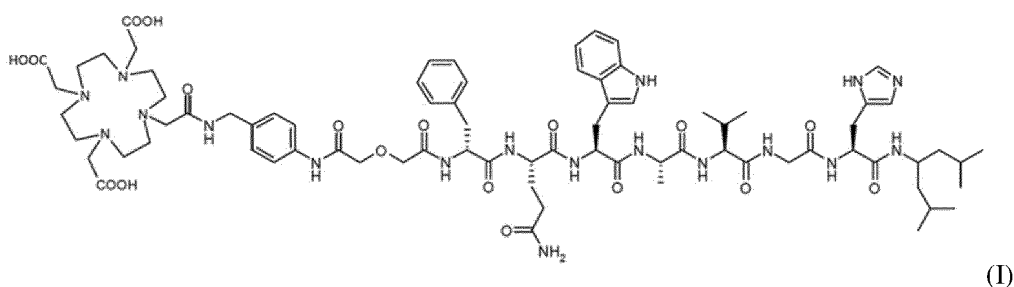


CLAIMS

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,
 - 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 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.
2. The method of Claim 1, wherein the first vial at step i. is a reaction vial comprising said GRPR antagonist and a 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 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):



DOTA-(p-aminobenzylamine-diglycolic acid))-D-Phe-Gln-Trp-Ala-Val-Gly-His-NH-CH[CH₂-CH(CH₃)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 .
- 5 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
10 macrogol 15 hydroxystearate as a surfactant, for example at an amount between 250 and 750 μg , typically 500 μg .
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
15 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
20 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
25 forms:
 - i. A GRPR antagonist of the following formula:

C-S-P
wherein:

30 C is a chelator capable of chelating said 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
35 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);

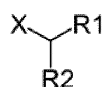
Xaa4 is Ala, Ser or Val;

Xaa5 is Val, Ser or Thr;

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

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

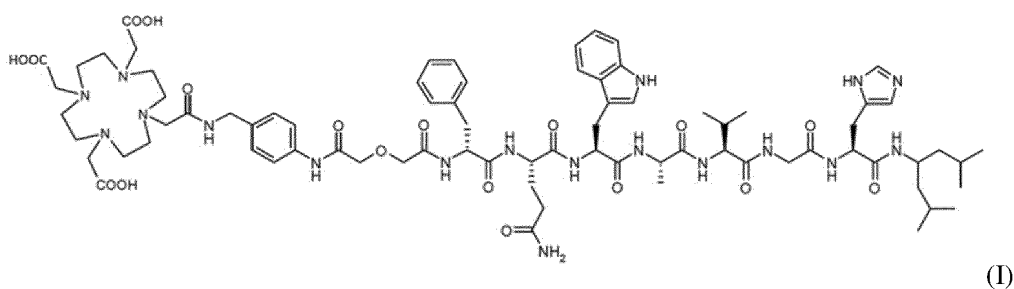
Z is selected from -NHOH, -NHNH₂, -NH-alkyl, -N(alkyl)₂, and -O-alkyl
or Z is



10 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;

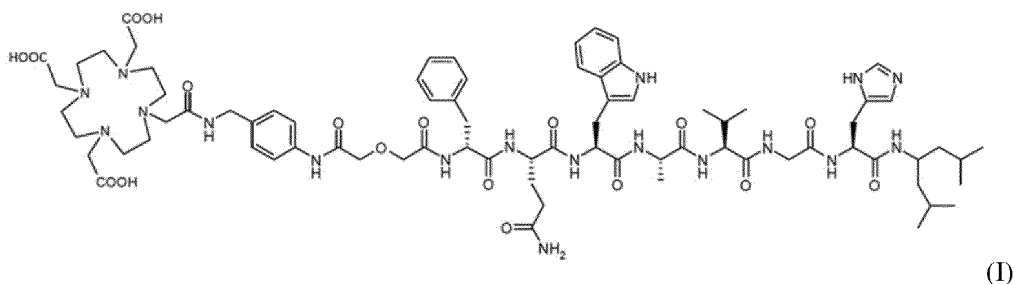
- 15 ii. a radiolysis protector, for example gentisic acid;
iii. a bulking agent, for example, mannitol; and,
iv. optionally a surfactant, for example macrogol 15 hydroxystearate.

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



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

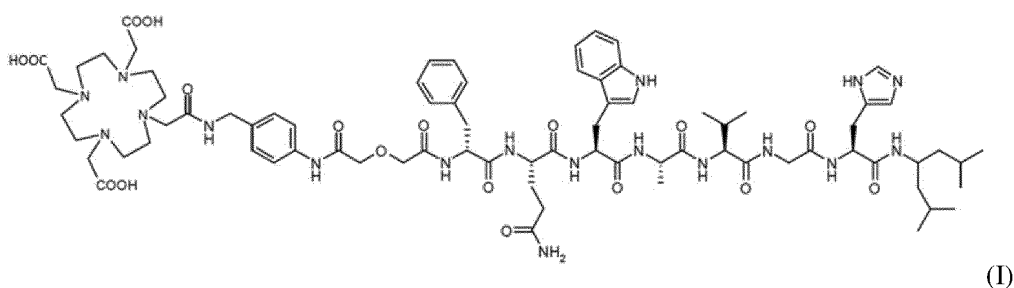
- the following formula (I) at an amount between 20 and 60 μg , typically, 50 μg ;



- gentisic acid at an amount of 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 500 μg .

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

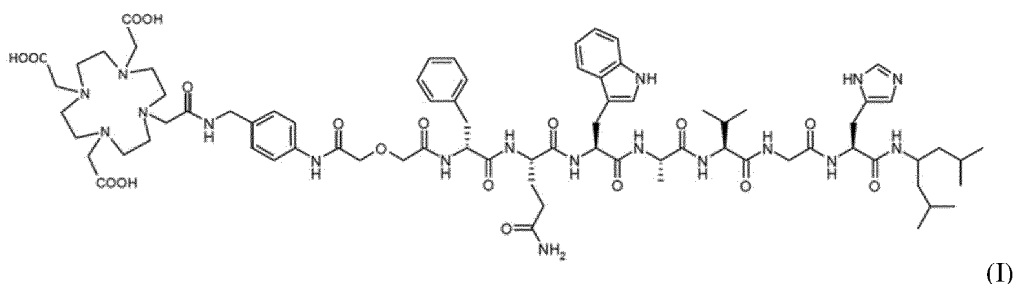
- i. a first vial with the following components in dried forms
 - the compound of the following formula (I):



- a radiolysis protector, for example gentisic acid,
- optionally a bulking agent, for example, mannitol, and,
- optionally a surfactant, for example 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):



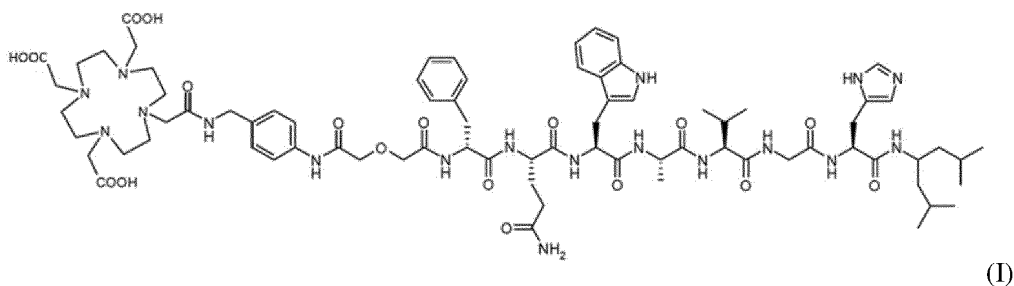
- a radiolysis protector, for example gentisic acid,
- optionally a bulking agent, for example, mannitol,
- optionally a surfactant, for example macrogol 15 hydroxystearate, and,
- at least a buffering agent, preferably in dried form; and,
- ii. optionally, an accessory cartridge for eluting a radioactive isotope generated by a radioactive isotope generator.

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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 ;

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

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