2020 could still be further improved. In particular, the most-promising candidate, peptide I5, exhibited a lower stability under a simulated intestinal fluid (SIF) assay and a lower potency for IL-23R in comparison with Protagonist's Compound C (Sayago *et al.*, 2018).

Therefore, there remains a need for new therapeutics targeting the IL-23 pathway, which may be used to treat and prevent IL-23-associated diseases, including those associated with autoimmune inflammation in the intestinal tract. Furthermore, compounds and methods for specific targeting of IL-23R from the luminal side of the gut may provide therapeutic benefit to IBD patients suffering from local inflammation of the intestinal tissue.

The present invention addresses these needs by providing novel peptide inhibitors that bind IL-23R to inhibit IL-23 mediated signalling. The novel peptide inhibitors are also suitable for oral administration due to their stability in the gastrointestinal tract.

**SUMMARY**

The present disclosure relates to compounds which are peptide inhibitors of interleukin-23 receptor (IL-23R).

In a first aspect, the disclosure provides a compound having the formula:

$$R^1\text{-}Z\text{-}R^2$$

wherein

$R^1$ is H, $C_{1\text{-}4}$ acyl, benzoyl, $C_{1\text{-}4}$ alkyl, or is absent;

$R^2$ is $NHR^3$, OH, or is absent, wherein $R^3$ is hydrogen or $C_{1\text{-}3}$ alkyl optionally substituted with $NH_2$; and

Z is an amino acid sequence of formula I:

X1-X2-X3-X4-X5-X6-X7-X8-X9-X10-X11-X12-X13-X14 (I)

wherein

X1 is absent or is selected from the group consisting of Asp, Leu, Glu, Ser, Cys, and Lys;

X3 is selected from the group consisting of Ser, beta-homo-Ser, Thr, Gln, Val, Ile, N-Me-Ser, and Q(pyrrolidin);

X5 is selected from the group consisting of Trp, Tyr, 1-Me-Trp, 7-Me-Trp, 7-Ph-Trp, 7-(Naphth-2-yl)-Trp, 2-Nal, 4-F-Trp, 7-F-Trp, and N-Me-Trp;

X6 is selected from the group consisting of Gln, Glu, Val, His, N-Me-Gln, and Q(pyrrolidin);

X8 is selected from the group consisting of Trp, Tyr, His, 2-Nal, Dab, 2,4-diaminobutanoyl([2-(trimethyl-2-aminoethoxy)ethoxy]propyl), F(4-$NH_2$-(2-(trimethyl-2-aminoethoxy)ethoxy)propyl), Phe, Val, 4-Me-Phe, 2-Me-Phe, Bip, 2-Me-F(4-F), {d}F(4-F), 4-Cl-Phe, alpha-Me-Trp, 3,3-Diphenyl-Ala, and Phg, wherein the hydroxyl group of Tyr is optionally substituted with $C_{1-3}$ alkyl optionally substituted with $NH_2$;

X9 is selected from the group consisting of 2-Nal, Trp, 1-Me-Trp, 6-Cl-Trp, 3-(3-Quinolinyl)-Ala, Phe, 4-F-Phe, 6-F-Trp, His, 3-F-Phe, 3,4-Me-Phe, Bip, and {d}6-F-Trp;

X10 is selected from the group consisting of Leu, D-Leu, 2-Me-Leu, 2-Me-Lys, 4-aminotetrahydro-2H-pyran-4-acetyl, and 2-Me-Val;

X12 is selected from the group consisting of Arg, D-Arg, 2-Me-Arg, N-Me-Arg, Ser, Phe, 4-$NH_2$-Phe, Tyr, Gly, Glu, Dab, 3-(3-Pyridyl)-Ala, 3-(4-Pyridyl)-Ala, {d}2,4-diaminobutanoyl([2-(trimethyl-2-aminoethoxy)ethoxy]propyl), D-Gln, D-Glu, D-His, 3-aminopropanoyl, and GABA, or is absent;

X13 is absent or is selected from the group consisting of Asn, 3-(3-Pyridyl)-Ala, 3-(4-Pyridyl)-Ala, 3-(3-Quinolinyl)-Ala, {d}[3-(3-Pyridyl)-Ala], 3-amino-3-(3'-pyridyl)propionyl, 3-F-Phe, 3,5-F-Phe, 4-aminomethyl-2-pyridineacetyl, 2,3-diaminopropanoyl(3-pyridylacetyl), 2,3-diaminopropanoyl(3-pyridylpropionyl), 2,3-diaminopropanoyl(3-fluorobenzoyl), 2,3-diaminopropanoyl(3-fluorophenylacetyl), and 2-Me-3-(3-Pyridyl)-Ala;

X14 is absent or is Gly;

X2 and X11 are amino acid residues who together form a lactam bridge, a dithioether bridge, or a bridge containing a triazole ring; and

X4 and X7 are amino acid residues who together form a lactam bridge, a dithioether bridge, or a bridge containing a triazole ring;

wherein the dithioether bridge between X2 and X11 and/or X4 and X7 is of the formula -S-L-Y-L-S-, wherein:

each S is a sulfur atom and is part of the amino acid residue at X2 and X11 and/or X4 and X7;

each L is independently C1-4 alkylene; and

Y is either absent, C(=O), or 1,2-phenylene;

or a pharmaceutically acceptable salt or solvate thereof;

wherein the compound is not:

I3 (isomer 3) H-DC(1a)SC(2a)WQC(2a)WWLC(1a)R-[NH2];

wherein (1a) is a [2,11] 1,3-dithio-propan-2-one bridge and (2a) is a [4,7] 1,3-dithio-propan-2-one bridge.

In another aspect, the present disclosure refers to a pharmaceutical composition comprising the compound as disclosed herein in combination with a pharmaceutically acceptable carrier, excipient or vehicle.

In another aspect, the present disclosure refers to the compound as disclosed herein, or the pharmaceutical composition as disclosed herein, for use as a medicament.

In another aspect, the present disclosure refers to use of the compound as disclosed herein, or the pharmaceutical composition as disclosed herein, in the manufacture of a medicament for the treatment of inflammatory bowel (IBD), Crohn’s Disease, ulcerative colitis, or psoriasis.

**CLAIMS**

1. A compound of the formula:

$R^1$-Z-$R^2$

wherein

$R^1$ is H, $C_{1-4}$ acyl, benzoyl, $C_{1-4}$ alkyl, or is absent;

$R^2$ is $NHR^3$, OH, or is absent, wherein $R^3$ is hydrogen or $C_{1-3}$ alkyl optionally substituted with $NH_2$; and

Z is an amino acid sequence of formula I:

X1-X2-X3-X4-X5-X6-X7-X8-X9-X10-X11-X12-X13-X14 (I)

wherein

X1 is absent or is selected from the group consisting of Asp, Leu, Glu, Ser, Cys, and Lys;

X3 is selected from the group consisting of Ser, beta-homo-Ser, Thr, Gln, Val, Ile, N-Me-Ser, and Q(pyrrolidin);

X5 is selected from the group consisting of Trp, Tyr, 1-Me-Trp, 7-Me-Trp, 7-Ph-Trp, 7-(Naphth-2-yl)-Trp, 2-Nal, 4-F-Trp, 7-F-Trp, and N-Me-Trp;

X6 is selected from the group consisting of Gln, Glu, Val, His, N-Me-Gln, and Q(pyrrolidin);

X8 is selected from the group consisting of Trp, Tyr, His, 2-Nal, Dab, 2,4-diaminobutanoyl([2-(trimethyl-2-aminoethoxy)ethoxy]propyl), F(4-$NH_2$-(2-(trimethyl-2-aminoethoxy)ethoxy)propyl), Phe, Val, 4-Me-Phe, 2-Me-Phe, Bip, 2-Me-F(4-F), {d}F(4-F), 4-Cl-Phe, alpha-Me-Trp, 3,3-Diphenyl-Ala, and Phg, wherein the hydroxyl group of Tyr is optionally substituted with $C_{1-3}$ alkyl optionally substituted with $NH_2$;

X9 is selected from the group consisting of 2-Nal, Trp, 1-Me-Trp, 6-Cl-Trp, 3-(3-Quinolinyl)-Ala, Phe, 4-F-Phe, 6-F-Trp, His, 3-F-Phe, 3,4-Me-Phe, Bip, and {d}6-F-Trp;

X10 is selected from the group consisting of Leu, D-Leu, 2-Me-Leu, 2-Me-Lys, 4-aminotetrahydro-2H-pyran-4-acetyl, and 2-Me-Val;

X12 is selected from the group consisting of Arg, D-Arg, 2-Me-Arg, N-Me-Arg, Ser, Phe, 4-$NH_2$-Phe, Tyr, Gly, Glu, Dab, 3-(3-Pyridyl)-Ala, 3-(4-Pyridyl)-Ala, {d}2,4-diaminobutanoyl([2-(trimethyl-2-aminoethoxy)ethoxy]propyl), D-Gln, D-Glu, D-His, 3-aminopropanoyl, and GABA, or is absent;

X13 is absent or is selected from the group consisting of Asn, 3-(3-Pyridyl)-Ala, 3-(4-Pyridyl)-Ala, 3-(3-Quinolinyl)-Ala, {d}[3-(3-Pyridyl)-Ala], 3-amino-3-(3'-pyridyl)propionyl, 3-F-Phe, 3,5-F-Phe, 4-aminomethyl-2-pyridineacetyl, 2,3-diaminopropanoyl(3-pyridylacetyl), 2,3-diaminopropanoyl(3-pyridylpropionyl), 2,3-diaminopropanoyl(3-fluorobenzoyl), 2,3-diaminopropanoyl(3-fluorophenylacetyl), and 2-Me-3-(3-Pyridyl)-Ala;

X14 is absent or is Gly;

X2 and X11 are amino acid residues who together form a lactam bridge, a dithioether bridge, or a bridge containing a triazole ring; and

X4 and X7 are amino acid residues who together form a lactam bridge, a dithioether bridge, or a bridge containing a triazole ring;

wherein the dithioether bridge between X2 and X11 and/or X4 and X7 is of the formula -S-L-Y-L-S-, wherein:

each S is a sulfur atom and is part of the amino acid residue at X2 and X11 and/or X4 and X7;

each L is independently C1-4 alkylene; and

Y is either absent, C(=O), or 1,2-phenylene;

or a pharmaceutically acceptable salt or solvate thereof;

wherein the compound is not:

I3 (isomer 3) H-DC(1a)SC(2a)WQC(2a)WWLC(1a)R-[NH2];

wherein (1a) is a [2,11] 1,3-dithio-propan-2-one bridge and (2a) is a [4,7] 1,3-dithio-propan-2-one bridge.

2. The compound according to claim 1 of the formula:

$R^1$-Z-$R^2$

wherein

$R^1$ is H, $C_{1-4}$ acyl, benzoyl, $C_{1-4}$ alkyl, or is absent;

$R^2$ is $NHR^3$, OH, or is absent, wherein $R^3$ is hydrogen or $C_{1-3}$ alkyl; and

Z is an amino acid sequence of formula I:

X1-X2-X3-X4-X5-X6-X7-X8-X9-X10-X11-X12-X13-X14 (I)

wherein

X1 is absent or is selected from the group consisting of Asp, Ser, Cys, and Lys;

X3 is selected from the group consisting of Ser, beta-homo-Ser, Thr, and Gln;

X5 is selected from the group consisting of Trp, Tyr, 1-Me-Trp, 7-Me-Trp, 7-Ph-Trp, 7-(Naphth-2-yl)-Trp, 2-Nal, and Bip;

X6 is selected from the group consisting of Gln and Glu;

X8 is selected from the group consisting of Trp, Tyr, His, and 2-Nal, wherein the hydroxyl group of Tyr is optionally substituted with $C_{1-3}$ alkyl optionally substituted with $NH_2$;

X9 is selected from the group consisting of 2-Nal, Trp, 1-Me-Trp, 6-Cl-Trp, 3-(3-Quinolinyl)-Ala, Phe and 4-F-Phe;

X10 is selected from the group consisting of Leu, D-Leu, 2-Me-Leu, 2-Me-Lys, and 4-aminotetrahydro-2H-pyran-4-acetyl;

X12 is selected from the group consisting of Arg, D-Arg, 2-Me-Arg, N-Me-Arg, Ser, Phe, 4-$NH_2$-Phe, Tyr, Gly, Glu, Dab, 3-(3-Pyridyl)-Ala, and 3-(4-Pyridyl)-Ala, or is absent;

X13 is absent or is selected from the group consisting of Asn, 3-(3-Pyridyl)-Ala, and 3-(4-Pyridyl)-Ala;

X14 is absent or is Gly;

X2 and X11 are amino acid residues who together form a lactam bridge, a dithioether bridge, or a bridge containing a triazole ring; and

X4 and X7 are amino acid residues who together form a lactam bridge, a dithioether bridge, or a bridge containing a triazole ring;

wherein the dithioether bridge between X2 and X11 and/or X4 and X7 is of the formula -S-L-Y-L-S-, wherein:

each S is a sulfur atom and is part of the amino acid residue at X2 and X11 and/or X4 and X7;

each L is independently C1-4 alkylene; and

Y is either absent, C(=O), or 1,2-phenylene;

or a pharmaceutically acceptable salt or solvate thereof;

wherein the compound is not:

I3 (isomer 3) H-DC(1a)SC(2a)WQC(2a)WWLC(1a)R-[NH2];

wherein (1a) is a [2,11] 1,3-dithio-propan-2-one bridge and (2a) is a [4,7] 1,3-dithio-propan-2-one bridge.

3. The compound according to claim 1 or 2, wherein X1 is absent or Asp.

4. The compound according to any one of the preceding claims, wherein X1 is absent.

5. The compound according to any one of the preceding claims, wherein X2 and X11 are amino acid residues who together form a lactam bridge.

6. The compound according to claim 5, wherein the location of the amide bond in the lactam bridge is closer to X11 than X2.

7. The compound according to claim 5 or 6, wherein one of the residues at position X2 and X11 is selected from Lys, Arg, Orn, bAla, 3-(4-aminophenyl)propanoyl, (3-aminomethyl)benzoyl, (4-aminomethyl)benzoyl, 4-(2-aminoethyl)benzoyl, 2-aminomethyl-phenylacetyl, 3-aminomethyl-phenylacetyl, 4-aminomethyl-phenylacetyl, 4-aminomethyl-2-pyridineacetyl, 4-aminomethyl-3-pyridineacetyl, 4-aminomethyl-2-fluoro-phenylacetyl, 4-aminomethyl-3-fluoro-phenylacetyl, 4-aminomethyl-2-methyl-phenylacetyl, 4-aminomethyl-3-methyl-phenylacetyl, 4-aminomethyl-2-methoxy-phenylacetyl, 4-aminomethyl-3-methoxy-phenylacetyl, Dab, 6-aminohexanoyl, 6-amino-4-oxahexanoyl, trans-4-aminomethyl-cyclohexyl-1-carbonyl, (4-(2-aminoethyl)-piperazine-1-yl)-acetyl, 2,4-diaminobutanoyl([2-(trimethyl-2-aminoethoxy)ethoxy]propyl), and 4-methylaminomethyl-phenylacetyl, and the other is selected from Glu and Asp.

8. The compound according to claim 7, wherein X2 is selected from Lys, Orn, bAla, 3-(4-aminophenyl)propanoyl, (3-aminomethyl)benzoyl, (4-aminomethyl)benzoyl, 4-(2-aminoethyl)benzoyl, 2-aminomethyl-phenylacetyl, 3-aminomethyl-phenylacetyl, 4-aminomethyl-phenylacetyl, 4-aminomethyl-2-pyridineacetyl, 4-aminomethyl-3-pyridineacetyl, 4-aminomethyl-2-fluoro-phenylacetyl, 4-aminomethyl-3-fluoro-phenylacetyl, 4-aminomethyl-2-methyl-phenylacetyl, 4-aminomethyl-3-methyl-phenylacetyl, 4-aminomethyl-2-methoxy-phenylacetyl, 4-aminomethyl-3-methoxy-phenylacetyl, 6-aminohexanoyl, 6-amino-4-oxahexanoyl, trans-4-aminomethyl-cyclohexyl-1-carbonyl, (4-(2-aminoethyl)-piperazine-1-yl)-acetyl, 2,4-diaminobutanoyl([2-(trimethyl-2-aminoethoxy)ethoxy]propyl), and 4-methylaminomethyl-phenylacetyl, and X11 is selected from Glu and Asp.

9. The compound according to any one claims 1 to 4, wherein X2 and X11 are amino acid residues who together form a dithioether bridge.

10. The compound according to claim 9, wherein X2 and X11 are each independently selected from Cys and N-Me-Cys.

11. The compound according to claim 9 or 10, wherein X2 is Cys and X11 is Cys.

12. The compound according to any one of the preceding claims, wherein X4 and X7 are amino acid residues who together form a dithioether bridge.

13. The compound according to any one of claims 1 to 11, wherein X4 and X7 are amino acid residues who together form a lactam bridge.

14. The compound according to claim 13, wherein one of the residues at position X4 and X7 is Lys, Dpr, Dab, or Orn, and the other is Glu.

15. The compound according to claim 1 wherein Z is an amino acid sequence selected from the group consisting of:

| | |
|---|---|
| SEQ ID NO: 1 | DC(1a)SC(2a)WQC(2a)WW[2-Me-Leu]C(1a)R |
| SEQ ID NO: 2 | LC(1a)SC(2a)WQC(2a)WWLC(1a)R |
| SEQ ID NO: 3 | DC(1a)SC(2a)WEC(2a)WWLC(1a)R |
| SEQ ID NO: 4 | DE(1c)SC(2a)WQC(2a)WWLK(1c)R |
| SEQ ID NO: 5 | DC(1a)SE(2c)WQK(2c)WWLC(1a)R |
| SEQ ID NO: 6 | D(1c)*SC(2a)WQC(2a)WWLR(1c)* |
| SEQ ID NO: 7 | DK(1c)SC(2a)WQC(2a)WWLE(1c)R |
| SEQ ID NO: 8 | D[Orn](1c)SC(2a)WQC(2a)WWLE(1c)R |
| SEQ ID NO: 9 | DE(1c)SC(2a)WQC(2a)WWL[Dab](1c)R |
| SEQ ID NO: 10 | E(1c)SC(2a)WQC(2a)WWLK(1c)R |
| SEQ ID NO: 11 | DE(1c)SC(2a)WQC(2a)WWLK(1c) |
| SEQ ID NO: 12 | E(1c)SC(2a)WQC(2a)WWLK(1c) |
| SEQ ID NO: 16 | DE(1c)SC(2a)[7-Me-Trp]QC(2a)WWLK(1c)R |
| SEQ ID NO: 17 | DE(1c)SC(2a)WQC(2a)W[2-Nal]LK(1c)R |
| SEQ ID NO: 18 | K(1c)SC(2a)WQC(2a)W[2-Nal]LE(1c)R |

| | |
|---|---|
| SEQ ID NO: 19 | DK(1c)SC(2a)WQC(2a)W[2-Nal]LE(1c)R |
| SEQ ID NO: 20 | DK(1c)SC(2d)WQC(2d)W[2-Nal]LE(1c)R |
| SEQ ID NO: 23 | DK(1c)S[K($N_3$)](2g)WQ[Pra](2g)W[2-Nal]LE(1c)R |
| SEQ ID NO: 24 | DK(1c)S[Aha](2g)WQ[Pra](2g)W[2-Nal]LE(1c)R |
| SEQ ID NO: 25 | K(1c)SC(2a)[2-Nal]QC(2a)W[2-Nal]LE(1c)R |
| SEQ ID NO: 27 | K(1c)SC(2a)[1-Me-Trp]QC(2a)W[2-Nal]LE(1c)R |
| SEQ ID NO: 28 | DK(1c)SC(2a)WQC(2a)[2-Nal][2-Nal]LE(1c)R |
| SEQ ID NO: 29 | K(1c)SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal]LE(1c)R |
| SEQ ID NO: 30 | K(1c)SC(2a)WQC(2a)H[2-Nal]LE(1c)R |
| SEQ ID NO: 31 | K(1c)SC(2a)WQC(2a)W[F(4-F)]LE(1c)R |
| SEQ ID NO: 32 | K(1c)SC(2a)WQC(2a)W[1-Me-Trp]LE(1c)R |
| SEQ ID NO: 33 | C(1a)TC(2a)WEC(2a)WW[2-Me-Leu]C(1a)S |
| SEQ ID NO: 36 | [bAla](1c)*SC(2a)WQC(2a)W[2-Nal]LE(1c)R |
| SEQ ID NO: 37 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)W[2-Nal]LE(1c)R |
| SEQ ID NO: 38 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R-[NH2] |
| SEQ ID NO: 39 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal]LE(1c)R |
| SEQ ID NO: 40 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)W[2-Nal][2-Me-Leu]E(1c)R |
| SEQ ID NO: 41 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R] |
| SEQ ID NO: 42 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[2-Me-Arg] |
| SEQ ID NO: 43 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[N-Me-Arg] |
| SEQ ID NO: 44 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)S |
| SEQ ID NO: 45 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[F(4-$NH_2$)] |
| SEQ ID NO: 46 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][{d}L]E(1c)Y |
| SEQ ID NO: 47 | [Ac]-K(1c)SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R |
| SEQ ID NO: 48 | [Ac]-K(1c)SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][{d}L]E(1c)R |

| | |
|---|---|
| SEQ ID NO: 49 | [(4-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R |
| SEQ ID NO: 50 | [4-(2-Aminoethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R |
| SEQ ID NO: 51 | [3-(4-Aminophenyl)propanoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R |
| SEQ ID NO: 52 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R |
| SEQ ID NO: 55 | DE(1c)QC(2a)WQC(2a)YW[2-Me-Leu]K(1c)R |
| SEQ ID NO: 56 | DE(1c)QC(2a)WQC(2a)[2-Nal]W[2-Me-Leu]K(1c)R |
| SEQ ID NO: 57 | DE(1c)TC(2a)WQC(2a)W[2-Nal][2-Me-Leu]K(1c) |
| SEQ ID NO: 58 | DE(1c)SC(2a)WQC(2a)W[2-Nal][2-Me-Leu]K(1c)ENG |
| SEQ ID NO: 59 | DE(1c)SC(2a)WQC(2a)W[2-Nal][2-Me-Leu]K(1c)NG |
| SEQ ID NO: 60 | DE(1c)SC(2a)WQC(2a)W[2-Nal][2-Me-Leu]K(1c)G |
| SEQ ID NO: 61 | DE(1c)SC(2a)WQC(2a)W[2-Nal][2-Me-Lys]K(1c)ENG |
| SEQ ID NO: 62 | DC(1a)QC(2a)WQC(2a)[2-Nal]W[2-Me-Leu]C(1a)R |
| SEQ ID NO: 63 | DC(1a)QC(2a)WQC(2a)YW[2-Me-Leu]C(1a)R |
| SEQ ID NO: 64 | DC(1a)QC(2a)WQC(2a)WW[2-Me-Leu]C(1a)ENG |
| SEQ ID NO: 65 | [(3-Aminomethyl)benzoyl](1c)*S[Dpr](2c)WQE(2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R |
| SEQ ID NO: 66 | [(3-Aminomethyl)benzoyl](1c)*SE(2c)WQ[Dpr](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R |
| SEQ ID NO: 67 | [(3-Aminomethyl)benzoyl](1c)*SE(2c)WQ[Dab](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R |
| SEQ ID NO: 68 | [(3-Aminomethyl)benzoyl](1c)*S[Orn](2c)WQE(2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R |
| SEQ ID NO: 69 | [(3-Aminomethyl)benzoyl](1c)*SE(2c)WQ[Orn](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R |
| SEQ ID NO: 70 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)[7-Me-Trp]QC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R |
| SEQ ID NO: 71 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)[7-Ph-Trp]QC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R |
| SEQ ID NO: 72 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)[7-(Naphth-2-yl)-Trp]QC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R |
| SEQ ID NO: 73 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][3-(3-Quinolinyl)-Ala][2-Me-Leu]E(1c)R |

| | |
|---|---|
| SEQ ID NO: 74 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][6-Cl-Trp][2-Me-Leu]E(1c)R |
| SEQ ID NO: 75 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][4-aminotetrahydro-2H-pyran-4-acetyl]E(1c)R |
| SEQ ID NO: 76 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[3-(3-Pyridyl)-Ala] |
| SEQ ID NO: 77 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[3-(4-Pyridyl)-Ala] |
| SEQ ID NO: 78 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R[3-(3-Pyridyl)-Ala] |
| SEQ ID NO: 79 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R[3-(4-Pyridyl)-Ala] |
| SEQ ID NO: 80 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R] |
| SEQ ID NO: 81 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)S |
| SEQ ID NO: 82 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab] |
| SEQ ID NO: 83 | [4-Aminomethyl-phenylacetyl](1c)*TC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R] |
| SEQ ID NO: 84 | K(1c)SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R] |
| SEQ ID NO: 85 | K(1c)TC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R] |
| SEQ ID NO: 86 | K(1c)SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)S |
| SEQ ID NO: 87 | [6-Aminohexanoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R] |
| SEQ ID NO: 88 | [6-Amino-4-oxahexanoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R] |
| SEQ ID NO: 89 | [trans-4-Aminomethyl-cyclohexyl-1-carbonyl](1c)*[beta-homo-Ser]C(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R] |
| SEQ ID NO: 90 | [(4-(2-Aminoethyl)-piperazine-1-yl)-acetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R] |
| SEQ ID NO: 91 | [(4-(2-Aminoethyl)-piperazine-1-yl)-acetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]D(1c)[{d}R] |
| SEQ ID NO: 92 | [3-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R] |
| SEQ ID NO: 93 | [2-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R] |

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| SEQ ID NO: 94 | [K($N_3$)](1g)SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu][Pra](1g)[{d}R] |
| SEQ ID NO: 95 | [Azidoacetic acid](1g)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu][Glu(propargylamine)](1g)[{d}R] |
| SEQ ID NO: 96 | [Azidoacetic acid](1g)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu][Dab(3-butynoic acid)](1g)[{d}R] |
| SEQ ID NO: 97 | [but-3-ynoic acid](1g)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu][Dab(azidoacetic acid)](1g)[{d}R] |
| SEQ ID NO: 98 | [($N_3$)-Ala](1g)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu][Glu(propargylamine)](1g)[{d}R] |
| SEQ ID NO: 99 | [($N_3$)-Ala](1g)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu][Dab(3-butynoic acid)](1g)[{d}R] |
| SEQ ID NO: 100 | [but-3-ynoic acid](1g)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu][Dab(($N_3$)-Ala))](1g)[{d}R] |
| SEQ ID NO: 101 | [4-Aminomethyl-2-pyridineacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R] |
| SEQ ID NO: 102 | [4-Aminomethyl-3-pyridineacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R] |
| SEQ ID NO: 103 | [4-Aminomethyl-2-fluoro-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R] |
| SEQ ID NO: 104 | [4-Aminomethyl-3-methyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R] |
| SEQ ID NO: 105 | [4-Aminomethyl-3-methoxy-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R] |
| SEQ ID NO: 106 | [but-3-ynoic acid](1h)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu][Dab(azidoacetic acid)](1h)[{d}R] |
| SEQ ID NO: 107 | [but-3-ynoic acid](1h)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu][Dab((N3)-Ala)](1h)[{d}R] |
| SEQ ID NO: 108 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R] |
| SEQ ID NO: 109 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}2,4-Diaminobutanoyl([2-(trimethyl-2-aminoethoxy)ethoxy]propyl)] |
| SEQ ID NO: 110 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Dab][2-Nal][2-Me-Leu]E(1c)[{d}R] |
| SEQ ID NO: 111 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[2,4-Diaminobutanoyl([2-(trimethyl-2-aminoethoxy)ethoxy]propyl)][2-Nal][2-Me-Leu]E(1c)[{d}R] |
| SEQ ID NO: 112 | [Dab](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R] |

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| SEQ ID NO: 113 | [2,4-Diaminobutanoyl([2-(trimethyl-2-aminoethoxy)ethoxy]propyl)](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R] |
| SEQ ID NO: 114 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[F(4-NH2-(2-(trimethyl-2-aminoethoxy)ethoxy)propyl)][2-Nal][2-Me-Leu]E(1c)[{d}R] |
| SEQ ID NO: 115 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}Q] |
| SEQ ID NO: 116 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}E] |
| SEQ ID NO: 117 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}H] |
| SEQ ID NO: 118 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[3-Aminopropanoyl] |
| SEQ ID NO: 119 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[3-Aminopropanoyl] |
| SEQ ID NO: 120 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab][3-(3-Pyridyl)-Ala] |
| SEQ ID NO: 121 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][6-F-Trp][2-Me-Leu]E(1c)[Dab][3-(3-Pyridyl)-Ala] |
| SEQ ID NO: 122 | [4-Aminomethyl-phenylacetyl](1c)*SE(2c)WQ[Dab](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab][3-(3-Pyridyl)-Ala] |
| SEQ ID NO: 123 | [4-Aminomethyl-phenylacetyl](1c)*S[Dab](2c)WQE(2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab][3-(3-Pyridyl)-Ala] |
| SEQ ID NO: 124 | [4-Aminomethyl-phenylacetyl](1c)*SE(2c)WQ[Orn](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab][3-(3-Pyridyl)-Ala] |
| SEQ ID NO: 125 | [4-Aminomethyl-phenylacetyl](1c)*SE(2c)WQ[Dab](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab] |
| SEQ ID NO: 126 | [4-Aminomethyl-phenylacetyl](1c)*VE(2c)WQ[Dab](2c)[Y(2-aminoethoxy][2-Nal][2-Me-Leu]E(1c)[Dab] |
| SEQ ID NO: 127 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab] |
| SEQ ID NO: 128 | [4-Aminomethyl-phenylacetyl](1c)*SE(2c)WV[Dab](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab] |
| SEQ ID NO: 129 | [4-Aminomethyl-phenylacetyl](1c)*SE(2c)WH[Dab](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab] |
| SEQ ID NO: 130 | [4-Aminomethyl-phenylacetyl](1c)*SE(2c)WQ[Dab](2c)F[2-Nal][2-Me-Leu]E(1c)[Dab] |
| SEQ ID NO: 131 | [4-Aminomethyl-phenylacetyl](1c)*SE(2c)WQ[Dab](2c)V[2-Nal][2-Me-Leu]E(1c)[Dab] |

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| SEQ ID NO: 132 | [4-Aminomethyl-phenylacetyl](1c)*SE(2c)WQ[Dab](2c)[F(4-Me)][2-Nal][2-Me-Leu]E(1c)[Dab] |
| SEQ ID NO: 133 | [4-Aminomethyl-phenylacetyl](1c)*SE(2c)WQ[Dab](2c)[Y(2-aminoethoxy)]H[2-Me-Leu]E(1c)[Dab] |
| SEQ ID NO: 134 | [4-Aminomethyl-phenylacetyl](1c)*SE(2c)WQ[Dab](2c)[Y(2-aminoethoxy)][F(3-F)][2-Me-Leu]E(1c)[Dab] |
| SEQ ID NO: 135 | [4-Aminomethyl-phenylacetyl](1c)*SE(2c)WQ[Dab](2c)[Y(2-aminoethoxy)][F(3,4-Me)][2-Me-Leu]E(1c)[Dab] |
| SEQ ID NO: 136 | [4-Aminomethyl-phenylacetyl](1c)*SE(2c)WQ[Dab](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Val]E(1c)[Dab] |
| SEQ ID NO: 137 | [4-Aminomethyl-phenylacetyl](1c)*SE(2c)WQ[Dab](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c) |
| SEQ ID NO: 138 | [4-Aminomethyl-phenylacetyl](1c)*SE(2c)[4-F-Trp]Q[Dab](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab] |
| SEQ ID NO: 139 | [4-Aminomethyl-phenylacetyl](1c)*SE(2c)[7-F-Trp]Q[Dab](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab] |
| SEQ ID NO: 140 | [4-Methylaminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab] |
| SEQ ID NO: 141 | [4-Aminomethyl-phenylacetyl](1c)*[N-Me-Ser]C(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab] |
| SEQ ID NO: 142 | [4-Aminomethyl-phenylacetyl](1c)*S[N-Me-Cys](2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab] |
| SEQ ID NO: 143 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)[N-Me-Trp]QC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab] |
| SEQ ID NO: 144 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)W[N-Me-Gln]C(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab] |
| SEQ ID NO: 145 | [4-Aminomethyl-phenylacetyl](1c)*[Q(pyrrolidin)]E(2c)WQ[Dab](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab] |
| SEQ ID NO: 146 | [4-Aminomethyl-phenylacetyl](1c)*SE(2c)W[Q(pyrrolidin)][Dab](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab] |
| SEQ ID NO: 147 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R[3-(3-Pyridyl)-Ala] |
| SEQ ID NO: 148 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab][3-(3-Pyridyl)-Ala] |
| SEQ ID NO: 149 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)][Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R[3-(3-Quinolinyl)-Ala] |
| SEQ ID NO: 150 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)][Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R[{d}[3-(3-Pyridyl)-Ala]] |

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|---|---|
| SEQ ID NO: 151 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)][Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R[3-Amino-3-(3'-pyridyl)propionyl] |
| SEQ ID NO: 152 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)][Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R[F(3-F)] |
| SEQ ID NO: 153 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)][Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R[F(3,5-F)] |
| SEQ ID NO: 154 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)][Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R[4-Aminomethyl-2-pyridineacetyl] |
| SEQ ID NO: 155 | K(1c)TC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab][3-(3-Pyridyl)-Ala] |
| SEQ ID NO: 156 | [(3-Aminomethyl)benzoyl](1c)*IE(2c)WQ[Dab](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Val]E(1c)[Dab][3-(3-Pyridyl)-Ala] |
| SEQ ID NO: 157 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Val]E(1c)[Dab][3-(3-Pyridyl)-Ala] |
| SEQ ID NO: 158 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[Y(2-aminoethoxy)][F(3,4-Me)][2-Me-Val]E(1c)G[3-(3-Pyridyl)-Ala] |
| SEQ ID NO: 159 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[F(4-Me)][F(3,4-Me)][2-Me-Val]E(1c)G[3-(3-Pyridyl)-Ala] |
| SEQ ID NO: 160 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[F(4-Me)][F(3,4-Me)][2-Me-Val]E(1c)[GABA][3-(3-Pyridyl)-Ala] |
| SEQ ID NO: 161 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[F(4-Me)][F(3,4-Me)][2-Me-Val]E(1c)G[2,3-Diaminopropanoyl(3-pyridylacetyl)] |
| SEQ ID NO: 162 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[F(4-Me)][F(3,4-Me)][2-Me-Val]E(1c)G[2,3-Diaminopropanoyl(3-pyridylpropionyl)] |
| SEQ ID NO: 163 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[F(4-Me)][F(3,4-Me)][2-Me-Val]E(1c)G[2,3-Diaminopropanoyl(3-fluorobenzoyl)] |
| SEQ ID NO: 164 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[F(4-Me)][F(3,4-Me)][2-Me-Val]E(1c)G[2,3-Diaminopropanoyl(3-fluorophenylacetyl)] |
| SEQ ID NO: 165 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[Y(2-aminoethoxy)][F(3,4-Me)][2-Me-Val]E(1c)[Dab][2-Me-3-(3-Pyridyl)-Ala] |
| SEQ ID NO: 166 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[Y(Me)][F(3,4-Me)][2-Me-Val]E(1c)[Dab][2-Me-3-(3-Pyridyl)-Ala] |
| SEQ ID NO: 167 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[2-Me-Phe][F(3,4-Me)][2-Me-Val]E(1c)[Dab][2-Me-3-(3-Pyridyl)-Ala] |
| SEQ ID NO: 168 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[Bip][F(3,4-Me)][2-Me-Val]E(1c)[Dab][2-Me-3-(3-Pyridyl)-Ala] |
| SEQ ID NO: 169 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[2-Me-F(4-F)][F(3,4-Me)][2-Me-Val]E(1c)[Dab][2-Me-3-(3-Pyridyl)-Ala] |

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|---|---|
| SEQ ID NO: 170 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[{d}F(4-F)][F(3,4-Me)][2-Me-Val]E(1c)[Dab][2-Me-3-(3-Pyridyl)-Ala] |
| SEQ ID NO: 171 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[F(4-Cl)][F(3,4-Me)][2-Me-Val]E(1c)[Dab][2-Me-3-(3-Pyridyl)-Ala] |
| SEQ ID NO: 172 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[alpha-Me-Trp][F(3,4-Me)][2-Me-Val]E(1c)[Dab][2-Me-3-(3-Pyridyl)-Ala] |
| SEQ ID NO: 173 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[3,3-Diphenyl-Ala][F(3,4-Me)][2-Me-Val]E(1c)[Dab][2-Me-3-(3-Pyridyl)-Ala] |
| SEQ ID NO: 174 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[Phg][F(3,4-Me)][2-Me-Val]E(1c)[Dab][2-Me-3-(3-Pyridyl)-Ala] |
| SEQ ID NO: 175 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[F(4-Me)][1-Me-Trp][2-Me-Val]E(1c)[Dab][2-Me-3-(3-Pyridyl)-Ala] |
| SEQ ID NO: 176 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[F(4-Me)][Bip][2-Me-Val]E(1c)[Dab][2-Me-3-(3-Pyridyl)-Ala] |
| SEQ ID NO: 177 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[F(4-Me)][{d}6-F-Trp][2-Me-Val]E(1c)[Dab][2-Me-3-(3-Pyridyl)-Ala] |

or a pharmaceutically acceptable salt or solvate thereof;

wherein:

* = bridge uses the peptide backbone amine or carboxylic acid at the *N*- or *C*-terminus, not the side chain amine or carboxylic acid

(1a) = [2,11] 1,3-dithio-propan-2-one bridge; (1c) = [2,11] lactam bridge; (1g) = [2,11] 1,4-disubstituted 1,2,3-triazole bridge; (1h) = [2,11] 1,5-disubstituted 1,2,3-triazole bridge; (2a) = [4,7] 1,3-dithio-propan-2-one bridge; (2c) = [4,7] lactam bridge; (2d) = [4,7] 1,2-phenylenedimethanethiol bridge; (2e) = [4,7] 1,3-phenylenedimethanethiol bridge; (2f) = [4,7] 1,4-phenylenedimethanethiol bridge; (2g) = [4,7] 1,4-disubstituted 1,2,3-triazole bridge.

16. The compound according to claim 1 which is selected from:

| | |
|---|---|
| 1 | H-DC(1a)SC(2a)WQC(2a)WW[2-Me-Leu]C(1a)R-[NH2] |
| 2 | H-LC(1a)SC(2a)WQC(2a)WWLC(1a)R-[NH2] |
| 3 | H-DC(1a)SC(2a)WEC(2a)WWLC(1a)R-[NH2] |

| | |
|---|---|
| 4 | H-DE(1c)SC(2a)WQC(2a)WWLK(1c)R-[NH2] |
| 5 | H-DC(1a)SE(2c)WQK(2c)WWLC(1a)R-[NH2] |
| 6 | D(1c)*SC(2a)WQC(2a)WWLR(1c)* |
| 7 | [Ac]-DK(1c)SC(2a)WQC(2a)WWLE(1c)R-[NH2] |
| 8 | [Ac]-D[Orn](1c)SC(2a)WQC(2a)WWLE(1c)R-[NH2] |
| 9 | H-DE(1c)SC(2a)WQC(2a)WWL[Dab](1c)R-[NH2] |
| 10 | [Ac]-E(1c)SC(2a)WQC(2a)WWLK(1c)R-[NH2] |
| 11 | [Ac]-DE(1c)SC(2a)WQC(2a)WWLK(1c)-[NH2] |
| 12 | [Ac]-E(1c)SC(2a)WQC(2a)WWLK(1c)-[NH2] |
| 16 | [Ac]-DE(1c)SC(2a)[7-Me-Trp]QC(2a)WWLK(1c)R-[NH2] |
| 17 | [Ac]-DE(1c)SC(2a)WQC(2a)W[2-Nal]LK(1c)R-[NH2] |
| 18 | [Ac]-K(1c)SC(2a)WQC(2a)W[2-Nal]LE(1c)R-[NH2] |
| 19 | [Ac]-DK(1c)SC(2a)WQC(2a)W[2-Nal]LE(1c)R-[NH2] |
| 20 | [Ac]-DK(1c)SC(2d)WQC(2d)W[2-Nal]LE(1c)R-[NH2] |
| 23 | [Ac]-DK(1c)S[K($N_3$)](2g)WQ[Pra](2g)W[2-Nal]LE(1c)R-[NH2] |
| 24 | [Ac]-DK(1c)S[Aha](2g)WQ[Pra](2g)W[2-Nal]LE(1c)R-[NH2] |
| 25 | [Ac]-K(1c)SC(2a)[2-Nal]QC(2a)W[2-Nal]LE(1c)R-[NH2] |
| 27 | [Ac]-K(1c)SC(2a)[1-Me-Trp]QC(2a)W[2-Nal]LE(1c)R-[NH2] |
| 28 | [Ac]-DK(1c)SC(2a)WQC(2a)[2-Nal][2-Nal]LE(1c)R-[NH2] |

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| 29 | [Ac]-K(1c)SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal]LE(1c)R-[NH2] |
| 30 | [Ac]-K(1c)SC(2a)WQC(2a)H[2-Nal]LE(1c)R-[NH2] |
| 31 | [Ac]-K(1c)SC(2a)WQC(2a)W[F(4-F)]LE(1c)R-[NH2] |
| 32 | [Ac]-K(1c)SC(2a)WQC(2a)W[1-Me-Trp]LE(1c)R-[NH2] |
| 33 | [Ac]-C(1a)TC(2a)WEC(2a)WW[2-Me-Leu]C(1a)S-[NH2] |
| 36 | [bAla](1c)*SC(2a)WQC(2a)W[2-Nal]LE(1c)R-[NH2] |
| 37 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)W[2-Nal]LE(1c)R-[NH2] |
| 38 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R-[NH2] |
| 39 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal]LE(1c)R-[NH2] |
| 40 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)W[2-Nal][2-Me-Leu]E(1c)R-[NH2] |
| 41 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R]-[NH2] |
| 42 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[2-Me-Arg]-[NH2] |
| 43 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[N-Me-Arg]-[NH2] |
| 44 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)S-[NH2] |
| 45 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[F(4-$NH_2$)]-[NH2] |
| 46 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][{d}L]E(1c)Y-[NH2] |

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| 47 | [Ac]-K(1c)SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R-[NH2] |
| 48 | [Ac]-K(1c)SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][{d}L]E(1c)R-[NH2] |
| 49 | [(4-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R-[NH2] |
| 50 | [4-(2-Aminoethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R-[NH2] |
| 51 | [3-(4-Aminophenyl)propanoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R-[NH2] |
| 52 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R-[NH2] |
| 55 | [Ac]-DE(1c)QC(2a)WQC(2a)YW[2-Me-Leu]K(1c)R-[NH2] |
| 56 | [Ac]-DE(1c)QC(2a)WQC(2a)[2-Nal]W[2-Me-Leu]K(1c)R-[NH2] |
| 57 | [Ac]-DE(1c)TC(2a)WQC(2a)W[2-Nal][2-Me-Leu]K(1c)R-[NH2] |
| 58 | [Ac]-DE(1c)SC(2a)WQC(2a)W[2-Nal][2-Me-Leu]K(1c)ENG-[NH2] |
| 59 | [Ac]-DE(1c)SC(2a)WQC(2a)W[2-Nal][2-Me-Leu]K(1c)NG-[NH2] |
| 60 | [Ac]-DE(1c)SC(2a)WQC(2a)W[2-Nal][2-Me-Leu]K(1c)G-[NH2] |
| 61 | [Ac]-DE(1c)SC(2a)WQC(2a)W[2-Nal][2-Me-Lys]K(1c)ENG-[NH2] |
| 62 | [Ac]-DC(1a)QC(2a)WQC(2a)[2-Nal]W[2-Me-Leu]C(1a)R-[NH2] |
| 63 | [Ac]-DC(1a)QC(2a)WQC(2a)YW[2-Me-Leu]C(1a)R-[NH2] |
| 64 | [Ac]-DC(1a)QC(2a)WQC(2a)WW[2-Me-Leu]C(1a)ENG-[NH2] |
| 65 | [(3-Aminomethyl)benzoyl](1c)*S[Dpr](2c)WQE(2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R-[NH2] |

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| 66 | [(3-Aminomethyl)benzoyl](1c)*SE(2c)WQ[Dpr](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R-[NH2] |
| 67 | [(3-Aminomethyl)benzoyl](1c)*SE(2c)WQ[Dab](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R-[NH2] |
| 68 | [(3-Aminomethyl)benzoyl](1c)*S[Orn](2c)WQE(2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R-[NH2] |
| 69 | [(3-Aminomethyl)benzoyl](1c)*SE(2c)WQ[Orn](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R-[NH2] |
| 70 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)[7-Me-Trp]QC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R-[NH2] |
| 71 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)[7-Ph-Trp]QC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R-[NH2] |
| 72 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)[7-(Naphth-2-yl)-Trp]QC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R-[NH2] |
| 73 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][3-(3-Quinolinyl)-Ala][2-Me-Leu]E(1c)R-[NH2] |
| 74 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][6-Cl-Trp][2-Me-Leu]E(1c)R-[NH2] |
| 75 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][4-aminotetrahydro-2H-pyran-4-acetyl]E(1c)R-[NH2] |
| 76 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[3-(3-Pyridyl)-Ala]-[NH2] |
| 77 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[3-(4-Pyridyl)-Ala]-[NH2] |
| 78 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R[3-(3-Pyridyl)-Ala]-[NH2] |
| 79 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R[3-(4-Pyridyl)-Ala]-[NH2] |

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| 80 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R]-[NH2] |
| 81 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)S-[NH2] |
| 82 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab]-[NH2] |
| 83 | [4-Aminomethyl-phenylacetyl](1c)*TC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R]-[NH2] |
| 84 | [Ac]-K(1c)SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R]-[NH2] |
| 85 | [Ac]-K(1c)TC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R]-[NH2] |
| 86 | [Ac]-K(1c)SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)S-[NH2] |
| 87 | [6-Aminohexanoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R]-[NH2] |
| 88 | [6-Amino-4-oxahexanoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R]-[NH2] |
| 89 | [trans-4-Aminomethyl-cyclohexyl-1-carbonyl](1c)*[beta-homo-Ser]C(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R]-[NH2] |
| 90 | [(4-(2-Aminoethyl)-piperazine-1-yl)-acetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R]-[NH2] |
| 91 | [(4-(2-Aminoethyl)-piperazine-1-yl)-acetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]D(1c)[{d}R]-[NH2] |
| 92 | [3-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R]-[NH2] |
| 93 | [2-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R]-[NH2] |

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| 94 | [Ac]-[K($N_3$)](1g)SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu][Pra](1g)[{d}R]-[NH2] |
| 95 | [Azidoacetic acid](1g)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu][Glu(propargylamine)](1g)[{d}R]-[NH2] |
| 96 | [Azidoacetic acid](1g)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu][Dab(3-butynoic acid)](1g)[{d}R]-[NH2] |
| 97 | [but-3-ynoic acid](1g)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu][Dab(azidoacetic acid)](1g)[{d}R]-[NH2] |
| 98 | [($N_3$)-Ala](1g)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu][Glu(propargylamine)](1g)[{d}R]-[NH2] |
| 99 | [($N_3$)-Ala](1g)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu][Dab(3-butynoic acid)](1g)[{d}R]-[NH2] |
| 100 | [but-3-ynoic acid](1g)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu][Dab(($N_3$)-Ala))](1g)[{d}R]-[NH2] |
| 101 | [4-Aminomethyl-2-pyridineacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R]-[NH2] |
| 102 | [4-Aminomethyl-3-pyridineacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R]-[NH2] |
| 103 | [4-Aminomethyl-2-fluoro-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R]-[NH2] |
| 104 | [4-Aminomethyl-3-methyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R]-[NH2] |
| 105 | [4-Aminomethyl-3-methoxy-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R]-[NH2] |
| 106 | [but-3-ynoic acid](1h)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu][Dab(azidoacetic acid)](1h)[{d}R]-[NH2] |
| 107 | [but-3-ynoic acid](1h)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu][Dab((N3)-Ala))](1h)[{d}R]-[NH2] |

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| 108 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R]-[OH] |
| 109 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}2,4-Diaminobutanoyl([2-(trimethyl-2-aminoethoxy)ethoxy]propyl)]-[NH2] |
| 110 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Dab][2-Nal][2-Me-Leu]E(1c)[{d}R]-[NH2] |
| 111 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[2,4-Diaminobutanoyl([2-(trimethyl-2-aminoethoxy)ethoxy]propyl)][2-Nal][2-Me-Leu]E(1c)[{d}R]-[NH2] |
| 112 | [Dab](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R]-[NH2] |
| 113 | [2,4-Diaminobutanoyl([2-(trimethyl-2-aminoethoxy)ethoxy]propyl)](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}R]-[NH2] |
| 114 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[F(4-NH2-(2-(trimethyl-2-aminoethoxy)ethoxy)propyl)][2-Nal][2-Me-Leu]E(1c)[{d}R]-[NH2] |
| 115 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}Q]-[NH2] |
| 116 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}E]-[NH2] |
| 117 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[{d}H]-[NH2] |
| 118 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[3-Aminopropanoyl]-[OH] |
| 119 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[3-Aminopropanoyl]-[NH2] |
| 120 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab][3-(3-Pyridyl)-Ala]-[NH2] |

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| 121 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][6-F-Trp][2-Me-Leu]E(1c)[Dab][3-(3-Pyridyl)-Ala]-[NH2] |
| 122 | [4-Aminomethyl-phenylacetyl](1c)*SE(2c)WQ[Dab](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab][3-(3-Pyridyl)-Ala]-[NH2] |
| 123 | [4-Aminomethyl-phenylacetyl](1c)*S[Dab](2c)WQE(2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab][3-(3-Pyridyl)-Ala]-[NH2] |
| 124 | [4-Aminomethyl-phenylacetyl](1c)*SE(2c)WQ[Orn](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab][3-(3-Pyridyl)-Ala]-[NH2] |
| 125 | [4-Aminomethyl-phenylacetyl](1c)*SE(2c)WQ[Dab](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab]-[NH2] |
| 126 | [4-Aminomethyl-phenylacetyl](1c)*VE(2c)WQ[Dab](2c)[Y(2-aminoethoxy][2-Nal][2-Me-Leu]E(1c)[Dab]-[NH2] |
| 127 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab]-[NH2] |
| 128 | [4-Aminomethyl-phenylacetyl](1c)*SE(2c)WV[Dab](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab]-[NH2] |
| 129 | [4-Aminomethyl-phenylacetyl](1c)*SE(2c)WH[Dab](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab]-[NH2] |
| 130 | [4-Aminomethyl-phenylacetyl](1c)*SE(2c)WQ[Dab](2c)F[2-Nal][2-Me-Leu]E(1c)[Dab]-[NH2] |
| 131 | [4-Aminomethyl-phenylacetyl](1c)*SE(2c)WQ[Dab](2c)V[2-Nal][2-Me-Leu]E(1c)[Dab]-[NH2] |
| 132 | [4-Aminomethyl-phenylacetyl](1c)*SE(2c)WQ[Dab](2c)[F(4-Me)][2-Nal][2-Me-Leu]E(1c)[Dab]-[NH2] |
| 133 | [4-Aminomethyl-phenylacetyl](1c)*SE(2c)WQ[Dab](2c)[Y(2-aminoethoxy)]H[2-Me-Leu]E(1c)[Dab]-[NH2] |
| 134 | [4-Aminomethyl-phenylacetyl](1c)*SE(2c)WQ[Dab](2c)[Y(2-aminoethoxy)][F(3-F)][2-Me-Leu]E(1c)[Dab]-[NH2] |

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| 135 | [4-Aminomethyl-phenylacetyl](1c)*SE(2c)WQ[Dab](2c)[Y(2-aminoethoxy)][F(3,4-Me)][2-Me-Leu]E(1c)[Dab]-[NH2] |
| 136 | [4-Aminomethyl-phenylacetyl](1c)*SE(2c)WQ[Dab](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Val]E(1c)[Dab]-[NH2] |
| 137 | [4-Aminomethyl-phenylacetyl](1c)*SE(2c)WQ[Dab](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)-[NH-3-aminopropanoyl] |
| 138 | [4-Aminomethyl-phenylacetyl](1c)*SE(2c)[4-F-Trp]Q[Dab](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab]-[NH2] |
| 139 | [4-Aminomethyl-phenylacetyl](1c)*SE(2c)[7-F-Trp]Q[Dab](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab]-[NH2] |
| 140 | [4-Methylaminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab]-[NH2] |
| 141 | [4-Aminomethyl-phenylacetyl](1c)*[N-Me-Ser]C(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab]-[NH2] |
| 142 | [4-Aminomethyl-phenylacetyl](1c)*S[N-Me-Cys](2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab]-[NH2] |
| 143 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)[N-Me-Trp]QC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab]-[NH2] |
| 144 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)W[N-Me-Gln]C(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab]-[NH2] |
| 145 | [4-Aminomethyl-phenylacetyl](1c)*[Q(pyrrolidin)]E(2c)WQ[Dab](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab]-[NH2] |
| 146 | [4-Aminomethyl-phenylacetyl](1c)*SE(2c)W[Q(pyrrolidin)][Dab](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab]-[NH2] |
| 147 | [4-Aminomethyl-phenylacetyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R[3-(3-Pyridyl)-Ala]-[NH2] |
| 148 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab][3-(3-Pyridyl)-Ala]-[NH2] |

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| 149 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)][Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R[3-(3-Quinolinyl)-Ala]-[NH2] |
| 150 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)][Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R[{d}[3-(3-Pyridyl)-Ala]]-[NH2] |
| 151 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)][Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R[3-Amino-3-(3'-pyridyl)propionyl]-[NH2] |
| 152 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)][Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R[F(3-F)]-[NH2] |
| 153 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)][Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R[F(3,5-F)]-[NH2] |
| 154 | [(3-Aminomethyl)benzoyl](1c)*SC(2a)WQC(2a)][Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)R[4-Aminomethyl-2-pyridineacetyl]-[NH2] |
| 155 | [Ac]-K(1c)TC(2a)WQC(2a)[Y(2-aminoethoxy)][2-Nal][2-Me-Leu]E(1c)[Dab][3-(3-Pyridyl)-Ala]-[NH2] |
| 156 | [(3-Aminomethyl)benzoyl](1c)*IE(2c)WQ[Dab](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Val]E(1c)[Dab][3-(3-Pyridyl)-Ala]-[NH2] |
| 157 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[Y(2-aminoethoxy)][2-Nal][2-Me-Val]E(1c)[Dab][3-(3-Pyridyl)-Ala]-[NH2] |
| 158 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[Y(2-aminoethoxy)][F(3,4-Me)][2-Me-Val]E(1c)G[3-(3-Pyridyl)-Ala]-[NH2] |
| 159 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[F(4-Me)][F(3,4-Me)][2-Me-Val]E(1c)G[3-(3-Pyridyl)-Ala]-[NH2] |
| 160 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[F(4-Me)][F(3,4-Me)][2-Me-Val]E(1c)[GABA][3-(3-Pyridyl)-Ala]-[NH2] |
| 161 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[F(4-Me)][F(3,4-Me)][2-Me-Val]E(1c)G[2,3-Diaminopropanoyl(3-pyridylacetyl)]-[NH2] |
| 162 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[F(4-Me)][F(3,4-Me)][2-Me-Val]E(1c)G[2,3-Diaminopropanoyl(3-pyridylpropionyl)]-[NH2] |

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| 163 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[F(4-Me)][F(3,4-Me)][2-Me-Val]E(1c)G[2,3-Diaminopropanoyl(3-fluorobenzoyl)]-[NH2] |
| 164 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[F(4-Me)][F(3,4-Me)][2-Me-Val]E(1c)G[2,3-Diaminopropanoyl(3-fluorophenylacetyl)]-[NH2] |
| 165 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[Y(2-aminoethoxy)][F(3,4-Me)][2-Me-Val]E(1c)[Dab][2-Me-3-(3-Pyridyl)-Ala]-[NH2] |
| 166 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[Y(Me)][F(3,4-Me)][2-Me-Val]E(1c)[Dab][2-Me-3-(3-Pyridyl)-Ala]-[NH2] |
| 167 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[2-Me-Phe][F(3,4-Me)][2-Me-Val]E(1c)[Dab][2-Me-3-(3-Pyridyl)-Ala]-[NH2] |
| 168 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[Bip][F(3,4-Me)][2-Me-Val]E(1c)[Dab][2-Me-3-(3-Pyridyl)-Ala]-[NH2] |
| 169 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[2-Me-F(4-F)][F(3,4-Me)][2-Me-Val]E(1c)[Dab][2-Me-3-(3-Pyridyl)-Ala]-[NH2] |
| 170 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[{d}F(4-F)][F(3,4-Me)][2-Me-Val]E(1c)[Dab][2-Me-3-(3-Pyridyl)-Ala]-[NH2] |
| 171 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[F(4-Cl)][F(3,4-Me)][2-Me-Val]E(1c)[Dab][2-Me-3-(3-Pyridyl)-Ala]-[NH2] |
| 172 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[alpha-Me-Trp][F(3,4-Me)][2-Me-Val]E(1c)[Dab][2-Me-3-(3-Pyridyl)-Ala]-[NH2] |
| 173 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[3,3-Diphenyl-Ala][F(3,4-Me)][2-Me-Val]E(1c)[Dab][2-Me-3-(3-Pyridyl)-Ala]-[NH2] |
| 174 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[Phg][F(3,4-Me)][2-Me-Val]E(1c)[Dab][2-Me-3-(3-Pyridyl)-Ala]-[NH2] |
| 175 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[F(4-Me)][1-Me-Trp][2-Me-Val]E(1c)[Dab][2-Me-3-(3-Pyridyl)-Ala]-[NH2] |
| 176 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[F(4-Me)][Bip][2-Me-Val]E(1c)[Dab][2-Me-3-(3-Pyridyl)-Ala]-[NH2] |

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| 177 | [4-Aminomethyl-phenylacetyl](1c)*IE(2c)WQ[Dab](2c)[F(4-Me)][{d}6-F-Trp][2-Me-Val]E(1c)[Dab][2-Me-3-(3-Pyridyl)-Ala]-[NH2] |

and pharmaceutically acceptable salts and solvates thereof;

wherein:

* = bridge uses the peptide backbone amine or carboxylic acid at the *N*- or *C*-terminus, not the side chain amine or carboxylic acid

(1a) = [2,11] 1,3-dithio-propan-2-one bridge; (1c) = [2,11] lactam bridge; (1g) = [2,11] 1,4-disubstituted 1,2,3-triazole bridge; (1h) = [2,11] 1,5-disubstituted 1,2,3-triazole bridge; (2a) = [4,7] 1,3-dithio-propan-2-one bridge; (2c) = [4,7] lactam bridge; (2d) = [4,7] 1,2-phenylenedimethanethiol bridge; (2e) = [4,7] 1,3-phenylenedimethanethiol bridge; (2f) = [4,7] 1,4-phenylenedimethanethiol bridge; (2g) = [4,7] 1,4-disubstituted 1,2,3-triazole bridge.

17. A pharmaceutical composition comprising the compound according to any one of the preceding claims in combination with a pharmaceutically acceptable carrier, excipient or vehicle.

18. The compound according to any one of claims 1 to 16, or the pharmaceutical composition according to claim 17, for use as a medicament.

19. Use of the compound according to any one of claims 1 to 16, or the pharmaceutical composition according to claim 17, in the manufacture of a medicament for the treatment of inflammatory bowel (IBD), Crohn’s Disease, ulcerative colitis, or psoriasis.