CLAIMS

1. A compound of general formula (I):

$$[(C)n\text{-}L]\text{-}(V)m \qquad (I),$$

in which : C represents the macrocyclic chelating agent macropa, L represents a multi-functional linker moiety comprising multiple functional groups for the covalent attachment of C, and V is a tissue-targeting moiety, and wherein n is a natural number selected from 2 to 32 and m is from 1 to 5, or a stereoisomer, a tautomer, an N-oxide, a hydrate, a solvate, or a salt thereof, or a mixture of same.

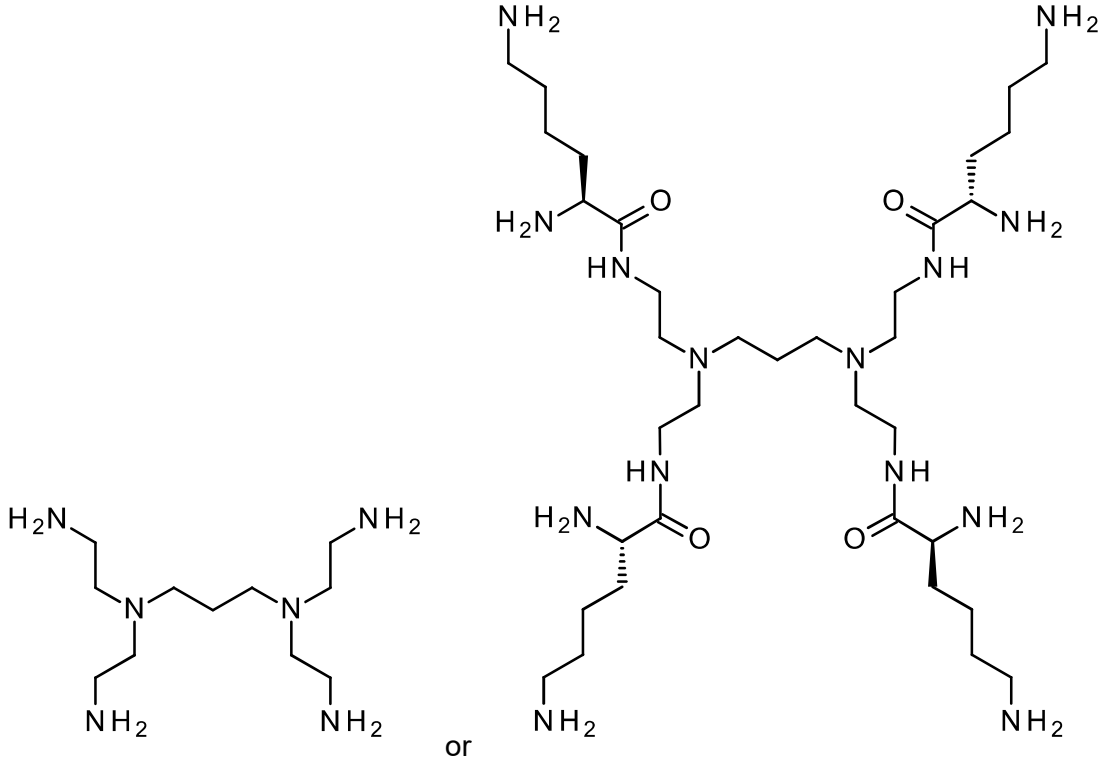
2. The compound according to claim 1, wherein the compound further comprises an alpha-emitting radioisotope or a stereoisomer, a tautomer, an N-oxide, a hydrate, a solvate, or a salt thereof, or a mixture of same.

3. The compound according to claim 2, wherein the alpha-emitting radioisotope is selected from the group consisting of radium-223, radium-224, bismuth-212, bismuth-213 and actinium-225 or a stereoisomer, a tautomer, an N-oxide, a hydrate, a solvate, or a salt thereof, or a mixture of same.

4. The compound according to claim 1, 2 or 3, wherein the tissue-targeting moiety is a monoclonal antibody or a stereoisomer, a tautomer, an N-oxide, a hydrate, a solvate, or a salt thereof, or a mixture of same.

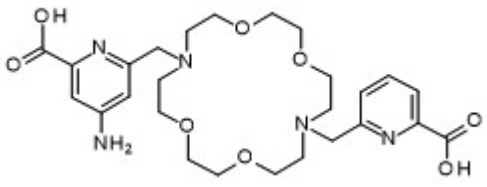
5. The compound according to claim 1, 2, 3, or 4, wherein L is a multi-functional linker moiety comprising multiple functional groups for the covalent attachment of chelator such as a polyamine or polyacid-containing backbone or amino acid containing polymer comprising side-chains with amino, thiol or carboxylic acid moieties such as lysine, cysteine or glutamic acid.

6. The compound according to claim 1, 2, 3, or 4, wherein L is



or

7. The compound according to claim 1, 2, 3 or 4, wherein C is the macrocyclic chelating agent macropa of formula (A) below:



(A); and

wherein either the amino substituent group or the carboxylic acid groups are used to form amide bonds with either L or V, n is 2, and V is a monoclonal antibody, or a stereoisomer, a tautomer, an N-oxide, a hydrate, a solvate, or a salt thereof, or a mixture of same.

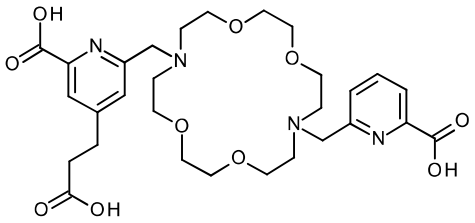
8. The compound according to claim 1, 2, 3 or 4, wherein C is the macrocyclic chelating agent macropa of formula (A) and wherein either the amino substituent group or the carboxylic acid groups are used to form amide bonds with either L or V, n is 3, and V is a monoclonal antibody, or a stereoisomer, a tautomer, an N-oxide, a hydrate, a solvate, or a salt thereof, or a mixture of same.

9. The compound according to claim 1, 2, 3 or 4, wherein C is the macrocyclic chelating agent macropa of formula (A) and wherein either the amino substituent group or the carboxylic acid groups are used to form amide bonds with either L or V, n is 4, and V is a monoclonal

antibody, or a stereoisomer, a tautomer, an N-oxide, a hydrate, a solvate, or a salt thereof, or a mixture of same.

10. The compound according to claim 1, 2, 3 or 4, wherein C is the macrocyclic chelating agent macropa of formula (A) and wherein either the amino substituent group or the carboxylic acid groups are used to form amide bonds with either L or V, n is 8 and V is a monoclonal antibody, or a stereoisomer, a tautomer, an N-oxide, a hydrate, a solvate, or a salt thereof, or a mixture of same.

11. The compound according to claim 1, 2, 3 or 4, wherein C is the macrocyclic chelating agent macropa of formula (B) below:



(B); and

wherein the carboxylic acid groups are used to form amide bonds with either L or V, n is 2, and V is a monoclonal antibody, or a stereoisomer, a tautomer, an N-oxide, a hydrate, a solvate, or a salt thereof, or a mixture of same.

12. The compound according to claim 1, 2, 3 or 4, wherein C is the macrocyclic chelating agent macropa of formula (B) and wherein the carboxylic acid groups are used to form amide bonds with either L or V, n is 3, and V is a monoclonal antibody, or a stereoisomer, a tautomer, an N-oxide, a hydrate, a solvate, or a salt thereof, or a mixture of same.

13. The compound according to claim 1, 2, 3 or 4, wherein C is the macrocyclic chelating agent macropa of formula (B) and wherein the carboxylic acid groups are used to form amide bonds with either L or V, n is 4, and V is a monoclonal antibody, or a stereoisomer, a tautomer, an N-oxide, a hydrate, a solvate, or a salt thereof, or a mixture of same.

14. The compound according to claim 1, 2, 3 or 4, wherein C is the macrocyclic chelating agent macropa of formula (B) and wherein the carboxylic acid groups are used to form amide bonds with either L or V, n is 8, and V is a monoclonal antibody, or a stereoisomer, a tautomer, an N-oxide, a hydrate, a solvate, or a salt thereof, or a mixture of same.

15. Compound according to claim 1, which is selected from

- 4,4'-[(9,13-bis{2-[2-(2-{[2-carboxy-6-({16-[(6-carboxypyridin-2-yl)methyl]-1,4,10,13-tetraoxa-7,16-diazacyclooctadecan-7-yl}methyl)pyridin-4-yl]amino}-2-oxoethoxy)acetamido]ethyl}-1,5,17,21-tetraoxo-3,19-dioxa-6,9,13,16-

tetraazahenicosane-1,21-diyl)diimino]bis[6-({16-[(6-carboxypyridin-2-yl)methyl]-1,4,10,13-tetraoxa-7,16-diazacyclooctadecan-7-yl}methyl)pyridine-2-carboxylic acid] (Example 7; Tet2);

- 4,4'-[7,11-bis(2-{3-[2-carboxy-6-({16-[(6-carboxypyridin-2-yl)methyl]-1,4,10,13-tetraoxa-7,16-diazacyclooctadecan-7-yl}methyl)pyridin-4-yl]propanamido}ethyl)-3,15-dioxo-4,7,11,14-tetraazaheptadecane-1,17-diyl]bis[6-({16-[(6-carboxypyridin-2-yl)methyl]-1,4,10,13-tetraoxa-7,16-diazacyclooctadecan-7-yl}methyl)pyridine-2-carboxylic acid] (Example 10, Tet5); or
- 4-[3-[[6-[2-[3-[bis[2-[2,6-bis[3-[2-carboxy-6-[[16-[(6-carboxy-2-pyridyl)methyl]-1,4,10,13-tetraoxa-7,16-diazacyclooctadec-7-yl]methyl]-4-pyridyl]propanoylamino]hexanoylamino]ethyl]amino]propyl-[2-[2,6-bis[3-[2-carboxy-6-[[16-[(6-carboxy-2-pyridyl)methyl]-1,4,10,13-tetraoxa-7,16-diazacyclooctadec-7-yl]methyl]-4-pyridyl]propanoylamino]hexanoylamino]ethyl]amino]ethylamino]-5-[3-[2-carboxy-6-[[16-[(6-carboxy-2-pyridyl)methyl]-1,4,10,13-tetraoxa-7,16-diazacyclooctadec-7-yl]methyl]-4-pyridyl]propanoylamino]-6-oxo-hexyl]amino]-3-oxo-propyl]-6-[[16-[(6-carboxy-2-pyridyl)methyl]-1,4,10,13-tetraoxa-7,16-diazacyclooctadec-7-yl]methyl]pyridine-2-carboxylic acid (Example 14, Oct2)

16. A method of preparing a compound of general formula (I) according to any one of claims 1 to 7, said method comprising the step of allowing an intermediate compound of general formula (II) :

$$[(X)p'\text{-}C]n\text{-}L$$

(II),

in which C, L, n and m and m are as defined for the compound of general formula (I) according to any one of claims 1 to 7, X is a functional group, and p' is 1-10,

to react with V;

in which V is as defined for the compound of general formula (I) according to any one of claims 1 to 7,

thereby giving a compound of general formula (I) :

$$[(C)n\text{-}L]\text{-}(V)m \quad (I),$$

in which C, L, V, n and and m are as defined for the compound of general formula (I) according to any one of claims 1 to 7.

17. A compound of general formula (I) according to any one of claims 1 to 7 for use in the treatment or prophylaxis of a disease.

18. A pharmaceutical composition comprising a compound of general formula (I) according to any one of claims 1 to 7 and one or more pharmaceutically acceptable excipients.

19. A pharmaceutical combination comprising:

- one or more first active ingredients, in particular compounds of general formula (I) according to any one of claims 1 to 7, and
- one or more further active ingredients, in particular anti-cancer agents.

20. Use of a compound of general formula (I) according to any one of claims 1 to 7 for the preparation of a medicament for the treatment or prophylaxis of a hyperproliferative disorder, such as a oncological disorder.