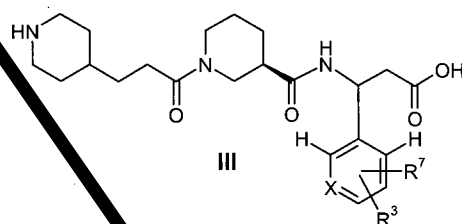


CLAIMS

1. Compounds of Formula III:

5



wherein

R^3 is selected from the group consisting of H, F, CF_3 , COR^9 , $COOR^{10}$, SOR^{10} , SO_2R^{10} ,
 10 CN and NO_2 ;

R^7 is selected from the group consisting of Y, $-O(CH_2)_n-Y$, $-(OCH_2CH_2)_m-Y$, Z,
 $-OCH_2-Z$, $-CH_2-CH_2-Z$, $-CH=CH-Z$ and $C\equiv C-Z$;

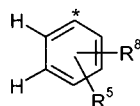
X is selected from CH or N;

Y is selected from ^{18}F or F;

15 R^9 is hydrogen or (C_1-C_6) alkyl;

R^{10} is (C_1-C_6) alkyl;

Z is a group



wherein * indicates the atom of connection of Z;

20 R^5 is selected from the group consisting of H, CF_3 , COR^9 , $COOR^{10}$, SOR^{10} , SO_2R^{10} ,
 CN and NO_2 ;

R^8 is selected from the group consisting of Y, $-O(CH_2)_n-Y$ and $-(OCH_2CH_2)_m-Y$;

n is 1-3;

and m is 2-3;

25 with the proviso that if R^7 has the meaning of Y, R^3 has the meaning of CF_3 , COR^9 , $COOR^{10}$,
 SOR^{10} , SO_2R^{10} , CN or NO_2 and

with the proviso that if R^8 has the meaning of Y, R^5 has the meaning of CF_3 , COR^9 , $COOR^{10}$,
 SOR^{10} , SO_2R^{10} , CN or NO_2 ;

including E and Z-isomers and diastereomers, mixtures thereof, and any pharmaceutically acceptable salt or complex thereof.

5 2. Compounds according to claim 1,

wherein

R^3 is H, CF_3 , CN or NO_2 ;

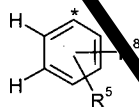
R^7 is Y, $-O(CH_2)_n-Y$, $-(OCH_2CH_2)_m-Y$, Z, $-OCH_2-Z$, $-CH_2-CH_2-Z$, $-CH=CH-Z$

10 or $-C\equiv C-Z$;

X is CH or N;

Y is ^{18}F or F;

Z is a group



15 wherein * indicates the atom of connection of Z;

R^5 is H, CF_3 , CN or NO_2 ;

R^8 is Y, $-O(CH_2)_n-Y$ or $-(OCH_2CH_2)_m-Y$;

n is 1-3;

and m is 2-3,

20 including E and Z-isomers and diastereomers, mixtures thereof, and any pharmaceutically acceptable salt or complex thereof.

3. Compounds according to claim 1 or 2, wherein

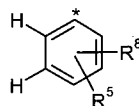
R^3 is H;

25 R^7 is $-O(CH_2)_n-Y$;

X is N;

Y is ^{18}F or F;

Z is a group



30 wherein * indicates the atom of connection of Z;

R^5 is H, CF_3 , CN or NO_2 ;

R^8 is Y, $-O(CH_2)_n-Y$ or $-(OCH_2CH_2)_m-Y$;

n is 1-3;

and m is 2-3,

including E and Z-isomers and diastereomers, mixtures thereof, and any pharmaceutically acceptable salt or complex thereof.

5

4. Compound of Formula III:

(3S)-3-[3-(2-fluoroethoxy)phenyl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]carbonyl]amino]propanoic acid,

10 (3S)-3-[4-(2-fluoroethoxy)phenyl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]carbonyl]amino]propanoic acid,

(3S)-3-[5-(2-fluoroethoxy)pyridin-3-yl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]carbonyl]amino]propanoic acid,

15 (3S)-3-[3-{2-[2-(2-fluoroethoxy)ethoxy]ethoxy}phenyl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]carbonyl]amino]propanoic acid,

(3S)-3-[5-{2-[2-(2-fluoroethoxy)ethoxy]ethoxy}pyridine-3-yl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]carbonyl]amino]propanoic acid,

(3S)-3-[5-[3-(2-fluoroethoxy)phenyl]pyridin-3-yl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]carbonyl]amino]propanoic acid,

20 (3S)-3-[5-[4-(2-fluoroethoxy)phenyl]pyridin-3-yl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]carbonyl]amino]propanoic acid,

(3S)-3-[5-[2-(2-fluoroethoxy)phenyl]pyridin-3-yl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]carbonyl]amino]propanoic acid,

25 (3S)-3-[5-(3-cyano-4-fluorophenyl)pyridin-3-yl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]carbonyl]amino]propanoic acid,

(3S)-3-[5-(4-cyano-3-fluorophenyl)pyridin-3-yl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]carbonyl]amino]propanoic acid,

(3S)-3-[5-(4-fluoro-3-nitrophenyl)pyridin-3-yl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]carbonyl]amino]propanoic acid,

30 (3R)-3-[5-[(3-cyano-4-fluorobenzyl)oxy]pyridin-3-yl]-3-[[[(3S)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]carbonyl]amino]propanoic acid,

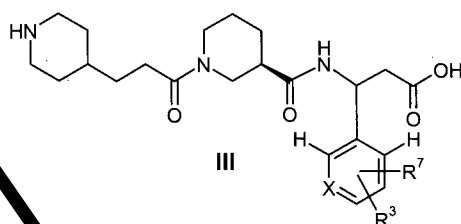
(3S)-3-[5-[(4-cyano-3-fluorobenzyl)oxy]pyridin-3-yl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]carbonyl]amino]propanoic acid,

35 (3S)-3-[4-cyano-3-fluorophenyl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]carbonyl]amino]propanoic acid,

(3S)-3-[5-[4-(2-fluoroethoxy)phenyl]ethynyl]pyridin-3-yl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]carbonyl]amino]propanoic acid,

35	<p>(3S)-3-(5-[3-(2-fluoroethoxy)phenyl]ethynyl)pyridin-3-yl-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]carboxylate</p> <p>(3S)-3-(5-[3-(2-fluoroethoxy)phenyl]ethynyl)pyridin-3-yl-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]carboxylic acid</p>
30	<p>(3S)-3-(5-[3-(2-fluoroethoxy)phenyl]ethynyl)pyridin-3-yl-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]carboxylate</p> <p>(3S)-3-(5-[3-(2-fluoroethoxy)phenyl]ethynyl)pyridin-3-yl-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]carboxylic acid</p>
25	<p>(3S)-3-(5-[3-(2-fluoroethoxy)phenyl]ethynyl)pyridin-3-yl-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]carboxylate</p> <p>(3S)-3-(5-[3-(2-fluoroethoxy)phenyl]ethynyl)pyridin-3-yl-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]carboxylic acid</p>
20	<p>(3S)-3-(5-[3-(2-fluoroethoxy)phenyl]ethynyl)pyridin-3-yl-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]carboxylate</p> <p>(3S)-3-(5-[3-(2-fluoroethoxy)phenyl]ethynyl)pyridin-3-yl-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]carboxylic acid</p>
15	<p>(3S)-3-(5-[3-(2-fluoroethoxy)phenyl]ethynyl)pyridin-3-yl-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]carboxylate</p> <p>(3S)-3-(5-[3-(2-fluoroethoxy)phenyl]ethynyl)pyridin-3-yl-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]carboxylic acid</p>
10	<p>(3S)-3-(5-[3-(2-fluoroethoxy)phenyl]ethynyl)pyridin-3-yl-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]carboxylate</p> <p>(3S)-3-(5-[3-(2-fluoroethoxy)phenyl]ethynyl)pyridin-3-yl-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]carboxylic acid</p>
5	<p>(3S)-3-(5-[3-(2-fluoroethoxy)phenyl]ethynyl)pyridin-3-yl-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]carboxylate</p> <p>(3S)-3-(5-[3-(2-fluoroethoxy)phenyl]ethynyl)pyridin-3-yl-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]carboxylic acid</p>

Method for manufacturing of compounds of Formula III



5 wherein

R^3 is selected from the group consisting of H, F, CF_3 , COR^9 , $COOR^{10}$, SOR^{10} , SO_2R^{10} , CN and NO_2 ; preferably R^3 is selected from the group consisting of H, F, CF_3 , CN, NO_2 ;

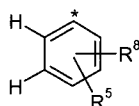
R^7 is selected from the group consisting of Y, $-O(CH_2)_n-Y$, $-(OCH_2CH_2)_m-Y$, Z, $-OCH_2-Z$, $-CH_2-CH_2-Z$, $-CH=CH-Z$ and $-C\equiv C-Z$;

X is selected from CH or N;

Y is selected from ^{18}F or F;

R^9 is hydrogen or (C_1-C_6) alkyl; preferably hydrogen or (C_1-C_4) alkyl, more preferably hydrogen, methyl, ethyl or *tert*-butyl;

15 R^{10} is (C_1-C_6) alkyl; preferably (C_1-C_4) alkyl, more preferably methyl, ethyl or *tert*-butyl; Z is a group



wherein * indicates the atom of connection of Z;

R^5 is selected from the group consisting of H, CF_3 , COR^9 , $COOR^{10}$, SOR^{10} , SO_2R^{10} , CN and NO_2 ; preferably R^5 is selected from the group consisting of H, CF_3 , CN, NO_2 ;

R^8 is selected from the group consisting of Y, $-O(CH_2)_n-Y$ and $-(OCH_2CH_2)_m-Y$;

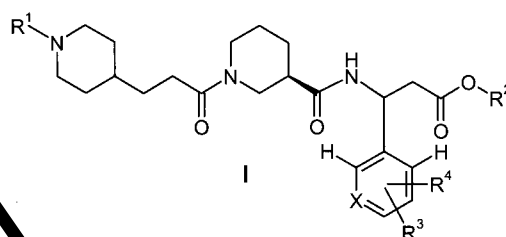
n is 1-3;

and m is 2-3;

with the proviso that if R^7 has the meaning of Y, R^3 has the meaning of CF_3 , COR^9 , $COOR^{10}$, SOR^{10} , SO_2R^{10} , CN or NO_2 and

25 with the proviso that if R^8 has the meaning of Y, R^5 has the meaning of CF_3 , COR^9 , $COOR^{10}$, SOR^{10} , SO_2R^{10} , CN or NO_2 ;

starting with compounds of Formula I,



wherein

R^1 is hydrogen or an amine-protecting group;

5 R^2 is hydrogen or a carboxyl-protecting group;

wherein at least one of R^1 and R^2 is not H;

R^3 is selected from the group consisting of H, F, CF_3 , COR^9 , $COOR^{10}$, SOR^{10} , SO_2R^{10} , CN and NO_2 ; preferably R^3 is selected from the group consisting of H, F, CF_3 , CN, and NO_2 ;

10 R^4 is selected from the group consisting of OH, Halogen, $-NO_2$, $-N^+(Me)_3(W)$, $-I^+R^{11}(W)$, $-O(CH_2)_n-LG$, $-(OCH_2CH_2)_n-LG$, $-OCH_2-Q$, $-CH_2-CH_2-Q$, $-CH=CH-Q$ and $-C\equiv C-Q$; preferably R^4 is selected from the group consisting of OH, Halogen, $-N^+(Me)_3(W)$, $-O(CH_2)_n-LG$, $-(OCH_2CH_2)_n-LG$, $-OCH_2-Q$, $-CH_2-CH_2-Q$, $-CH=CH-Q$ and $-C\equiv C-Q$;

15 X is selected from CH or N;

LG is a leaving group;

R^9 is hydrogen or (C_1-C_6) alkyl; preferably hydrogen or (C_1-C_4) alkyl, more preferably hydrogen, methyl, ethyl or *tert*-butyl;

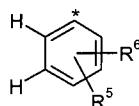
R^{10} is (C_1-C_6) alkyl; preferably (C_1-C_4) alkyl, more preferably methyl, ethyl or *tert*-butyl;

20 R^{11} is selected from the group consisting of phenyl, (4-methyl)phenyl, (4-methoxy)phenyl, 2-furanyl and 2-thienyl; preferably R^{11} is selected from the group consisting of (4-methoxy)phenyl and 2-thienyl;

W is selected from the group comprising $CF_3(S(O)_2O^-$, iodide anion, bromide anion and $CF_3C(O)O^-$; preferably W is selected from the group $CF_3(S(O)_2O^-$, bromide anion and $CF_3C(O)O^-$;

25

Q is a group



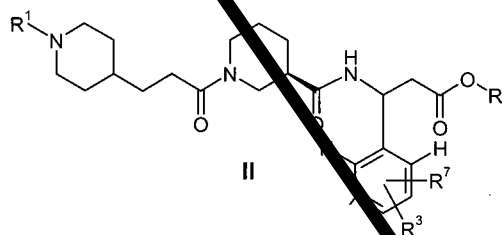
wherein * indicates the atom of connection of Q ;

R^5 is selected from the group consisting of H, CF_3 , COR^9 , $COOR^{10}$, SOR^{10} , SO_2R^{10} , CN and NO_2 ; preferably R^5 is selected from the group consisting of H, CF_3 , CN, and NO_2

R^6 is selected from the group consisting of OH, Halogen, $-NO_2$, $-N^+(Me)_3(W)$, $-R^{11}(W)$, $-O(CH_2)_n-LG$ and $-(OCH_2CH_2)_m-LG$; preferably R^6 is selected from the group consisting of OH, Halogen, $-N^+(Me)_3(W)$, $-O(CH_2)_n-LG$ and $-(OCH_2CH_2)_m-LG$; n is 1-4 and m is 1-3;

with the proviso that if R^4 has the meaning of Halogen, $-NO_2$, $-N^+(Me)_3(W)$ or $-R^{11}(W)$, R^3 has the meaning of COR^9 , $COOR^{10}$, SOR^{10} , SO_2R^{10} , CN or NO_2 and with the proviso that if R^6 has the meaning of Halogen, $-NO_2$, $-N^+(Me)_3(W)$ or $-R^{11}(W)$, R^5 has the meaning of CF_3 , COR^9 , $COOR^{10}$, SOR^{10} , SO_2R^{10} , CN or NO_2 ;

and a fluorination reaction to obtain compounds of Formula II,

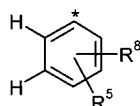


wherein

R^1 is hydrogen or an amine-protecting group;
 R^2 is hydrogen or a carboxyl-protecting group;
 wherein at least one of R^1 and R^2 is not hydrogen;
 R^3 is selected from the group consisting of H, F, CF_3 , COR^9 , $COOR^{10}$, SOR^{10} , SO_2R^{10} , CN and NO_2 ; preferably R^3 is selected from the group consisting of H, F, CF_3 , CN, NO_2 ;
 R^7 is selected from the group consisting of Y, $-O(CH_2)_n-Y$, $-(OCH_2CH_2)_m-Y$, $-OCH_2-Z$, $-CH_2-CH_2-Z$, $-CH=CH-Z$ and $-C\equiv C-Z$;
 X is selected from CH or N;
 Y is selected from ^{18}F or F;
 R^9 is hydrogen or (C_1-C_6) alkyl; preferably hydrogen or (C_1-C_4) alkyl, more preferably hydrogen, methyl, ethyl or *tert*-butyl;

R^{10} is (C₁-C₆)alkyl; preferably (C₁-C₄) alkyl, more preferably methyl, ethyl or *tert*-butyl;

Z is a group



wherein * indicates the atom of connection of Z;

5 R^5 is selected from the group consisting of H, CF₃, COR⁹, COOR¹⁰, SOR¹⁰, SO₂R¹⁰, CN and NO₂; preferably R^5 is selected from the group consisting of H, CF₃, CN, NO₂;

R^8 is selected from the group consisting of Y, -O(CH₂)_n-Y and -(OCH₂CH₂)_m-Y;

n is 1-3;

and m is 2-3;

10 with the proviso that if R^7 has the meaning Y, R^3 has the meaning of CF₃, COR⁹, COOR¹⁰, SOR¹⁰, SO₂R¹⁰, CN or NO₂ and

with the proviso that if R^8 has the meaning Y, R^5 has the meaning of CF₃, COR⁹, COOR¹⁰, SOR¹⁰, SO₂R¹⁰, CN or NO₂;

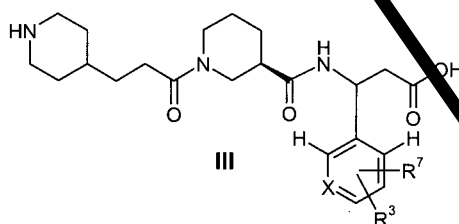
15 and cleavage of the protecting group(s)

or

reaction of compounds of Formula I with a ¹⁸F or F reagent or ¹⁸F or F building block and cleavage of protecting groups to obtain compounds of Formula III.

20

6. Method for manufacturing of compounds of Formula III according to claim 5,



wherein

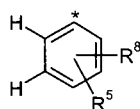
25 R^3 is H, F, CF₃, CN or NO₂;

R^7 is Y, -O(CH₂)_n-Y, -(OCH₂CH₂)_m-Y, Z, -OCH₂-Z; -CH₂-CH₂-Z, -CH=CH-Z or -C≡C-Z;

X is CH or N;

Y is ¹⁸F or F;

Z is a group



wherein * indicates the atom of connection of Z;

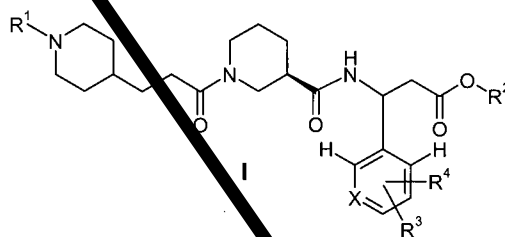
R^5 is H, CF_3 , CN or NO_2 ;

5 R^8 is Y, $-O(CH_2)_n-Y$ or $-(OCH_2CH_2)_m-Y$;

n is 1-3;

and m is 2-3;

starting with compound of Formula I



10

wherein

R^1 is hydrogen or an amine-protecting group;

R^2 is hydrogen or a carboxyl-protecting group;

wherein at least one of R^1 and R^2 is not H;

15 R^3 is H, F, CF_3 , CN and NO_2 ;

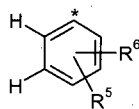
R^4 is OH, Halogen, $-N^+(Me)_3(W^-)$, $-O(CH_2)_n-LG$, $-(OCH_2CH_2)_m-LG$, Q , $-OCH_2-Q$, $-CH_2-CH_2-Q$, $-CH=CH-Q$ and $-C\equiv C-Q$;

X is CH or N;

LG is a leaving group;

20 W^- is $CF_3(SO_2)_2O^-$, bromide anion and $CF_3C(O)O^-$;

Q is a group



wherein * indicates the atom of connection of Q;

R^5 is H, CF_3 , CN and NO_2 ;

25 R^6 is OH, Halogen, $-N^+(Me)_3(W^-)$, $-O(CH_2)_n-LG$ and $-(OCH_2CH_2)_m-LG$;

n is 1-3;

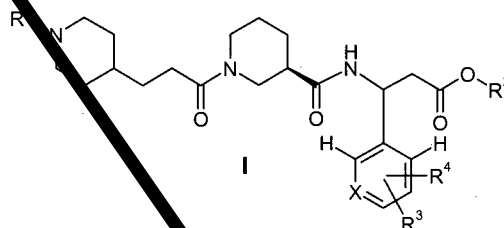
and cleavage of the protecting group(s)

or

reaction of compounds of Formula I with a ^{18}F or F reagent or ^{18}F or F building block and cleavage of protecting groups to obtain compounds of Formula III.

5

7. Compound of Formula I:



10 wherein

R^1 is hydrogen or an amine-protecting group;

R^2 is hydrogen or a carboxyl-protecting group;

wherein at least one of R^1 and R^2 is not H;

15 R^3 is selected from the group consisting of H, F, CF_3 , COR^9 , COOR^{10} , SOR^{10} , SO_2R^{10} , CN and NO_2 ; preferably R^3 is selected from the group consisting of H, F, CF_3 , CN, and NO_2 ;

R^4 is selected from the group consisting of OH, Halogen, $-\text{NO}_2$, $-\text{N}^+(\text{Me})_3(\text{W})$, $-\text{I}^+\text{R}^{11}(\text{W})$, $-\text{O}(\text{CH}_2)_n\text{-LG}$, $-(\text{OCH}_2\text{CH}_2)_m\text{-LG}$, Q , $-\text{OCH}_2\text{-Q}$, $-\text{CH}_2\text{-CH}_2\text{-Q}$, $-\text{CH}=\text{CH-Q}$ and $-\text{C}\equiv\text{C-Q}$; preferably R^4 is selected from the group consisting of OH, Halogen, $-\text{N}^+(\text{Me})_3(\text{W})$, $-\text{O}(\text{CH}_2)_n\text{-LG}$, $-(\text{OCH}_2\text{CH}_2)_m\text{-LG}$, Q , $-\text{OCH}_2\text{-Q}$, $-\text{CH}_2\text{-CH}_2\text{-Q}$, $-\text{CH}=\text{CH-Q}$ and $-\text{C}\equiv\text{C-Q}$;

20 X is selected from CH or N;

LG is a leaving group;

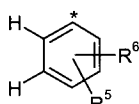
R^9 is hydrogen or $(\text{C}_1\text{-C}_6)$ alkyl; preferably hydrogen or $(\text{C}_1\text{-C}_4)$ alkyl, more preferably hydrogen, methyl, ethyl or *tert*-butyl;

25 R^{10} is $(\text{C}_1\text{-C}_6)$ alkyl; preferably $(\text{C}_1\text{-C}_4)$ alkyl, more preferably methyl, ethyl or *tert*-butyl;

R^{11} is selected from the group consisting of phenyl, (4-methyl)phenyl, (4-methoxy)phenyl, 2-furanyl and 2-thienyl; preferably R^{11} is selected from the group consisting of (4-methoxy)phenyl and 2-thienyl;

W is selected from the group comprising $\text{CF}_3(\text{S}(\text{O})_2\text{O}^-)$, iodide anion, bromide anion and $\text{CF}_3\text{C}(\text{O})\text{O}^-$; preferably **W** is selected from the group $\text{CF}_3(\text{S}(\text{O})_2\text{O}^-)$, bromide anion and $\text{CF}_3\text{C}(\text{O})\text{O}^-$;

Q is a group



5

wherein * indicates the atom of connection of **Q**;

R⁵ is selected from the group consisting of H, CF_3 , COR^9 , COOR^{10} , SOR^{10} , SO_2R^{10} , CN and NO_2 ; preferably **R**⁵ is selected from the group consisting of H, CF_3 , CN, and NO_2

10

R⁶ is selected from the group consisting of OH, Halogen, $-\text{NO}_2$, $-\text{N}^+(\text{Me})_3(\text{W})$, $-\text{I}^+\text{R}^{11}(\text{W})$, $-\text{O}(\text{CH}_2)_n\text{-LG}$ and $-(\text{OCH}_2\text{CH}_2)_m\text{-LG}$; preferably **R**⁶ is selected from the group consisting of OH, Halogen, $-\text{N}^+(\text{Me})_3(\text{W})$, $-\text{O}(\text{CH}_2)_n\text{-LG}$ and $-(\text{OCH}_2\text{CH}_2)_m\text{-LG}$;

n is 1-3;

and **m** is 2-3;

15

with the proviso that if **R**⁴ has the meaning of Halogen, $-\text{NO}_2$, $-\text{N}^+(\text{Me})_3(\text{W})$ or $-\text{I}^+\text{R}^{11}(\text{W})$, **R**³ has the meaning of CF_3 , COR^9 , COOR^{10} , SOR^{10} , SO_2R^{10} , CN or NO_2 and

with the proviso that if **R**⁶ has the meaning of Halogen, $-\text{NO}_2$, $-\text{N}^+(\text{Me})_3(\text{W})$ or $-\text{I}^+\text{R}^{11}(\text{W})$, **R**⁵ has the meaning of CF_3 , COR^9 , COOR^{10} , SOR^{10} , SO_2R^{10} , CN or NO_2 ;

20

including E and Z-isomers and diastereomers, mixtures thereof, and any pharmaceutically acceptable salt or complex thereof.

8. Compounds according to claim 7,

25

wherein

R¹ is hydrogen or an amine-protecting group;

R² is hydrogen or a carboxyl-protecting group;

wherein at least one of **R**¹ and **R**² is not H;

30

R³ is H, F, CF_3 , CN and NO_2 ;

R⁴ is OH, Halogen, $-\text{N}^+(\text{Me})_3(\text{W})$, $-\text{O}(\text{CH}_2)_n\text{-LG}$, $-(\text{OCH}_2\text{CH}_2)_m\text{-LG}$, **Q**, $-\text{OC}(\text{R}^1)_2\text{-Q}$, $-\text{CH}_2\text{-CH}_2\text{-Q}$, $-\text{CH}=\text{CH-Q}$ and $-\text{C}\equiv\text{C-Q}$;

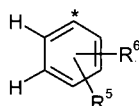
X is CH or N;

LG is a leaving group;

35

W is $\text{CF}_3(\text{S}(\text{O})_2\text{O}^-)$, bromide anion and $\text{CF}_3\text{C}(\text{O})\text{O}^-$;

Q is a group



wherein * indicates the atom of connection of **Q**;

R⁵ is H, CF₃, CN and NO₂;

5 **R**⁶ is OH, Halogen, -N⁺(Me)₃(**W**), -O(CH₂)_n-LG and -(OCH₂CH₂)_m-LG;

n is 1-3;

and **m** is 2-3;

with the proviso that if **R**⁴ has the meaning of Halogen or -N⁺(Me)₃(**W**), **R**³ has the meaning of CF₃, CN or NO₂ and

10 with the proviso that if **R**⁶ has the meaning of Halogen or -N⁺(Me)₃(**W**), **R**⁵ has the meaning of CF₃, CN or NO₂;

including E and Z-isomers and diastereomers and mixtures thereof.

9. Compounds according to claim 7 or 8

15

wherein

R¹ is hydrogen or an amine-protecting group selected from the group comprising *tert*-butyloxycarbonyl (BOC), carbobenzyloxy (Cbz) and 9-fluorenylmethyloxycarbonyl (Fmoc);

20 **R**² is hydrogen or a carboxyl-protecting group selected from the group comprising methyl, ethyl, propyl, *tert*-butyl, benzyl and *p*-methoxybenzyl;

wherein at least one of **R**¹ and **R**² is not H;

R³ is H, F, CF₃, CN and NO₂;

25 **R**⁴ is OH, Halogen, -N⁺(Me)₃(**W**), -O(CH₂)_n-LG, -(OCH₂CH₂)_m-LG, **Q**, -OCH₂-**Q**, -CH₂-CH₂-**Q**, -CH=CH-**Q** and -C≡C-**Q**;

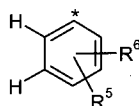
X is CH or N;

LG is a leaving group selected from the group comprising methylsulfonyloxy and (4-methylphenyl)sulfonyloxy;

W is CF₃(S(O)₂O)⁻, bromide anion and CF₃C(O)O⁻;

30

Q is a group



wherein * indicates the atom of connection of **Q**;

R^5 is H, CF_3 , CN and NO_2 ;

R^6 is OH, Halogen, $-N^+(Me)_3(W)$, $-O(CH_2)_n-LG$ and $-(OCH_2CH_2)_m-LG$;

n is 1-3;

and m is 2-3;

5 with the proviso that if R^4 has the meaning of Halogen or $-N^+(Me)_3(W)$, R^3 has the meaning of CF_3 , CN or NO_2 and

with the proviso that if R^6 has the meaning of Halogen or $-N^+(Me)_3(W)$, R^5 has the meaning of CF_3 , CN or NO_2 ;

including E and Z-isomers and diastereomers and mixtures thereof.

10

10. Compounds according to any of the claims 7 to 9,

wherein

R^1 is *tert*-butoxycarbonyl (BOC);

15

R^2 is methyl or *tert*-butyl;

wherein at least one of R^1 and R^2 is not H;

R^3 is H;

R^4 is $-O(CH_2)_n-LG$;

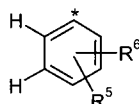
X is N;

20

LG is methylsulfonyloxy and (4-methylphenyl)sulfonyloxy;

W is $CF_3(S(O)_2O^-$, bromide anion and $CF_3C(=O)O^-$;

Q is a group



wherein * indicates the atom of connection of Q ;

25

R^5 is H, CF_3 , CN and NO_2 ;

R^6 is OH, Halogen, $-N^+(Me)_3(W)$, $-O(CH_2)_n-LG$ and $-(OCH_2CH_2)_m-LG$;

n is 1-3;

and m is 2-3;

30 with the proviso that if R^4 has the meaning of Halogen or $-N^+(Me)_3(W)$, R^3 has the meaning of CF_3 , CN or NO_2 and

with the proviso that if R^6 has the meaning of Halogen or $-N^+(Me)_3(W)$, R^5 has the meaning of CF_3 , CN or NO_2 ;

including E and Z-isomers and diastereomers and mixtures thereof.

35

11. Compounds of Formula I:

- tert*-butyl 4-{3-[(3*R*)-3-(((1*S*)-3-methoxy-1-[3-(2-(((4-methylphenyl)sulfonyl)oxy)ethoxy)-phenyl]-3-oxopropyl)carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
- 5 *tert*-butyl 4-{3-[(3*R*)-3-((3-methoxy-1-[4-(2-(((4-methylphenyl)sulfonyl)oxy)ethoxy)phenyl]-3-oxopropyl)carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
- tert*-butyl 4-{3-[(3*R*)-3-(((1*S*)-3-*tert*-butoxy-1-[4-(2-(((4-methylphenyl)sulfonyl)oxy)ethoxy)phenyl]-3-oxopropyl)carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
- 10 *tert*-butyl 4-{3-[(3*R*)-3-(((1*S*)-3-methoxy-1-[5-(2-(((4-methylphenyl)sulfonyl)oxy)ethoxy)pyridin-3-yl]-3-oxopropyl)carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
- tert*-butyl 4-{3-[(3*R*)-3-(((1*S*)-3-*tert*-butoxy-1-[5-(2-(((4-methylphenyl)sulfonyl)oxy)ethoxy)pyridin-3-yl]-3-oxopropyl)carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
- 15 *tert*-butyl 4-{3-[(3*R*)-3-((3-methoxy-1-[5-(4-(2-(((4-methylphenyl)sulfonyl)oxy)ethoxy)-phenyl]pyridin-3-yl)-3-oxopropyl)carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
- tert*-butyl 4-{3-[(3*R*)-3-(((1*S*)-3-*tert*-butoxy-1-[5-(4-(2-(((4-methylphenyl)sulfonyl)oxy)ethoxy)phenyl]pyridin-3-yl)-3-oxopropyl)carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate;
- tert*-butyl 4-{3-[(3*R*)-3-((1-[5-(4-chloro-3-cyanophenyl)pyridin-3-yl]-3-methoxy-3-oxopropyl)carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
- 25 *tert*-butyl 4-{3-[(3*R*)-3-(((1*S*)-1-[5-((3-bromo-4-cyanobenzyl)oxy)pyridin-3-yl]-3-methoxy-3-oxopropyl)carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
- tert*-butyl 4-{3-[(3*R*)-3-((3-methoxy-1-[5-[3-(2-(((4-methylphenyl)sulfonyl)oxy)ethoxy)-phenyl]pyridin-3-yl)-3-oxopropyl)carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
- 30 *tert*-butyl 4-{3-[(3*R*)-3-((3-methoxy-1-[5-[2-(2-(((4-methylphenyl)sulfonyl)oxy)ethoxy)-phenyl]pyridin-3-yl)-3-oxopropyl)carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
- tert*-butyl 4-{3-[(3*R*)-3-((1-[5-(4-chloro-3-nitrophenyl)pyridin-3-yl]-3-methoxy-3-oxopropyl)carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
- 35 *tert*-butyl 4-{3-[(3*R*)-3-((1-[5-fluoro-4'-(2-(((4-methylphenyl)sulfonyl)oxy)ethoxy)biphenyl-3-yl]-3-methoxy-3-oxopropyl)carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,

tert-butyl 4-{3-[(3*R*)-3-[[[(1*S*)-3-*tert*-butoxy-1-(5-{[4-(2-[[[4-methylphenyl)sulfonyl]oxy]-ethoxy)phenyl]ethynyl]pyridin-3-yl)-3-oxopropyl]carbamoyl]piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,

5 *tert*-butyl 4-{3-[(3*R*)-3-[[[(1*S*)-3-*tert*-butoxy-1-(5-{[3-(2-[[[4-methylphenyl)sulfonyl]oxy]-ethoxy)phenyl]ethynyl]pyridin-3-yl)-3-oxopropyl]carbamoyl]piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,

tert-butyl 4-{3-[(3*R*)-3-[[[(1*S*)-3-*tert*-butoxy-1-(5-{2-[4-(2-[[[4-methylphenyl)sulfonyl]oxy]ethoxy)phenyl]ethyl]pyridin-3-yl)-3-oxopropyl]carbamoyl]piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,

10 *tert*-butyl 4-{3-[(3*R*)-3-[[[(1*S*)-3-*tert*-butoxy-1-(5-{2-[3-(2-[[[4-methylphenyl)sulfonyl]oxy]ethoxy)phenyl]ethyl]pyridin-3-yl)-3-oxopropyl]carbamoyl]piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,

tert-butyl 4-{3-[(3*R*)-3-[[[(1*S*)-3-*tert*-butoxy-1-(5-{2-(4-hydroxyphenyl)-3-methoxy-3-oxopropyl]carbamoyl]piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,

15 *tert*-butyl 4-{3-[(3*R*)-3-[[[(1*S*)-3-*tert*-butoxy-1-(5-{3-hydroxyphenyl)-3-methoxy-3-oxopropyl]carbamoyl]piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,

tert-butyl 4-{3-[(3*R*)-3-[[[(1*S*)-3-*tert*-butoxy-1-(5-{4-hydroxyphenyl)-3-methoxy-3-oxopropyl]carbamoyl]piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,

tert-butyl 4-{3-[(3*R*)-3-[[[(1*S*)-3-*tert*-butoxy-1-(5-{3-hydroxyphenyl)pyridin-3-yl]-3-methoxy-3-oxopropyl]carbamoyl]piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,

20 *tert*-butyl 4-{3-[(3*R*)-3-[[[(1*S*)-3-*tert*-butoxy-1-(5-{4-hydroxyphenyl)pyridin-3-yl]-3-methoxy-3-oxopropyl]carbamoyl]piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,

tert-butyl 4-{3-[(3*R*)-3-[[[(1*S*)-3-*tert*-butoxy-1-(5-{4-hydroxyphenyl)pyridin-3-yl]-3-methoxy-3-oxopropyl]carbamoyl]piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,

tert-butyl 4-{3-[(3*R*)-3-[[[(1*S*)-3-*tert*-butoxy-1-(5-{2-hydroxyphenyl)pyridin-3-yl]-3-methoxy-3-oxopropyl]carbamoyl]piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,

25 *tert*-butyl 4-{3-[(3*R*)-3-[[[(1*S*)-3-*tert*-butoxy-1-(5-{5-fluoro-4'-hydroxybiphenyl-2-yl)-3-methoxy-3-oxopropyl]carbamoyl]piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,

tert-butyl 4-{3-[(3*R*)-3-[[[(1*S*)-3-*tert*-butoxy-1-(4-hydroxyphenyl)-3-oxopropyl]carbamoyl]piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,

tert-butyl 4-{3-[(3*R*)-3-[[[(1*S*)-3-*tert*-butoxy-1-(5-hydroxypyridin-3-yl)-3-oxopropyl]carbamoyl]piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,

30 *tert*-butyl 4-{3-[(3*R*)-3-[[[(1*S*)-3-*tert*-butoxy-1-(5-(4-hydroxyphenyl)pyridin-3-yl)-3-oxopropyl]carbamoyl]piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,

tert-butyl 4-{3-[(3*R*)-3-[[[(1*S*)-3-*tert*-butoxy-1-(5-{[4-hydroxyphenyl]ethynyl]pyridin-3-yl)-3-oxopropyl]carbamoyl]piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,

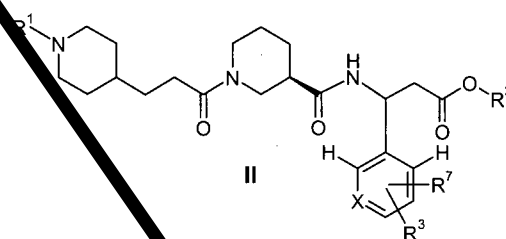
35 *tert*-butyl 4-{3-[(3*R*)-3-[[[(1*S*)-3-*tert*-butoxy-1-(5-{[3-hydroxyphenyl]ethynyl]pyridin-3-yl)-3-oxopropyl]carbamoyl]piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,

tert-butyl 4-{3-[(3*R*)-3-[[[(1*S*)-3-*tert*-butoxy-1-(5-[2-(4-hydroxyphenyl)ethyl]pyridin-3-yl)-3-oxopropyl]carbamoyl]piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,

oxopropyl)carbamoyl]piperidin-1-yl)-3-oxopropyl)piperidine-1-carboxylate,
tert-butyl 4-({(3*R*)-3-[(3-*tert*-butoxy-1-[5-[2-(3-hydroxyphenyl)ethyl]pyridin-3-yl)-3-oxopropyl]carbamoyl]piperidin-1-yl)-3-oxopropyl)piperidine-1-carboxylate,

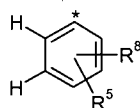
5

12. Compounds of Formula II:



wherein

- 10 R^1 is hydrogen or an amine-protecting group;
 R^2 is hydrogen or a carboxyl-protecting group;
 wherein at least one of R^1 and R^2 is not hydrogen;
 R^3 is selected from the group consisting of H, F, CF_3 , COR^9 , $COOR^{10}$, SOR^{10} , SO_2R^{10} ,
 15 CN and NO_2 ; preferably R^3 is selected from the group consisting of H, F, CF_3 , CN,
 NO_2 ;
 R^7 is selected from the group consisting of Y, $-O(CH_2)_n-Y$, $-(OCH_2CH_2)_m-Y$, Z,
 $-OCH_2-Z$, $-CH_2-CH_2-Z$, $-CH=CH-Z$ and $-C\equiv C-Z$;
X is selected from CH or N;
Y is selected from ^{18}F or F;
20 R^9 is hydrogen or (C_1-C_6) alkyl; preferably hydrogen or (C_1-C_4) alkyl, more preferably
hydrogen, methyl, ethyl or *tert*-butyl;
 R^{10} is (C_1-C_6) alkyl; preferably (C_1-C_4) alkyl, more preferably methyl, ethyl or *tert*-butyl;
Z is a group



25

wherein * indicates the atom of connection of Z;

R^5 is selected from the group consisting of H, CF_3 , COR^9 , $COOR^{10}$, SOR^{10} , SO_2R^{10} ,
 CN and NO_2 ; preferably R^5 is selected from the group consisting of H, CF_3 , CN, NO_2 ;
 R^8 is selected from the group consisting of Y, $-O(CH_2)_n-Y$ and $-(OCH_2CH_2)_m-Y$;

n is 1-3;

and m is 2-3;

with the proviso that if R^7 has the meaning Y , R^3 has the meaning of CF_3 , COR^9 , $COOR^{10}$, SOR^{10} , SO_2R^{10} , CN or NO_2 and

5 with the proviso that if R^8 has the meaning Y , R^5 has the meaning of CF_3 , COR^9 , $COOR^{10}$, SOR^{10} , SO_2R^{10} , CN or NO_2 ;

including E and Z -isomers and diastereomers, mixtures thereof, and any pharmaceutically acceptable salt or complex thereof.

10

13. Compounds according to claim 12,

15 wherein

R^1 is hydrogen or an amine-protecting group;

R^2 is hydrogen or a carboxyl-protecting group;

wherein at least one of R^1 and R^2 is not hydrogen;

R^3 is H , F , CF_3 , CN and NO_2 ;

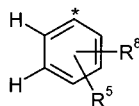
20 R^7 is Y , $-O(CH_2)_n-Y$, $-(OCH_2CH_2)_m-Y$, Z , $-CH_2-Z$, $-CH_2-CH_2-Z$, $-CH=CH-Z$

or $-C\equiv C-Z$;

X is CH or N ;

Y is ^{18}F or F ;

Z is a group



25

wherein * indicates the atom of connection of Z ;

R^5 is H , CF_3 , CN and NO_2 ;

R^8 is Y , $-O(CH_2)_n-Y$ or $-(OCH_2CH_2)_m-Y$;

n is 1-3;

30

and m is 2-3;

with the proviso that if R^7 has the meaning of Y , R^3 has the meaning of CF_3 , CN or NO_2 and

with the proviso that if R^8 has the meaning of Y , R^5 has the meaning of CF_3 , CN or NO_2 ;

including E and Z -isomers and diastereomers and mixtures thereof.

35

14. Compounds according to claims 12 or 13,

wherein

R^1 is hydrogen or an amine-protecting group selected from the group comprising *tert*-butyloxycarbonyl (BOC), carbobenzyloxy (Cbz) and 9-fluorenylmethyloxycarbonyl (Fmoc);

R^2 is hydrogen or a carboxyl-protecting group selected from the group comprising methyl, ethyl, propyl, *tert*-butyl, benzyl and *p*-methoxybenzyl; wherein at least one of R^1 and R^2 is not hydrogen;

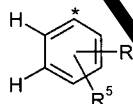
R^3 is H, F, CF_3 , CN and NO_2 ;

R^7 is Y, $-O(CH_2)_n-Y$, $-(OCH_2CH_2)_m-Y$, Z, $-OCH_2-Z$, $-CH_2-CH_2-Z$, $-CH=CH-Z$ or $-C\equiv C-Z$;

X is N;

Y is ^{18}F or F;

Z is a group



wherein * indicates the atom of connection of Z;

R^5 is H, CF_3 , CN and NO_2 ;

R^8 is Y, $-O(CH_2)_n-Y$ or $-(OCH_2CH_2)_m-Y$;

n is 1-3;

and m is 2-3;

with the proviso that if R^7 has the meaning of Y, R^3 has the meaning of CF_3 , CN or NO_2 and

with the proviso that if R^8 has the meaning of Y, R^5 has the meaning of CF_3 , CN or NO_2 ;

including E and Z-isomers and diastereomers and mixtures thereof.

15. Compounds according to any of the claims 12 to 14,

wherein

R^1 is *tert*-butyloxycarbonyl (BOC);

R^2 is methyl or *tert*-butyl;

wherein at least one of R^1 and R^2 is not hydrogen;

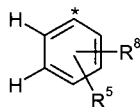
R^3 is H;

R^7 is $-O(CH_2)_n-Y$;

X is N;

Y is ^{18}F or F;

Z is a group



wherein * indicates the atom of connection of Z;

R^7 is H, CF_3 , CN and NO_2 ;

R^8 is $-O(CH_2)_n-Y$ or $-(OCH_2CH_2)_m-Y$;

n is 1-3;

and m is 2-5;

with the proviso that R^7 has the meaning of Y, R^3 has the meaning of CF_3 , CN or NO_2 and

with the proviso that if R^8 has the meaning of Y, R^5 has the meaning of CF_3 , CN or NO_2 ;

including E and Z-isomers and diastereomers and mixtures thereof.

16. Compounds of Formula II:

3-(((3R)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-yl)carbonyl)-amino)-3-[3-(2-fluoroethoxy)phenyl]propanoic acid,

3-(((3R)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-yl)carbonyl)-amino)-3-[4-(2-fluoroethoxy)phenyl]propanoic acid,

tert-butyl 4-{3-[(3R)-3-(((1S)-3-*tert*-butoxy-1-[5-(2-fluoroethoxy)pyridin-3-yl]-3-oxopropyl)carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,

tert-butyl 4-{3-[(3R)-3-(((1S)-1-(3-{2-[2-(2-fluoroethoxy)ethoxy]ethoxy)phenyl]-3-methoxy-3-oxopropyl)carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,

tert-butyl 4-{3-[(3R)-3-(((1S)-1-(5-{2-[2-(2-fluoroethoxy)ethoxy]ethoxy)pyridin-3-yl]-3-methoxy-3-oxopropyl)carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,

tert-butyl 4-{3-[(3R)-3-[[1-(5-{3-(2-fluoroethoxy)phenyl]pyridin-3-yl)-3-methoxy-3-oxopropyl]carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,

3-(((3R)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-yl)carbonyl)-amino)-3-[5-[3-(2-fluoroethoxy)phenyl]pyridin-3-yl]propanoic acid,

tert-butyl 4-{3-[(3R)-3-[[1-(5-[4-(2-fluoroethoxy)phenyl]pyridin-3-yl)-3-methoxy-3-oxopropyl]carbamoyl]piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,

3-(((3R)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-yl)carbonyl)amino)-3-[5-[4-(2-fluoroethoxy)phenyl]pyridin-3-yl]propanoic acid,

tert-butyl 4-{3-[(3R)-3-[[1-(5-[2-(2-fluoroethoxy)phenyl]pyridin-3-yl)-3-methoxy-3-oxopropyl]carbamoyl]piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,

3-(((3R)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-yl)carbonyl)amino)-3-[5-[2-(2-fluoroethoxy)phenyl]pyridin-3-yl]propanoic acid,

tert-butyl 4-{3-[(3R)-3-[[1-(5-(3-cyano-4-fluorophenyl)pyridin-3-yl)-3-methoxy-3-oxopropyl]carbamoyl]piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,

3-(((3*R*)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-yl)
carbonyl)amino)-3-[5-(3-cyano-4-fluorophenyl)pyridin-3-yl]propanoic acid,
tert-butyl 4-{3-[(3*R*)-3-({1-[5-(4-cyano-3-fluorophenyl)pyridin-3-yl]-3-methoxy-3-
oxopropyl}carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
5 3-(((3*R*)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-yl)
carbonyl)amino)-3-[5-(4-cyano-3-fluorophenyl)pyridin-3-yl]propanoic acid,
tert-butyl 4-{3-[(3*R*)-3-({1-[5-(4-fluoro-3-nitrophenyl)pyridin-3-yl]-3-methoxy-3-
oxopropyl}carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
3-(((3*R*)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-yl)
10 carbonyl)amino)-3-[5-(4-fluoro-3-nitrophenyl)pyridin-3-yl]propanoic acid,
tert-butyl 4-{3-[(3*R*)-3-(((1*S*)-1-{5-[(3-cyano-4-fluorobenzyl)oxy]pyridin-3-yl)-3-
methoxy-3-oxopropyl}carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
tert-butyl 4-{3-[(3*R*)-3-(((1*S*)-1-{5-[(4-cyano-3-fluorobenzyl)oxy]pyridin-3-yl)-3-
methoxy-3-oxopropyl}carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
15 *tert*-butyl 4-{3-[(3*R*)-3-(((1*S*)-3-*tert*-butoxy-1-(5-[(4-(2-fluoroethoxy)phenyl)ethynyl]-
pyridine-3-yl)-3-oxopropyl}carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-
carboxylate,
tert-butyl 4-{3-[(3*R*)-3-(((1*S*)-3-*tert*-butoxy-1-(5-[(3-(2-fluoroethoxy)phenyl)ethynyl]
pyridin-3-yl)-3-oxopropyl}carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-
20 carboxylate,
tert-butyl 4-{3-[(3*R*)-3-({1-[5-fluoro-4'-(2-fluoroethoxy)biphenyl-3-yl]-3-methoxy-3-
oxopropyl}carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
3-(((3*R*)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-
yl)carbonyl)amino)-3-[5-fluoro-4'-(2-fluoroethoxy)biphenyl-3-yl]propanoic acid,
25 *tert*-butyl 4-{3-[(3*R*)-3-(((1*S*)-3-*tert*-butoxy-1-((4-(2-fluoroethoxy)phenyl)ethyl)pyridin-
3-yl)-3-oxopropyl}carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
tert-butyl 4-{3-[(3*R*)-3-(((1*S*)-3-*tert*-butoxy-1-((3-(2-fluoroethoxy)phenyl)ethyl)pyridin-
3-yl)-3-oxopropyl}carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
(*E/Z*) *tert*-butyl 4-{3-[(3*R*)-3-(((1*S*)-3-*tert*-butoxy-1-((3-(2-fluoroethoxy)phenyl)ethenyl)-
30 pyridin-3-yl)-3-oxopropyl}carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-
carboxylate,,
tert-butyl 4-{3-[(3*R*)-3-(((1*S*)-1-{3-[2-¹⁸F]fluoroethoxy]phenyl)-3-methoxy-3-
oxopropyl}carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate
(3*S*)-3-(((3*R*)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-yl)
35 carbonyl)amino)-3-[3-[2-¹⁸F]fluoroethoxy]phenyl]propanoic acid,
tert-butyl 4-{3-[(3*R*)-3-({1-[4-(2-¹⁸F]fluoroethoxy)phenyl]-3-methoxy-3-oxopropyl}-
carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,

3-(((3*R*)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-yl)carbonyl)amino)-3-{4-[2-¹⁸F]fluoroethoxy}phenyl}propanoic acid,
tert-butyl 4-{3-[(3*R*)-3-(((1*S*)-3-*tert*-butoxy-1-{5-[2-¹⁸F]fluoroethoxy}pyridin-3-yl)-3-oxopropyl)carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
5 *tert*-butyl 4-{3-[(3*R*)-3-(((1*S*)-1-{5-[2-¹⁸F]fluoroethoxy}pyridin-3-yl)-3-methoxy-3-oxopropyl)carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
(3*S*)-3-(((3*R*)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-yl)carbonyl)amino)-3-{5-[2-¹⁸F]fluoroethoxy}pyridin-3-yl}propanoic acid,
tert-butyl 4-{3-[(3*R*)-3-(((1-¹⁸F]fluoroethoxy}pyridin-3-yl)-3-methoxy-3-oxopropyl)carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
10 3-(((3*R*)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-yl)carbonyl)amino)-3-{4-[2-¹⁸F]fluoroethoxy}pyridin-3-yl}propanoic acid ,
tert-butyl 4-{3-[(3*R*)-3-(((1*S*)-1-{5-[2-¹⁸F]fluoroethoxy}phenyl)pyridin-3-yl)-3-methoxy-3-oxopropyl)carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
15 (3*S*)-3-(((3*R*)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-yl)carbonyl)amino)-3-{5-{4-[2-¹⁸F]fluoroethoxy}phenyl}pyridin-3-yl}propanoic acid,
tert-butyl 4-{3-[(3*R*)-3-(((1*S*)-3-*tert*-butoxy-1-{5-{4-[2-¹⁸F]fluoroethoxy}phenyl}pyridin-3-yl)-3-oxopropyl)carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
20 *tert*-butyl 4-{3-[(3*R*)-3-(((1-¹⁸F]fluorophenyl)pyridin-3-yl)-3-methoxy-3-oxopropyl)carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
3-(((3*R*)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-yl)carbonyl)amino)-3-{5-(3-cyano-4-¹⁸F]fluorophenyl)pyridin-3-yl}propanoic acid,
tert-butyl 4-{3-[(3*R*)-3-(((1-¹⁸F]fluorophenyl)pyridin-3-yl)-3-methoxy-3-oxopropyl)carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
25 3-(((3*R*)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-yl)carbonyl)amino)-3-{5-[4-cyano-3-¹⁸F]fluorophenyl}pyridin-3-yl}propanoic acid,
tert-butyl 4-{3-[(3*R*)-3-(((1*S*)-3-*tert*-butoxy-1-{5-{4-(2-¹⁸F]fluoroethoxy}phenyl)ethynyl}pyridine-3-yl)-3-oxopropyl)carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
30 *tert*-butyl 4-{3-[(3*R*)-3-(((1*S*)-3-*tert*-butoxy-1-{5-{3-(2-¹⁸F]fluoroethoxy}phenyl)ethynyl}pyridin-3-yl)-3-oxopropyl)carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
tert-butyl 4-{3-[(3*R*)-3-(((1*S*)-3-*tert*-butoxy-1-{4-(2-¹⁸F]fluoroethoxy}phenyl)ethynyl}pyridin-3-yl)-3-oxopropyl)carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
35

tert-butyl 4-{3-[(3*R*)-3-[(1*S*)-3-*tert*-butoxy-1-({[3-(2-[¹⁸F]fluoroethoxy)phenyl]ethyl)pyridin-3-yl)]3-oxopropyl}carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,

5 *tert*-butyl 4-{3-[(3*R*)-3-({1-[3-(2-[2-[¹⁸F]fluoroethoxy]ethoxy)ethoxy]phenyl]-3-methoxy-3-oxopropyl}carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
3-[(3*R*)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-yl]carbonyl]-amino, 3-[3-(2-[2-[¹⁸F]fluoroethoxy]ethoxy)ethoxy]phenyl]propanoic acid,

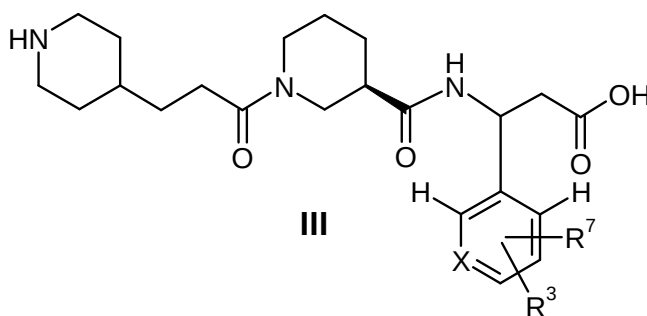
17. Use of the compounds of Formula III or mixtures thereof for the manufacture of
10 diagnostic agents, especially for imaging thrombi.

18. A kit comprising a sealed vial containing a predetermined quantity of a compound of
Formula I according to any of the claims 7 to 11, stereoisomers thereof and their
mixtures, and suitable salts of inorganic or organic bases and acids thereof, hydrates,
15 and solvates thereof.

CLAIMS

1. Compounds of Formula III:

5



wherein

R^3 is selected from the group consisting of H, F, CF_3 , COR^9 , $COOR^{10}$, SOR^{10} , SO_2R^{10} , CN and NO_2 ;

10 R^7 is selected from the group consisting of Y, $-O(CH_2)_n-Y$, $-(OCH_2CH_2)_m-Y$, Z, $-OCH_2-Z$, $-CH_2-CH_2-Z$, $-CH=CH-Z$ and $-C\equiv C-Z$;

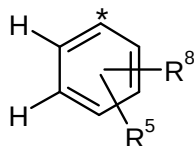
X is selected from CH or N;

Y is selected from ^{18}F or F;

R^9 is hydrogen or (C_1-C_6) alkyl;

15 R^{10} is (C_1-C_6) alkyl;

Z is a group



wherein * indicates the atom of connection of Z;

20 R^5 is selected from the group consisting of H, CF_3 , COR^9 , $COOR^{10}$, SOR^{10} , SO_2R^{10} , CN and NO_2 ;

R^8 is selected from the group consisting of Y, $-O(CH_2)_n-Y$ and $-(OCH_2CH_2)_m-Y$;

n is 1-3;

and m is 2-3;

25 with the proviso that if R^7 has the meaning of Y, R^3 has the meaning of CF_3 , COR^9 , $COOR^{10}$, SOR^{10} , SO_2R^{10} , CN or NO_2 and

with the proviso that if R^8 has the meaning of Y, R^5 has the meaning of CF_3 , COR^9 , $COOR^{10}$, SOR^{10} , SO_2R^{10} , CN or NO_2 ;

including E and Z-isomers and diastereomers, mixtures thereof, and any pharmaceutically acceptable salt or complex thereof.

2. Compounds according to claim 1,

5

wherein

R^3 is H, F, CF_3 , CN or NO_2 ;

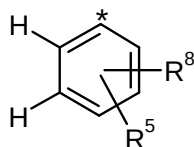
R^7 is Y, $-O(CH_2)_n-Y$, $-(OCH_2CH_2)_m-Y$, Z, $-OCH_2-Z$; $-CH_2-CH_2-Z$, $-CH=CH-Z$
or $-C\equiv C-Z$;

10

X is CH or N;

Y is ^{18}F or F;

Z is a group



wherein * indicates the atom of connection of Z;

15

R^5 is H, CF_3 , CN or NO_2 ;

R^8 is Y, $-O(CH_2)_n-Y$ or $-(OCH_2CH_2)_m-Y$;

n is 1-3;

and m is 2-3,

including E and Z-isomers and diastereomers, mixtures thereof, and any pharmaceutically acceptable salt or complex thereof.

20

3. Compounds according to claim 1 or 2, wherein

R^3 is H;

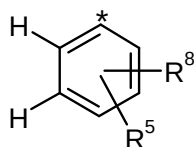
R^7 is $-O(CH_2)_n-Y$;

25

X is N;

Y is ^{18}F or F;

Z is a group



wherein * indicates the atom of connection of Z;

30

R^5 is H, CF_3 , CN or NO_2 ;

R^8 is Y, $-O(CH_2)_n-Y$ or $-(OCH_2CH_2)_m-Y$;

n is 1-3;

and m is 2-3,
including E and Z-isomers and diastereomers, mixtures thereof, and any pharmaceutically acceptable salt or complex thereof.

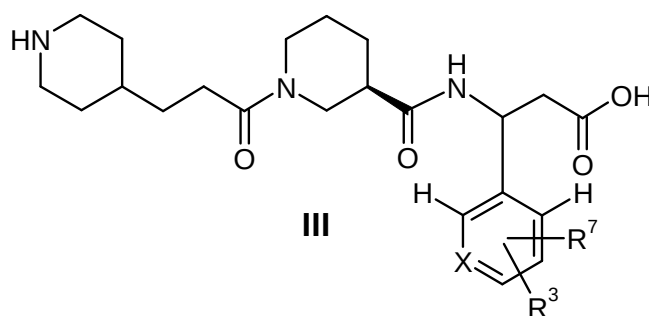
5

4. Compounds of Formula III:

- (3S)-3-[3-(2-fluoroethoxy)phenyl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]-carbonyl]amino]propanoic acid,
(3S)-3-[4-(2-fluoroethoxy)phenyl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]-carbonyl]amino]propanoic acid,
10 (3S)-3-[5-(2-fluoroethoxy)pyridin-3-yl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]-carbonyl]amino]propanoic acid,
(3S)-3-[3-{2-[2-(2-fluoroethoxy)ethoxy]ethoxy}phenyl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]-carbonyl]amino]propanoic acid,
15 (3S)-3-[5-{2-[2-(2-fluoroethoxy)ethoxy]ethoxy}pyridine-3-yl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]-carbonyl]amino]propanoic acid,
(3S)-3-[5-[3-(2-fluoroethoxy)phenyl]pyridin-3-yl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]-carbonyl]amino]propanoic acid,
(3S)-3-[5-[4-(2-fluoroethoxy)phenyl]pyridin-3-yl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]-carbonyl]amino]propanoic acid,
20 (3S)-3-[5-[2-(2-fluoroethoxy)phenyl]pyridin-3-yl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]-carbonyl]amino]propanoic acid,
(3S)-3-[5-(3-cyano-4-fluorophenyl)pyridin-3-yl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]-carbonyl]amino]propanoic acid,
25 (3S)-3-[5-(4-cyano-3-fluorophenyl)pyridin-3-yl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]-carbonyl]amino]propanoic acid,
(3S)-3-[5-(4-fluoro-3-nitrophenyl)pyridin-3-yl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]-carbonyl]amino]propanoic acid,
(3R)-3-[5-[(3-cyano-4-fluorobenzyl)oxy]pyridin-3-yl]-3-[[[(3S)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]-carbonyl]amino]propanoic acid,
30 (3S)-3-[5-[(4-cyano-3-fluorobenzyl)oxy]pyridin-3-yl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]-carbonyl]amino]propanoic acid ,
(3S)-3-(4-cyano-3-fluorophenyl)-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]-carbonyl]amino]propanoic acid,
35 (3S)-3-[5-[4-(2-fluoroethoxy)phenyl]ethynyl]pyridin-3-yl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]-carbonyl]amino]propanoic acid,
(3S)-3-[5-[3-(2-fluoroethoxy)phenyl]ethynyl]pyridin-3-yl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl]-carbonyl]amino]propanoic acid,

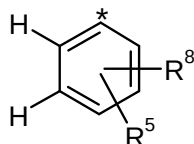
(3S)-3-[5-fluoro-4'-(2-fluoroethoxy)biphenyl-3-yl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl}carbonyl]amino]propanoic acid,
 (3S)-3-(5-{2-[4-(2-fluoroethoxy)phenyl]ethyl}pyridin-3-yl)-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl}carbonyl]amino]propanoic acid,
 5 (3S)-3-(5-{2-[4-(2-fluoroethoxy)phenyl]ethyl}pyridin-3-yl)-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl}carbonyl]amino]propanoic acid,
 (3S)-3-(5-{2-[3-(2-fluoroethoxy)phenyl]ethyl}pyridin-3-yl)-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl}carbonyl]amino]propanoic acid,
 (E/Z)(3S)-3-(5-{2-[3-(2-fluoroethoxy)phenyl]ethenyl}pyridin-3-yl)-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl}carbonyl]amino]propanoic acid, (3S)-3-[3-(2-
 10 [¹⁸F]fluoroethoxy)phenyl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl}-carbonyl]amino]propanoic acid,
 (3S)-3-[4-(2-[¹⁸F]fluoroethoxy)phenyl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl}carbonyl]amino]propanoic acid,
 15 (3S)-3-[5-(2-[¹⁸F]fluoroethoxy)pyridin-3-yl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl}carbonyl]amino]propanoic acid,
 (3S)-3-[5-[4-(2-[¹⁸F]fluoroethoxy)phenyl]pyridin-3-yl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl}carbonyl]amino]propanoic acid,
 (3S)-3-[5-(3-cyano-4-[¹⁸F]fluorophenyl)pyridin-3-yl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl}carbonyl]amino]propanoic acid,
 20 (3S)-3-[5-(4-cyano-3-[¹⁸F]fluorophenyl)pyridin-3-yl]-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl}carbonyl]amino]propanoic acid,
 (3S)-3-(5-{[4-(2-[¹⁸F]fluoroethoxy)phenyl]ethynyl}pyridin-3-yl)-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl}carbonyl]amino]propanoic acid,
 25 (3S)-3-(5-{[3-(2-[¹⁸F]fluoroethoxy)phenyl]ethynyl}pyridin-3-yl)-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl}carbonyl]amino]propanoic acid,
 (3S)-3-(5-{2-[4-(2-[¹⁸F]fluoroethoxy)phenyl]ethyl}pyridin-3-yl)-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl}carbonyl]amino]propanoic acid,
 (3S)-3-(5-{2-[3-(2-[¹⁸F]fluoroethoxy)phenyl]ethyl}pyridin-3-yl)-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl}carbonyl]amino]propanoic acid,
 30 (3S)-3-(3-{2-[2-(2-[¹⁸F]fluoroethoxy)ethoxy]ethoxy}phenyl)-3-[[[(3R)-1-[3-(piperidin-4-yl)propanoyl]piperidin-3-yl}carbonyl]amino]propanoic acid.

35 5. Method for manufacturing of compounds of Formula III

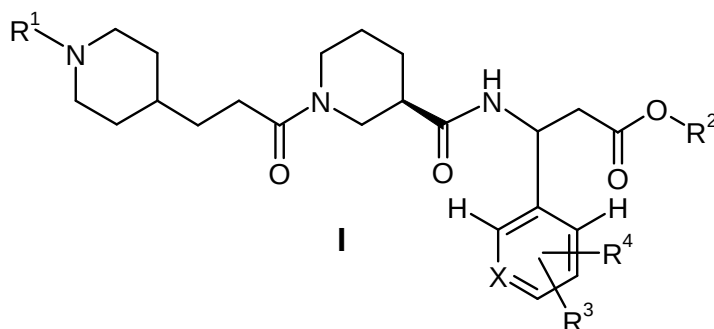


wherein

- R^3 is selected from the group consisting of H, F, CF_3 , COR^9 , $COOR^{10}$, SOR^{10} , SO_2R^{10} , CN and NO_2 ; preferably R^3 is selected from the group consisting of H, F, CF_3 , CN, NO_2 ;
- 5 R^7 is selected from the group consisting of Y, $-O(CH_2)_n-Y$, $-(OCH_2CH_2)_m-Y$, Z, $-OCH_2-Z$; $-CH_2-CH_2-Z$, $-CH=CH-Z$ and $-C\equiv C-Z$;
- X is selected from CH or N;
- Y is selected from ^{18}F or F;
- R^9 is hydrogen or (C_1-C_6) alkyl; preferably hydrogen or (C_1-C_4) alkyl, more preferably
- 10 hydrogen, methyl, ethyl or *tert*-butyl;
- R^{10} is (C_1-C_6) alkyl; preferably (C_1-C_4) alkyl, more preferably methyl, ethyl or *tert*-butyl;
- Z is a group



- wherein * indicates the atom of connection of Z;
- 15 R^5 is selected from the group consisting of H, CF_3 , COR^9 , $COOR^{10}$, SOR^{10} , SO_2R^{10} , CN and NO_2 ; preferably R^5 is selected from the group consisting of H, CF_3 , CN, NO_2 ;
- R^8 is selected from the group consisting of Y, $-O(CH_2)_n-Y$ and $-(OCH_2CH_2)_m-Y$;
- n is 1-3;
- and m is 2-3;
- 20 with the proviso that if R^7 has the meaning of Y, R^3 has the meaning of CF_3 , COR^9 , $COOR^{10}$, SOR^{10} , SO_2R^{10} , CN or NO_2 and
- with the proviso that if R^8 has the meaning of Y, R^5 has the meaning of CF_3 , COR^9 , $COOR^{10}$, SOR^{10} , SO_2R^{10} , CN or NO_2 ;
- 25 starting with compounds of Formula I,



wherein

R¹ is hydrogen or an amine-protecting group;

R² is hydrogen or a carboxyl-protecting group;

5 wherein at least one of **R**¹ and **R**² is not H;

R³ is selected from the group consisting of H, F, CF₃, COR⁹, COOR¹⁰, SOR¹⁰, SO₂R¹⁰, CN and NO₂; preferably **R**³ is selected from the group consisting of H, F, CF₃, CN, and NO₂;

R⁴ is selected from the group consisting of OH, Halogen, -NO₂, -N⁺(Me)₃(**W**), -I⁺R¹¹(**W**) - O(CH₂)_n-**LG**, -(OCH₂CH₂)_m-**LG**, **Q**, -OCH₂-**Q**; -CH₂-CH₂-**Q**, -CH=CH-**Q** and -C≡C-**Q**; preferably **R**⁴ is selected from the group consisting of OH, Halogen, -N⁺(Me)₃(**W**), - O(CH₂)_n-**LG**, -(OCH₂CH₂)_m-**LG**, **Q**, -OCH₂-**Q**; -CH₂-CH₂-**Q**, -CH=CH-**Q** and -C≡C-**Q**;

X is selected from CH or N;

LG is a leaving group;

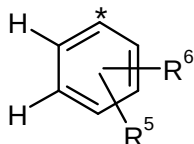
15 **R**⁹ is hydrogen or (C₁-C₆)alkyl; preferably hydrogen or (C₁-C₄) alkyl, more preferably hydrogen, methyl, ethyl or *tert*-butyl;

R¹⁰ is (C₁-C₆)alkyl; preferably (C₁-C₄) alkyl, more preferably methyl, ethyl or *tert*-butyl;

R¹¹ is selected from the group consisting of phenyl, (4-methyl)phenyl, (4-methoxy)phenyl, 2-furanyl and 2-thienyl; preferably **R**¹¹ is selected from the group consisting of (4-methoxy)phenyl and 2-thienyl;

W is selected from the group comprising CF₃(S(O)₂O)⁻, iodide anion, bromide anion and CF₃C(O)O⁻; preferably **W** is selected from the group CF₃(S(O)₂O)⁻, bromide anion and CF₃C(O)O⁻;

Q is a group



25

wherein * indicates the atom of connection of **Q**;

R⁵ is selected from the group consisting of H, CF₃, COR⁹, COOR¹⁰, SOR¹⁰, SO₂R¹⁰, CN and NO₂; preferably **R**⁵ is selected from the group consisting of H, CF₃, CN, and NO₂

R^6 is selected from the group consisting of OH, Halogen, $-NO_2$, $-N^+(Me)_3(W)$, $-I^+R^{11}(W)$, $-O(CH_2)_n-LG$ and $-(OCH_2CH_2)_m-LG$; preferably R^6 is selected from the group consisting of OH, Halogen, $-N^+(Me)_3(W)$, $-O(CH_2)_n-LG$ and $-(OCH_2CH_2)_m-LG$;

n is 1-3;

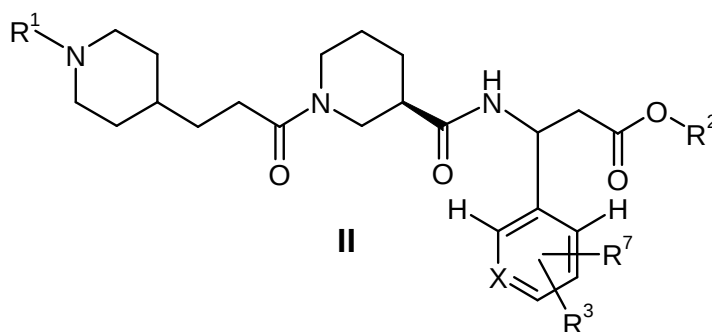
5 and m is 2-3;

with the proviso that if R^4 has the meaning of Halogen, $-NO_2$, $-N^+(Me)_3(W)$ or $-I^+R^{11}(W)$, R^3 has the meaning of CF_3 , COR^9 , $COOR^{10}$, SOR^{10} , SO_2R^{10} , CN or NO_2 and

with the proviso that if R^6 has the meaning of Halogen, $-NO_2$, $-N^+(Me)_3(W)$ or $-I^+R^{11}(W)$, R^5 has the meaning of CF_3 , COR^9 , $COOR^{10}$, SOR^{10} , SO_2R^{10} , CN or NO_2 ;

10

and a fluorination reaction to obtain compounds of Formula II,



15

wherein

R^1 is hydrogen or an amine-protecting group;

R^2 is hydrogen or a carboxyl-protecting group;

wherein at least one of R^1 and R^2 is not hydrogen;

20 R^3 is selected from the group consisting of H, F, CF_3 , COR^9 , $COOR^{10}$, SOR^{10} , SO_2R^{10} , CN and NO_2 ; preferably R^3 is selected from the group consisting of H, F, CF_3 , CN, NO_2 ;

R^7 is selected from the group consisting of Y, $-O(CH_2)_n-Y$, $-(OCH_2CH_2)_m-Y$, Z, $-OCH_2-Z$; $-CH_2-CH_2-Z$, $-CH=CH-Z$ and $-C\equiv C-Z$;

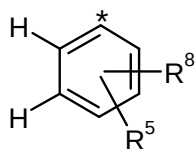
X is selected from CH or N;

25 Y is selected from ^{18}F or F;

R^9 is hydrogen or (C_1-C_6) alkyl; preferably hydrogen or (C_1-C_4) alkyl, more preferably hydrogen, methyl, ethyl or *tert*-butyl;

R^{10} is (C_1-C_6) alkyl; preferably (C_1-C_4) alkyl, more preferably methyl, ethyl or *tert*-butyl;

Z is a group



wherein * indicates the atom of connection of **Z**;

R⁵ is selected from the group consisting of H, CF₃, COR⁹, COOR¹⁰, SOR¹⁰, SO₂R¹⁰, CN and NO₂; preferably **R**⁵ is selected from the group consisting of H, CF₃, CN, NO₂;

5 **R**⁸ is selected from the group consisting of **Y**, -O(CH₂)_n-**Y** and -(OCH₂CH₂)_m-**Y**;

n is 1-3;

and **m** is 2-3;

with the proviso that if **R**⁷ has the meaning **Y**, **R**³ has the meaning of CF₃, COR⁹, COOR¹⁰, SOR¹⁰, SO₂R¹⁰, CN or NO₂ and

10 with the proviso that if **R**⁸ has the meaning **Y**, **R**⁵ has the meaning of CF₃, COR⁹, COOR¹⁰, SOR¹⁰, SO₂R¹⁰, CN or NO₂;

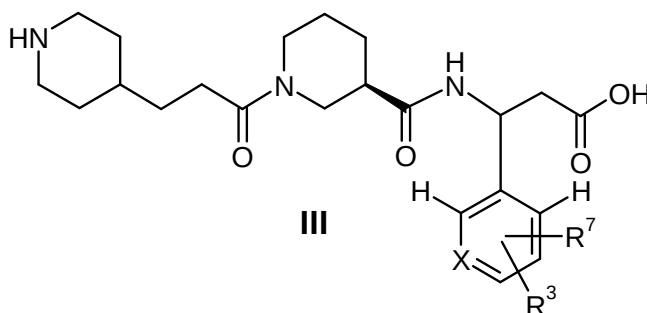
and cleavage of the protecting group(s)

or

15 reaction of compounds of Formula **I** with a ¹⁸F or F reagent or ¹⁸F or F building block and cleavage of protecting groups to obtain compounds of Formula **III**.

6. Method for manufacturing of compounds of Formula **III** according to claim 5,

20



wherein

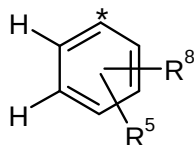
25 **R**³ is H, F, CF₃, CN or NO₂;

R⁷ is **Y**, -O(CH₂)_n-**Y**, -(OCH₂CH₂)_m-**Y**, **Z**, -OCH₂-**Z**; -CH₂-CH₂-**Z**, -CH=CH-**Z** or -C≡C-**Z**;

X is CH or N;

Y is ¹⁸F or F;

Z is a group



wherein * indicates the atom of connection of Z;

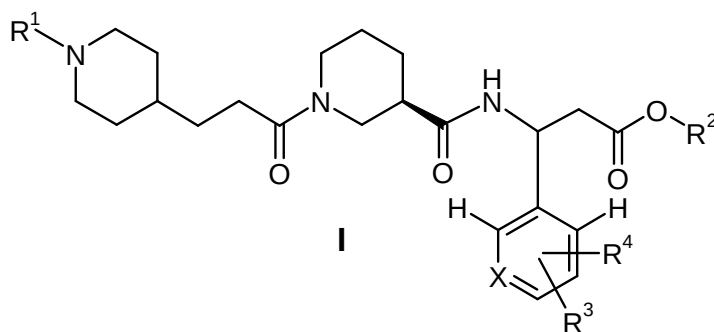
R^5 is H, CF_3 , CN or NO_2 ;

5 R^8 is Y, $-O(CH_2)_n-Y$ or $-(OCH_2CH_2)_m-Y$;

n is 1-3;

and m is 2-3,

starting with compounds of Formula I



10

wherein

R^1 is hydrogen or an amine-protecting group;

R^2 is hydrogen or a carboxyl-protecting group;

wherein at least one of R^1 and R^2 is not H;

15 R^3 is H, F, CF_3 , CN and NO_2 ;

R^4 is OH, Halogen, $-N^+(Me)_3(W)$, $-O(CH_2)_n-LG$, $-(OCH_2CH_2)_m-LG$, Q, $-OCH_2-Q$;

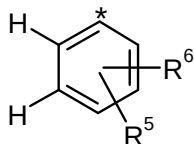
$-CH_2-CH_2-Q$, $-CH=CH-Q$ and $-C\equiv C-Q$;

X is CH or N;

LG is a leaving group;

20 W is $CF_3(SO)_2O^-$, bromide anion and $CF_3C(O)O^-$;

Q is a group



wherein * indicates the atom of connection of Q;

R^5 is H, CF_3 , CN and NO_2 ;

25 R^6 is OH, Halogen, $-N^+(Me)_3(W)$, $-O(CH_2)_n-LG$ and $-(OCH_2CH_2)_m-LG$;

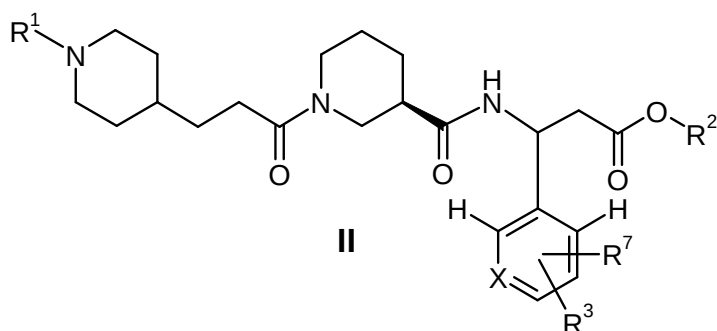
n is 1-3;

and **m** is 2-3;

with the proviso that if **R**⁴ has the meaning of Halogen or -N⁺(Me)₃(**W**), **R**³ has the meaning of CF₃, CN or NO₂ and

5 with the proviso that if **R**⁶ has the meaning of Halogen or -N⁺(Me)₃(**W**), **R**⁵ has the meaning of CF₃, CN or NO₂;

and a fluorination reaction to obtain compounds of Formula II,



10

wherein

R¹ is hydrogen or an amine-protecting group;

R² is hydrogen or a carboxyl-protecting group;

wherein at least one of **R**¹ and **R**² is not hydrogen;

15

R³ is H, F, CF₃, CN and NO₂;

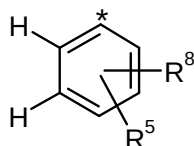
R⁷ is **Y**, -O(CH₂)_n-**Y**, -(OCH₂CH₂)_m-**Y**, **Z**, -OCH₂-**Z**; -CH₂-CH₂-**Z**, -CH=CH-**Z** or -C≡C-**Z**;

X is CH or N;

Y is ¹⁸F or F;

20

Z is a group



wherein * indicates the atom of connection of **Z**;

R⁵ is H, CF₃, CN and NO₂;

R⁸ is **Y**, -O(CH₂)_n-**Y** or -(OCH₂CH₂)_m-**Y**;

25

n is 1-3;

and **m** is 2-3;

with the proviso that if **R**⁷ has the meaning of **Y**, **R**³ has the meaning of CF₃, CN or NO₂ and with the proviso that if **R**⁸ has the meaning of **Y**, **R**⁵ has the meaning of CF₃, CN or NO₂;

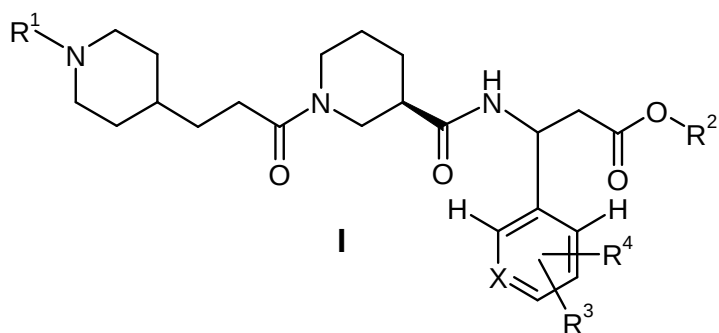
and cleavage of the protecting group(s)

or

reaction of compounds of Formula I with a ^{18}F or F reagent or ^{18}F or F building block and

5 cleavage of protecting groups to obtain compounds of Formula III.

7. Compounds of Formula I:



10

wherein

R^1 is hydrogen or an amine-protecting group; wherein the term “amine-protecting group” as employed herein by itself or as part of another group is known or obvious to someone skilled in the art, which is chosen from but not limited to a class of protecting groups namely carbamates, amides, imides, N-alkyl amines, N-aryl amines, imines, enamines, boranes, N-P protecting groups, N-sulphenyl, N-sulfonyl and N-silyl, wherein the “amine-protecting group” is preferably carbobenzyloxy (Cbz), *p*-methoxybenzyl carbonyl (Moz or MeOZ), *tert*-butyloxycarbonyl (BOC), 9-fluorenylmethyloxycarbonyl (Fmoc), benzyl (Bn), *p*-methoxybenzyl (PMB), 3,4-dimethoxybenzyl (DMPM), *p*-methoxyphenyl (PMP), triphenylmethyl (Trityl), methoxyphenyl diphenylmethyl (MMT) or the protected amino group is a 1,3-dioxo-1,3-dihydro-2H-isoindol-2-yl (phthalimido) or an azido group;

15

20

R^2 is hydrogen or a carboxyl-protecting group; wherein the term “carboxyl-protecting group” as employed herein by itself or as part of another group is known or obvious to someone skilled in the art, which is chosen from but not limited to a class of protecting groups namely esters, amides and hydrazides, wherein the “carboxyl-protecting group” is preferably methyl, ethyl, propyl, butyl, *tert*-butyl, allyl, benzyl, 4-methoxybenzyl or 4-methoxyphenyl.

25

wherein at least one of R^1 and R^2 is not H;

30

R^3 is selected from the group consisting of H, F, CF_3 , COR^9 , $COOR^{10}$, SOR^{10} , SO_2R^{10} , CN and NO_2 ; preferably R^3 is selected from the group consisting of H, F, CF_3 , CN, and NO_2 ;

5 R^4 is selected from the group consisting of OH, Halogen, $-NO_2$, $-N^+(Me)_3(W)$, $-I^+R^{11}(W)$, $-O(CH_2)_n-LG$, $-(OCH_2CH_2)_m-LG$, Q , $-OCH_2-Q$, $-CH_2-CH_2-Q$, $-CH=CH-Q$ and $-C\equiv C-Q$; preferably R^4 is selected from the group consisting of OH, Halogen, $-N^+(Me)_3(W)$, $-O(CH_2)_n-LG$, $-(OCH_2CH_2)_m-LG$, Q , $-OCH_2-Q$, $-CH_2-CH_2-Q$, $-CH=CH-Q$ and $-C\equiv C-Q$;
 X is selected from CH or N;

10 LG is a leaving group; wherein the term "leaving group" as employed herein by itself or as part of another group is known or obvious to someone skilled in the art, and means that an atom or group of atoms is detachable from a chemical substance by a nucleophilic agent, wherein preferably, the "leaving group" is fluoride, chloride, bromide, iodide or a sulfonate leaving group including but not limited to methylsulfonyloxy, (4-methylphenyl)sulfonyloxy, trifluoromethylsulfonyloxy, nonafluorobutylsulfonyloxy, (4-bromophenyl)sulfonyloxy, (4-nitrophenyl)sulfonyloxy, (2-nitrophenyl)sulfonyloxy, (4-isopropylphenyl)sulfonyloxy, (2,4,6-tri-isopropyl-phenyl)sulfonyloxy, (2,4,6-trimethylphenyl)sulfonyloxy, (4-tertbutylphenyl)sulfonyloxy, (4-methoxyphenyl)sulfonyloxy;

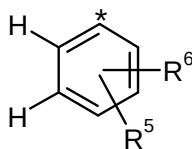
20 R^9 is hydrogen or (C_1-C_6) alkyl; preferably hydrogen or (C_1-C_4) alkyl, more preferably hydrogen, methyl, ethyl or *tert*-butyl;

R^{10} is (C_1-C_6) alkyl; preferably (C_1-C_4) alkyl, more preferably methyl, ethyl or *tert*-butyl;

R^{11} is selected from the group consisting of phenyl, (4-methyl)phenyl, (4-methoxy)phenyl, 2-furanyl and 2-thienyl; preferably R^{11} is selected from the group consisting of (4-methoxy)phenyl and 2-thienyl;

25 W is selected from the group comprising $CF_3(S(O)_2O^-$, iodide anion, bromide anion and $CF_3C(O)O^-$; preferably W is selected from the group $CF_3(S(O)_2O^-$, bromide anion and $CF_3C(O)O^-$;

Q is a group



30 wherein * indicates the atom of connection of Q ;

R^5 is selected from the group consisting of H, CF_3 , COR^9 , $COOR^{10}$, SOR^{10} , SO_2R^{10} , CN and NO_2 ; preferably R^5 is selected from the group consisting of H, CF_3 , CN, and NO_2

35 R^6 is selected from the group consisting of OH, Halogen, $-NO_2$, $-N^+(Me)_3(W)$, $-I^+R^{11}(W)$, $-O(CH_2)_n-LG$ and $-(OCH_2CH_2)_m-LG$; preferably R^6 is selected from the group consisting of OH, Halogen, $-N^+(Me)_3(W)$, $-O(CH_2)_n-LG$ and $-(OCH_2CH_2)_m-LG$;

n is 1-3;

and **m** is 2-3;

with the proviso that if **R**⁴ has the meaning of Halogen, -NO₂, -N⁺(Me)₃(**W**) or -I⁺**R**¹¹(**W**), **R**³ has the meaning of CF₃, COR⁹, COOR¹⁰, SOR¹⁰, SO₂**R**¹⁰, CN or NO₂ and

5 with the proviso that if **R**⁶ has the meaning of Halogen, -NO₂, -N⁺(Me)₃(**W**) or -I⁺**R**¹¹(**W**), **R**⁵ has the meaning of CF₃, COR⁹, COOR¹⁰, SOR¹⁰, SO₂**R**¹⁰, CN or NO₂;

including E and Z-isomers and diastereomers, mixtures thereof, and any pharmaceutically acceptable salt or complex thereof.

10

8. Compounds according to claim 7,

wherein

15 **R**¹ is hydrogen or an amine-protecting group; wherein the term "amine-protecting group" as employed herein by itself or as part of another group is known or obvious to someone skilled in the art, which is chosen from but not limited to a class of protecting groups namely carbamates, amides, imides, N-alkyl amines, N-aryl amines, imines, enamines, boranes, N-P protecting groups, N-sulfenyl, N-sulfonyl and N-silyl, wherein the "amine-protecting group" is preferably carbobenzyloxy (Cbz), *p*-methoxybenzyl
20 carbonyl (Moz or MeOZ), *tert*-butyloxycarbonyl (BOC), 9-fluorenylmethyloxycarbonyl (Fmoc), benzyl (Bn), *p*-methoxybenzyl (PMB), 3,4-dimethoxybenzyl (DMPM), *p*-methoxyphenyl (PMP), triphenylmethyl (Trityl), methoxyphenyl diphenylmethyl (MMT) or the protected amino group is a 1,3-dioxo-1,3-dihydro-2H-isoindol-2-yl (phthalimido) or an azido group;

25 **R**² is hydrogen or a carboxyl-protecting group; wherein the term "carboxyl-protecting group" as employed herein by itself or as part of another group is known or obvious to someone skilled in the art, which is chosen from but not limited to a class of protecting groups namely esters, amides and hydrazides, wherein the "carboxyl-protecting group" is preferably methyl, ethyl, propyl, butyl, *tert*-butyl, allyl, benzyl, 4-methoxybenzyl or 4-methoxyphenyl;

30

wherein at least one of **R**¹ and **R**² is not H;

R³ is H, F, CF₃, CN and NO₂;

R⁴ is OH, Halogen, -N⁺(Me)₃(**W**), -O(CH₂)_n-**LG**, -(OCH₂CH₂)_m-**LG**, **Q**, -OCH₂-**Q**; -CH₂-CH₂-**Q**, -CH=CH-**Q** and -C≡C-**Q**;

35

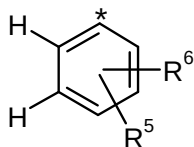
X is CH or N;

LG is a leaving group; wherein the term "leaving group" as employed herein by itself or as part of another group is known or obvious to someone skilled in the art, and means that an atom or group of atoms is detachable from a chemical substance by a

nucleophilic agent, wherein preferably, the "leaving group" is fluoride, chloride, bromide, iodide or a sulfonate leaving group including but not limited to methylsulfonyloxy, (4-methylphenyl)sulfonyloxy, trifluormethylsulfonyloxy, nonafluorobutylsulfonyloxy, (4-bromophenyl)sulfonyloxy, (4-nitrophenyl)sulfonyloxy, (2-nitrophenyl)sulfonyloxy, (4-isopropylphenyl)sulfonyloxy, (2,4,6-tri-isopropyl-phenyl)sulfonyloxy, (2,4,6-trimethylphenyl)sulfonyloxy, (4-tertbutylphenyl)sulfonyloxy, (4-methoxyphenyl)sulfonyloxy;

W is $\text{CF}_3(\text{S}(\text{O})_2\text{O}^-)$, bromide anion and $\text{CF}_3\text{C}(\text{O})\text{O}^-$;

Q is a group



wherein * indicates the atom of connection of **Q**;

R⁵ is H, CF_3 , CN and NO_2 ;

R⁶ is OH, Halogen, $-\text{N}^+(\text{Me})_3(\text{W})$, $-\text{O}(\text{CH}_2)_n\text{-LG}$ and $-(\text{OCH}_2\text{CH}_2)_m\text{-LG}$;

n is 1-3;

and **m** is 2-3;

with the proviso that if **R**⁴ has the meaning of Halogen or $-\text{N}^+(\text{Me})_3(\text{W})$, **R**³ has the meaning of CF_3 , CN or NO_2 and

with the proviso that if **R**⁶ has the meaning of Halogen or $-\text{N}^+(\text{Me})_3(\text{W})$, **R**⁵ has the meaning of CF_3 , CN or NO_2 ;

including E and Z-isomers and diastereomers and mixtures thereof.

9. Compounds according to claim 7 or 8,

wherein

R¹ is hydrogen or an amine-protecting group selected from the group comprising *tert*-butyloxycarbonyl (BOC), carbobenzyloxy (Cbz) and 9-fluorenylmethyloxycarbonyl (FMOC);

R² is hydrogen or a carboxyl-protecting group selected from the group comprising methyl, ethyl, propyl, *tert*-butyl, benzyl and *p*-methoxybenzyl;

wherein at least one of **R**¹ and **R**² is not H;

R³ is H, F, CF_3 , CN and NO_2 ;

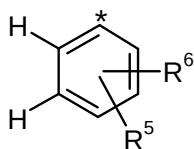
R⁴ is OH, Halogen, $-\text{N}^+(\text{Me})_3(\text{W})$, $-\text{O}(\text{CH}_2)_n\text{-LG}$, $-(\text{OCH}_2\text{CH}_2)_m\text{-LG}$, **Q**, $-\text{OCH}_2\text{-Q}$; $-\text{CH}_2\text{-CH}_2\text{-Q}$, $-\text{CH}=\text{CH-Q}$ and $-\text{C}\equiv\text{C-Q}$;

X is CH or N;

LG is a leaving group selected from the group comprising methylsulfonyloxy and (4-methylphenyl)sulfonyloxy;

W is $\text{CF}_3(\text{S}(\text{O})_2\text{O}^-)$, bromide anion and $\text{CF}_3\text{C}(\text{O})\text{O}^-$;

Q is a group



5

wherein * indicates the atom of connection of **Q**;

R⁵ is H, CF_3 , CN and NO_2 ;

R⁶ is OH, Halogen, $-\text{N}^+(\text{Me})_3(\text{W})$, $-\text{O}(\text{CH}_2)_n\text{-LG}$ and $-(\text{OCH}_2\text{CH}_2)_m\text{-LG}$;

n is 1-3;

10

and **m** is 2-3;

with the proviso that if **R**⁴ has the meaning of Halogen or $-\text{N}^+(\text{Me})_3(\text{W})$, **R**³ has the meaning of CF_3 , CN or NO_2 and

with the proviso that if **R**⁶ has the meaning of Halogen or $-\text{N}^+(\text{Me})_3(\text{W})$, **R**⁵ has the meaning of CF_3 , CN or NO_2 ;

15

including E and Z-isomers and diastereomers and mixtures thereof.

10. Compounds according to any of the claims 7 to 9,

wherein

20

R¹ is *tert*-butyloxycarbonyl (BOC);

R² is methyl or *tert*-butyl;

wherein at least one of **R**¹ and **R**² is not H;

R³ is H;

R⁴ is $-\text{O}(\text{CH}_2)_n\text{-LG}$;

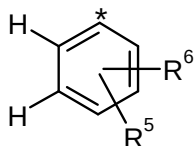
25

X is N;

LG is methylsulfonyloxy and (4-methylphenyl)sulfonyloxy;

W is $\text{CF}_3(\text{S}(\text{O})_2\text{O}^-)$, bromide anion and $\text{CF}_3\text{C}(\text{O})\text{O}^-$;

Q is a group



30

wherein * indicates the atom of connection of **Q**;

R⁵ is H, CF_3 , CN and NO_2 ;

R⁶ is OH, Halogen, $-\text{N}^+(\text{Me})_3(\text{W})$, $-\text{O}(\text{CH}_2)_n\text{-LG}$ and $-(\text{OCH}_2\text{CH}_2)_m\text{-LG}$;

n is 1-3;

and **m** is 2-3;

with the proviso that if **R**⁴ has the meaning of Halogen or -N⁺(Me)₃(**W**), **R**³ has the meaning of CF₃, CN or NO₂ and

5 with the proviso that if **R**⁶ has the meaning of Halogen or -N⁺(Me)₃(**W**), **R**⁵ has the meaning of CF₃, CN or NO₂;

including E and Z-isomers and diastereomers and mixtures thereof.

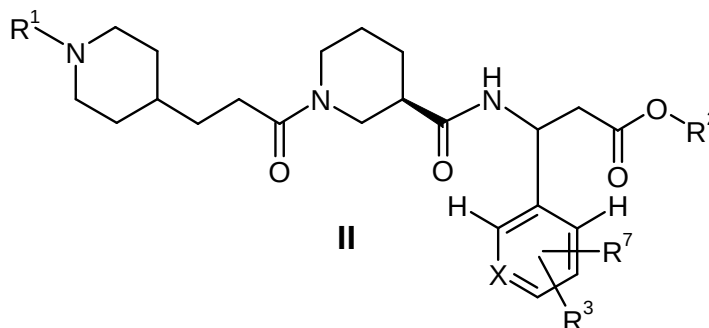
10 11. Compounds of Formula I:

tert-butyl 4-{3-[(3*R*)-3-[(1*S*)-3-methoxy-1-[3-(2-[(4-methylphenyl)sulfonyl]oxy)ethoxy)-phenyl]-3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
tert-butyl 4-{3-[(3*R*)-3-[(3-methoxy-1-[4-(2-[(4-methylphenyl)sulfonyl]oxy)ethoxy)phenyl]-3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
15 *tert*-butyl 4-{3-[(3*R*)-3-[(1*S*)-3-*tert*-butoxy-1-[4-(2-[(4-methylphenyl)sulfonyl]oxy)ethoxy)-phenyl]-3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
tert-butyl 4-{3-[(3*R*)-3-[(1*S*)-3-methoxy-1-[5-(2-[(4-methylphenyl)sulfonyl]oxy)ethoxy)-pyridin-3-yl]-3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
20 *tert*-butyl 4-{3-[(3*R*)-3-[(1*S*)-3-*tert*-butoxy-1-[5-(2-[(4-methylphenyl)sulfonyl]oxy)ethoxy)-pyridin-3-yl]-3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
tert-butyl 4-{3-[(3*R*)-3-[(3-methoxy-1-[5-[4-(2-[(4-methylphenyl)sulfonyl]oxy)ethoxy)-phenyl]pyridin-3-yl]-3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
25 *tert*-butyl 4-{3-[(3*R*)-3-[(1*S*)-3-*tert*-butoxy-1-[5-[4-(2-[(4-methylphenyl)sulfonyl]oxy)-ethoxy)phenyl]pyridin-3-yl]-3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate;
tert-butyl 4-{3-[(3*R*)-3-[(1-[5-(4-chloro-3-cyanophenyl)pyridin-3-yl]-3-methoxy-3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
tert-butyl 4-{3-[(3*R*)-3-[(1*S*)-1-[5-[(3-bromo-4-cyanobenzyl)oxy]pyridin-3-yl]-3-methoxy-3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
30 *tert*-butyl 4-{3-[(3*R*)-3-[(3-methoxy-1-[5-[3-(2-[(4-methylphenyl)sulfonyl]oxy)ethoxy)-phenyl]pyridin-3-yl]-3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
tert-butyl 4-{3-[(3*R*)-3-[(3-methoxy-1-[5-[2-(2-[(4-methylphenyl)sulfonyl]oxy)ethoxy)-phenyl]pyridin-3-yl]-3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
35 *tert*-butyl 4-{3-[(3*R*)-3-[(1-[5-(4-chloro-3-nitrophenyl)pyridin-3-yl]-3-methoxy-3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,

- tert*-butyl 4-{3-[(3*R*)-3-({1-[5-fluoro-4'-(2-[[[4-methylphenyl]sulfonyl]oxy}ethoxy)biphenyl-3-yl]-3-methoxy-3-oxopropyl}carbamoyl)piperidin-1-yl]-3-oxopropyl} piperidine-1-carboxylate,
- 5 *tert*-butyl 4-{3-[(3*R*)-3-[(1*S*)-3-*tert*-butoxy-1-(5-{[4-(2-[[[4-methylphenyl]sulfonyl]oxy}-ethoxy)phenyl]ethynyl}pyridin-3-yl)-3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}-piperidine-1-carboxylate,
- tert*-butyl 4-{3-[(3*R*)-3-[(1*S*)-3-*tert*-butoxy-1-(5-{[3-(2-[[[4-methylphenyl]sulfonyl]oxy}-ethoxy)phenyl]ethynyl}pyridin-3-yl)-3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}-piperidine-1-carboxylate,
- 10 *tert*-butyl 4-{3-[(3*R*)-3-[(1*S*)-3-*tert*-butoxy-1-(5-{2-[4-(2-[[[4-methylphenyl]sulfonyl]oxy}-ethoxy)phenyl]ethyl}pyridin-3-yl)-3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}-piperidine-1-carboxylate,
- tert*-butyl 4-{3-[(3*R*)-3-[(1*S*)-3-*tert*-butoxy-1-(5-{2-[3-(2-[[[4-methylphenyl]sulfonyl]oxy}-ethoxy)phenyl]ethyl}pyridin-3-yl)-3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}-piperidine-1-carboxylate,
- 15 *tert*-butyl 4-{3-[(3*R*)-3-({1-(4-hydroxyphenyl)-3-methoxy-3-oxopropyl}carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
- tert*-butyl 4-{3-[(3*R*)-3-[(1*S*)-1-[3-hydroxyphenyl]-3-methoxy-3-oxopropyl}carbamoyl)-piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
- 20 *tert*-butyl 4-{3-[(3*R*)-3-[(1*S*)-1-[5-(hydroxy)pyridin-3-yl]-3-methoxy-3-oxopropyl]-carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
- tert*-butyl 4-{3-[(3*R*)-3-({1-[5-(3-hydroxyphenyl)pyridin-3-yl]-3-methoxy-3-oxopropyl}-carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
- tert*-butyl 4-{3-[(3*R*)-3-({1-[5-(4-hydroxyphenyl)pyridin-3-yl]-3-methoxy-3-oxopropyl}-carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
- 25 *tert*-butyl 4-{3-[(3*R*)-3-({1-[5-(2-hydroxyphenyl)pyridin-3-yl]-3-methoxy-3-oxopropyl}-carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
- tert*-butyl 4-{3-[(3*R*)-3-[(1-(5-fluoro-4'-hydroxybiphenyl-3-yl)-3-methoxy-3-oxopropyl]-carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
- 30 *tert*-butyl 4-{3-[(3*R*)-3-[(1*S*)-3-*tert*-butoxy-1-(4-hydroxyphenyl)-3-oxopropyl]-carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
- tert*-butyl 4-{3-[(3*R*)-3-[(1*S*)-3-*tert*-butoxy-1-(5-hydroxypyridin-3-yl)-3-oxopropyl]-carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
- tert*-butyl 4-{3-[(3*R*)-3-[(1*S*)-3-*tert*-butoxy-1-[5-(4-hydroxyphenyl)pyridin-3-yl]-3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
- 35 *tert*-butyl 4-{3-[(3*R*)-3-[(3-*tert*-butoxy-1-{5-[(4-hydroxyphenyl)ethynyl]pyridin-3-yl]-3-oxopropyl}carbamoyl]piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
- tert*-butyl 4-{3-[(3*R*)-3-[(1*S*)-3-*tert*-butoxy-1-{5-[(3-hydroxyphenyl)ethynyl]pyridin-3-yl]-3-

oxopropyl]carbamoyl]piperidin-1-yl]-3-oxopropyl]piperidine-1-carboxylate,
tert-butyl 4-(3-((3*R*)-3-[(3-*tert*-butoxy-1-{5-[2-(4-hydroxyphenyl)ethyl]pyridin-3-yl}-3-oxopropyl]carbamoyl]piperidin-1-yl]-3-oxopropyl]piperidine-1-carboxylate,
tert-butyl 4-(3-((3*R*)-3-[(3-*tert*-butoxy-1-{5-[2-(3-hydroxyphenyl)ethyl]pyridin-3-yl}-3-oxopropyl]carbamoyl]piperidin-1-yl]-3-oxopropyl]piperidine-1-carboxylate,

12. Compounds of Formula II:



wherein

R¹ is hydrogen or an amine-protecting group; wherein the term “amine-protecting group” as employed herein by itself or as part of another group is known or obvious to someone skilled in the art, which is chosen from but not limited to a class of protecting groups namely carbamates, amides, imides, N-alkyl amines, N-aryl amines, imines, enamines, boranes, N-P protecting groups, N-sulfenyl, N-sulfonyl and N-silyl, wherein the “amine-protecting group” is preferably carbobenzyloxy (Cbz), *p*-methoxybenzyl carbonyl (Moz or MeOZ), *tert*-butyloxycarbonyl (BOC), 9-fluorenylmethyloxycarbonyl (Fmoc), benzyl (Bn), *p*-methoxybenzyl (PMB), 3,4-dimethoxybenzyl (DMPM), *p*-methoxyphenyl (PMP), triphenylmethyl (Trityl), methoxyphenyl diphenylmethyl (MMT) or the protected amino group is a 1,3-dioxo-1,3-dihydro-2H-isoindol-2-yl (phthalimido) or an azido group;

R² is hydrogen or a carboxyl-protecting group; wherein the term “carboxyl-protecting group” as employed herein by itself or as part of another group is known or obvious to someone skilled in the art, which is chosen from but not limited to a class of protecting groups namely esters, amides and hydrazides, wherein the “carboxyl-protecting group” is preferably methyl, ethyl, propyl, butyl, *tert*-butyl, allyl, benzyl, 4-methoxybenzyl or 4-methoxyphenyl;

wherein at least one of **R¹** and **R²** is not hydrogen;

R³ is selected from the group consisting of H, F, CF₃, COR⁹, COOR¹⁰, SOR¹⁰, SO₂R¹⁰, CN and NO₂; preferably **R³** is selected from the group consisting of H, F, CF₃, CN, NO₂;

R^7 is selected from the group consisting of Y , $-O(CH_2)_n-Y$, $-(OCH_2CH_2)_m-Y$, Z , $-OCH_2-Z$, $-CH_2-CH_2-Z$, $-CH=CH-Z$ and $-C\equiv C-Z$;

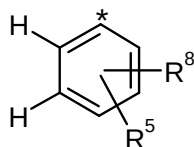
X is selected from CH or N ;

Y is selected from ^{18}F or F ;

5 R^9 is hydrogen or (C_1-C_6) alkyl; preferably hydrogen or (C_1-C_4) alkyl, more preferably hydrogen, methyl, ethyl or *tert*-butyl;

R^{10} is (C_1-C_6) alkyl; preferably (C_1-C_4) alkyl, more preferably methyl, ethyl or *tert*-butyl;

Z is a group



10 wherein * indicates the atom of connection of Z ;

R^5 is selected from the group consisting of H , CF_3 , COR^9 , $COOR^{10}$, SOR^{10} , SO_2R^{10} , CN and NO_2 ; preferably R^5 is selected from the group consisting of H , CF_3 , CN , NO_2 ;

R^8 is selected from the group consisting of Y , $-O(CH_2)_n-Y$ and $-(OCH_2CH_2)_m-Y$;

n is 1-3;

15 and m is 2-3;

with the proviso that if R^7 has the meaning Y , R^3 has the meaning of CF_3 , COR^9 , $COOR^{10}$, SOR^{10} , SO_2R^{10} , CN or NO_2 and

with the proviso that if R^8 has the meaning Y , R^5 has the meaning of CF_3 , COR^9 , $COOR^{10}$, SOR^{10} , SO_2R^{10} , CN or NO_2 ;

20

including *E* and *Z*-isomers and diastereomers, mixtures thereof, and any pharmaceutically acceptable salt or complex thereof.

25 13. Compounds according to claim 12,

wherein

R^1 is hydrogen or an amine-protecting group; wherein the term "amine-protecting group" as employed herein by itself or as part of another group is known or obvious to someone skilled in the art, which is chosen from but not limited to a class of protecting groups namely carbamates, amides, imides, *N*-alkyl amines, *N*-aryl amines, imines, enamines, boranes, *N*-P protecting groups, *N*-sulfenyl, *N*-sulfonyl and *N*-silyl, wherein the "amine-protecting group" is preferably carbobenzyloxy (Cbz), *p*-methoxybenzyl carbonyl (Moz or MeOZ), *tert*-butyloxycarbonyl (BOC), 9-fluorenylmethyloxycarbonyl (Fmoc), benzyl (Bn), *p*-methoxybenzyl (PMB), 3,4-dimethoxybenzyl (DMPM), *p*-

35

methoxyphenyl (PMP), triphenylmethyl (Trityl), methoxyphenyl diphenylmethyl (MMT) or the protected amino group is a 1,3-dioxo-1,3-dihydro-2H-isoindol-2-yl (phthalimido) or an azido group;

5 R^2 is hydrogen or a carboxyl-protecting group; wherein the term "carboxyl-protecting group" as employed herein by itself or as part of another group is known or obvious to someone skilled in the art, which is chosen from but not limited to a class of protecting groups namely esters, amides and hydrazides, wherein the "carboxyl-protecting group" is preferably methyl, ethyl, propyl, butyl, *tert*-butyl, allyl, benzyl, 4-methoxybenzyl or 4-methoxyphenyl;

10 wherein at least one of R^1 and R^2 is not hydrogen;

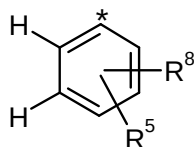
R^3 is H, F, CF_3 , CN and NO_2 ;

R^7 is Y, $-O(CH_2)_n-Y$, $-(OCH_2CH_2)_m-Y$, Z, $-OCH_2-Z$, $-CH_2-CH_2-Z$, $-CH=CH-Z$ or $-C\equiv C-Z$;

X is CH or N;

15 Y is ^{18}F or F;

Z is a group



wherein * indicates the atom of connection of Z;

R^5 is H, CF_3 , CN and NO_2 ;

20 R^8 is Y, $-O(CH_2)_n-Y$ or $-(OCH_2CH_2)_m-Y$;

n is 1-3;

and m is 2-3;

with the proviso that if R^7 has the meaning of Y, R^3 has the meaning of CF_3 , CN or NO_2 and

with the proviso that if R^8 has the meaning of Y, R^5 has the meaning of CF_3 , CN or NO_2 ;

25 including E and Z-isomers and diastereomers and mixtures thereof.

14. Compounds according to claims 12 or 13,

wherein

30 R^1 is hydrogen or an amine-protecting group selected from the group comprising *tert*-butyloxycarbonyl (BOC), carbobenzyloxy (Cbz) and 9-fluorenylmethyloxycarbonyl (Fmoc);

R^2 is hydrogen or a carboxyl-protecting group selected from the group comprising methyl, ethyl, propyl, *tert*-butyl, benzyl and *p*-methoxybenzyl;

35 wherein at least one of R^1 and R^2 is not hydrogen;

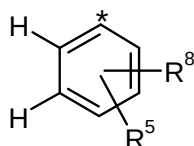
R^3 is H, F, CF_3 , CN and NO_2 ;

R^7 is Y, $-O(CH_2)_n-Y$, $-(OCH_2CH_2)_m-Y$, Z, $-OCH_2-Z$, $-CH_2-CH_2-Z$, $-CH=CH-Z$
or $-C\equiv C-Z$;

X is N;

5 Y is ^{18}F or F;

Z is a group



wherein * indicates the atom of connection of Z;

R^5 is H, CF_3 , CN and NO_2 ;

10 R^8 is Y, $-O(CH_2)_n-Y$ or $-(OCH_2CH_2)_m-Y$;

n is 1-3;

and m is 2-3;

with the proviso that if R^7 has the meaning of Y, R^3 has the meaning of CF_3 , CN or NO_2 and

with the proviso that if R^8 has the meaning of Y, R^5 has the meaning of CF_3 , CN or NO_2 ;

15 including E and Z-isomers and diastereomers and mixtures thereof.

15. Compounds according to any of the claims 12 to 14,

wherein

20 R^1 is *tert*-butoxycarbonyl (BOC);

R^2 is methyl or *tert*-butyl;

wherein at least one of R^1 and R^2 is not hydrogen;

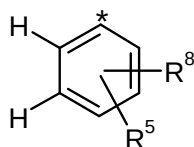
R^3 is H;

R^7 is $-O(CH_2)_n-Y$;

25 X is N;

Y is ^{18}F or F;

Z is a group



wherein * indicates the atom of connection of Z;

30 R^5 is H, CF_3 , CN and NO_2 ;

R^8 is Y, $-O(CH_2)_n-Y$ or $-(OCH_2CH_2)_m-Y$;

n is 1-3;

and **m** is 2-3;

with the proviso that if **R**⁷ has the meaning of **Y**, **R**³ has the meaning of CF₃, CN or NO₂ and
with the proviso that if **R**⁸ has the meaning of **Y**, **R**⁵ has the meaning of CF₃, CN or NO₂;
including E and Z-isomers and diastereomers and mixtures thereof.

5

16. Compounds of Formula II:

- 3-(((3*R*)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-yl]carbonyl)-
amino)-3-[3-(2-fluoroethoxy)phenyl]propanoic acid,
3-(((3*R*)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-yl]carbonyl)-
10 amino)-3-[4-(2-fluoroethoxy)phenyl]propanoic acid,
tert-butyl 4-{3-[(3*R*)-3-((1*S*)-3-*tert*-butoxy-1-[5-(2-fluoroethoxy)pyridin-3-yl]-3-oxopropyl)-
carbamoyl]piperidin-1-yl}-3-oxopropyl}piperidine-1-carboxylate,
tert-butyl-4-{3-[(3*R*)-3-[(1*S*)-1-(3-{2-[2-(2-fluoroethoxy)ethoxy]ethoxy}phenyl)-3-
methoxy-3-oxopropyl]carbamoyl]piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
15 *tert*-butyl-4-{3-[(3*R*)-3-[(1*S*)-1-(5-{2-[2-(2-fluoroethoxy)ethoxy]ethoxy}pyridin-3-yl)-3-
methoxy-3-oxopropyl]carbamoyl]piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
tert-butyl 4-{3-[(3*R*)-3-[(1-{5-[3-(2-fluoroethoxy)phenyl]pyridin-3-yl}-3-methoxy-3-
oxopropyl]carbamoyl]piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
3-(((3*R*)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-yl]carbonyl)-
20 amino)-3-{5-[3-(2-fluoroethoxy)phenyl]pyridin-3-yl}propanoic acid,
tert-butyl 4-{3-[(3*R*)-3-[(1-{5-[4-(2-fluoroethoxy)phenyl]pyridin-3-yl}-3-methoxy-3-
oxopropyl]carbamoyl]piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
3-(((3*R*)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-
yl]carbonyl)amino)-3-{5-[4-(2-fluoroethoxy)phenyl]pyridin-3-yl}propanoic acid,
25 *tert*-butyl 4-{3-[(3*R*)-3-[(1-{5-[2-(2-fluoroethoxy)phenyl]pyridin-3-yl}-3-methoxy-3-
oxopropyl]carbamoyl]piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
3-(((3*R*)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-yl)-
carbonyl)amino)-3-{5-[2-(2-fluoroethoxy)phenyl]pyridin-3-yl}propanoic acid,
tert-butyl 4-{3-[(3*R*)-3-[(1-{5-(3-cyano-4-fluorophenyl)pyridin-3-yl}-3-methoxy-3-
30 oxopropyl]carbamoyl]piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
3-(((3*R*)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-yl]
carbonyl)amino)-3-[5-(3-cyano-4-fluorophenyl)pyridin-3-yl]propanoic acid,
tert-butyl 4-{3-[(3*R*)-3-[(1-{5-(4-cyano-3-fluorophenyl)pyridin-3-yl}-3-methoxy-3-
oxopropyl]carbamoyl]piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
35 3-(((3*R*)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-yl]
carbonyl)amino)-3-[5-(4-cyano-3-fluorophenyl)pyridin-3-yl]propanoic acid,
tert-butyl 4-{3-[(3*R*)-3-[(1-{5-(4-fluoro-3-nitrophenyl)pyridin-3-yl}-3-methoxy-3-
oxopropyl]carbamoyl]piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,

3-(((3*R*)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-yl)carbonyl)amino)-3-[5-(4-fluoro-3-nitrophenyl)pyridin-3-yl]propanoic acid,
tert-butyl 4-{3-[(3*R*)-3-[(1*S*)-1-{5-[(3-cyano-4-fluorobenzyl)oxy]pyridin-3-yl}-3-methoxy-3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
5 *tert*-butyl 4-{3-[(3*R*)-3-[(1*S*)-1-{5-[(4-cyano-3-fluorobenzyl)oxy]pyridin-3-yl}-3-methoxy-3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
tert-butyl 4-{3-[(3*R*)-3-[(1*S*)-3-*tert*-butoxy-1-(5-{[4-(2-fluoroethoxy)phenyl]ethynyl}-pyridine-3-yl)-3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
10 *tert*-butyl 4-{3-[(3*R*)-3-[(1*S*)-3-*tert*-butoxy-1-(5-{[3-(2-fluoroethoxy)phenyl]ethynyl}pyridin-3-yl)3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
tert-butyl 4-{3-[(3*R*)-3-({1-[5-fluoro-4'-(2-fluoroethoxy)biphenyl-3-yl]-3-methoxy-3-oxopropyl}carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
3-(((3*R*)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-yl)carbonyl)amino)-3-[5-fluoro-4'-(2-fluoroethoxy)biphenyl-3-yl]propanoic acid,
15 *tert*-butyl 4-{3-[(3*R*)-3-[(1*S*)-3-*tert*-butoxy-1-({[4-(2-fluoroethoxy)phenyl]ethyl}pyridin-3-yl)-3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
tert-butyl 4-{3-[(3*R*)-3-[(1*S*)-3-*tert*-butoxy-1-({[3-(2-fluoroethoxy)phenyl]ethyl}pyridin-3-yl)-3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
20 (*E/Z*) *tert*-butyl 4-{3-[(3*R*)-3-[(1*S*)-3-*tert*-butoxy-1-({[3-(2-fluoroethoxy)phenyl]ethenyl}pyridin-3-yl)3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,,
tert-butyl 4-{3-[(3*R*)-3-[(1*S*)-1-{3-[2-¹⁸F]fluoroethoxy]phenyl}-3-methoxy-3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate
(3*S*)-3-(((3*R*)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-yl)carbonyl)amino)-3-{3-[2-¹⁸F]fluoroethoxy]phenyl}propanoic acid,
25 *tert*-butyl 4-{3-[(3*R*)-3-({1-[4-(2-¹⁸F]fluoroethoxy)phenyl]-3-methoxy-3-oxopropyl}carbamoyl)piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
3-(((3*R*)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-yl)carbonyl)amino)-3-[4-[2-¹⁸F]fluoroethoxy]phenyl}propanoic acid,
30 *tert*-butyl 4-{3-[(3*R*)-3-[(1*S*)-3-*tert*-butoxy-1-[5-(2-¹⁸F]fluoroethoxy)pyridin-3-yl]-3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
tert-butyl 4-{3-[(3*R*)-3-[(1*S*)-1-[5-(2-¹⁸F]fluoroethoxy)pyridin-3-yl]-3-methoxy-3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
(3*S*)-3-(((3*R*)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-yl)carbonyl)amino)-3-[5-[2-¹⁸F]fluoroethoxy]pyridin-3-yl}propanoic acid,
35 *tert*-butyl 4-{3-[(3*R*)-3-({1-[5-[2-¹⁸F]fluoroethoxy]pyridin-3-yl}-3-methoxy-3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,

3-(((3*R*)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-yl)-
 carbonyl)amino)-3-{5-[2-¹⁸F]fluoroethoxy}pyridin-3-yl}propanoic acid ,
tert-butyl 4-{3-[(3*R*)-3-[(1*S*)-1-(5-{4-[2-¹⁸F]fluoroethoxy}phenyl)pyridin-3-yl)-3-methoxy-
 3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
 5 (3*S*)-3-(((3*R*)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-yl)-
 carbonyl)amino)-3-(5-{4-[2-¹⁸F]fluoroethoxy}phenyl)pyridin-3-yl}propanoic acid,
tert-butyl 4-{3-[(3*R*)-3-[(1*S*)-3-*tert*-butoxy-1-(5-{4-[2-¹⁸F]fluoroethoxy}phenyl)pyridin-3-
 yl)-3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
tert-butyl 4-(3-{(3*R*)-3-[(1-{5-[3-cyano-4-¹⁸F]fluorophenyl}pyridin-3-yl)-3-methoxy-3-
 10 oxopropyl]carbamoyl}piperidin-1-yl)-3-oxopropyl}piperidine-1-carboxylate,
 3-(((3*R*)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-
 yl)carbonyl)amino)-3-[5-(3-cyano-4-¹⁸F]fluorophenyl)pyridin-3-yl}propanoic acid,
tert-butyl 4-(3-{(3*R*)-3-[(1-{5-[4-cyano-3-¹⁸F]fluorophenyl]pyridin-3-yl)-3-methoxy-3-
 oxopropyl]carbamoyl}piperidin-1-yl)-3-oxopropyl}piperidine-1-carboxylate,
 15 3-(((3*R*)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-
 yl)carbonyl)amino)-3-[5-[4-cyano-3-¹⁸F]fluorophenyl]pyridin-3-yl}propanoic acid,
tert-butyl 4-{3-[(3*R*)-3-[(1*S*)-3-*tert*-butoxy-1-(5-{[4-(2-¹⁸F]fluoroethoxy)phenyl]ethynyl} -
 pyridine-3-yl)-3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-
 carboxylate,
 20 *tert*-butyl 4-{3-[(3*R*)-3-[(1*S*)-3-*tert*-butoxy-1-(5-{[3-(2-¹⁸F]fluoroethoxy)phenyl]ethynyl}
 pyridin-3-yl)-3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
tert-butyl 4-{3-[(3*R*)-3-[(1*S*)-3-*tert*-butoxy-1-([4-(2-¹⁸F]fluoroethoxy)phenyl]ethyl}
 pyridin-3-yl)-3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
tert-butyl 4-{3-[(3*R*)-3-[(1*S*)-3-*tert*-butoxy-1-([3-(2-¹⁸F]fluoroethoxy)phenyl]ethyl}
 25 pyridin-3-yl)3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
tert-butyl 4-{3-[(3*R*)-3-[(1-[3-(2-{2-[2-¹⁸F]fluoroethoxy}ethoxy)ethoxy)phenyl]-3-methoxy-
 3-oxopropyl]carbamoyl}piperidin-1-yl]-3-oxopropyl}piperidine-1-carboxylate,
 3-(((3*R*)-1-{3-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]propanoyl}piperidin-3-yl)carbonyl)-
 amino)-3-[3-(2-{2-[2-¹⁸F]fluoroethoxy}ethoxy)ethoxy)phenyl]propanoic acid,
 30

17. Use of the compounds of Formula III or mixtures thereof for the manufacture of diagnostic agents, especially for imaging thrombi.

18. A kit comprising a sealed vial containing a predetermined quantity of a compound of
 35 Formula I according to any of the claims 7 to 11, stereoisomers thereof and their mixtures, and suitable salts of inorganic or organic bases and acids thereof, hydrates, and solvates thereof.