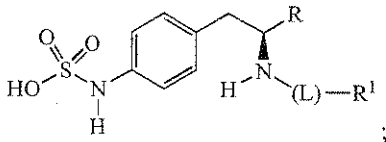
CLAIMS

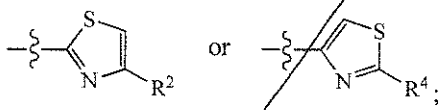
1. A composition for treating neovascularization in the eye and associated tissue, comprising:

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

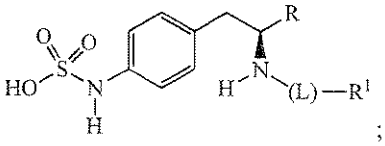
iv) benzyl;

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:

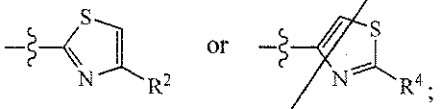
a) one or more HPTP-β inhibitors or pharmaceutically acceptable salts thereof having the formula:



;

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

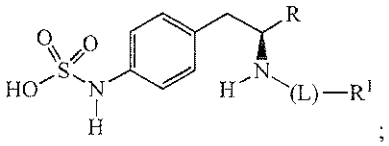
iv) benzyl;

the index x is 1 or 2; and

b) one or more carriers or compatible excipients.

3. A composition for treating retinopathy, comprising:

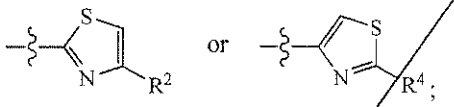
a) one or more HPTP-β inhibitors or pharmaceutically acceptable salts thereof having the formula:



;

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

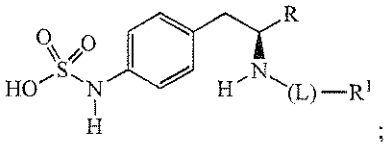
iv) benzyl;

the index x is 1 or 2; and

b) one or more carriers or compatible excipients.

4. A composition for preventing retinopathy, comprising:

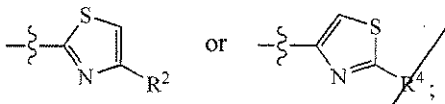
a) one or more HPTP-β inhibitors or pharmaceutically acceptable salts thereof having the formula:



;

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

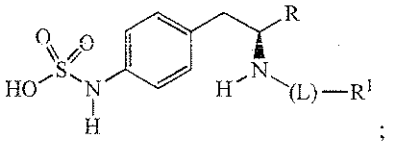
iv) benzyl;

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:

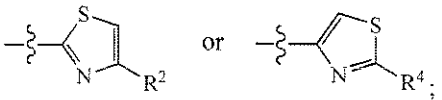
a) one or more HPTP-β inhibitors or pharmaceutically acceptable salts thereof having the formula:



;

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

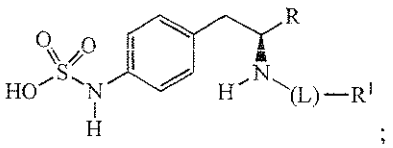
iv) benzyl;

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:

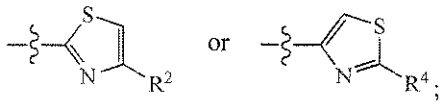
a) one or more HPTP-β inhibitors or pharmaceutically acceptable salts thereof having the formula:



;

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

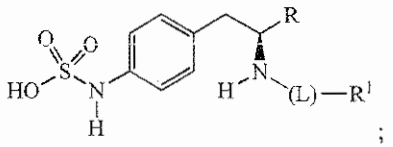
iv) benzyl;

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:

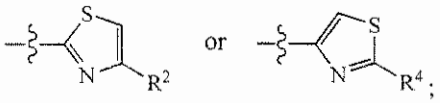
a) one or more HPTP-β inhibitors or pharmaceutically acceptable salts thereof having the formula:



;

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

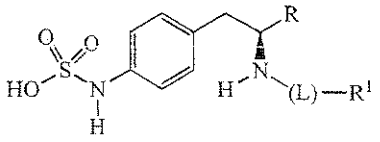
iv) benzyl;

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:

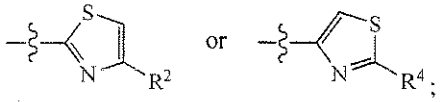
a) one or more HPTP-β inhibitors or pharmaceutically acceptable salts thereof having the formula:



;

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

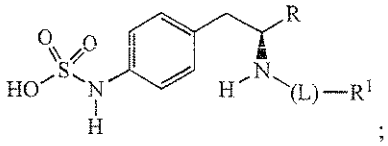
iv) benzyl;

the index x is 1 or 2; and

b) one or more carriers or compatible excipients.

9. A composition for treating diabetic retinopathy, comprising:

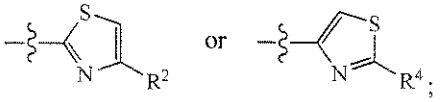
a) one or more HPTP-β inhibitors or pharmaceutically acceptable salts thereof having the formula:



;

wherein:

R is:



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

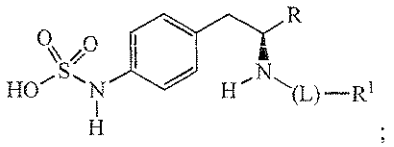
iv) benzyl;

the index x is 1 or 2; and

b) one or more carriers or compatible excipients.

10. A composition for preventing diabetic retinopathy, comprising:

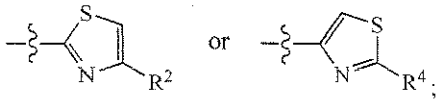
a) one or more HPTP-β inhibitors or pharmaceutically acceptable salts thereof having the formula:



;

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;

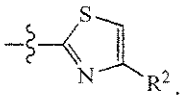
iii) phenyl; or

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:



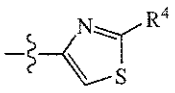
12. The composition according to Claim 11, wherein R^2 is chosen from methyl, ethyl, n-propyl, *iso*-propyl, n-butyl, *iso*-butyl, *sec*-butyl, and *tert*-butyl.

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-phenylpropanamido]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-(methoxymethyl)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-phenylpropanamido]ethyl}phenylsulfamic acid;

4-{(*S*)-2-(4-Ethyl-5-phenylthiazol-2-yl)-2-[(*S*)-2-(methoxycarbonylamino)-3-phenylpropanamido]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-phenylpropionamido]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;

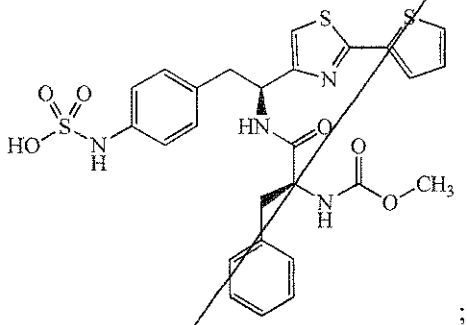
4-{[(*S*)-2-(2-(Furan-2-yl)thiazol-4-yl]-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

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:

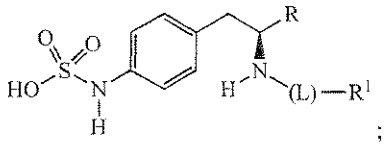


; or

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.

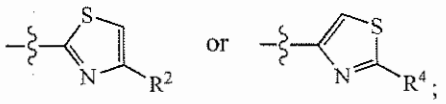
26. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for preventing neovascularization in the eye and associated tissue having the formula:



;

wherein:

R is:

 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;

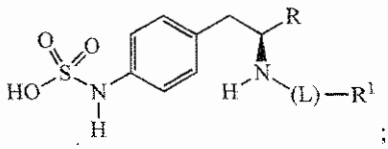
iii) phenyl; or

iv) benzyl;

the index x is 1 or 2;

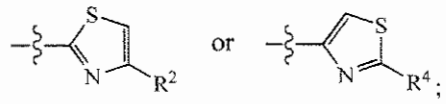
or a pharmaceutically acceptable salt thereof.

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:

 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;

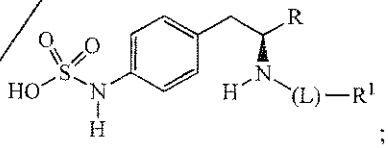
iii) phenyl; or

iv) benzyl;

the index x is 1 or 2;

or a pharmaceutically acceptable salt thereof.

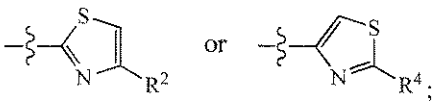
28. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for treating retinopathy in a subject having the formula:



;

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;

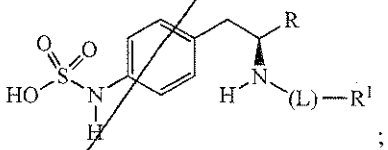
iii) phenyl; or

iv) benzyl;

the index x is 1 or 2;

or a pharmaceutically acceptable salt thereof.

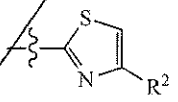
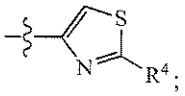
29. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for treating diabetic macular edema in a subject having the formula:



;

wherein:

R is:


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;

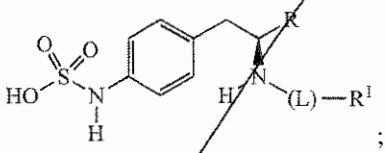
iii) phenyl; or

iv) benzyl;

the index x is 1 or 2;

or a pharmaceutically acceptable salt thereof.

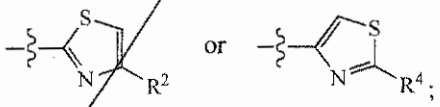
30. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for preventing diabetic macular edema in a subject having the formula:



;

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;

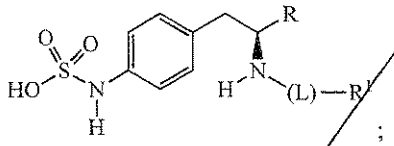
iii) phenyl; or

iv) benzyl;

the index x is 1 or 2;

or a pharmaceutically acceptable salt thereof.

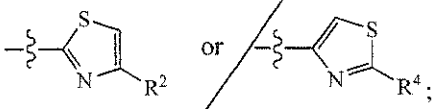
31. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for preventing diabetic macular edema in a subject diagnosed with diabetes having the formula:



;

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;

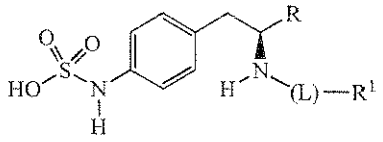
iii) phenyl; or

iv) benzyl;

the index x is 1 or 2;

or a pharmaceutically acceptable salt thereof.

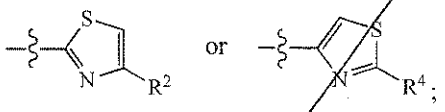
32. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for treating age-related macular degeneration in a subject having the formula:



;

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;

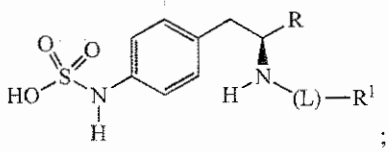
iii) phenyl; or

iv) benzyl;

the index x is 1 or 2;

or a pharmaceutically acceptable salt thereof.

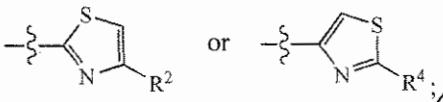
33. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for treating diabetic retinopathy in a subject having the formula:



;

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;

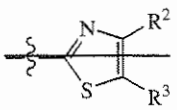
iii) phenyl; or

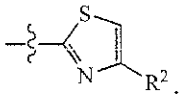
iv) benzyl;

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:





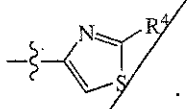
35. The use according to Claim 34, wherein R^2 is chosen from methyl, ethyl, n-propyl, *iso*-propyl, n-butyl, *iso*-butyl, *sec*-butyl, and *tert*-butyl.

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;

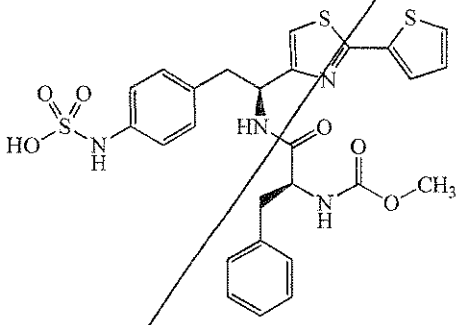
4-{[(*S*)-2-(2-(Furan-2-yl)thiazol-4-yl]-2-[(*S*)-2-(methoxycarbonylamino)-3-phenyl-propanamido]ethyl}phenylsulfamic acid;

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

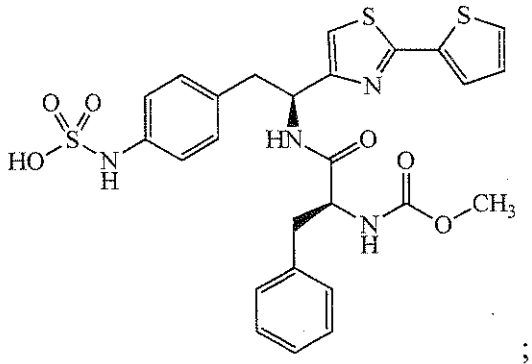
a pharmaceutically acceptable salts thereof.

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.

WHAT IS CLAIMED IS:

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

   a) a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor having the formula:



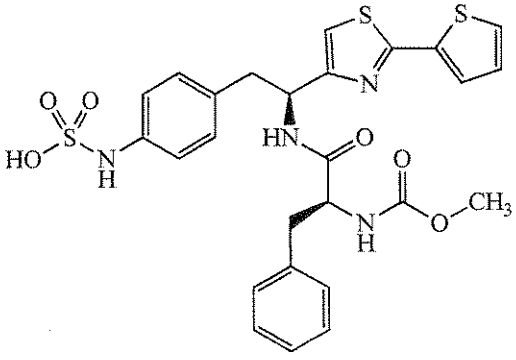
; or

   a pharmaceutically acceptable salt thereof; and

   b) one or more carriers or compatible excipients.

2. A composition for preventing neovascularization in the eye and associated tissue, comprising:

   a) a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor having the formula:



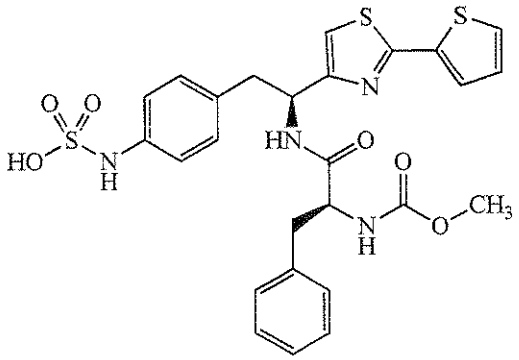
; or

   a pharmaceutically acceptable salt thereof; and

   b) one or more carriers or compatible excipients.

3. A composition for treating retinopathy, comprising:

   a) a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor having the formula:



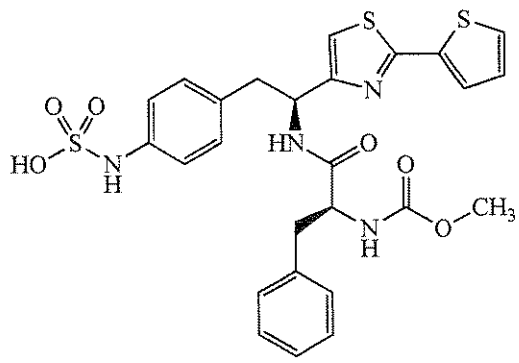
; or

a pharmaceutically acceptable salt thereof; and

b) one or more carriers or compatible excipients.

4. A composition for preventing retinopathy, comprising:

a) a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor having the formula:



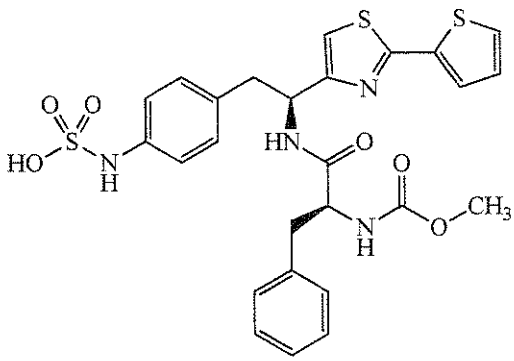
; or

a pharmaceutically acceptable salt thereof; and

b) one or more carriers or compatible excipients.

5. A composition for treating diabetic macular edema, comprising:

a) a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor having the formula:



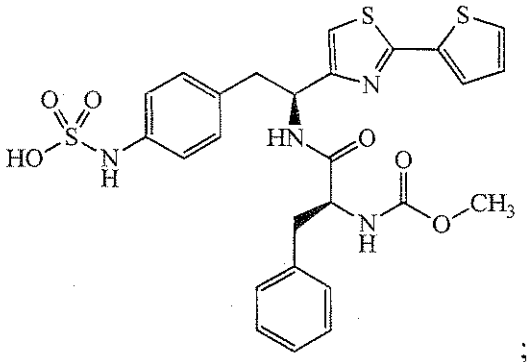
; or

a pharmaceutically acceptable salt thereof; and

b) one or more carriers or compatible excipients.

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

a) a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor having the formula:



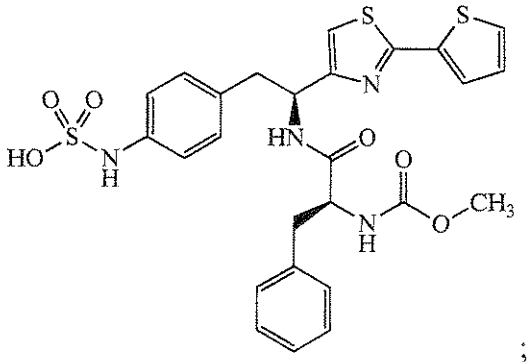
; or

a pharmaceutically acceptable salt thereof; and

b) one or more carriers or compatible excipients.

7. A composition for preventing diabetic macular edema in a subject diagnosed with diabetes, comprising:

a) a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor having the formula:



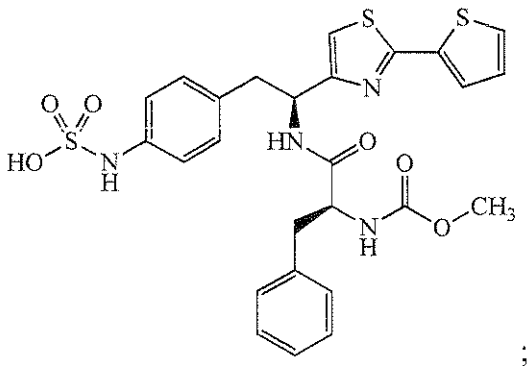
; or

a pharmaceutically acceptable salt thereof; and

b) one or more carriers or compatible excipients.

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

a) a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor having the formula:



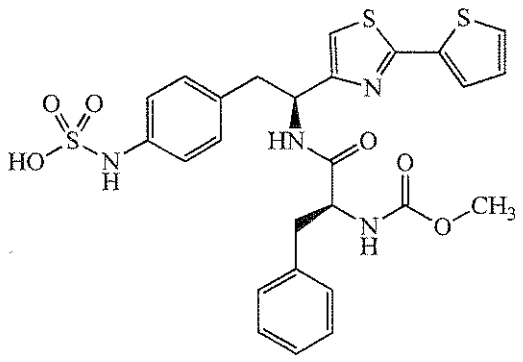
; or

a pharmaceutically acceptable salt thereof; and

b) one or more carriers or compatible excipients.

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

a) a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor having the formula:



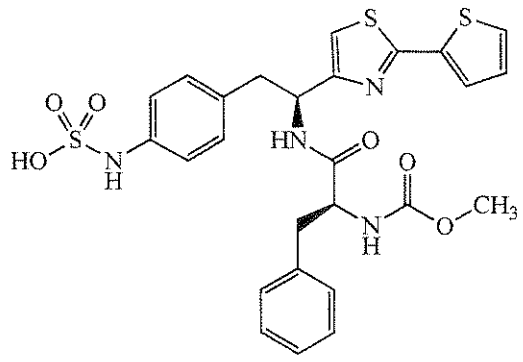
; or

a pharmaceutically acceptable salt thereof; and

b) one or more carriers or compatible excipients.

10. A composition for treating diabetic retinopathy, comprising:

a) a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor having the formula:



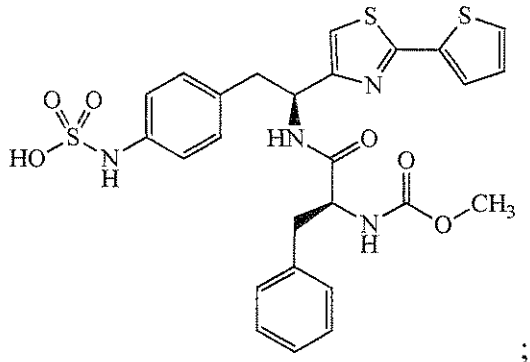
; or

a pharmaceutically acceptable salt thereof; and

b) one or more carriers or compatible excipients.

11. A composition for preventing diabetic retinopathy, comprising:

a) a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor having the formula:



; or

a pharmaceutically acceptable salt thereof; and

b) one or more carriers or compatible excipients.

12. The composition according to any one of Claims 1 to 11, wherein the compound is in the form of a salt of a cation chosen from ammonium, sodium, lithium, potassium, calcium, magnesium, bismuth, and lysine.

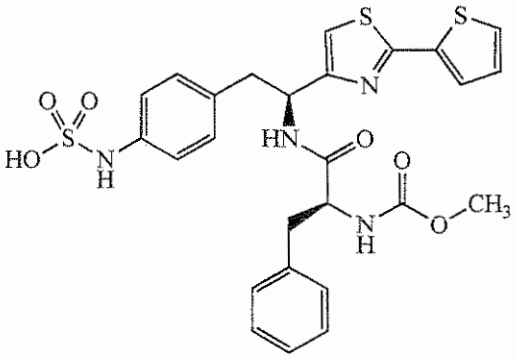
13. The composition according to any one of Claims 1 to 12, wherein the composition is for subcutaneous administration and comprises:

a) from about 0.05 mg/mL to about 500 mg/mL of the HPTP-β inhibitor; and

b) a pharmaceutically acceptable carrier.

14. The composition according to Claim 1 or Claim 2, wherein the neovascularization related diseases or conditions are chosen from diabetic macular edema, wet form of age-related macular degeneration, choroidal neovascularization, diabetic retinopathy, central retinal vein occlusion, branch retinal vein occlusion, ocular trauma, surgery induced edema, surgery induced neovascularization, cystoid macular edema, ocular ischemia, and uveitis.

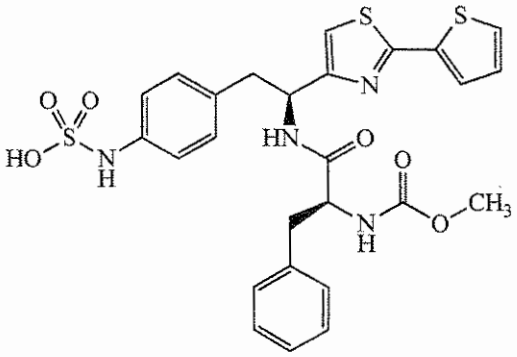
15. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for preventing neovascularization in the eye and associated tissue the HPTP-β inhibitor having the formula:



; or

a pharmaceutically acceptable salt thereof.

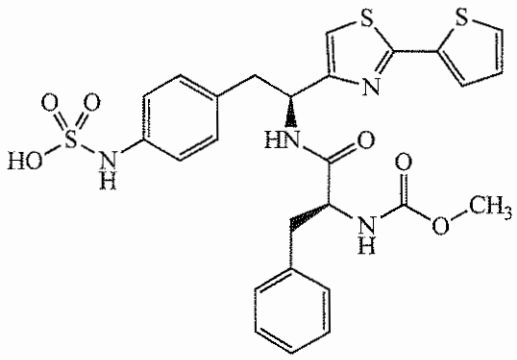
16. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for treating neovascularization in the eye and associated tissue the HPTP-β inhibitor having the formula:



; or

a pharmaceutically acceptable salt thereof.

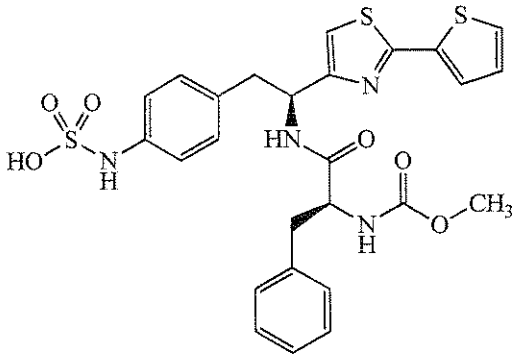
17. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for treating retinopathy in a subject the HPTP-β inhibitor having the formula:



; or

a pharmaceutically acceptable salt thereof.

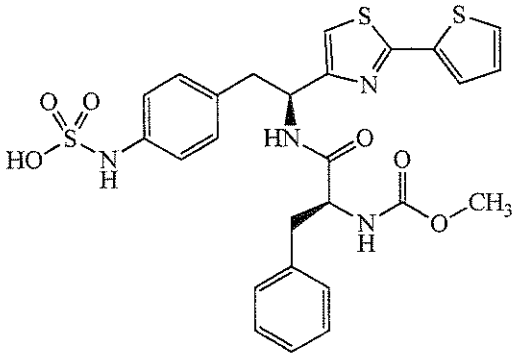
18. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for preventing retinopathy in a subject the HPTP-β inhibitor having the formula:



; or

a pharmaceutically acceptable salt thereof.

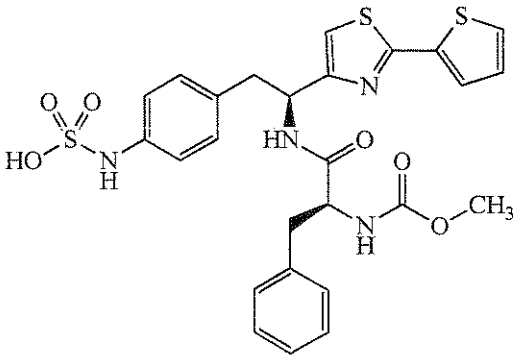
19. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for treating diabetic macular edema in a subject the HPTP-β inhibitor having the formula:



; or

a pharmaceutically acceptable salt thereof.

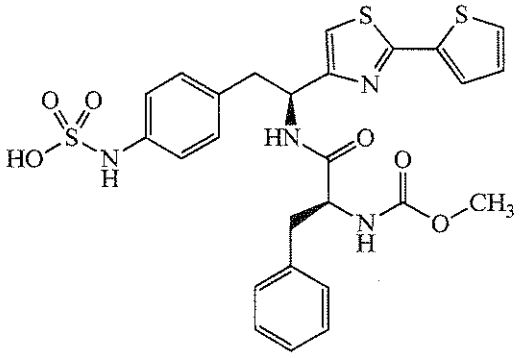
20. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for preventing diabetic macular edema in a subject the HPTP-β inhibitor having the formula:



; or

a pharmaceutically acceptable salt thereof.

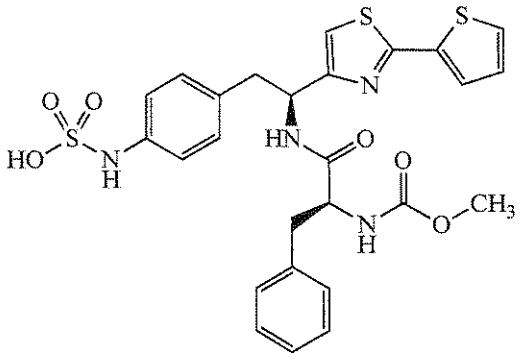
21. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for preventing diabetic macular edema in a subject diagnosed with diabetes the HPTP-β inhibitor having the formula:



; or

a pharmaceutically acceptable salt thereof.

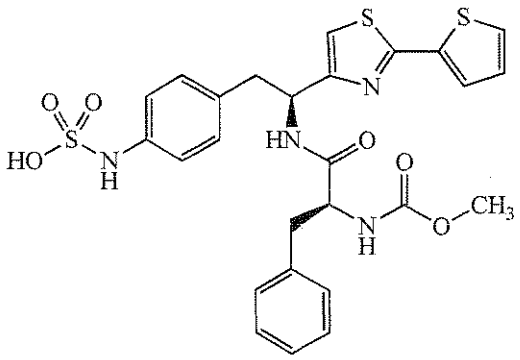
22. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for treating age-related macular degeneration in a subject the HPTP-β inhibitor having the formula:



; or

a pharmaceutically acceptable salt thereof.

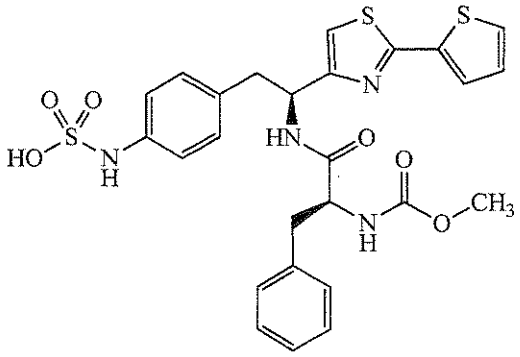
23. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for preventing age-related macular degeneration in a subject the HPTP-β inhibitor having the formula:



; or

a pharmaceutically acceptable salt thereof.

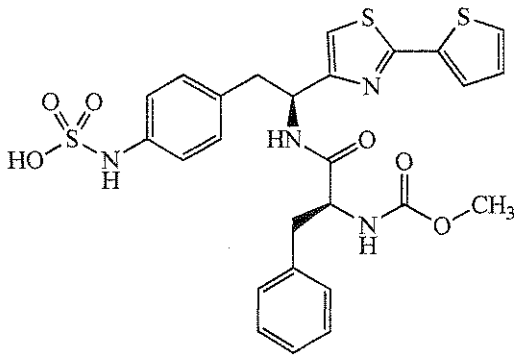
24. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for treating diabetic retinopathy in a subject the HPTP-β inhibitor having the formula:



; or

a pharmaceutically acceptable salt thereof.

25. Use of a Human Protein Tyrosine Phosphatase (HPTP-β) inhibitor for making a medicament for preventing diabetic retinopathy in a subject the HPTP-β inhibitor having the formula:



; or

a pharmaceutically acceptable salt thereof.

26. The use according to any one of Claims 15 to 25, wherein the compound is in the form of a salt of a cation chosen from ammonium, sodium, lithium, potassium, calcium, magnesium, bismuth, and lysine.

27. The use according to Claim 15 or Claim 16, wherein the neovascularization related diseases or conditions are chosen from diabetic macular edema, wet form of age-related macular degeneration, choroidal neovascularization, diabetic retinopathy, central retinal vein occlusion, branch retinal vein occlusion, ocular trauma, surgery induced edema, surgery induced neovascularization, cystoid macular edema, ocular ischemia, and uveitis.