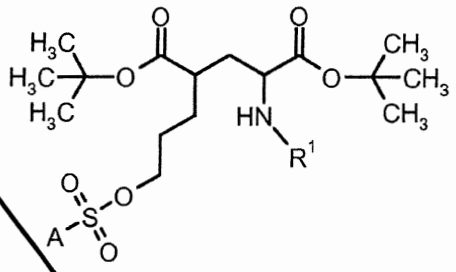
Claims

1. A compound of the formula **I**

Formula I



wherein

R^1 is triphenylmethyl (Trityl),

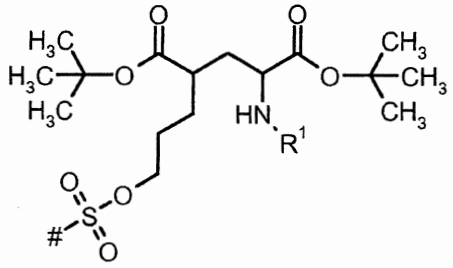
A is selected from the group:

a) Monocyclic aryl,
b) Bicyclic aryl,
c) Biaryl,
d) Monocyclic heteroaryl, and
e) Bicyclic heteroaryl

optionally, **A** is bearing one or more substituents selected from the group comprising:

a) Halogen,
b) Nitro,
c) Alkyl,
d) Trifluoromethyl, and
e) **Z**,

wherein **Z** is



R^1 is triphenylmethyl (Trityl),

# indicates the position of the bond to **A**, and

single isomers, tautomers, diastereomers, enantiomers, stereoisomers, stereoisomeric, mixtures thereof, and suitable salts thereof.

2. The compound according to claim 1 wherein

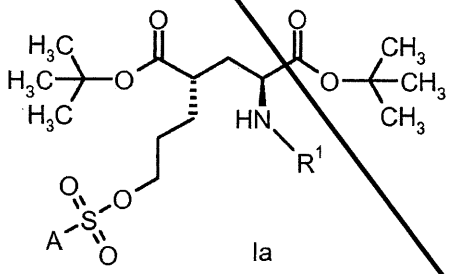
A is selected from the group:

a) phenyl,
b) biphenyl,
c) naphthyl, and
d) quinolinyl,

optionally, **A** is bearing one or more substituents selected from the group comprising:

a) Halogen,
b) Nitro,
c) C_1-C_3 alkyl,
d) Trifluoromethyl, and
e) **Z**.

3. The compound according to claims 1 or 2 with (2*S*,4*S*)-configuration (compound of formula **Ia**)

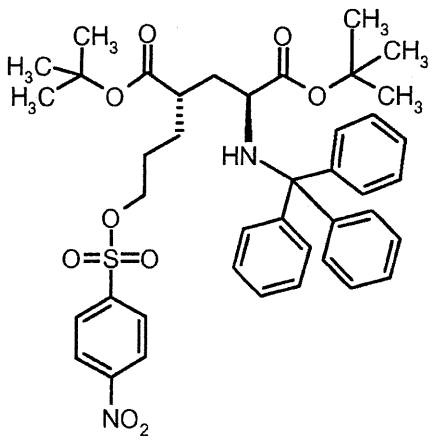


Ia

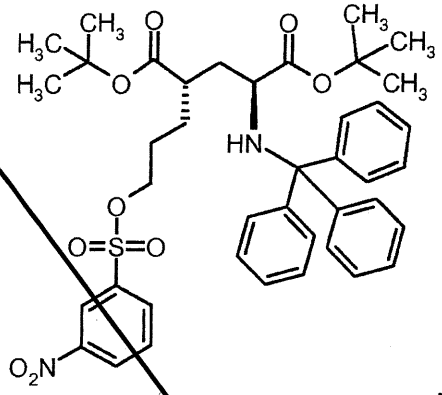
R^1 and A is as defined in claims 1 or 2.

4. Compound according to claims 1 to 3 selected from the list below

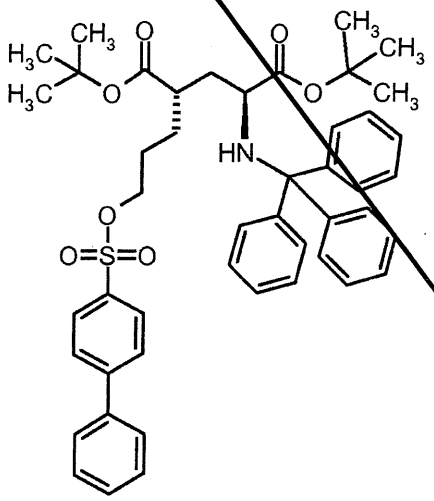
Di-tert-butyl (4S)-4-(3-{[(4-nitrophenyl)sulfonyl]oxy}propyl)-N-trityl-L-glutamate



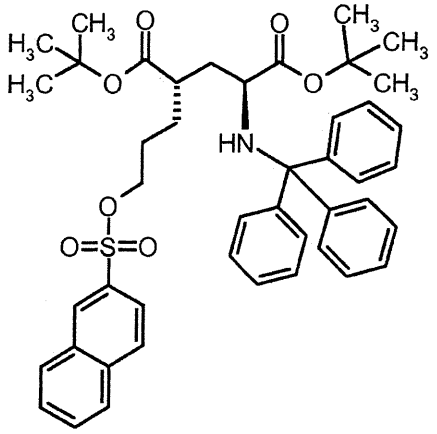
Di-tert-butyl (4S)-4-(3-{[(3-nitrophenyl)sulfonyl]oxy}propyl)-N-trityl-L-glutamate



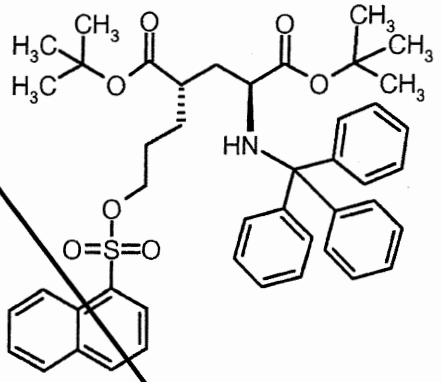
Di-tert-butyl (4S)-4-{3-[(biphenyl-4-ylsulfonyl)oxy]propyl}-N-trityl-L-glutamate



Di-tert-butyl (4S)-4-{3-[(2-naphthylsulfonyl)oxy]propyl}-N-trityl-L-glutamate

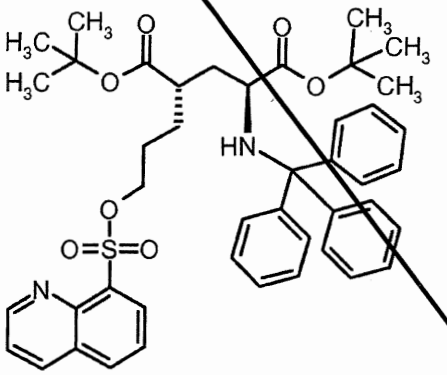


Di-tert-butyl (4S)-4-{3-[(1-naphthylsulfonyl)oxy]propyl}-N-trityl-L-glutamate



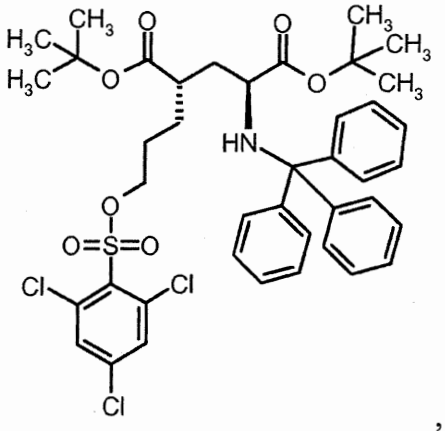
,

Di-tert-butyl (4S)-4-{3-[(quinolin-8-ylsulfonyl)oxy]propyl}-N-trityl-L-glutamate



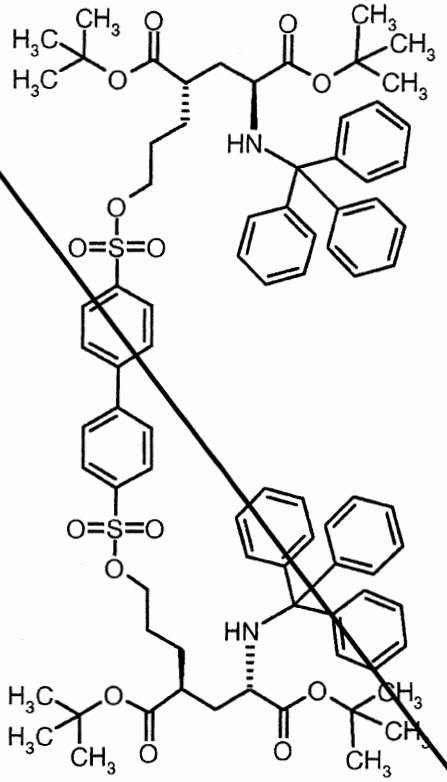
,

Di-tert-butyl (4S)-4-(3-{[(2,4,6-trichlorophenyl)sulfonyl]oxy}propyl)-N-trityl-L-glutamate

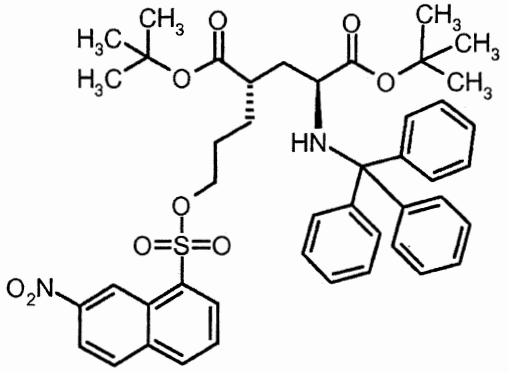


,

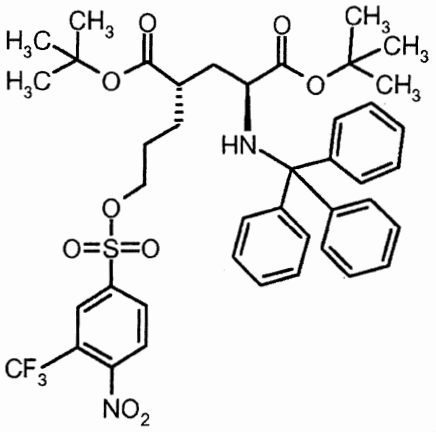
Tetra-tert-butyl (2S,4S,2'S,4'S)-2,2'-[biphenyl-4,4'-diylbis(sulfonyloxypropane-3,1-diyl)]bis[4-(tritylamino)pentanedioate]



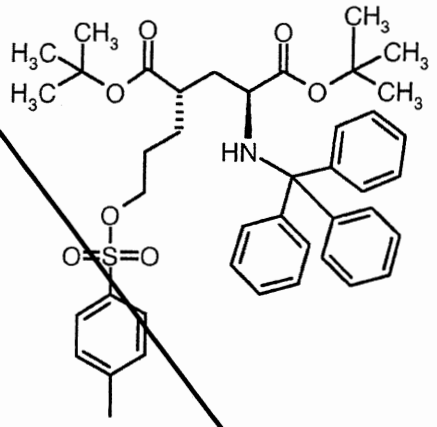
Di-tert-butyl (4S)-4-(3-{[(7-nitro-1-naphthyl)sulfonyl]oxy}propyl)-N-trityl-L-glutamate



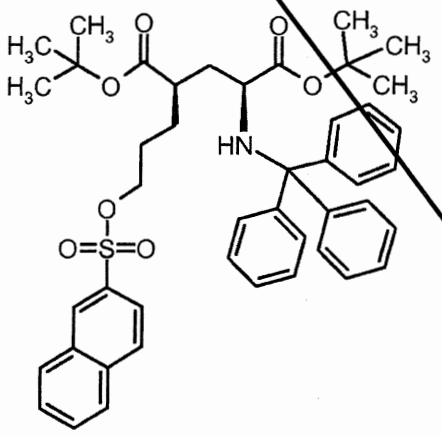
Di-tert-butyl (4S)-4-[3-({[4-nitro-3-(trifluoromethyl)phenyl]sulfonyl}oxy)propyl]-N-trityl-L-glutamate



di-tert-butyl (4*S*)-4-(3-{[(4-methylphenyl)sulfonyl]oxy}propyl)-N-trityl-L-glutamate.



di-tert-butyl (4*R*)-4-{3-[(2-naphthylsulfonyl)oxy]propyl}-N-trityl-L-glutamate

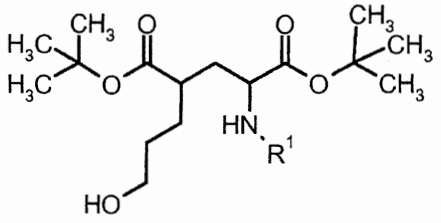


5. A compound of Formula **I** or **Ia** according to claims 1 to 4 in the solid form.

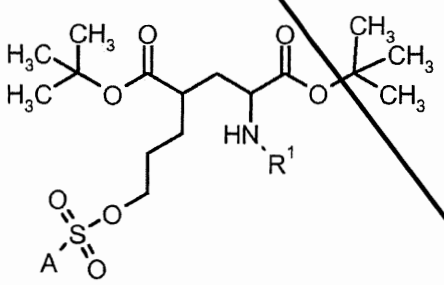
6. A method for obtaining compounds of formula **I** comprising the step:

- Sulfonylation of compound of Formula **II** with a sulfonylhalide (preferably, sulfonylchloride) or sulfonyl anhydride having both a suitable substituent **A**,

Formula II



Formula I



wherein $\mathbf{R^1}$ is triphenylmethyl (Trityl),

A is selected from the group:

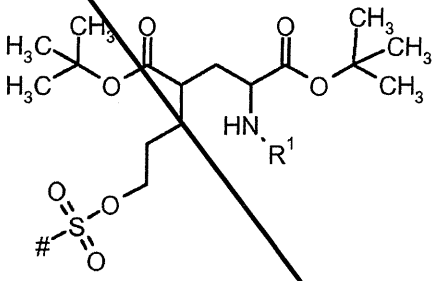
a) Monocyclic aryl,

b) Bicyclic aryl,
c) Biaryl,
d) Monocyclic heteroaryl, and
e) Bicyclic heteroaryl

optionally, **A** is bearing one or more substituents selected from the group comprising:

a) Halogen,
b) Nitro,
c) Alkyl,
d) Trifluoromethyl, and
e) **Z**,

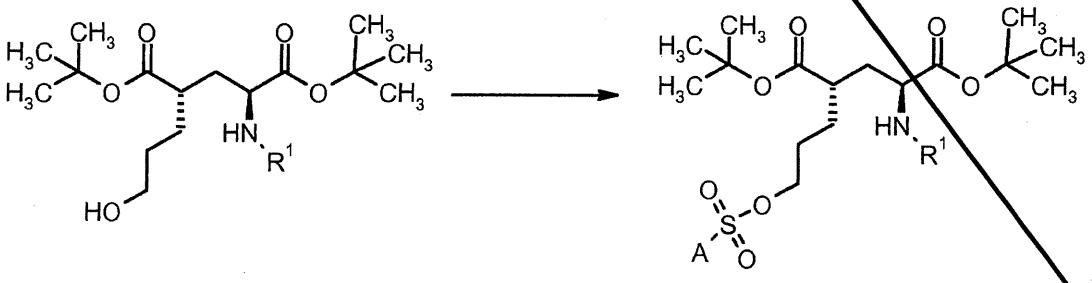
wherein **Z** is



R[1] is triphenylmethyl (Trityl), and
# indicates the position of the bond to **A**.

7 .The method according to claim 6 for obtaining compound with (2*S*,4*S*)-configuration (compound of formula **Ia**)

Formula IIa Formula Ia



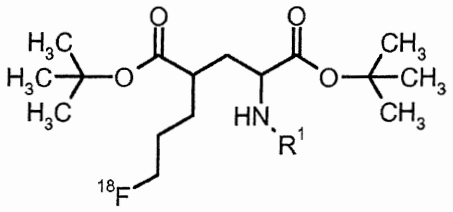
R^1 and A is as defined in claims 1 or 2.

8. A method for obtaining compounds of formula **III-F18** comprising the steps

- Reacting compound of Formula **I** according to claim 1 with a ^{18}F-Fluorination agent to obtain compound of formula **III-F18**, and
- Deprotecting the obtained compound of formula **III-F18** for obtaining compound of formula **IV-F18**,

wherein

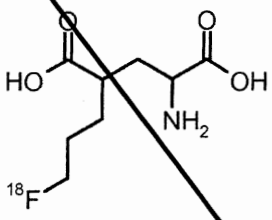
compound of formula **III-F18** is



Formula III-F18

wherein $\mathbf{R^1}$ is triphenylmethyl (Trityl), and

compound of formula **IV-F18** is



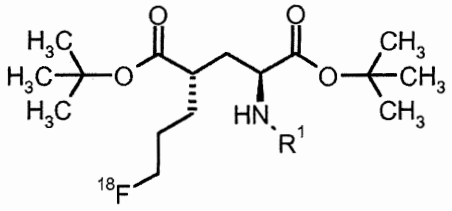
Formula IV-F18

9. The method according to claim 8 wherein the obtained compound is a compound with (2*S*,4*S*)-configuration (formula **IIIa-F18)** and comprising the steps

- Reacting compound of Formula **Ia** according to claim 3 with a ^{18}F-Fluorination agent to obtain compound of formula **IIIa-F18**, and
- Deprotecting the obtained compound of formula **IIIa-F18** for obtaining compound of formula **IVa-F18**,

wherein

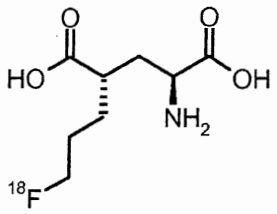
compound of formula **IIIa-F18** is



Formula IIIa-F18

$\mathbf{R^1}$ is triphenylmethyl (Trityl) and

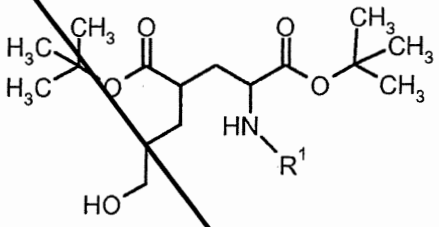
compound of formula **IVa-F18** is



Formula IVa-F18

10. A compound of formula **II**

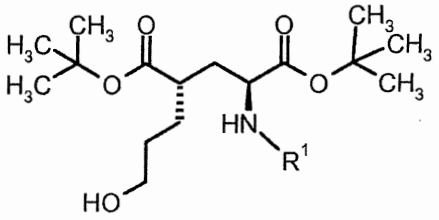
Formula II



wherein $\mathbf{R^1}$ is triphenylmethyl (Trityl) and
single isomers, tautomers, diastereomers, enantiomers, stereoisomers, mixtures thereof, and suitable salts thereof.

11. The compound according to claim 10 with (2*S*,4*S*)-configuration (compound of formula **IIa**)

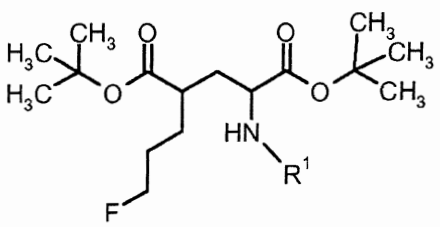
Formula IIa



wherein $\mathbf{R^1}$ is triphenylmethyl (Trityl) corresponding to di-tert-butyl (4S)-4-(3-hydroxypropyl)-N-trityl-L-glutamate.

12. A compound of formula **III-F**

Formula III-F

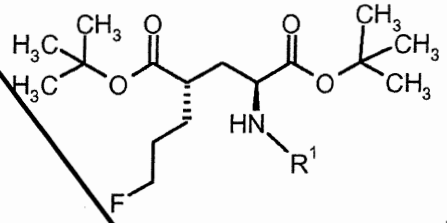


wherein $\mathbf{R^1}$ is triphenylmethyl (Trityl),

F means fluorine atom, preferably, **F** is ^{18}F or ^{19}F, and
single isomers, tautomers, diastereomers, enantiomers, stereoisomers, mixtures thereof and suitable salts thereof.

13. The compound according to claim 12 with (2*S*,4*S*)-configuration (compound of formula **IIIa-F**)

Formula IIIa-F



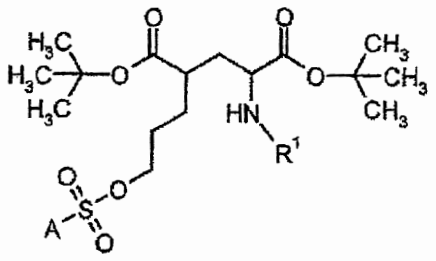
14. A composition comprising compound of formula **I**, **Ia**, **II**, **IIa**, **III-F**, **IIIa-F**, or **IIIa-F18.**

15. A kit comprising one vial or more than one vial comprising a predetermined quantity of compounds of Formula **I**.

Claims

1. A compound of the formula I

Formula I



wherein

R^1 is triphenylmethyl (Trityl),

A is selected from the group:

a) Monocyclic aryl,

b) Bicyclic aryl,

c) Biaryl,

d) Monocyclic heteroaryl, and

e) Bicyclic heteroaryl

optionally, **A** is bearing one or more substituents selected from the group comprising:

a) Halogen,

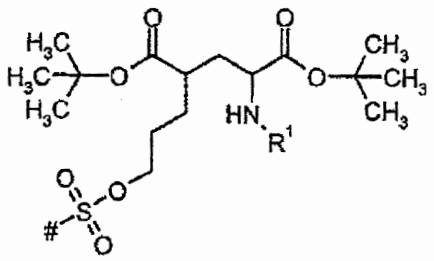
b) Nitro,

c) Alkyl,

d) Trifluoromethyl, and

e) **Z**,

wherein **Z** is



R^1 is triphenylmethyl (Trityl),

# indicates the position of the bond to **A**, and

single isomers, tautomers, diastereomers, enantiomers, stereoisomers, stereoisomeric, mixtures thereof, and suitable salts thereof.

2. The compound according to claim 1 wherein

A is selected from the group:

a) phenyl,

b) biphenyl,

c) naphthyl, and

d) quinolinyl,

optionally, **A** is bearing one or more substituents selected from the group comprising:

a) Halogen,

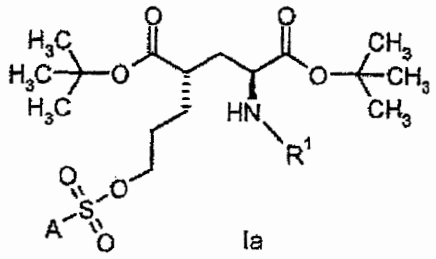
b) Nitro,

c) C_1-C_3 alkyl,

d) Trifluoromethyl, and

e) **Z**.

3. The compound according to claims 1 or 2 with (2*S*,4*S*)-configuration (compound of formula **Ia**)

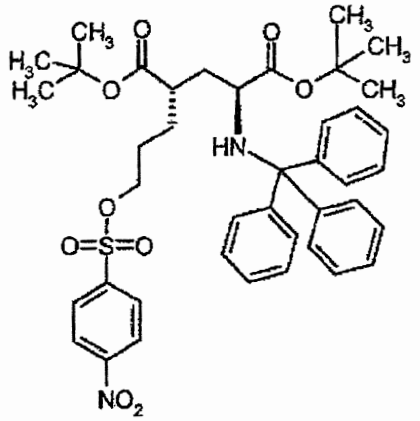


Ia

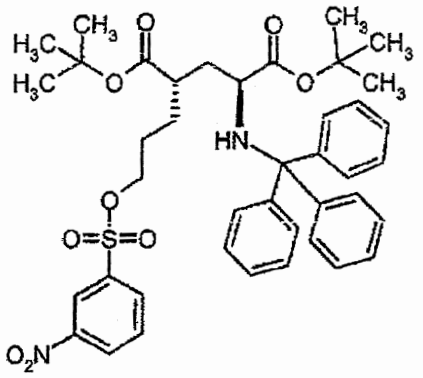
R^1 and A is as defined in claims 1 or 2.

4. Compound according to claims 1 to 3 selected from the list below

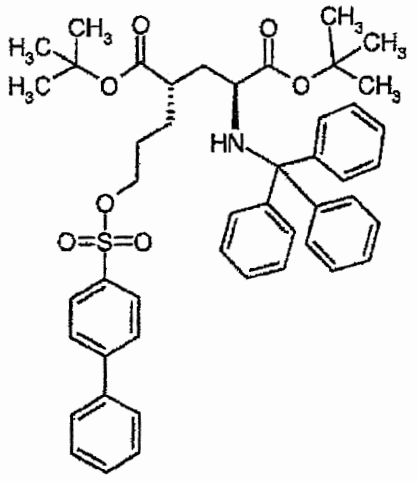
Di-tert-butyl (4S)-4-(3-{[(4-nitrophenyl)sulfonyl]oxy}propyl)-N-trityl-L-glutamate



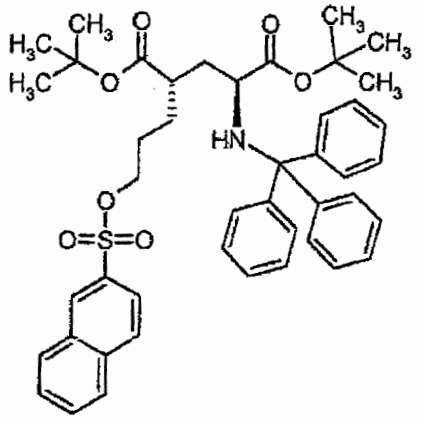
Di-tert-butyl (4S)-4-(3-{[(3-nitrophenyl)sulfonyl]oxy}propyl)-N-trityl-L-glutamate



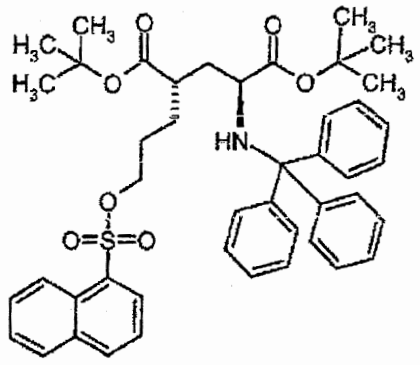
Di-tert-butyl (4S)-4-{3-[(biphenyl-4-ylsulfonyl)oxy]propyl}-N-trityl-L-glutamate



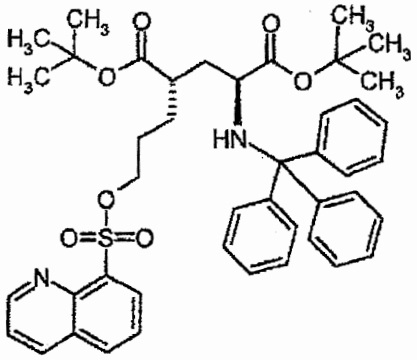
Di-tert-butyl (4S)-4-{3-[(2-naphthylsulfonyl)oxy]propyl}-N-trityl-L-glutamate



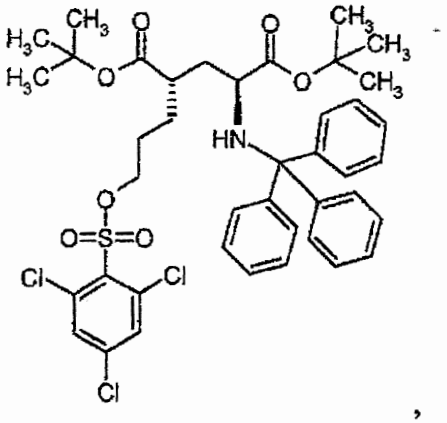
Di-tert-butyl (4S)-4-{3-[(1-naphthylsulfonyl)oxy]propyl}-N-trityl-L-glutamate



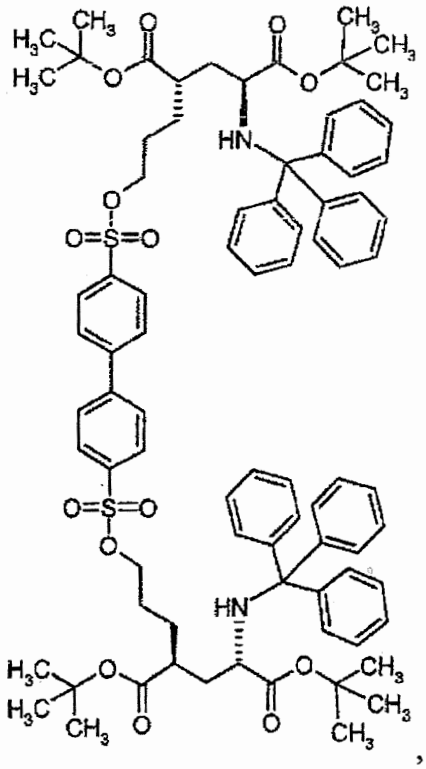
Di-tert-butyl (4S)-4-{3-[(quinolin-8-ylsulfonyl)oxy]propyl}-N-trityl-L-glutamate



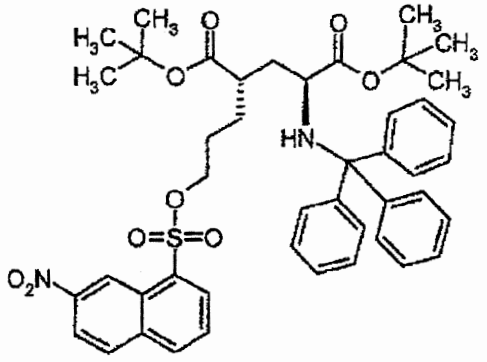
Di-tert-butyl (4S)-4-(3-{[(2,4,6-trichlorophenyl)sulfonyl]oxy}propyl)-N-trityl-L-glutamate



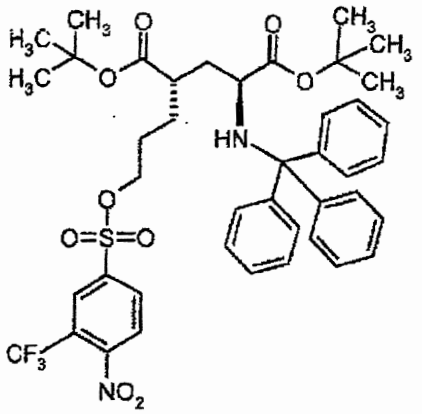
Tetra-tert-butyl (2S,4S,2'S,4'S)-2,2'-[biphenyl-4,4'-diylbis(sulfonyloxypropane-3,1-diyl)]bis[4-(tritylamino)pentanedioate]



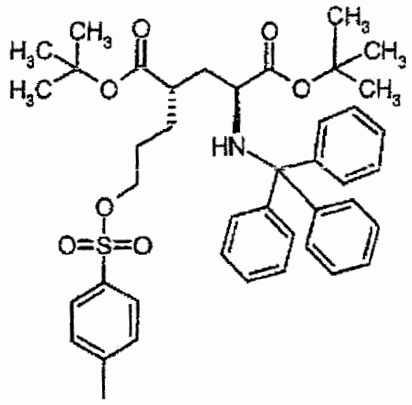
Di-tert-butyl (4S)-4-(3-{[(7-nitro-1-naphthyl)sulfonyl]oxy}propyl)-N-trityl-L-glutamate



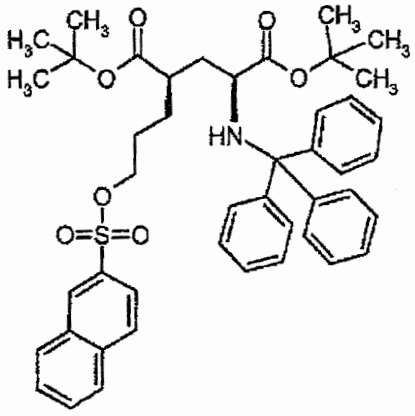
Di-tert-butyl (4S)-4-[3-({[4-nitro-3-(trifluoromethyl)phenyl]sulfonyl}oxy)propyl]-N-trityl-L-glutamate



di-tert-butyl (4*S*)-4-(3-{[(4-methylphenyl)sulfonyl]oxy}propyl)-N-trityl-L-glutamate.



di-tert-butyl (4*R*)-4-{3-[(2-naphthylsulfonyl)oxy]propyl}-N-trityl-L-glutamate

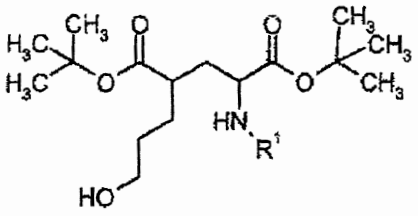


5. A compound of Formula I or **Ia** according to claims **1** to **4** in the solid form.

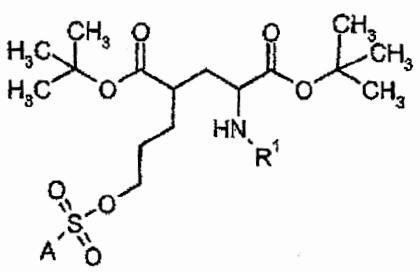
6. A method for obtaining compounds of formula I comprising the step:

- Sulfonylation of compound of Formula **II** with a sulfonylhalide (preferably, sulfonylchloride) or sulfonyl anhydride having both a suitable substituent **A**,

Formula II



Formula I



wherein R^1 is triphenylmethyl (Trityl),

A is selected from the group:

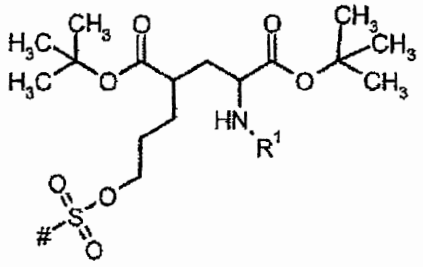
a) Monocyclic aryl,

b) Bicyclic aryl,
c) Biaryl,
d) Monocyclic heteroaryl, and
e) Bicyclic heteroaryl

optionally, **A** is bearing one or more substituents selected from the group comprising:

a) Halogen,
b) Nitro,
c) Alkyl,
d) Trifluoromethyl, and
e) **Z**,

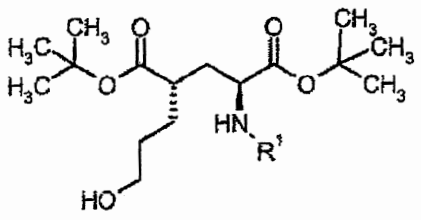
wherein **Z** is



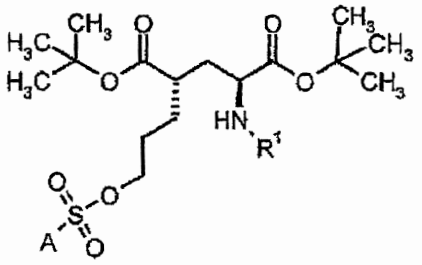
R^1 is triphenylmethyl (Trityl), and
# indicates the position of the bond to **A**.

7 .The method according to claim 6 for obtaining compound with (2*S*,4*S*)-configuration (compound of formula **Ia**)

Formula IIa



Formula Ia



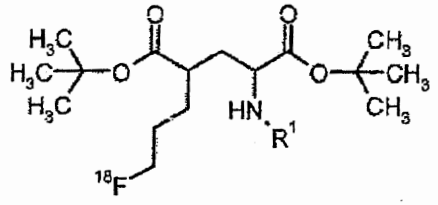
R^1 and A is as defined in claims 1 or 2.

8. A method for obtaining compounds of formula **IV-F18** comprising the steps

- Reacting compound of Formula I according to claim 1 with a ^{18}F-Fluorination agent to obtain compound of formula **III-F18**, and
- Deprotecting the obtained compound of formula **III-F18** for obtaining compound of formula **IV-F18**,

wherein

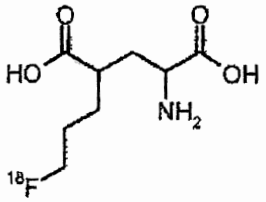
compound of formula **III-F18** is



Formula III-F18

wherein R^1 is triphenylmethyl (Trityl), and

compound of formula **IV-F18** is



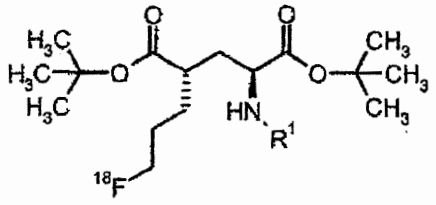
Formula IV-F18

9. The method according to claim 8 wherein the obtained compound is a compound with (2*S*,4*S*)-configuration (formula **IVa-F18**) and comprising the steps

- Reacting compound of Formula **Ia** according to claim 3 with a ^{18}F-Fluorination agent to obtain compound of formula **IIIa-F18**, and
- Deprotecting the obtained compound of formula **IIIa-F18** for obtaining compound of formula **IVa-F18**,

wherein

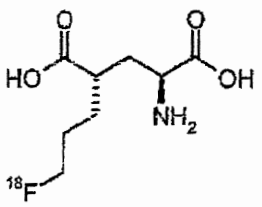
compound of formula **IIIa-F18** is



Formula IIIa-F18

R^1 is triphenylmethyl (Trityl) and

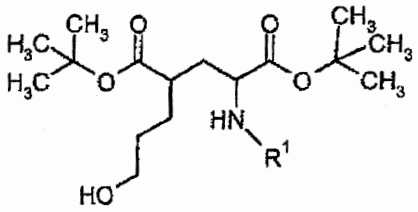
compound of formula **IVa-F18** is



Formula IVa-F18

10. A compound of formula **II**

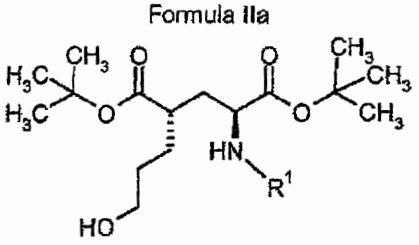
Formula II



wherein R^1 is triphenylmethyl (Trityl) and
single isomers, tautomers, diastereomers, enantiomers, stereoisomers, mixtures thereof, and suitable salts thereof.

11. The compound according to claim 10 with (2*S*,4*S*)-configuration (compound of formula **IIa**)

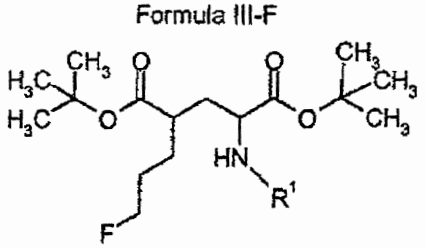
Formula IIa



wherein R^1 is triphenylmethyl (Trityl) corresponding to di-tert-butyl (4S)-4-(3-hydroxypropyl)-N-trityl-L-glutamate.

12. A compound of formula **III-F**

Formula III-F

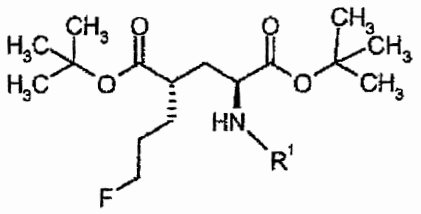


wherein R^1 is triphenylmethyl (Trityl),

F means fluorine atom, preferably, **F** is ^{18}F or ^{19}F, and
single isomers, tautomers, diastereomers, enantiomers, stereoisomers, mixtures thereof and suitable salts thereof.

13. The compound according to claim 12 with (2*S*,4*S*)-configuration (compound of formula **IIIa-F**)

Formula IIIa-F



14. A composition comprising compound of formula **I**, **Ia**, **II**, **IIa**, **III-F**, **IIIa-F**, or **IIIa-F18**.

15. A kit comprising one vial or more than one vial comprising a predetermined quantity of compounds of Formula **I**.