(*S*)-4-{2-[2-(3-Methyl-1,2,4-oxadiazol-5-yl)acetamide]-2-(2-phenylthiazol-4-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[2-(4-Ethyl-2,3-dioxopiperazin-1-yl)acetamide]-2-[2-(thiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

(*S*)-4-(2-(2,3-Diphenylpropanamido)-2-(4-ethylthiazol-2-yl)ethyl)phenylsulfamic acid;

(*S*)-4-{2-(4-Ethylthiazol-2-yl)-2-[2-(2-methoxyphenyl)-3-phenylpropanamido]-ethyl)phenylsulfamic acid;

(*S*)-4-{2-(4-Ethylthiazol-2-yl)-2-[2-(3-fluorophenyl)-3-phenylpropanamido]-ethyl}phenylsulfamic acid;

(*S*)-4-{2-(4-Ethylthiazol-2-yl)-2-[2-(3-methoxyphenyl)-3-phenylpropanamido]-ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-Ethylthiazol-2-yl)-2-[2-(3-methyl-1,2,4-oxadiazol-5-yl)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

(*S*)-4-[2-(4-Ethylthiazol-2-yl)-2-(4-oxo-4-phenylbutanamido)-ethyl]phenylsulfamic acid;

(*S*)-4-(2-(4-Ethylthiazol-2-yl)-2-(5-methyl-4-oxohexanamido)ethyl)phenylsulfamic acid;

(*S*)-4-{2-[4-(3,4-Dihydro-2H-benzo[b][1,4]dioxepin-7-yl)-4-oxobutanamido]-2-(4-ethylthiazol-2-yl)ethyl}phenylsulfamic acid;

(*S*)-4-{2-[4-(2,3-Dimethoxyphenyl)-4-oxobutanamido]-2-(4-ethylthiazol-2-yl)ethyl}phenylsulfamic acid;

(*S*)-4-{2-(4-Ethylthiazol-2-yl)-2-[4-oxo-4-(pyridin-2-yl)butanamido]ethyl}phenyl-sulfamic acid;

(S)-4-{2-[4-(2,3-Dihydrobenzo[b][1,4]dioxin-6-yl)-4-oxobutanamido]-2-(4-ethylthiazol-2-yl)ethyl}phenylsulfamic acid;

(*S*)-4-[2-(4-*tert*-Butoxy-4-oxobutanamido)-2-(4-ethylthiazol-2-yl)ethyl]phenyl-sulfamic acid;

(*S*)-4-[2-(4-Ethoxy-4-oxobutanamido)-2-(4-ethylthiazol-2-yl)ethyl]phenylsulfamic acid;

(S)-4-(2-(3-Benzylureido)-2-(4-ethylthiazol-2-yl)ethyl)phenylsulfamic acid;

4-{[(*S*)-2-(2-Ethylthiazol-4-yl)-2-(3-(*R*)-1methoxy-1-oxo-3-phenylpropan-2-yl)ureido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(3-Benzylureido)-2-[2-(thiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

{4-(*S*)-[2-Phenylmethanesulfonylamino-2-(2-thiophen-2-ylthiazol-4-yl)ethyl]-phenylsulfamic acid;

4-{(S)-2-[(2-Methylthiazol-4-yl)methylsulfonamido]-2-[2-(thiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

{4-(*S*)-[2-Phenylmethanesulfonylamino-2-(2-ethylthiazol-4-yl)ethyl]phenyl}-sulfamic acid;

{4-(*S*)-[2-(3-Methoxyphenyl)methanesulfonylamino-2-(2-ethylthiazol-4-yl)ethyl]phenyl}sulfamic acid;

(*S*)-4-{[1-(2-Ethylthiazol-4-yl)-2-(4-sulfoaminophenyl)ethylsulfamoyl]methyl}-benzoic acid methyl ester;

(*S*)-4-[2-(2-Ethylthiazol-4-yl)-2-(1-methyl-1*H*-imidazol-4-sulfonamido)ethyl]-phenylsulfamic acid;

4-{(*S*)-2-[2-(Thiophen-2-yl)thiazol-4-yl]-2-(2,2,2-trifluoroethylsulfonamido)-ethyl}phenylsulfamic acid;

{4-(*S*)-[2-(Phenylethanesulfonylamino)-2-(2thiophen-2-ylthiazol-4-yl)ethyl]-phenyl}sulfamic acid;

{4-(*S*)-[3-(Phenylpropanesulfonylamino)-2-(2thiophen-2-ylthiazol-4-yl)ethyl]-phenyl}sulfamic acid;

(*S*)-{4-[2-(4-Methyl-3,4-dihydro-2H-benzo[1,4]oxazine-7-sulfonylamino)-2-(2-thiophen-2-ylthiazol-4-yl)ethyl]phenyl}sulfamic acid;

4-{(*S*)-2-(4-Acetamidophenylsulfonamido)-2-[2-(thiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

4-{(S)-2-(2-cyclopropylthiazol-4-yl)-2-[4-(3-methoxyphenyl)-thiazol-2-ylamino]ethyl}phenylsulfamic acid;

(*S*)-4-(2-(4-((2-Methoxy-2-oxoethyl)carbamoyl)thiazole-5-ylamino)2-(2-ethylthiazole-4-yl)ethyl)phenylsulfamic acid;

4-((*S*)-2-(5-(1-*N*-(2-Methoxy-2-oxoethyl)-1-*H*-indol-3-yl)oxazole-2-ylamino)-2-(2-methylthiazol-4-yl)ethyl))phenylsulfamic acid;

4-((S)-2-(5-(2-Methoxyphenyl)oxazol-2-ylamino)-2-(2-methylthiazol-4-yl)ethyl)-phenylsulfamic acid;

4-((*S*)-2-(5-((*S*)-1-(*tert*-Butoxycarbonyl)-2-phenylethyl)oxazole-2-ylamino)-2-(2-methylthiazole-4-yl)ethyl)phenylsulfamic acid;

(*S*)-4-(2-(5-(4-Methoxycarbonyl)phenyl)oxazole-2-ylamino)2-(2-methylthiazole-4-yl)ethyl)phenylsulfamic acid;

(*S*)-4-(2-(5-(3-Methoxybenzyl)oxazole-2-ylamino)-2-(2-methylthiazole-4-yl)ethyl)-phenylsulfamic acid;

(*S*)-4-(2-(2-Methylthiazole-4-yl)2-(5-phenyloxazole-2-ylamino)ethyl)phenyl-sulfamic acid;

4-((S)-2-(2-Cyclopropylthiazol-4-yl)-2-(4-(3-methoxyphenyl)thiazol-2-ylamino)-ethyl)phenylsulfamic acid;

(*S*)-4-(2-(2-cyclopropylthiazol-4-yl)-2-(4-(4-fluorophenyl)thiazol-2-ylamino)ethyl)-phenylsulfamic acid;

4-((*S*)-2-(2-cyclopropylthiazol-4-yl)-2-(4-(2-methoxyphenyl)thiazol-2-ylamino)-ethyl)phenylsulfamic acid;

4-((*S*)-2-(2-cyclopropylthiazol-4-yl)-2-(4-(2,4-difluorophenyl)thiazol-2-ylamino)-ethyl)phenylsulfamic acid;

(*S*)-4-(2-(4-(3-methoxybenzyl)thiazol-2-ylamino)-2-(2-cyclopropylthiazol-4-yl)ethyl)phenylsulfamic acid;

(*S*)-{5-[1-(2-Ethylthiazol-4-yl)-2-(4-sulfoaminophenyl)ethylamino]-2-methyl-2H-[1,2,4]triazole-3-yl}carbamic acid methyl ester;

4-{(S)-2-[4-(2-Methoxyphenyl)thiazol-2-ylamino)-2-[2-(thiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[5-(3-Methoxyphenyl)oxazole-2-ylamino]-2-(2-phenylthiazole-4-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[4-(2,4-Difluorophenyl)thiazol-2-ylamino]-2-[2-(thiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

(*S*)-4-{2-[4-(Ethoxycarbonyl)thiazol-2-ylamino]-2-(2-phenylthiazol-4-yl)ethyl}-phenylsulfamic acid;

(*S*)-4-{2-[4-(2-Ethoxy-2-oxoethyl)thiazol-2-ylamino]-2-(2-phenylthiazol-4-yl)ethyl}phenylsulfamic acid;

(*S*)-4-{2-[4-(4-Acetamidophenyl)thiazol-2-ylamino]-2-(2-phenylthiazol-4-yl)ethyl}phenylsulfamic acid;

(S)-4-[2-(4-Phenylthiazol-2-ylamino)-2-(2-phenylthiazol-4-yl)ethyl]phenylsulfamic acid;

(*S*)-4-{2-[4-(4-(Methoxycarbonyl)phenyl)thiazol-2-ylamino]-2-(2-phenylthiazol-4-yl)ethyl}phenylsulfamic acid;

4-{(S)-2-[4-(Ethoxycarbonyl)thiazol-2-ylamino]-2-[2-(thiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

(*S*)-4-[2-(4-(Methoxycarbonyl)thiazol-5-ylamino)-2-(2-phenylthiazole-4-yl)ethyl]-phenylsulfamic acid;

(*S*)-4-[2-(5-Phenyloxazole-2-ylamino)]-2-(2-phenylthiazole-4-yl)phenylsulfamic acid;

(*S*)-4-{2-[5-(4-Acetamidophenyl)oxazole-2-ylamino]-2-(2-phenylthiazole-4-yl)ethyl}phenylsufamic acid;

4-((*S*)-2-(5-(2,4-Difluorophenyl)oxazole-2-ylamino)-2-(2-phenylthiazole-4-yl)ethyl)phenylsulfamic acid;

4-{(*S*)-2-[5-(3-Methoxyphenyl)oxazol-2-ylamino]-2-[(2-thiophen-2-yl)thiazole-4-yl]ethyl}phenylsulfamic acid;

(*S*)-4-[2-(4,6-Dimethylpyrimidene-2-ylamino)-2-(2-methylthiazole-4-yl)ethyl]-phenylsulfamic acid;

(*S*)-4-[2-(4-Hydroxy-6-methylpyrimidine-2-ylamino)-2-(2-methylthiazole-4-yl)ethyl]phenylsulfamic acid; 4-{(S)-2-[(S)-2-(*tert*-Butoxycarbonylamino)-3-phenylpropanamido]-2-(4-ethylthiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*R*)-2-(*tert*-Butoxycarbonylamino)-3-phenylpropanamido]-2-(4-ethylthiazol-2-yl)ethyl}phenylsulfamic acid;

{1-[1-(5-Ethylthiazol-2-yl)-(*S*)-2-(4-sulfoaminophenyl)ethylcarbamoyl]-(*S*)-2-phenylethyl}methyl carbamic acid *tert*-butyl ester;

{(*S*)-2-Phenyl-1-[1-(4-phenylthiazol-2-yl)-(*S*)-2-(4-sulfoaminophenyl)ethyl-carbamoyl]ethyl}carbamic acid *tert*-butyl ester;

4-{(*S*)-2-(*S*)-2-(*tert*-Butoxycarbonylamino)-3-phenylpropaneamido-2-(2-phenylthiazole-4-yl)}phenylsulfamic acid;

4-{(*S*)-2-(4-Ethylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(thiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(4-methylthiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(4-propylthiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-*tert*-Butylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-Cyclopropylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-Cyclohexylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4,5-Dimethylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-Phenyl-1-[1-(2-phenylthiazol-4-yl)-(*S*)-2-(4-sulfoaminophenyl)ethyl-carbamoyl]ethyl}carbamic acid *tert*-butyl ester;

4-{(*S*)-2-(4-Ethyl-5-methylthiazol-2-yl)-2-[(S)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(S)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[4-(2,2,2-trifluoroethyl)thiazol-2-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido)-2-[4-(3,3,3-trifluoropropyl)thiazol-2-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[4-(2,2-Difluorocyclopropyl)thiazol-2-yl]-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[4-(methoxy-methyl)thiazol-2-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-(Ethoxycarbonylamino)thiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(5-phenylthiazol-2-yl))ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-*tert*-Butylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-Ethyl-5-phenylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[4-(3,4-Dimethylphenyl)thiazol-2-yl]-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(S)-2-[4-(4-Chlorophenyl)thiazol-2-yl]-2-[(S)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(4-phenylthiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[4-(thiophen-2-yl)thiazol-2-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[4-(thiophen-3-yl)thiazol-2-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-Ethylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbinyl)-3-phenylpropion-amido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(5,6-Dihydro-4*H*-cyclopenta[*d*]thiazol-2-yl)-2-[(*S*)-2-(methoxy-carbonyl)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(4,5,6,7-tetrahydrobenzo[*d*]thiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[4-(5-Chlorothiophen-2-yl)thiazol-2-yl]-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Ethoxycarbonylamino)-3-phenylpropanamido]-2-(4-ethylthiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(2-ethylthiazol-4-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(2-methyl-thiazol-4-yl)ethyl}phenylsulfamic acid;

4-{(S)-2-(2-Ethylthiazole-4-yl)-2-[(S)-2-(methoxycarbonylamino)-3-phenylpropan-amido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(2-Isopropylthiazol-4-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propan-amido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(2-Cyclopropylthiazol-4-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(S)-2-{2-[(4-Chlorophenylsulfonyl)methyl]thiazol-4-yl}-2-[(S)-2-(methoxy-carbonyl)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(S)-2-[2-(*tert*-Butylsulfonylmethyl)thiazol-4-yl]-2-[(S)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropionamido]-2-(2-phenyl-thiazole-4-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[2-(thiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[2-(3-Chlorothiophen-2-yl)thiazol-4-yl]-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[2-(3-methylthiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

4-{[(*S*)-2-(2-(Furan-2-yl)thiazol-4-yl]-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[2-(2-methyl-thiazole-4-yl)thiazol-4yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(2-pyrazine-2-yl)thiazole-4-yl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[2-(6-methyl-pyridin-3-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

4-[(*S*)-2-((*S*)-2-Acetamido-3-phenylpropanamido)-2-(4-ethylthiazol-2-yl)ethyl]-phenylsulfamic acid ;

4-[(*S*)-2-((*S*)-2-Acetamido-3-phenylpropanamido)-2-(4-*tert*-butylthiazol-2-yl)ethyl]-phenylsulfamic acid;

4-{(*S*)-2-((*S*)-2-Acetamido-3-phenylpropanamido)-2-[4-(thiophen-3-yl)thiazol-2-yl]ethyl)phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(*tert*-Butoxycarbonyl)-3-methylbutanamido]-2-(4-ethylthiazol-2-yl)ethyl}phenylsulfamic acid;

(*S*)-4-{2-[2-(*tert*-Butoxycarbonyl)acetamide]-2-(4-ethylthiazol-2-yl)ethyl}phenyl-sulfamic acid;

(*S*)-4-{2-(4-Ethylthiazol-2-yl)-2-[2-(methoxycarbonyl)acetamido]ethyl}phenyl-sulfamic acid;

4-{(*S*)-2-(4-Ethylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonyl)-3-methylbutanamido]-ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(*tert*-Butoxycarbonyl)-4-methylpentanamido]-2-(4-ethylthiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-Ethylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonyl)-4-methylpentan-amido]ethyl}phenylsulfamic acid;

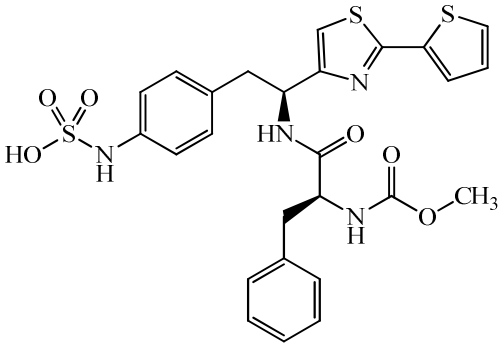
4-((*S*)-2-(4-Ethylthiazol-2-yl)-2-{(*S*)-2-[2-(methoxycarbonyl)acetamide]-3-phenylpropanamido}ethyl)phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(*tert*-Butoxycarbonyl)-4-methylpentanamido]-2-[2-(thiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonyl)-4-methylpentanamido]-2-[2-(thiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid; and

(*S*)-4-{2-[2-(*tert*-Butoxycarbonyl)acetamide]-2-(4-ethylthiazol-2-yl)ethyl}-phenylsulfamic acid.

61. The method according to Claim 23, wherein the compound has the formula:

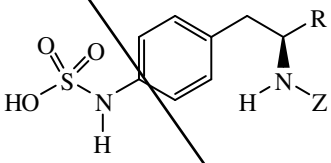


; and

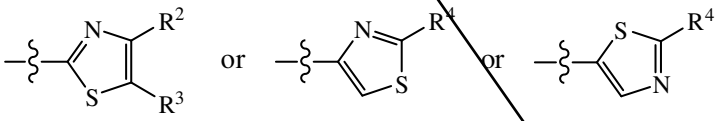
pharmaceutically acceptable salts thereof.

62. The method according to Claim 23, wherein the compound is in the form of a salt of a cation chosen from ammonium, sodium, lithium, potassium, calcium, magnesium, bismuth, and lysine.

63. The composition according to any of Claims 13 to 22, wherein the one or more HPTP-β inhibitors have the formula:



wherein R is a substituted or unsubstituted thiazolyl unit having the formula:



R^2, R^3, and R^4 are each independently:

i) hydrogen;

ii) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl;

iii) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkenyl;

iv) substituted or unsubstituted C_2-C_6 linear or branched alkynyl;

v) substituted or unsubstituted C_6 or C_{10} aryl;

vi) substituted or unsubstituted C_1-C_9 heteroaryl;

vii) substituted or unsubstituted C_1-C_9 heterocyclic; or

viii) R^2 and R^3 can be taken together to form a saturated or unsaturated ring having from 5 to 7 atoms; wherein from 1 to 3 atoms can optionally be heteroatoms chosen from oxygen, nitrogen, and sulfur;

Z is a unit having the formula:

$$—(L)_n—R^1$$

R^1 is chosen from:

i) hydrogen;

ii) hydroxyl;

iii) amino;

iv) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl;

v) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkoxy;

vi) substituted or unsubstituted C_6 or C_{10} aryl;

vii) substituted or unsubstituted C_1-C_9 heterocyclic rings; or

viii) substituted or unsubstituted C_1-C_9 heteroaryl rings;

L is a linking unit having the formula:

$$–[Q]_y[C(R^{5a}R^{5b})]_x[Q^1]_z[C(R^{6a}R^{6b})]_w–$$

Q and Q^1 are each independently:

i) –C(O)–;

ii) –NH–;

iii) –C(O)NH–;

iv) –NHC(O)–;

v) –NHC(O)NH–;

vi) –NHC(O)O–;

vii) –C(O)O–;

viii) –C(O)NHC(O)–;

ix) –O–;

x) –S–;

xi) $–SO_2–$;

xii) –C(=NH)–;

xiii) –C(=NH)NH–;

xiv) –NHC(=NH)–; or

xv) –NHC(=NH)NH–;

R^{5a} and R^{5b} are each independently:

i) hydrogen;

ii) hydroxy;

iii) halogen;

iv) substituted or unsubstituted C_1-C_6 linear or C_3-C_6 branched alkyl; or

v) a unit having the formula:

$$-[C(R^{7a}R^{7b})]_tR^8$$

R^{7a} and R^{7b} are each independently:

i) hydrogen; or

ii) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl;

R^8 is:

i) hydrogen;

ii) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl;

iii) substituted or unsubstituted C_6 or C_{10} aryl;

iv) substituted or unsubstituted C_1-C_9 heteroaryl; or

v) substituted or unsubstituted C_1-C_9 heterocyclic;

R^{6a} and R^{6b} are each independently:

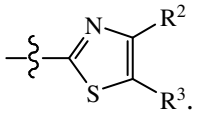
i) hydrogen; or

ii) C_1-C_4 linear or C_3-C_4 branched alkyl;

the index n is 0 or 1; the indices t, w and x are each independently from 0 to 4; the indices y and z are each independently 0 or 1; or

a pharmaceutically acceptable salt thereof.

64. The composition according to Claim 63, wherein R has the formula:



65. The composition according to Claim 64, wherein R^2 and R^3 are each independently hydrogen or substituted or unsubstituted C_1-C_6 linear, branched, or cyclic alkyl.

66. The composition according to Claim 64, wherein R^2 is chosen from methyl, ethyl, n-propyl, *iso*-propyl, n-butyl, *iso*-butyl, *sec*-butyl, and *tert*-butyl; and R^3 is hydrogen.

67. A method according to Claim 64, wherein R^2 is methyl or ethyl.

68. A method according to Claim 64, wherein R^2 is an alkyl unit wherein one or more hydrogen atoms are substituted with one or more substitutions chosen from:

i) halogen;

ii) $-N(R^{11})_2$; and

iii) $-OR^{11}$;

wherein each R^{11} is independently hydrogen or C_1-C_4 linear or branched alkyl.

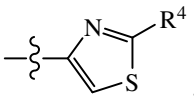
69. The composition according to Claim 64, wherein R^2 is substituted or unsubstituted phenyl and R^3 is hydrogen.

70. The composition according to Claim 64, wherein R^2 is substituted or unsubstituted heteroaryl and R^3 is hydrogen.

71. The composition according to Claim 64, wherein R^2 is a heteroaryl unit chosen from 1,2,3,4-tetrazol-1-yl ,1,2,3,4-tetrazol-5-yl, [1,2,3]triazol-4-yl, [1,2,3]triazol-5-yl, [1,2,4]triazol-4-yl, [1,2,4]triazol-5-yl, imidazol-2-yl, imidazol-4-yl, pyrrol-2-yl, pyrrol-3-yl, oxazol-2-yl, oxazol-4-yl, oxazol-5-yl, isoxazol-3-yl, isoxazol-4-yl, isoxazol-5-yl, [1,2,4]oxadiazol-3-yl, [1,2,4]oxadiazol-5-yl, [1,3,4]oxadiazol-2-yl, furan-2-yl, furan-3-yl, thiophen-2-yl, thiophen-3-yl, isothiazol-3-yl, isothiazol-4-yl, isothiazol-5-yl, thiazol-2-yl, thiazol-4-yl, thiazol-5-yl, [1,2,4]thiadiazol-3-yl, [1,2,4]thiadiazol-5-yl, or [1,3,4]thiadiazol-2-yl.

72. The composition according to Claim 64, wherein R^2 is thiophen-2-yl or thiophen-3-yl.

73. The composition according to Claim 63, wherein R has the formula:



74. The composition according to Claim 73, wherein R^4 is hydrogen or substituted or unsubstituted C_1-C_6 linear, branched, or cyclic alkyl.

75. The composition according to Claim 73, wherein R^4 is chosen from methyl, ethyl, n-propyl, *iso*-propyl, n-butyl, *iso*-butyl, *sec*-butyl, and *tert*-butyl; and R^3 is hydrogen.

76. The composition according to Claim 73, wherein R^4 is methyl or ethyl.

77. The composition according to Claim 73, wherein R^4 is substituted or unsubstituted phenyl and R^3 is hydrogen.

78. The composition according to Claim 73, wherein R^4 is an alkyl unit wherein one or more hydrogen atoms are substituted with one or more substitutions chosen from:

i) halogen;

ii) $–N(R^{11})_2$; and

iii) $–OR^{11}$;

wherein each R^{11} is independently hydrogen or C_1-C_4 linear or C_3-C_4 branched alkyl.

79. The composition according to Claim 73, wherein R^4 is substituted or unsubstituted heteroaryl.

80. The composition according to Claim 73, wherein R^4 is a heteroaryl unit chosen from 1,2,3,4-tetrazol-1-yl ,1,2,3,4-tetrazol-5-yl, [1,2,3]triazol-4-yl, [1,2,3]triazol-5-yl, [1,2,4]triazol-4-yl, [1,2,4]triazol-5-yl, imidazol-2-yl, imidazol-4-yl, pyrrol-2-yl, pyrrol-3-yl, oxazol-2-yl, oxazol-4-yl, oxazol-5-yl, isoxazol-3-yl, isoxazol-4-yl, isoxazol-5-yl, [1,2,4]oxadiazol-3-yl, [1,2,4]oxadiazol-5-yl, [1,3,4]oxadiazol-2-yl, furan-2-yl, furan-3-yl, thiophen-2-yl, thiophen-3-yl, isothiazol-3-yl, isothiazol-4-yl, isothiazol-5-yl, thiazol-2-yl,

thiazol-4-yl, thiazol-5-yl, [1,2,4]thiadiazol-3-yl, [1,2,4]thiadiazol-5-yl, and [1,3,4]thiadiazol-2-yl.

81. The composition according to Claim 73, wherein R^4 is thiophen-2-yl or thiophen-3-yl.

82. The composition according to Claim 63, wherein R^1 is hydrogen, methyl, ethyl, *tert*-butyl, or methyl substituted with phenyl.

83. The composition according to Claim 63, wherein L has the formula:

$$–C(O)[C(R^{5a}R^{5b})]_xNHC(O)–$$

R^{5a} is hydrogen, substituted or unsubstituted phenyl, and substituted or unsubstituted heteroaryl; the index x is 1 or 2.

84. The composition according to Claim 63, wherein R^{5a} has the formula:

$$–[C(R^{7a}R^{7b})]_wR^8$$

each R^{7a} is independently hydrogen, methyl, or ethyl; each R^{7b} is hydrogen; R^8 is hydrogen, substituted or unsubstituted C_1-C_4 linear, C_3-C_4 branched, or C_3-C_4 cyclic alkyl, or substituted or unsubstituted phenyl, wherein the substitutions are chosen from one or more hydroxy, halogen, or C_1-C_4 alkyl; R^{5b} is hydrogen; the index w is 1 or 2.

85. The composition according to Claim 63, wherein L has the formula chosen from:

i) $–C(O)[C(R^{5a}H)]NHC(O)O–$;

ii) $–C(O)[C(R^{5a}H)][CH_2]NHC(O)O–$;

ii) $–C(O)[CH_2][C(R^{5a}H)]NHC(O)O–$;

iv) $–C(O)[C(R^{5a}H)]NHC(O)–$;

v) $–C(O)[C(R^{5a}H)][CH_2]NHC(O)–$; or

vi) $–C(O)[CH_2][C(R^{5a}H)]NHC(O)–$;

wherein R^{5a} is:

i) hydrogen;

ii) methyl;

iii) ethyl;

iv) isopropyl;
v) phenyl;
vi) benzyl;
vii) 4-hydroxybenzyl;
viii) hydroxymethyl; or
ix) 1-hydroxyethyl.

86. The composition according to Claim 63, wherein R^1 is chosen from phenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,3-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2,3-dichlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2,3-dimethoxyphenyl, 3,4-dimethoxyphenyl, and 3,5-dimethoxyphenyl.

87. The composition according to Claim 63, wherein R^2 is methyl or ethyl, R^3 is hydrogen, and L has the formula $–C(O)CH_2–$.

88. The composition according to Claim 63, wherein R^2 is methyl or ethyl, R^3 is hydrogen, and L has the formula $–C(O)CH_2CH_2–$.

89. The composition according to Claim 63, wherein R^4 is methyl, ethyl, phenyl, thiophen-2-yl, thiazol-2-yl, oxazol-2-yl, and isoxazol-3-yl; and L has the formula $–C(O)CH_2–$.

90. The composition according to Claim 63, wherein R^4 is methyl, ethyl, phenyl, thiophen-2-yl, thiazol-2-yl, oxazol-2-yl, and isoxazol-3-yl; and L has the formula $–C(O)CH_2CH_2–$.

91. The composition according to Claim 63, wherein R^1 is a substituted or unsubstituted heteroaryl unit, said substitutions chosen from:
i) C_1-C_6 linear, C_3-C_6 branched, and C_3-C_6 cyclic alkyl;
ii) substituted or unsubstituted phenyl and benzyl;
iii) substituted of unsubstituted heteroaryl;

iv) $-C(O)R^9$; or

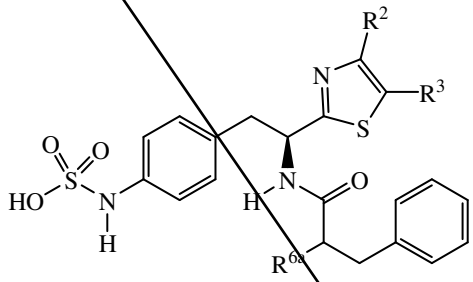
v) $-NHC(O)R^9$;

R^9 is C_1-C_6 linear or C_3-C_6 branched alkyl; C_1-C_6 linear or C_3-C_6 branched alkoxy; or $-NHCH_2C(O)R^{10}$; R^{10} is chosen from hydrogen, methyl, ethyl, or *tert*-butyl.

92. The composition according to Claim 91, wherein R^1 is a heteroaryl unit substituted by an alkyl unit chosen from methyl, ethyl, n-propyl, *iso*-propyl, n-butyl, *iso*-butyl, *sec*-butyl, or *tert*-butyl.

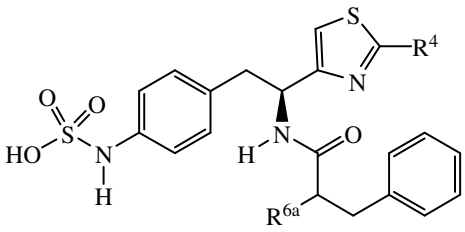
93. The composition according to Claim 91, wherein R^1 is a heteroaryl unit substituted by a carboxy unit having the formula $-NHC(O)R^9$; R^9 is chosen from methyl, methoxy, ethyl, ethoxy, *tert*-butyl, and *tert*-butoxy.

94. The composition according to Claim 63, wherein the compound has the formula:



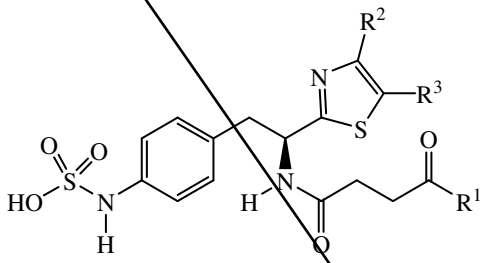
wherein R^2 is methyl or ethyl, R^3 is hydrogen, R^{6a} is chosen from phenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,3-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2,3-dichlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2,3-dimethoxyphenyl, 3,4-dimethoxyphenyl, 3,5-dimethoxyphenyl, 3-methyl-1,2,4-oxadiazol-5-yl, thiophen-2-yl, thiophen-3-yl, thiazol-2-yl, thiazol-4-yl, thiazol-5-yl, oxazol-2-yl, oxazol-4-yl, oxazol-5-yl, or isoxazol-3-yl.

95. The composition according to Claim 63, wherein the compound has the formula:



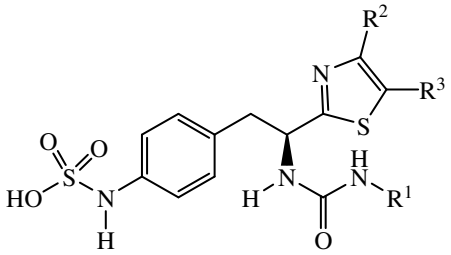
wherein R^4 is methyl, ethyl, phenyl, or thiophen-2-yl, R^{6a} is chosen from phenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,3-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2,3-dichlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2,3-dimethoxyphenyl, 3,4-dimethoxyphenyl, 3,5-dimethoxyphenyl, 3-methyl-1,2,4-oxadiazol-5-yl, thiophen-2-yl, thiophen-3-yl, thiazol-2-yl, thiazol-4-yl, thiazol-5-yl, oxazol-2-yl, oxazol-4-yl, oxazol-5-yl, or isoxazol-3-yl.

96. The composition according to Claim 63, wherein the compound has the formula:



wherein R^2 is chosen from methyl, ethyl, phenyl, and thiophen-2-yl, R^3 is hydrogen or methyl; R^1 is chosen from phenyl, thiophen-2-yl, thiophen-3-yl, thiazol-2-yl, thiazol-4-yl, thiazol-5-yl, oxazol-2-yl, oxazol-4-yl, oxazol-5-yl, and isoxazol-3-yl.

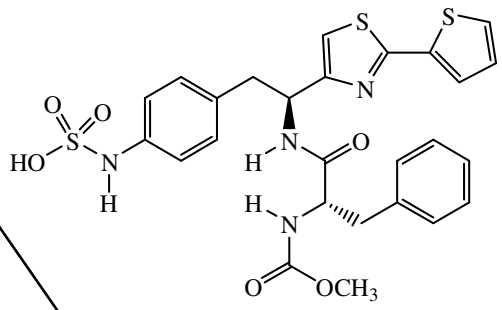
97. The composition according to Claim 63, wherein the compound has the formula:



wherein R^2 and R^3 are each independently hydrogen, methyl or ethyl; R^1 is chosen from phenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,3-difluorophenyl, 3,4-

difluorophenyl, 3,5-difluorophenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2,3-dichlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2,3-dimethoxyphenyl, 3,4-dimethoxyphenyl, and 3,5-dimethoxyphenyl.

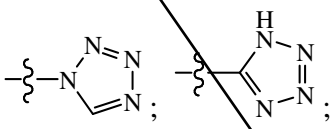
98. The composition according to Claim 63, wherein the compound has the formula:



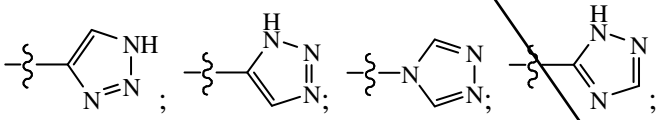
or the ammonium salt thereof.

99. The composition according to Claim 63, wherein R^1 is a substituted or unsubstituted heteroaryl unit chosen from:

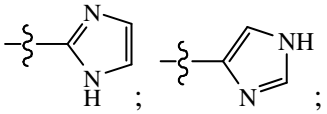
i) 1,2,3,4-tetrazol-1-yl and 1,2,3,4-tetrazol-5-yl having the respective formulae:



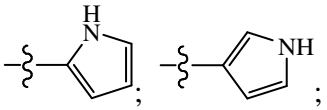
ii) [1,2,3]triazol-4-yl, [1,2,3]triazol-5-yl, [1,2,4]triazol-4-yl, and [1,2,4]triazol-5-yl having the respective formulae:



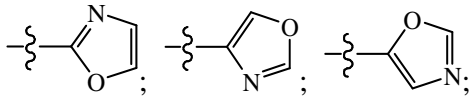
iii) imidazol-2-yl and imidazol-4-yl having the respective formulae:



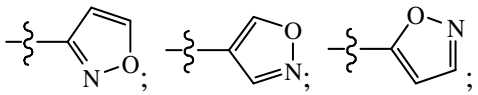
iv) pyrrol-2-yl and pyrrol-3-yl having the respective formulae:



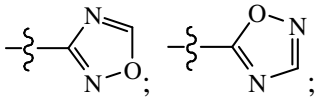
v) oxazol-2-yl, oxazol-4-yl, and oxazol-5-yl having the respective formulae:



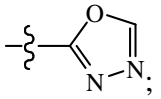
vi) isoxazol-3-yl, isoxazol-4-yl, and isoxazol-5-yl having the respective formulae:



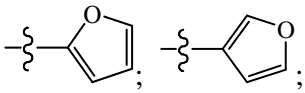
vii) [1,2,4]oxadiazol-3-yl and [1,2,4]oxadiazol-5-yl having the respective formulae:



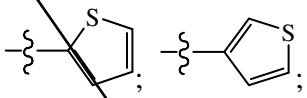
viii) [1,3,4]oxadiazol-2-yl having the formula:



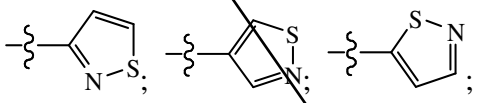
ix) furan-2-yl and furan-3-yl having the respective formulae:



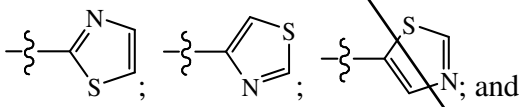
x) thiophen-2-yl and thiophen-3-yl having the respective formulae:



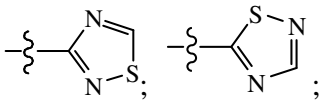
xi) isothiazol-3-yl, isothiazol-4-yl and isothiazol-5-yl having the respective formulae:



xii) thiazol-2-yl, thiazol-4-yl and thiazol-5-yl having the respective formulae:



xiii) [1,2,4]thiadiazol-3-yl and [1,2,4]thiadiazol-5-yl having the respective formulae:



said heteroaryl unit substitutions chosen from:

i) C_1-C_6 linear, C_3-C_6 branched, C_3-C_6 and cyclic alkyl;

ii) substituted or unsubstituted phenyl and benzyl;

iii) substituted of unsubstituted heteroaryl;

iv) –C(O)R^9; and

v) –NHC(O)R^9;

R^9 is C_1-C_6 linear and branched alkyl; C_1-C_6 linear and C_3-C_6 branched alkoxy; or –$NHCH_2C(O)R^{10}$; R^{10} is chosen from hydrogen, methyl, ethyl, and *tert*-butyl;

R^4 is a unit chosen from:

i) hydrogen;

ii) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl;

iii) substituted or unsubstituted C_2-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkenyl;

iv) substituted or unsubstituted C_2-C_6 linear or C_3-C_6 branched alkynyl;

v) substituted or unsubstituted C_6 or C_{10} aryl;

vi) substituted or unsubstituted C_1-C_9 heteroaryl;

vii) substituted or unsubstituted C_1-C_9 heterocyclic.

100. The composition according to Claim 63, wherein the compound is chosen from:

(*S*)-4-[2-Benzamido-2-(4-ethylthiazol-2-yl)ethyl]phenylsulfamic acid;

(*S*)-4-{2-(4-Ethylthiazol-2-yl)-2-[2-(2-fluorophenyl)acetamido]ethyl}phenyl-sulfamic acid;

(*S*)-4-(2-(4-Ethylthiazol-2-yl)-2-(2-(3-fluorophenyl)acetamido)ethyl)phenylsulfamic acid;

(*S*)-4-(2-(2-(2,3-Difluorophenyl)acetamido)-2-(4-ethylthiazol-2-yl)ethyl)phenyl-sulfamic acid;

(*S*)-4-(2-(2-(3,4-Difluorophenyl)acetamido)-2-(4-ethylthiazol-2-yl)ethyl)phenyl-sulfamic acid;

(*S*)-4-(2-(2-(2-Chlorophenyl)acetamido)-2-(4-ethylthiazol-2-yl)ethyl)phenylsulfamic acid;

(*S*)-4-(2-(2-(3-Chlorophenyl)acetamido)-2-(4-ethylthiazol-2-yl)ethyl)phenyl-sulfamic acid;

(*S*)-4-(2-(4-Ethylthiazol-2-yl)-2-(2-(3-hydroxyphenyl)acetamido)ethyl)phenyl-sulfamic acid;

(*S*)-4-(2-(4-Ethylthiazol-2-yl)-2-(2-(2-methoxyphenyl)acetamido)ethyl)phenyl-sulfamic acid;

(*S*)-4-(2-(4-Ethylthiazol-2-yl)-2-(2-(3-methoxyphenyl)acetamido)ethyl)phenyl-sulfamic acid;

(*S*)-4-(2-(4-Ethylthiazol-2-yl)-2-(3-phenylpropanamido)ethyl)phenylsulfamic acid;

(*S*)-4-(2-(2-(3,4-Dimethoxyphenyl)acetamido)-2-(4-ethylthiazol-2-yl)ethyl)-phenylsulfamic acid;

(*S*)-4-(2-(2-(2,3-Dimethoxyphenyl)acetamido)-2-(4-ethylthiazol-2-yl)ethyl)-phenylsulfamic acid;

(*S*)-4-(2-(3-(3-Chlorophenyl)propanamido)-2-(4-ethylthiazol-2-yl)ethyl)phenyl-sulfamic acid;

(*S*)-4-(2-(4-Ethylthiazol-2-yl)-2-(3-(2-methoxyphenyl)propanamido)ethyl)phenyl-sulfamic acid;

(*S*)-4-(2-(4-Ethylthiazol-2-yl)-2-(3-(3-methoxyphenyl)propanamido)ethyl)pheny-lsulfamic acid;

(*S*)-4-(2-(4-Ethylthiazol-2-yl)-2-(3-(4-methoxyphenyl)propanamido)ethyl)phenyl-sulfamic acid;

(*S*)-4-{2-[2-(4-Ethyl-2,3-dioxopiperazin-1-yl)acetamido]-2-(4-ethylthiazol-2-yl)ethyl}phenylsulfamic acid;

(*S*)-4-{2-(4-Ethylthiazol-2-yl)-2-[2-(5-methyl-2,4-dioxo-3,4-dihydropyrimidin-1(2*H*)-yl)acetamide]ethyl}phenylsulfamic acid;

(*S*)-4-[2-(Benzo[*d*][1,3]dioxole-5-carboxamido)-2-(4-ethylthiazol-2-yl)ethyl]-phenylsulfamic acid;

4-((*S*)-2-(2-(2-Chlorophenyl)acetamido)-2-(2-(thiophene2-yl)thiazol-4-yl)ethyl)-phenylsulfamic acid;

4-((*S*)-2-(2-(3-Methoxyphenyl)acetamido)-2-(2-(thiophene2-yl)thiazol-4-yl)ethyl)-phenylsulfamic acid;

4-{(*S*)-2-(3-Phenylpropanamido)-2-[2-(thiophene2-yl)thiazol-4-yl]ethyl}phenyl-sulfamic acid;

4-{(*S*)-2-(3-(3-Chlorophenyl)propanamido)-2-[2-(thiophene2-yl)thiazol-4-yl]ethyl}-phenylsulfamic acid;

4-{(*S*)-2-[2-(3-Fluorophenyl)acetamide]-2-[2-(thiophene2-yl)thiazol-4-yl]ethyl}-phenylsulfamic acid;

(*S*)-4-{2-[2-(2,5-Dimethylthiazol-4-yl)acetamide]-2-(4-ethylthiazol-2-yl]ethyl}-phenylsulfamic acid;

(*S*)-4-{2-[2-(2,4-Dimethylthiazol-5-yl)acetamide]-2-(4-methylthiazol-2-ylethyl}-phenylsulfamic acid;

(*S*)-4-{2-(4-Ethylthiazol-2-yl)-2-[3-(thiazol-2-yl)propanamido]ethyl}phenylsulfamic acid;

(*S*)-4-{2-(4-Ethylthiazol-2-yl)-2-[2-(4-ethylthiazol-2-yl)acetamide]ethyl}phenyl-sulfamic acid;

(*S*)-4-{2-[2-(3-Methyl-1,2,4-oxadiazol-5-yl)acetamide]-2-(2-phenylthiazol-4-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[2-(4-Ethyl-2,3-dioxopiperazin-1-yl)acetamide]-2-[2-(thiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

(*S*)-4-(2-(2,3-Diphenylpropanamido)-2-(4-ethylthiazol-2-yl)ethyl)phenylsulfamic acid;

(*S*)-4-{2-(4-Ethylthiazol-2-yl)-2-[2-(2-methoxyphenyl)-3-phenylpropanamido]-ethyl)phenylsulfamic acid;

(*S*)-4-{2-(4-Ethylthiazol-2-yl)-2-[2-(3-fluorophenyl)-3-phenylpropanamido]-ethyl}phenylsulfamic acid;

(*S*)-4-{2-(4-Ethylthiazol-2-yl)-2-[2-(3-methoxyphenyl)-3-phenylpropanamido]-ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-Ethylthiazol-2-yl)-2-[2-(3-methyl-1,2,4-oxadiazol-5-yl)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

(*S*)-4-[2-(4-Ethylthiazol-2-yl)-2-(4-oxo-4-phenylbutanamido)-ethyl]phenylsulfamic acid;

(*S*)-4-(2-(4-Ethylthiazol-2-yl)-2-(5-methyl-4-oxohexanamido)ethyl)phenylsulfamic acid;

(*S*)-4-{2-[4-(3,4-Dihydro-2H-benzo[b][1,4]dioxepin-7-yl)-4-oxobutanamido]-2-(4-ethylthiazol-2-yl)ethyl}phenylsulfamic acid;

(*S*)-4-{2-[4-(2,3-Dimethoxyphenyl)-4-oxobutanamido]-2-(4-ethylthiazol-2-yl)ethyl}phenylsulfamic acid;

(*S*)-4-{2-(4-Ethylthiazol-2-yl)-2-[4-oxo-4-(pyridin-2-yl)butanamido]ethyl}phenyl-sulfamic acid;

(S)-4-{2-[4-(2,3-Dihydrobenzo[b][1,4]dioxin-6-yl)-4-oxobutanamido]-2-(4-ethylthiazol-2-yl)ethyl}phenylsulfamic acid;

(*S*)-4-[2-(4-*tert*-Butoxy-4-oxobutanamido)-2-(4-ethylthiazol-2-yl)ethyl]phenyl-sulfamic acid;

(*S*)-4-[2-(4-Ethoxy-4-oxobutanamido)-2-(4-ethylthiazol-2-yl)ethyl]phenylsulfamic acid;

(S)-4-(2-(3-Benzylureido)-2-(4-ethylthiazol-2-yl)ethyl)phenylsulfamic acid;

4-{[(*S*)-2-(2-Ethylthiazol-4-yl)-2-(3-(*R*)-1methoxy-1-oxo-3-phenylpropan-2-yl)ureido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(3-Benzylureido)-2-[2-(thiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

{4-(*S*)-[2-Phenylmethanesulfonylamino-2-(2-thiophen-2-ylthiazol-4-yl)ethyl]-phenylsulfamic acid;

4-{(S)-2-[(2-Methylthiazol-4-yl)methylsulfonamido]-2-[2-(thiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

{4-(*S*)-[2-Phenylmethanesulfonylamino-2-(2-ethylthiazol-4-yl)ethyl]phenyl}-sulfamic acid;

{4-(*S*)-[2-(3-Methoxyphenyl)methanesulfonylamino-2-(2-ethylthiazol-4-yl)ethyl]phenyl}sulfamic acid;

(*S*)-4-{[1-(2-Ethylthiazol-4-yl)-2-(4-sulfoaminophenyl)ethylsulfamoyl]methyl}-benzoic acid methyl ester;

(*S*)-4-[2-(2-Ethylthiazol-4-yl)-2-(1-methyl-1*H*-imidazol-4-sulfonamido)ethyl]-phenylsulfamic acid;

4-{(*S*)-2-[2-(Thiophen-2-yl)thiazol-4-yl]-2-(2,2,2-trifluoroethylsulfonamido)-ethyl}phenylsulfamic acid;

{4-(*S*)-[2-(Phenylethanesulfonylamino)-2-(2thiophen-2-ylthiazol-4-yl)ethyl]-phenyl}sulfamic acid;

{4-(*S*)-[3-(Phenylpropanesulfonylamino)-2-(2thiophen-2-ylthiazol-4-yl)ethyl]-phenyl}sulfamic acid;

(*S*)-{4-[2-(4-Methyl-3,4-dihydro-2H-benzo[1,4]oxazine-7-sulfonylamino)-2-(2-thiophen-2-ylthiazol-4-yl)ethyl]phenyl}sulfamic acid;

4-{(*S*)-2-(4-Acetamidophenylsulfonamido)-2-[2-(thiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

4-{(S)-2-(2-cyclopropylthiazol-4-yl)-2-[4-(3-methoxyphenyl)-thiazol-2-ylamino]ethyl}phenylsulfamic acid;

(*S*)-4-(2-(4-((2-Methoxy-2-oxoethyl)carbamoyl)thiazole-5-ylamino)2-(2-ethylthiazole-4-yl)ethyl)phenylsulfamic acid;

4-((*S*)-2-(5-(1-*N*-(2-Methoxy-2-oxoethyl)-1-*H*-indol-3-yl)oxazole-2-ylamino)-2-(2-methylthiazol-4-yl)ethyl))phenylsulfamic acid;

4-((S)-2-(5-(2-Methoxyphenyl)oxazol-2-ylamino)-2-(2-methylthiazol-4-yl)ethyl)-phenylsulfamic acid;

4-((*S*)-2-(5-((*S*)-1-(*tert*-Butoxycarbonyl)-2-phenylethyl)oxazole-2-ylamino)-2-(2-methylthiazole-4-yl)ethyl)phenylsulfamic acid;

(*S*)-4-(2-(5-(4-Methoxycarbonyl)phenyl)oxazole-2-ylamino)2-(2-methylthiazole-4-yl)ethyl)phenylsulfamic acid;

(*S*)-4-(2-(5-(3-Methoxybenzyl)oxazole-2-ylamino)-2-(2-methylthiazole-4-yl)ethyl)-phenylsulfamic acid;

(*S*)-4-(2-(2-Methylthiazole-4-yl)2-(5-phenyloxazole-2-ylamino)ethyl)phenyl-sulfamic acid;

4-((S)-2-(2-Cyclopropylthiazol-4-yl)-2-(4-(3-methoxyphenyl)thiazol-2-ylamino)-ethyl)phenylsulfamic acid;

(*S*)-4-(2-(2-cyclopropylthiazol-4-yl)-2-(4-(4-fluorophenyl)thiazol-2-ylamino)ethyl)-phenylsulfamic acid;

4-((*S*)-2-(2-cyclopropylthiazol-4-yl)-2-(4-(2-methoxyphenyl)thiazol-2-ylamino)-ethyl)phenylsulfamic acid;

4-((*S*)-2-(2-cyclopropylthiazol-4-yl)-2-(4-(2,4-difluorophenyl)thiazol-2-ylamino)-ethyl)phenylsulfamic acid;

(*S*)-4-(2-(4-(3-methoxybenzyl)thiazol-2-ylamino)-2-(2-cyclopropylthiazol-4-yl)ethyl)phenylsulfamic acid;

(*S*)-{5-[1-(2-Ethylthiazol-4-yl)-2-(4-sulfoaminophenyl)ethylamino]-2-methyl-2H-[1,2,4]triazole-3-yl}carbamic acid methyl ester;

4-{(S)-2-[4-(2-Methoxyphenyl)thiazol-2-ylamino)-2-[2-(thiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[5-(3-Methoxyphenyl)oxazole-2-ylamino]-2-(2-phenylthiazole-4-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[4-(2,4-Difluorophenyl)thiazol-2-ylamino]-2-[2-(thiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

(*S*)-4-{2-[4-(Ethoxycarbonyl)thiazol-2-ylamino]-2-(2-phenylthiazol-4-yl)ethyl}-phenylsulfamic acid;

(*S*)-4-{2-[4-(2-Ethoxy-2-oxoethyl)thiazol-2-ylamino]-2-(2-phenylthiazol-4-yl)ethyl}phenylsulfamic acid;

(*S*)-4-{2-[4-(4-Acetamidophenyl)thiazol-2-ylamino]-2-(2-phenylthiazol-4-yl)ethyl}phenylsulfamic acid;

(S)-4-[2-(4-Phenylthiazol-2-ylamino)-2-(2-phenylthiazol-4-yl)ethyl]phenylsulfamic acid;

(*S*)-4-{2-[4-(4-(Methoxycarbonyl)phenyl)thiazol-2-ylamino]-2-(2-phenylthiazol-4-yl)ethyl}phenylsulfamic acid;

4-{(S)-2-[4-(Ethoxycarbonyl)thiazol-2-ylamino]-2-[2-(thiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

(*S*)-4-[2-(4-(Methoxycarbonyl)thiazol-5-ylamino)-2-(2-phenylthiazole-4-yl)ethyl]-phenylsulfamic acid;

(*S*)-4-[2-(5-Phenyloxazole-2-ylamino)]-2-(2-phenylthiazole-4-yl)phenylsulfamic acid;

(*S*)-4-{2-[5-(4-Acetamidophenyl)oxazole-2-ylamino]-2-(2-phenylthiazole-4-yl)ethyl}phenylsufamic acid;

4-((*S*)-2-(5-(2,4-Difluorophenyl)oxazole-2-ylamino)-2-(2-phenylthiazole-4-yl)ethyl)phenylsulfamic acid;

4-{(*S*)-2-[5-(3-Methoxyphenyl)oxazol-2-ylamino]-2-[(2-thiophen-2-yl)thiazole-4-yl]ethyl}phenylsulfamic acid;

(*S*)-4-[2-(4,6-Dimethylpyrimidene-2-ylamino)-2-(2-methylthiazole-4-yl)ethyl]-phenylsulfamic acid;

(*S*)-4-[2-(4-Hydroxy-6-methylpyrimidine-2-ylamino)-2-(2-methylthiazole-4-yl)ethyl]phenylsulfamic acid; 4-{(S)-2-[(S)-2-(*tert*-Butoxycarbonylamino)-3-phenylpropanamido]-2-(4-ethylthiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*R*)-2-(*tert*-Butoxycarbonylamino)-3-phenylpropanamido]-2-(4-ethylthiazol-2-yl)ethyl}phenylsulfamic acid;

{1-[1-(5-Ethylthiazol-2-yl)-(*S*)-2-(4-sulfoaminophenyl)ethylcarbamoyl]-(*S*)-2-phenylethyl}methyl carbamic acid *tert*-butyl ester;

{(*S*)-2-Phenyl-1-[1-(4-phenylthiazol-2-yl)-(*S*)-2-(4-sulfoaminophenyl)ethyl-carbamoyl]ethyl}carbamic acid *tert*-butyl ester;

4-{(*S*)-2-(*S*)-2-(*tert*-Butoxycarbonylamino)-3-phenylpropaneamido-2-(2-phenylthiazole-4-yl)}phenylsulfamic acid;

4-{(*S*)-2-(4-Ethylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(thiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(4-methylthiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(4-propylthiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-*tert*-Butylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-Cyclopropylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-Cyclohexylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4,5-Dimethylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-Phenyl-1-[1-(2-phenylthiazol-4-yl)-(*S*)-2-(4-sulfoaminophenyl)ethyl-carbamoyl]ethyl}carbamic acid *tert*-butyl ester;

4-{(*S*)-2-(4-Ethyl-5-methylthiazol-2-yl)-2-[(S)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(S)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[4-(2,2,2-trifluoroethyl)thiazol-2-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido)-2-[4-(3,3,3-trifluoropropyl)thiazol-2-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[4-(2,2-Difluorocyclopropyl)thiazol-2-yl]-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[4-(methoxy-methyl)thiazol-2-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-(Ethoxycarbonylamino)thiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(5-phenylthiazol-2-yl))ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-*tert*-Butylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-Ethyl-5-phenylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[4-(3,4-Dimethylphenyl)thiazol-2-yl]-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(S)-2-[4-(4-Chlorophenyl)thiazol-2-yl]-2-[(S)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(4-phenylthiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[4-(thiophen-2-yl)thiazol-2-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[4-(thiophen-3-yl)thiazol-2-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-Ethylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbinyl)-3-phenylpropion-amido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(5,6-Dihydro-4*H*-cyclopenta[*d*]thiazol-2-yl)-2-[(*S*)-2-(methoxy-carbonyl)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(4,5,6,7-tetrahydrobenzo[*d*]thiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[4-(5-Chlorothiophen-2-yl)thiazol-2-yl]-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Ethoxycarbonylamino)-3-phenylpropanamido]-2-(4-ethylthiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(2-ethylthiazol-4-yl) ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(2-methyl-thiazol-4-yl)ethyl}phenylsulfamic acid;

4-{(S)-2-(2-Ethylthiazole-4-yl)-2-[(S)-2-(methoxycarbonylamino)-3-phenylpropan-amido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(2-Isopropylthiazol-4-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propan-amido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(2-Cyclopropylthiazol-4-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(S)-2-{2-[(4-Chlorophenylsulfonyl)methyl]thiazol-4-yl}-2-[(S)-2-(methoxy-carbonyl)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(S)-2-[2-(*tert*-Butylsulfonylmethyl)thiazol-4-yl]-2-[(S)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropionamido]-2-(2-phenyl-thiazole-4-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[2-(thiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[2-(3-Chlorothiophen-2-yl)thiazol-4-yl]-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[2-(3-methylthiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

4-{[(*S*)-2-(2-(Furan-2-yl)thiazol-4-yl]-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[2-(2-methyl-thiazole-4-yl)thiazol-4yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(2-pyrazine-2-yl)thiazole-4-yl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[2-(6-methyl-pyridin-3-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

4-[(*S*)-2-((*S*)-2-Acetamido-3-phenylpropanamido)-2-(4-ethylthiazol-2-yl)ethyl]-phenylsulfamic acid ;

4-[(*S*)-2-((*S*)-2-Acetamido-3-phenylpropanamido)-2-(4-*tert*-butylthiazol-2-yl)ethyl]-phenylsulfamic acid;

4-{(*S*)-2-((*S*)-2-Acetamido-3-phenylpropanamido)-2-[4-(thiophen-3-yl)thiazol-2-yl]ethyl)phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(*tert*-Butoxycarbonyl)-3-methylbutanamido]-2-(4-ethylthiazol-2-yl)ethyl}phenylsulfamic acid;

(*S*)-4-{2-[2-(*tert*-Butoxycarbonyl)acetamide]-2-(4-ethylthiazol-2-yl)ethyl}phenyl-sulfamic acid;

(*S*)-4-{2-(4-Ethylthiazol-2-yl)-2-[2-(methoxycarbonyl)acetamido]ethyl}phenyl-sulfamic acid;

4-{(*S*)-2-(4-Ethylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonyl)-3-methylbutanamido]-ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(*tert*-Butoxycarbonyl)-4-methylpentanamido]-2-(4-ethylthiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-Ethylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonyl)-4-methylpentan-amido]ethyl}phenylsulfamic acid;

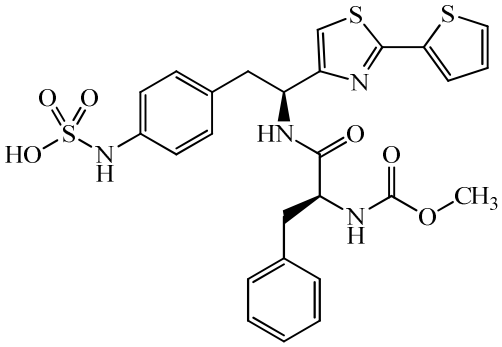
4-((*S*)-2-(4-Ethylthiazol-2-yl)-2-{(*S*)-2-[2-(methoxycarbonyl)acetamide]-3-phenylpropanamido}ethyl)phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(*tert*-Butoxycarbonyl)-4-methylpentanamido]-2-[2-(thiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonyl)-4-methylpentanamido]-2-[2-(thiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid; and

(*S*)-4-{2-[2-(*tert*-Butoxycarbonyl)acetamide]-2-(4-ethylthiazol-2-yl)ethyl}-phenylsulfamic acid.

101. The composition according to Claim 63, wherein the compound has the formula:



; and

pharmaceutically acceptable salts thereof.

102. The composition according to Claim 63, wherein the compound is in the form of a salt of a cation chosen from ammonium, sodium, lithium, potassium, calcium, magnesium, bismuth, and lysine.

103. The composition according to Claim 63, wherein the composition is a topically administered composition comprising:

a) from about 0.05 mg/mL to about 500 mg/mL of one or more of the disclosed compounds; and

b) a pharmaceutically acceptable carrier.

104. The composition according to Claim 13, wherein the neovascularization related diseases or conditions are chosen from diabetic macular edema, age-related macular degeneration (wet form), choroidal neovascularization, diabetic retinopathy, retinal vein occlusion (central or branch), ocular trauma, surgery induced edema, surgery induced neovascularization, cystoid macular edema, ocular ischemia, and uveitis.

105. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for preventing neovascularization in the eye and associated tissue.

106. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for treating neovascularization in the eye and associated tissue.

107. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for treating retinopathy in a subject.

108. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for treating diabetic macular edema in a subject.

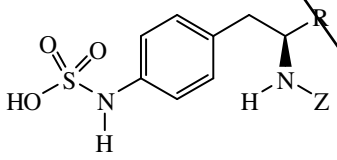
109. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for preventing diabetic macular edema in a subject.

110. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for preventing diabetic macular edema in a subject diagnosed with diabetes.

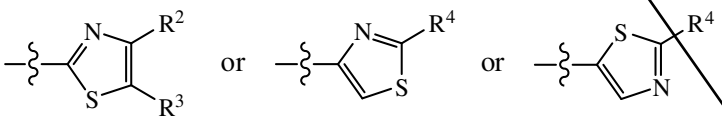
111. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for treating age-related macular degeneration in a subject.

112. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for treating diabetic retinopathy in a subject.

113. The use according to any one of Claims 105 to 112, wherein the HPTP-β inhibitor has the formula:



wherein R is a substituted or unsubstituted thiazolyl unit having the formula:



R^2, R^3, and R^4 are each independently:

i) hydrogen;

ii) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl;

iii) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkenyl;

iv) substituted or unsubstituted C_2-C_6 linear or branched alkynyl;

v) substituted or unsubstituted C_6 or C_{10} aryl;

vi) substituted or unsubstituted C_1-C_9 heteroaryl;

vii) substituted or unsubstituted C_1-C_9 heterocyclic; or

viii) R^2 and R^3 can be taken together to form a saturated or unsaturated ring having from 5 to 7 atoms; wherein from 1 to 3 atoms can optionally be heteroatoms chosen from oxygen, nitrogen, and sulfur;

Z is a unit having the formula:

$$—(L)_n—R^1$$

R^1 is chosen from:

i) hydrogen;

ii) hydroxyl;

iii) amino;

iv) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl;

v) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkoxy;

vi) substituted or unsubstituted C_6 or C_{10} aryl;

vii) substituted or unsubstituted C_1-C_9 heterocyclic rings; or

viii) substituted or unsubstituted C_1-C_9 heteroaryl rings;

L is a linking unit having the formula:

$$–[Q]_y[C(R^{5a}R^{5b})]_x[Q^1]_z[C(R^{6a}R^{6b})]_w–$$

Q and Q^1 are each independently:

i) –C(O)–;

ii) –NH–;

iii) –C(O)NH–;

iv) –NHC(O)–;

v) –NHC(O)NH–;

vi) –NHC(O)O–;

vii) –C(O)O–;

viii) –C(O)NHC(O)–;

ix) –O–;

x) –S–;

xi) $–SO_2–$;

xii) –C(=NH)–;

xiii) –C(=NH)NH–;

xiv) –NHC(=NH)–; or

xv) –NHC(=NH)NH–;

R^{5a} and R^{5b} are each independently:

i) hydrogen;

ii) hydroxy;

iii) halogen;

iv) substituted or unsubstituted C_1-C_6 linear or C_3-C_6 branched alkyl; or

v) a unit having the formula:

$$–[C(R^{7a}R^{7b})]_tR^8$$

R^{7a} and R^{7b} are each independently:

i) hydrogen; or

ii) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl;

R^8 is:

i) hydrogen;

ii) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl;

iii) substituted or unsubstituted C_6 or C_{10} aryl;

iv) substituted or unsubstituted C_1-C_9 heteroaryl; or

v) substituted or unsubstituted C_1-C_9 heterocyclic;

R^{6a} and R^{6b} are each independently:

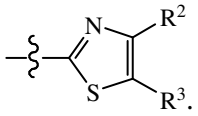
i) hydrogen; or

ii) C_1-C_4 linear or C_3-C_4 branched alkyl;

the index n is 0 or 1; the indices t, w and x are each independently from 0 to 4; the indices y and z are each independently 0 or 1; or

a pharmaceutically acceptable salt thereof.

114. The use according to Claim 113, wherein R has the formula:



115. The use according to Claim 114, wherein R^2 and R^3 are each independently hydrogen or substituted or unsubstituted C_1-C_6 linear, branched, or cyclic alkyl.

116. The use according to Claim 114, wherein R^2 is chosen from methyl, ethyl, n-propyl, *iso*-propyl, n-butyl, *iso*-butyl, *sec*-butyl, and *tert*-butyl; and R^3 is hydrogen.

117. A method according to Claim 114, wherein R^2 is methyl or ethyl.

118. A method according to Claim 114, wherein R^2 is an alkyl unit wherein one or more hydrogen atoms are substituted with one or more substitutions chosen from:

i) halogen;

ii) $-N(R^{11})_2$; and

iii) $-OR^{11}$;

wherein each R^{11} is independently hydrogen or C_1-C_4 linear or branched alkyl.

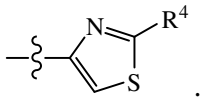
119. The use according to Claim 114, wherein R^2 is substituted or unsubstituted phenyl and R^3 is hydrogen.

120. The use according to Claim 114, wherein R^2 is substituted or unsubstituted heteroaryl and R^3 is hydrogen.

121. The use according to Claim 114, wherein R^2 is a heteroaryl unit chosen from 1,2,3,4-tetrazol-1-yl ,1,2,3,4-tetrazol-5-yl, [1,2,3]triazol-4-yl, [1,2,3]triazol-5-yl, [1,2,4]triazol-4-yl, [1,2,4]triazol-5-yl, imidazol-2-yl, imidazol-4-yl, pyrrol-2-yl, pyrrol-3-yl, oxazol-2-yl, oxazol-4-yl, oxazol-5-yl, isoxazol-3-yl, isoxazol-4-yl, isoxazol-5-yl, [1,2,4]oxadiazol-3-yl, [1,2,4]oxadiazol-5-yl, [1,3,4]oxadiazol-2-yl, furan-2-yl, furan-3-yl, thiophen-2-yl, thiophen-3-yl, isothiazol-3-yl, isothiazol-4-yl, isothiazol-5-yl, thiazol-2-yl, thiazol-4-yl, thiazol-5-yl, [1,2,4]thiadiazol-3-yl, [1,2,4]thiadiazol-5-yl, or [1,3,4]thiadiazol-2-yl.

122. The use according to Claim 114, wherein R^2 is thiophen-2-yl or thiophen-3-yl.

123. The use according to Claim 113, wherein R has the formula:



.

124. The use according to Claim 123, wherein R^4 is hydrogen or substituted or unsubstituted C_1-C_6 linear, branched, or cyclic alkyl.

125. The use according to Claim 123, wherein R^4 is chosen from methyl, ethyl, n-propyl, *iso*-propyl, n-butyl, *iso*-butyl, *sec*-butyl, and *tert*-butyl; and R^3 is hydrogen.

126. The use according to Claim 123, wherein R^4 is methyl or ethyl.

127. The use according to Claim 123, wherein R^4 is substituted or unsubstituted phenyl and R^3 is hydrogen.

128. The use according to Claim 123, wherein R^4 is an alkyl unit wherein one or more hydrogen atoms are substituted with one or more substitutions chosen from:

i) halogen;

ii) $-N(R^{11})_2$; and

iii) $-OR^{11}$;

wherein each R^{11} is independently hydrogen or C_1-C_4 linear or C_3-C_4 branched alkyl.

129. The use according to Claim 123, wherein R^4 is substituted or unsubstituted heteroaryl.

130. The use according to Claim 123, wherein R^4 is a heteroaryl unit chosen from 1,2,3,4-tetrazol-1-yl ,1,2,3,4-tetrazol-5-yl, [1,2,3]triazol-4-yl, [1,2,3]triazol-5-yl, [1,2,4]triazol-4-yl, [1,2,4]triazol-5-yl, imidazol-2-yl, imidazol-4-yl, pyrrol-2-yl, pyrrol-3-yl, oxazol-2-yl, oxazol-4-yl, oxazol-5-yl, isoxazol-3-yl, isoxazol-4-yl, isoxazol-5-yl, [1,2,4]oxadiazol-3-yl, [1,2,4]oxadiazol-5-yl, [1,3,4]oxadiazol-2-yl, furan-2-yl, furan-3-yl, thiophen-2-yl,

thiophen-3-yl, isothiazol-3-yl, isothiazol-4-yl, isothiazol-5-yl, thiazol-2-yl, thiazol-4-yl, thiazol-5-yl, [1,2,4]thiadiazol-3-yl, [1,2,4]thiadiazol-5-yl, and [1,3,4]thiadiazol-2-yl.

131. The use according to Claim 123, wherein R^4 is thiophen-2-yl or thiophen-3-yl.

132. The use according to Claim 113, wherein R^1 is hydrogen, methyl, ethyl, *tert*-butyl, or methyl substituted with phenyl.

133. The use according to Claim 113, wherein L has the formula:

$$–C(O)[C(R^{5a}R^{5b})]_xNHC(O)–$$

R^{5a} is hydrogen, substituted or unsubstituted phenyl, and substituted or unsubstituted heteroaryl; the index x is 1 or 2.

134. The use according to Claim 113, wherein R^{5a} has the formula:

$$–[C(R^{7a}R^{7b})]_wR^8$$

each R^{7a} is independently hydrogen, methyl, or ethyl; each R^{7b} is hydrogen; R^8 is hydrogen, substituted or unsubstituted C_1-C_4 linear, C_3-C_4 branched, or C_3-C_4 cyclic alkyl, or substituted or unsubstituted phenyl, wherein the substitutions are chosen from one or more hydroxy, halogen, or C_1-C_4 alkyl; R^{5b} is hydrogen; the index w is 1 or 2.

135. The use according to Claim 113, wherein L has the formula chosen from:

i) $–C(O)[C(R^{5a}H)]NHC(O)O–$;

ii) $–C(O)[C(R^{5a}H)][CH_2]NHC(O)O–$;

ii) $–C(O)[CH_2][C(R^{5a}H)]NHC(O)O–$;

iv) $–C(O)[C(R^{5a}H)]NHC(O)–$;

v) $–C(O)[C(R^{5a}H)][CH_2]NHC(O)–$; or

vi) $–C(O)[CH_2][C(R^{5a}H)]NHC(O)–$;

wherein R^{5a} is:

i) hydrogen;

ii) methyl;

iii) ethyl;

iv) isopropyl;
v) phenyl;
vi) benzyl;
vii) 4-hydroxybenzyl;
viii) hydroxymethyl; or
ix) 1-hydroxyethyl.

136. The use according to Claim 113, wherein R^1 is chosen from phenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,3-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2,3-dichlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2,3-dimethoxyphenyl, 3,4-dimethoxyphenyl, and 3,5-dimethoxyphenyl.

137. The use according to Claim 113, wherein R^2 is methyl or ethyl, R^3 is hydrogen, and L has the formula $–C(O)CH_2–$.

138. The use according to Claim 113, wherein R^2 is methyl or ethyl, R^3 is hydrogen, and L has the formula $–C(O)CH_2CH_2–$.

139. The use according to Claim 113, wherein R^4 is methyl, ethyl, phenyl, thiophen-2-yl, thiazol-2-yl, oxazol-2-yl, and isoxazol-3-yl; and L has the formula $–C(O)CH_2–$.

140. The use according to Claim 113, wherein R^4 is methyl, ethyl, phenyl, thiophen-2-yl, thiazol-2-yl, oxazol-2-yl, and isoxazol-3-yl; and L has the formula $–C(O)CH_2CH_2–$.

141. The use according to Claim 113, wherein R^1 is a substituted or unsubstituted heteroaryl unit, said substitutions chosen from:
i) C_1-C_6 linear, C_3-C_6 branched, and C_3-C_6 cyclic alkyl;
ii) substituted or unsubstituted phenyl and benzyl;
iii) substituted of unsubstituted heteroaryl;

iv) –C(O)R^9; or

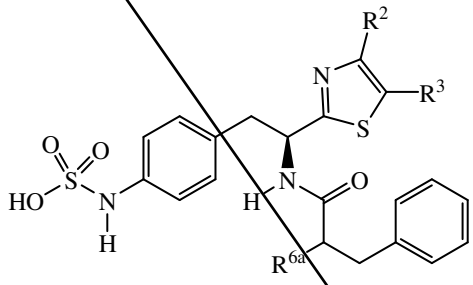
v) –NHC(O)R^9;

R^9 is C_1-C_6 linear or C_3-C_6 branched alkyl; C_1-C_6 linear or C_3-C_6 branched alkoxy; or –$NHCH_2C(O)R^{10}$; R^{10} is chosen from hydrogen, methyl, ethyl, or *tert*-butyl.

142. The use according to Claim 141, wherein R^1 is a heteroaryl unit substituted by an alkyl unit chosen from methyl, ethyl, n-propyl, *iso*-propyl, n-butyl, *iso*-butyl, *sec*-butyl, or *tert*-butyl.

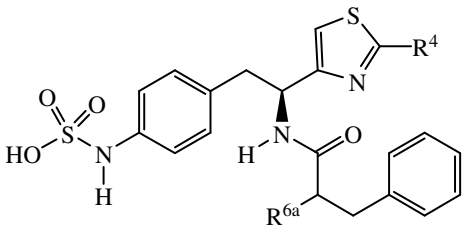
143. The use according to Claim 141, wherein R^1 is a heteroaryl unit substituted by a carboxy unit having the formula –NHC(O)R^9; R^9 is chosen from methyl, methoxy, ethyl, ethoxy, *tert*-butyl, and *tert*-butoxy.

144. The use according to Claim 113, wherein the compound has the formula:



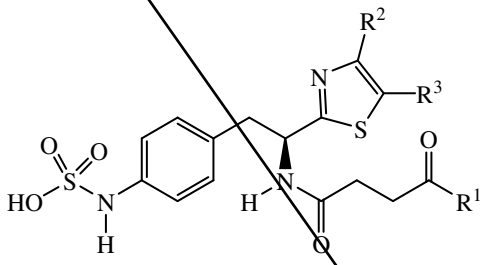
wherein R^2 is methyl or ethyl, R^3 is hydrogen, R^{6a} is chosen from phenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,3-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2,3-dichlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2,3-dimethoxyphenyl, 3,4-dimethoxyphenyl, 3,5-dimethoxyphenyl, 3-methyl-1,2,4-oxadiazol-5-yl, thiophen-2-yl, thiophen-3-yl, thiazol-2-yl, thiazol-4-yl, thiazol-5-yl, oxazol-2-yl, oxazol-4-yl, oxazol-5-yl, or isoxazol-3-yl.

145. The use according to Claim 113, wherein the compound has the formula:



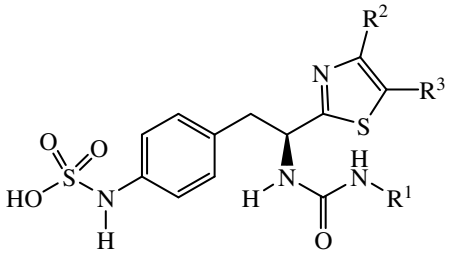
wherein R^4 is methyl, ethyl, phenyl, or thiophen-2-yl, R^{6a} is chosen from phenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,3-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2,3-dichlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2,3-dimethoxyphenyl, 3,4-dimethoxyphenyl, 3,5-dimethoxyphenyl, 3-methyl-1,2,4-oxadiazol-5-yl, thiophen-2-yl, thiophen-3-yl, thiazol-2-yl, thiazol-4-yl, thiazol-5-yl, oxazol-2-yl, oxazol-4-yl, oxazol-5-yl, or isoxazol-3-yl.

146. The use according to Claim 113, wherein the compound has the formula:



wherein R^2 is chosen from methyl, ethyl, phenyl, and thiophen-2-yl, R^3 is hydrogen or methyl; R^1 is chosen from phenyl, thiophen-2-yl, thiophen-3-yl, thiazol-2-yl, thiazol-4-yl, thiazol-5-yl, oxazol-2-yl, oxazol-4-yl, oxazol-5-yl, and isoxazol-3-yl.

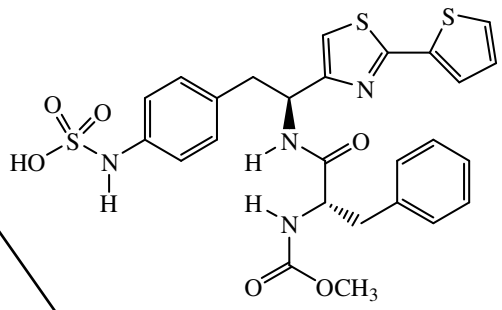
147. The use according to Claim 113, wherein the compound has the formula:



wherein R^2 and R^3 are each independently hydrogen, methyl or ethyl; R^1 is chosen from phenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,3-difluorophenyl, 3,4-

difluorophenyl, 3,5-difluorophenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2,3-dichlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2,3-dimethoxyphenyl, 3,4-dimethoxyphenyl, and 3,5-dimethoxyphenyl.

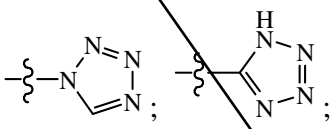
148. The use according to Claim 113, wherein the compound has the formula:



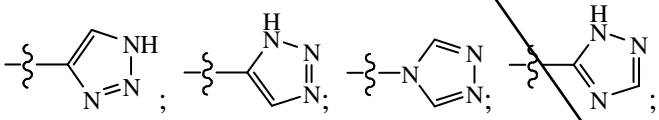
or the ammonium salt thereof.

149. The use according to Claim 113, wherein R^1 is a substituted or unsubstituted heteroaryl unit chosen from:

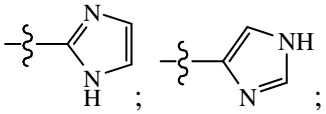
i) 1,2,3,4-tetrazol-1-yl and 1,2,3,4-tetrazol-5-yl having the respective formulae:



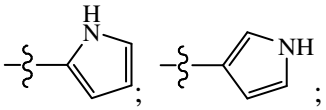
ii) [1,2,3]triazol-4-yl, [1,2,3]triazol-5-yl, [1,2,4]triazol-4-yl, and [1,2,4]triazol-5-yl having the respective formulae:



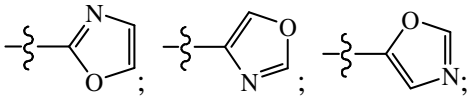
iii) imidazol-2-yl and imidazol-4-yl having the respective formulae:



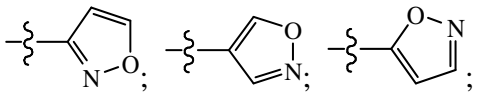
iv) pyrrol-2-yl and pyrrol-3-yl having the respective formulae:



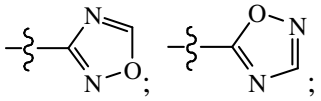
v) oxazol-2-yl, oxazol-4-yl, and oxazol-5-yl having the respective formulae:



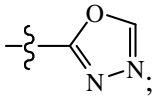
vi) isoxazol-3-yl, isoxazol-4-yl, and isoxazol-5-yl having the respective formulae:



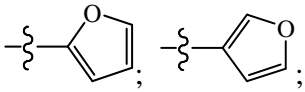
vii) [1,2,4]oxadiazol-3-yl and [1,2,4]oxadiazol-5-yl having the respective formulae:



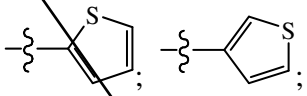
viii) [1,3,4]oxadiazol-2-yl having the formula:



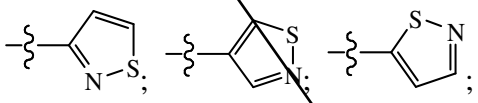
ix) furan-2-yl and furan-3-yl having the respective formulae:



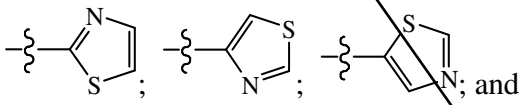
x) thiophen-2-yl and thiophen-3-yl having the respective formulae:



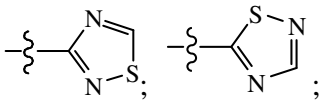
xi) isothiazol-3-yl, isothiazol-4-yl and isothiazol-5-yl having the respective formulae:



xii) thiazol-2-yl, thiazol-4-yl and thiazol-5-yl having the respective formulae:


; and

xiii) [1,2,4]thiadiazol-3-yl and [1,2,4]thiadiazol-5-yl having the respective formulae:



said heteroaryl unit substitutions chosen from:

i) C_1-C_6 linear, C_3-C_6 branched, C_3-C_6 and cyclic alkyl;

ii) substituted or unsubstituted phenyl and benzyl;

iii) substituted of unsubstituted heteroaryl;

iv) –C(O)R^9; and

v) –NHC(O)R^9;

R^9 is C_1-C_6 linear and branched alkyl; C_1-C_6 linear and C_3-C_6 branched alkoxy; or –$NHCH_2C(O)R^{10}$; R^{10} is chosen from hydrogen, methyl, ethyl, and *tert*-butyl;

R^4 is a unit chosen from:

i) hydrogen;

ii) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl;

iii) substituted or unsubstituted C_2-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkenyl;

iv) substituted or unsubstituted C_2-C_6 linear or C_3-C_6 branched alkynyl;

v) substituted or unsubstituted C_6 or C_{10} aryl;

vi) substituted or unsubstituted C_1-C_9 heteroaryl;

vii) substituted or unsubstituted C_1-C_9 heterocyclic.

150. The use according to Claim 113, wherein the compound is chosen from:

(*S*)-4-[2-Benzamido-2-(4-ethylthiazol-2-yl)ethyl]phenylsulfamic acid;

(*S*)-4-{2-(4-Ethylthiazol-2-yl)-2-[2-(2-fluorophenyl)acetamido]ethyl}phenyl-sulfamic acid;

(*S*)-4-(2-(4-Ethylthiazol-2-yl)-2-(2-(3-fluorophenyl)acetamido)ethyl)phenylsulfamic acid;

(*S*)-4-(2-(2-(2,3-Difluorophenyl)acetamido)-2-(4-ethylthiazol-2-yl)ethyl)phenyl-sulfamic acid;

(*S*)-4-(2-(2-(3,4-Difluorophenyl)acetamido)-2-(4-ethylthiazol-2-yl)ethyl)phenyl-sulfamic acid;

(*S*)-4-(2-(2-(2-Chlorophenyl)acetamido)-2-(4-ethylthiazol-2-yl)ethyl)phenylsulfamic acid;

(*S*)-4-(2-(2-(3-Chlorophenyl)acetamido)-2-(4-ethylthiazol-2-yl)ethyl)phenyl-sulfamic acid;

(*S*)-4-(2-(4-Ethylthiazol-2-yl)-2-(2-(3-hydroxyphenyl)acetamido)ethyl)phenyl-sulfamic acid;

(*S*)-4-(2-(4-Ethylthiazol-2-yl)-2-(2-(2-methoxyphenyl)acetamido)ethyl)phenyl-sulfamic acid;

(*S*)-4-(2-(4-Ethylthiazol-2-yl)-2-(2-(3-methoxyphenyl)acetamido)ethyl)phenyl-sulfamic acid;

(*S*)-4-(2-(4-Ethylthiazol-2-yl)-2-(3-phenylpropanamido)ethyl)phenylsulfamic acid;

(*S*)-4-(2-(2-(3,4-Dimethoxyphenyl)acetamido)-2-(4-ethylthiazol-2-yl)ethyl)-phenylsulfamic acid;

(*S*)-4-(2-(2-(2,3-Dimethoxyphenyl)acetamido)-2-(4-ethylthiazol-2-yl)ethyl)-phenylsulfamic acid;

(*S*)-4-(2-(3-(3-Chlorophenyl)propanamido)-2-(4-ethylthiazol-2-yl)ethyl)phenyl-sulfamic acid;

(*S*)-4-(2-(4-Ethylthiazol-2-yl)-2-(3-(2-methoxyphenyl)propanamido)ethyl)phenyl-sulfamic acid;

(*S*)-4-(2-(4-Ethylthiazol-2-yl)-2-(3-(3-methoxyphenyl)propanamido)ethyl)pheny-lsulfamic acid;

(*S*)-4-(2-(4-Ethylthiazol-2-yl)-2-(3-(4-methoxyphenyl)propanamido)ethyl)phenyl-sulfamic acid;

(*S*)-4-{2-[2-(4-Ethyl-2,3-dioxopiperazin-1-yl)acetamido]-2-(4-ethylthiazol-2-yl)ethyl}phenylsulfamic acid;

(*S*)-4-{2-(4-Ethylthiazol-2-yl)-2-[2-(5-methyl-2,4-dioxo-3,4-dihydropyrimidin-1(2*H*)-yl)acetamide]ethyl}phenylsulfamic acid;

(*S*)-4-[2-(Benzo[*d*][1,3]dioxole-5-carboxamido)-2-(4-ethylthiazol-2-yl)ethyl]-phenylsulfamic acid;

4-((*S*)-2-(2-(2-Chlorophenyl)acetamido)-2-(2-(thiophene2-yl)thiazol-4-yl)ethyl)-phenylsulfamic acid;

4-((*S*)-2-(2-(3-Methoxyphenyl)acetamido)-2-(2-(thiophene2-yl)thiazol-4-yl)ethyl)-phenylsulfamic acid;

4-{(*S*)-2-(3-Phenylpropanamido)-2-[2-(thiophene2-yl)thiazol-4-yl]ethyl}phenyl-sulfamic acid;

4-{(*S*)-2-(3-(3-Chlorophenyl)propanamido)-2-[2-(thiophene2-yl)thiazol-4-yl]ethyl}-phenylsulfamic acid;

4-{(*S*)-2-[2-(3-Fluorophenyl)acetamide]-2-[2-(thiophene2-yl)thiazol-4-yl]ethyl}-phenylsulfamic acid;

(*S*)-4-{2-[2-(2,5-Dimethylthiazol-4-yl)acetamide]-2-(4-ethylthiazol-2-yl]ethyl}-phenylsulfamic acid;

(*S*)-4-{2-[2-(2,4-Dimethylthiazol-5-yl)acetamide]-2-(4-methylthiazol-2-ylethyl}-phenylsulfamic acid;

(*S*)-4-{2-(4-Ethylthiazol-2-yl)-2-[3-(thiazol-2-yl)propanamido]ethyl}phenylsulfamic acid;

(*S*)-4-{2-(4-Ethylthiazol-2-yl)-2-[2-(4-ethylthiazol-2-yl)acetamide]ethyl}phenyl-sulfamic acid;

(*S*)-4-{2-[2-(3-Methyl-1,2,4-oxadiazol-5-yl)acetamide]-2-(2-phenylthiazol-4-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[2-(4-Ethyl-2,3-dioxopiperazin-1-yl)acetamide]-2-[2-(thiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

(*S*)-4-(2-(2,3-Diphenylpropanamido)-2-(4-ethylthiazol-2-yl)ethyl)phenylsulfamic acid;

(*S*)-4-{2-(4-Ethylthiazol-2-yl)-2-[2-(2-methoxyphenyl)-3-phenylpropanamido]-ethyl)phenylsulfamic acid;

(*S*)-4-{2-(4-Ethylthiazol-2-yl)-2-[2-(3-fluorophenyl)-3-phenylpropanamido]-ethyl}phenylsulfamic acid;

(*S*)-4-{2-(4-Ethylthiazol-2-yl)-2-[2-(3-methoxyphenyl)-3-phenylpropanamido]-ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-Ethylthiazol-2-yl)-2-[2-(3-methyl-1,2,4-oxadiazol-5-yl)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

(*S*)-4-[2-(4-Ethylthiazol-2-yl)-2-(4-oxo-4-phenylbutanamido)-ethyl]phenylsulfamic acid;

(*S*)-4-(2-(4-Ethylthiazol-2-yl)-2-(5-methyl-4-oxohexanamido)ethyl)phenylsulfamic acid;

(*S*)-4-{2-[4-(3,4-Dihydro-2H-benzo[b][1,4]dioxepin-7-yl)-4-oxobutanamido]-2-(4-ethylthiazol-2-yl)ethyl}phenylsulfamic acid;

(*S*)-4-{2-[4-(2,3-Dimethoxyphenyl)-4-oxobutanamido]-2-(4-ethylthiazol-2-yl)ethyl}phenylsulfamic acid;

(*S*)-4-{2-(4-Ethylthiazol-2-yl)-2-[4-oxo-4-(pyridin-2-yl)butanamido]ethyl}phenyl-sulfamic acid;

(S)-4-{2-[4-(2,3-Dihydrobenzo[b][1,4]dioxin-6-yl)-4-oxobutanamido]-2-(4-ethylthiazol-2-yl)ethyl}phenylsulfamic acid;

(*S*)-4-[2-(4-*tert*-Butoxy-4-oxobutanamido)-2-(4-ethylthiazol-2-yl)ethyl]phenyl-sulfamic acid;

(*S*)-4-[2-(4-Ethoxy-4-oxobutanamido)-2-(4-ethylthiazol-2-yl)ethyl]phenylsulfamic acid;

(S)-4-(2-(3-Benzylureido)-2-(4-ethylthiazol-2-yl)ethyl)phenylsulfamic acid;

4-{[(*S*)-2-(2-Ethylthiazol-4-yl)-2-(3-(*R*)-1methoxy-1-oxo-3-phenylpropan-2-yl)ureido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(3-Benzylureido)-2-[2-(thiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

{4-(*S*)-[2-Phenylmethanesulfonylamino-2-(2-thiophen-2-ylthiazol-4-yl)ethyl]-phenylsulfamic acid;

4-{(S)-2-[(2-Methylthiazol-4-yl)methylsulfonamido]-2-[2-(thiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

{4-(*S*)-[2-Phenylmethanesulfonylamino-2-(2-ethylthiazol-4-yl)ethyl]phenyl}-sulfamic acid;

{4-(*S*)-[2-(3-Methoxyphenyl)methanesulfonylamino-2-(2-ethylthiazol-4-yl)ethyl]phenyl}sulfamic acid;

(*S*)-4-{[1-(2-Ethylthiazol-4-yl)-2-(4-sulfoaminophenyl)ethylsulfamoyl]methyl}-benzoic acid methyl ester;

(*S*)-4-[2-(2-Ethylthiazol-4-yl)-2-(1-methyl-1*H*-imidazol-4-sulfonamido)ethyl]-phenylsulfamic acid;

4-{(*S*)-2-[2-(Thiophen-2-yl)thiazol-4-yl]-2-(2,2,2-trifluoroethylsulfonamido)-ethyl}phenylsulfamic acid;

{4-(*S*)-[2-(Phenylethanesulfonylamino)-2-(2thiophen-2-ylthiazol-4-yl)ethyl]-phenyl}sulfamic acid;

{4-(*S*)-[3-(Phenylpropanesulfonylamino)-2-(2thiophen-2-ylthiazol-4-yl)ethyl]-phenyl}sulfamic acid;

(*S*)-{4-[2-(4-Methyl-3,4-dihydro-2H-benzo[1,4]oxazine-7-sulfonylamino)-2-(2-thiophen-2-ylthiazol-4-yl)ethyl]phenyl}sulfamic acid;

4-{(*S*)-2-(4-Acetamidophenylsulfonamido)-2-[2-(thiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

4-{(S)-2-(2-cyclopropylthiazol-4-yl)-2-[4-(3-methoxyphenyl)-thiazol-2-ylamino]ethyl}phenylsulfamic acid;

(*S*)-4-(2-(4-((2-Methoxy-2-oxoethyl)carbamoyl)thiazole-5-ylamino)2-(2-ethylthiazole-4-yl)ethyl)phenylsulfamic acid;

4-((*S*)-2-(5-(1-*N*-(2-Methoxy-2-oxoethyl)-1-*H*-indol-3-yl)oxazole-2-ylamino)-2-(2-methylthiazol-4-yl)ethyl))phenylsulfamic acid;

4-((S)-2-(5-(2-Methoxyphenyl)oxazol-2-ylamino)-2-(2-methylthiazol-4-yl)ethyl)-phenylsulfamic acid;

4-((*S*)-2-(5-((*S*)-1-(*tert*-Butoxycarbonyl)-2-phenylethyl)oxazole-2-ylamino)-2-(2-methylthiazole-4-yl)ethyl)phenylsulfamic acid;

(*S*)-4-(2-(5-(4-Methoxycarbonyl)phenyl)oxazole-2-ylamino)2-(2-methylthiazole-4-yl)ethyl)phenylsulfamic acid;

(*S*)-4-(2-(5-(3-Methoxybenzyl)oxazole-2-ylamino)-2-(2-methylthiazole-4-yl)ethyl)-phenylsulfamic acid;

(*S*)-4-(2-(2-Methylthiazole-4-yl)2-(5-phenyloxazole-2-ylamino)ethyl)phenyl-sulfamic acid;

4-((S)-2-(2-Cyclopropylthiazol-4-yl)-2-(4-(3-methoxyphenyl)thiazol-2-ylamino)-ethyl)phenylsulfamic acid;

(*S*)-4-(2-(2-cyclopropylthiazol-4-yl)-2-(4-(4-fluorophenyl)thiazol-2-ylamino)ethyl)-phenylsulfamic acid;

4-((*S*)-2-(2-cyclopropylthiazol-4-yl)-2-(4-(2-methoxyphenyl)thiazol-2-ylamino)-ethyl)phenylsulfamic acid;

4-((*S*)-2-(2-cyclopropylthiazol-4-yl)-2-(4-(2,4-difluorophenyl)thiazol-2-ylamino)-ethyl)phenylsulfamic acid;

(*S*)-4-(2-(4-(3-methoxybenzyl)thiazol-2-ylamino)-2-(2-cyclopropylthiazol-4-yl)ethyl)phenylsulfamic acid;

(*S*)-{5-[1-(2-Ethylthiazol-4-yl)-2-(4-sulfoaminophenyl)ethylamino]-2-methyl-2H-[1,2,4]triazole-3-yl}carbamic acid methyl ester;

4-{(S)-2-[4-(2-Methoxyphenyl)thiazol-2-ylamino)-2-[2-(thiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[5-(3-Methoxyphenyl)oxazole-2-ylamino]-2-(2-phenylthiazole-4-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[4-(2,4-Difluorophenyl)thiazol-2-ylamino]-2-[2-(thiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

(*S*)-4-{2-[4-(Ethoxycarbonyl)thiazol-2-ylamino]-2-(2-phenylthiazol-4-yl)ethyl}-phenylsulfamic acid;

(*S*)-4-{2-[4-(2-Ethoxy-2-oxoethyl)thiazol-2-ylamino]-2-(2-phenylthiazol-4-yl)ethyl}phenylsulfamic acid;

(*S*)-4-{2-[4-(4-Acetamidophenyl)thiazol-2-ylamino]-2-(2-phenylthiazol-4-yl)ethyl}phenylsulfamic acid;

(S)-4-[2-(4-Phenylthiazol-2-ylamino)-2-(2-phenylthiazol-4-yl)ethyl]phenylsulfamic acid;

(*S*)-4-{2-[4-(4-(Methoxycarbonyl)phenyl)thiazol-2-ylamino]-2-(2-phenylthiazol-4-yl)ethyl}phenylsulfamic acid;

4-{(S)-2-[4-(Ethoxycarbonyl)thiazol-2-ylamino]-2-[2-(thiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

(*S*)-4-[2-(4-(Methoxycarbonyl)thiazol-5-ylamino)-2-(2-phenylthiazole-4-yl)ethyl]-phenylsulfamic acid;

(*S*)-4-[2-(5-Phenyloxazole-2-ylamino)]-2-(2-phenylthiazole-4-yl)phenylsulfamic acid;

(*S*)-4-{2-[5-(4-Acetamidophenyl)oxazole-2-ylamino]-2-(2-phenylthiazole-4-yl)ethyl}phenylsufamic acid;

4-((*S*)-2-(5-(2,4-Difluorophenyl)oxazole-2-ylamino)-2-(2-phenylthiazole-4-yl)ethyl)phenylsulfamic acid;

4-{(*S*)-2-[5-(3-Methoxyphenyl)oxazol-2-ylamino]-2-[(2-thiophen-2-yl)thiazole-4-yl]ethyl}phenylsulfamic acid;

(*S*)-4-[2-(4,6-Dimethylpyrimidene-2-ylamino)-2-(2-methylthiazole-4-yl)ethyl]-phenylsulfamic acid;

(*S*)-4-[2-(4-Hydroxy-6-methylpyrimidine-2-ylamino)-2-(2-methylthiazole-4-yl)ethyl]phenylsulfamic acid; 4-{(S)-2-[(S)-2-(*tert*-Butoxycarbonylamino)-3-phenylpropanamido]-2-(4-ethylthiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*R*)-2-(*tert*-Butoxycarbonylamino)-3-phenylpropanamido]-2-(4-ethylthiazol-2-yl)ethyl}phenylsulfamic acid;

{1-[1-(5-Ethylthiazol-2-yl)-(*S*)-2-(4-sulfoaminophenyl)ethylcarbamoyl]-(*S*)-2-phenylethyl}methyl carbamic acid *tert*-butyl ester;

{(*S*)-2-Phenyl-1-[1-(4-phenylthiazol-2-yl)-(*S*)-2-(4-sulfoaminophenyl)ethyl-carbamoyl]ethyl}carbamic acid *tert*-butyl ester;

4-{(*S*)-2-(*S*)-2-(*tert*-Butoxycarbonylamino)-3-phenylpropaneamido-2-(2-phenylthiazole-4-yl)}phenylsulfamic acid;

4-{(*S*)-2-(4-Ethylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(thiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(4-methylthiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(4-propylthiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-*tert*-Butylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-Cyclopropylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-Cyclohexylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4,5-Dimethylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-Phenyl-1-[1-(2-phenylthiazol-4-yl)-(*S*)-2-(4-sulfoaminophenyl)ethyl-carbamoyl]ethyl}carbamic acid *tert*-butyl ester;

4-{(*S*)-2-(4-Ethyl-5-methylthiazol-2-yl)-2-[(S)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(S)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[4-(2,2,2-trifluoroethyl)thiazol-2-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido)-2-[4-(3,3,3-trifluoropropyl)thiazol-2-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[4-(2,2-Difluorocyclopropyl)thiazol-2-yl]-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[4-(methoxy-methyl)thiazol-2-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-(Ethoxycarbonylamino)thiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(5-phenylthiazol-2-yl))ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-*tert*-Butylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-Ethyl-5-phenylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[4-(3,4-Dimethylphenyl)thiazol-2-yl]-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(S)-2-[4-(4-Chlorophenyl)thiazol-2-yl]-2-[(S)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(4-phenylthiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[4-(thiophen-2-yl)thiazol-2-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[4-(thiophen-3-yl)thiazol-2-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-Ethylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbinyl)-3-phenylpropion-amido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(5,6-Dihydro-4*H*-cyclopenta[*d*]thiazol-2-yl)-2-[(*S*)-2-(methoxy-carbonyl)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(4,5,6,7-tetrahydrobenzo[*d*]thiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[4-(5-Chlorothiophen-2-yl)thiazol-2-yl]-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Ethoxycarbonylamino)-3-phenylpropanamido]-2-(4-ethylthiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(2-ethylthiazol-4-yl) ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(2-methyl-thiazol-4-yl)ethyl}phenylsulfamic acid;

4-{(S)-2-(2-Ethylthiazole-4-yl)-2-[(S)-2-(methoxycarbonylamino)-3-phenylpropan-amido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(2-Isopropylthiazol-4-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propan-amido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(2-Cyclopropylthiazol-4-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(S)-2-{2-[(4-Chlorophenylsulfonyl)methyl]thiazol-4-yl}-2-[(S)-2-(methoxy-carbonyl)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(S)-2-[2-(*tert*-Butylsulfonylmethyl)thiazol-4-yl]-2-[(S)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropionamido]-2-(2-phenyl-thiazole-4-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[2-(thiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[2-(3-Chlorothiophen-2-yl)thiazol-4-yl]-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[2-(3-methylthiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

4-{[(*S*)-2-(2-(Furan-2-yl)thiazol-4-yl]-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[2-(2-methyl-thiazole-4-yl)thiazol-4yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(2-pyrazine-2-yl)thiazole-4-yl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[2-(6-methyl-pyridin-3-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

4-[(*S*)-2-((*S*)-2-Acetamido-3-phenylpropanamido)-2-(4-ethylthiazol-2-yl)ethyl]-phenylsulfamic acid ;

4-[(*S*)-2-((*S*)-2-Acetamido-3-phenylpropanamido)-2-(4-*tert*-butylthiazol-2-yl)ethyl]-phenylsulfamic acid;

4-{(*S*)-2-((*S*)-2-Acetamido-3-phenylpropanamido)-2-[4-(thiophen-3-yl)thiazol-2-yl]ethyl)phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(*tert*-Butoxycarbonyl)-3-methylbutanamido]-2-(4-ethylthiazol-2-yl)ethyl}phenylsulfamic acid;

(*S*)-4-{2-[2-(*tert*-Butoxycarbonyl)acetamide]-2-(4-ethylthiazol-2-yl)ethyl}phenyl-sulfamic acid;

(*S*)-4-{2-(4-Ethylthiazol-2-yl)-2-[2-(methoxycarbonyl)acetamido]ethyl}phenyl-sulfamic acid;

4-{(*S*)-2-(4-Ethylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonyl)-3-methylbutanamido]-ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(*tert*-Butoxycarbonyl)-4-methylpentanamido]-2-(4-ethylthiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-Ethylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonyl)-4-methylpentan-amido]ethyl}phenylsulfamic acid;

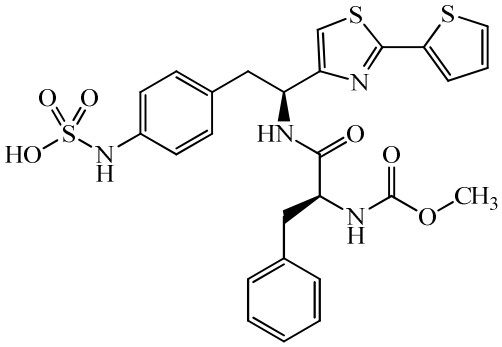
4-((*S*)-2-(4-Ethylthiazol-2-yl)-2-{(*S*)-2-[2-(methoxycarbonyl)acetamide]-3-phenylpropanamido}ethyl)phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(*tert*-Butoxycarbonyl)-4-methylpentanamido]-2-[2-(thiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonyl)-4-methylpentanamido]-2-[2-(thiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid; and

(*S*)-4-{2-[2-(*tert*-Butoxycarbonyl)acetamide]-2-(4-ethylthiazol-2-yl)ethyl}-phenylsulfamic acid.

151. The use according to Claim 113, wherein the compound has the formula:



; and

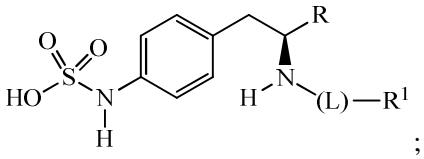
pharmaceutically acceptable salts thereof.

152. The use according to Claim 113, wherein the compound is in the form of a salt of a cation chosen from ammonium, sodium, lithium, potassium, calcium, magnesium, bismuth, and lysine.

CLAIMS

1. A composition for treating neovascularization in the eye and associated tissue, comprising:

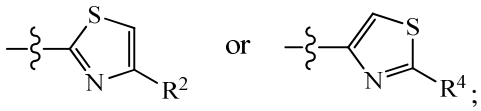
a) one or more HPTP-β inhibitors or pharmaceutically acceptable salts thereof having the formula:



;

wherein:

R is:



R^2 and R^4 are each independently:

i) hydrogen;

ii) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl;

iii) substituted or unsubstituted phenyl; or

iv) substituted or unsubstituted thiophenyl;

R^1 is:

i) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl; or

ii) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkoxy;

L is:

$$–C(O)[C(R^{5a}H)]_xNHC(O)–$$

each R^{5a} is independently:

i) hydrogen;

ii) C_1-C_6 linear or C_3-C_6 branched alkyl;

iii) phenyl; or

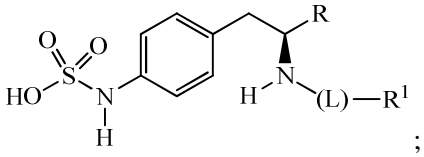
iv) benzyl;

the index x is 1 or 2; and

b) one or more carriers or compatible excipients.

2. A composition for preventing neovascularization in the eye and associated tissue, comprising:

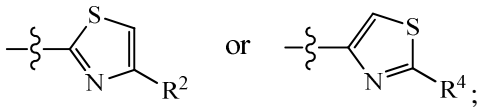
a) one or more HPTP-β inhibitors or pharmaceutically acceptable salts thereof having the formula:



;

wherein:

R is:



;

R^2 and R^4 are each independently:

i) hydrogen;

ii) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl;

iii) substituted or unsubstituted phenyl; or

iv) substituted or unsubstituted thiophenyl;

R^1 is:

i) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl; or

ii) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkoxy;

L is:

$$–C(O)[C(R^{5a}H)]_xNHC(O)–$$

each R^{5a} is independently:

i) hydrogen;

ii) C_1-C_6 linear or C_3-C_6 branched alkyl;

iii) phenyl; or

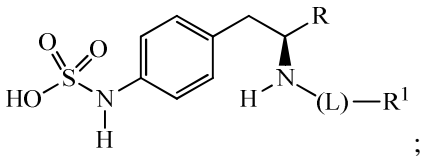
iv) benzyl;

the index x is 1 or 2; and

b) one or more carriers or compatible excipients.

3. A composition for treating retinopathy, comprising:

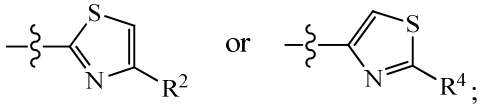
a) one or more HPTP-β inhibitors or pharmaceutically acceptable salts thereof having the formula:



;

wherein:

R is:



R^2 and R^4 are each independently:

i) hydrogen;

ii) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl;

iii) substituted or unsubstituted phenyl; or

iv) substituted or unsubstituted thiophenyl;

R^1 is:

i) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl; or

ii) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkoxy;

L is:

$$–C(O)[C(R^{5a}H)]_xNHC(O)–$$

each R^{5a} is independently:

i) hydrogen;

ii) C_1-C_6 linear or C_3-C_6 branched alkyl;

iii) phenyl; or

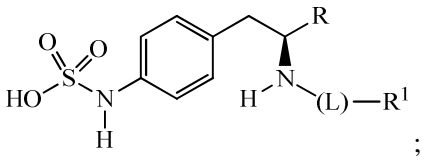
iv) benzyl;

the index x is 1 or 2; and

b) one or more carriers or compatible excipients.

4. A composition for preventing retinopathy, comprising:

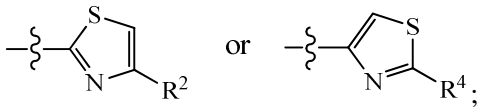
a) one or more HPTP-β inhibitors or pharmaceutically acceptable salts thereof having the formula:



;

wherein:

R is:



R^2 and R^4 are each independently:

i) hydrogen;

ii) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl;

iii) substituted or unsubstituted phenyl; or

iv) substituted or unsubstituted thiophenyl;

R^1 is:

i) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl; or

ii) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkoxy;

L is:

$$–C(O)[C(R^{5a}H)]_xNHC(O)–$$

each R^{5a} is independently:

i) hydrogen;

ii) C_1-C_6 linear or C_3-C_6 branched alkyl;

iii) phenyl; or

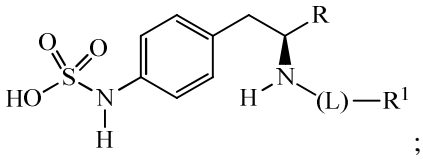
iv) benzyl;

the index x is 1 or 2; and

b) one or more carriers or compatible excipients.

5. A composition for treating diabetic macular edema, comprising:

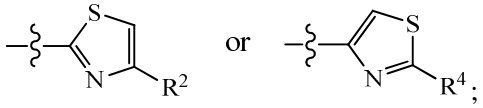
a) one or more HPTP-β inhibitors or pharmaceutically acceptable salts thereof having the formula:



;

wherein:

R is:



R^2 and R^4 are each independently:

i) hydrogen;

ii) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl;

iii) substituted or unsubstituted phenyl; or

iv) substituted or unsubstituted thiophenyl;

R^1 is:

i) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl; or

ii) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkoxy;

L is:

$$–C(O)[C(R^{5a}H)]_xNHC(O)–$$

each R^{5a} is independently:

i) hydrogen;

ii) C_1-C_6 linear or C_3-C_6 branched alkyl;

iii) phenyl; or

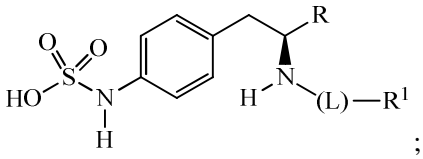
iv) benzyl;

the index x is 1 or 2; and

b) one or more carriers or compatible excipients.

6. A composition for preventing diabetic macular edema, comprising:

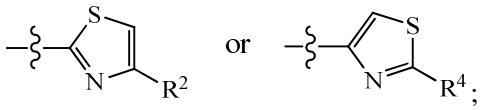
a) one or more HPTP-β inhibitors or pharmaceutically acceptable salts thereof having the formula:



;

wherein:

R is:



R^2 and R^4 are each independently:

i) hydrogen;

ii) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl;

iii) substituted or unsubstituted phenyl; or

iv) substituted or unsubstituted thiophenyl;

R^1 is:

i) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl; or

ii) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkoxy;

L is:

$$–C(O)[C(R^{5a}H)]_xNHC(O)–$$

each R^{5a} is independently:

i) hydrogen;

ii) C_1-C_6 linear or C_3-C_6 branched alkyl;

iii) phenyl; or

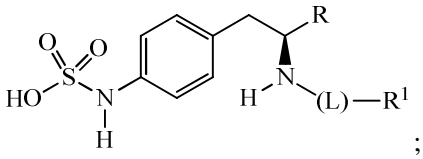
iv) benzyl;

the index x is 1 or 2; and

b) one or more carriers or compatible excipients.

7. A composition for treating age-related macular degeneration, comprising:

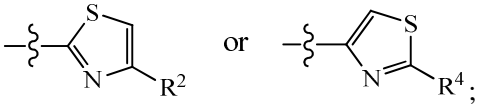
a) one or more HPTP-β inhibitors or pharmaceutically acceptable salts thereof having the formula:



;

wherein:

R is:



R^2 and R^4 are each independently:

i) hydrogen;

ii) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl;

iii) substituted or unsubstituted phenyl; or

iv) substituted or unsubstituted thiophenyl;

R^1 is:

i) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl; or

ii) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkoxy;

L is:

$$–C(O)[C(R^{5a}H)]_xNHC(O)–$$

each R^{5a} is independently:

i) hydrogen;

ii) C_1-C_6 linear or C_3-C_6 branched alkyl;

iii) phenyl; or

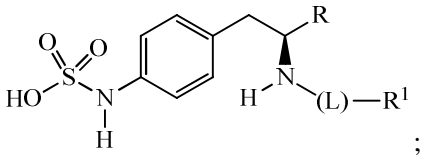
iv) benzyl;

the index x is 1 or 2; and

b) one or more carriers or compatible excipients.

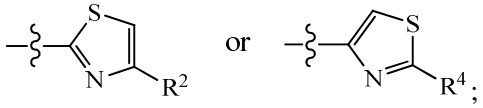
8. A composition for preventing age-related macular degeneration, comprising:

a) one or more HPTP-β inhibitors or pharmaceutically acceptable salts thereof having the formula:


;

wherein:

R is:


;

R^2 and R^4 are each independently:

i) hydrogen;

ii) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl;

iii) substituted or unsubstituted phenyl; or

iv) substituted or unsubstituted thiophenyl;

R^1 is:

i) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl; or

ii) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkoxy;

L is:

$$–C(O)[C(R^{5a}H)]_xNHC(O)–$$

each R^{5a} is independently:

i) hydrogen;

ii) C_1-C_6 linear or C_3-C_6 branched alkyl;

iii) phenyl; or

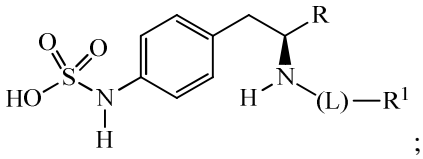
iv) benzyl;

the index x is 1 or 2; and

b) one or more carriers or compatible excipients.

9. A composition for treating diabetic retinopathy, comprising:

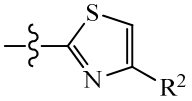
a) one or more HPTP-β inhibitors or pharmaceutically acceptable salts thereof having the formula:

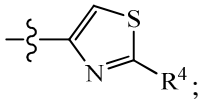


;

wherein:

R is:



or


;

R^2 and R^4 are each independently:

i) hydrogen;

ii) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl;

iii) substituted or unsubstituted phenyl; or

iv) substituted or unsubstituted thiophenyl;

R^1 is:

i) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl; or

ii) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkoxy;

L is:

$$–C(O)[C(R^{5a}H)]_xNHC(O)–$$

each R^{5a} is independently:

i) hydrogen;

ii) C_1-C_6 linear or C_3-C_6 branched alkyl;

iii) phenyl; or

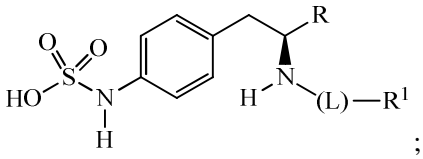
iv) benzyl;

the index x is 1 or 2; and

b) one or more carriers or compatible excipients.

10. A composition for preventing diabetic retinopathy, comprising:

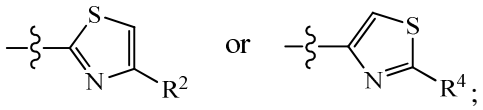
a) one or more HPTP-β inhibitors or pharmaceutically acceptable salts thereof having the formula:



;

wherein:

R is:



R^2 and R^4 are each independently:

i) hydrogen;

ii) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl;

iii) substituted or unsubstituted phenyl; or

iv) substituted or unsubstituted thiophenyl;

R^1 is:

i) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl; or

ii) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkoxy;

L is:

$$–C(O)[C(R^{5a}H)]_xNHC(O)–$$

each R^{5a} is independently:

i) hydrogen;

ii) C_1-C_6 linear or C_3-C_6 branched alkyl;

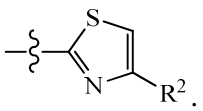
iii) phenyl; or

iv) benzyl;

the index x is 1 or 2; and

b) one or more carriers or compatible excipients.

11. The composition according to any one of Claims 1 to 10, wherein R has the formula:



.

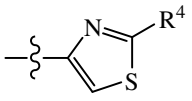
12. The composition according to Claim 11, wherein R^2 is chosen from methyl, ethyl, n-propyl, *iso*-propyl, n-butyl, *iso*-butyl, *sec*-butyl, and *tert*-butyl.

13. The composition according to Claim 11, wherein R^2 is methyl or ethyl.

14. The composition according to Claim 11, wherein R^2 is substituted or unsubstituted phenyl.

15. The composition according to Claim 11, wherein R^2 is thiophen-2-yl or thiophen-3-yl.

16. The composition according to any one of Claims 1 to 10, wherein R has the formula:



.

17. The composition according to Claim 16, wherein R^4 is hydrogen or substituted or unsubstituted C_1-C_6 linear, branched, or cyclic alkyl.

18. The composition according to Claim 16, wherein R^4 is chosen from methyl, ethyl, n-propyl, *iso*-propyl, n-butyl, *iso*-butyl, *sec*-butyl, and *tert*-butyl.

19. The composition according to Claim 16, wherein R^4 is methyl or ethyl.

20. The composition according to Claim 16, wherein R^4 is substituted or unsubstituted phenyl.

21. The composition according to Claim 16, wherein R^4 is thiophen-2-yl or thiophen-3-yl.

22. The composition according to any one of Claims 1 to 10, wherein R^1 is hydrogen, methyl, ethyl, *tert*-butyl, methoxy or *tert*-butoxy.

23. The composition according to any one of Claims 1 to 10, wherein the compound is chosen from:

4-{(S)-2-[(S)-2-(*tert*-Butoxycarbonylamino)-3-phenylpropanamido]-2-(4-ethylthiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*R*)-2-(*tert*-Butoxycarbonylamino)-3-phenylpropanamido]-2-(4-ethylthiazol-2-yl)ethyl}phenylsulfamic acid;

{1-[1-(5-Ethylthiazol-2-yl)-(*S*)-2-(4-sulfoaminophenyl)ethylcarbamoyl]-(*S*)-2-phenylethyl}methyl carbamic acid *tert*-butyl ester;

{(*S*)-2-Phenyl-1-[1-(4-phenylthiazol-2-yl)-(*S*)-2-(4-sulfoaminophenyl)ethyl-carbamoyl]ethyl}carbamic acid *tert*-butyl ester;

4-{(*S*)-2-(*S*)-2-(*tert*-Butoxycarbonylamino)-3-phenylpropaneamido-2-(2-phenylthiazole-4-yl)}phenylsulfamic acid;

4-{(*S*)-2-(4-Ethylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(thiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(4-methylthiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(4-propylthiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-*tert*-Butylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-Cyclopropylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-Cyclohexylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4,5-Dimethylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-Phenyl-1-[1-(2-phenylthiazol-4-yl)-(*S*)-2-(4-sulfoaminophenyl)ethyl-carbamoyl]ethyl}carbamic acid *tert*-butyl ester;

4-{(*S*)-2-(4-Ethyl-5-methylthiazol-2-yl)-2-[(S)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(S)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[4-(2,2,2-trifluoroethyl)thiazol-2-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido)-2-[4-(3,3,3-trifluoropropyl)thiazol-2-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[4-(2,2-Difluorocyclopropyl)thiazol-2-yl]-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[4-(methoxy-methyl)thiazol-2-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-(Ethoxycarbonylamino)thiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(5-phenylthiazol-2-yl))ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-*tert*-Butylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-Ethyl-5-phenylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[4-(3,4-Dimethylphenyl)thiazol-2-yl]-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(S)-2-[4-(4-Chlorophenyl)thiazol-2-yl]-2-[(S)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(4-phenylthiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[4-(thiophen-2-yl)thiazol-2-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[4-(thiophen-3-yl)thiazol-2-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-Ethylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbinyl)-3-phenylpropion-amido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(5,6-Dihydro-4*H*-cyclopenta[*d*]thiazol-2-yl)-2-[(*S*)-2-(methoxy-carbonyl)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(4,5,6,7-tetrahydrobenzo[*d*]thiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[4-(5-Chlorothiophen-2-yl)thiazol-2-yl]-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Ethoxycarbonylamino)-3-phenylpropanamido]-2-(4-ethylthiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(2-ethylthiazol-4-yl) ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(2-methyl-thiazol-4-yl)ethyl}phenylsulfamic acid;

4-{(S)-2-(2-Ethylthiazole-4-yl)-2-[(S)-2-(methoxycarbonylamino)-3-phenylpropan-amido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(2-Isopropylthiazol-4-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propan-amido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(2-Cyclopropylthiazol-4-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(S)-2-{2-[(4-Chlorophenylsulfonyl)methyl]thiazol-4-yl}-2-[(S)-2-(methoxy-carbonyl)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(S)-2-[2-(*tert*-Butylsulfonylmethyl)thiazol-4-yl]-2-[(S)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropionamido]-2-(2-phenyl-thiazole-4-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[2-(thiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[2-(3-Chlorothiophen-2-yl)thiazol-4-yl]-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[2-(3-methylthiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

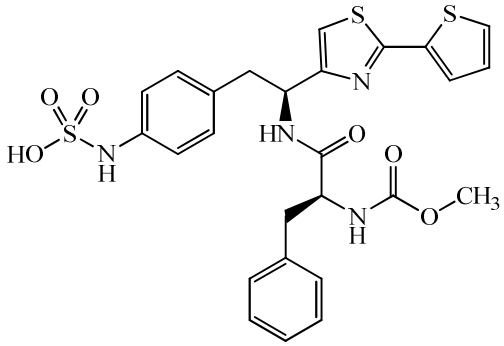
4-{[(*S*)-2-(2-(Furan-2-yl)thiazol-4-yl]-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[2-(2-methyl-thiazole-4-yl)thiazol-4yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(2-pyrazine-2-yl)thiazole-4-yl}phenylsulfamic acid; and

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[2-(6-methyl-pyridin-3-yl)thiazol-4-yl]ethyl}phenylsulfamic acid.

24. The composition according to any one of Claims 1 to 10, wherein the compound has the formula:

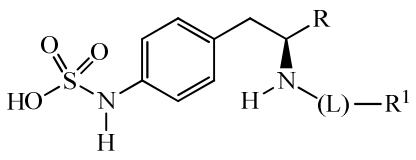


; or

a pharmaceutically acceptable salts thereof.

25. The composition according to any one of Claims 1 to 10, wherein the compound is in the form of a salt of a cation chosen from ammonium, sodium, lithium, potassium, calcium, magnesium, bismuth, and lysine.

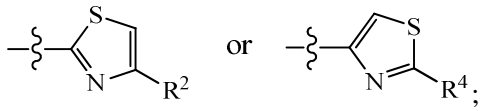
26. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for preventing neovascularization in the eye and associated tissue having the formula:



;

wherein:

R is:



R^2 and R^4 are each independently:

i) hydrogen;

ii) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl;

iii) substituted or unsubstituted phenyl; or

iv) substituted or unsubstituted thiophenyl;

R^1 is:

i) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl; or

ii) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkoxy;

L is:

$$–C(O)[C(R^{5a}H)]_xNHC(O)–$$

each R^{5a} is independently:

i) hydrogen;

ii) C_1-C_6 linear or C_3-C_6 branched alkyl;

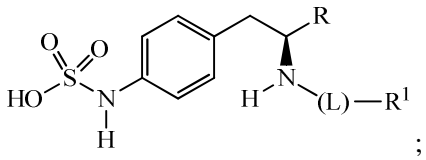
iii) phenyl; or

iv) benzyl;

the index x is 1 or 2;

or a pharmaceutically acceptable salt thereof.

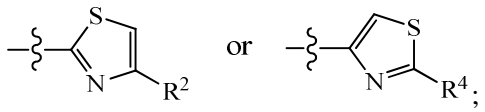
27. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for treating neovascularization in the eye and associated tissue having the formula:



;

wherein:

R is:



R^2 and R^4 are each independently:

i) hydrogen;

ii) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl;

iii) substituted or unsubstituted phenyl; or

iv) substituted or unsubstituted thiophenyl;

R^1 is:

i) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl; or

ii) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkoxy;

L is:

$$–C(O)[C(R^{5a}H)]_xNHC(O)–$$

each R^{5a} is independently:

i) hydrogen;

ii) C_1-C_6 linear or C_3-C_6 branched alkyl;

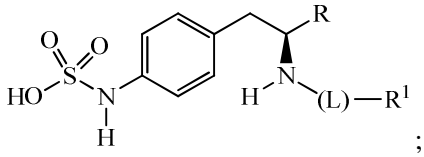
iii) phenyl; or

iv) benzyl;

the index x is 1 or 2;

or a pharmaceutically acceptable salt thereof.

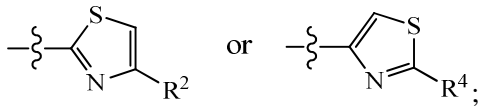
28. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for treating retinopathy in a subject having the formula:



;

wherein:

R is:



;

R^2 and R^4 are each independently:

i) hydrogen;

ii) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl;

iii) substituted or unsubstituted phenyl; or

iv) substituted or unsubstituted thiophenyl;

R^1 is:

i) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl; or

ii) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkoxy;

L is:

$$–C(O)[C(R^{5a}H)]_xNHC(O)–$$

each R^{5a} is independently:

i) hydrogen;

ii) C_1-C_6 linear or C_3-C_6 branched alkyl;

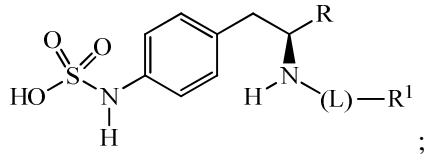
iii) phenyl; or

iv) benzyl;

the index x is 1 or 2;

or a pharmaceutically acceptable salt thereof.

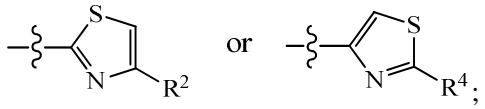
29. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for treating diabetic macular edema in a subject having the formula:



;

wherein:

R is:



;

R^2 and R^4 are each independently:

i) hydrogen;

ii) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl;

iii) substituted or unsubstituted phenyl; or

iv) substituted or unsubstituted thiophenyl;

R^1 is:

i) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl; or

ii) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkoxy;

L is:

$$-C(O)[C(R^{5a}H)]_xNHC(O)-$$

each R^{5a} is independently:

i) hydrogen;

ii) C_1-C_6 linear or C_3-C_6 branched alkyl;

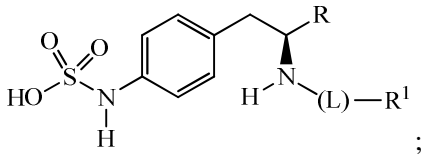
iii) phenyl; or

iv) benzyl;

the index x is 1 or 2;

or a pharmaceutically acceptable salt thereof.

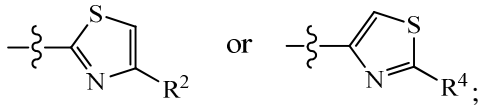
30. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for preventing diabetic macular edema in a subject having the formula:



;

wherein:

R is:



;

R^2 and R^4 are each independently:

i) hydrogen;

ii) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl;

iii) substituted or unsubstituted phenyl; or

iv) substituted or unsubstituted thiophenyl;

R^1 is:

i) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl; or

ii) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkoxy;

L is:

$$-C(O)[C(R^{5a}H)]_xNHC(O)-$$

each R^{5a} is independently:

i) hydrogen;

ii) C_1-C_6 linear or C_3-C_6 branched alkyl;

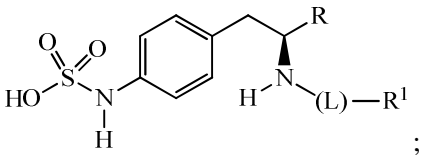
iii) phenyl; or

iv) benzyl;

the index x is 1 or 2;

or a pharmaceutically acceptable salt thereof.

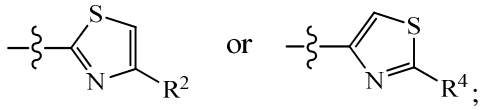
31. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for preventing diabetic macular edema in a subject diagnosed with diabetes having the formula:



;

wherein:

R is:



;

R^2 and R^4 are each independently:

i) hydrogen;

ii) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl;

iii) substituted or unsubstituted phenyl; or

iv) substituted or unsubstituted thiophenyl;

R^1 is:

i) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl; or

ii) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkoxy;

L is:

$$–C(O)[C(R^{5a}H)]_xNHC(O)–$$

each R^{5a} is independently:

i) hydrogen;

ii) C_1-C_6 linear or C_3-C_6 branched alkyl;

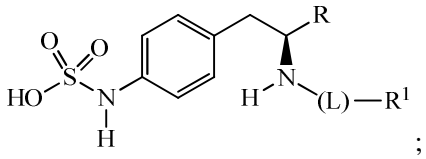
iii) phenyl; or

iv) benzyl;

the index x is 1 or 2;

or a pharmaceutically acceptable salt thereof.

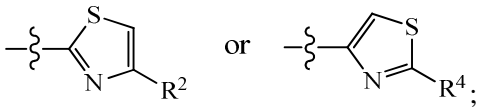
32. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for treating age-related macular degeneration in a subject having the formula:



;

wherein:

R is:



;

R^2 and R^4 are each independently:

i) hydrogen;

ii) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl;

iii) substituted or unsubstituted phenyl; or

iv) substituted or unsubstituted thiophenyl;

R^1 is:

i) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl; or

ii) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkoxy;

L is:

$$–C(O)[C(R^{5a}H)]_xNHC(O)–$$

each R^{5a} is independently:

i) hydrogen;

ii) C_1-C_6 linear or C_3-C_6 branched alkyl;

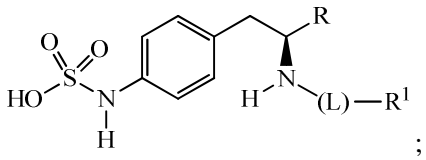
iii) phenyl; or

iv) benzyl;

the index x is 1 or 2;

or a pharmaceutically acceptable salt thereof.

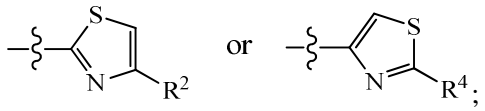
33. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for treating diabetic retinopathy in a subject having the formula:



;

wherein:

R is:



R^2 and R^4 are each independently:

i) hydrogen;

ii) substituted or unsubstituted C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl;

iii) substituted or unsubstituted phenyl; or

iv) substituted or unsubstituted thiophenyl;

R^1 is:

i) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkyl; or

ii) C_1-C_6 linear, C_3-C_6 branched, or C_3-C_6 cyclic alkoxy;

L is:

$$–C(O)[C(R^{5a}H)]_xNHC(O)–$$

each R^{5a} is independently:

i) hydrogen;

ii) C_1-C_6 linear or C_3-C_6 branched alkyl;

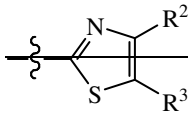
iii) phenyl; or

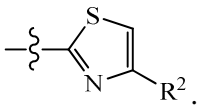
iv) benzyl;

the index x is 1 or 2;

or a pharmaceutically acceptable salt thereof.

34. The use according to any one of Claims 26 to 33, wherein R has the formula:





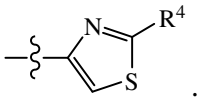
35. The use according to Claim 34, wherein R^2 is chosen from methyl, ethyl, n-propyl, *iso*-propyl, n-butyl, *iso*-butyl, *sec*-butyl, and *tert*-butyl.

36. A according to Claim 34, wherein R^2 is methyl or ethyl.

37. The use according to Claim 34, wherein R^2 is substituted or unsubstituted phenyl.

38. The use according to Claim 34, wherein R^2 is thiophen-2-yl or thiophen-3-yl.

39. The use according to any one of Claims 26 to 33, wherein R has the formula:



40. The use according to Claim 39, wherein R^4 is hydrogen or substituted or unsubstituted C_1-C_6 linear, branched, or cyclic alkyl.

41. The use according to Claim 39, wherein R^4 is chosen from methyl, ethyl, n-propyl, *iso*-propyl, n-butyl, *iso*-butyl, *sec*-butyl, and *tert*-butyl.

42. The use according to Claim 39, wherein R^4 is methyl or ethyl.

43. The use according to Claim 39, wherein R^4 is substituted or unsubstituted phenyl and R^3 is hydrogen.

44. The use according to Claim 123, wherein R^4 is thiophen-2-yl or thiophen-3-yl.

45. The use according to any one of Claims 26 to 33, wherein R^1 is hydrogen, methyl, ethyl, *tert*-butyl, methoxy or *tert*-butoxy.

46. The use according to any one of Claims 26 to 33, wherein the compound is chosen from:
4-{(S)-2-[(S)-2-(*tert*-Butoxycarbonylamino)-3-phenylpropanamido]-2-(4-ethylthiazol-2-yl)ethyl}phenylsulfamic acid;
4-{(*S*)-2-[(*R*)-2-(*tert*-Butoxycarbonylamino)-3-phenylpropanamido]-2-(4-ethylthiazol-2-yl)ethyl}phenylsulfamic acid;
{1-[1-(5-Ethylthiazol-2-yl)-(*S*)-2-(4-sulfoaminophenyl)ethylcarbamoyl]-(*S*)-2-phenylethyl}methyl carbamic acid *tert*-butyl ester;
{(*S*)-2-Phenyl-1-[1-(4-phenylthiazol-2-yl)-(*S*)-2-(4-sulfoaminophenyl)ethyl-carbamoyl]ethyl}carbamic acid *tert*-butyl ester;
4-{(*S*)-2-(*S*)-2-(*tert*-Butoxycarbonylamino)-3-phenylpropaneamido-2-(2-phenylthiazole-4-yl)}phenylsulfamic acid;
4-{(*S*)-2-(4-Ethylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;
4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(thiazol-2-yl)ethyl}phenylsulfamic acid;
4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(4-methylthiazol-2-yl)ethyl}phenylsulfamic acid;
4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(4-propylthiazol-2-yl)ethyl}phenylsulfamic acid;
4-{(*S*)-2-(4-*tert*-Butylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;
4-{(*S*)-2-(4-Cyclopropylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;
4-{(*S*)-2-(4-Cyclohexylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;
4-{(*S*)-2-(4,5-Dimethylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-Phenyl-1-[1-(2-phenylthiazol-4-yl)-(*S*)-2-(4-sulfoaminophenyl)ethyl-carbamoyl]ethyl}carbamic acid *tert*-butyl ester;

4-{(*S*)-2-(4-Ethyl-5-methylthiazol-2-yl)-2-[(S)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(S)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[4-(2,2,2-trifluoroethyl)thiazol-2-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido)-2-[4-(3,3,3-trifluoropropyl)thiazol-2-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[4-(2,2-Difluorocyclopropyl)thiazol-2-yl]-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[4-(methoxy-methyl)thiazol-2-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-(Ethoxycarbonylamino)thiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(5-phenylthiazol-2-yl))ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-*tert*-Butylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-Ethyl-5-phenylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[4-(3,4-Dimethylphenyl)thiazol-2-yl]-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(S)-2-[4-(4-Chlorophenyl)thiazol-2-yl]-2-[(S)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(4-phenylthiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[4-(thiophen-2-yl)thiazol-2-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[4-(thiophen-3-yl)thiazol-2-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-Ethylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbinyl)-3-phenylpropion-amido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(5,6-Dihydro-4*H*-cyclopenta[*d*]thiazol-2-yl)-2-[(*S*)-2-(methoxy-carbonyl)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(4,5,6,7-tetrahydrobenzo[*d*]thiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[4-(5-Chlorothiophen-2-yl)thiazol-2-yl]-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Ethoxycarbonylamino)-3-phenylpropanamido]-2-(4-ethylthiazol-2-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(2-ethylthiazol-4-yl) ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(2-methyl-thiazol-4-yl)ethyl}phenylsulfamic acid;

4-{(S)-2-(2-Ethylthiazole-4-yl)-2-[(S)-2-(methoxycarbonylamino)-3-phenylpropan-amido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(2-Isopropylthiazol-4-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propan-amido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(2-Cyclopropylthiazol-4-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(S)-2-{2-[(4-Chlorophenylsulfonyl)methyl]thiazol-4-yl}-2-[(S)-2-(methoxy-carbonyl)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(S)-2-[2-(*tert*-Butylsulfonylmethyl)thiazol-4-yl]-2-[(S)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropionamido]-2-(2-phenyl-thiazole-4-yl)ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[2-(thiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[2-(3-Chlorothiophen-2-yl)thiazol-4-yl]-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[2-(3-methylthiophen-2-yl)thiazol-4-yl]ethyl}phenylsulfamic acid;

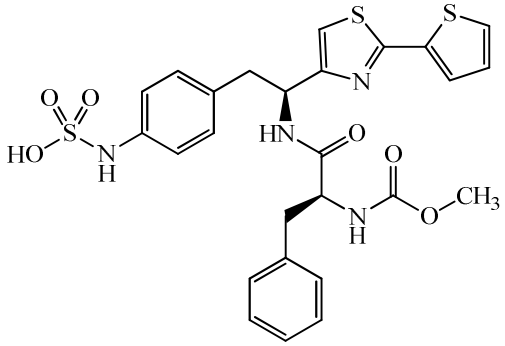
4-{[(*S*)-2-(2-(Furan-2-yl)thiazol-4-yl]-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[2-(2-methyl-thiazole-4-yl)thiazol-4yl]ethyl}phenylsulfamic acid;

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-(2-pyrazine-2-yl)thiazole-4-yl}phenylsulfamic acid; and

4-{(*S*)-2-[(*S*)-2-(Methoxycarbonylamino)-3-phenylpropanamido]-2-[2-(6-methyl-pyridin-3-yl)thiazol-4-yl]ethyl}phenylsulfamic acid.

47. The use according to any one of Claims 26 to 33, wherein the compound has the formula:



; or

a pharmaceutically acceptable salts thereof.

48. The use according to any one of Claims 26 to 33, wherein the compound is in the form of a salt of a cation chosen from ammonium, sodium, lithium, potassium, calcium, magnesium, bismuth, and lysine.