Claims

1. A compound binding to an endogenous receptor, said compound comprising
   (i) an oligopeptide comprising a dipeptide with Trp being the C-terminal amino acid of said dipeptide, wherein said Trp is replaced with an α-amino acid Xaa_2, whereby the stability in serum or plasma of the peptide bond connecting Xaa_2 to the N-terminally adjacent amino acid is increased as compared to the peptide bond connecting Trp to the N-terminally adjacent amino acid in an otherwise identical compound; and
   (ii) a moiety capable of generating therapeutically effective radiation, said moiety being covalently bound to said oligopeptide.

2. The compound of claim 1, wherein said N-terminally adjacent amino acid in said dipeptide is L-Gln, D-Gln, L-His, D-His or Gly, preferably L-Gln.

3. The compound of claim 1 or 2, wherein said endogenous receptor is a peptide receptor overexpressed in cancer disease, such as Neuromedin-B receptor (Bombesin-1 receptor, NMBR), Gastrin-releasing peptide receptor (Bombesin-2 receptor, GRPR), Bombesin receptor subtype 3 (BRS-3) or Cholecystokinin-2 receptor (CCK-2R), and wherein preferably
   (a) said binding is with a K_D of less or equal 15 nM; and/or
   (b) said compound is a GRPR antagonist, preferably with an IC_{50} of less or equal 15 nM.

4. A compound of formula (I)

   S — Y — Xaa_1 — Xaa_2 — L-Ala — L-Val — Xaa_5 — L-His — T (I)

   wherein
   S is a moiety capable of generating therapeutically active radiation;
   Y is an optional linker;
   Xaa_1 is (i) L-Gln, D-Gln, L-His, D-His or Gly, preferably L-Gln; or

(ii) an α-amino acid which increases stability in serum or plasma of the Xaa_1—Xaa_2 peptide bond as compared to Xaa_1 being Gln and Xaa_2 being Trp in an otherwise identical compound;

Xaa_2 is Trp or an α-amino acid which increases stability in serum or plasma of the Xaa_1—Xaa_2 peptide bond as compared to Xaa_1 being Gln and Xaa_2 being Trp in an otherwise identical compound;

provided that Xaa_1 is not any one of L-Gln, D-Gln, L-His, D-His and Gly, and Xaa_2 is not Trp, respectively, at the same time;

Xaa_5 is Gly, N-Me-Gly, D-Ala, β-Ala or 2-aminoisobutyric acid (Aib); preferably Gly; and

T is an optional terminal group.

5. The compound of any one of claims 1 to 4, wherein Xaa_2 is

(a) Trp which is modified to comprise

(i) a C1 to C4 optionally substituted alkyl moiety bound to the α-carbon, substituents being selected from halogen and hydroxyl; and/or

(ii) a substituent bound to the indole ring, substituents being selected from N-(2,2,2-trifluoromethyl), N-methyl, N-acetyl, 5-fluoro, 5-bromo, 5-iodo, 5-chloro, 5-hydroxy, 5-methoxy, 5-methyl, 6-chloro, 7-chloro and 7-Aza;

(b) 1,2,3,4-tetrahydro norharmane-3-carboxylic acid (L-Tpi).

6. The compound of claim 5, wherein said optionally substituted alkyl moiety is selected from $-CH_3$, $-CH_2CH_3$, and CH_nHal_{3-n}, wherein n is 0, 1 or 2 and Hal is F, Cl, Br and/or I such as $-CF_3$; and preferably is $-CH_3$.

7. The compound of any one of claims 1 to 6, wherein Xaa_2 is α-Me-Trp.

8. A compound of formula (II)

S — Y — Xaa_3 — Xaa_4 — L-Ala — L-Val — Xaa_5 — L-His — T (II)

wherein

S is a moiety capable of generating a detectable signal;

Y is an optional linker;

Xaa_3 is (i) L-Gln, D-Gln, L-His, D-His or Gly, preferably L-Gln; or

(ii) an α-amino acid which decreases stability in serum or plasma of the Xaa_3— Xaa_4 peptide bond as compared Xaa_3 being Gln and Xaa_4 being Trp in an otherwise identical compound;

Xaa_4 is Trp or an α-amino acid which decreases stability in serum or plasma of the Xaa_3—Xaa_4 peptide bond as compared Xaa_3 being Gln and Xaa_4 being Trp in an otherwise identical compound;

wherein said α-amino acid at position Xaa_4 which decreases stability in serum or plasma of the Xaa_3—Xaa_4 peptide bond is not a proteinogenic amino acid;

provided that Xaa_3 is not any one of L-Gln, D-Gln, L-His, D-His and Gly, and Xaa_4 is not Trp, respectively, at the same time;

Xaa_5 is Gly, N-Me-Gly, β-Ala or 2-aminoisobutyric acid (Aib); preferably Gly; and

T is a optional terminal group.

9. The compound of claim 8, wherein Xaa_3 is Hse and/or Xaa_4 is Bta.

10. The compound of any one of claims 4 to 7, wherein S is selected from a radioactive moiety and a moiety capable of being loaded with a radioactive nuclide.

11. The compound of claim 8 or 9, wherein S is selected from a fluorescent moiety, a radioactive moiety, and a moiety capable of being loaded with a radioactive nuclide.

12. The compound of any of claims 4 to 11, wherein Y is present and

(a) comprises one, two, three, four, five or six positive and/or negative charge(s);

(b) comprises or consists of one, two, three, four, five or six amino acids, preferably (a) D-amino acid(s) being among said amino acids, more

preferably (a) D-α-amino acid(s);

(c) comprises or consists of PEG_n, n being an integer selected from 1, 2, 3, 4, 5, 6, 7, 8, 9 and 10; and/or

(d) comprises a moiety capable of generating a detectable signal;

wherein preferably said linker Y comprises or consists of

(i) D-Glu-urea-D-Glu;

(ii) one or two 2,3-diaminopropionic acid moieties, optionally substituted with a moiety capable of generating a detectable signal;

(iii) one, two, three, four, five or six consecutive amino acids comprising or consisting of one or more amino acids selected from D-/L-aspartate, D-/L-ornithine, 4-amino-1-carboxymethyl-piperidine (Pip), D-/L-2,3-diaminopropionic acid, D-/L-serine, D-/L-citrulline moieties, L-cysteic acid (Ala(SO_3H)), amino-valeric acid (Ava), 4-aminobenzoic acid (PABA) and D-Phe; and/or

(iv) p-aminomethylaniline-diglycolic acid (pABza-DIG, AMA-DGA), and/or diglycolate (DIG, DGA)

13. The compound of any claims 4 to 12, wherein T is present and comprises or consists of

(a) statine (Sta or (3S,4S)-4-amino-3-hydroxy-6-methylheptanoic acid), 2,6-dimethyl heptane, Leu or β-thienyl-L-alanine (Thi);

(b) Leu, norleucine (Nle), Pro, Met, or 1-amino-1-isobutyl-3-methyl-butane, wherein the amidic amine group of said Leu may be modified with ethyl (NH-Ethyl) or NH_2 (NH-NH_2); and/or

(c) (S)-1-((S)-2-amino-4-methylpentyl)pyrrolidine-2-carboxamide (Leu-ψ(CH_2N)-Pro-NH_2);

provided that if T is or terminates with an amino acid, the carboxylate of said amino acid is amidated.

14. A pharmaceutical composition comprising or consisting of a compound of any one of claims 1 to 7 or 10 to 13, to the extent claims 10 to 13 refer back to any one of claims 1 to 7.

15. A diagnostic composition comprising or consisting of a compound of any one of claims 8, 9 or 10 to 13, to the extent claims 10 to 13 refer back to claim 8 or 9.