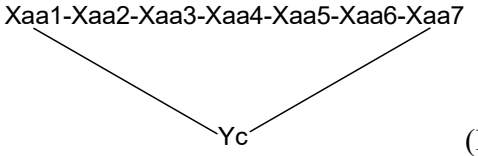
Claims

1. A compound comprising a cyclic peptide

of formula (I)



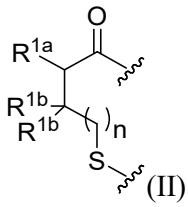
(I)

and an N-terminal modification group A attached to Xaa1,

wherein

the peptide sequence is drawn from left to right in N to C-terminal direction,

Xaa1 is a residue of an amino acid of formula (II)



(II)

wherein

R^{1a} is –NH-

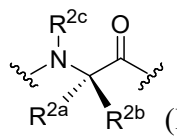
R^{1b} is H or CH_3,

n = 0 or 1,

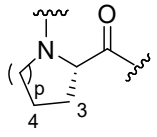
the N-terminal modification group A is covalently attached to the nitrogen atom of Xaa1,

the carbonyl group of Xaa1 is covalently attached to the nitrogen of Xaa2, and the sulfur atom of Xaa1 is covalently attached as thioether to Yc;

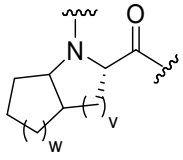
Xaa2 is a residue of an amino acid of formula (III), (IV) or (XX)



(III)



(IV)



(XX)

wherein

R^{2a}, $R^{2b}$and R^{2c} are each and independently selected from the group consisting of (C_1-C_2)alkyl and H, wherein said (C_1-C_2)alkyl maybe substituted by a substituent selected from the group consisting of OH, NH_2, halogen, (C_5-C_7)cycloalkyl,

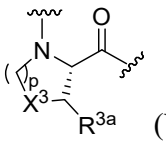
p = 0, 1 or 2

v = 1 or 2

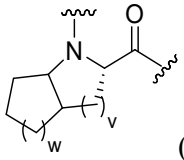
w = 1, 2 or 3 and

the amino acid of formula (IV) maybe substituted by one or two substituents selected from the group consisting of methyl, OH, NH_2 and F, at indicated ring positions 3 and 4;

Xaa3 is a residue of an amino acid of formula (V) or (XX)



(V)



(XX)

wherein

X^3 is selected from the group consisting of CH_2, CF_2, CH-R^{3b}, S, O and NH,

p = 1 or 2

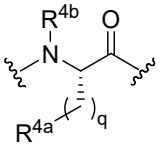
v = 1 or 2

w = 1, 2 or 3,

R^{3a} is H, methyl, OH, NH_2 or F,

R^{3b} is methyl, OH, NH_2 or F;

Xaa4 is a residue of an amino acid of formula (VI)



(VI)

wherein

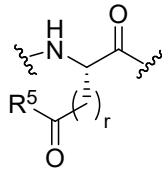
R^{4a} is selected from the group consisting of H, OH, COOH, $CONH_2$, X^4 and –

NH-CO-X^4, wherein X^4 is selected from the group consisting of (C_1-C_6)alkyl, (C_5-C_6)aryl and (C_5-C_6)heteroaryl, and X^4 may be substituted by one or two substituents selected from the group consisting of methyl, $CONH_2$, halogen, NH_2 and OH;

q = 1, 2 or 3, wherein optionally, one or two hydrogens of said one, two, or three CH_2-groups are each and individually substituted by methyl, ethyl, (C_5-C_6)aryl or (C_5-C_6)heteroaryl,

R^{4b} is methyl or H;

Xaa5 is a residue of an amino acid of structure (VII)



(VII)

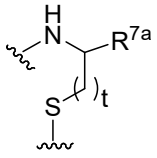
wherein

R^5 is selected from the group of OH and NH_2, and

r = 1, 2 or 3;

Xaa6 is an amino acid selected from the group consisting of an aromatic L-α-amino acid and a heteroaromatic L-α-amino acid;

Xaa7 is a residue of an amino thiol or an amino acid of formula (IX),



(IX)

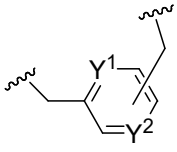
wherein

R^{7a} is –CO-, -COOH, $-CONH_2$, $-CH_2$-OH, -(CO)-NH-R^{7b}, -(CO)-(NR^{7c})-R^{7b} or H,

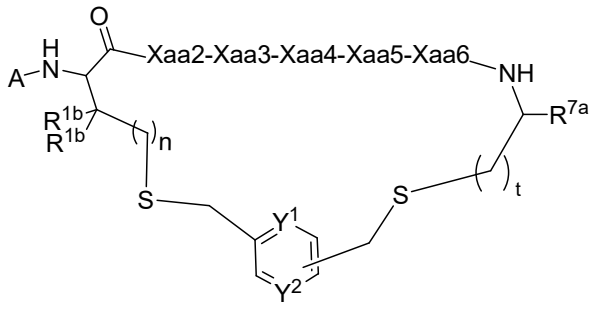
wherein R^{7b} and R^{7c} are each and independently (C_1-C_4)alkyl and

t is 1 or 2;

Yc is a structure of formula (X)


(X)

linking the S atom of Xaa1 and the S atom of Xaa7 under the formation of two thioether linkages thus forming a cyclic structure of formula (XXI)


(XXI)

wherein

the substitution pattern of the aromatic group in formula (X) is *ortho*, *meta* or *para*,

n = 0 or 1,

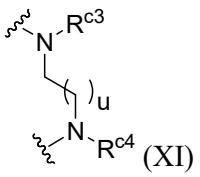
t = 1 or 2,

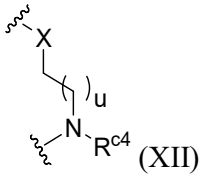
Y^1 is C-H or N,

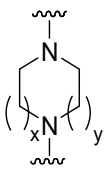
Y^2 is N or C-R^{c1},

R^{c1} is H or CH_2-R^{c2} and

R^{c2} is a structure of formula (XI), (XII) or (XXII)


(XI)


(XII)


(XXII)

wherein

R^{c3} and R^{c4} are each and independently selected from the group consisting of H and (C_1-C_4)alkyl and

u = 1, 2, 3, 4, 5 or 6,

x and y are each and independently 1, 2 or 3, and

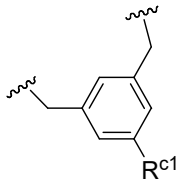
X = O or S

wherein in formulae (XI) and (XXII) one of the nitrogen atoms is attached to $–CH_2$- of R^{c1} and in formula (XII) -X- is attached to $–CH_2$- of R^{c1}; and

wherein the N-terminal modification group A is a blocking group Abl, wherein the blocking group Abl is R^{a1}-NH-C(O)-; wherein R^{a1} is selected from the group consisting of C_3 alkyl, C_4 alkyl or C_5 alkyl, each and independently optionally substituted by up to two substituents each and independently selected from the group consisting of OH, F, COOH, (C_3-C_8)cycloalkyl, aryl, heteroaryl and (C_3-C_8)heterocycle, and wherein in (C_1-C_8)alkyl one of the $–CH_2$-groups is optionally replaced by –S- or –O- , preferably R^{a1} is C_4 alkyl, more preferably R^{a1} is *n*-butyl;

or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof.

2. The compound of claim 1 or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof, wherein Yc is a structure of

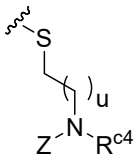


(XIII),

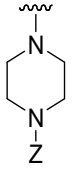
wherein

R^{c1} is CH_2-R^{c2} or H,

R^{c2} is a structure of formula (XIId) or of formula (XXIIb):



(XIId)



(XXIIc),

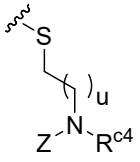
wherein

Z is a chelator optionally comprising a linker

R^{c4} is H or methyl, and

u = 1, 2, 3, 4 or 5,

preferably R^{c2} is a structure of formula (XIId)



(XIId),

wherein

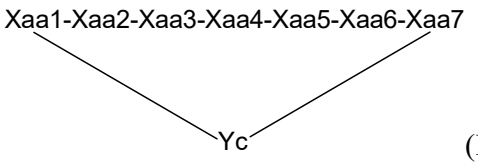
u = 1, and

R^{c4} is H,

more preferably the linker is selected from the group consisting of Ttds and O2Oc.

3. A compound comprising a cyclic peptide

of formula (I)



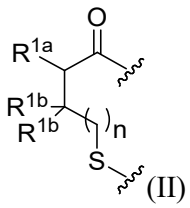
(I)

and an N-terminal modification group A attached to Xaa1,

wherein

the peptide sequence is drawn from left to right in N to C-terminal direction,

Xaa1 is a residue of an amino acid of formula (II)



(II)

wherein

R^{1a} is –NH-

R^{1b} is H or CH_3,

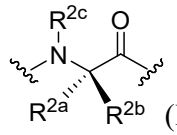
n = 0 or 1,

the N-terminal modification group A is covalently attached to the nitrogen atom of Xaa1,

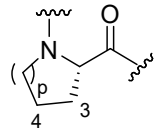
the carbonyl group of Xaa1 is covalently attached to the nitrogen of Xaa2,

and the sulfur atom of Xaa1 is covalently attached as thioether to Yc;

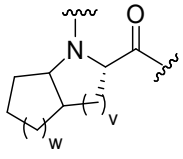
Xaa2 is a residue of an amino acid of formula (III), (IV) or (XX)



(III)



(IV)



(XX)

wherein

R^{2a}, $R^{2b}$and R^{2c} are each and independently selected from the group consisting of (C_1-C_2)alkyl and H, wherein said (C_1-C_2)alkyl maybe substituted by a substituent selected from the group consisting of OH, NH_2, halogen, (C_5-C_7)cycloalkyl,

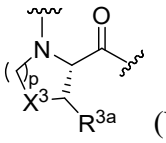
p = 0, 1 or 2

v = 1 or 2

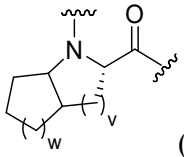
w = 1, 2 or 3 and

the amino acid of formula (IV) maybe substituted by one or two substituents selected from the group consisting of methyl, OH, NH_2 and F, at indicated ring positions 3 and 4;

Xaa3 is a residue of an amino acid of formula (V) or (XX)



(V)



(XX)

wherein

X^3 is selected from the group consisting of CH_2, CF_2, CH-R^{3b}, S, O and NH,

p = 1 or 2

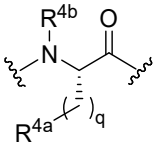
v = 1 or 2

w = 1, 2 or 3,

R^{3a} is H, methyl, OH, NH_2 or F,

R^{3b} is methyl, OH, NH_2 or F;

Xaa4 is a residue of an amino acid of formula (VI)



(VI)

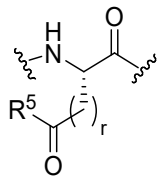
wherein

R^{4a} is selected from the group consisting of H, OH, COOH, $CONH_2$, X^4 and –NH-CO-X^4, wherein X^4 is selected from the group consisting of (C_1-C_6)alkyl, (C_5-C_6)aryl and (C_5-C_6)heteroaryl, and X^4 may be substituted by one or two substituents selected from the group consisting of methyl, $CONH_2$, halogen, NH_2 and OH;

q = 1, 2 or 3, wherein optionally, one or two hydrogens of said one, two, or three CH_2-groups are each and individually substituted by methyl, ethyl, (C_5-C_6)aryl or (C_5-C_6)heteroaryl,

R^{4b} is methyl or H;

Xaa5 is a residue of an amino acid of structure (VII)



(VII)

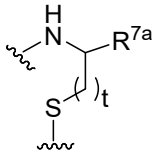
wherein

R^5 is selected from the group of OH and NH_2, and

r = 1, 2 or 3;

Xaa6 is an amino acid selected from the group consisting of an aromatic L-α-amino acid and a heteroaromatic L-α-amino acid;

Xaa7 is a residue of an amino thiol or an amino acid of formula (IX),



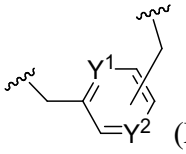
(IX)

wherein

R^{7a} is –CO-, -COOH, -$CONH_2$, -CH_2-OH, -(CO)-NH-R^{7b}, -(CO)-(NR^{7c})-R^{7b} or H, wherein R^{7b} and R^{7c} are each and independently (C_1-C_4)alkyl and

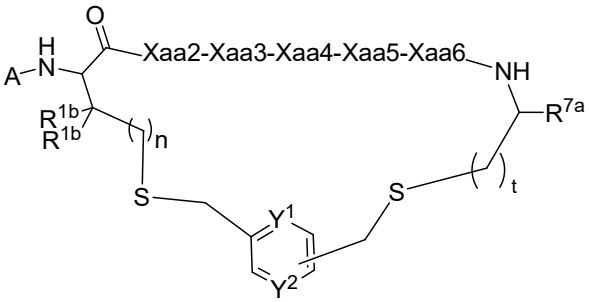
t is 1 or 2;

Yc is a structure of formula (X)



(X)

linking the S atom of Xaa1 and the S atom of Xaa7 under the formation of two thioether linkages thus forming a cyclic structure of formula (XXI)



(XXI)

wherein

the substitution pattern of the aromatic group in formula (X) is *ortho*, *meta* or *para*, preferably meta,

n = 0 or 1,

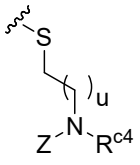
t = 1 or 2,

Y^1 is C-H,

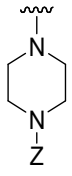
Y^2 is C-R^{c1},

R^{c1} is CH_2-R^{c2} or H and

R^{c2} is a structure of formula (XIId) or (XXIIc)



(XIId)



(XXIIc)

wherein

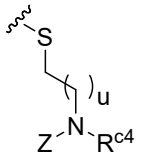
u = 1,

R^{c4} is H

Z is a chelator optionally comprising a linker**;** and

wherein the N-terminal modification group A is a blocking group Abl, wherein the blocking group Abl is R^{a1}-NH-C(O)-; wherein R^{a1} is (C_1-C_8)alkyl optionally substituted by up to two substituents each and independently selected from the group consisting of OH, F, COOH, (C_3-C_8)cycloalkyl, aryl, heteroaryl and (C_3-C_8)heterocycle, and wherein in (C_1-C_8)alkyl one of the –CH_2-groups is optionally replaced by –S- or –O- ,

preferably R^{c2} is a structure of formula (XIId)



(XIId)

wherein

u = 1,

R^{c4} is H, and

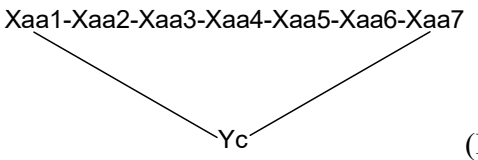
Z is a chelator optionally comprising a linker, more preferably the linker is selected from the group consisting of Ttds, O2Oc and PEG6, preferably Ttds and O2Oc;

or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof.

4. The compound of claim 3 or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof, wherein R^{a1} is selected from the group consisting of C_3 alkyl, C_4 alkyl or C_5 alkyl, each and independently optionally substituted by up to two substituents each and independently selected from the group consisting of OH, F, COOH, (C_3-C_8)cycloalkyl, aryl, heteroaryl and (C_3-C_8)heterocycle, and wherein in (C_1-C_8)alkyl one of the –CH_2-groups is optionally replaced by –S- or –O- , preferably R^{a1} is selected from the group consisting of C_3 alkyl, C_4 alkyl or C_5 alkyl, more preferably R^{a1} is C_4 alkyl, most preferably R^{a1} is *n*-butyl.

5. A compound comprising a cyclic peptide

of formula (I)



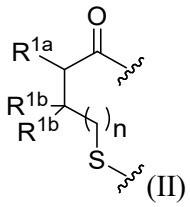
(I)

and an N-terminal modification group A attached to Xaa1,

wherein

the peptide sequence is drawn from left to right in N to C-terminal direction,

Xaa1 is a residue of an amino acid of formula (II)



(II)

wherein

R^{1a} is –NH-

R^{1b} is H or CH_3,

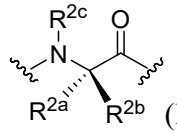
n = 0 or 1,

the N-terminal modification group A is covalently attached to the nitrogen atom of Xaa1,

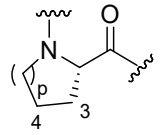
the carbonyl group of Xaa1 is covalently attached to the nitrogen of Xaa2,

and the sulfur atom of Xaa1 is covalently attached as thioether to Yc;

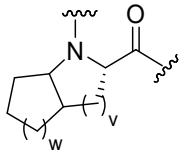
Xaa2 is a residue of an amino acid of formula (III), (IV) or (XX)



(III)



(IV)



(XX)

wherein

R^{2a}, $R^{2b}$and R^{2c} are each and independently selected from the group consisting of (C_1-C_2)alkyl and H, wherein said (C_1-C_2)alkyl maybe substituted by a substituent selected from the group consisting of OH, NH_2, halogen, (C_5-C_7)cycloalkyl,

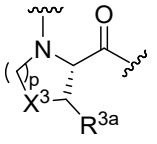
p = 0, 1 or 2

v = 1 or 2

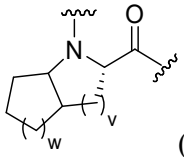
w = 1, 2 or 3 and

the amino acid of formula (IV) maybe substituted by one or two substituents selected from the group consisting of methyl, OH, NH_2 and F, at indicated ring positions 3 and 4;

Xaa3 is a residue of an amino acid of formula (V) or (XX)



(V)



(XX)

wherein

X^3 is selected from the group consisting of CH_2, CF_2, CH-R^{3b}, S, O and NH,

p = 1 or 2

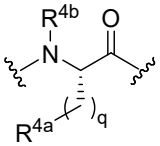
v = 1 or 2

w = 1, 2 or 3,

R^{3a} is H, methyl, OH, NH_2 or F,

R^{3b} is methyl, OH, NH_2 or F;

Xaa4 is a residue of an amino acid of formula (VI)



(VI)

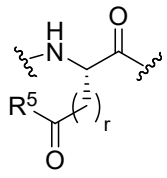
wherein

R^{4a} is selected from the group consisting of H, OH, COOH, $CONH_2$, X^4 and –NH-CO-X^4, wherein X^4 is selected from the group consisting of (C_1-C_6)alkyl, (C_5-C_6)aryl and (C_5-C_6)heteroaryl, and X^4 may be substituted by one or two substituents selected from the group consisting of methyl, $CONH_2$, halogen, NH_2 and OH;

q = 1, 2 or 3, wherein optionally, one or two hydrogens of said one, two, or three CH_2-groups are each and individually substituted by methyl, ethyl, (C_5-C_6)aryl or (C_5-C_6)heteroaryl,

R^{4b} is methyl or H;

Xaa5 is a residue of an amino acid of structure (VII)



(VII)

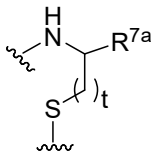
wherein

R^5 is selected from the group of OH and NH_2, and

r = 1, 2 or 3;

Xaa6 is an amino acid selected from the group consisting of an aromatic L-α-amino acid and a heteroaromatic L-α-amino acid;

Xaa7 is a residue of an amino thiol or an amino acid of formula (IX),



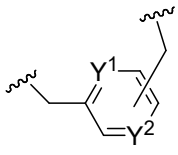
(IX)

wherein

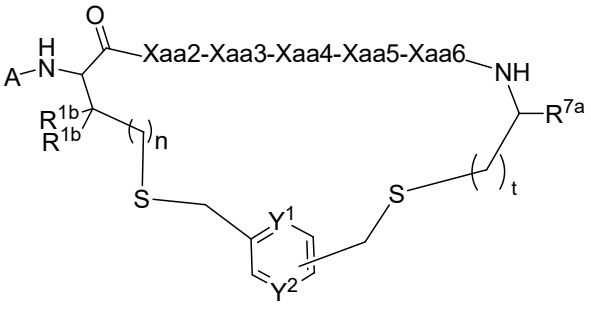
R^{7a} is –CO-, -COOH, -$CONH_2$, -CH_2-OH, -(CO)-NH-R^{7b}, -(CO)-(NR^{7c})-R^{7b} or H, wherein R^{7b} and R^{7c} are each and independently (C_1-C_4)alkyl and

t is 1 or 2;

Yc is a structure of formula (X)


(X)

linking the S atom of Xaa1 and the S atom of Xaa7 under the formation of two thioether linkages thus forming a cyclic structure of formula (XXI)


(XXI)

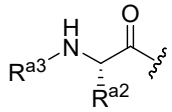
wherein
the substitution pattern of the aromatic group in formula (X) is *meta*,

n = 0 or 1,
t = 1 or 2,
Y^1 is C-H or N,
Y^2 is C-R^{c1},
R^{c1} is H;

wherein the N-terminal modification group A is an amino acid Aaa,

wherein

the amino acid Aaa is an L- amino acid residue of structure (XIV):


(XIV)

wherein
R^{a2} is selected from the group consisting of (C_1-C_6)alkyl and modified (C_1-C_6)alkyl,
wherein in modified (C_1-C_6)alkyl one -CH_2- group is replaced by -S- or -O-,
the amino acid Aaa is covalently attached to a linker, wherein the linker is covalently linked to a chelator Z, wherein the linker consists (a) of a first linker or (b) of a first linker and a second linker, wherein

if the linker consists of the first linker, the first linker is covalently linked to the chelator and the amino acid Aaa, and

if the first linker consists of a first linker and a second linker, the first linker is covalently linked to the amino acid Aaa and to the second linker, and the second linker is covalently linked to the chelator,

the first linker is selected from the group consisting of Ttds and PEG6, preferably the first linker is Ttds,

the second linker is selected from the group consisting of PPAc and PEG6, preferably the second linker is PPAc; preferably R^{a2} is C_4 alkyl, preferably R^{a2} is *n*-butyl;

or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof.

6. The compound of claim 5 or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof, wherein the amino acid Aaa is a residue of Nle.

7. The compound of any one of claims 5 to 6 or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof, wherein Y^1 is C-H.

8. The compound of any one of claims 5 to 7 or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof,

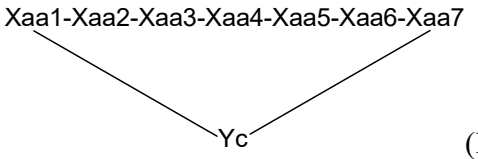
wherein the linker consists of a first linker, wherein the first linker is selected from the group consisting of 1,13-diamino-4,7,10-trioxatridecan-succinamic acid (Ttds) and PEG6, or

wherein the linker consists of a first linker and a second linker, wherein the first linker is selected from the group consisting of 1,13-diamino-4,7,10-trioxatridecan-succinamic acid (Ttds) and PEG6, and the second linker is selected from the group consisting of 4-carboxymethyl piperazine (PPAc) and PEG6, more preferably PPAc, most preferably the first linker is Ttds and the second linker is PPAc, preferably the amino acid Aaa is a residue of Nle.

9. The compound of any one of claims 5 to 8 or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof, wherein an amino acid is attached to Xaa7, preferably the amino acid attached to Xaa 7 is selected from the group consisting of Asp, asp, ß-alanine (Bal), Gly, γ-aminobutyric acid (Gab), Ser, N-methyl-glycine (Nmg), (S)-β-homophenylalanine (Bhf), Lys, 1,5-diaminopentane (Ape), 1,13-diamino-4,7,10-trioxatridecan-succinamic acid (Ttds) and (S)-β-homolysine (Bhk), more preferably the amino acid attached to Xaa7 is Bal or Asp.

10. A compound comprising a cyclic peptide

of formula (I)



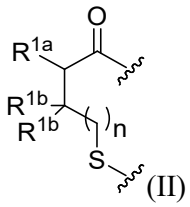
(I)

and an N-terminal modification group A attached to Xaa1,

wherein

the peptide sequence is drawn from left to right in N to C-terminal direction,

Xaa1 is a residue of an amino acid of formula (II)



(II)

wherein

R^{1a} is –NH-

R^{1b} is H or CH_3,

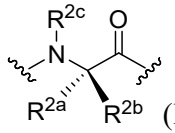
n = 0 or 1,

the N-terminal modification group A is covalently attached to the nitrogen atom of Xaa1,

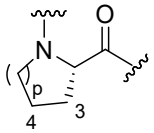
the carbonyl group of Xaa1 is covalently attached to the nitrogen of Xaa2,

and the sulfur atom of Xaa1 is covalently attached as thioether to Yc;

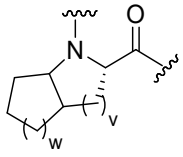
Xaa2 is a residue of an amino acid of formula (III), (IV) or (XX)



(III)



(IV)



(XX)

wherein

R^{2a}, $R^{2b}$and R^{2c} are each and independently selected from the group consisting of (C_1-C_2)alkyl and H, wherein said (C_1-C_2)alkyl maybe substituted by a substituent selected from the group consisting of OH, NH_2, halogen, (C_5-C_7)cycloalkyl,

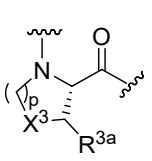
p = 0, 1 or 2

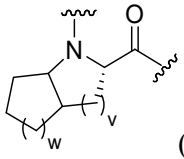
v = 1 or 2

w = 1, 2 or 3 and

the amino acid of formula (IV) maybe substituted by one or two substituents selected from the group consisting of methyl, OH, NH_2 and F, at indicated ring positions 3 and 4;

Xaa3 is a residue of an amino acid of formula (V) or (XX)


(V)


(XX)

wherein

X^3 is selected from the group consisting of CH_2, CF_2, CH-R^{3b}, S, O and NH,

p = 1 or 2

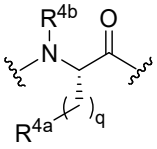
v = 1 or 2

w = 1, 2 or 3,

R^{3a} is H, methyl, OH, NH_2 or F,

R^{3b} is methyl, OH, NH_2 or F;

Xaa4 is a residue of an amino acid of formula (VI)


(VI)

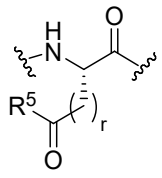
wherein

R^{4a} is selected from the group consisting of H, OH, COOH, $CONH_2$, X^4 and –NH-CO-X^4, wherein X^4 is selected from the group consisting of (C_1-C_6)alkyl, (C_5-C_6)aryl and (C_5-C_6)heteroaryl, and X^4 may be substituted by one or two substituents selected from the group consisting of methyl, $CONH_2$, halogen, NH_2 and OH;

q = 1, 2 or 3, wherein optionally, one or two hydrogens of said one, two, or three CH_2-groups are each and individually substituted by methyl, ethyl, (C_5-C_6)aryl or (C_5-C_6)heteroaryl,

R^{4b} is methyl or H;

Xaa5 is a residue of an amino acid of structure (VII)


(VII)

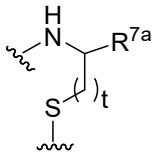
wherein

R^5 is selected from the group of OH and NH_2, and

r = 1, 2 or 3;

Xaa6 is an amino acid selected from the group consisting of an aromatic L-α-amino acid and a heteroaromatic L-α-amino acid;

Xaa7 is a residue of an amino thiol or an amino acid of formula (IX),


(IX)

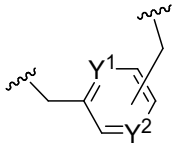
wherein

R^{7a} is –CO-, -COOH, -$CONH_2$, -CH_2-OH, -(CO)-NH-R^{7b}, -(CO)-(NR^{7c})-R^{7b} or H, wherein

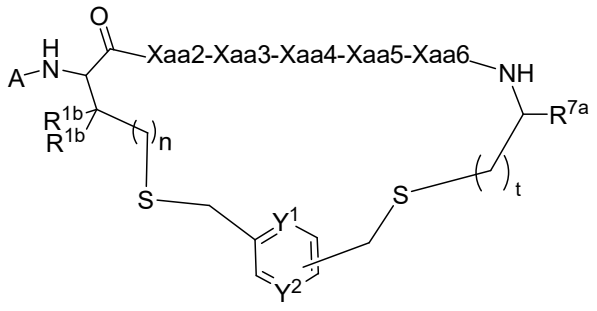
R^{7b} and R^{7c} are each and independently (C_1-C_4)alkyl and

t is 1 or 2;

Yc is a structure of formula (X)


(X)

linking the S atom of Xaa1 and the S atom of Xaa7 under the formation of two thioether linkages thus forming a cyclic structure of formula (XXI)



(XXI)

wherein

the substitution pattern of the aromatic group in formula (X) is *meta*,

n = 0 or 1,

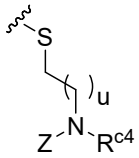
t = 1 or 2,

Y^1 is C-H

Y^2 is C-R^{c1},

R^{c1} is CH_2-R^{c2} and

R^{c2} is a structure of formula (XIId)



(XIId),

wherein

u = 1, 2, 3, 4, 5 or 6, preferably u = 1,

R^{c4} is H or methyl,

Z is a chelator optionally comprising a linker, and

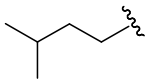
wherein the N-terminal modification group A is a blocking group Abl, wherein the blocking group Abl is selected from the group consisting of R^{a11}-C(O)-, wherein R^{a11} is C_4 alkyl or C_5 alkyl, wherein in each and any one of C_4 alkyl and C_5 alkyl individually and independently one of the –CH_2-groups is optionally replaced by -O- or –S-;

or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof.

11. The compound of claim 10 or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof, wherein R^{a11}

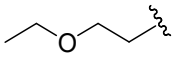
(a) is C_5 alkyl, preferably

R^{a11} is *n*-pentyl, or of structure (XXX*)*

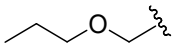
 (XXX),

(b) is C_4 alkyl, preferably R^{a11} is *n*-butyl,

(c) is of structure (XXXI)

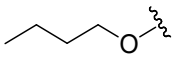
 (XXXI),

(d) is of structure (XXXII)

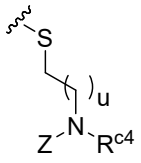
 (XXXII)

or

(e) is of structure (XXXIII)

 (XXXIII).

12. The compound of claim 10 or 11 or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof, wherein the chelator Z is covalently linked to the N atom of the structure of formula (XIId)



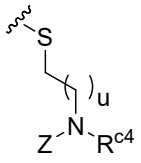
(XIId) ,

wherein

u = 1, and

R^{c4} is H.

13. The compound of any one of claims 10 to 12 or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof, wherein the chelator Z comprises a linker wherein the linker is covalently linked to the chelator and covalently linked to the N atom of the structure of formula (XIId)



(XIId),

preferably the linker is selected from the group consisting of Ttds and O2Oc.

14. The compound of any one of claims 1 to 13 or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof, wherein Xaa1 is a D-amino acid residue selected from the group consisting of cys, (R)-homocysteine (hcy) and (S)-penicillamine (pen), or Xaa1 is an L-amino acid residue selected from the group consisting of Cys, (S)-homocysteine (Hcy) and (R)-penicillamine (Pen), preferably Xaa1 is Cys.

15. The compound of any one of claims 1 to 14 or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof, wherein Xaa2 is an amino acid residue

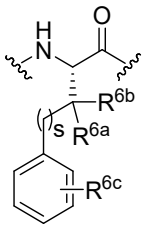
selected from the group consisting of Pro, Gly, N-methyl-glycine (Nmg) and their derivatives, preferably Xaa2 is an amino acid residue selected from the group consisting of Pro and N-methyl-glycine (Nmg), more preferably Xaa2 is an amino acid residue of Pro.

16. The compound of any one of claims 1 to 15 or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof, wherein Xaa3 is an amino acid residue selected from the group consisting of Pro, (2S,4R)-4-hydroxy-pyrrolidine-2-carboxylic acid (Hyp), (2S,4R)-4-fluoro-pyrrolidine-2-carboxylic acid (Tfp), 4-cis-fluoro proline (Cfp), (S)-5,5-dimethyl-proline (Dmp), (S)-azetidine-2-carboxylic acid (Aze) and (S)-piperidine-2-carboxylic acid (Pip), and their derivatives, preferably Xaa3 is an amino acid residue of Pro.

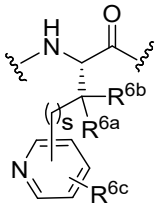
17. The compound of any one of 1 to 16 or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof, wherein Xaa4 is an amino acid residue selected from the group consisting of Thr, (S)-Homoserine (Hse), Asn, Gln and Ser, and their derivatives, preferably Xaa4 is Thr.

18. The compound of any one of claims 1 to 17 or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof, wherein Xaa5 is an amino acid residue selected from the group consisting of Gln and Glu, and their derivatives, preferably Xaa5 is an amino acid residue selected from the group consisting of Gln and Glu.

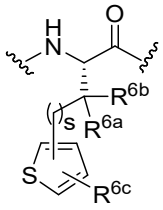
19. The compound of any one of claims 1 to 18 or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof, wherein Xaa6 is an amino acid residue of any one of formulae (VIIIa), (VIIIb), (VIIIc) and (VIIId):



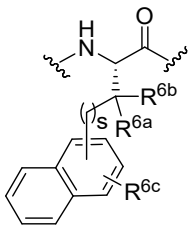
(VIIIa)



(VIIIb)



(VIIIc)



(VIIId)

wherein

R^{6a} and R^{6b} are each and independently selected from the group consisting of H, methyl, ethyl, propyl and isopropyl,

R^{6c} represents from 0 to 3 substituents, each such substituent being each and independently selected from the group consisting of Cl, F, Br, NO_2, NH_2, CN, CF_3, OH, OR^{6d} and C_1-C_4 alkyl,

R^{6d} is selected from the group consisting of methyl, ethyl, propyl, and isopropyl, and

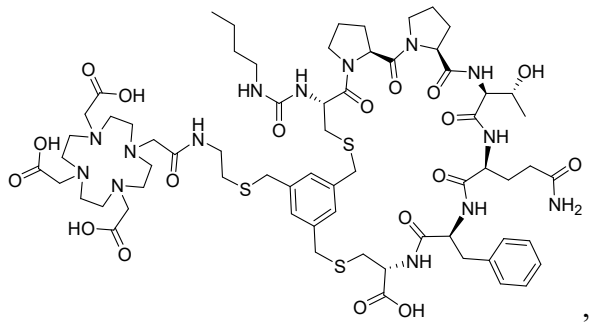
s is 0 or 1, preferably Xaa6 is an amino acid residue selected from the group consisting of Phe, (S)-2-chloro-phenylalanine (Ocf), (S)-4-pyridyl-alanine (Ppa), (S)-ß-(2-thienyl)-alanine (Thi), 3-(1-naphthyl)alanine (1Ni), (S)-2-Trifluoromethyl-phenylalanine (Otf), and 3-Pyridyl-alanine (Mpa), and their derivatives more preferably Xaa6 is an amino acid residue of Phe.

20. The compound of any one of claims 1 to 19 or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof, wherein Xaa7 is an amino thiol residue selected from the group consisting of Cys, Cys-OH, Cys-NH_2, (R)-cysteinol (Cysol), 2-aminoethanethiol (AET), (S)-homocysteine (Hcy), cys, cys-OH, cys-NH_2 and (R)-Homocysteine (hcy), preferably Xaa7 is an amino thiol residue selected from the group consisting of Cys, Cys-OH, Cys-NH_2, Cysol and AET, more preferably Xaa7 is an amino thiol residue of Cys or Cys-NH_2, most preferably of Cys-OH.

21. The compound of any one of claims 1 to 20 or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof, wherein the chelator is selected from the group consisting of $^{99m}Tc(CO)_3$-chelators, CB-TE2A, CHX-A"-DTPA, DTPA, DATA, DFO, HBED, Crown, DOTAGA, DOTAM (also called TCMC), FSC, H4octapa, Macropa, HEHA, HOPO, Hynic, PCTA, PSC, NETA, DOTA, NODA-MPAA, NODAGA, NOTP, N_xS_{4-x} (N_4, N_2S_2, N_3S) , NOPO, NOTA, Pycup, RESCA, Sarcophagine, TETA, THP, and TRAP.

22. The compound of any one of claims 1, 2, 3, 4, and 14 to 21, wherein the compound is selected from the group consisting of

compound nBu-CAyl-[Cys(tMeBn(DOTA-AET))-Pro-Pro-Thr-Gln-Phe-Cys]-OH (3BP-3940) of the following formula

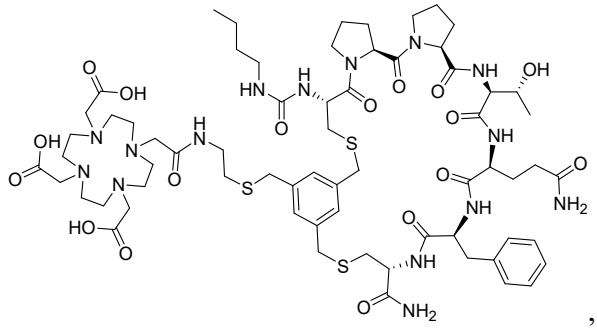


wherein

nBu-CAyl-	is	n-butylaminocarbonyl-,
tMeBn	is	1,3,5-trimethylbenzyliden,
DOTA	is	1,4,7,10-tetraazacyclododecane-1,4,7,10-tetraacetic acid
AET	is	2-aminoethanethiol;

or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof;

compound nBu-CAyl-[Cys(tMeBn(DOTA-AET))-Pro-Pro-Thr-Gln-Phe-Cys]-NH2 (3BP-4560) of the following formula

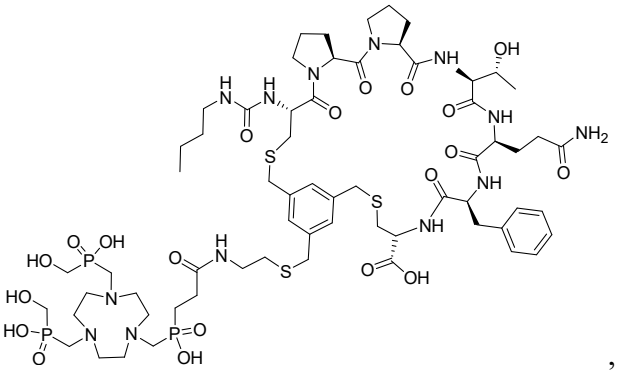


wherein

nBu-CAyl-	is	n-butylaminocarbonyl-,
tMeBn	is	1,3,5-trimethylbenzyliden,
DOTA	is	1,4,7,10-tetraazacyclododecane-1,4,7,10-tetraacetic acid
AET	is	2-aminoethanethiol;

or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof;

compound nBu-CAyl-[Cys(tMeBn(NOPO-AET))-Pro-Pro-Thr-Gln-Phe-Cys]-OH (3BP-4768) of the following formula



,

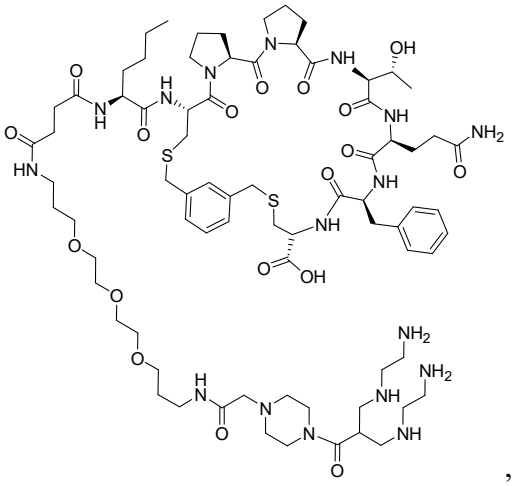
wherein

nBu-CAyl-	is	n-butylaminocarbonyl-,
tMeBn	is	1,3,5-trimethylbenzyliden,
NOPO	is	3-(((4,7-bis((hydroxy(hydroxymethyl)phosphoryl)methyl)-1,4,7-triazonan-1-yl)methyl)(hydroxy)phosphoryl)propanoic acid
AET	is	2-aminoethanethiol;

or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof.

23. The compound of any one of claims 5 to 9 and 14 to 21, wherein the compound is selected from the group consisting of

compound N4Ac-PPAc-Ttds-Nle-[Cys(3MeBn)-Pro-Pro-Thr-Gln-Phe-Cys]-OH (3BP-4541) of the following formula



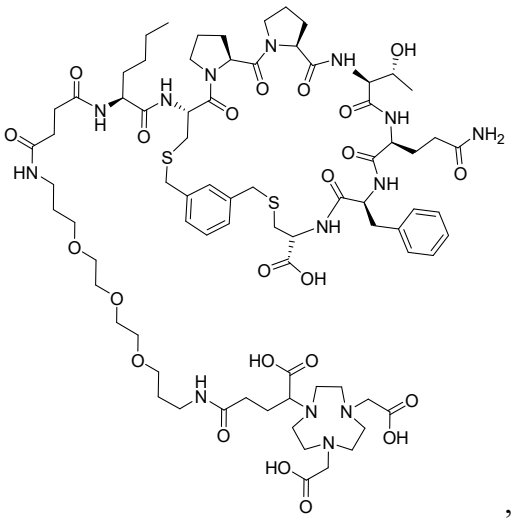
,

wherein

N4Ac	is	6-carboxy-1,4,8,11-tetraazaundecane,
PPAc	is	4-carboxymethyl piperazine,
Ttds	is	1,13-diamino-4,7,10-trioxatridecan-succinamic acid,
Nle	is	(S)-Norleucine,
3MeBn	is	3-methylbenzylidene;

or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof;

compound NODAGA-Ttds-Nle-[Cys(3MeBn)-Pro-Pro-Thr-Gln-Phe-Cys]-OH (3BP-4713) of the following formula



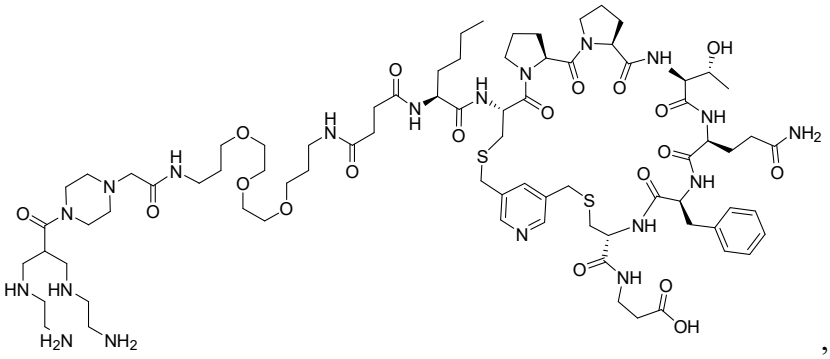
,

wherein

NODAGA	is	1,4,7-triazacyclononane,1-glutaric acid-4,7-acetic acid
Ttds	is	1,13-diamino-4,7,10-trioxatridecan-succinamic acid,
Nle	is	(S)-Norleucine,
3MeBn	is	3-methylbenzylidene;

or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof;

compound N4Ac-PPAc-Ttds-Nle-[Cys(3Lut)-Pro-Pro-Thr-Gln-Phe-Cys]-Bal-OH (3BP-4961) of the following formula



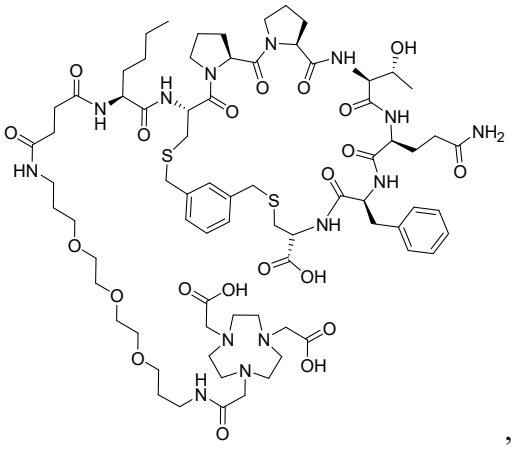
,

wherein

N4Ac	is	6-carboxy-1,4,8,11-tetraazaundecane,
PPAc	is	4-carboxymethyl piperazine,
Ttds	is	1,13-diamino-4,7,10-trioxatridecan-succinamic acid,
Nle	is	(S)-Norleucine,
3Lut	is	3,5-lutidylidene;

or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof;

compound NOTA-Ttds-Nle-[Cys(3MeBn)-Pro-Pro-Thr-Gln-Phe-Cys]-OH (3BP-5201) of the following formula



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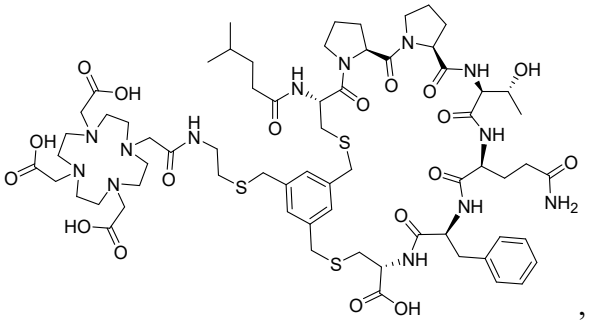
wherein

NOTA	is	2,2′,2”-(1,4,7-triazacyclononane-1,4,7-triyl)triacetic acid
Ttds	is	1,13-diamino-4,7,10-trioxatridecan-succinamic acid,
Nle	is	(S)-Norleucine,
3MeBn	is	3-methylbenzylidene;

or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof.

24. The compound of any one of claims 10 to 21, wherein the compound is selected from the group consisting of

compound iHex-[Cys(tMeBn(DOTA-AET))-Pro-Pro-Thr-Gln-Phe-Cys]-OH (3BP-3907) of the following formula



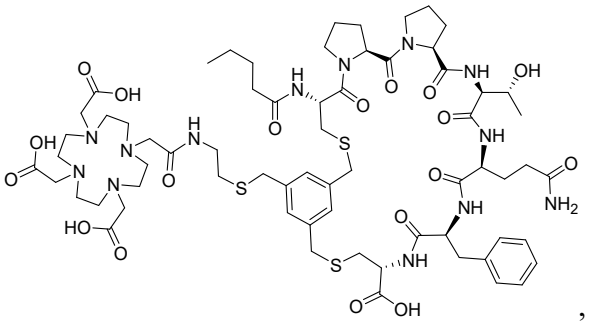
,

wherein

iHex	is	4-methyl-pentanoic acid,
tMeBn	is	1,3,5-trimethylbenzyliden,
DOTA	is	1,4,7,10-Tetraazacyclododecane-1,4,7,10-tetraacetic acid
AET	is	2-aminoethanethiol;

or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof;

compound Pent-[Cys(tMeBn(DOTA-AET))-Pro-Pro-Thr-Gln-Phe-Cys]-OH (3BP-3910) of the following formula



,

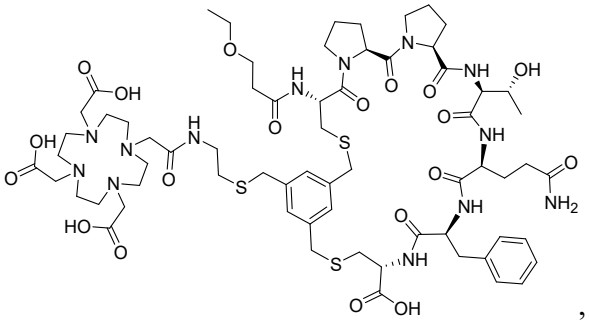
wherein

Pent	is	pentanoic acid,
tMeBn	is	1,3,5-trimethylbenzyliden,

DOTA	is	1,4,7,10-Tetraazacyclododecane-1,4,7,10-tetraacetic acid
AET	is	2-aminoethanethiol;

or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof;

compound EtOPr-[Cys(tMeBn(DOTA-AET))-Pro-Pro-Thr-Gln-Phe-Cys]-OH (3BP-3918) of the following formula



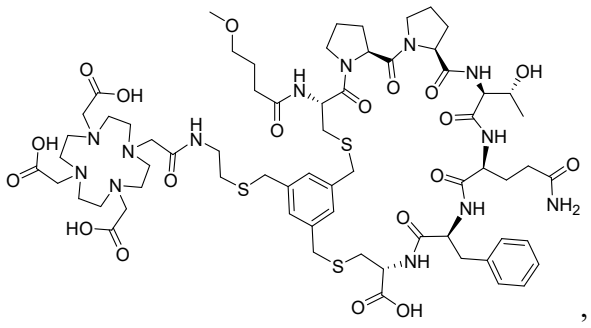
,

wherein

EtOPr	is	3-ethoxy-propionic acid,
tMeBn	is	1,3,5-trimethylbenzyliden,
DOTA	is	1,4,7,10-Tetraazacyclododecane-1,4,7,10-tetraacetic acid
AET	is	2-aminoethanethiol;

or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof;

compound MeOBut-[Cys(tMeBn(DOTA-AET))-Pro-Pro-Thr-Gln-Phe-Cys]-OH (3BP-3937) of the following formula

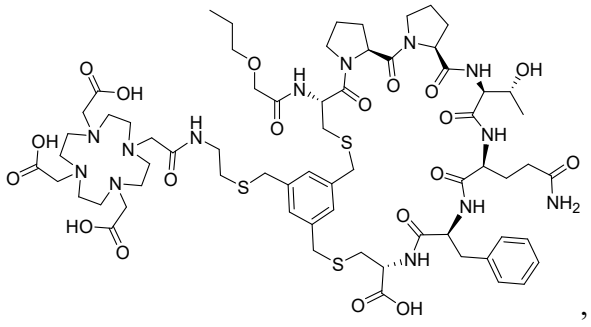


wherein

MeOBut	is	4-methoxy-butyric acid,
tMeBn	is	1,3,5-trimethylbenzyliden,
DOTA	is	1,4,7,10-Tetraazacyclododecane-1,4,7,10-tetraacetic acid
AET	is	2-aminoethanethiol;

or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof;

compound PrOAc-[Cys(tMeBn(DOTA-AET))-Pro-Pro-Thr-Gln-Phe-Cys]-OH (3BP-3938) of the following formula

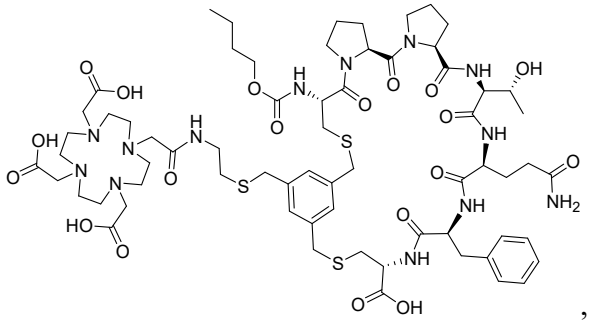


wherein

PrOAc	is	propoxy-acetic acid,
tMeBn	is	1,3,5-trimethylbenzyliden,
DOTA	is	1,4,7,10-Tetraazacyclododecane-1,4,7,10-tetraacetic acid
AET	is	2-aminoethanethiol;

or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof;

compound nBu-COyl-[Cys(tMeBn(DOTA-AET))-Pro-Pro-Thr-Gln-Phe-Cys]-OH (3BP-3941) of the following formula



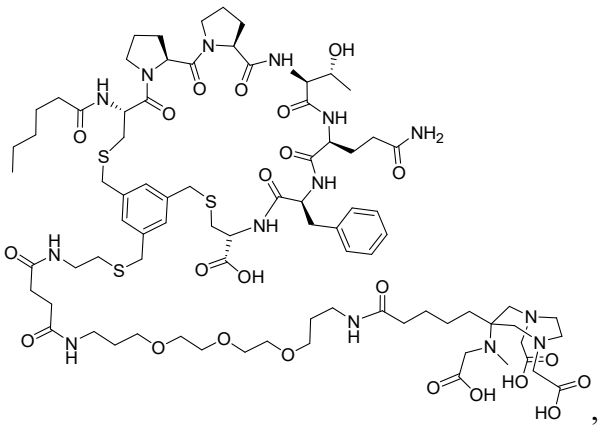
,

wherein

nBu-COyl	is	n-butyloxycarbonyl-,
tMeBn	is	1,3,5-trimethylbenzyliden,
DOTA	is	1,4,7,10-Tetraazacyclododecane-1,4,7,10-tetraacetic acid
AET	is	2-aminoethanethiol;

or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof;

compound Hex-[Cys(tMeBn(DATA-Ttds-AET))-Pro-Pro-Thr-Gln-Phe-Cys]-OH (3BP-4384) of the following formula

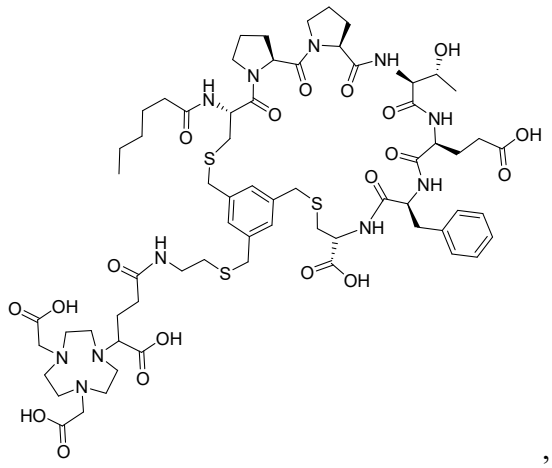


wherein

Hex	is	hexanoic acid,
tMeBn	is	1,3,5-trimethylbenzyliden,
DATA	is	(6-pentanoic acid)-6-(amino)methy-1,4-diazepinetriacetate,
Ttds	is	1,13-diamino-4,7,10-trioxatridecan-succinamic acid,
AET	is	2-aminoethanethiol;

or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof;

compound Hex-[Cys(tMeBn(NODAGA-AET))-Pro-Pro-Thr-Glu-Phe-Cys]-OH (3BP-4695) of the following formula



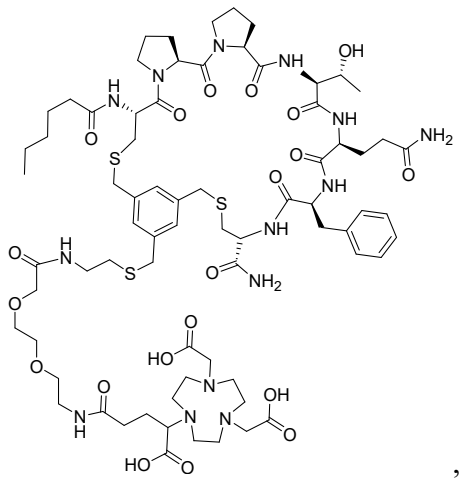
,

wherein

Hex	is	hexanoic acid,
tMeBn	is	1,3,5-trimethylbenzyliden,
NODAGA	is	1,4,7-triazacyclononane,1-glutaric acid-4,7-acetic acid,
AET	is	2-aminoethanethiol;

or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof;

compound Hex-[Cys(tMeBn(NODAGA-O2Oc-AET))-Pro-Pro-Thr-Gln-Phe-Cys]-NH_2 (3BP-4708) of the following formula



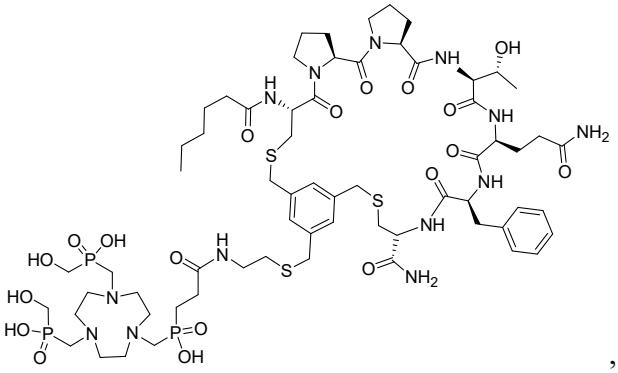
,

wherein

Hex	is	hexanoic acid,
tMeBn	is	1,3,5-trimethylbenzyliden,
NODAGA	is	1,4,7-triazacyclononane,1-glutaric acid-4,7-acetic acid,
O2Oc	is	3,6-dioxaoctanoic acid,
AET	is	2-aminoethanethiol;

or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof;

compound Hex-[Cys(tMeBn(NOPO-AET))-Pro-Pro-Thr-Gln-Phe-Cys]-NH_2 (3BP-4729) of the following formula



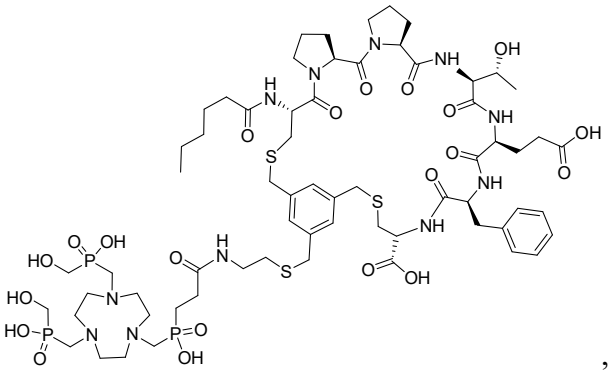
,

wherein

Hex	is	hexanoic acid,
tMeBn	is	1,3,5-trimethylbenzyliden,
NOPO	is	3-(((4,7-bis((hydroxy(hydroxymethyl)phosphoryl)methyl)-1,4,7-triazonan-1-yl)methyl)(hydroxy)phosphoryl)propanoic acid,
AET	is	2-aminoethanethiol;

or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof;

compound Hex-[Cys(tMeBn(NOPO-AET))-Pro-Pro-Thr-Glu-Phe-Cys]-OH (3BP-4818) of the following formula



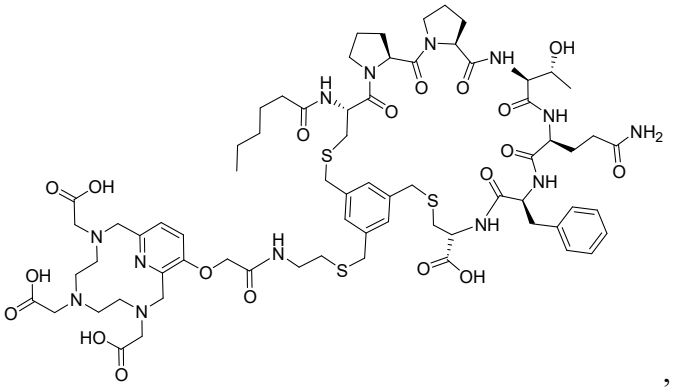
,

wherein

Hex	is	hexanoic acid,
tMeBn	is	1,3,5-trimethylbenzyliden,
NOPO	is	3-(((4,7-bis((hydroxy(hydroxymethyl)phosphoryl)methyl)-1,4,7-triazonan-1-yl)methyl)(hydroxy)phosphoryl)propanoic acid,
AET	is	2-aminoethanethiol;

or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof;

compound Hex-[Cys(tMeBn(AcPCTA-AET))-Pro-Pro-Thr-Gln-Phe-Cys]-OH (3BP-5273) of the following formula



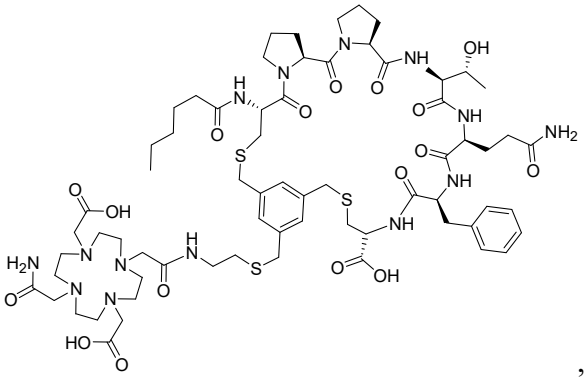
,

wherein

Hex	is	hexanoic acid,
tMeBn	is	1,3,5-trimethylbenzyliden,
AcPCTA	is	3,6,9,15-tetraazabicyclo[9.3.1]pentadeca-1(15),11,13-triene-3,6,9-triacetic acid,
AET	is	2-aminoethanethiol;

or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof;

compound Hex-[Cys(tMeBn(LSC-AET))-Pro-Pro-Thr-Gln-Phe-Cys]-OH (3BP-5288) of the following formula



,

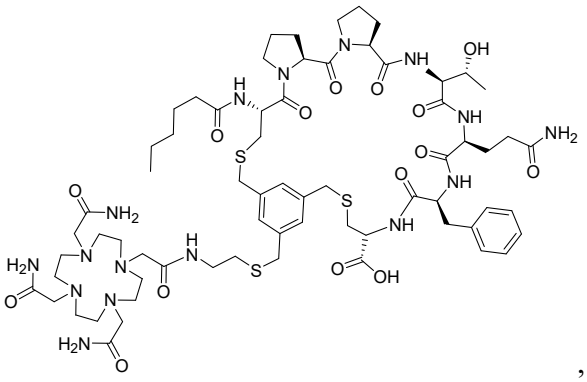
wherein

Hex	is	hexanoic acid,

tMeBn	is	1,3,5-trimethylbenzyliden,
AET	is	2-aminoethanethiol;

or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof;

and compound Hex-[Cys(tMeBn(DOTAM-AET))-Pro-Pro-Thr-Gln-Phe-Cys]-OH (3BP-5323) of the following formula



,

wherein

Hex	is	hexanoic acid,
tMeBn	is	1,3,5-trimethylbenzyliden,
AET	is	2-aminoethanethiol;

or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof.

25. The compound of any one of claims 1 to 24 or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof, wherein the compound comprises a diagnostically active nuclide or a therapeutically active nuclide.

26. The compound of claim 25 or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof,

wherein the therapeutically active nuclide

a) is selected from the group consisting of ^{47}Sc, ^{67}Cu, ^{89}Sr, ^{90}Y, ^{131}I, ^{111}In, ^{153}Sm, ^{149}Tb, ^{161}Tb, ^{177}Lu, ^{186}Re, ^{188}Re, ^{211}At, ^{212}Pb, ^{213}Bi, ^{223}Ra, ^{224}Ra, ^{225}Ac, ^{226}Th, and ^{227}Th, preferably selected from the group consisting of ^{47}Sc, ^{67}Cu, ^{90}Y, ^{161}Tb, ^{177}Lu, ^{212}Pb, ^{213}Bi, ^{225}Ac, and ^{227}Th, more preferably selected from the group consisting of ^{90}Y, ^{177}Lu, ^{212}Pb, and ^{225}Ac; or

b) is a particle-emitting isotope for therapeutic use and has a decay energy between 0.039 and 10 MeV, preferably between 0.4 and 6.5 MeV; and

wherein the diagnostically active nuclide

a) is selected from the group consisting of ^{18}F, ^{43}Sc, ^{44}Sc, ^{51}Mn, ^{52}Mn, ^{64}Cu, ^{67}Ga, ^{68}Ga, ^{76}Br, ^{77}Br, ^{86}Y, ^{89}Zr, ^{94m}Tc, ^{99m}Tc, ^{111}In, ^{123}I, ^{124}I, ^{125}I, ^{152}Tb, ^{155}Tb, ^{177}Lu, ^{201}Tl, and ^{203}Pb, preferably selected form the group consisting of ^{18}F, ^{43}Sc, ^{44}Sc, ^{64}Cu, ^{67}Ga, ^{68}Ga, ^{86}Y, ^{89}Zr, ^{99m}Tc, ^{111}In, ^{152}Tb, ^{155}Tb, and ^{203}Pb, more preferably selected from the grop consisting of ^{18}F, ^{68}Ga, ^{99m}Tc, ^{111}In, and ^{203}Pb; or

b) is either a γ-emitting isotope for diagnostic use and has a decay energy between 0.004 and 10 MeV, preferably between 0.05 and 4 MeV, or a positron-emitting isotope for diagnostic use and has a decay energy between 0.6 and 13.2 MeV, preferably between 1 and 6 MeV.

27. The compound of any one of claims 1 to 26 or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof, wherein the compound interacts with a fibroblast activation protein (FAP), preferably with human FAP having an amino acid sequence of SEQ ID NO: 1 or a homolog thereof, wherein the amino acid sequence of the homolog has an identity of at least 85% to the amino acid sequence of SEQ ID NO: 1, more preferably the compound is an inhibitor of the fibroblast activation protein (FAP).

28. The compound of any one of claims 1 to 27 or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof, for use in a method for the diagnosis of a disease.

29. The compound of any one of claims 1 to 27 or a pharmaceutically acceptable salt, hydrate or pharmaceutically acceptable solvate thereof, for use in a method for the treatment of a disease.

30. The compound for use of any one of clais 28 and 29, wherein the disease is a disease involving fibroblast activation protein (FAP), preferably upregulated expression of fibroblast activation protein (FAP), more preferably the disease is (a) a neoplasm, preferably a cancer or tumor or b) selected from the group consisting of an inflammatory disease, a cardiovascular disease, an autoimmune disease, and a fibrotic disease, most preferably the neoplasm, cancer, and tumor are each and individually selected from the group comprising a solid tumor, an epithelial tumor, bladder cancer, breast cancer, cervical cancer, colorectal cancer, cholangiocarcinoma, endometrial cancer, esophageal cancer, gastric cancer, gastrointestinal stromal tumors, head and neck cancer, liver cancer, lung cancer, melanoma, mesothelioma, neuroendocrine tumors and carcinomas, ovarian cancer, pancreatic cancer, prostate cancer, renal cell carcinoma, salivary carcinoma, sarcoma, squamous cell carcinoma, and thyroid cancer.