CLAIMS

1. A method for labeling a gastrin-releasing peptide receptor (GRPR) antagonist with a radioactive isotope, preferably ^{68}Ga, ^{67}Ga or ^{64}Cu, said method comprising the steps of:

   i. providing a first vial comprising said GRPR antagonist in dried form, optionally further comprising a first buffering agent,

   ii. adding a solution of said radioactive isotope into said first vial, thereby obtaining a solution of said GRPR antagonist with said radioactive isotope,

   iii. mixing the solution obtained in ii. with at least a second buffering agent and incubating it for a sufficient period of time for obtaining said GRPR antagonist labeled with said radioactive isotope, and,

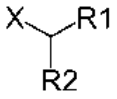
   iv. optionally, adjusting the pH of the solution;

   wherein said first vial further comprises macrogol 15 hydroxystearate as a surfactant;

   and wherein the GRPR antagonist is of the following formula

   C-S-P
   wherein:
   C is a chelator capable of chelating a radioactive isotope;
   S is an optional spacer covalently linked between C and the N-terminal of P;
   P is a GRPR peptide antagonist, preferably of the general formula :
   Xaa1-Xaa2—Xaa3—Xaa4 —Xaa5—Xaa6—Xaa7—Z;
   Xaa1 is not present or is selected from the group consisting of amino acid residues Asn, Thr, Phe, 3- (2-thienyl) alanine (Thi), 4-chlorophenylalanine (Cpa) , α-naphthylalanine (α-Nal) , β-naphthylalanine (β-Nal) , 1,2,3,4-tetrahydronorharman-3-carboxylic acid (Tpi), Tyr, 3-iodo-tyrosine (o-I-Tyr) , Trp and pentafluorophenylalanine (5-F-Phe) (all as L- or D-isomers) ;
   Xaa2 is Gln, Asn or His;
   Xaa3 is Trp or 1, 2, 3, 4-tetrahydronorharman-3-carboxylic acid (Tpi);
   Xaa4 is Ala, Ser or Val;
   Xaa5 is Val, Ser or Thr;
   Xaa6 is Gly, sarcosine (Sar), D-Ala, or β-Ala;
   Xaa7 is His or (3-methyl)histidine (3-Me)His;

Z is selected from -NHOH, -$NHNH_2$, -NH-alkyl, -$N(alkyl)_2$, and -O-alkyl or Z is

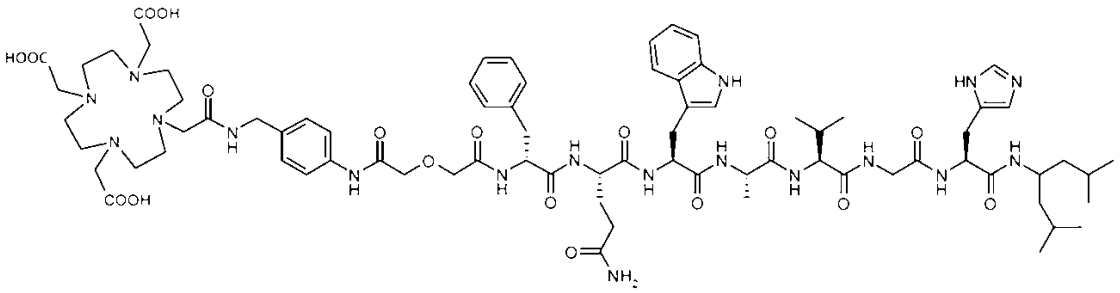


~~iv.~~ wherein X is NH or O, and R1 and R2 are the same or different and selected from a proton, an optionally substituted alkyl, an optionally substituted alkyl ether, an aryl, an aryl ether or an alkyl-, halogen, hydroxyl, hydroxyalkyl, amine, amino, amido, or amide substituted aryl or heteroaryl group.

2. The method of Claim 1, wherein the first vial at step i. is a reaction vial comprising said GRPR antagonist and a first buffering agent, preferably both in dried forms.

3. The method of Claim 1, wherein step iii comprises mixing the solution obtained in ii. with at least a reaction solution comprising a second buffering agent and incubating it for a sufficient period of time for obtaining said GRPR antagonist labeled with said radioactive isotope.

4. The method of any one of claims Claims 1-3, wherein the GRPR antagonist is the compound of formula (I):



(I).

~~DOTA-(p-aminobenzylamine-diglycolic acid))-D-Phe-Gln-Trp-Ala-Val-Gly-His-NH-CH[CH_2-$CH(CH_3)_2$]$_2$~~

5. The method of any one of Claims 1-4, wherein said GRPR antagonist is comprised in said first vial at an amount between 20 and 60 μg, typically, 50 μg.

6. The method of any one of Claims 1-5, wherein said first vial further comprises gentisic acid as a radiolysis protector, preferably at an amount between 50 and 250 μg, typically, 200 μg.

7. The method of any one of Claims 1-6, wherein said first vial further comprises mannitol as a bulking agent, for example at an amount between 10 and 30mg, typically 20 mg.

8. The method of any one of Claims 1-7, wherein said first vial ~~further~~ comprises ~~a~~ macrogol 15 hydroxystearate ~~as a surfactant, for example~~ at an amount between 250 and 750 μg, typically 500μg.

9. A solution comprising a GRPR antagonist labeled with a radioactive isotope, obtainable or obtained by the method of any one of Claims 1-8, for use as an injectable solution for in vivo detection of tumors by imaging in a subject in need thereof**.**

10. A solution comprising the compound of Formula (I) as defined in Claim 4, labeled with ^{68}Ga, obtainable or obtained by any one of the methods of Claims 4-8, for use as an injectable solution for in vivo detection of tumors by imaging in a subject in need thereof**.**

11. A powder for solution for injection, comprising the following components in dried forms:

    i. A GRPR antagonist of the following formula:

    C-S-P
    wherein:
    C is a chelator capable of chelating ~~said~~ a radioactive isotope;
    S is an optional spacer covalently linked between C and the N-terminal of P;
    P is a GRPR peptide antagonist, preferably of the general formula :
    Xaa1-Xaa2—Xaa3—Xaa4 —Xaa5—Xaa6—Xaa7—Z;
    Xaa1 is not present or is selected from the group consisting of amino acid residues Asn, Thr, Phe, 3- (2-thienyl) alanine (Thi), 4-chlorophenylalanine (Cpa) , α-naphthylalanine (α-Nal) , β-naphthylalanine (β-Nal) , 1,2,3,4-tetrahydronorharman-3-carboxylic acid (Tpi), Tyr, 3-iodo-tyrosine (o-I-Tyr) , Trp and pentafluorophenylalanine (5-F-Phe) (all as L- or D-isomers) ;

Xaa2 is Gln, Asn or His;

Xaa3 is Trp or 1, 2, 3, 4-tetrahydronorharman-3-carboxylic acid (Tpi);

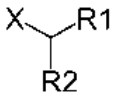
Xaa4 is Ala, Ser or Val;

Xaa5 is Val, Ser or Thr;

Xaa6 is Gly, sarcosine (Sar), D-Ala, or β-Ala;

Xaa7 is His or (3-methyl)histidine (3-Me)His;

Z is selected from -NHOH, -NHNH2, -NH-alkyl, -N(alkyl)2, and -O-alkyl or Z is



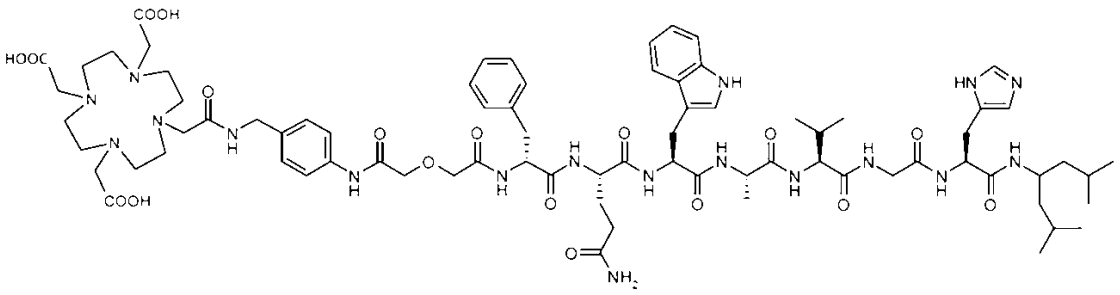
wherein X is NH ~~(amide)~~ or O ~~(ester)~~ and R1 and R2 are the same or different and selected from a proton, an optionally substituted alkyl, an optionally substituted alkyl ether, an aryl, an aryl ether or an alkyl-, halogen, hydroxyl, hydroxyalkyl, amine, amino, amido, or amide substituted aryl or heteroaryl group;

ii. a radiolysis protector, for example gentisic acid;

iii. a bulking agent, for example, mannitol; and,

iv. ~~optionally~~ a surfactant~~, for example~~ comprising macrogol 15 hydroxystearate.

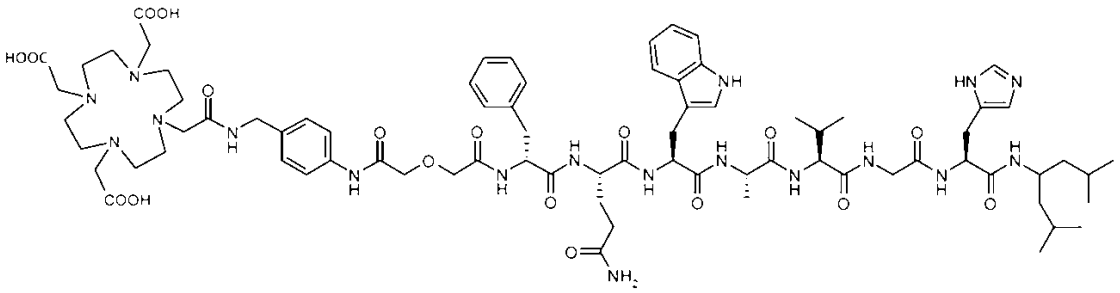
12. The powder for solution for injection according to Claim 11, wherein said GRPR antagonist is the compound of Formula (I):



(I)

13. The powder for solution for injection of any one of claims 11-12, comprising the following components:

- the following formula (I) at an amount between 20 and 60 μg, typically, 50 μg;

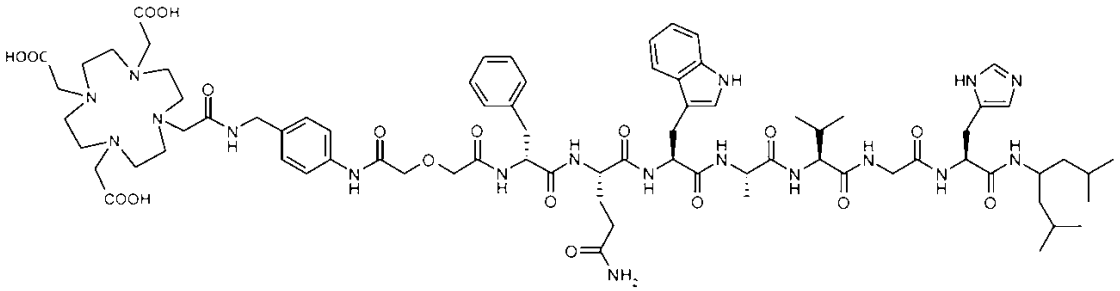


(I)

- gentisic acid at an amount ~~of~~ between 50 and 250 μg, typically, 200 μg, and,
- mannitol at an amount between 10 and 30mg, for example 20 mg, and,
- macrogol 15 hydroxystearate at an amount between 250 and 750 μg, for example 500μg.

14. A kit for carrying out the method of Claim 4, comprising

i. a first vial with the following components in dried forms
   - the compound of the following formula (I):



(I)

   - a radiolysis protector, for example gentisic acid,
   - optionally a bulking agent, for example, mannitol, and,
   - ~~optionally~~ a surfactant~~, for example~~ comprising macrogol 15 hydroxystearate; and,

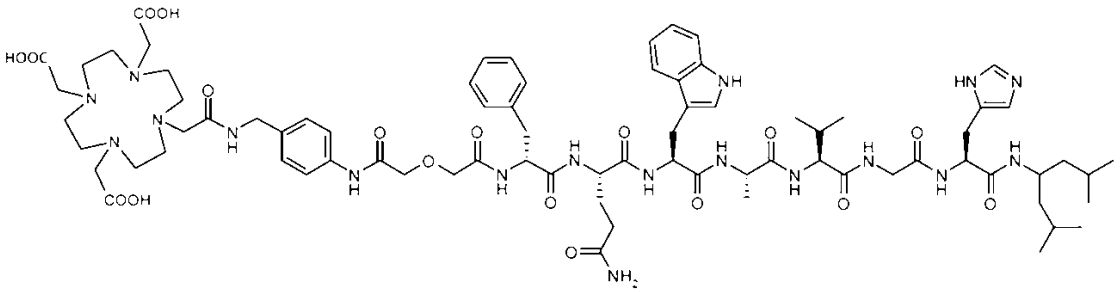
ii. a second vial comprising at least a buffering agent, preferably in dried form; and,

iii. optionally, an accessory cartridge for eluting a radioactive isotope generated by a radioactive isotope generator.

15. A kit for carrying out the method of Claim 4, comprising

i. a single vial with the following components in dried forms

- the compound of the following formula (I):



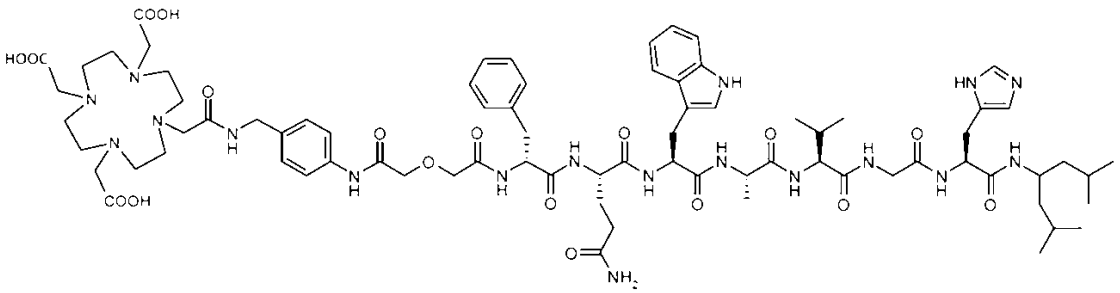
(I)

- a radiolysis protector, for example gentisic acid,
- optionally a bulking agent, for example, mannitol,
- ~~optionally~~ a surfactant~~, for example~~ comprising macrogol 15 hydroxystearate, and,
- at least a buffering agent, preferably in dried form; and,

ii. optionally, an accessory cartridge for eluting a radioactive isotope generated by a radioactive isotope generator.

16. The kit of any one of Claims 14-15, wherein said first or single vial comprises the following components:

- the following compound of formula (I) at an amount between 20 and 60 μg, typically, 50 μg;



(I)

- gentisic acid at an amount between~~of~~ 50 and 250 μg, typically, 200 μg,
- mannitol at an amount between 10 and 30 mg, for example 20 mg, and,
- ~~optionally,~~ macrogol 15 hydroxystearate at an amount between 250 and 750 μg, for example 500μg.

17. The kit of any one of Claims 14-16, wherein all components of said first, second or single vial are in dried forms.