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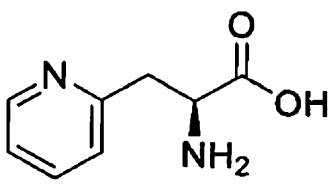
(54) **Titre : Peptide inhibitors of interleukin-23 receptor and their use to treat inflammatory diseases.**

(57) **Abrégé :**

The present invention provides novel peptide inhibitors of the interleukin-23 receptor, and related compositions and methods of using these peptide inhibitors to treat or prevent a variety of diseases and disorders, including inflammatory bowel diseases.



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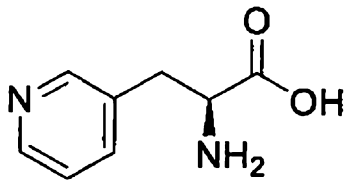

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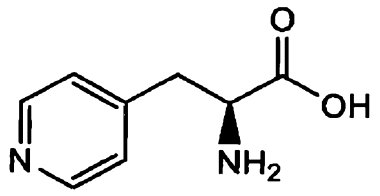

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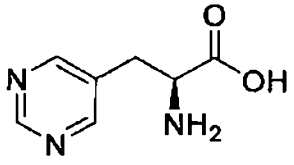

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PEPTIDE INHIBITORS OF INTERLEUKIN-23 RECEPTOR AND THEIR USE TO TREAT INFLAMMATORY DISEASES

CROSS REFERENCES TO RELATED APPLICATIONS

[0001] This applications claims priority to U.S. Provisional Application No. 62/961,624, filed January 15, 2020, which is incorporated herein in its entirety for all purposes.

SEQUENCE LISTING

[0002] This application is being filed electronically via EFS-Web and includes an electronically submitted sequence listing in .txt format. The .txt file contains a sequence listing entitled "056365_516001WO_Sequence_Listing_ST25.txt" created on January 11, 2021 and having a size of about 336 kilobytes. The sequence listing contained in this .txt file is part of the specification and is incorporated herein by reference in its entirety.

FIELD OF THE INVENTION

[0003] The present invention relates to novel peptide inhibitors of the interleukin-23 receptor (IL-23R), and their use to treat or prevent a variety of diseases and disorders, including inflammatory bowel disease, Crohn's disease, ulcerative colitis and psoriasis.

BACKGROUND

[0004] The interleukin-23 (IL-23) cytokine has been implicated as playing a crucial role in the pathogenesis of autoimmune inflammation and related diseases and disorders, such as multiple sclerosis, asthma, rheumatoid arthritis, psoriasis, and inflammatory bowel diseases (IBDs), e.g., ulcerative colitis and Crohn's disease. Studies in acute and chronic mouse models of IBD revealed a primary role of IL-23R and downstream effector cytokines in disease pathogenesis. IL-23R is expressed on various adaptive and innate immune cells including Th17 cells, γδ T cells, natural killer (NK) cells, dendritic cells, macrophages, and innate lymphoid cells, which are found abundantly in the intestine. At the intestine mucosal surface, the gene expression and protein levels of IL-23R are found to be elevated in IBD patients. It is believed that IL-23 mediates this effect by promoting the development of a pathogenic $CD4^+$ T cell population that produces IL-6, IL-17, and tumor necrosis factor (TNF).

[0005] Production of IL-23 is enriched in the intestine, where it is believed to play a key role in regulating the balance between tolerance and immunity through T-cell-dependent and T-cell-independent pathways of intestinal inflammation through effects on T-helper 1 (Th1) and Th17-associated cytokines, as well as restraining regulatory T-cell responses in the gut, favoring inflammation. In addition, polymorphisms in the IL-23 receptor (IL-23R) have been associated with susceptibility to inflammatory bowel diseases (IBDs), further establishing the critical role of the IL-23 pathway in intestinal homeostasis.

[0006] Psoriasis, a chronic skin disease affecting about 2%-3% of the general population has been shown to be mediated by the body's T cell inflammatory response mechanisms. Il-23 has one of several interleukins implicated as a key player in the pathogenesis of psoriasis, purportedly by maintaining chronic autoimmune inflammation via the induction of interleukin-17, regulation of T memory cells, and activation of macrophages. Expression of IL-23 and IL-23R has been shown to be increased in tissues of patients with psoriasis, and antibodies that neutralize IL-23 showed IL-23-dependent inhibition of psoriasis development in animal models of psoriasis.

[0007] IL-23 is a heterodimer composed of a unique p19 subunit and the p40 subunit shared with IL-12, which is a cytokine involved in the development of interferon-γ (IFN-γ)-producing T helper 1 (T_H1) cells. Although IL-23 and IL-12 both contain the p40 subunit, they have different phenotypic properties. For example, animals deficient in IL-12 are susceptible to inflammatory autoimmune diseases, whereas IL-23 deficient animals are resistant, presumably due to a reduced number of $CD4^+$ T cells producing IL-6, IL-17, and TNF in the CNS of IL-23-deficient animals. IL-23 binds to IL-23R, which is a heterodimeric receptor composed of IL-12Rβ1 and IL-23R subunits. Binding of IL-23 to IL-23R activates the Jak-stat signaling molecules, Jak2, Tyk2, and Stat1, Stat 3, Stat 4, and Stat 5, although Stat4 activation is substantially weaker and different DNA-binding Stat complexes form in response to IL-23 as compared with IL-12. IL-23R associates constitutively with Jak2 and in a ligand-dependent manner with Stat3. In contrast to IL-12, which acts mainly on naive CD4(+) T cells, IL-23 preferentially acts on memory CD4(+) T cells.

[0008] Efforts have been made to identify therapeutic moieties that inhibit the IL-23 pathway, for use in treating IL-23-related diseases and disorders. A number of antibodies that bind to IL-23 or IL-23R have been identified, including ustekinumab, an antibody that binds the p40 subunit of IL-23, which has been approved for the treatment of moderate to severe placque psoriasis, active psoriatic arthritis, moderately to severely active Crohn's disease and moderately to severly active ulcerative colitis. More recently, polypeptide inhibitors that bind to IL-23R and inhibit the binding

of IL-23 to IL-23R have been identified (see, e.g., US Patent Application Publication No. US2013/0029907). Clinical trials in Crohn's Disease or psoriasis with briakinumab (which also target the common p40 subunit) and tildrakizumab, guselkumab, MEDI2070, and BI-655066 (which target the unique p19 subunit of IL-23) highlight the potential of IL-23 signaling blockade in treatment of human inflammatory diseases. While these findings are promising, challenges remain with respect to identifying stable and selective agents that preferentially target the IL-23 pathway in the intestine, which can be used for the treatment of intestinal inflammation, such as intestinal bowel diseases, including Crohn's disease, ulcerative colitis and related disorders.

[0009] Clearly, there remains a need in the art for new therapeutics targeting the IL-23 pathway, which may be used to treat and prevent IL-23-asociated diseases, including those associated with autoimmune inflammation in the intestinal tract. In addition, 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 binding and signaling and which are suitable for oral administration.

BRIEF SUMMARY OF THE INVENTION

[0010] The present invention provides *inter alia* novel peptide inhibitors of IL-23R and related methods of use.

[0011] In a first aspect, the present invention provides a peptide inhibitor of an interleukin-23 receptor, or a pharmaceutically acceptable salt or solvate thereof, wherein the peptide inhibitor comprises or consists of an amino acid sequence of Formula (I):

X3-X4-X5-X6-X7-X8-X9-X10-X11-X12-X13-X14-X15-X16 (I)

wherein

X3 is absent or any amino acid;

X4 is Abu, Cys, (D)Cys, alpha-MeCys, (D)Pen, Pen, or Pen(sulfoxide);

X5 is Cit, Glu, Gly, substituted Gly, Leu, Ile, beta-Ala, Ala, Lys, Asn, Pro, Ser, alpha-MeGln, alpha-MeLys, alpha-MeLeu, alpha-MeAsn, Lys(Ac), alpha-MeLys(Ac), Dab(Ac), Dap(Ac), homo-Lys(Ac), Gln, or Asp;

X6 is Thr, Aib, Asp, Dab, Gly, Pro, Ser, alpha-MeGln, alpha-MeLys, alpha-MeLeu, alpha-MeAsn, alpha-MeThr, alpha-MeSer, or Val;

X7 is unsubstituted Trp, or Trp substituted with cyano, halo, alkyl, haloalkyl, hydroxy, alkoxy, substituted or unsubstituted aryl, or substituted or unsubstituted heteroaryl;

X8 is Gln, alpha-MeLys, alpha-MeLeu, alpha-MeLys(Ac), beta-homoGln, Cit, Glu, Phe, substituted Phe, Tyr, Asn, Thr, Val, Aib, alpha-MeGln, alpha-MeAsn, Lys(Ac), Dab(Ac), Dap(Ac), homo-Lys(Ac), 1-Nal, 2-Nal, Lys(b-Ala), Lys(Gly), Lys(Benzyl, Ac), Lys(butyl, Ac), Lys(isobutyl,Ac), Lys(propyl,Ac), or Trp;

X9 is Abu, Cys, (D)Cys, alpha-MeCys, (D)Pen, Pen, or Pen(sulfoxide);

X10 is Tyr, or substituted Tyr, unsubstituted Phe, or Phe substituted with halo, alkyl, haloalkyl, hydroxy, alkoxy, cyano, cycloalkyl, carboxy, carboxamido, 2-aminoethoxy, or 2-acetylaminoethoxy; and

X11 is 2-Nal, Phe(2-Me), Phe(3-Me), Phe(4-Me), Phe(3,4-dimethoxy), 2Quin, 3Quin, 1-Nal, unsubstituted Trp, or Trp substituted with cyano, halo, alkyl, haloalkyl, hydroxy, or alkoxy;

X12 is 4-amino-4-carboxy-tetrahydropyran (THP), Acvc, alpha-MeLys, alpha-MeLeu, alpha-MeArg, alpha-MePhe, alpha-MeLeu, alpha-MeLys, alpha-MeAsn, alpha-MeTyr, Ala, cyclohexylAla, Lys, or Aib;

X13 is any amino acid;

X14 is any amino acid;

and

i) X15 is any amino acid other than His, (D)His, substituted or unsubstituted His, 2Pal, 3Pal, or 4Pal; X16 is Sarc, aMeLeu, (D)NMeTyr, His, (D)Thr, bAla, Pro, or (D)Pro; and the peptide inhibitor is other than

Ac-[Abu]-QTWQC]-[Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-NNPG-NH_2;

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMeLeu]-[Lys(Ac)]-NN-[Sarc]-NH_2;

Ac-[(D)Arg]-[Abu]-Q-T-[W(7-Me)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH_2; or

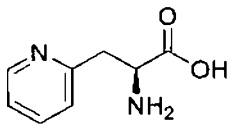
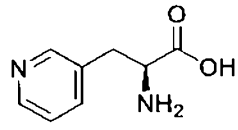
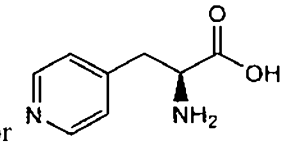
Ac-[Pen]-N-T-[W(7-Me)]-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[Aib]-[bA]-NH_2;

or

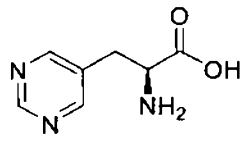
ii) X15 is His, (D)His, substituted or unsubstituted His, 2Pal, 3Pal, 4Pal, 4TriazolAla, or 5Pyal; and X16 is absent, (D)aMeTyr, (D)NMeTyr or any amino acid other than THP, substituted or unsubstituted Phe, substituted or unsubstituted (D)Phe, substituted or unsubstituted His, substituted or unsubstituted (D)His, substituted or unsubstituted Trp, substituted or unsubstituted 2-Nal, or N-substituted Asp; and the compound is other than

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-NH_2;

wherein 2Pal is 2-pyridyl substituted alanine, and 3Pal is 3-pyridyl substituted alanine, and 4Pal is 4-pyridyl substituted alanine

 (2Pal),  (3Pal), or  (4Pal); and

5Pyal is 5-pyrimidine substituted alanine:

 ;

and

wherein X4 and X9 form a disulfide bond, or a thioether bond;

and

wherein the peptide inhibitor inhibits the binding of an interleukin-23 (IL-23) to an IL-23 receptor.

[0012] In certain embodiments, X15 is any amino acid other than His, (D)His, or substituted or unsubstituted His, 2Pal, 3Pal, or 4Pal; X16 is Sarc, aMeLeu, (D)NMeTyr, His, (D)Thr, bAla, Pro, or (D)Pro; and the peptide inhibitor is other than:

Ac-[Abu]-QTWQC]-[Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-NNPG-NH_2;

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMeLeu]-[Lys(Ac)]-NN-[Sarc]-NH_2;

Ac-[(D)Arg]-[Abu]-Q-T-[W(7-Me)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH_2; or

Ac-[Pen]-N-T-[W(7-Me)]-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[Aib]-[bA]-NH_2.

[0013] In certain embodiments, X15 is His, (D)His, or substituted or unsubstituted His, 2Pal, 3Pal, 4Pal, or 5Pyal; and X16 is absent, (D)aMeTyr, (D)NMeTyr or any amino acid other than THP, substituted or unsubstituted Phe, substituted or unsubstituted (D)Phe, substituted or unsubstituted His, substituted or unsubstituted (D)His, substituted or unsubstituted Trp, substituted or unsubstituted 2-Nal, or N-substituted Asp.

[0014] In certain embodiments, X15 is 2Pal, 3Pal, or 4Pal; and X16 is Sarc, aMeLeu, (D)Thr, bAla, Pro, or (D)Pro. In certain embodiments, X15 is 2Pal, 3Pal, or 4Pal; and X16 is Sarc. In certain embodiments, X15 is 2Pal, 3Pal, or 4Pal; and X16 is absent.

[0015] In certain embodiments, the peptide inhibitor comprises an amino acid sequence of Formula (Ia), (Ib), (Ic), or (Id):

X3-X4-X5-X6-X7-X8-X9-X10-X11-X12-X13-X14-X15-Sarc (Ia),

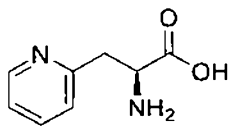
X3-X4-X5-X6-X7-X8-X9-X10-X11-X12-X13-X14-X15-(D)NMeTyr (Ib),

X3-X4-X5-X6-X7-X8-X9-X10-X11-X12-X13-X14-[Pal]-X16 (Ic)

X3-X4-X5-X6-X7-X8-X9-X10-X11-X12-X13-X14-[His']-X16 (Id)

wherein Pal is 2Pal, 3Pal, or 4Pal; X16 is absent;

wherein 2Pal is 2-pyridyl substituted alanine, and 3Pal is 3-pyridyl substituted alanine, and 4Pal is 4-pyridyl substituted alanine



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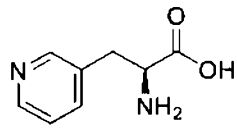

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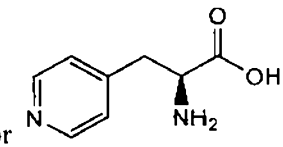


(4Pal);

His' is His or 3-MeHis; X16 is absent; and X4 and X9 form a disulfide bond or a thioether bond; and wherein X4 and X9 form a disulfide bond or a thioether bond.

[0016] In certain embodiments, the peptide inhibitor comprises an amino acid sequence of Formula (Ia):

X3-X4-X5-X6-X7-X8-X9-X10-X11-X12-X13-X14-X15-Sarc (Ia)

wherein X4 and X9 form a disulfide bond or a thioether bond.

[0017] In certain embodiments, the peptide inhibitor comprises an amino acid sequence of Formula (Ib)

X3-X4-X5-X6-X7-X8-X9-X10-X11-X12-X13-X14-X15-(D)NMeTyr (Ib)

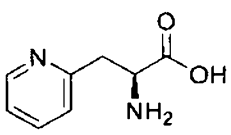
wherein X4 and X9 form a disulfide bond or a thioether bond.

[0018] In certain embodiments, the peptide inhibitor comprises an amino acid sequence of Formula (Ic):

X3-X4-X5-X6-X7-X8-X9-X10-X11-X12-X13-X14-[Pal]-X16 (Ic)

wherein Pal is 2Pal, 3Pal, or 4Pal; X16 is absent;

wherein 2Pal is 2-pyridyl substituted alanine, and 3Pal is 3-pyridyl substituted alanine, and 4Pal is 4-pyridyl substituted alanine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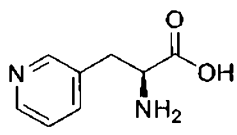
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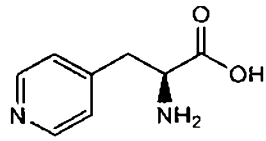

(4Pal);

and X4 and X9 form a disulfide bond or a thioether bond.

[0019] In certain embodiments, the peptide inhibitor comprises an amino acid sequence of Formula (Id):

X3-X4-X5-X6-X7-X8-X9-X10-X11-X12-X13-X14-[His']-X16 (Id)

wherein His' is His or 3-MeHis; X16 is absent; and X4 and X9 form a disulfide bond or a thioether bond.

[0020] In certain embodiments, the monocyclic peptide is a peptide where the peptide is cyclized via a Pen-Pen disulfide bond, or via Abu-Cys or Abu-Pen thioether bond.

[0021] In certain embodiments, X5 is Cit, Glu, Gly, Leu, Ile, beta-Ala, Ala, Lys, Asn, Pro, alpha-MeGln, alpha-MeLys, alpha-MeLeu, alpha-MeAsn, Lys(Ac), alpha-MeLys(Ac), Dab(Ac), Dap(Ac), homo-Lys(Ac), Gln, or Asp.

[0022] In certain embodiments, X6 is Thr, Aib, Asp, Dab, Gly, Pro, Ser, alpha-MeGln, alpha-MeLys, alpha-MeLeu, alpha-MeAsn, alpha-MeThr, alpha-MeSer, or Val.

[0023] In certain embodiments, X6 is (D)Asp, (D)Dap, or (D)Lys.

[0024] In certain embodiments, X8 is Gln, alpha-MeLys, alpha-MeLeu, alpha-MeLys(Ac), beta-homoGln, Cit, Glu, Phe, Asn, Thr, Val, Aib, alpha-MeGln, alpha-MeAsn, Lys(Ac), Dab(Ac), Dap(Ac), homo-Lys(Ac), 1-Nal, 2-Nal, or Trp. In certain embodiments, X8 is Lys(Gly) or Lys(bAla).

[0025] In certain embodiments, X12 is 4-amino-4-carboxy-tetrahydropyran (THP), alpha-MeLys, alpha-MeLeu, alpha-MeArg, alpha-MePhe, alpha-MeLeu, alpha-MeLys, alpha-MeAsn, alpha-MeTyr, Ala, or cyclohexylAla, Lys, or Aib.

[0026] In a particular embodiment, X15 is any amino acid, and X16 is Sarc, aMeLeu, (D)Thr, bAla, Pro, or (D)Pro.

[0027] In a more particular embodiment, X15 is any amino acid, and X16 is Sarc.

[0028] In a second aspect, the present invention provides a peptide inhibitor of an interleukin-23 receptor, or a pharmaceutically acceptable salt or solvate thereof, wherein the peptide inhibitor comprises or consists of an amino acid sequence of Formula (IIIa), (IIIb), (IIIc), or (IIId):

Pen- Asn-X6-X7-X8-Pen-X10-X11-X12-X13-X14-[Pal]-X16 (IIIa),

Pen-Gln-X6-X7-X8-Pen-X10-X11-X12-X13-X14-[Pal]-X16 (IIIb),

Abu- Asn-X6-X7-X8-Cys-X10-X11-X12-X13-X14-[Pal]-X16 (IIIc), or

Abu-Gln-X6-X7-X8-Pen-X10-X11-X12-X13-X14-[Pal]-X16 (IIId),

wherein X6-X8 and X10-X14 are as described for Formula (I); Pal is 2Pal, 3Pal, or 4Pal; and X16 is any amino acid; and the peptide inhibitor is cyclized via a Pen-Pen disulfide bond; or a Abu-Cys or Abu-Pen thioether bond; and wherein the peptide inhibitor inhibits the binding of an interleukin-23 (IL-23) to an IL-23 receptor.

[0029] In another aspect, the present invention provides a peptide inhibitor of an interleukin-23 receptor, or a pharmaceutically acceptable salt or solvate thereof, wherein the peptide inhibitor comprises or consists of an amino acid sequence of Formula ((IVa), (IVb), (IVc), or (IVd):

Pen- Asn-X6-X7-X8-Pen-X10-X11-X12-X13-X14-X15-Sarc (IVa),

Pen-Gln-X6-X7-X8-Pen-X10-X11-X12-X13-X14-X15-Sarc (IVb),

Abu- Asn-X6-X7-X8-Cys-X10-X11-X12-X13-X14-X15-Sarc (IVc), or

Abu-Gln-X6-X7-X8-Pen-X10-X11-X12-X13-X14-X15-Sarc (IVd),

wherein X6-X8 and X10-X14 are as described for Formula (I); X15 is any amino acid; and the peptide inhibitor is cyclized via a Pen-Pen disulfide bond; or a Abu-Cys or Abu-Pen thioether bond; and wherein the peptide inhibitor inhibits the binding of an interleukin-23 (IL-23) to an IL-23 receptor.

[0030] In certain embodiments, X5 is Cit, Glu, Gly, Lys, Asn, Ser, Pro, alpha-MeGln, alpha-MeLys, alpha-MeLeu, alpha-MeAsn, Lys(Ac), alpha-MeLys(Ac), Dab(Ac), Dap(Ac), homo-Lys(Ac), Gln, or Asp. In certain embodiments, X5 is Cit, Glu, Gly, Leu, Ile, beta-Ala, Ala, Lys, Asn, Pro, Ser, alpha-MeGln, alpha-MeLys, alpha-MeLeu, alpha-MeAsn, Lys(Ac), alpha-MeLys(Ac), Dab(Ac), Dap(Ac), homo-Lys(Ac), Gln, or Asp.

[0031] In certain embodiments, X8 is Gln, alpha-Me-Lys, alpha-MeLeu, alpha-MeLys(Ac), beta-homoGln, Cit, Glu, Phe, Asn, Thr, Val, Aib, alpha-MeGln, alpha-MeAsn, Lys(Ac), alpha-MeLys(Ac), Dab(Ac), Dap(Ac), homo-Lys(Ac), 1-Nal, 2-Nal, or Trp. In certain embodiments, X8 is Gln, alpha-Me-Lys, alpha-MeLeu, alpha-MeLys(Ac), beta-homoGln, Cit, Glu, Phe, Asn, Thr, Val, Aib, alpha-MeGln, alpha-MeAsn, Lys(Ac), Dab(Ac), Dap(Ac), homo-Lys(Ac), 1-Nal, 2-Nal, or Trp. In certain embodiments, X8 is Lys(Gly) or Lys(bAla).

[0032] In certain embodiments, X8 is Gln, alpha-Me-Lys, alpha-MeLeu, alpha-MeLys(Ac), beta-homoGln, Cit, Glu, Phe, Asn, Thr, Val, Aib, alpha-MeGln, alpha-MeAsn, Dab(Ac), Dap(Ac), homo-Lys(Ac), 1-Nal, 2-Nal, Trp, or Lys(R'); and wherein R' is Aib, bAla, IVA, Ala,

cyclohexanoic, octanoic, -C(O)CH_2Ph (-C(O)benzyl), trifluorpropionic, Gly, acetyl, valeric, or trifluoroacetyl; and R' is attached to N^{ε} of Lys. In certain embodiments, X8 is Asn, alpha-Me-Lys, alpha-MeLeu, Aib, Cit, or Lys(R'). In certain embodiments, X8 is Lys(R'). In certain embodiments, R' is acetyl. In another embodiment R' is Gly, Aib, Ala, or bAla. In certain embodiments, R' is Gly, or Aib.

[0033] In certain embodiments, X8 is Lys(Gly) or Lys(bAla).

[0034] In certain embodiments, X4 is Abu and X9 is Cys, (D)Cys, alpha-MeCys, (D)Pen, or Pen. In certain embodiments, X4 is Cys, (D)Cys, alpha-MeCys, (D)Pen, or Pen; and X9 is Abu. In certain embodiments, each X4 and X9 is independently Cys, (D)Cys, alpha-MeCys, (D)Pen, or Pen. In certain embodiments, each X4 and X9 is Cys, (D)Cys, alpha-MeCys, (D)Pen, or Pen.

[0035] In one particular embodiment, X3 is absent.

[0036] In another particular aspect, the present invention provides a peptide inhibitor of an interleukin-23 receptor, or a pharmaceutically acceptable salt or solvate thereof, wherein the peptide inhibitor comprises or consists of an amino acid sequence of Formula (Z):

$$R^1\text{-}X\text{-}R^2 \text{ (Z)}$$

or a pharmaceutically acceptable salt or solvate thereof, wherein

R^1 is a bond, hydrogen, a C1-C6 alkyl, a C6-C12 aryl, a C6-C12 aryl C1-C6 alkyl, a C1-C20 alkanoyl, and including PEGylated versions alone or as spacers of any of the foregoing; X is the amino acid sequence of Formula (I),(Ia), (Ib), (Ic), (Id), (II)-(XVIIId), or an amino acid sequence set forth in Table E1A, and R^2 is OH or NH_2.

[0037] In certain embodiments, the peptide inhibitor comprises or consists of an amino acid sequence of Formula (Z):

$$R^1\text{-}X3\text{-}X4\text{-}X5\text{-}X6\text{-}X7\text{-}X8\text{-}X9\text{-}X10\text{-}X11\text{-}X12\text{-}X13\text{-}X14\text{-}X15\text{-}X16\text{-}R^2 \text{ (Z')}$$

or a pharmaceutically acceptable salt thereof, wherein

R^1 is a hydrogen, Ac, a C1-C6 alkyl, a C6-C12 aryl, a C6-C12aryl-C1-6alkyl, a C1-C20 alkanoyl, and including PEGylated versions alone or as spacers of any of the foregoing; and R^2 is OH or NH_2.

[0038] In particular embodiments of any of the peptide inhibitors disclosed herein, including peptide inhibitors comprising an amino acid sequence of Formula Formula (II)-(XVIIId), X4 is Pen and X9 is Pen, and the bond is a disulfide bond.

[0039] In particular embodiments, any of the peptide inhibitors described herein comprise one or more half-life extension moiety and/or one or more linker moiety conjugated to the peptide

inhibitor. In particular embodiments, the half-life extension moiety is conjugated to the peptide inhibitor via one or more linker moieties.

[0040] In certain embodiments, any of the peptide inhibitors described herein further comprises a conjugated chemical substituent. In particular embodiments, the conjugated chemical substituent is a lipophilic substituent or a polymeric moiety, e.g., Ac, Palm, gamaGlu-Palm, isoGlu-Palm, PEG2-Ac, PEG4-isoGlu-Palm, $(PEG)_5$-Palm, succinic acid, glutaric acid, pyroglutaric acid, benzoic acid, IVA, octanoic acid, 1,4 diaminobutane, isobutyl, Alexa488, Alexa647, or biotin. In certain embodiments, the conjugated chemical substituent is a polyethylene glycol with a molecular mass of 400 Da to 40,000 Da. In particular embodiments, the peptide is conjugated at X8. In another particular embodiment, the peptide is conjugated at X9. In a more particular embodiment, the peptide is conjugated at X10.

[0041] In a related aspect, the present invention includes a peptide dimer inhibitor of an interleukin-23 receptor, wherein the peptide dimer inhibitor comprises two peptide monomer subunits connected via one or more linker moieties, wherein each peptide monomer subunit comprises a sequence of Formula (I),(Ia), (Ib), (Ic), (Id), (II)-(XVIIId), or any other sequence or structure set forth herein. In certain embodiments, one or both peptide monomer subunit is cyclized via an intramolecular bond between X4 and X9. In certain embodiments, one or both intramolecular bond is a disulfide bond or a thioether bond. In certain embodiments, the linker is any of those shown in Table 2 or described herein. In certain embodiments, the linker moiety is a diethylene glycol linker, an iminodiacetic acid (IDA) linker, a β-Ala-iminodiaceticacid (β-Ala-IDA) linker, or a PEG linker. In particular embodiments, the N-terminus of each peptide monomer subunit is connected by the linker moiety. In particular embodiments, the C-terminus of each peptide monomer subunit is connected by the linker moiety. In certain embodiments, the linker connects an internal amino acid residue of at least one of the peptide monomer subunits to the N-terminus, C-terminus, or an internal amino acid residue of the other peptide monomer subunit.

[0042] In a further related aspect, the present invention includes a polynucleotide comprising a sequence encoding a peptide inhibitor of the present invention or one or both peptide monomer subunit of a peptide dimer inhibitor of the present invention. The present invention also includes a vector comprising the polynucleotide.

[0043] In another aspect, the present invention includes a pharmaceutical composition comprising a peptide inhibitor or a peptide dimer inhibitor of the present invention, and a pharmaceutically acceptable carrier, excipient, or diluent. In particular embodiments, the pharmaceutical

composition comprises an enteric coating. In certain embodiments, the enteric coating protects and releases the pharmaceutical composition within a subject's lower gastrointestinal system.

[0044] In another aspect, the present invention includes a method for treating or preventing a disease associated with IL-23 signalling, including but not limited to an Inflammatory Bowel Disease (IBD), ulcerative colitis, Crohn's disease, Celiac disease (*nontropical Sprue)*, enteropathy associated with seronegative arthropathies, microscopic colitis, collagenous colitis, eosinophilic gastroenteritis, colitis associated with radio- or chemo-therapy, colitis associated with disorders of innate immunity as in leukocyte adhesion deficiency-1, chronic granulomatous disease, glycogen storage disease type 1b, Hermansky-Pudlak syndrome, Chediak-Higashi syndrome, and Wiskott-Aldrich Syndrome, pouchitis resulting after proctocolectomy and ileoanal anastomosis, gastrointestinal cancer, pancreatitis, insulin-dependent diabetes mellitus, mastitis, cholecystitis, cholangitis, pericholangitis, chronic bronchitis, chronic sinusitis, asthma, psoriasis, or graft versus host disease in a subject, comprising providing to the subject an effective amount of a peptide inhibitor or pharmaceutical composition of the present invention. In certain embodiments, the inflammatory bowel disease is ulcerative colitis or Crohn's disease. In particular embodimnts, the peptide inhibitor or the peptide dimer inhibitor inhibits binding of an interleukin-23 (IL-23) to the interleukin-23 receptor (IL-23R). In certain embodiments, the pharmaceutical composition is provided to the subject by an oral, intravenous, peritoneal, intradermal, subcutaneous, intramuscular, intrathecal, inhalation, vaporization, nebulization, sublingual, buccal, parenteral, rectal, intraocular, inhalation, vaginal, or topical route of administration. In particular embodiments, the pharmaceutical composition is provided orally for treating Inflammatory Bowel Disease (IBD), ulcerative colitis, Crohn's disease. In certain embodiments, the pharmaceutical composition is provided to the subject topically, parenterally, intravenously, subcutaneously, peritonealy, or intravenously for treating psoriasis.

DETAILED DESCRIPTION OF THE INVENTION

DEFINITIONS

[0045] Unless otherwise defined herein, scientific and technical terms used in this application shall have the meanings that are commonly understood by those of ordinary skill in the art. Generally, nomenclature used in connection with, and techniques of, chemistry, molecular biology, cell and cancer biology, immunology, microbiology, pharmacology, and protein and nucleic acid chemistry, described herein, are those well-known and commonly used in the art.

[0046] As used herein, the following terms have the meanings ascribed to them unless specified otherwise.

[0047] Throughout this specification, the word "comprise" or variations such as "comprises" or "comprising" will be understood to imply the inclusion of a stated integer (or components) or group of integers (or components), but not the exclusion of any other integer (or components) or group of integers (or components).

[0048] The singular forms "a," "an," and "the" include the plurals unless the context clearly dictates otherwise.

[0049] The term "including" is used to mean "including but not limited to." "Including" and "including but not limited to" are used interchangeably.

[0050] The terms "patient," "subject," and "individual" may be used interchangeably and refer to either a human or a non-human animal. These terms include mammals such as humans, primates, livestock animals (e.g., bovines, porcines), companion animals (e.g., canines, felines) and rodents (e.g., mice and rats).

[0051] The term "peptide," as used herein, refers broadly to a sequence of two or more amino acids joined together by peptide bonds. It should be understood that this term does not connote a specific length of a polymer of amino acids, nor is it intended to imply or distinguish whether the polypeptide is produced using recombinant techniques, chemical or enzymatic synthesis, or is naturally occurring. The term peptide include cyclic peptides.

[0052] The recitations "sequence identity", "percent identity", "percent homology", or, for example, comprising a "sequence 50% identical to," as used herein, refer to the extent that sequences are identical on a nucleotide-by-nucleotide basis or an amino acid-by-amino acid basis over a window of comparison. Thus, a "percentage of sequence identity" may be calculated by comparing two optimally aligned sequences over the window of comparison, determining the number of positions at which the identical nucleic acid base (e.g., A, T, C, G, I) or the identical amino acid residue (e.g., Ala, Pro, Ser, Thr, Gly, Val, Leu, Ile, Phe, Tyr, Trp, Lys, Arg, His, Asp, Glu, Asn, Gln, Cys and Met) occurs in both sequences to yield the number of matched positions, dividing the number of matched positions by the total number of positions in the window of comparison (i.e., the window size), and multiplying the result by 100 to yield the percentage of sequence identity.

[0053] Calculations of sequence similarity or sequence identity between sequences (the terms are used interchangeably herein) can be performed as follows. To determine the percent identity of two amino acid sequences, or of two nucleic acid sequences, the sequences can be aligned for

optimal comparison purposes (e.g., gaps can be introduced in one or both of a first and a second amino acid or nucleic acid sequence for optimal alignment and non-homologous sequences can be disregarded for comparison purposes). In certain embodiments, the length of a reference sequence aligned for comparison purposes is at least 30%, preferably at least 40%, more preferably at least 50%, 60%, and even more preferably at least 70%, 80%, 90%, 100% of the length of the reference sequence. The amino acid residues or nucleotides at corresponding amino acid positions or nucleotide positions are then compared. When a position in the first sequence is occupied by the same amino acid residue or nucleotide as the corresponding position in the second sequence, then the molecules are identical at that position.

[0054] The percent identity between the two sequences is a function of the number of identical positions shared by the sequences, taking into account the number of gaps, and the length of each gap, which need to be introduced for optimal alignment of the two sequences.

[0055] The comparison of sequences and determination of percent identity between two sequences can be accomplished using a mathematical algorithm. In some embodiments, the percent identity between two amino acid sequences is determined using the Needleman and Wunsch, (1970, J. Mol. Biol. 48: 444-453) algorithm which has been incorporated into the GAP program in the GCG software package, using either a Blossum 62 matrix or a PAM250 matrix, and a gap weight of 16, 14, 12, 10, 8, 6, or 4 and a length weight of 1, 2, 3, 4, 5, or 6. In yet another preferred embodiment, the percent identity between two nucleotide sequences is determined using the GAP program in the GCG software package, using an NWSgapdna.CMP matrix and a gap weight of 40, 50, 60, 70, or 80 and a length weight of 1, 2, 3, 4, 5, or 6. Another exemplary set of parameters includes a Blossum 62 scoring matrix with a gap penalty of 12, a gap extend penalty of 4, and a frameshift gap penalty of 5. The percent identity between two amino acid or nucleotide sequences can also be determined using the algorithm of E. Meyers and W. Miller (1989, Cabios, 4: 11-17) which has been incorporated into the ALIGN program (version 2.0), using a PAM120 weight residue table, a gap length penalty of 12 and a gap penalty of 4.

[0056] The peptide sequences described herein can be used as a "query sequence" to perform a search against public databases to, for example, identify other family members or related sequences. Such searches can be performed using the NBLAST and XBLAST programs (version 2.0) of Altschul, et al., (1990, J. Mol. Biol, 215: 403-10). BLAST nucleotide searches can be performed with the NBLAST program, score = 100, wordlength = 12 to obtain nucleotide sequences homologous to nucleic acid molecules of the invention. BLAST protein searches can be performed with the XBLAST program, score = 50, wordlength = 3 to obtain amino acid

sequences homologous to protein molecules of the invention. To obtain gapped alignments for comparison purposes, Gapped BLAST can be utilized as described in Altschul et al. (Nucleic Acids Res. 25:3389-3402, 1997). When utilizing BLAST and Gapped BLAST programs, the default parameters of the respective programs (e.g., XBLAST and NBLAST) can be used.

[0057] The term "conservative substitution" as used herein denotes that one or more amino acids are replaced by another, biologically similar residue. Examples include substitution of amino acid residues with similar characteristics, e.g., small amino acids, acidic amino acids, polar amino acids, basic amino acids, hydrophobic amino acids and aromatic amino acids. See, for example, the table below. In some embodiments of the invention, one or more Met residues are substituted with norleucine (Nle) which is a bioisostere for Met, but which, as opposed to Met, is not readily oxidized. Another example of a conservative substitution with a residue normally not found in endogenous, mammalian peptides and proteins is the conservative substitution of Arg or Lys with, for example, ornithine, canavanine, aminoethylcysteine or another basic amino acid. In some embodiments, one or more cysteines of a peptide analogue of the invention may be substituted with another residue, such as a serine. For further information concerning phenotypically silent substitutions in peptides and proteins, see, for example, Bowie et.al. Science 247, 1306-1310, 1990. In the scheme below, conservative substitutions of amino acids are grouped by physicochemical properties. I: neutral, hydrophilic, II: acids and amides, III: basic, IV: hydrophobic, V: aromatic, bulky amino acids.

I	II	III	IV	V
A	N	H	M	F
S	D	R	L	Y
T	E	K	I	W
P	Q		V	
G			C	

[0058] In the scheme below, conservative substitutions of amino acids are grouped by physicochemical properties. VI: neutral or hydrophobic, VII: acidic, VIII: basic, IX: polar, X: aromatic.

VI	VII	VIII	IX	X
A	E	H	M	F
L	D	R	S	Y
I		K	T	W
P			C	
G			N	
V			Q	

[0059] The term "amino acid" or "any amino acid" as used here refers to any and all amino acids, including naturally occurring amino acids (e.g., a-amino acids), unnatural amino acids, modified amino acids, and non-natural amino acids. It includes both D- and L-amino acids. Natural amino acids include those found in nature, such as, e.g., the 23 amino acids that combine into peptide chains to form the building-blocks of a vast array of proteins. These are primarily L stereoisomers, although a few D-amino acids occur in bacterial envelopes and some antibiotics. The 20 "standard," natural amino acids are listed in the above tables. The "non-standard," natural amino acids are pyrrolysine (found in methanogenic organisms and other eukaryotes), selenocysteine (present in many noneukaryotes as well as most eukaryotes), and N-formylmethionine (encoded by the start codon AUG in bacteria, mitochondria and chloroplasts). "Unnatural" or "non-natural" amino acids are non-proteinogenic amino acids (i.e., those not naturally encoded or found in the genetic code) that either occur naturally or are chemically synthesized. Over 140 unnatural amino acids are known and thousands of more combinations are possible. Examples of "unnatural" amino acids include β-amino acids (β^3 and β^2), homo-amino acids, proline and pyruvic acid derivatives, 3-substituted alanine derivatives, glycine derivatives, ring-substituted phenylalanine and tyrosine derivatives, linear core amino acids, diamino acids, D-amino acids, alpha-methyl amino acids and N-methyl amino acids. Unnatural or non-natural amino acids also include modified amino acids. "Modified" amino acids include amino acids (e.g., natural amino acids) that have been chemically modified to include a group, groups, or chemical moiety not naturally present on the amino acid. According to certain embodiments, a peptide inhibitor comprises an intramolecular bond between two amino acid residues present in the peptide inhibitor. It is understood that the amino acid residues that form the bond will be altered somewhat when bonded to each other as compared to when not bonded to each other. Reference to a particular amino acid is meant to encompass that amino acid in both its unbonded and bonded state. For example, the amino acid residue homoSerine (hSer) or homoSerine(Cl) in its unbonded form may take the form of 2-aminobutyric

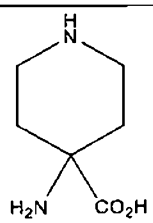
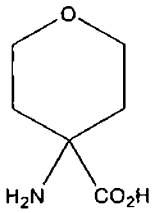
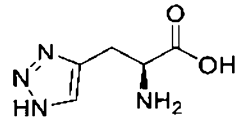
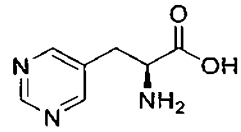
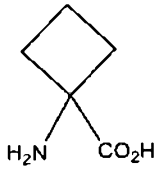
acid (Abu) when participating in an intramolecular bond according to the present invention. The present invention inclues both peptide inhibitors containing cross-links between X4 and X9, as well as the peptide inhibitors that do not contain cross-links between X4 and X9, e.g., before cross-link formation. As such, the names hSer and Abu are intended to indicate the same amino acids and are used interchangeably.

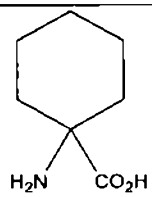
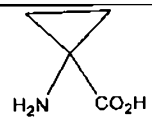
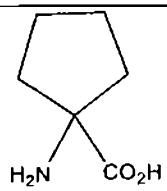
[0060] For the most part, the names of naturally occurring and non-naturally occurring aminoacyl residues used herein follow the naming conventions suggested by the IUPAC Commission on the Nomenclature of Organic Chemistry and the IUPAC-IUB Commission on Biochemical Nomenclature as set out in "Nomenclature of α-Amino Acids (Recommendations, 1974)" Biochemistry, 14(2), (1975). To the extent that the names and abbreviations of amino acids and aminoacyl residues employed in this specification and appended claims differ from those suggestions, they will be made clear to the reader. Some abbreviations useful in describing the invention are defined below in the following Table 1.

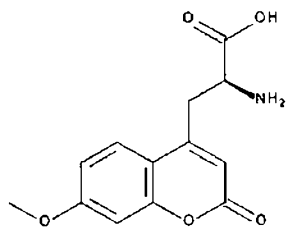
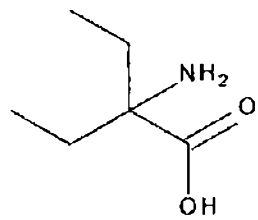
Table 1. Abbreviations of Non-Natural Amino Acids and Chemical Moieties (for amino acid derivatives, all L unless stated)

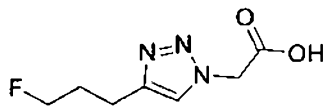
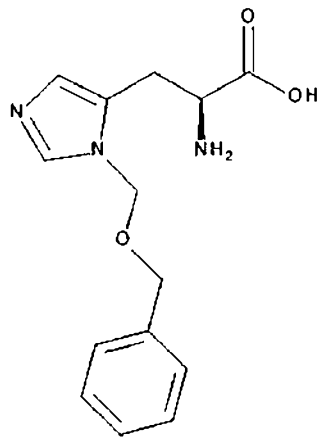
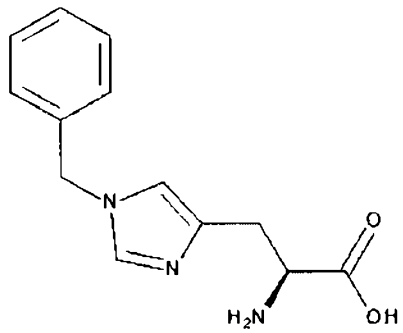
Abbreviation	Definition
(1-Me)His	(1-Methyl)Histidine
(D)2-Nal	D-2-Naphthylalanine
(D)aMePhe	(D)-alpha-Me-Phenylalanine
(D)aMeTyr	(D)-alpha-Me-Tyrosine
(D)NMeTyr	NMe(D)Tyr or N-Me-(D)Tyrosine
(D)Orn	D-Ornithine
(D)Phe[3-NH_2]	(D)- (3-Amino)phenylalanine
(D)Phe[4-NH_2]	(D)-(4-Amino)phenylalanine
(N-(3-Pyz))Asn	N-Pyrazol-3-yl-Asparagine
(N-(4-Pyz))Asn	N-Pyrazol-4-yl-Asparagine

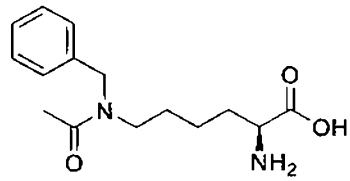
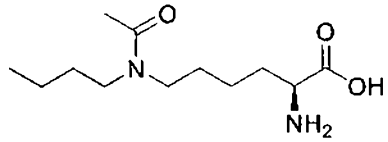
Abbreviation	Definition
(N-(5-indoyl))Asn	N-indol-5-yl-Asparagine
(N-(imidazol-2-yl)methyl)Asn	N-(imidazo-2-yl)methyl-Asparagine
(N-(propylamido))Asn	N-$CH_2CH_2CONH_2$-Asparagine
(N-2-aminophenyl)Asn	N-Ph(2-NH_2)-Asparagine
(N-3-aminophenyl)Asn	N-Ph(3-NH_2)-Asparagine
(N-4-aminophenyl)Asn	N-Ph(4-NH_2)-Asparagine
(N-benzyl)Asn	N-benzyl-Asparagine
(N-Ph)Asn	N-Ph-Asparagine
(N-pip)Asn	N-piperidin-4-yl-Asparagine
(N-Pyr)Asn	N-Pyrrolidin-3-yl-Asparagine
1,2,3,4-tetrahydro-norharman	L-1,2,3,4-tetrahydro-norharman
1-1-Indane	1-Aminoindane-1-carboxylic acid
1-Nal (also referred to as 1-Nap)	L-1-Naphthylalanine
2,5,7-tert butyl Trp	2,5,7-Tris-tert-butyl-L-tryptophan
2-2-Indane	2-Aminoindane-2-carboxylic acid
2-Nal (also referred to as 2-Nap)	L-2-Naphthylalanine
2-Pal or 2Pal	L-2-Pyridylalanine
3-Pal or 3Pal	L-3-Pyridylalanine

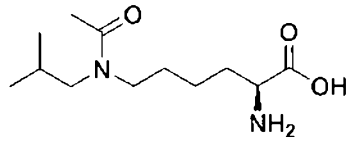
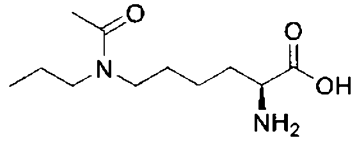
Abbreviation	Definition
4-amino-4-carboxy-piperidine	 4-amino-4-carboxy-piperidine
4-amino-4-carboxy-tetrahydropyran or THP	 4-amino-4-carboxy-tetrahydropyran
4-Pal or 4Pal	L-4-Pyridylalanine
4-Pyridylalanine	4-L-Pyridylalanine
4TriazolAla	 4-triazole-alanine
5-HydroxyTrp	5-Hydroxy-L-Tryptophan
5Pyal	 5-pyrimidine-alanine
6-ChloroTrp	6-Chloro-L-Tryptophan
Abu	2-Aminobutyric acid
Ac-	Acetyl
Acbc	 1-aminocyclobutanecarboxylic acid

Abbreviation	Definition
Achc or Achx	 1-aminocyclohexanecarboxylic acid
Acpc or Acpx	 1-aminocyclopropylcarboxylic acid
Acvc	 1-aminocyclopentanecarboxylic acid
AEA	(2-aminoethoxy)acetic acid
AEP	3-(2-aminoethoxy)propanoic acid
Ahx	6-aminohexanoic acid
Aib	2-aminoisobutyric acid
a-MeAsn, alpha-MeAsn	α-Methyl-L-Asparagine
a-MeGln, alpha-MeGln	α-Methyl-L-Glutamine
aMeGlu or αMeGlu	alpha-methyl Glutamic Acid
aMePhe(4-F)	a-Methyl-(4-Fluoro)phenylalanine
aMePro	alpha-methyl-L-Proline
Azt	L-azetidine-*2*-carboxylic acid
Bip	L-4,4'-Biphenylalanine
Cav	L-Cavanine

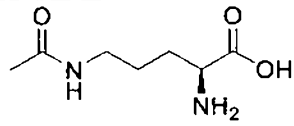
Abbreviation	Definition
Cha	Cyclohexyl-L-alanine
Cit	L-Citrulline
$CONH_2$	Carboxamide
COOH	Carboxylic Acid
Coumarin	
Cpa	Cyclopentyl-L-alanine
Cyclobutyl	L-cyclobutylalanine
cyclohexylAla	(2- or beta-)-cyclohexyl-L-Alanine
Dab	L-Diaminobutyric acid
DabCOMeor Dab(Ac)	N-Acetyl-L-diaminobutyric acid
Dap	L-Diaminopropionic acid
DapCOMeor Dap(Ac)	N-Acetyl-L-Diaminopropionic acid
DiethylGly	
DMT	2,6-DimethylTyrosine
DTT	Dithiothreitol

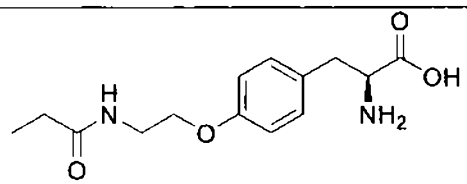
Abbreviation	Definition
FPrpTriazoleMe_Acid	
Gla	Gamma-Carboxy-L-Glutamic acid
Gly(N-allylmethyl)	N-allyl-L-Glycine
Gly(N-cyclohexylmethyl)	N-Cyclohexylmethyl-L-Glycine
Gly(N-isobutyl)	N-Isobutyl-L-Glycine
hArg	L-homoArginine
hCha	L-homocyclohexylalanine
His_3Bom	
His_3Me or 3MeHis	(3-Methyl)Histidine
His_Bzl	
hLeu	L-homoLeucine

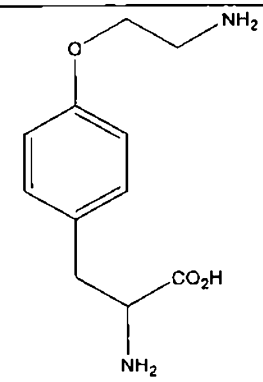
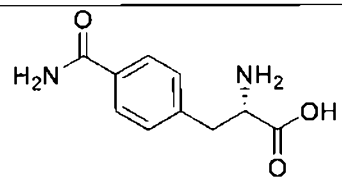
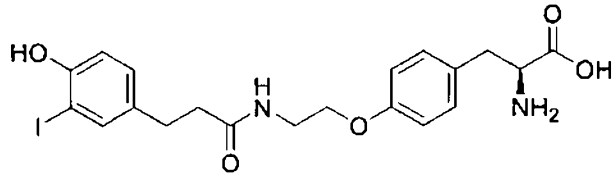
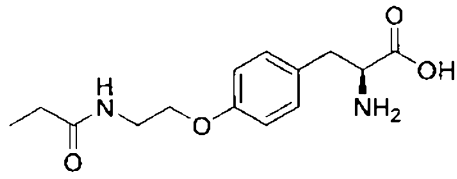
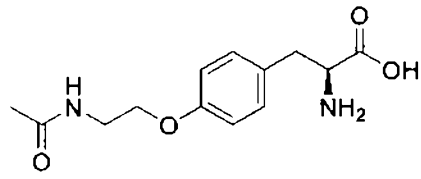
Abbreviation	Definition
hLys(Ac) or homo-Lys(Ac),	homo-L-Lysine
Hph	Homophenylalanine
hPhe(3,4-dimethoxy)	3,4-dimethoxy-L-homophenylalanine
hSer	L-homoSerine
Hy	Hydrogen (Free N-terminal)
Hyp	4-Hydroxy-L-Proline
iPr or i-Pr	Iso-Propyl
Lys(Ac)	N-ε-acetyl-L-Lysine
Lys(Benzyl,Ac)	N^{ε}-acetyl-N^{ε}-benzyl-L-Lysine or Lys(N-acetyl-N-benzyl) 
Lys(butyl,Ac)	N^{ε}-acetyl-N^{ε}-butyl-L-Lysine or Lys(N-acetyl-N-butyl) 
Lys(CO2Allyl)	N-(C(O)2-Allyl)-Lysine
Lys($COCF_3$)	N-ε-trifluoroacetyl-L-Lysine
Lys(COCF3)	N-Trifluoroacetyl-Lysine
Lys(COcPr)	Lys(CO-cyclopropyl)
Lys(COEt)	N-(C(O)-Et)-Lysine
Lys(COiBu)	N-ε-[C(O)-i-Bu]-L-Lysine

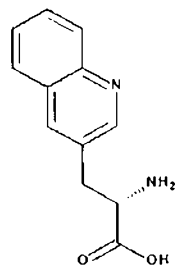
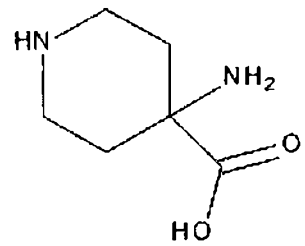
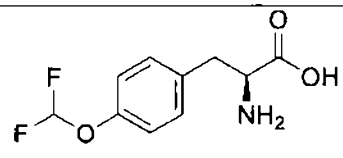
Abbreviation	Definition
Lys(COiPr)	N-(C(O)-i-Pr)-Lysine
Lys(COPent)	Lys(CO-pentyl)
Lys(COPr)	N-(C(O)-n-Pr)-Lysine
Lys(COtBu)	N-ε-[C(O)-t-Bu]-L-Lysine
Lys(COtBu)	N-(C(O)-t-Bu)-Lysine
Lys(isobutyl,Ac)	N^{ε}-acetyl-N^{ε}-isobutyl-L-Lysine or Lys(N-acetyl-N-isobutyl) 
Lys(propyl,Ac)	N^{ε}-acetyl-N^{ε}-propyl-L-Lysine or Lys(N-acetyl-N-propyl) 
Lys(R')	N-ε-[R']-L-Lysine (exemplary R'= Aib, bAla, IVA, Ala, cyclohexanoic, octanoic, -C(O)CH_2Ph, trifluorpropionic, Gly, acetyl, trifluoroacetyl, etc)
N(N2AmAnil)	N-2-aminoanilinyl-L-asparagine (L-asparagine, N-2-aminoanilinyl)
N(N3AmAnil)	N-3-aminoanilinyl-L-asparagine (L-asparagine, N-3-aminoanilinyl)
N(N4AmAnil)	N-4-aminoanilinyl-L-asparagine (L-asparagine, N-4-aminoanilinyl)

Abbreviation	Definition
N(NAlkyl)	N-Alkyl-L-asparagine (L-asparagine, N-alkyl) (L) H_2N-C(H)(CO_2H)-CH_2-C(O)-NH(Alkyl)
N(NAmbu)	N-4-aminobutyl-L-asparagine (L-asparagine, N-4-aminobutyl)
N(NAnil)	N-anilinyl-L-asparagine (L-asparagine, N-anilinyl)
N(NBu)	N-butyl-L-asparagine (L-asparagine, N-butyl)
N(NBzl)	N-benzyl-L-asparagine (L-asparagine, N-benzyl)
N(Nchx)	N-cyclohexyl-L-asparagine (L-asparagine, N-cyclohexyl)
N(Ncpx)	N-cyclopropyl-L-asparagine (L-asparagine, N-cyclopropyl)
N(NEt)	N-ethyl-L-asparagine (L-asparagine, N-ethyl)
N(NiBu)	N-isobutyl-L-asparagine (L-asparagine, N-isobutyl)
N(NiPr)	N-isopropyl-L-asparagine (L-asparagine, N-isopropyl)
N(NMe)	N-methyl-L-asparagine (L-asparagine, N-methyl)
N(Npip)	N-piperidinyl-L-asparagine (L-asparagine, N-piperidinyl)
N(NtBu)	N-tert-butyl-L-asparagine (L-asparagine, N-tert-butyl)

Abbreviation	Definition
N3_Acid	N_3-CH_2-COOH
Nle or nL	L-Norleucine
N-MeAla	N-Methyl-L-Alanine
N-MeArg	N-Methyl-L-Arginine
N-MeAsn	N-Methyl-L-Asparagine
N-MeGln	N-Methyl-L-Glutamine
N-MeLys	N-Methyl-Lysine
N-Me-Lys	N-Methyl-L-Lysine
N-Me-Lys(Ac)	N-ε-Acetyl-N-Methyl-L-lysine
N-MeTrp	N-Methyl-L-Tryptophan
NMeβA or NMebA	N-Methyl-beta-Alanine
Octgly	L-Octylglycine
Orn	L-Ornithine
OrnCOMe	 N-Acetyl-L-ornithine
Pen	L-Penicillamine
Pen(sulfolxide)	L-Penicillamine(sulfoxide)
Phe((3,4-diOMe)	4-(3,4-dimethoxy)phenylalanine
Phe(2,4-Me_2)	2,4-dimethyl-L-phenylalanine
Phe(3,4-Cl_2)	3,4-dichloro-L-phenylalanine
Phe(3,4-dimethoxy)	3,4-dimethoxy-L-phenylalanine
Phe(3,5-F_2)	3,5-difluoro-L-phenylalanine

Abbreviation	Definition
Phe(4_2ae_Boc)	4-(2-(N-t-Boc)-aminoethoxy)phenylalanine
Phe(4-Br)	4-bromo-L-phenylalanine
Phe(4-CF_3)	4-Trifluoromethyl-L-Phenylalanine
Phe(4-CN)	4-cyano-L-phenylalanine
Phe(4-CO_2H)	4-Carboxy-L-phenylalanine
Phe(4-$CONH_2$) or Phe(Cmd)	4-Carbamoyl-L-phenylalanine
Phe(4-F)	4-Fluoro-L-Phenylalanine
Phe-(4-Guanidino)	4-Guanidine-L-Phenylalanine
Phe(4-Me)	4-methyl-L-phenylalanine
Phe(4-N_3)	4-azidophenylalanine
Phe(4-NH_2), paf	4-amino-L-phenylalanine
Phe(4-OAllyl)	O-*Allyl*-L-Tyrosine
Phe(4-OBzl)	O-Benzyl-L-tyrosine
Phe(4-OMe)	4-Methoxy-L-phenylalanine
Phe(4-Phenoxy)	4-Phenoxy-L-phenylalanine
Phe(penta-F)	pentafluoro-L-phenylalanine
Phe(t-Bu)	*t*-butyl-L-phenylalanine
Phe[(aMe)-4-(2-aminoethoxy)]	a-Methyl-4-(2-aminoethoxy)phenylalanine
Phe[4-(2-(N-propionylamino)ethoxy	
Phe[4-(2-acetylaminoethoxy)] or Phe[4-(2-aminoethoxy)Ac]	L-4-[(Ac-NH-CH_2CH_2-O)]-Ph-CH_2-C(H)(NH_2)CO_2H or 4-(2-acetylaminoethoxy)-L-phenylalanine

Abbreviation	Definition
Phe[4-(2-aminoethoxy)] or F(4-2ae)	 4-(2-aminoethoxy)-L-phenylalanine
Phe[4-aminomethyl]	(4-aminomethyl)Phenylalanine
Phe_4Ad	
Phe_4ae_BH	Phe[4-(2-(N-(4-hydroxy-3-methylphenyl)propionylamino)ethoxy) 
Phe_4ae_Ethyl	Phe[4-(2-(N-propionylamino)ethoxy)]- 
Phe_NH2_Ac	Phe[4-(2-aceylaminoethoxy)]- 
Pro(4,4diF)	4,4-difluoro-L-Proline

Abbreviation	Definition
2Quin	(S)-2-amino-3-(quinolin-2-yl)propanoic acid or 2-quinolinylalanine
Quin or 3Quin or 3-Quin	 (S)-2-amino-3-(quinolin-3-yl)propanoic acid or 3-quinolinylalanine
Sarc or NMeGly	Sarcosine or N-methylglycine
Spiral_Pip	
t-butyl-Ala	3-*(*tert-butyl*)-L*-Alanine-*OH*
t-butyl-Gly	tert-butyl-glycine
Trp_4Aza	4-aza-tryptophan
Trp_7Aza	7-aza-tryptophan
Tyr(3-t-Bu)	3-*t*-butyl-L-tyrosine
Tyr_CHF2	 or L-(4-difluoromethoxy)Phenylalanine
W(4-F)	4-fluoro-L-tryptophan

Abbreviation	Definition
W(5-Ca)	(5-Carboxamido)-L-Tryptophan
W(5-CN)	5-cyano-L-tryptophan
W(5-Ph)	5-Phenyl-Tryptophan
W(6-Ph)	6-Phenyl-Tryptophan
W(7-(1-Nal)	7-(naphth-1-yl)-Tryptophan
W(7-(2-FPh))	7-(2-Fluoro-phenyl)Tryptophan
W(7-(2-Nal)	7-(naphth-2-yl)-Tryptophan
W(7-(3,5-t-Bu-Ph))	7-(3,5-di-tert-butylphenyl)-Tryptophan
W(7-(3BiPh))	7-(biphenyl-3-yl)-Tryptophan
W(7-(3-carboxamidophenyl))	7-(3-carboxamidophenyl)-Tryptophan
W(7-(3-CF3Ph))	7-(3-trifluoromethylphenyl)-Tryptophan
W(7-(3-iPrPh))	7-(3-isopropylphenyl)-Tryptophan
W(7-(3-MePh))	7-(3-methylphenyl)-Tryptophan
W(7-(3-OCF3Ph))	7-(3-trifluoromethoxyphenyl)-Tryptophan
W(7-(3-OMePh))	7-(3-MethoxyPhenyl)-Tryptophan
W(7-(3-pyrazol-1-yl))	7-(3-pyrazol-1-yl)-Tryptophan
W(7-(4-Anthracen-5-yl))	7-(4-Anthracen-5-yl)Tryptophan
W(7-(4BiPh))	7-(biphenyl-4-yl)-Tryptophan
W(7-(4-CONH2Ph))	7-(4-carboxamidophenyl)-Tryptophan
W(7-(4Quin))	7-(quinoline-4-yl)-Tryptophan
W(7-(Phenanthren-5-yl))	7-(Phenanthren-5-yl)Tryptophan

Abbreviation	Definition
W(7-CN)	7-cyano-L-tryptophan
W(7-imidazopyridinyl)	7-(imidazopyridinyl)-Tryptophan
W(7-indazol-5-yl)	7-(indazol-5-yl)-Tryptophan
W(7-Ph)	7-Phenyl-Tryptophan
W(7-pyrimidin-5-yl)	7-(pyrimidin-5-yl)-Tryptophan
W(7-thienyl)	7-thienyl-Tryptophan
β-Ala or bA	L-β-Alanine
β-Glu	L-β-Glutamic acid
βhGln or b-hGln, or b-homoGln	L-β-homoglutamine
βhGlu	L-β-homoglutamic acid
βhPhe	L-β-homophenylalanine
βhPro	L-β-homoproline
βhTrp	L-β-homoTryptophan
α-MeArg, a-MeArg, or alpha-MeArg	alpha-methyl-L-Arginine
α-MeCys, alpha-MeCys, or a-MeCys	alpha-methyl-L-Cysteine
α-MeLeu, a-MeLeu, alpha-MeLeu	alpha-methyl-L-Leucine
α-MeLys(Ac), a-MeLys(Ac), or alpha-MeLys(Ac)	ε-acetyl-alpha-methyl-L-Lysine
α-MeLys, a-MeLys, or alpha-MeLys	alpha-methyl-L-Lysine
α-MeOrn	alpha-methyl-L-Ornithine

Abbreviation	Definition
α-MePhe or a-MePhe or a-Me-Phe	alpha-methyl-L-Phenylalanine
α-MeTrp	alpha-methyl-L-Tryptophan
α-MeTyr	alpha-methyl-L-Tyrosine
α–DiethylGly	α-DiethylGlycine
βAla, beta-Ala, or bA	beta-Alanine
βhAla	beta homo-L-Alanine
βhLeu	beta homo-L-Leucine
βhTrp	beta homo-L-Trptophan
βhTyr	beta homo-L-Tyrosine
βhVal	beta homo-L-Valine

[0061] Throughout the present specification, unless naturally occurring amino acids are referred to by their full name (e.g., alanine, arginine, etc.), they are designated by their conventional three-letter or single-letter abbreviations (e.g., Ala or A for alanine, Arg or R for arginine, etc.). Unless otherwise indicated, three-letter and single-letter abbreviations of amino acids refer to the L-isomeric form of the amino acid in question. The term "L-amino acid," as used herein, refers to the "L" isomeric form of a peptide, and conversely the term "D-amino acid" refers to the "D" isomeric form of a peptide (e.g., Dasp, (D)Asp or D-Asp; Dphe, (D)Phe or D-Phe). Amino acid residues in the D isomeric form can be substituted for any L-amino acid residue, as long as the desired function is retained by the peptide. D-amino acids may be indicated as customary in lower case when referred to using single-letter abbreviations.

[0062] In the case of less common or non-naturally occurring amino acids, unless they are referred to by their full name (e.g. sarcosine, ornithine, etc.), frequently employed three- or four-character codes are employed for residues thereof, including, Sar or Sarc (sarcosine, i.e. N-methylglycine), Aib (α-aminoisobutyric acid), Dab (2,4-diaminobutanoic acid), Dapa (2,3-diaminopropanoic acid), γ-Glu (γ-glutamic acid), Gaba (γ-aminobutanoic acid), β-Pro (pyrrolidine-3-carboxylic acid), and 8Ado (8-amino-3,6-dioxaoctanoic acid), Abu (2-amino butyric acid), βhPro (β-

homoproline), βhPhe (β-homophenylalanine) and Bip (β,β diphenylalanine), and Ida (Iminodiacetic acid).

[0063] As is clear to the skilled artisan, the peptide sequences disclosed herein are shown proceeding from left to right, with the left end of the sequence being the N-terminus of the peptide and the right end of the sequence being the C-terminus of the peptide. Among sequences disclosed herein are sequences incorporating a "Hy-" moiety at the amino terminus (N-terminus) of the sequence, and either an "-OH" moiety or an "-NH_2" moiety at the carboxy terminus (C-terminus) of the sequence. In such cases, and unless otherwise indicated, a "Hy-" moiety at the N-terminus of the sequence in question indicates a hydrogen atom, corresponding to the presence of a free primary or secondary amino group at the N-terminus, while an "-OH" or an "–NH_2" moiety at the C-terminus of the sequence indicates a hydroxy group or an amino group, corresponding to the presence of an amido ($CONH_2$) group at the C-terminus, respectively. In each sequence of the invention, a C-terminal "–OH" moiety may be substituted for a C-terminal "–NH_2" moiety, and vice-versa.

[0064] One of skill in the art will appreciate that certain amino acids and other chemical moieties are modified when bound to another molecule. For example, an amino acid side chain may be modified when it forms an intramolecular bridge with another amino acid side chain, e.g., one or more hydrogen may be removed or replaced by the bond. Accordingly, as used herein, reference to an amino acid or modified amino acid present in a peptide dimer of the present invention (e.g., at position X4 or position X9) is meant to include the form of such amino acid or modified amino acid present in the peptide both before and after forming the intramolecular bond.

[0065] The term "dimer," as used herein, refers broadly to a peptide comprising two or more monomer subunits. Certain dimers comprise two monomer subunits comprising a sequence of Formula (I) or set forth herein. Dimers of the present invention include homodimers and heterodimers. A monomer subunit of a dimer may be linked at its C- or N-terminus, or it may be linked via internal amino acid residues. Each monomer subunit of a dimer may be linked through the same site, or each may be linked through a different site (e.g., C-terminus, N-terminus, or internal site).

[0066] The term "NH_2," as used herein, can refer to a free amino group present at the amino terminus of a polypeptide. The term "OH," as used herein, can refer to a free carboxy group present at the carboxy terminus of a peptide. Further, the term "Ac," as used herein, refers to Acetyl protection through acylation of the C- or N-terminus of a polypeptide. In certain peptides shown herein, the NH_2 locates at the C-terminus of the peptide indicates an amino group.

[0067] The term "carboxy," as used herein, refers to $-CO_2H$.

[0068] The term "isostere replacement," as used herein, refers to any amino acid or other analog moiety having chemical and/or structural properties similar to a specified amino acid. In certain embodiments, an isostere replacement is a conservative substitution or an analog of a specified amino acid.

[0069] The term "cyclized," as used herein, refers to one part of a polypeptide molecule being linked to another part of the polypeptide molecule to form a closed ring, such as by forming a disulfide bridge or thioether bond.

[0070] The term "subunit," as used herein, refers to one of a pair of polypeptide monomers that are joined to form a dimer peptide composition.

[0071] The term "linker moiety," as used herein, refers broadly to a chemical structure that is capable of linking or joining together two peptide monomer subunits to form a dimer.

[0072] The term "pharmaceutically acceptable salt," as used herein, represents salts or zwitterionic forms of the peptides or compounds of the present invention which are water or oil-soluble or dispersible, which are suitable for treatment of diseases without undue toxicity, irritation, and allergic response; which are commensurate with a reasonable benefit/risk ratio, and which are effective for their intended use. The salts can be prepared during the final isolation and purification of the compounds or separately by reacting an amino group with a suitable acid. Representative acid addition salts include acetate, adipate, alginate, citrate, aspartate, benzoate, benzenesulfonate, bisulfate, butyrate, camphorate, camphorsulfonate, digluconate, glycerophosphate, hemisulfate, heptanoate, hexanoate, formate, fumarate, hydrochloride, hydrobromide, hydroiodide, 2-hydroxyethansulfonate (isethionate), lactate, maleate, mesitylenesulfonate, methanesulfonate, naphthylenesulfonate, nicotinate, 2-naphthalenesulfonate, oxalate, pamoate, pectinate, persulfate, 3-phenylproprionate, picrate, pivalate, propionate, succinate, tartrate, trichloroacetate, trifluoroacetate, phosphate, glutamate, bicarbonate, para-toluenesulfonate, and undecanoate. Also, amino groups in the compounds of the present invention can be quaternized with methyl, ethyl, propyl, and butyl chlorides, bromides, and iodides; dimethyl, diethyl, dibutyl, and diamyl sulfates; decyl, lauryl, myristyl, and steryl chlorides, bromides, and iodides; and benzyl and phenethyl bromides. Examples of acids which can be employed to form therapeutically acceptable addition salts include inorganic acids such as hydrochloric, hydrobromic, sulfuric, and phosphoric, and organic acids such as oxalic, maleic, succinic, and citric. A pharmaceutically acceptable salt may suitably be a salt chosen, e.g., among acid addition salts and basic salts. Examples of acid addition salts include chloride salts, citrate salts and acetate salts. Examples of basic salts include salts

where the cation is selected among alkali metal cations, such as sodium or potassium ions, alkaline earth metal cations, such as calcium or magnesium ions, as well as substituted ammonium ions, such as ions of the type N(R1)(R2)(R3)(R4)+, where R1, R2, R3 and R4 independently will typically designate hydrogen, optionally substituted C1-6-alkyl or optionally substituted C2-6-alkenyl. Examples of relevant C1-6-alkyl groups include methyl, ethyl, 1-propyl and 2-propyl groups. Examples of C2-6-alkenyl groups of possible relevance include ethenyl, 1-propenyl and 2-propenyl. Other examples of pharmaceutically acceptable salts are described in "Remington's Pharmaceutical Sciences", 17th edition, Alfonso R. Gennaro (Ed.), Mark Publishing Company, Easton, PA, USA, 1985 (and more recent editions thereof), in the "Encyclopaedia of Pharmaceutical Technology", 3rd edition, James Swarbrick (Ed.), Informa Healthcare USA (Inc.), NY, USA, 2007, and in J. Pharm. Sci. 66: 2 (1977). Also, for a review on suitable salts, see *Handbook of Pharmaceutical Salts: Properties, Selection, and Use* by Stahl and Wermuth (Wiley-VCH, 2002). Other suitable base salts are formed from bases which form non-toxic salts. Representative examples include the aluminum, arginine, benzathine, calcium, choline, diethylamine, diolamine, glycine, lysine, magnesium, meglumine, olamine, potassium, sodium, tromethamine, and zinc salts. Hemisalts of acids and bases may also be formed, e.g., hemisulphate and hemicalcium salts.

[0073] The term "N(alpha)Methylation", as used herein, describes the methylation of the alpha amine of an amino acid, also generally termed as an N-methylation.

[0074] The term "sym methylation" or "Arg-Me-sym", as used herein, describes the symmetrical methylation of the two nitrogens of the guanidine group of arginine. Further, the term "asym methylation" or "Arg-Me-asym" describes the methylation of a single nitrogen of the guanidine group of arginine.

[0075] The term "acylating organic compounds", as used herein refers to various compounds with carboxylic acid functionality that are used to acylate the N-terminus of an amino acid or a monomer or dimer, e.g., a monomer subunit prior to forming a C-terminal dimer. Non-limiting examples of acylating organic compounds include cyclopropylacetic acid, 4-Fluorobenzoic acid, 4-fluorophenylacetic acid, 3-Phenylpropionic acid, Succinic acid, Glutaric acid, Cyclopentane carboxylic acid, 3,3,3-trifluoropropeonic acid, 3-Fluoromethylbutyric acid, Tetrahedro-2H-Pyran-4-carboxylic acid.

[0076] The term "alkyl" includes a straight chain or branched, noncyclic or cyclic, saturated aliphatic hydrocarbon containing from 1 to 24 carbon atoms. Representative saturated straight chain alkyls include, but are not limited to, methyl, ethyl, *n*-propyl, *n*-butyl, *n*-pentyl, *n*-hexyl, and

the like, while saturated branched alkyls include, without limitation, isopropyl, *sec*-butyl, isobutyl, *tert*-butyl, isopentyl, and the like. Representative saturated cyclic alkyls include, but are not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and the like, while unsaturated cyclic alkyls include, without limitation, cyclopentenyl, cyclohexenyl, and the like.

[0077] "Halo" or "halogen" refers to bromo (Br), chloro (Cl), fluoro (F) or iodo (I) substituents.

[0078] The terms "haloalkyl" includes alkyl structures in which at least one hydrogen is replaced with a halogen atom. In certain embodiments in which two or more hydrogen atoms are replaced with halogen atoms, the halogen atoms are all the same as one another. In other embodiments in which two or more hydrogen atoms are replaced with halogen atoms, the halogen atoms are not all the same as one another.

[0079] An "alkoxy" group refers to a (alkyl)O- group, where alkyl is as defined herein.

[0080] An "aryloxy" group refers to an (aryl)O- group, where aryl is as defined herein.

[0081] "Aminocarbonyl" or "carboxamido" refers to a -$CONH_2$ radical.

[0082] "2-Aminoethoxy" refers to -OCH_2CH_2-NH_2 radical.

[0083] "2-Acetylaminoethoxy" refers to -OCH_2CH_2-N(H)C(O)Me radical.

[0084] The term "mammal" refers to any mammalian species such as a human, mouse, rat, dog, cat, hamster, guinea pig, rabbit, livestock, and the like.

[0085] As used herein, a "therapeutically effective amount" of the peptide inhibitor of the invention is meant to describe a sufficient amount of the peptide inhibitor to treat an IL-23/IL-23R-related disease, including but not limited to any of the diseases and disorders described herein (for example, to reduce inflammation associated with IBD). In particular embodiments, the therapeutically effective amount will achieve a desired benefit/risk ratio applicable to any medical treatment.

[0086] An "analog" of an amino acid, e.g., a "Phe analog" or a "Tyr analog" means an analog of the referenced amino acid. A variety of amino acid analogs are known and available in the art, including Phe and Tyr analogs. In certain embodiments, an amino acid analog, e.g., a Phe analog or a Tyr analog comprises one, two, three, four or five substitutions as compared to Phe or Tyr, respectively. In certain embodiments, the substitutions are present in the side chains of the amino acids. In certain embodiments, a Phe analog has the structure Phe(R^2), wherein R^2 is a Hy, OH, CH_3, CO_2H, $CONH_2$, $CONH_2OCH_2CH_2NH_2$, *t*-Bu, $OCH_2CH_2NH_2$, phenoxy, OCH_3, OAllyl, Br, Cl, F, NH_2, N3, or guanadino. In certain embodiments, R^2 is $CONH_2OCH_2CH_2NH_2$, OCH_3, $CONH_2$, OCH_3 or CO_2H. Examples of Phe analogs include, but are not limited to: hPhe, Phe(4-OMe), α-Me-Phe, hPhe(3,4-dimethoxy), Phe(4-$CONH_2$), Phe(4-phenoxy), Phe(4-guanadino),

Phe(4-tBu), Phe(4-CN), Phe(4-Br), Phe(4-OBzl), Phe(4-NH_2), BhPhe(4-F), Phe(4-F), Phe(3,5 DiF), Phe(CH_2CO_2H), Phe(penta-F), Phe(3,4-Cl_2), Phe (3,4-F_2), Phe(4-CF_3), ββ-diPheAla, Phe(4-N_3), Phe[4-(2-aminoethoxy)], 4-Phenylbenzylalanine, Phe(4-$CONH_2$), Phe(3,4-Dimethoxy), Phe(4-CF_3), Phe(2,3-Cl_2), and Phe(2,3-F_2). Examples of Tyr analogs include, but are not limited to: hTyr, N-Me-Tyr, Tyr(3-*t*Bu), Tyr(4-N_3) and βhTyr.

PEPTIDE INHIBITORS OF IL-23R

[0087] Genome-wide association studies (GWAS) have demonstrated significant association of the IL-23 receptor (IL-23R) gene with inflammatory bowel disease (IBD), suggesting that perturbation of IL-23 signaling could be relevant to the pathogenesis of this disease and other inflammatory diseases and disorders. The present invention provides compositions and methods to modulate the IL-23 pathway through antagonism of IL-23R.

[0088] The present invention relates generally to peptides that have IL-23R antagonist activity, including both peptide monomers and peptide dimers. In certain embodiments, this invention demonstrates a new paradigm for treatment of IBD and other diseases and disorders by oral delivery of antagonists of IL-23. IBD represents a local inflammation of the intestinal tissue; therefore, advantageous therapeutic agents act from the luminal side of the intestine, yielding high drug concentrations in diseased tissue, minimizing systemic availability and resulting in improved efficacy and safety when compared to systemic approaches. Oral administration of the compounds of the present invention is expected to maximize drug levels in diseased intestinal tissues while limiting drug concentrations in circulation, thereby providing efficacious, safe, and durable delivery for life-long treatment of IBD and other diseases and disorders.

[0089] In certain embodiments, the present invention relates to various peptides, or peptide dimers comprising hetero- or homo-monomer subunits, that form cyclized structures through disulfide or other bonds. In certain embodiments, the disulfide or other bonds are intramolecular bonds. The cyclized structure of the peptide monomer inhibitors and the monomer subunits of the peptide dimer inhibitors has been shown to increase potency and selectivity of the peptide inhibitors. In certain embodiments, a peptide dimer inhibitor may include one or more intermolecular bonds linking the two monomer peptide subunits within the peptide dimer inhibitor, e.g., an intermolecular bridge between two Pen residues, one in each peptide monomer subunit.

[0090] The present invention provides peptide inhibitors that bind to IL-23R, which may be monomers or dimers. In particular embodiments, the peptide inhibitors inhibit the binding of IL-23 to IL-23R. In certain embodiments, the IL-23R is human IL-23R, and the IL-23 is human IL-23. In certain embodiments, a peptide inhibitor of the present invention reduces IL-23 binding to

IL-23R by at least 20%, at least 30%, at least 40%, at least 50%, at least 60%, at least 70%, at least 80%, or at least 90% as compared to a negative control peptide. Methods of determining binding are known in the art and include ELISA assays, as described in the accompanying Examples.

[0091] In certain embodiments, a peptide inhibitor of the present invention has an IC_{50} of > 1 mM, < 1 mM, 500 nM to 1000 nM, < 500 nM, < 250 nM, < 100 nM, < 50 nM, < 25 nM, < 10 nM, < 5 nM, < 2 nM, < 1 nM, or < 5 mM, e.g., for inhibiting binding of IL-23 to IL-23R (e.g., human IL-23 and human IL-23R). Methods of determining activity are known in the art and include any of those described in the accompanying Examples.

[0092] In certain embodiments, a peptide inhibitor of the present invention has increased stability, increased gastrointestinal stability, or increased stability in stimulated intestinal fluid (SIF) or simulated gastric fluid (SGF), and/or under redox conditions (e.g., DTT) as compared to a control peptide. In certain embodiments, a control peptide is an unrelated peptide of the same or similar length. In particular embodiments, a control peptide is a peptide having the identical or a highly related amino acid sequence (e.g., > 90% sequence identity) as the peptide inhibitor. In particular embodiments, a control peptide is a peptide having the identical or a highly related amino acid sequence (e.g., > 90% sequence identity) as the peptide inhibitor, but which does not have a cyclized structure, e.g., through an intramolecular bond between two amino acid residues within the control peptide, or which is not dimerized, or which does not comprise a conjugate for stabilization. In particular embodiments, the only difference between the peptide inhibitor and the control peptide is that the peptide inhibitor comprises one or more amino acid substitutions that introduce one or more amino acid residues into the peptide inhibitor, wherein the introduced amino residue(s) forms an intrasulfide disulfide or thioether bond with another amino acid residue in the peptide inhibitor. One example of a control for a peptide dimer inhibitor is a monomer having the same sequence as one of the monomer subunits present in the peptide dimer inhibitor. One example of a control for a peptide inhibitor comprising a conjugate is a peptide having the same sequence but not including the conjugated moiety. In certain embodiments, a control peptide is a peptide (e.g., a naturally-occurring peptide) corresponding to a region of IL-23 that binds to IL-23R.

[0093] Methods of determining the stablity of a peptide are known in the art. In certain embodiments, the stability of a peptide inhibitor is determined using an SIF assay, e.g., as described in Example 3. In certain embodiments, the stability of a peptide inhibitor is determined using an SGF assay, e.g., as described in Example 3. In particular embodiments, a peptide inhibitor has a half-life (e.g., in SIF or SGF or DTT) under a given set of conditions (e.g., temperature) of greater than 1 minute, greater than 10 minutes, greater than 20 minutes, greater than 30 minutes,

greater than 60 minutes, greater than 90 minutes, greater than 120 minutes, greater than 3 hours, or greater than four hours when exposed to SIF or SGF or DTT. In certain embodiments, the temperature is about 25 °C, about 4 °C, or about 37 °C, and the pH is a physiological pH, or a pH about 7.4.

[0094] In some embodiments, the half-life is measured in vitro using any suitable method known in the art, e.g., in some embodiments, the stability of a peptide of the present invention is determined by incubating the peptide with pre-warmed human serum (Sigma) at 37 ° C. Samples are taken at various time points, typically up to 24 hours, and the stability of the sample is analyzed by separating the peptide or peptide dimer from the serum proteins and then analyzing for the presence of the peptide or peptide dimer of interest using LC-MS.

[0095] In some embodiments, a peptide inhibitor of the present invention exhibits improved solubility or reduced aggregation characteristics as compared to a control peptide. Solubility may be determined via any suitable method known in the art. In some embodiments, suitable methods known in the art for determining solubility include incubating peptides in various buffers (Acetate pH4.0, Acetate pH5.0, Phos/Citrate pH5.0, Phos Citrate pH6.0, Phos pH 6.0, Phos pH 7.0, Phos pH7.5, Strong PBS pH 7.5, Tris pH7.5, Tris pH 8.0, Glycine pH 9.0, Water, Acetic acid (pH 5.0 and other known in the art) and testing for aggregation or solubility using standard techniques. These include, but are not limited to, visual precipitation, dynamic light scattering, Circular Dichroism and fluorescent dyes to measure surface hydrophobicity, and detect aggregation or fibrillation, for example. In some embodiments, improved solubility means the peptide is more soluble in a given liquid than is a control peptide. In some embodiments, reduced aggregation means the peptide has less aggregation in a given liquid under a given set of conditions than a control peptide.

[0096] In certain embodiments advantageous for achieving high compound concentrations in intestinal tissues when delivered orally, peptide inhibitors of the present invention are stable in the gastrointestinal (GI) environment. Proteolytic metabolism in the GI tract is driven by enzymes (including pepsins, trypsin, chymotrypsin, elastase, aminopeptidases, and carboxypeptidase A/B) that are secreted from the pancreas into the lumen or are produced as brush border enzymes. Proteases typically cleave peptides and proteins that are in an extended conformation. In the reducing environment of intestinal fluids, disulfide bonds may be broken, resulting in a linear peptide and rapid proteolysis. This luminal redox environment is largely determined by the Cys/CySS redox cycle. In enterocytes, relevant activities include numerous digestive enzymes such as CYP450 and UDP-glucuronsyl-transferase. Finally, bacteria, present in the large intestine

at concentration ranging from 10^{10} to 10^{12} CFU/ml, constitute another metabolic barrier. In certain embodiments, the peptide inhibitors are stable to various pHs that range from strongly acidic in the stomach (pH 1.5-1.9), trending towards basic in the small intestine (pH 6-7.5), and then weakly acidic in the colon (pH 5-7). Such peptide inhibitors are stable during their transit through the various GI compartments, a process that has been estimated to take 3-4 h in the intestine and 6-48 h in the colon.

[0097] In some embodiments, the peptide inhibitors of the present invention have less degradation, e.g., over a period of time (i.e., more degradation stability), e.g., greater than or about 10% less, greater than or about 20% less, greater than or about 30% less, greater than or about 40 less, or greater than or about 50% less degradation than a control peptide. In some embodiments, degradation stability is determined via any suitable method known in the art. In some embodiments, the degradation is enzymatic degradation. For example, in certain embodiments, the peptide inhibitors have reduced susceptibility to degradation by trypsin, chhrmotrypsin or elastase. In some embodiments, suitable methods known in the art for determining degradation stability include the method described in Hawe et al., J Pharm Sci, VOL. 101, No. 3, 2012, p 895-913, incorporated herein in its entirety. Such methods are in some embodiments used to select potent peptide sequences with enhanced shelf lifes. In particular embodiments, peptide stability is determined using a SIF assay or SGF assay, e.g., as described in PCT Publication No. WO 2016/011208.

[0098] In certain embodiments, peptide inhibitors of the present invention inhibit or reduce IL-23-mediated inflammation. In related embodiments, peptide inhibibitors of the present invention inhibit or reduce IL-23-mediated secretion of one or more cytokines, e.g., by binding to IL-23R on the cell surface, thus inhibiting IL-23 binding to the cell. In particular embodiments, peptide inhibitors of the present invention inhibit or reduce IL-23-mediated activation of Jak2, Tyk2, Stat1, Stat3, Stat4, or Stat5. Methods of determining inhibition of cytokine secretion and inhibition of signaling molecules are known in the art. For example, inhibiton of IL-23/IL-23R signaling may be determined by measuring inhibition of phospho-Stat3 levels in cell lysates, e.g., as described in PCT Publication No. WO 2016/011208.

[0099] In certain embodiments, peptide inhibitors have increased redox stability as compared to a control peptide. A variety of assays that may be used to determine redox stability are known and available in the art. Any of these may be used to determine the redox stability of peptide inhibitors of the present invention.

[00100] In certain embodiments, the present invention provides various peptide inhibitors that bind or associate with the IL-23R, *in vitro* or *in vivo*, to disrupt or block binding between IL-23 and IL-23R. In certain embodiments, the peptide inhibitors bind and/or inhibit human IL-23R. In certain embodiments, the peptide inhibitors bind and/or inhibit both human and rodent IL-23R. In certain embodiments, the peptide inhibitors bind and/or inhibit both human and rat IL-23R. In certain embodiments, the peptide inhibitors bind and/or inhibit human IL-23R, rat IL-23R, and cynomolgus monkey IL-23R. In particular embodiments, the peptide inhibitors inhibit rat IL-23R and/or cynomolgus monkey IL-23R at least 50%, at least 60%, at least 70%, at least 80%, at least 90%, or at least 95% as well as they bind or inhibit human IL-23R, e.g., as determined by an assay described herein. In certain embodiments, the peptide inhibitors preferentially bind and/or inhibit human IL-23R and/or rat IL-23R and/or cynomolgus monkey IL-23R as compared to mouse IL-23R. In particular embodiments, the peptide inhibitors preferentially bind to rat IL-23R as compared to mouse IL-23R. In particular embodiments, the peptide inhibitors preferentially bind to human IL-23R as compared to mouse IL-23R. In particular embodiments, the peptide inhibitors preferentially bind to cynomolgus monkey IL-23R as compared to mouse IL-23R. In certain embodiments, binding of a peptide inhibitor to mouse IL-23R is less than 75%, less than 50%, less than 40%, less than 30%, less than 20%, or less than 10% of binding of the same peptide inhibitor to human IL-23R and/or rat IL-23R and/or cynomolgus monkey IL-23R. In certain embodiments of peptide inhibitors that preferentially bind and/or inhibit human IL-23R and/or rat IL-23R and/or cynomolgus monkey IL-23R as compared to mouse IL-23R, the peptide inhibitor binds to a region of IL-23R that is disrupted by the presence of additional amino acids present in mouse IL-23R but not human IL-23R or rat IL-23 or cynomolgus monkey IL-23R. In certain embodiments, the additional amino acids present in the mouse IL-23R are in the region corresponding to about amino acid residue 315 to about amino acid residue 340 of the mouse IL23R protein, e.g., amino acid region NWQPWSSPFVHQTSQETGKR(SEQ ID NO:447). In particular embodiments, the peptide inhibitors bind to a region of human IL-23R from about amino acid 230 to about amino acid residue 370.

[00101] In certain embodiments, peptide inhibitors show GI-restricted localization following oral administration. In particular embodiments, greater than 50%, greater than 60%, greater than 70%, greater than 80%, or greater than 90% of orally administered peptide inhibitor is localized to gastrointestinal organs and tissues. In particular embodiments, blood plasma levels of orally administered peptide inhibitor are less than 20%, less than 10%, less than 5%, less than 2%, less

than 1% or less than 0.5% the levels of peptide inhibitor found in the small intestine mucosa, colon mucosa, or proximal colon.

[00102] The various peptide inhibitors of the invention may be constructed solely of natural amino acids. Alternatively, the peptide inhibitors may include non-natural amino acids including, but not limited to, modified amino acids. In certain embodiments, modified amino acids include natural amino acids that have been chemically modified to include a group, groups, or chemical moiety not naturally present on the amino acid. The peptide inhibitors of the invention may additionally include one or more D-amino acids. Still further, the peptide inhibitors of the invention may include amino acid analogs.

[00103] In certain embodiments, peptide inhibitors of the present invention include one or more modified or unnatural amino acids. In some embodiments of the present invention, a peptide inhibitor includes one or more non-natural amino acids shown in Table 1. In certain embodiments, peptide inhibitors of the present invention include any of those described herein, including but not limited to any of those comprising an amino acid sequence or peptide inhibitor structure shown in any one of the tables herein.

[00104] The present invention also includes any of the peptide inhibitors described herein in either a free or a salt form. Thus, embodiments of any of the peptide inhibitors described herein (and related methods of use thereof) include a pharmaceutically acceptable salt of the peptide inhibitor.

[00105] The present invention also includes variants of any of the peptide inhibitors described herein, including but not limited to any of those comprising a sequence shown in any one of the tables herein, wherein one or more L-amino acid residue is substituted with the D isomeric form of the amino acid residue, e.g., an L-Ala is substituted with a D-Ala.

[00106] Peptide inhibitors described herein include isotopically-labeled peptide inhibitors. In particular embodiments, the present disclosure provides peptide inhibitors identical to any of those having or recited in the various formulas and structures presented herein, but for the fact that one or more atoms are replaced by an atom having an atomic mass or mass number different from the atomic mass or mass number usually found in nature. Examples of isotopes that can be incorporated into the present compounds include isotopes of hydrogen, carbon, nitrogen, oxygen, fluorine and chlorine, such as ^{2}H, ^{3}H, ^{13}C, ^{14}C, ^{15}N, ^{18}O, ^{17}O, ^{35}S, ^{18}F, ^{36}Cl, respectively. Certain isotopically-labeled compounds described herein, for example those into which radioactive isotopes such as ^{3}H and ^{14}C are incorporated, are useful in drug and/or substrate tissue distribution assays. Furthermore, substitution with isotopes such as deuterium, i.e., ^{2}H, can afford certain

therapeutic advantages resulting from greater metabolic stability, for example increased in vivo half-life or reduced dosage requirements.

[00107] The present invention also includes any of the peptide monomer inhibitors described herein linked to a linker moiety, including any of the specific linker moieties described herein. In particular embodiments, a linker is attached to an N-terminal or C-terminal amino acid, while in other embodiments, a linker is attached to an internal amino acid. In particular embodiments, a linker is attached to two internal amino acids, e.g., an internal amino acid in each of two monomer subunits that form a dimer. In some embodiments of the present invention, a peptide inhibitor is attached to one or more linker moieties shown.

[00108] The present invention also includes peptides and peptide dimers comprising a peptide having at least 90%, at least 95%, at least 98%, or at least 99% sequence identity to the peptide sequence of a peptide inhibitor described herein. In particular embodiments, peptide inhibitors of the present invention comprise a core peptide sequence and one or more N-terminal and/or C-terminal modification (e.g., Ac and NH_2) and/or one or more conjugated linker moiety and/or half-life extension moiety. As used herein, the core peptide sequence is the amino acid sequence of the peptide absent such modifications and conjugates.

[00109] In certain embodiments, a peptide inhibitor or a monomer subunit of a peptide inhibitor of the present invention comprises, consists essentially of, or consists of 7 to 35 amino acid residues, 8 to 35 amino acid residues, 9 to 35 amino acid residues, 10 to 35 amino acid residues, 7 to 25 amino acid residues, 8 to 25 amino acid residues, 9 to 25 amino acid residues, 10 to 25 amino acid residues, 7 to 20 amino acid residues, 8 to 20 amino acid residues, 9 to 20 amino acid residues, 10 to 20 amino acid residues, 7 to 18 amino acid residues, 8 to 18 amino acid residues, 9 to 18 amino acid residues, or 10 to 18 amino acid residues, and, optionally, one or more additional non-amino acid moieties, such as a conjugated chemical moiety, e.g., a PEG or linker moiety. In particular embodiments, a peptide inhibitor of the present invention (or a monomer subunit thereof), including but not limited to those of any embodiments of Formula I, is greater than 10, greater than 12, greater than 15, greater than 20, greater than 25, greater than 30 or greater than 35 amino acids, e.g., 35 to 50 amino acids. In certain embodiments, a peptide inhibitor (or a monomer subunit thereof) is less than 50, less than 35, less than 30, less than 25, less than 20, less than 15, less than 12, or less than 10 amino acids. In particular embodiments, a monomer subunit of a peptide inhibitor (or a peptide monomer inhibitor) comprises or consists of 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, 19, 20, 21, 22, 23, 24, 25, 26, 27, 28, 29, 30, 31, 32, 33, 34, or 35 amino acid residues. In particular embodiments, a monomer subunit of a peptide inhibitor of the present invention

comprises or consists of 10 to 23 amino acid residues and, optionally, one or more additional non-amino acid moieties, such as a conjugated chemical moiety, e.g., a PEG or linker moiety. In various embodiments, the monomer subunit comprises or consists of 7 to 35 amino acid residues, 7 to 20 amino acid residues, 8 to 20 amino acid residues, 9 to 20 amino acid residues, 10 to 20 amino acid residues, 8 to 18 amino acid residues, 8 to 19 amino acid residues, 8 to 18 amino acid residues, 9 to 18 amino acid residues, or 10 to 18 amino acid residues. In particular embodiments of any of the various Formulas described herein.

[00110] Certain illustrative peptide inhibitors described herein comprise 12 or more amino acid residues. However, the present invention also includes peptide inhibitors comprising a fragment of any of the peptide sequences described herein, including peptide inhibitors having 7, 8, 9, 10, or 11 amino acid residues. For example, peptide inhibitors of the present invention include peptides comprising or consisting of X4-X9, X4-X10, X4-X11, X4-X12, X4-X13, X4-X14, or X4-X15.

[00111] In particular embodiments of the present invention, the amino acid sequences of the peptide inhibitors are not present within an antibody, or are not present within a V_H or V_L region of an antibody.

Peptide Inhibitors

[00112] Peptide inhibitors of the present invention include peptides comprising or consisting of any of the amino acid sequences described herein, compounds having any of the structures described herein, including compounds comprising any of the peptide sequences described herein, and dimers of any of such peptides and compounds. Illustrative peptides of the invention comprise an amino acid sequence or structure described in any of the accompanying tables.

[00113] In a first aspect, the present invention provides a monocyclic peptide inhibitor of an interleukin-23 receptor, or a pharmaceutically acceptable salt thereof, wherein the peptide inhibitor comprises an amino acid sequence of Formula (I):

X3-X4-X5-X6-X7-X8-X9-X10-X11-X12-X13-X14-X15-X16 (I)

wherein

X3 is absent or any amino acid;

X4 is Abu, Cys, (D)Cys, alpha-MeCys, (D)Pen, Pen, or Pen(sulfoxide);

X5 is Cit, Glu, Gly, substituted Gly, Leu, Ile, beta-Ala, Ala, Lys, Asn, Pro, Ser, alpha-MeGln, alpha-MeLys, alpha-MeLeu, alpha-MeAsn, Lys(Ac), alpha-MeLys(Ac), Dab(Ac), Dap(Ac), homo-Lys(Ac), Gln, or Asp;

X6 is Thr, Aib, Asp, Dab, Gly, Pro, Ser, alpha-MeGln, alpha-MeLys, alpha-MeLeu, alpha-MeAsn, alpha-MeThr, alpha-MeSer, or Val;

X7 is unsubstituted Trp, or Trp substituted with cyano, halo, alkyl, haloalkyl, hydroxy, alkoxy, substituted or unsubstituted aryl, or substituted or unsubstituted heteroaryl;

X8 is Gln, alpha-MeLys, alpha-MeLeu, alpha-MeLys(Ac), beta-homoGln, Cit, Glu, Phe, substituted Phe, Tyr, Asn, Thr, Val, Aib, alpha-MeGln, alpha-MeAsn, Lys(Ac), Dab(Ac), Dap(Ac), homo-Lys(Ac), 1-Nal, 2-Nal, Lys(b-Ala), Lys(Gly), Lys(Benzyl, Ac), Lys(butyl, Ac), Lys(isobutyl,Ac), Lys(propyl,Ac), or Trp;

X9 is Abu, Cys, (D)Cys, alpha-MeCys, (D)Pen, Pen, or Pen(sulfoxide);

X10 is Tyr, or substituted Tyr, unsubstituted Phe, or Phe substituted with halo, alkyl, haloalkyl, hydroxy, alkoxy, cyano, cycloalkyl, carboxy, carboxamido, 2-aminoethoxy, or 2-acetylaminoethoxy; and

X11 is 2-Nal, Phe(2-Me), Phe(3-Me), Phe(4-Me), Phe(3,4-dimethoxy), 2Quin, 3Quin, 1-Nal, unsubstituted Trp, or Trp substituted with cyano, halo, alkyl, haloalkyl, hydroxy, or alkoxy;

X12 is 4-amino-4-carboxy-tetrahydropyran (THP), Acvc, alpha-MeLys, alpha-MeLeu, alpha-MeArg, alpha-MePhe, alpha-MeLeu, alpha-MeLys, alpha-MeAsn, alpha-MeTyr, Ala, cyclohexylAla, Lys, or Aib;

X13 is any amino acid;

X14 is any amino acid;

and

i) X15 is any amino acid other than His, (D)His, substituted or unsubstituted His, 2Pal, 3Pal, or 4Pal; X16 is Sarc, aMeLeu, (D)NMeTyr, His, (D)Thr, bAla, Pro, or (D)Pro; and the peptide inhibitor is other than

Ac-[Abu]-QTWQC]-[Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-NNPG-NH_2;

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMeLeu]-[Lys(Ac)]-NN-[Sarc]-NH_2;

Ac-[(D)Arg]-[Abu]-Q-T-[W(7-Me)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH_2; or

Ac-[Pen]-N-T-[W(7-Me)]-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[Aib]-[bA]-NH_2;

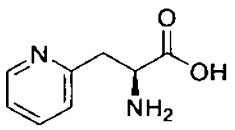
or

ii) X15 is His, (D)His, substituted or unsubstituted His, 2Pal, 3Pal, 4Pal, 4TriazolAla, or 5Pyal; and X16 is absent, (D)aMeTyr, (D)NMeTyr or any amino acid other than THP, substituted or unsubstituted Phe, substituted or unsubstituted (D)Phe, substituted or unsubstituted His,

substituted or unsubstituted (D)His, substituted or unsubstituted Trp, substituted or unsubstituted 2-Nal, or N-substituted Asp; and the compound is other than

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-NH_2;

wherein 2Pal is 2-pyridyl substituted alanine, and 3Pal is 3-pyridyl substituted alanine, and 4Pal is 4-pyridyl substituted alanine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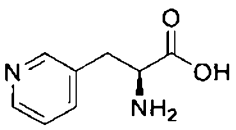
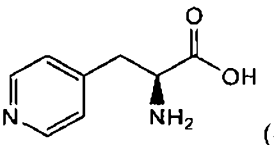
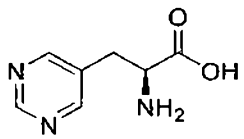

(3Pal), or

(4Pal); and

5Pyal is 5-pyrimidine substituted alanine:


;and

wherein X4 and X9 form a disulfide bond or a thioether bond;

and

wherein the peptide inhibitor inhibits the binding of an interleukin-23 (IL-23) to an IL-23 receptor.

[00114] In certain embodiments, the present invention provides a monocyclic peptide inhibitor of an interleukin-23 receptor, or a pharmaceutically acceptable salt or solvate thereof, wherein the peptide inhibitor comprises or consists of an amino acid sequence of Formula (I):

X3-X4-X5-X6-X7-X8-X9-X10-X11-X12-X13-X14-X15-X16 (I)

wherein

X3 is absent or any amino acid;

X4 is Abu, Cys, (D)Cys, alpha-MeCys, (D)Pen, Pen, or Pen(sulfoxide);

X5 is Cit, Glu, Gly, Leu, Ile, beta-Ala, Ala, Lys, Asn, Pro, Ser, alpha-MeGln, alpha-MeLys, alpha-MeLeu, alpha-MeAsn, Lys(Ac), alpha-MeLys(Ac), Dab(Ac), Dap(Ac), homo-Lys(Ac), Gln, or Asp;

X6 is Thr, Aib, Asp, Dab, Gly, Pro, Ser, alpha-MeGln, alpha-MeLys, alpha-MeLeu, alpha-MeAsn, alpha-MeThr, alpha-MeSer, or Val;

X7 is unsubstituted Trp, or Trp substituted with cyano, halo, alkyl, haloalkyl, hydroxy, alkoxy, substituted or unsubstituted aryl, or substituted or unsubstituted heteroaryl;

X8 is Gln, alpha-MeLys, alpha-MeLeu, alpha-MeLys(Ac), beta-homoGln, Cit, Glu, Phe, Asn, Thr, Val, Aib, alpha-MeGln, alpha-MeAsn, Lys(Ac), Dab(Ac), Dap(Ac), homo-Lys(Ac), 1-Nal, 2-Nal, Lys(b-Ala), Lys(Gly), or Trp;

X9 is Abu, Cys, (D)Cys, alpha-MeCys, (D)Pen, Pen, or Pen(sulfoxide);

X10 is unsubstituted Phe, or Phe substituted with halo, alkyl, haloalkyl, hydroxy, alkoxy, carboxy, carboxamido, 2-aminoethoxy, or 2-acetylaminoethoxy; and

X11 is 2-Nal, Phe(2-Me), Phe(3-Me), Phe(4-Me), Phe(3,4-dimethoxy), 2Quin, 3Quin, 1-Nal, unsubstituted Trp, or Trp substituted with cyano, halo, alkyl, haloalkyl, hydroxy, or alkoxy;

X12 is 4-amino-4-carboxy-tetrahydropyran (THP), alpha-MeLys, alpha-MeLeu, alpha-MeArg, alpha-MePhe, alpha-MeLeu, alpha-MeLys, alpha-MeAsn, alpha-MeTyr, Ala, cyclohexylAla, Lys, or Aib;

X13 is any amino acid;

X14 is any amino acid;

and

i) X15 is any amino acid other than His, (D)His, substituted or unsubstituted His, 2Pal, 3Pal, or 4Pal; X16 is Sarc, aMeLeu, (D)NMeTyr, His, (D)Thr, bAla, Pro, or (D)Pro; and the peptide inhibitor is other than

Ac-[Abu]-QTWQC]-[Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-NNPG-NH_2;

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMeLeu]-[Lys(Ac)]-NN-[Sarc]-NH_2;

Ac-[(D)Arg]-[Abu]-Q-T-[W(7-Me)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH_2; or

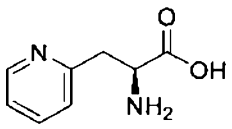
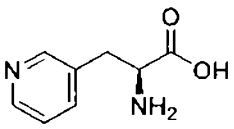
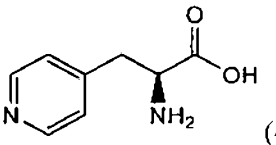
Ac-[Pen]-N-T-[W(7-Me)]-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[Aib]-[bA]-NH_2;

or

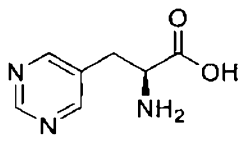
ii) X15 is His, (D)His, substituted or unsubstituted His, 2Pal, 3Pal, 4Pal, or 5Pyal; and X16 is absent, (D)aMeTyr, (D)NMeTyr or any amino acid other than THP, substituted or unsubstituted Phe, substituted or unsubstituted (D)Phe, substituted or unsubstituted His, substituted or unsubstituted (D)His, substituted or unsubstituted Trp, substituted or unsubstituted 2-Nal, or N-substituted Asp; and the compound is other than

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-NH_2;

wherein 2Pal is 2-pyridyl substituted alanine, and 3Pal is 3-pyridyl substituted alanine, and 4Pal is 4-pyridyl substituted alanine

 (2Pal),  (3Pal), or  (4Pal); and

5Pyal is 5-pyrimidine substituted alanine:

 ;and

wherein X4 and X9 form a disulfide bond or a thioether bond;

and

wherein the peptide inhibitor inhibits the binding of an interleukin-23 (IL-23) to an IL-23 receptor.

[00115] In certain embodiments, the present invention provides a monocyclic peptide inhibitor of an interleukin-23 receptor, or a pharmaceutically acceptable salt or solvate thereof, wherein the peptide inhibitor comprises or consists of an amino acid sequence of Formula (I):

X3-X4-X5-X6-X7-X8-X9-X10-X11-X12-X13-X14-X15-X16 (I)

wherein

X3 is absent or any amino acid;

X4 is Abu, Cys, (D)Cys, alpha-MeCys, (D)Pen, Pen, or Pen(sulfoxide);

X5 is any amino acid;

X6 is any amino acid;

X7 is unsubstituted Trp, or Trp substituted with cyano, halo, alkyl, haloalkyl, hydroxy, alkoxy, substituted or unsubstituted aryl, or substituted or unsubstituted heteroaryl;

X8 is any amino acid;

X9 is Abu, Cys, (D)Cys, alpha-MeCys, (D)Pen, Pen or Pen(sulfoxide);

X10 is unsubstituted Phe, or Phe substituted with halo, alkyl, haloalkyl, hydroxy, alkoxy, carboxy, carboxamido, 2-aminoethoxy, or 2-acetylaminoethoxy; and

X11 is 2-Nal, Phe(2-Me), Phe(3-Me), Phe(4-Me), Phe(3,4-dimethoxy), 2Quin, 3Quin, 1-Nal, unsubstituted Trp, or Trp substituted with cyano, halo, alkyl, haloalkyl, hydroxy, or alkoxy;

X12 is any amino acid;

each X13, and X14 is independently any amino acid;

and

i) X15 is any amino acid other than His, (D)His, or substituted or unsubstituted His, 2Pal, 3Pal, or 4Pal; X16 is Sarc, aMeLeu, (D)NMeTyr, His, (D)Thr, bAla, Pro, or (D)Pro; and the compound is other than

Ac-[Abu]-QTWQC]-[Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-NNPG-NH_2;

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMeLeu]-[Lys(Ac)]-NN-[Sarc]-NH_2;

Ac-[(D)Arg]-[Abu]-Q-T-[W(7-Me)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH_2; or

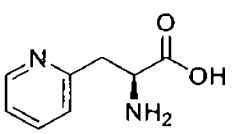
Ac-[Pen]-N-T-[W(7-Me)]-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[Aib]-[bA]-NH_2;

or

ii) X15 is His, (D)His, or substituted or unsubstituted His, 2Pal, 3Pal, 4Pal, or 5Pyal; and X16 is absent, (D)aMeTyr, (D)NMeTyr or any amino acid other than THP, substituted or unsubstituted Phe, substituted or unsubstituted (D)Phe, substituted or unsubstituted His, substituted or unsubstituted (D)His, substituted or unsubstituted Trp, substituted or unsubstituted 2-Nal, or N-substituted Asp; and the peptide inhibitor is other than

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-NH_2;

wherein 2Pal is 2-pyridyl substituted alanine, and 3Pal is 3-pyridyl substituted alanine, and 4Pal is 4-pyridyl substituted alanine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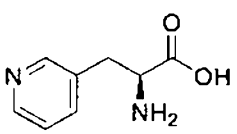
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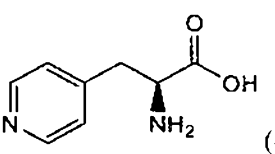
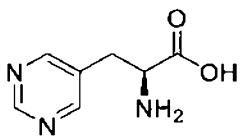

(4Pal); and

5Pyal is 5-pyrimidine substituted alanine:


;

and

wherein X4 and X9 form a disulfide bond, or a thioether bond;

and

wherein the peptide inhibitor inhibits the binding of an interleukin-23 (IL-23) to an IL-23 receptor.

[00116] In certain embodiments, X15 is any amino acid other than His, (D)His, or substituted or unsubstituted His, 2Pal, 3Pal, or 4Pal; X16 is Sarc, aMeLeu, (D)NMeTyr, His, (D)Thr, bAla, Pro, or (D)Pro; and the peptide inhibitor is other than

Ac-[Abu]-QTWQC]-[Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-NNPG-NH_2;

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMeLeu]-[Lys(Ac)]-NN-[Sarc]-NH_2;

Ac-[(D)Arg]-[Abu]-Q-T-[W(7-Me)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH_2; or

Ac-[Pen]-N-T-[W(7-Me)]-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[Aib]-[bA]-NH_2.

[00117] In certain embodiments, X15 is His, (D)His, or substituted or unsubstituted His, 2Pal, 3Pal, 4Pal, or 5Pyal; and X16 is absent, (D)aMeTyr, (D)NMeTyr or any amino acid other than THP, substituted or unsubstituted Phe, substituted or unsubstituted (D)Phe, substituted or unsubstituted His, substituted or unsubstituted (D)His, substituted or unsubstituted Trp, substituted or unsubstituted 2-Nal, or N-substituted Asp.

[00118] In certain embodiments, X15 is 2Pal, 3Pal, or 4Pal; and X16 is Sarc, aMeLeu, (D)Thr, bAla, Pro, or (D)Pro. In certain embodiments, X15 is 2Pal, 3Pal, or 4Pal; and X16 is Sarc. In certain embodiments, X15 is 2Pal, 3Pal, or 4Pal; and X16 is absent.

[00119] In certain embodiments, the peptide inhibitor comprises an amino acid sequence of Formula (Ia):

X3-X4-X5-X6-X7-X8-X9-X10-X11-X12-X13-X14-X15-Sarc (Ia)

wherein X4 and X9 form a disulfide bond or a thioether bond.

[00120] In certain embodiments, the peptide inhibitor comprises an amino acid sequence of Formula (Ib)

X3-X4-X5-X6-X7-X8-X9-X10-X11-X12-X13-X14-X15-(D)NMeTyr (Ib)

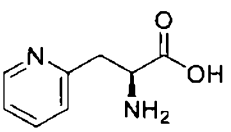
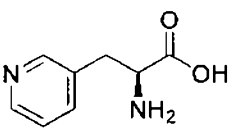
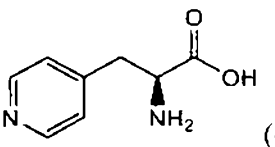
wherein X4 and X9 form a disulfide bond or a thioether bond.

[00121] In certain embodiments, the peptide inhibitor comprises an amino acid sequence of Formula (Ic):

X3-X4-X5-X6-X7-X8-X9-X10-X11-X12-X13-X14-[Pal]-X16 (Ic)

wherein Pal is 2Pal, 3Pal, or 4Pal; X16 is absent;

wherein 2Pal is 2-pyridyl substituted alanine, and 3Pal is 3-pyridyl substituted alanine, and 4Pal is 4-pyridyl substituted alanine

 (2Pal),  (3Pal), or  (4Pal);

and X4 and X9 form a disulfide bond or a thioether bond.

[00122] In certain embodiments, the peptide inhibitor comprises an amino acid sequence of Formula (Id):

X3-X4-X5-X6-X7-X8-X9-X10-X11-X12-X13-X14-[His']-X16 (Id)

wherein His' is His or 3-MeHis; X16 is absent; and X4 and X9 form a disulfide bond or a thioether bond.

[00123] In certain embodiments, X15 is any amino acid; X16 is bA, aMe(D)Tyr, (D)NMeTyr, Sarc, Pro, or (D)Pro; and the peptide inhibitor is other than

Ac-[Abu]-QTWQC]-[Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-NNPG-NH_2;

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMeLeu]-[Lys(Ac)]-NN-[Sarc]-NH_2;

Ac-[(D)Arg]-[Abu]-Q-T-[W(7-Me)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH_2; or

Ac-[Pen]-N-T-[W(7-Me)]-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[Aib]-[bA]-NH_2.

[00124] In certain embodiments, X15 is any amino acid; X16 is bA, aMe(D)Tyr, (D)NMeTyr, Sarc, Pro, or (D)Pro, and the peptide inhibitor is other than

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMeLeu]-[Lys(Ac)]-NN-[Sarc]-NH_2; or

Ac-[(D)Arg]-[Abu]-Q-T-[W(7-Me)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH_2.

[00125] In certain embodiments, X15 is 3Quin, His, (D)His, 3-Pal, or 4-Pal; and X16 is (D)NMeTyr or Sarc. In certain embodiments, X15 is 3Quin, His, (D)His, 3-Pal, or 4-Pal; and X16 is (D)NMeTyr. In certain embodiments, X15 is 3Quin, His, (D)His, 3-Pal, or 4-Pal; and X16 is Sarc.

[00126] In certain embodiments, X15 is any amino acid; X16 is (D)NMeTyr, or Sarc; and the peptide inhibitor is other than

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMeLeu]-[Lys(Ac)]-NN-[Sarc]-NH_2; or

Ac-[(D)Arg]-[Abu]-Q-T-[W(7-Me)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH_2.

[00127] In certain embodiments, X15 is 3Quin, Asn, His, (D)His, (D)Leu, (D)Lys, 3-Pal, 4-Pal, Phe, substituted Phe, (D)Thr, substituted Trp or (D)Val; X16 is (D)NMeTyr, or Sarc; and the peptide inhibitor is other than

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMeLeu]-[Lys(Ac)]-NN-[Sarc]-NH_2; or

Ac-[(D)Arg]-[Abu]-Q-T-[W(7-Me)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH_2.

[00128] In certain embodiments, X16 is (D)NMeTyr.

[00129] In certain embodiments, X16 is Sarc; and the peptide inhibitor is other than

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMeLeu]-[Lys(Ac)]-NN-[Sarc]-NH_2;

Ac-[(D)Arg]-[Abu]-Q-T-[W(7-Me)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH_2;

[00130] In certain embodiments, X15 is His, (D)Lys, 3-Pal, or 4-Pal; X16 is (D)NMeTyr, or Sarc; and the peptide inhibitor is other than

Ac-[(D)Arg]-[Abu]-Q-T-[W(7-Me)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH_2.

[00131] In certain embodiments, X15 is Asn, His, (D), His, (D)Leu, (D)Lys, 3-Pal, substituted or unsubstituted Phe, (D)Thr, or (D)Val; X16 is (D)NMeTyr.

[00132] In certain embodiments, X15 is 3Quin, Asn, His, (D)His, (D)Leu, (D)Lys, 3-Pal, 4-Pal, or substituted Trp; X16 is Sarc; and the peptide inhibitor is other than

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMeLeu]-[Lys(Ac)]-NN-[Sarc]-NH_2; or

Ac-[(D)Arg]-[Abu]-Q-T-[W(7-Me)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH_2.

[00133] In certain embodiments, X15 is (3-Me)His, 3-Pal, or 4-Pal; and X16 is absent, Sarc or (D)NMeTyr. In certain embodiments, X15 is (3-Me)His or 3-Pal; and X16 is absent or Sarc.

[00134] In certain embodiments, X15 is 3-Pal; and X16 is Sarc.

[00135] In certain embodiments, X15 is Asn, His, (D)Lys, or 3-Pal; X16 is (D)NMeTyr, or Sarc; and the peptide inhibitor is other than

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMeLeu]-[Lys(Ac)]-NN-[Sarc]-NH_2; or

Ac-[(D)Arg]-[Abu]-Q-T-[W(7-Me)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH_2.

[00136] In certain embodiments, X15 is 5-Pyal, (D)His, (1-Me)His, (3-Me)His, 2-Pal or 3-Pal; and X16 is absent; and the peptide inhibitor is other than:

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-NH_2.

[00137] In certain embodiments, X15 is 5-Pyal, (1-Me)His, or (3-Me)His; and X16 is absent.

[00138] In certain embodiments, X15 is 5-Pyal or (3-Me)His; and X16 is absent.

[00139] In certain embodiments, X4 or X9 is Cys, (D)Cys, alpha-MeCys, (D)Pen, or Pen; and the bond between X4 and X9 is a disulfide bond.

[00140] In certain embodiments, X4 is Cys, (D)Cys, or alpha-MeCys.

[00141] In certain embodiments, X4 is (D)Pen, Pen, or Pen(sulfoxide).

[00142] In certain embodiments, X4 is Pen. In certain embodiments, X4 is Abu.

[00143] In certain embodiments, X9 is Cys, (D)Cys, or alpha-MeCys.

[00144] In certain embodiments, X9 is Pen or (D)Pen.

[00145] In certain embodiments, X9 is Pen.

[00146] In certain embodiments, X4 is Pen and X9 is Pen, and the bond is a disulfide bond.

[00147] In certain embodiments, X4 is Pen and X9 is Cys, and the bond is a disulfide bond.

[00148] In certain embodiments, X4 or X9 is Abu; and the bond between X4 and X9 is a thioether bond.

[00149] In certain embodiments, X4 is Abu, and X9 is Cys, (D)Cys, or alpha-MeCys. In certain embodiments, X9 is Pen or (D)Pen. In a particular embodiment, X9 is Pen. In a more particular embodiment, X9 is Cys. In a most particular embodiment, X4 is Abu, and X9 is Cys.

[00150] In certain embodiments, X4 is Abu and X9 is Cys or Pen, and the bond is a thioether bond.

[00151] In certain embodiments, X4 is Abu and X9 is Cys, and the bond is a thioether bond.

[00152] In certain embodiments, the peptide inhibitor comprises an amino acid sequence of Formula (IIa), (IIb), or (IIc):

Pen-X5-X6-X7-X8-Pen-X10-X11-X12-X13-X14-X15-X16 (IIa),

Abu-X5-X6-X7-X8-Cys-X10-X11-X12-X13-X14-X15-X16 (IIb), or

Abu-X5-X6-X7-X8-Pen-X10-X11-X12-X13-X14-X15-X16 (IIc),

wherein X5-X8 and X10-X14 are as described for Formula (I); X15 is His, (D)His, or substituted or unsubstituted His, 2Pal, 3Pal, or 4Pal, and X16 is any amino acid; or X15 is any amino acid and X16 is Sarc; and the peptide inhibitor is cyclized via a Pen-Pen disulfide bond; or the peptide inhibitor is cyclized via a Abu-Cys or Abu-Pen thioether bond.

[00153] In certain embodiments, X15 is 2Pal, 3Pal, or 4Pal, and X16 is any amino acid.

[00154] In certain embodiments, X15 is any amino acid and X16 is Sarc.

[00155] In certain embodiments, X15 is any amino acid and X16 is (D)NMeTyr.

[00156] In certain embodiments, X15 is His or 3MeHis; and X16 is any amino acid.

[00157] In certain embodiments, X5 is Asn, Ser, Gln, or Glu.

[00158] In certain embodiments, X5 is Asn, or Gln.

[00159] In certain embodiments, X5 is Asn. In certain embodiments, X5 is Ser.

[00160] In certain embodiments, the peptide inhibitor comprises an amino acid sequence of Formula (IIIa), (IIIb), (IIIc), or (IIId):

Pen- Asn-X6-X7-X8-Pen-X10-X11-X12-X13-X14-[Pal]-X16 (IIIa),

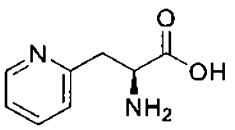
Pen-Gln-X6-X7-X8-Pen-X10-X11-X12-X13-X14-[Pal]-X16 (IIIb),

Abu- Asn-X6-X7-X8-Cys-X10-X11-X12-X13-X14-[Pal]-X16 (IIIc), or

Abu-Gln-X6-X7-X8-Pen-X10-X11-X12-X13-X14-[Pal]-X16 (IIId),

wherein X6-X8 and X10-X14 are as described for Formula (I); Pal is 2Pal, 3Pal, or 4Pal; and X16 is any amino acid;

wherein 2Pal is 2-pyridyl substituted alanine, and 3Pal is 3-pyridyl substituted alanine, and 4Pal is 4-pyridyl substituted alanine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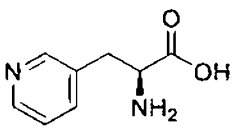
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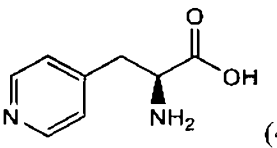

(4Pal);

and the peptide inhibitor is cyclized via a Pen-Pen disulfide bond; or a Abu-Cys or Abu-Pen thioether bond.

[00161] In certain embodiments, the peptide inhibitor comprises an amino acid sequence of Formula (IVa), (IVb), (IVc), or (IVd), (IVe), (IVf), (IVg), or (IVh):

Pen- Asn-X6-X7-X8-Pen-X10-X11-X12-X13-X14-X15-Sarc (IVa),

Pen-Gln-X6-X7-X8-Pen-X10-X11-X12-X13-X14-X15-Sarc (IVb),

Abu- Asn-X6-X7-X8-Cys-X10-X11-X12-X13-X14-X15-Sarc (IVc),

Abu-Gln-X6-X7-X8-Pen-X10-X11-X12-X13-X14-X15-Sarc (IVd),

Pen- Asn-X6-X7-X8-Pen-X10-X11-X12-X13-X14-X15-(D)NMeTyr (IVe),

Pen-Gln-X6-X7-X8-Pen-X10-X11-X12-X13-X14-X15-(D)NMeTyr (IVf),

Abu- Asn-X6-X7-X8-Cys-X10-X11-X12-X13-X14-X15-(D)NMeTyr (IVg), or

Abu-Gln-X6-X7-X8-Pen-X10-X11-X12-X13-X14-X15-(D)NMeTyr (IVh),

wherein X6-X8 and X10-X14 are as described for Formula (I); X15 is any amino acid; and the peptide inhibitor is cyclized via a Pen-Pen disulfide bond; or a Abu-Cys or Abu-Pen thioether bond.

[00162] In certain embodiments, X6 is Thr.

[00163] In certain embodiments, the peptide inhibitor comprises an amino acid sequence of Formula (Va), (Vb), (Vc), or (Vd):

Pen- Asn-Thr-X7-X8-Pen-X10-X11-X12-X13-X14-[Pal]-X16 (Va),

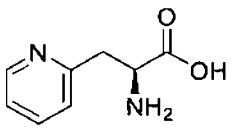
Pen-Gln-Thr-X7-X8-Pen-X10-X11-X12-X13-X14-[Pal]-X16 (Vb),

Abu- Asn-Thr-X7-X8-Cys-X10-X11-X12-X13-X14-[Pal]-X16 (Vc), or

Abu-Gln-Thr-X7-X8-Pen-X10-X11-X12-X13-X14-[Pal]-X16 (Vd),

wherein X7-X8 and X10-X14 are as described for Formula (I); Pal is 2Pal, 3Pal, or 4Pal; and X16 is any amino acid;

wherein 2Pal is 2-pyridyl substituted alanine, and 3Pal is 3-pyridyl substituted alanine, and 4Pal is 4-pyridyl substituted alanine



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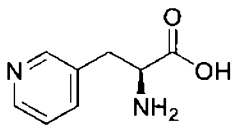

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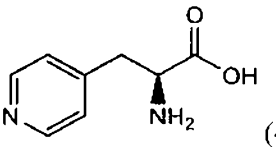


(4Pal);

and the peptide inhibitor is cyclized via a Pen-Pen disulfide bond; or a Abu-Cys or Abu-Pen thioether bond.

[00164] In certain embodiments, the peptide inhibitor comprises an amino acid sequence of Formula (VIe), (VIf), (VIg), or (VIh):

Pen- Asn-Thr-X7-X8-Pen-X10-X11-X12-X13-X14-X15-Sarc (VIa),

Pen-Gln-Thr-X7-X8-Pen-X10-X11-X12-X13-X14-X15-Sarc (VIb),

Abu- Asn-Thr-X7-X8-Cys-X10-X11-X12-X13-X14-X15-Sarc (VIc),

Abu-Gln-Thr-X7-X8-Pen-X10-X11-X12-X13-X14-X15-Sarc (VId),

Pen- Asn-Thr-X7-X8-Pen-X10-X11-X12-X13-X14-X15-(D)NMeTyr (VIe),

Pen-Gln-Thr-X7-X8-Pen-X10-X11-X12-X13-X14-X15-(D)NMeTyr (VIf),

Abu- Asn-Thr-X7-X8-Cys-X10-X11-X12-X13-X14-X15-(D)NMeTyr (VIg), or

Abu-Gln-Thr-X7-X8-Pen-X10-X11-X12-X13-X14-X15-(D)NMeTyr (VIh),

wherein X7-X8 and X10-X14 are as described for Formula (I); X15 is any amino acid; and the peptide inhibitor is cyclized via a Pen-Pen disulfide bond; or a Abu-Cys or Abu-Pen thioether bond.

[00165] In certain embodiments, X8 is Gln, alpha-Me-Lys, alpha-MeLys(Ac), Lys(Ac), or Glu.

[00166] In certain embodiments, X8 is Gln. In certain embodiments, X8 is Lys(Ac).

[00167] In certain embodiments, the peptide inhibitor comprises an amino acid sequence of Formula (VIIa), (VIIb), (VIIc), or (VIId):

Pen- Asn-Thr-X7-Gln-Pen-X10-X11-X12-X13-X14-[Pal]-X16 (VIIa),

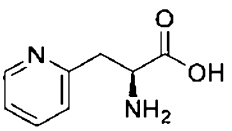
Pen-Gln-Thr-X7-Gln-Pen-X10-X11-X12-X13-X14-[Pal]-X16 (VIIb),

Abu- Asn-Thr-X7-Gln-Cys-X10-X11-X12-X13-X14-[Pal]-X16 (VIIc) (SEQ ID NO:448), or

Abu-Gln-Thr-X7-Gln-Pen-X10-X11-X12-X13-X14-[Pal]-X16 (VIId),

wherein X7 and X10-X14 are as described for Formula (I); Pal is 2Pal, 3Pal, or 4Pal; and X16 is any amino acid;

wherein 2Pal is 2-pyridyl substituted alanine, and 3Pal is 3-pyridyl substituted alanine, and 4Pal is 4-pyridyl substituted alanine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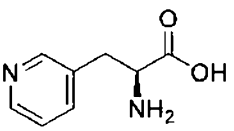
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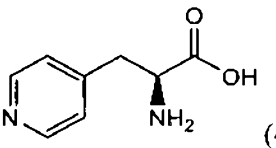

(4Pal);

and the peptide inhibitor is cyclized via a Pen-Pen disulfide bond; or a Abu-Cys or Abu-Pen thioether bond.

[00168] In certain embodiments, the peptide inhibitor comprises an amino acid sequence of Formula (VIIIa), (VIIIb), (VIIIc), (VIIId), (VIIIe), (VIIIf), (VIIIg), or (VIIIh):

Pen-Asn-Thr-X7-Gln-Pen-X10-X11-X12-X13-X14-X15-Sarc (VIIIa),

Pen-Gln-Thr-X7-Gln-Pen-X10-X11-X12-X13-X14-X15-Sarc (VIIIb),

Abu-Asn-Thr-X7-Gln-Cys-X10-X11-X12-X13-X14-X15-Sarc (VIIIc) (SEQ ID NO:449),

Abu-Gln-Thr-X7-Gln-Pen-X10-X11-X12-X13-X14-X15-Sarc (VIIId),

Pen-Asn-Thr-X7-Gln-Pen-X10-X11-X12-X13-X14-X15-(D)NMeTyr (VIIIe) (SEQ ID NO:450),

Pen-Gln-Thr-X7-Gln-Pen-X10-X11-X12-X13-X14-X15-(D)NMeTyr (VIIIf) (SEQ ID NO:451),

Abu-Asn-Thr-X7-Gln-Cys-X10-X11-X12-X13-X14-X15-(D)NMeTyr (VIIIg) (SEQ ID NO:452), or

Abu-Gln-Thr-X7-Gln-Pen-X10-X11-X12-X13-X14-X15-(D)NMeTyr (VIIIh) (SEQ ID NO:453),

wherein X7 and X10-X14 are as described for Formula (I); X15 is any amino acid; and the peptide inhibitor is cyclized via a Pen-Pen disulfide bond; or a Abu-Cys or Abu-Pen thioether bond.

[00169] In certain embodiments, X10 is Phe, Phe[4-(2-aminoethoxy)], Phe[4-(2-acetylaminoethoxy)], or Phe(4-$CONH_2$).

[00170] In certain embodiments, X10 is Phe[4-(2-aminoethoxy)], or Phe[4-(2-acetylaminoethoxy)]. In certain embodiments, X10 is Phe[4-(2-aminoethoxy)].

[00171] In certain embodiments, the peptide inhibitor comprises an amino acid sequence of Formula (IXa), (IXb), (IXc), or (IXd):

Pen- Asn-Thr-X7-Gln-Pen-[F(4-2ae)]-X11-X12-X13-X14-[Pal]-X16 (IXa) (SEQ ID NO:454),

Pen-Gln-Thr-X7-Gln-Pen-[F(4-2ae)]-X11-X12-X13-X14-[Pal]-X16 (IXb) (SEQ ID NO:455),

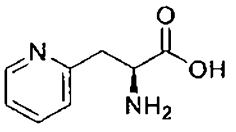
Abu- Asn-Thr-X7-Gln-Cys-[F(4-2ae)]-X11-X12-X13-X14-[Pal]-X16 (IXc) (SEQ ID NO:456),

or

Abu-Gln-Thr-X7-Gln-Pen-[F(4-2ae)]-X11-X12-X13-X14-[Pal]-X16 (IXd) (SEQ ID NO:457),

wherein X7, and X11-X14 are as described for Formula (I); F(4-2-ae) is Phe[4-(2-aminoethoxy)]; Pal is 2Pal, 3Pal, or 4Pal; and X16 is any amino acid;

wherein 2Pal is 2-pyridyl substituted alanine, and 3Pal is 3-pyridyl substituted alanine, and 4Pal is 4-pyridyl substituted alanine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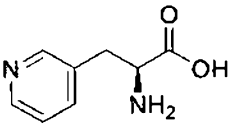
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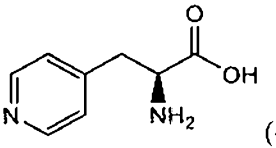

(4Pal);

and the peptide inhibitor is cyclized via a Pen-Pen disulfide bond; or a Abu-Cys or Abu-Pen thioether bond.

[00172] In certain embodiments, the peptide inhibitor comprises an amino acid sequence of Formula (Xa), (Xb), (Xc), (Xd), (Xe), (Xf), (Xg), or (Xh):

Pen- Asn-Thr-X7-Gln-Pen-[F(4-2ae)]-X11-X12-X13-X14-X15-Sarc (Xa) (SEQ ID NO:458),

Pen-Gln-Thr-X7-Gln-Pen-[F(4-2ae)]-X11-X12-X13-X14-X15-Sarc (Xb) (SEQ ID NO:459),

Abu- Asn-Thr-X7-Gln-Cys-[F(4-2ae)]-X11-X12-X13-X14-X15-Sarc (Xc) (SEQ ID NO:460),

Abu-Gln-Thr-X7-Gln-Pen-[F(4-2ae)]-X11-X12-X13-X14-X15-Sarc (Xd) (SEQ ID NO:461),

Pen- Asn-Thr-X7-Gln-Pen-[F(4-2ae)]-X11-X12-X13-X14-X15-(D)NMeTyr (Xe) (SEQ ID NO:462),

Pen-Gln-Thr-X7-Gln-Pen-[F(4-2ae)]-X11-X12-X13-X14-X15-(D)NMeTyr (Xf) (SEQ ID NO:463),

Abu- Asn-Thr-X7-Gln-Cys-[F(4-2ae)]-X11-X12-X13-X14-X15-(D)NMeTyr (Xg) (SEQ ID NO:464), or

Abu-Gln-Thr-X7-Gln-Pen-[F(4-2ae)]-X11-X12-X13-X14-X15-(D)NMeTyr (Xh) (SEQ ID NO:465),

wherein X7, and X11-X14 are as described for Formula (I); F(4-2-ae) is Phe[4-(2-aminoethoxy)]; X15 is any amino acid; and the peptide inhibitor is cyclized via a Pen-Pen disulfide bond; or a Abu-Cys or Abu-Pen thioether bond.

[00173] In certain embodiments, X12 is 4-amino-4-carboxy-tetrahydropyran (THP), alpha-MeLys, alpha-MeLeu, Ala, cyclohexylAla, Lys, or Aib.

[00174] In certain embodiments, X12 is 4-amino-4-carboxy-tetrahydropyran (THP), alpha-MeLys, or alpha-MeLeu.

[00175] In certain embodiments, X12 is alpha-MeLeu. In certain embodiments, X12 is THP.

[00176] In certain embodiments, X13 is Aib, Glu, Cit, Gln, Lys(Ac), alpha-MeArg, alpha-MeGlu, alpha-MeLeu, alpha-MeLys, alpha-Me-Asn, alpha-MeLys(Ac), Dab(Ac), Dap(Ac), homo-Lys(Ac), Lys, pegylated Lys, b-homoGlu, or Lys(Y2-Ac); wherein Y2 is an amino acid. In certain embodiments, X13 is Aib, Glu, Cit, Gln, Lys(Ac), alpha-MeArg, alpha-MeGlu, alpha-MeLys, alpha-Me-Asn, alpha-MeLys(Ac), Dab(Ac), Dap(Ac), homo-Lys(Ac), Lys, or b-homoGlu.

[00177] In certain embodiments, X13 is Glu, Gln, Lys(Ac), or Lys.

[00178] In certain embodiments, X13 is Lys(Ac), or Lys.

[00179] In certain embodiments, X13 is Lys(Ac). In certain embodiments, X13 is Glu.

[00180] In certain embodiments, the peptide inhibitor comprises an amino acid sequence of Formula (XIa), (XIb), (XIc), or (XId):

Pen- Asn-Thr-X7-Gln-Pen-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-X14-[Pal]-X16 (XIa) (SEQ ID NO:466),

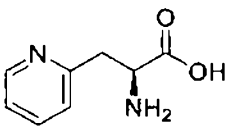
Pen-Gln-Thr-X7-Gln-Pen-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-X14-[Pal]-X16 (XIb) (SEQ ID NO:467),

Abu- Asn-Thr-X7-Gln-Cys-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-X14-[Pal]-X16 (XIc) (SEQ ID NO:468), or

Abu-Gln-Thr-X7-Gln-Pen-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-X14-[Pal]-X16 (XId) (SEQ ID NO:469),

wherein X7, X11, and X14, and X14 are as described for Formula (I); F(4-2-ae) is Phe[4-(2-aminoethoxy)]; Pal is 2Pal, 3Pal, or 4Pal; and X16 is any amino acid;

wherein 2Pal is 2-pyridyl substituted alanine, and 3Pal is 3-pyridyl substituted alanine, and 4Pal is 4-pyridyl substituted alanine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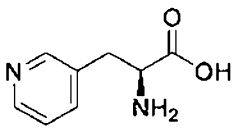
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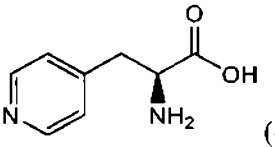

(4Pal);

and the peptide inhibitor is cyclized via a Pen-Pen disulfide bond; or a Abu-Cys or Abu-Pen thioether bond.

[00181] In certain embodiments, the peptide inhibitor comprises an amino acid sequence of Formula (XIIa), (XIIb), (XIIc), (XIId), (XIIe), (XIIf), (XIIg), or (XIIh):

Pen- Asn-Thr-X7-Gln-Pen-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-X14-X15-Sarc (XIIa) (SEQ ID NO:470),

Pen-Gln-Thr-X7-Gln-Pen-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-X14-X15-Sarc (XIIb) (SEQ ID NO:471),

Abu- Asn-Thr-X7-Gln-Cys-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-X14-X15-Sarc (XIIc) (SEQ ID NO:472),

Abu-Gln-Thr-X7-Gln-Pen-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-X14-X15-Sarc (XIId) (SEQ ID NO:473),

Pen- Asn-Thr-X7-Gln-Pen-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-X14-X15-(D)NMeTyr (XIIe) (SEQ ID NO:474),

Pen-Gln-Thr-X7-Gln-Pen-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-X14-X15-(D)NMeTyr (XIIf) (SEQ ID NO:475),

Abu- Asn-Thr-X7-Gln-Cys-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-X14-X15-(D)NMeTyr (XIIg) (SEQ ID NO:476), or

Abu-Gln-Thr-X7-Gln-Pen-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-X14-X15-(D)NMeTyr (XIIh) (SEQ ID NO:477),

wherein X7, X11, and X14 are as described for Formula (I); F(4-2-ae) is Phe[4-(2-aminoethoxy)]; X15 is any amino acid; and the peptide inhibitor is cyclized via a Pen-Pen disulfide bond; or a Abu-Cys or Abu-Pen thioether bond.

[00182] In certain embodiments, X14 is Asn, 2-Nap, Aib, Arg, Cit, Asp, Phe, Gly, Lys, Leu, Ala, (D)Ala, beta-Ala, His, Thr, n-Leu, Gln, Ser, (D)Ser, Tic, Trp, alpha-MeGln, alpha-MeAsn, alpha-MeLys(Ac), Dab(Ac), Dap(Ac), homo-Lys(Ac), or Lys(Ac). In certain embodiments, X14 is Asn, 2-Nap, Aib, Arg, Cit, Asp, Phe, Gly, Lys, Ala, (D)Ala, beta-Ala, His, Thr, Gln, Ser, (D)Ser, Tic,

Trp, alpha-MeGln, alpha-MeAsn, alpha-MeLys(Ac), Dab(Ac), Dap(Ac), homo-Lys(Ac), or Lys(Ac).

[00183] In certain embodiments, X14 is Asn.

[00184] In certain embodiments, the peptide inhibitor comprises an amino acid sequence of Formula (XIIIa), (XIIIb), (XIIIc), or (XIIId):

Pen- Asn-Thr-X7-Gln-Pen-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-Asn-[Pal]-X16 (XIIIa) (SEQ ID NO:478),

Pen-Gln-Thr-X7-Gln-Pen-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-Asn-[Pal]-X16 (XIIIb) (SEQ ID NO:479),

Abu- Asn-Thr-X7-Gln-Cys-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-Asn-[Pal]-X16 (XIIIc) (SEQ ID NO:480), or

Abu-Gln-Thr-X7-Gln-Pen-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-Asn-[Pal]-X16 (XIIId) (SEQ ID NO:481),

wherein X7 and X11 are as described for Formula (I); F(4-2-ae) is Phe[4-(2-aminoethoxy)]; Pal is 2Pal, 3Pal, or 4Pal; and X16 is any amino acid; and the peptide inhibitor is cyclized via a Pen-Pen disulfide bond; or a Abu-Cys or Abu-Pen thioether bond.

[00185] In certain embodiments, the peptide inhibitor comprises an amino acid sequence of Formula (XIVa), (XIVb), (XIVc), (XIVd), (XIVe), (XIVf), (XIVg), or (XIVh):

Pen- Asn-Thr-X7-Gln-Pen-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-Asn-X15-Sarc (XIVa) (SEQ ID NO:482),

Pen-Gln-Thr-X7-Gln-Pen-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-Asn-X15-Sarc (XIVb) (SEQ ID NO:483),

Abu- Asn-Thr-X7-Gln-Cys-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-Asn-X15-Sarc (XIVc) (SEQ ID NO:484),

Abu-Gln-Thr-X7-Gln-Pen-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-Asn-X15-Sarc (XIVd) (SEQ ID NO:485),

Pen- Asn-Thr-X7-Gln-Pen-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-Asn-X15-(D)NMeTyr (XIVe) (SEQ ID NO:486),

Pen-Gln-Thr-X7-Gln-Pen-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-Asn-X15-(D)NMeTyr (XIVf) (SEQ ID NO:487),

Abu- Asn-Thr-X7-Gln-Cys-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-Asn-X15-(D)NMeTyr (XIVg) (SEQ ID NO:488), or

Abu-Gln-Thr-X7-Gln-Pen-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-Asn-X15-(D)NMeTyr (XIVh) (SEQ ID NO:489),

wherein X7 and X11 are as described for Formula (I); F(4-2-ae) is Phe[4-(2-aminoethoxy)]; X15 is any amino acid; and the peptide inhibitor is cyclized via a Pen-Pen disulfide bond; or a Abu-Cys or Abu-Pen thioether bond.

[00186] In certain embodiments, X7 is unsubstituted Trp.

[00187] In certain embodiments, X7 is Trp substituted with cyano, halo, alkyl, haloalkyl, hydroxy, or alkoxy; and X11 is as described for Formula (I).

[00188] In certain embodiments, X7 is Trp substituted with cyano, halo, alkyl, haloalkyl, hydroxy, or alkoxy; and the substitution is at 4-, 5-, 6- or 7- position.

[00189] In certain embodiments, X7 is Trp substituted with cyano, F, Cl, Br, I, Me, Et, i-Pr, n-Pr, n-Bu, t-Bu, CF_3, hydroxy, OMe, or OEt; and the substitution is at 4-, 5-, 6- or 7- position.

[00190] In certain embodiments, X7 is Trp substituted with 5-F, 6-F, 7-F, 5- Cl, 6-Cl, 7-Cl, 5-Me, 6-Me, 7-Me, 5-OH, 6-OH, 7-OH, 5-OMe, 6-OMe, or 7-OMe.

[00191] In certain embodiments, X7 is Trp substituted with 7-Me, 5-F, 7-F, 6-Cl, 6-Me, 4-OMe, 5-OMe, or 5-Br.

[00192] In certain embodiments, X7 is Trp substituted with 7-Me, 6-Me, 4-OMe, or 6-Cl.

[00193] In certain embodiments, X7 is Trp substituted with 7-Me.

[00194] In certain embodiments, X7 is Trp substituted with phenyl, substituted phenyl, or thienyl.

[00195] In certain embodiments, X7 is Trp substituted with cyano, halo, alkyl, haloalkyl, hydroxy, alkoxy, phenyl, substituted phenyl, or thienyl.

[00196] In certain embodiments, X7 is Trp substituted with i) phenyl, unsubstituted or substituted with cyano, halo, alkyl, haloalkyl, aryl hydroxy, alkoxy, or haloalkoxy; or ii) thienyl.

[00197] In certain embodiments, X7 is Trp substituted with phenyl, unsubstituted or substituted with Me, Et, n-Pr, i-Pr, t-Bu, OMe, OEt, Cl, F, CF3, OCF3, phenyl, substituted phenyl, or amido.

[00198] In certain embodiments, X7 is Trp substituted with 7-Me. In certain embodiments, X7 is Trp substituted with 7-Ph.

[00199] In certain embodiments, the peptide inhibitor comprises an amino acid sequence of Formula (XVa), (XVb), (XVc), or (XVd):

Pen- Asn-Thr-[W(7-Me)]-Gln-Pen-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-Asn-[Pal]-X16 (XVa) (SEQ ID NO:490),

Pen-Gln-Thr-[W(7-Me)]-Gln-Pen-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-Asn-[Pal]-X16 (XVb) (SEQ ID NO:491),

Abu- Asn-Thr-[W(7-Me)]-Gln-Cys-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-Asn-[Pal]-X16 (XVc) (SEQ ID NO:492), or

Abu-Gln-Thr-[W(7-Me)]-Gln-Pen-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-Asn-[Pal]-X16 (XVd) (SEQ ID NO:493),

wherein X11 is as described for Formula (I); F(4-2-ae) is Phe[4-(2-aminoethoxy)]; Pal is 2Pal, 3Pal, or 4Pal; and X16 is any amino acid; and the peptide inhibitor is cyclized via a Pen-Pen disulfide bond; or a Abu-Cys or Abu-Pen thioether bond.

[00200] In certain embodiments, the peptide inhibitor comprises an amino acid sequence of Formula (XVIa), (XVIb), (XVIc), (XVId), (XVIe), (XVIf), (XVIg), or (XVIh):

Pen- Asn-Thr-[W(7-Me)]-Gln-Pen-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-Asn-X15-Sarc (XVIa) (SEQ ID NO:494),

Pen-Gln-Thr-[W(7-Me)]-Gln-Pen-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-Asn-X15-Sarc (XVIb) (SEQ ID NO:495),

Abu- Asn-Thr-[W(7-Me)]-Gln-Cys-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-Asn-X15-Sarc (XVIc) (SEQ ID NO:496),

Abu-Gln-Thr-[W(7-Me)]-Gln-Pen-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-Asn-X15-Sarc (XVId) (SEQ ID NO:497),

Pen- Asn-Thr-[W(7-Me)]-Gln-Pen-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-Asn-X15-(D)NMeTyr (XVIe) (SEQ ID NO:498),

Pen-Gln-Thr-[W(7-Me)]-Gln-Pen-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-Asn-X15-(D)NMeTyr (XVIf) (SEQ ID NO:499),

Abu- Asn-Thr-[W(7-Me)]-Gln-Cys-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-Asn-X15-(D)NMeTyr (XVIg) (SEQ ID NO:500), or

Abu-Gln-Thr-[W(7-Me)]-Gln-Pen-[F(4-2ae)]-X11-[α-MeLeu]-Lys(Ac)-Asn-X15-(D)NMeTyr (XVIh) (SEQ ID NO:501),

wherein X11 is as described for Formula (I); F(4-2-ae) is Phe[4-(2-aminoethoxy)]; X15 is any amino acid; and the peptide inhibitor is cyclized via a Pen-Pen disulfide bond; or a Abu-Cys or Abu-Pen thioether bond.

[00201] In certain embodiments, X11 is 2-Nal, Phe(2-Me), Phe(3-Me), Phe(4-Me), Phe(3,4-dimethoxy), or 1-Nal.

[00202] In certain embodiments, X11 is 2-Nal, or 1-Nal.

[00203] In certain embodiments, X11 is 2-Nal.

[00204] In certain embodiments, the peptide inhibitor comprises an amino acid sequence of Formula (XVIIa), (XVIIb), (XVIIc), or (XVIId):

Pen- Asn-Thr-[W(7-Me)]-Gln-Pen-[F(4-2ae)]-[2-Nal]-[α-MeLeu]-Lys(Ac)-Asn-[Pal]-X16 (XVIIa) (SEQ ID NO:502),

Pen-Gln-Thr-[W(7-Me)]-Gln-Pen-[F(4-2ae)]-[2-Nal]-[α-MeLeu]-Lys(Ac)-Asn-[Pal]-X16 (XVIIb) (SEQ ID NO:503),

Abu- Asn-Thr-[W(7-Me)]-Gln-Cys-[F(4-2ae)]-[2-Nal]-[α-MeLeu]-Lys(Ac)-Asn-[Pal]-X16 (XVIIc) (SEQ ID NO:504), or

Abu-Gln-Thr-[W(7-Me)]-Gln-Pen-[F(4-2ae)]-[2-Nal]-[α-MeLeu]-Lys(Ac)-Asn-[Pal]-X16 (XVIId) (SEQ ID NO:505),

wherein F(4-2-ae) is Phe[4-(2-aminoethoxy)]; Pal is 2Pal, 3Pal, or 4Pal; and X16 is any amino acid; and the peptide inhibitor is cyclized via a Pen-Pen disulfide bond; or a Abu-Cys or Abu-Pen thioether bond.

[00205] In certain embodiments, the peptide inhibitor comprises an amino acid sequence of Formula (XVIIIa), (XVIIIb), (XVIIIc), (XVIIId), (XVIIIe), (XVIIIf), (XVIIIg), or (XVIIIh):

Pen- Asn-Thr-[W(7-Me)]-Gln-Pen-[F(4-2ae)]-[2-Nal]-[α-MeLeu]-Lys(Ac)-Asn-X15-Sarc (XVIIIa) (SEQ ID NO:506),

Pen-Gln-Thr-[W(7-Me)]-Gln-Pen-[F(4-2ae)]-[2-Nal]-[α-MeLeu]-Lys(Ac)-Asn-X15-Sarc (XVIIIb) (SEQ ID NO:507),

Abu- Asn-Thr-[W(7-Me)]-Gln-Cys-[F(4-2ae)]-[2-Nal]-[α-MeLeu]-Lys(Ac)-Asn-X15-Sarc (XVIIIc) (SEQ ID NO:508),

Abu-Gln-Thr-[W(7-Me)]-Gln-Pen-[F(4-2ae)]-[2-Nal]-[α-MeLeu]-Lys(Ac)-Asn-X15-Sarc (XVIIId) (SEQ ID NO:509),

Pen- Asn-Thr-[W(7-Me)]-Gln-Pen-[F(4-2ae)]-[2-Nal]-[α-MeLeu]-Lys(Ac)-Asn-X15-(D)NMeTyr (XVIIIe) (SEQ ID NO:510),

Pen-Gln-Thr-[W(7-Me)]-Gln-Pen-[F(4-2ae)]-[2-Nal]-[α-MeLeu]-Lys(Ac)-Asn-X15-(D)NMeTyr (XVIIIf) (SEQ ID NO:511),

Abu- Asn-Thr-[W(7-Me)]-Gln-Cys-[F(4-2ae)]-[2-Nal]-[α-MeLeu]-Lys(Ac)-Asn-X15-(D)NMeTyr (XVIIIg) (SEQ ID NO:512), or

Abu-Gln-Thr-[W(7-Me)]-Gln-Pen-[F(4-2ae)]-[2-Nal]-[α-MeLeu]-Lys(Ac)-Asn-X15-(D)NMeTyr (XVIIIh) (SEQ ID NO:513),

wherein F(4-2-ae) is Phe[4-(2-aminoethoxy)]; X15 is any amino acid; and the peptide inhibitor is cyclized via a Pen-Pen disulfide bond; or a Abu-Cys or Abu-Pen thioether bond.

[00206] In certain embodiments, X15 is 2Pal, 3Pal, 4Pal, His, (D)His, Lys, (D)Lys, Leu, (D)Leu, 2Quin, or 3Quin.

[00207] In certain embodiments, X15 is 3Pal, 4Pal, His, (D)His, (D)Lys or (D)Leu.

[00208] In certain embodiments, X15 is 3Pal, His, (D)Lys or (D)Leu.

[00209] In certain embodiments, X15 is His.

[00210] In certain embodiments, X15 is 3Pal.

[00211] In certain embodiments, X16 is absent.

[00212] In one particular embodiment, X3 is absent.

[00213] In certain embodiments, with respect to Formula (XVa)-(XVIIIh), W(7-Me) is replaced with W(7-Ph).

[00214] In certain embodiments, with respect to Formula (XVa)-(XVIIIh), W(7-Me) is replaced with W or unsubstituted Trp.

[00215] In certain embodiments, with respect to Formula (VIIa)-(XVIIIh), Gln is replaced with Lys(Ac).

[00216] In certain embodiments, with respect to Formula (XIa)-(XVIIIh), aMeLeu is replaced with THP.

[00217] In certain embodiments, the peptide inhibitor comprises the structure of Formula (Z):

$$R^1\text{-}X\text{-}R^2 \text{ (Z)}$$

or a pharmaceutically acceptable salt thereof, wherein

R^1 is a hydrogen, Ac, a C1-C6 alkyl, a C6-C12 aryl, a C6-C12 aryl-C1-6alkyl, a C1-C20 alkanoyl, and including PEGylated versions alone or as spacers of any of the foregoing; X is the amino acid sequence of Formula (I), (Ia), (Ib), (Ic), (Id), or any of Formula (II)-(XVIIId); and R^2 is OH, NH_2 or NHMe.

[00218] In certain embodiments, the peptide inhibitor comprises the structure of Formula (Z)

$$R^1\text{-}X\text{-}R^2 \text{ (Z)}$$

or a pharmaceutically acceptable salt thereof, wherein

R^1 is a hydrogen, Ac, a C1-C6 alkyl, a C6-C12 aryl, a C6-C12 aryl-C1-6alkyl, a C1-C20 alkanoyl, and including PEGylated versions alone or as spacers of any of the foregoing; X is the amino acid sequence of Formula (I), any of Formula (II)-(XVIIId), or an amino acid sequence set forth in any of Table E1; and R^2 is OH or NH_2.

[00219] In certain embodiments, the peptide inhibitor comprises or consists of an amino acid sequence of Formula (Z):

$$R^1\text{-}X3\text{-}X4\text{-}X5\text{-}X6\text{-}X7\text{-}X8\text{-}X9\text{-}X10\text{-}X11\text{-}X12\text{-}X13\text{-}X14\text{-}X15\text{-}X16\text{-}R^2 \text{ (Z')}$$

or a pharmaceutically acceptable salt thereof, wherein

R^1 is a hydrogen, Ac, a C1-C6 alkyl, a C6-C12 aryl, a C6-C12aryl-C1-6alkyl, a C1-C20 alkanoyl, and including PEGylated versions alone or as spacers of any of the foregoing; and R^2 is OH, NH_2 or N(H)Me.

[00220] In certain embodiments, the peptide inhibitor comprises or consists of an amino acid of Formula (Z):

R^1-X3-X4-X5-X6-X7-X8-X9-X10-X11-X12-X13-X14-X15-X16-R^2 (Z')

or a pharmaceutically acceptable salt thereof, wherein

R^1 is a hydrogen, Ac, a C1-C6 alkyl, a C6-C12 aryl, a C6-C12aryl-C1-6alkyl, a C1-C20 alkanoyl, and including PEGylated versions alone or as spacers of any of the foregoing; and R^2 is OH or NH_2.

[00221] In certain embodiments, the peptide inhibitor comprises or consists of an amino acid sequence of Formula (Z):

R^1-X3-X4-X5-X6-X7-X8-X9-X10-X11-X12-X13-X14-X15-X16-R^2 (Z')

or a pharmaceutically acceptable salt thereof, wherein

X3 is absent or any amino acid;

X4 is Abu, Cys, (D)Cys, alpha-MeCys, (D)Pen, Pen, or Pen(sulfoxide);

X5 is Cit, Glu, Gly, substituted Gly, Leu, Ile, beta-Ala, Ala, Lys, Asn, Pro, Ser, alpha-MeGln, alpha-MeLys, alpha-MeLeu, alpha-MeAsn, Lys(Ac), alpha-MeLys(Ac), Dab(Ac), Dap(Ac), homo-Lys(Ac), Gln, or Asp;

X6 is Thr, Aib, Asp, Dab, Gly, Pro, Ser, alpha-MeGln, alpha-MeLys, alpha-MeLeu, alpha-MeAsn, alpha-MeThr, alpha-MeSer, or Val;

X7 is unsubstituted Trp, or Trp substituted with cyano, halo, alkyl, haloalkyl, hydroxy, alkoxy, substituted or unsubstituted aryl, or substituted or unsubstituted heteroaryl;

X8 is Gln, alpha-MeLys, alpha-MeLeu, alpha-MeLys(Ac), beta-homoGln, Cit, Glu, Phe, substituted Phe, Tyr, Asn, Thr, Val, Aib, alpha-MeGln, alpha-MeAsn, Lys(Ac), Dab(Ac), Dap(Ac), homo-Lys(Ac), 1-Nal, 2-Nal, Lys(b-Ala), Lys(Gly), Lys(Benzyl, Ac), Lys(butyl, Ac), Lys(isobutyl,Ac), Lys(propyl,Ac), or Trp;

X9 is Abu, Cys, (D)Cys, alpha-MeCys, (D)Pen, Pen, or Pen(sulfoxide);

X10 is Tyr, or substituted Tyr, unsubstituted Phe, or Phe substituted with halo, alkyl, haloalkyl, hydroxy, alkoxy, cyano, cycloalkyl, carboxy, carboxamido, 2-aminoethoxy, or 2-acetylaminoethoxy; and

X11 is 2-Nal, Phe(2-Me), Phe(3-Me), Phe(4-Me), Phe(3,4-dimethoxy), 2Quin, 3Quin, 1-Nal, unsubstituted Trp, or Trp substituted with cyano, halo, alkyl, haloalkyl, hydroxy, or alkoxy;

X12 is 4-amino-4-carboxy-tetrahydropyran (THP), Acvc, alpha-MeLys, alpha-MeLeu, alpha-MeArg, alpha-MePhe, alpha-MeLeu, alpha-MeLys, alpha-MeAsn, alpha-MeTyr, Ala, cyclohexylAla, Lys, or Aib;

X13 is any amino acid;

X14 is any amino acid;

and

i) X15 is any amino acid other than His, (D)His, substituted or unsubstituted His, 2Pal, 3Pal, or 4Pal; X16 is Sarc, aMeLeu, (D)NMeTyr, His, (D)Thr, bAla, Pro, or (D)Pro; and the peptide inhibitor is other than

Ac-[Abu]-QTWQC]-[Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-NNPG-NH_2;

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMeLeu]-[Lys(Ac)]-NN-[Sarc]-NH_2;

Ac-[(D)Arg]-[Abu]-Q-T-[W(7-Me)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH_2; or

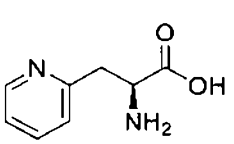
Ac-[Pen]-N-T-[W(7-Me)]-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[Aib]-[bA]-NH_2;

or

ii) X15 is His, (D)His, substituted or unsubstituted His, 2Pal, 3Pal, 4Pal, 4TriazolAla, or 5Pyal; and X16 is absent, (D)aMeTyr, (D)NMeTyr or any amino acid other than THP, substituted or unsubstituted Phe, substituted or unsubstituted (D)Phe, substituted or unsubstituted His, substituted or unsubstituted (D)His, substituted or unsubstituted Trp, substituted or unsubstituted 2-Nal, or N-substituted Asp; and the compound is other than

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-NH_2;

wherein 2Pal is 2-pyridyl substituted alanine, and 3Pal is 3-pyridyl substituted alanine, and 4Pal is 4-pyridyl substituted alanine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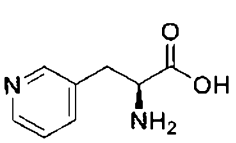
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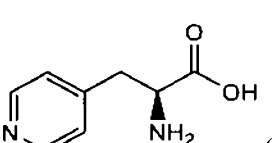
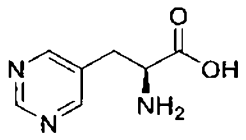

(4Pal); and

5Pyal is 5-pyrimidine substituted alanine:



;and

wherein X4 and X9 form a disulfide bond or a thioether bond;

and

wherein the peptide inhibitor inhibits the binding of an interleukin-23 (IL-23) to an IL-23 receptor.

[00222] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') wherein X4 or X9 is Cys, (D)Cys, alpha-MeCys, (D)Pen, or Pen; and the bond between X4 and X9 is a disulfide bond. In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') wherein X4 is (D)Pen, Pen, or Pen(sulfoxide). In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') wherein X4 is Pen. In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') wherein X9 is Pen or (D)Pen. In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') wherein X9 is Pen. In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') wherein X4 is Pen and X9 is Pen, and the bond is a disulfide bond.

[00223] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') the peptide inhibitor comprises the structure of Formula (Z'):

R^1-X3-Pen-X5-X6-X7-X8-Pen-X10-X11-X12-X13-X14-X15-X16-R^2 (Z'-A)

wherein the peptide inhibitor is cyclized via a Pen-Pen disulfide bond.

[00224] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-A) wherein X5 is Asn, Ser, Gln, or Glu. In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-A) wherein X5 is Asn.

[00225] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-A) wherein the peptide inhibitor comprises the structure of Formula (Z'):

R^1-X3-Pen-Asn-X6-X7-X8-Pen-X10-X11-X12-X13-X14-X15-X16-R^2 (Z'-B)

wherein the peptide inhibitor is cyclized via a Pen-Pen disulfide bond.

[00226] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-B) wherein X6 is Asp, or Thr. In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-B) wherein X6 is Thr.

[00227] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-B) wherein the peptide inhibitor comprises the structure of Formula (Z'):

R^1-X3-Pen-Asn-Thr-X7-X8-Pen-X10-X11-X12-X13-X14-X15-X16-R^2 (Z'-C)

wherein the peptide inhibitor is cyclized via a Pen-Pen disulfide bond.

[00228] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-C) wherein X8 is Gln, alpha-Me-Lys, alpha-MeLys(Ac), Lys(Ac), or Glu. In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-C) wherein X8 is Cit, Lys(Ac), Lys(Benzyl, Ac), Lys(butyl, Ac), Lys(isobutyl,Ac), Lys(propyl,Ac),Gln, 4-adamantyl-Phe, (4-AcNH)Phe, or Tyr. In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-C) wherein X8 is Lys(Ac).

[00229] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-C) wherein the peptide inhibitor comprises the structure of Formula (Z'):

R^1-X3-Pen-Asn-Thr-X7-Lys(Ac)-Pen-X10-X11-X12-X13-X14-X15-X16-R^2 (Z'-D)

wherein the peptide inhibitor is cyclized via a Pen-Pen disulfide bond.

[00230] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-D) wherein X10 is Phe or substituted Phe, Tyr or substituted Tyr. In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-D) wherein X10 is Phe or substituted Phe. In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-D) wherein X10 is Phe, Phe[4-(2-aminoethoxy)], Phe[4-(2-acetylaminoethoxy)], or Phe(4-CONH2). In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-D) wherein X10 is Phe[4-(2-aminoethoxy)], or Phe[4-(2-acetylaminoethoxy)]. In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-D) wherein X10 is Phe[4-(2-aminoethoxy)].

[00231] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-D) wherein the peptide inhibitor comprises the structure of Formula (Z'):

R^1-X3-Pen-Asn-Thr-X7-Lys(Ac)-Pen-[F(4-2ae)]-X11-X12-X13-X14-X15-X16-R^2 (Z'-E) (SEQ ID NO:514)

wherein F(4-2-ae) is Phe[4-(2-aminoethoxy)], and the peptide inhibitor is cyclized via a Pen-Pen disulfide bond.

[00232] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-E) wherein X11 is 2-Nal, Phe(2-Me), Phe(3-Me), Phe(4-Me), Phe(3,4-dimethoxy), or 1-Nal. In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-E) wherein X11 is 2-Nal, or 1-Nal. In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-E) wherein X11 is 2-Nal.

[00233] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-E) wherein the peptide inhibitor comprises the structure of Formula (Z'):

R^1-X3-Pen-Asn-Thr-X7-Lys(Ac)-Pen-[F(4-2ae)]-[2-Nal]-X12-X13-X14-X15-X16-R^2 (Z'-F)

(SEQ ID NO:515)

wherein F(4-2-ae) is Phe[4-(2-aminoethoxy)], and the peptide inhibitor is cyclized via a Pen-Pen disulfide bond.

[00234] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-F) wherein X12 is 4-amino-4-carboxy-tetrahydropyran (THP), alpha-MeLys, alpha-MeLeu, Ala, cyclohexylAla, Lys, or Aib. In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-F) wherein X12 is 4-amino-4-carboxy-tetrahydropyran (THP), Acpx, Acvc, alpha-MeLys, or alpha-MeLeu. In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-F) wherein X12 is THP.

[00235] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-F) wherein the peptide inhibitor comprises the structure of Formula (Z'):

R^1-X3-Pen-Asn-Thr-X7-Lys(Ac)-Pen-[F(4-2ae)]-[2-Nal]-THP-X13-X14-X15-X16-R^2 (Z'-G)

(SEQ ID NO:516)

wherein F(4-2-ae) is Phe[4-(2-aminoethoxy)], and the peptide inhibitor is cyclized via a Pen-Pen disulfide bond.

[00236] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-G) wherein X13 is Aib, Glu, Cit, Gln, Lys(Ac), alpha-MeArg, alpha-MeGlu, alpha-MeLeu, alpha-MeLys, alpha-Me-Asn, alpha-MeLys(Ac), Dab(Ac), Dap(Ac), homo-Lys(Ac), Lys, or b-homoGlu. In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-G) wherein X13 is Aib, Glu, Cit, Gln, Lys(Ac), alpha-MeArg, alpha-MeGlu, alpha-MeLys, alpha-Me-Asn, alpha-MeLys(Ac), Dab(Ac), Dap(Ac), homo-Lys(Ac), Lys, or b-homoGlu. In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-G) wherein X13 is Glu, Gln, Lys(Ac), or Lys. In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-G) wherein X13 is alpha-methylGlu, Glu, or Lys(Ac). In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-G) wherein X13 is Glu.

[00237] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-G) wherein the peptide inhibitor comprises the structure of Formula (Z'):

R^1-X3-Pen-Asn-Thr-X7-Lys(Ac)-Pen-[F(4-2ae)]-[2-Nal]-THP-Glu-X14-X15-X16-R^2 (Z'-H)

(SEQ ID NO:517)

wherein F(4-2-ae) is Phe[4-(2-aminoethoxy)], and the peptide inhibitor is cyclized via a Pen-Pen disulfide bond.

[00238] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-H) wherein X14 is Asn, 2-Nap, Aib, Arg, Cit, Asp, Phe, Gly, Lys, Leu, Ala, (D)Ala, beta-Ala, His, Thr, n-Leu, Gln, Ser, (D)Ser, Tic, Trp, alpha-MeGln, alpha-MeAsn, alpha-MeLys(Ac), Dab(Ac), Dap(Ac), homo-Lys(Ac), or Lys(Ac). In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-H) wherein X14 is Asn, 2-Nap, Aib, Arg, Cit, Asp, Phe, Gly, Lys, Ala, (D)Ala, beta-Ala, His, Thr, Gln, Ser, (D)Ser, Tic, Trp, alpha-MeGln, alpha-MeAsn, alpha-MeLys(Ac), Dab(Ac), Dap(Ac), homo-Lys(Ac), or Lys(Ac). In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-H) wherein X14 is Asn.

[00239] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-H) wherein the peptide inhibitor comprises the structure of Formula (Z'):

R^1-X3-Pen-Asn-Thr-X7-Lys(Ac)-Pen-[F(4-2ae)]-[2-Nal]-THP-Glu-Asn-X15-X16-R^2 (Z'-I)

(SEQ ID NO:518)

wherein F(4-2-ae) is Phe[4-(2-aminoethoxy)], and the peptide inhibitor is cyclized via a Pen-Pen disulfide bond.

[00240] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-I) wherein X7 is Trp or Trp substituted with alkyl, or phenyl; and the substitution is at the 4-, 5-, 6- or 7- position. In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-I) wherein X7 is Trp or Trp substituted with Me, Et, i-Pr, n-Pr, n-Bu, t-Bu, or phenyl; and the substitution is at the 4-, 5-, 6- or 7- position. In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-I) wherein X7 is Trp or Trp substituted with 5-Me, 6-Me, 7-Me, 5-phenyl, 6-phenyl or 7-Ph. In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-I) wherein X7 is Trp or Trp substituted with 7-Me, 6-Me, or 7-Ph. In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-I) wherein X7 is Trp or Trp substituted with 7-Me or 7-Ph. In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-I) wherein X7 is Trp substituted with 7-Me.

[00241] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-I) wherein the peptide inhibitor comprises the structure of Formula (Z'):

R^1-X3-Pen-Asn-Thr-[W(7-Me)]-Lys(Ac)-Pen-[F(4-2ae)]-[2-Nal]-THP-Glu-Asn-X15-X16-R^2

(Z'-J) (SEQ ID NO:519)

wherein F(4-2-ae) is Phe[4-(2-aminoethoxy)], and the peptide inhibitor is cyclized via a Pen-Pen disulfide bond.

[00242] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-J) wherein X3 is absent or (D)Arg. In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-J) wherein X3 is absent. In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-J) wherein X3 is (D)Arg.

[00243] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-J) wherein the peptide inhibitor comprises the structure of Formula (Z'):

R^1-Pen-Asn-Thr-[W(7-Me)]-Lys(Ac)-Pen-[F(4-2ae)]-[2-Nal]-THP-Glu-Asn-X15-X16-R^2 (Z'-K)

(SEQ ID NO:520)

wherein F(4-2-ae) is Phe[4-(2-aminoethoxy)], and the peptide inhibitor is cyclized via a Pen-Pen disulfide bond.

[00244] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-J) wherein X3 is absent or (D)Arg; X4 is Abu, Cys, (D)Cys, alpha-MeCys, or Pen; X5 is Ala, (allyl)Gly, Ile, Leu, Asn, Nle, or Gln; X6 is Asp, or Thr; X7 is (7-methyl)Trp, (4-F)-Trp, or Trp; X8 is Cit, Lys(Ac), Lys(Benzyl, Ac), Lys(butyl, Ac), Lys(isobutyl,Ac), Lys(propyl,Ac),Gln, 4-adamantyl-Phe, (4-AcNH)Phe, or Tyr; X9 is Cys, alpha-MeCys, or Pen; X10 is Phe or substituted Phe, Tyr or substituted Tyr; X11 is 2-Nal; X12 is 4-amino-4-carboxy-tetrahydropyran (THP), Acpx, Acvc, alpha-MeLys, or alpha-MeLeu; X13 is alpha-methylGlu, Glu, or Lys(Ac); and X14 is Asn.

[00245] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-K) wherein R^1 is H or C1-C20 alkanoyl.

[00246] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-K) wherein R^1 is H or Ac.

[00247] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-K) wherein R^1 is Ac.

[00248] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-K) wherein R^2 is NH_2 or N(H)Me. In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-K) wherein R^2 is NH_2.

[00249] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-K) wherein R^1 is Ac; X3 is absent or (D)Arg; X4 is Pen; X5 is Asn; X6 is Thr; X7 is Trp or (7-Me)Trp or (7-Ph)Trp; X8 is Lys(Ac); X9 is Pen; X10 is Phe(2-aminoethoxy); X11 is 2-Nal; X12 is 4-amino-4-carboxy-tetrahydropyran (THP); X13 is Gln; X14 is Asn; and R^2 is NH_2 or N(H)Me.

[00250] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-K) wherein R^1 is Ac; X3 is absent; X4 is Pen; X5 is Asn;

X6 is Thr; X7 is 7-methylTrp; X8 is Lys(Ac); X9 is Pen; X10 is Phe(2-aminoethoxy); X11 is 2-Nal; X12 is 4-amino-4-carboxy-tetrahydropyran (THP); X13 is Glu; X14 is Asn; and R^2 is NH_2 or N(H)Me.

[00251] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-K) wherein X15 is Aib, beta-Ala, (D)Phe, (D)Lys, (D)Leu, (D)Orn, substituted (D)Phe, (D)Arg, (D)Val, (D)Tyr, Phe, Hph, Asn, 4-amino-4-carboxy-tetrahydropyran (THP), substituted Tyr, or Tyr; and X16 is beta-Ala, (D)NMeTyr, (D)Pro, NMeTyr, Pro, or Sarc.

[00252] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-K) wherein X15 is 3Pal, substituted 3Pal, 4Pal, 4-triazole-Ala, (D)His, His or substituted His; and X16 is absent, Aib, alpha-MePro, (D)Leu, (D)NMeTyr, (D)Pro, (D)Tyr, substituted Gly, MeLeu, MeNLe, Pro, Paf, 4-di-fluoro-Pro, Sarc, or Tyr.

[00253] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-K) wherein X15 is (D)His, substituted His, 2Pal, 3Pal, 4Pal, 4TriazolAla, or 5Pyal; and X16 is absent, (D)NMeTyr or Sarc.

[00254] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-K) wherein X15 is (3-Me)His or 3Pal; and X16 is absent or Sarc.

[00255] In certain embodiments, the peptide inhibitor comprises the structure of Formula (Z'):

Ac-Pen-Asn-Thr-[W(7-Me)]-Lys(Ac)-Pen-[F(4-2ae)]-[2-Nal]-THP-Glu-Asn-[3-Pal]-X16-NH_2 (Z'-L) (SEQ ID NO:521)

wherein F(4-2-ae) is Phe[4-(2-aminoethoxy)], and the peptide inhibitor is cyclized via a Pen-Pen disulfide bond.

[00256] In certain embodiments, the peptide inhibitor comprises the structure of Formula (Z'):

Ac-Pen-Asn-Thr-[W(7-Me)]-Lys(Ac)-Pen-[F(4-2ae)]-[2-Nal]-THP-Glu-Asn-X15-Sarc-NH_2 (Z'-M) (SEQ ID NO:522)

wherein F(4-2-ae) is Phe[4-(2-aminoethoxy)], and the peptide inhibitor is cyclized via a Pen-Pen disulfide bond.

[00257] In certain embodiments, the peptide inhibitor is the peptide inhibitor of Formula (Z') to (Z'-K) wherein X15 is 3Pal; and X16 is Sarc.

[00258] In certain aspect, the present invention provides a peptide inhibitor of an interleukin-23 receptor, wherein the peptide inhibitor comprises or is any one of the amino acid sequence set forth in any of Table E1A and Table E1B; or a pharmaceutically acceptable salt thereof. In certain

aspect, the present invention provides a peptide inhibitor of an interleukin-23 receptor, wherein the peptide inhibitor comprises or is any one of the amino acid sequence set forth in any of Table E1; or a pharmaceutically acceptable salt thereof.

[00259] In certain aspect, the present invention provides a peptide inhibitor of an interleukin-23 receptor, wherein the peptide inhibitor comprises or is any one of the amino acid sequence listed below; or a pharmaceutically acceptable salt thereof:

Ac-[(D)Arg]-[Abu]-Q-T-[W(7-Ph)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-dK-[Sarc]-NH_2(SEQ ID NO:1);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3-Quin]-[a-MeLys]-[Lys(Ac)]-N-[(D)Leu)]-[Sarc]-NH_2(SEQ ID NO:2);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3-Quin]-[THP]-E-N-[(D)Lys]-[Sarc]-NH_2(SEQ ID NO:3);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3-Quin]-[a-MeLys]-[Lys(Ac)]-N-[(D)His]-[Sarc]-NH_2(SEQ ID NO:4);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3-Quin]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2(SEQ ID NO:5);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3-Quin]-[THP]-E-N-[(D)Leu)]-[Sarc]-NH_2(SEQ ID NO:6);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3-Quin]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH_2(SEQ ID NO:7);

Ac-[(D)Arg]-[Abu]-Q-T-W-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-N-[(D)NMeTyr]-NH_2(SEQ ID NO:8);

Ac-[(D)Arg]-[Pen]-Q-T-W-Q-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-N-[(D)NMeTyr]-NH_2(SEQ ID NO:9);

Ac-[(D)Arg]-[Pen]-Q-T-W-Q-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[aMeGlu]-N-F-[(D)NMeTyr]-NH_2(SEQ ID NO:10);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3Quin]-[THP]-E-N-[(D)Lys]-[Sarc]-NH_2 (SEQ ID NO:11);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3Quin]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH_2 (SEQ ID NO:12);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3Quin]-[THP]-E-N-[(D)Leu]-[Sarc]-NH_2 (SEQ ID NO:13);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3Quin]-[a-MeLys]-[Lys(Ac)]-N-[(D)Leu]-[Sarc]-NH_2 (SEQ ID NO:14);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3Quin]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:15);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3Quin]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:16);

Ac-[Abu]-Q-T-[W(7-Me)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH_2 (SEQ ID NO:17);

Ac-[Abu]-Q-T-[W(7-Ph)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH_2 (SEQ ID NO:18);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Leu]-[Sarc]-NH_2 (SEQ ID NOS:20, 25);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH_2 (SEQ ID NO:21);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:22);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:23);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[(D)Leu]-[Sarc]-NH_2 (SEQ ID NO:24);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Leu]-[Sarc]-NH_2 (SEQ ID NO:25);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Gly)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:26);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Gly)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:27);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Gly)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[(D)Leu]-[Sarc]-NH_2 (SEQ ID NO:28);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Gly)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Leu]-[Sarc]-NH_2 (SEQ ID NO:29);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(bAla)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:30);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(bAla)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:31);

Ac-[Pen]-N-T-[W(7-Et)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:32);

Ac-[Pen]-N-T-[W(7-Et)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:33);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(7-Et)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:34);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(4-Me)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:35);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(6-Me)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:36);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(4-OMe)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:37);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(7-i-Pr)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:38);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(7-nPr)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:39);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(7-OMe)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:40);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(6-Cl)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:41);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(5-OMe)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:42);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(3-MePh)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:43);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(6-Ph)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:44);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(6-Et)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:45);

Ac-[Pen]-N-T-[W(7-(2-FPh)]- [Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:46);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Leu]-[(D)NMeTyr]-NH_2 (SEQ ID NO:47);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[(D)NMeTyr]-NH_2 (SEQ ID NO:48);

Ac-[Pen]-N-T-[W(7-(2-OMePh)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:49);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(7-Ph)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:50);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:51);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:52);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3Quin]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:53);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-H-[Sarc]-NH_2 (SEQ ID NO:54);

Ac-[Pen]-S-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:55);

Ac-[Pen]-S-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-H-[Sarc]-NH_2 (SEQ ID NO:56);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[(D)NMeTyr]-NH_2 (SEQ ID NO:57);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-F-[(D)NMeTyr]-NH_2 (SEQ ID NO:58);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-H-[Sarc]-NH_2 (SEQ ID NO:59);

Ac-[Pen]-S-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:60);

Ac-[Pen]-S-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-H-[Sarc]-NH_2 (SEQ ID NO:61);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[(D)NMeTyr]-NH_2 (SEQ ID NO:62);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-[(D)Asn]-H-[Sarc]-NH_2 (SEQ ID NO:63);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-G-H-[Sarc]-NH_2 (SEQ ID NO:64);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-[h(Ser)]-H-[Sarc]-NH_2 (SEQ ID NO:65);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-P-NH_2 (SEQ ID NO:66);

Ac-[Pen]-N-T-[W(7-(2-Nal))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:67);

Ac-[Pen]-N-T-[W(7-3BiPh)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:68);

Ac-[Pen]-N-T-[W(7-(Phenanthren-5-yl))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:69);

Ac-[Pen]-N-T-[W(7-(4-Anthracen-5-yl))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:70);

Ac-[Pen]-N-T-[W(7-(1-Nal))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:71);

Ac-[Pen]-N-T-[W(7-(4BiPh))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:72);

Ac-[Pen]-N-T-[W(7-(3,5-t-Bu-Ph))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:73);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:74);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:75);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3Quin]-[a-MeLys]-[Lys(Ac)]-N-[2Pal]-NH_2 (SEQ ID NO:78);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[2Pal]-NH_2 (SEQ ID NO:79);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-NH_2 (SEQ ID NO:80);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:81);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[(D)NMeTyr]-NH_2 (SEQ ID NO:82);

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-E-N-H-[(D)NMeTyr]-NH_2 (SEQ ID NO:83);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-Phe[4-aminomethyl]-[(D)NMeTyr]-NH_2 (SEQ ID NO:84);

Ac-[Pen]-N-T-[W(7-Me)]-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMeLeu]-[Lys(Ac)]-N-[(D)His]-NH_2 (SEQ ID NO:85);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)His]-NH_2 (SEQ ID NO:86);

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[(D)His]-[(D)NMeTyr]-NH_2 (SEQ ID NO:87);

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-N-[(D)NMeTyr]-NH_2 (SEQ ID NO:88);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-N-[(D)NMeTyr]-NH_2 (SEQ ID NO:89);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Val]-[(D)NMeTyr]-NH_2 (SEQ ID NO:90);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Thr]-[(D)NMeTyr]-NH_2 (SEQ ID NO:91);

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[(D)His]-NH_2 (SEQ ID NO:92);

Ac-[Abu]-N-T-[W(7-Ph)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[3Quin]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:93);

Ac-[Abu]-N-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[3Quin]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:94);

Ac-[Abu]-N-T-[W(7-Ph)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:95);

Ac-[Abu]-N-T-[W(7-Ph)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:96);

Ac-[Abu]-N-T-[W(7-Me)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:97);

Ac-[Abu]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:98);

Ac-[Abu]-N-T-[W(7-Me)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:99);

Ac-[(D)Arg]-[Abu]-S-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:100);

Ac-[(D)Arg]-[Abu]-N-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[(D)Leu]-[Sarc]-NH_2 (SEQ ID NO:101);

Ac-[(D)Arg]-[Abu]-N-T-[W(7-Me)]-[Cit]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:102);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:103);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:104);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:105);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:106);

Ac-[Pen]-N-T-[W(7-Me)]-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:107);

Ac-[Pen]-N-T-[W(7-Me)]-Q-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:108);

Ac-[Abu]-Q-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:109);

Ac-[Abu]-Q-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:110);

Ac-[Abu]-Q-T-[W(7-Me)]-[Cit]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:111);

Ac-[Abu]-Q-T-[W(7-Me)]-[Cit]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:112);

Ac-[Abu]-Q-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[bA]-NH_2 (SEQ ID NO:113);

Ac-[Abu]-Q-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-[3Pal]-[bA]-NH_2 (SEQ ID NO:114);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[4Pal]-[Sarc]-NH_2 (SEQ ID NO:115);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[bA]-NH_2 (SEQ ID NO:116);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:117);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Quin]-[Sarc]-NH_2 (SEQ ID NO:118);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[7-Aza-tryptophan]-[Sarc]-NH_2 (SEQ ID NO:119);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[(D)NMeTyr]-NH_2 (SEQ ID NO:120);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[(D)NMeTyr]-NH_2 (SEQ ID NO:121);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[(D)NMeTyr]-NH_2 (SEQ ID NO:122);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[(D)NMeTyr]-NH_2 (SEQ ID NO:123);

Ac-[Pen]-S-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:124);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:125);

Ac-[Pen]-N-T-[W(7-Ph)]-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:126);

Ac-[Pen]-N-T-[W(7-Ph)]-Q-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:127);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[bA]-NH_2 (SEQ ID NO:130);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[bA]-NH_2 (SEQ ID NO:131);

Ac-[Pen]-S-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:132);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NOs:133, 141);

Ac-[Abu]-Q-T-[W(7-Ph)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:134);

Ac-[Abu]-Q-T-[W(7-Ph)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:135);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:136);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aceylaminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:137);

Ac-[Pen]-E-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:138);

Ac-[Pen]-E-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:139);

Ac-[Abu]-Q-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:140);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:141);

Ac-[Pen]-N-T-[W(7-(3-carboxamidophenyl))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:142);

Ac-[Pen]-N-T-[W(7-pyrimidin-5-yl)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:143);

Ac-[Pen]-N-T-[W(7-imidazopyridinyl)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:144);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[NMe(Lys)]-[Lys(Ac)]-N-[His_3Me]-NH_2 (SEQ ID NO:145);

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[His_3Me]-NH_2 (SEQ ID NO:146);

Ac-[Pen]-N-T-[W(7-(4Quin))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:147);

Ac-[Pen]-N-T-[(W(7-(3-pyrazol-1-yl))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:148 151);

Ac-[Pen]-N-T-[(W(7-(5-Et))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:149);

Ac-[Pen]-N-T-[W(5-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:150);

Ac-[Pen]-N-T-[(W(7-(3-pyrazol-1-yl))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:151);

Ac-[Pen]-N-T-[W(7-indazol-5-yl)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:152);

Ac-[Pen]-N-T-[W(4-F)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:153);

Ac-[Pen]-N-T-[W(5-CN)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:154);

Ac-[Pen]-N-T-[W(7-CN)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:155);

Ac-[Pen]-N-T-[W(4-OMe)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:156);

Ac-[Pen]-N-T-[W(4-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:157);

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NOs:158, 162, 284);

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:159, 285);

Ac-[Pen]-N-T-[W(5-Ca)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:160);

Ac-[Pen]-N-T-[Trp_4Aza]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:161);

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:162);

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:163);

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[(5Pyal)]-NH_2 (SEQ ID NO:164);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-Me-Lys]-[Lys(Ac)]-N-[(5Pyal)]-NH_2 (SEQ ID NO:165);

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[(1-Me)His]-NH_2 (SEQ ID NO:166);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMeLys]-[Lys(Ac)]-N-[(1-Me)His]-NH_2 (SEQ ID NO:167); or

Ac-[Pen]-N -T-[W(7-Me]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-Me-Lys]-[Lys(Ac)]-N-[3Pal]-[(D)NMeTyr]-NH_2 (SEQ ID NO:168);

and wherein the peptide inhibitor is cyclized via a Pen-Pen disulfide bond; or via an Abu-C thioether bond;

or a pharmaceutically acceptable salt thereof.

[00260] In certain aspect, the present invention provides a peptide which comprises or is:

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-NH_2 (SEQ ID NO:80);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:104);

Ac-[Pen]-N-T-[W(7-Me)]-Q-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:108);

Ac-[Abu]-Q-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:110);

Ac-[Abu]-Q-T-[W(7-Me)]-[Cit]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:112);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Quin]-[Sarc]-NH_2 (SEQ ID NO:118);

Ac-[Pen]-S-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:124); or

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:125);

and wherein the peptide inhibitor is cyclized via a Pen-Pen disulfide bond; or via an Abu-Cys thioether bond;

or a pharmaceutically acceptable salt thereof.

[00261] In certain aspect, the present invention provides a peptide which comprises or is:

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:105);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:106);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:117);

Ac-[Pen]-N-T-[W(7-Ph)]-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:126);

Ac-[Pen]-N-T-[W(7-Ph)]-Q-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:127);

Ac-[Abu]-Q-T-[W(7-Ph)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:134);

Ac-[Abu]-Q-T-[W(7-Ph)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:135);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:136);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aceylaminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:137); or

Ac-[Pen]-E-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:139);

and wherein the peptide inhibitor is cyclized via a Pen-Pen disulfide bond; or via an Abu-C thioether bond;

or a pharmaceutically acceptable salt thereof.

[00262] In certain embodiments, the peptide inhibitor comprises or is any one of the amino acid sequence listed below:

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMeLeu]-E-N-[(D)Lys]-[(D)NMeTyr]-NH_2 (SEQ ID NO:201),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMeLeu]-E-N-[(D)His]-[(D)NMeTyr]-NH_2 (SEQ ID NO:202),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Orn]-[(D)NMeTyr]-NH_2 (SEQ ID NO:203),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Ser]-[(D)NMeTyr]-NH_2 (SEQ ID NO:204),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Phe]-[(D)NMeTyr]-NH_2 (SEQ ID NO:205),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[(D)Tyr]-NH_2 (SEQ ID NO:206),

Ac-[Pen]-N-T-[W(7-Me)]-[(D)Tyr]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[(D)NMeTyr]-NH_2 (SEQ ID NO:207),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-P-NH_2 (SEQ ID NO:208),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[(D)Pro]-NH_2 (SEQ ID NO:209),

Ac-[Pen]-N-T-[W(7-Me)]-[Phe(4-CONH2)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[(D)NMeTyr]-NH_2 (SEQ ID NO:210),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-(D)Phe[4-NH_2]-[Sarc]-NH_2 (SEQ ID NO:211),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-NH_2 (SEQ ID NO:212),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-N(H)Me (SEQ ID NO:213),

Ac-[Pen]-N-T-[W(7-Me)]-[Phe(4-NH(Ac))]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[(D)NMeTyr]-NH_2 (SEQ ID NO:214),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Tyr]-[(D)NMeTyr]-NH_2 (SEQ ID NO:215),

Ac-[Pen]-N-T-[W(7-Me)]-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMeLeu]-[Lys(Ac)]-N-[(D)Lys]-[(D)NMeTyr]-NH_2 (SEQ ID NO:216),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)His]-[(D)NMeTyr]-NH_2 (SEQ ID NO:217),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[bAla]-[(D)NMeTyr]-NH_2 (SEQ ID NO:218),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[bAla]-[(D)NMeTyr]-NH_2 (SEQ ID NO:219),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[bAla]-[(D)NMeTyr]-NH_2 (SEQ ID NO:220),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-E-N-H-N(H)Me (SEQ ID NO:221),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[THP]-P-NH_2 (SEQ ID NO:222),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[THP]-[(D)Pro]-NH_2 (SEQ ID NO:223),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-[bAla]-[Sarc]-NH_2 (SEQ ID NO:224),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-[(D)Val]-[Sarc]-NH_2 (SEQ ID NO:225),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-[(D)Arg]-[Sarc]-NH_2 (SEQ ID NO:226),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-[Hph]-[Sarc]-NH_2 (SEQ ID NO:227),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-Phe[4-NH_2]-[Sarc]-NH_2 (SEQ ID NO:228),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-Phe[4-NH_2]-[Sarc]-NH_2 (SEQ ID NO:229),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-F-[Sarc]-NH_2 (SEQ ID NO:230),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-[THP]-[Sarc]-NH_2 (SEQ ID NO:231),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-[(D)Leu]-[Sarc]-NH_2 (SEQ ID NO:232),

Ac-[(D)Arg]-[Cys]-N-T-[W(7-Me)]-[Lys(Ac)]-[aMeCys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:233),

Ac-[(D)Arg]-[Cys]-N-T-[W(7-Me)]-[Lys(Ac)]-[aMeCys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-[(D)Leu]-[Sarc]-NH_2 (SEQ ID NO:234),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:235),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[bAla]-[Sarc]-NH_2 (SEQ ID NO:236),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Val]-[Sarc]-NH_2 (SEQ ID NO:237),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Arg]-[Sarc]-NH_2 (SEQ ID NO:238),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[Hph]-[Sarc]-NH_2 (SEQ ID NO:239),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Tyr]-[Sarc]-NH_2 (SEQ ID NO:240),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Tyr]-[Sarc]-NH_2 (SEQ ID NO:241),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[4Pal]-NH_2 (SEQ ID NO:242),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[Phe(4-CF3)]-[Sarc]-NH_2 (SEQ ID NO:243),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-Tyr_CHF2-[Sarc]-NH_2 (SEQ ID NO:244),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[THP]-P-NH_2 (SEQ ID NO:245),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[(D)NMeTyr]-NH_2 (SEQ ID NO:246),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:247),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[4Pal]-[Sarc]-NH_2 (SEQ ID NO:248),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[Phe(2-aminomethyl)]-[Sarc]-NH_2 (SEQ ID NO:249),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Pro(4,4diF)]-NH_2 (SEQ ID NO:250),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[aMePro]-NH_2 (SEQ ID NO:251),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Aib]-NH_2 (SEQ ID NO:252),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[His(3-Me)]-[Sarc]-NH_2 (SEQ ID NO:253),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[His(3-Me)]-[Sarc]-NH_2 (SEQ ID NO:261),

Ac-[(D)Arg]-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[His(3-Me)]-[Sarc]-NH_2 (SEQ ID NO:262),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:266),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-N(H)Me (SEQ ID NO:267),

[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:270),

Ac-[(D)Arg]-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:271),

Pr-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]- Phe[4-(2-(N-propionylamino)ethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:272),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-(N-(4-hydroxy-3-methylphenyl) propionylamino) ethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:273),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal(5-Me)]-[Sarc]-NH_2 (SEQ ID NO:276),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal(5-NH_2)]-[Sarc]-NH_2 (SEQ ID NO:277),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[His(3-Me)]-N(H)Me (SEQ ID NO:278),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[(D)NMeTyr]-NH_2 (SEQ ID NO:279),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Gly(N-cyclohexylmethyl)]-NH_2 (SEQ ID NO:280),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Gly(N-isobutyl)]-NH_2 (SEQ ID NO:281),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal(3-Me)]-NH_2 (SEQ ID NO:282),

Ac-[(D)Arg]-[aMeCys]-N-T-[W(7-Me)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:283),

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:284),

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:159, 285),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:286),

Ac-[Pen]-[Gly(Allyl)]-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Tyr(O-Allyl)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:287),

Ac-[Pen]-[Gly(Allyl)]-D-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Tyr(O-Allyl)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2(SEQ ID NO:288),

Ac-[Pen]-[Gly(Allyl)]-T-(W(4-F)]-[Lys(Ac)]-[Pen]-[Tyr(O-Allyl)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:289),

Ac-[Pen]-N-D-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:290),

Ac-[Pen]-L-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:291),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:299),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-F-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:308),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[(D)Tyr]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:309),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:310),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:311),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(N-acetyl-N-propyl)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:332),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(N-acetyl-N-butyl)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:333),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(N-acetyl-N-isobutyl)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:334),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(N-acetyl-N-benzyl)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:335),

Ac-[Pen]-L-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2-Nal]-[aMeLeu]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:339),

Ac-[Pen]-L-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2-Nal]-[aMeLeu]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:347), or

Ac-[Abu]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:373),

and wherein the peptide inhibitor is cyclized via a Pen-Pen disulfide bond; or via an Abu-C thioether bond;

or a pharmaceutically acceptable salt thereof.

[00263] In certain embodiments, the peptide is

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:104),

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:106),

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NOs:158, 162, 284),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NOs:247, 266),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[His(3-Me)]-[Sarc]-NH_2 (SEQ ID NO:261), or

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-N(H)Me (SEQ ID NO:267),

wherein the peptide inhibitor is cyclized via a Pen-Pen disulfide bond, or a pharmaceutically acceptable salt thereof.

[00264] In certain embodiments, the peptide is

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:104),

wherein the peptide inhibitor is cyclized via a Pen-Pen disulfide bond, or a pharmaceutically acceptable salt thereof.

[00265] In certain embodiments, the peptide is

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:106),

wherein the peptide inhibitor is cyclized via a Pen-Pen disulfide bond, or a pharmaceutically acceptable salt thereof.

[00266] In certain embodiments, the peptide is

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NOs:158, 162, 248),

wherein the peptide inhibitor is cyclized via a Pen-Pen disulfide bond, or a pharmaceutically acceptable salt thereof.

[00267] In certain embodiments, the peptide is

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NOs:247, 266),

wherein the peptide inhibitor is cyclized via a Pen-Pen disulfide bond, or a pharmaceutically acceptable salt thereof.

[00268] In certain embodiments, the peptide is

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[His(3-Me)]-[Sarc]-NH_2 (SEQ ID NO:261),

wherein the peptide inhibitor is cyclized via a Pen-Pen disulfide bond, or a pharmaceutically acceptable salt thereof.

[00269] In certain embodiments, the peptide is

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-N(H)Me (SEQ ID NO:267),

wherein the peptide inhibitor is cyclized via a Pen-Pen disulfide bond, or a pharmaceutically acceptable salt thereof.

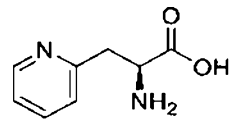
[00270] In certain embodiments, the peptide is

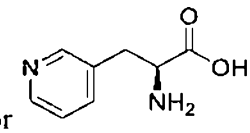
[N3_Acid]-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:274), or

[FPrpTriazoleMe_Acid]-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:275),

wherein the peptide inhibitor is cyclized via a Pen-Pen disulfide bond, or a pharmaceutically acceptable salt thereof.

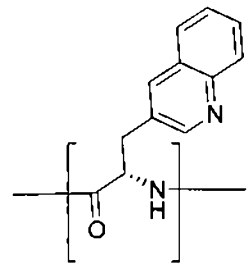
[00271] In certain embodiments, X15 is Arg, (D)Arg, aMeArg, His, (D)His, Sar, 2-Pal, or 3-Pal; wherein 2-Pal is 2-pyridyl substituted alanine, and 3-Pal is 3-pyridyl substituted alanine:



(2-Pal) or


(3-Pal).

[00272] In certain embodiments, X11 is 3-Quin, and wherein 3-Quin is Ph of Phe is replaced with 3-quinolinyl or is NH-C(3-quinolinylmethyl)(H)-C(O)- or:

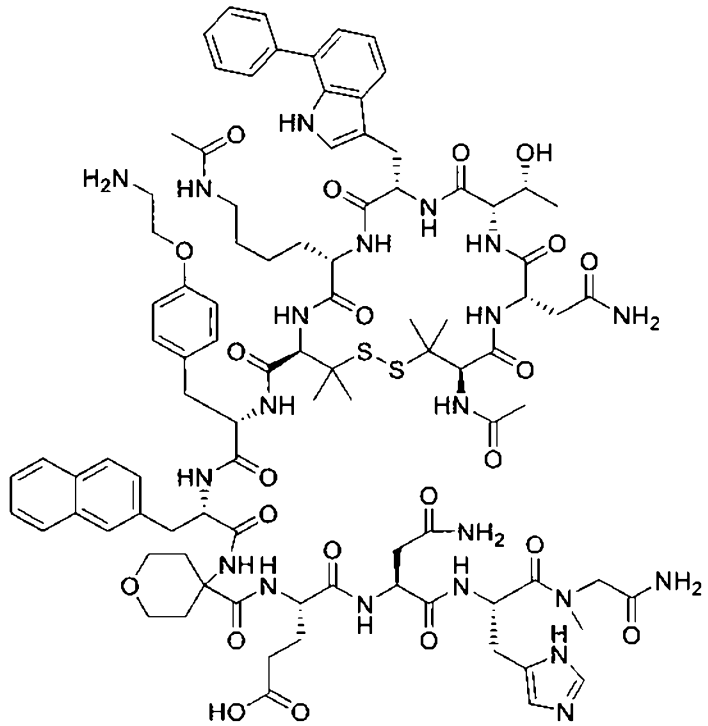


.

[00273] In certain aspect, the present invention provides a peptide inhibitor of an interleukin-23 receptor, wherein the peptide inhibitor comprises or is any one of the amino acid sequence listed below; or a pharmaceutically acceptable salt thereof:

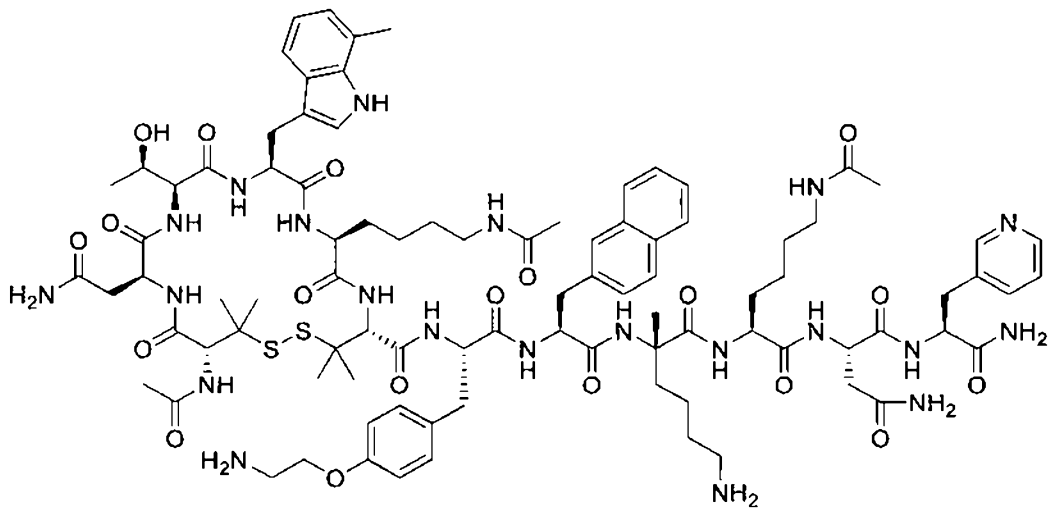
[00274] In certain embodiments, the peptide is, or a pharmaceutically acceptable salt thereof:

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2; (SEQ ID NO:52)



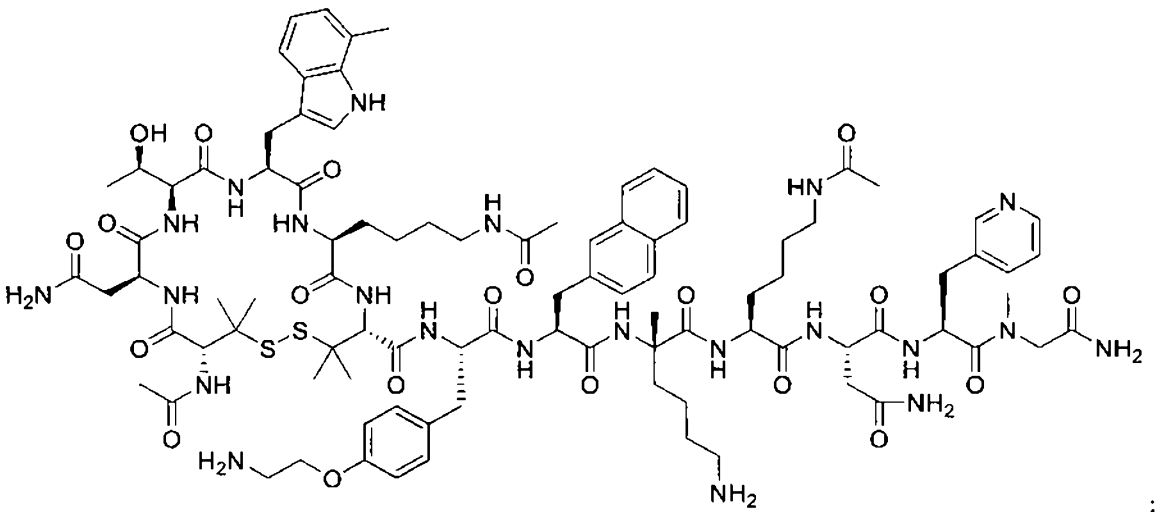
;

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-NH_2; (SEQ ID NO:80)



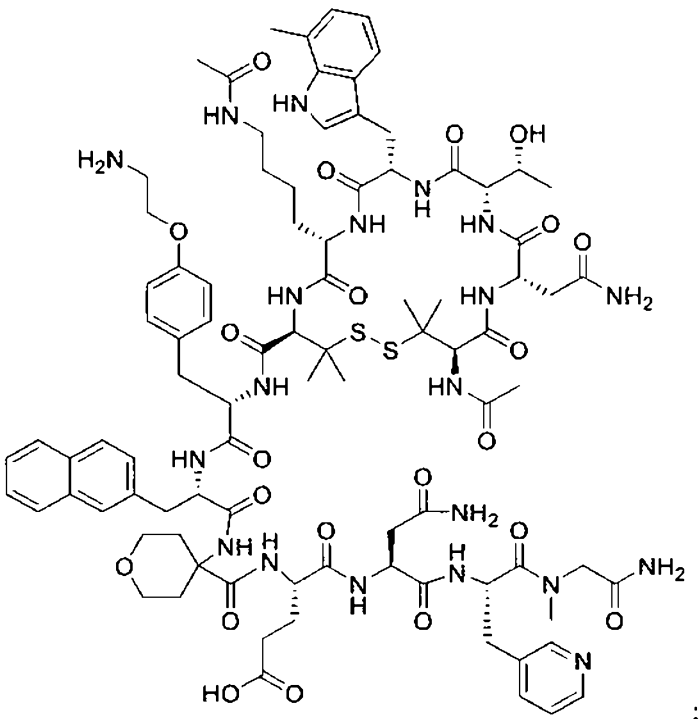
;

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2; (SEQ ID NO:103)



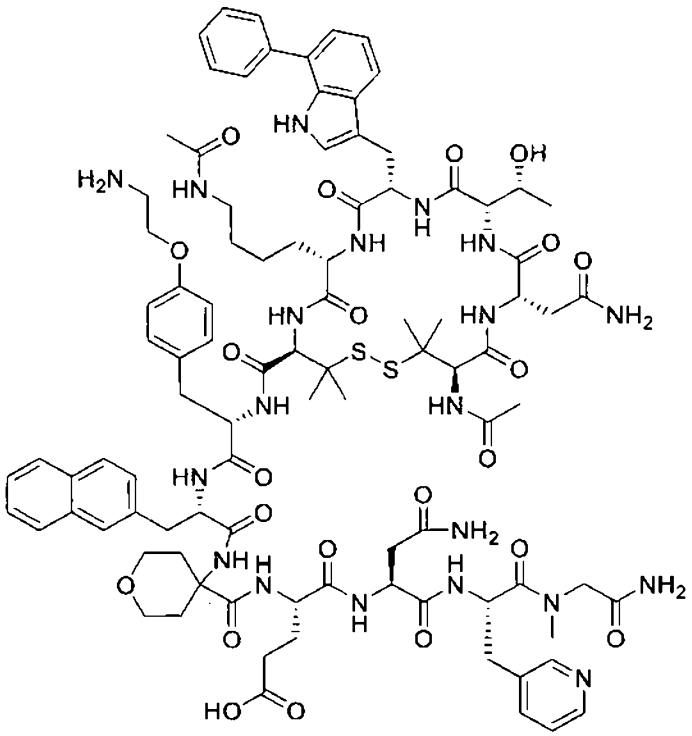
;

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2; (SEQ ID NO:104)



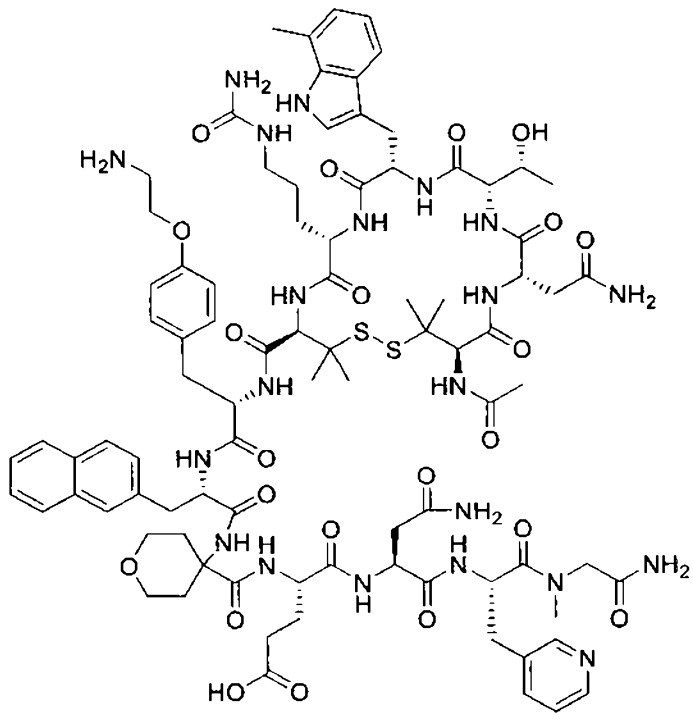
;

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2; (SEQ ID NO:106)



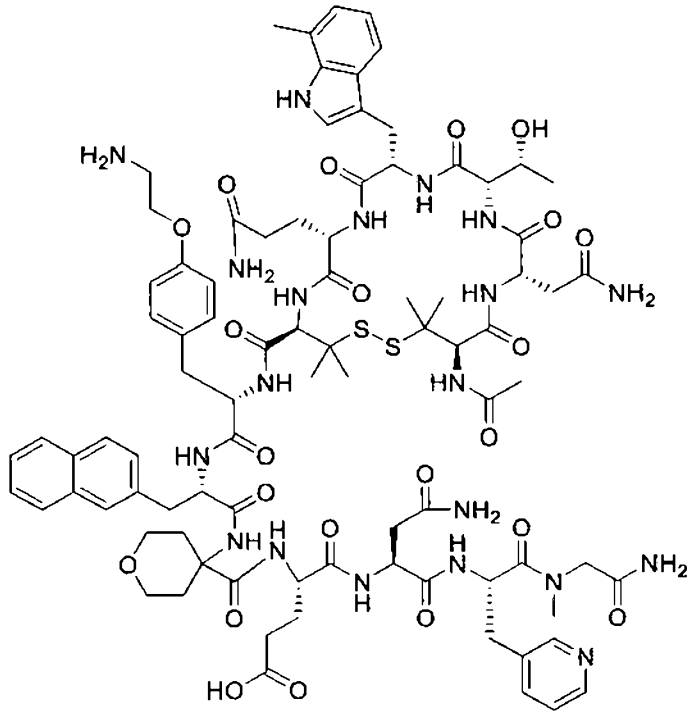
;

Ac-[Pen]-N-T-[W(7-Me)]-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2; (SEQ ID NO:107)



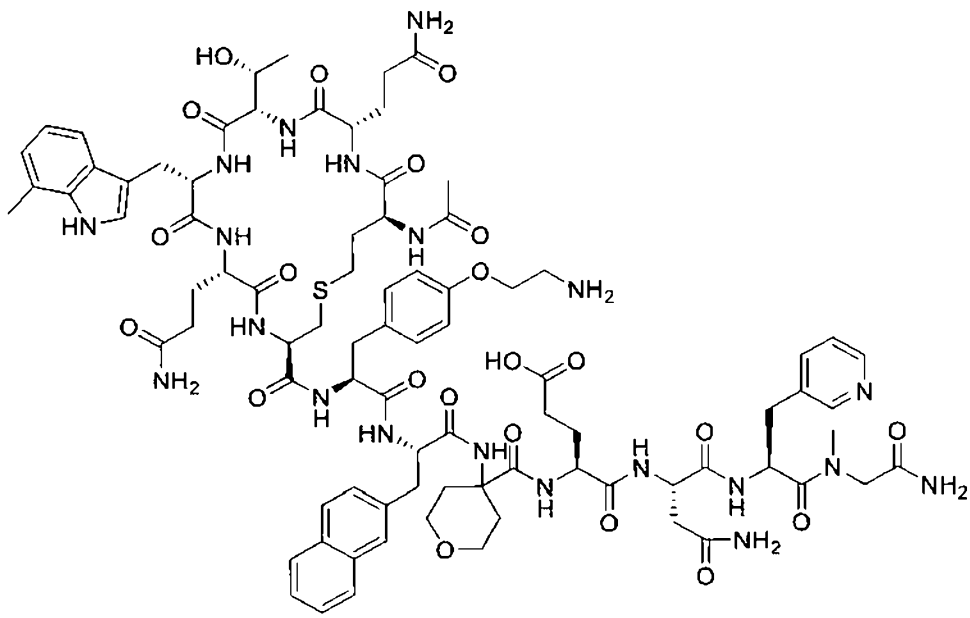
;

Ac-[Pen]-N-T-[W(7-Me)]-Q-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2; (SEQ ID NO:108)



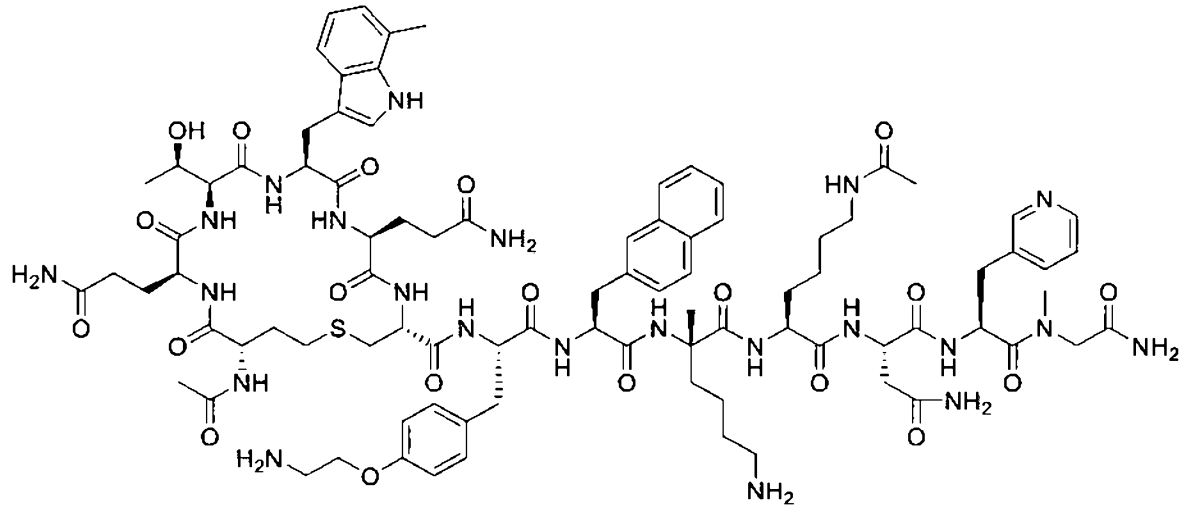
;

Ac-[Abu]-Q-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2; (SEQ ID NO:109)



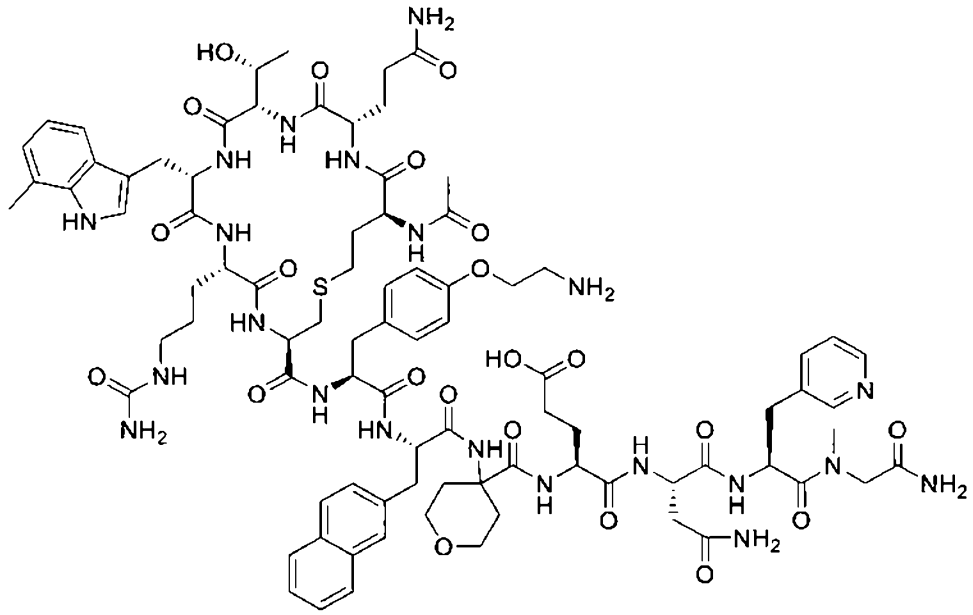
;

Ac-[Abu]-Q-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2; (SEQ ID NO:110)



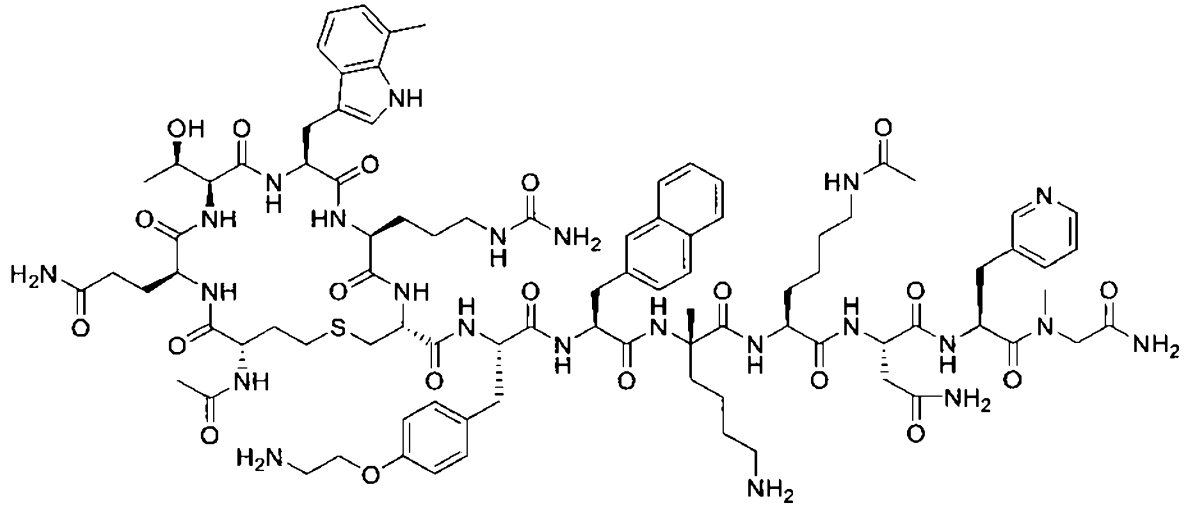
;

Ac-[Abu]-Q-T-[W(7-Me)]-[Cit]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2; (SEQ ID NO:111)



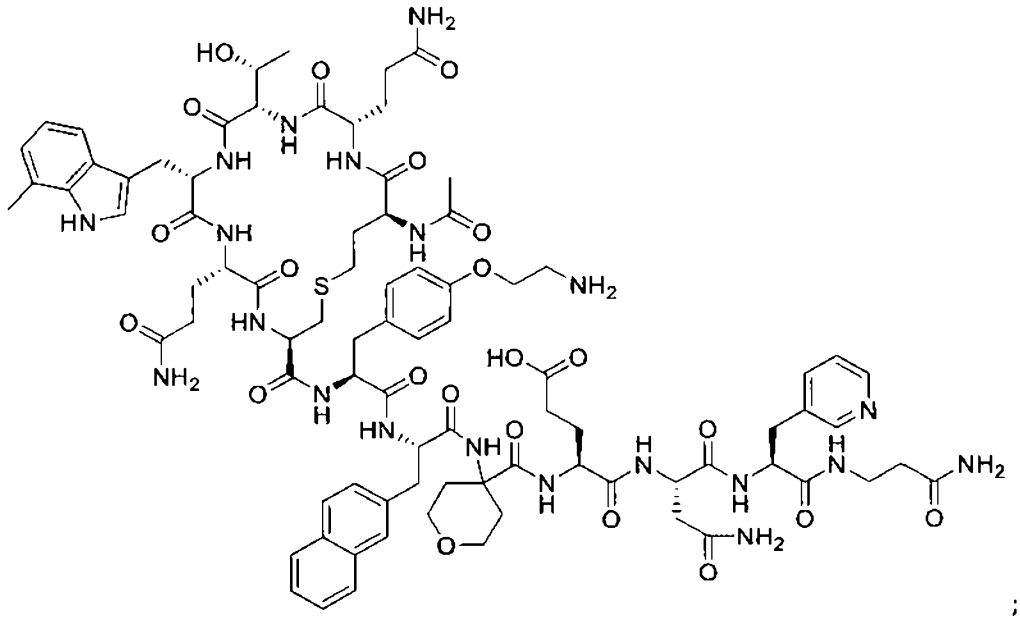
;

Ac-[Abu]-Q-T-[W(7-Me)]-[Cit]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2; (SEQ ID NO:112)



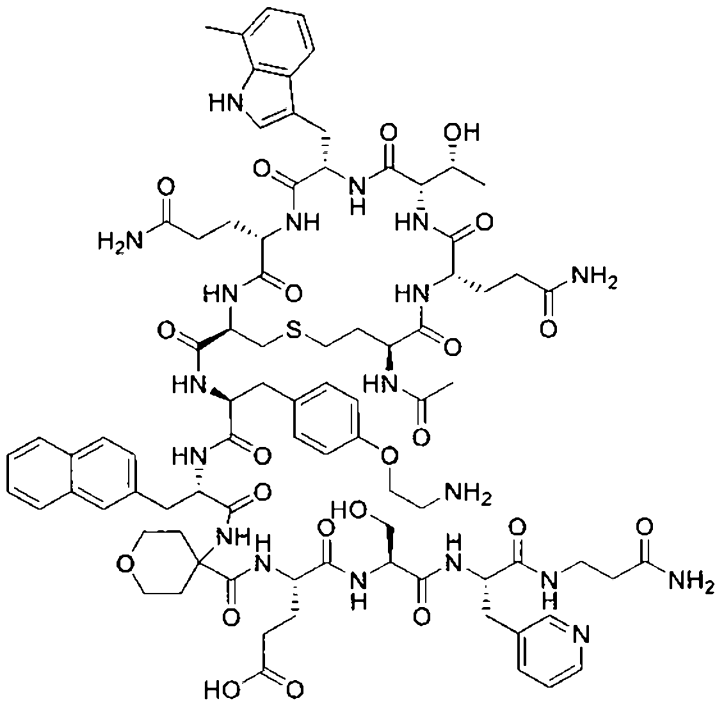
;

Ac-[Abu]-Q-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[bA]-NH_2; (SEQ ID NO:113)



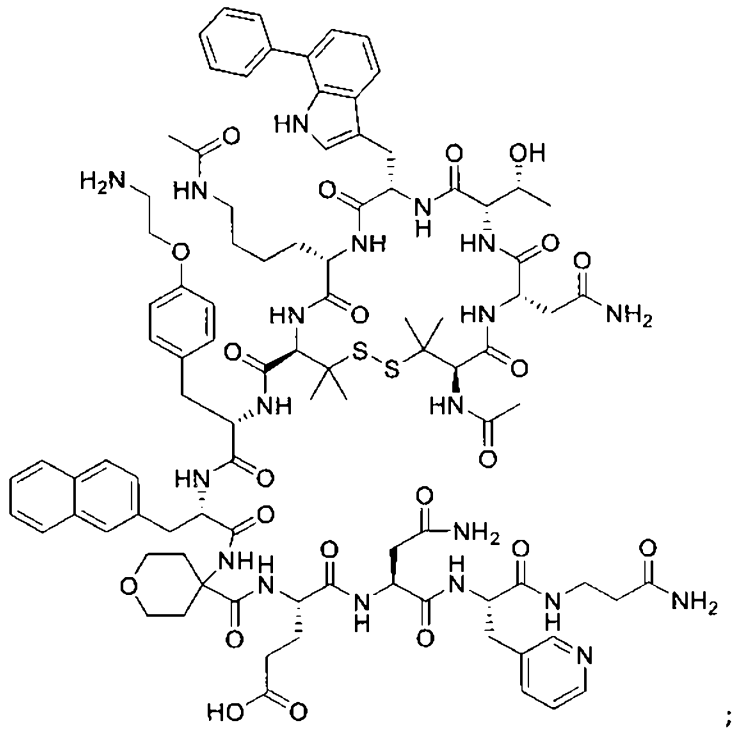
;

Ac-[Abu]-Q-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-[3Pal]-[bA]-NH_2; (SEQ ID NO:114)



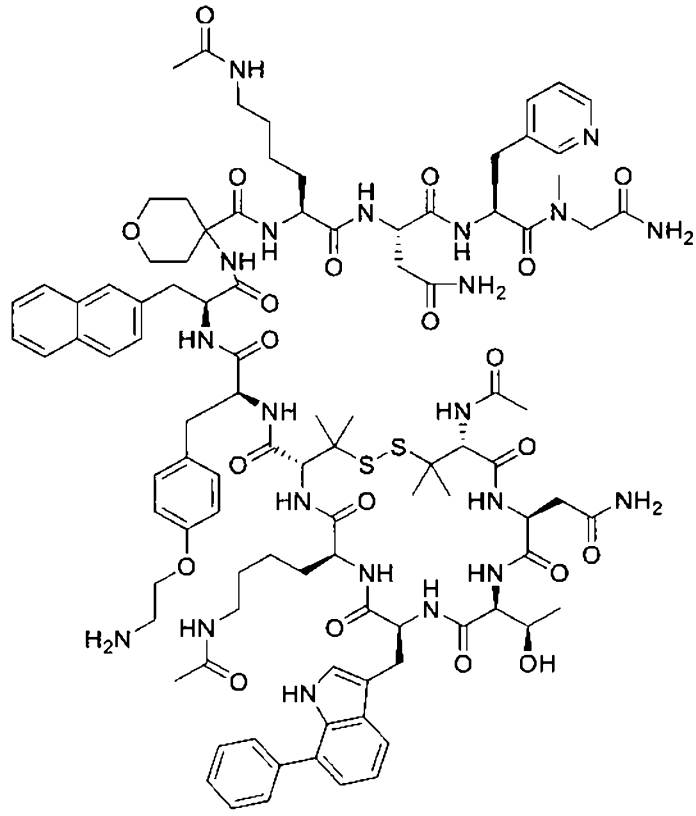
;

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[bA]-NH_2 (SEQ ID NO:116)



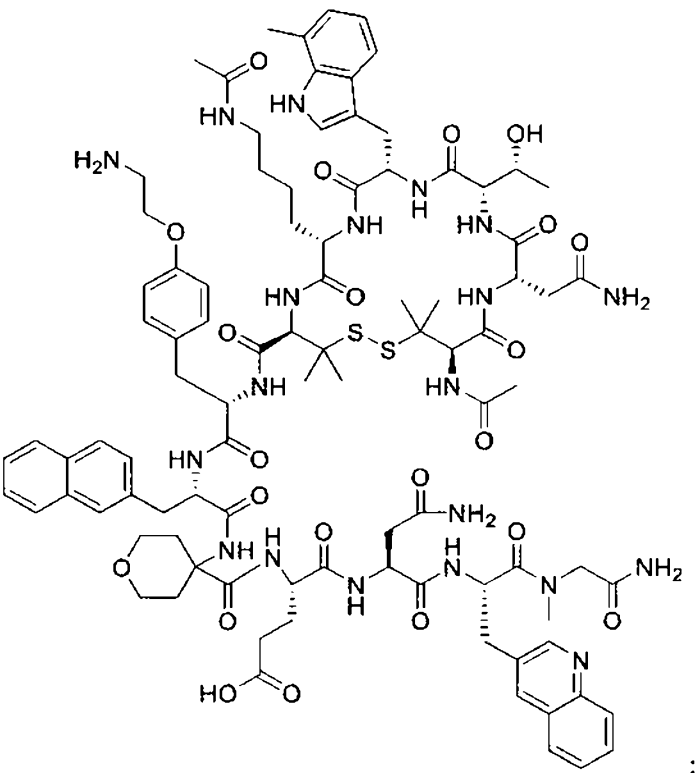
;

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:117)



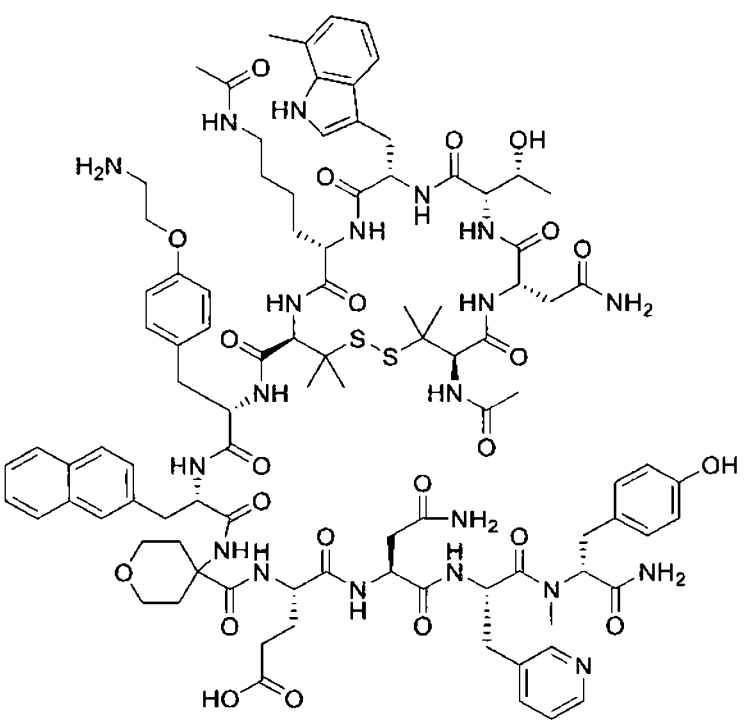
;

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Quin]-[Sarc]-NH_2; (SEQ ID NO:118)



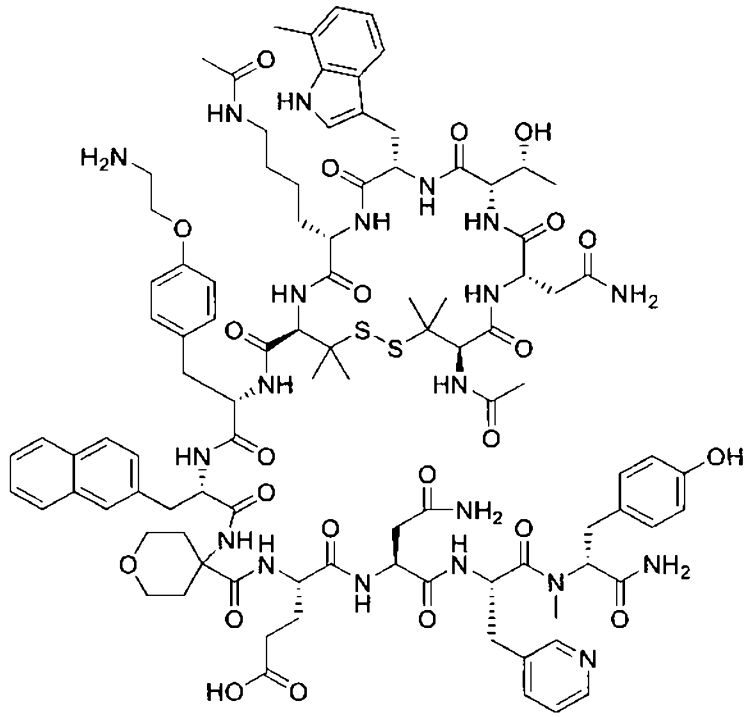
;

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[(D)NMeTyr]-NH_2 (SEQ ID NO:121)



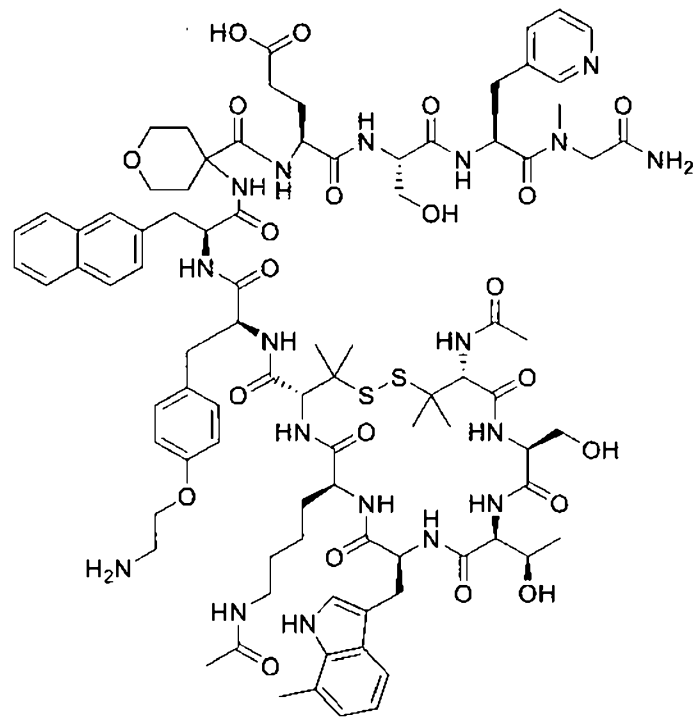
;

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[(D)NMeTyr]-NH_2 (SEQ ID NO:123)



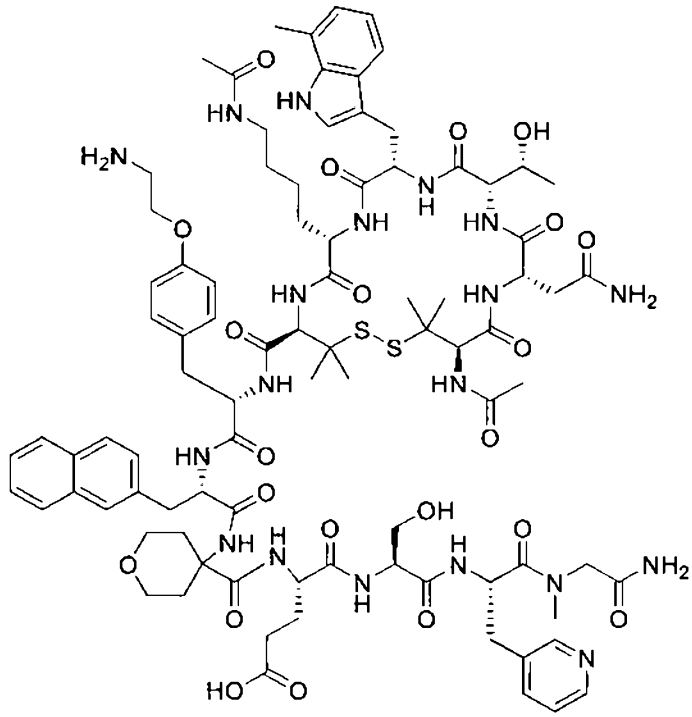
;

Ac-[Pen]-S-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-[3Pal]-[Sarc]-NH_2; (SEQ ID NO:124)



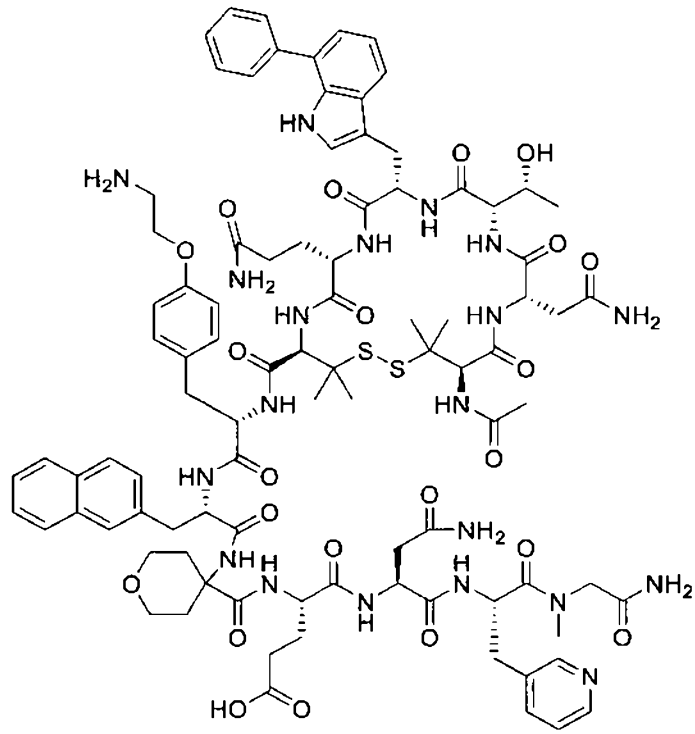
;

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-[3Pal]-[Sarc]-NH_2; (SEQ ID NO:125)



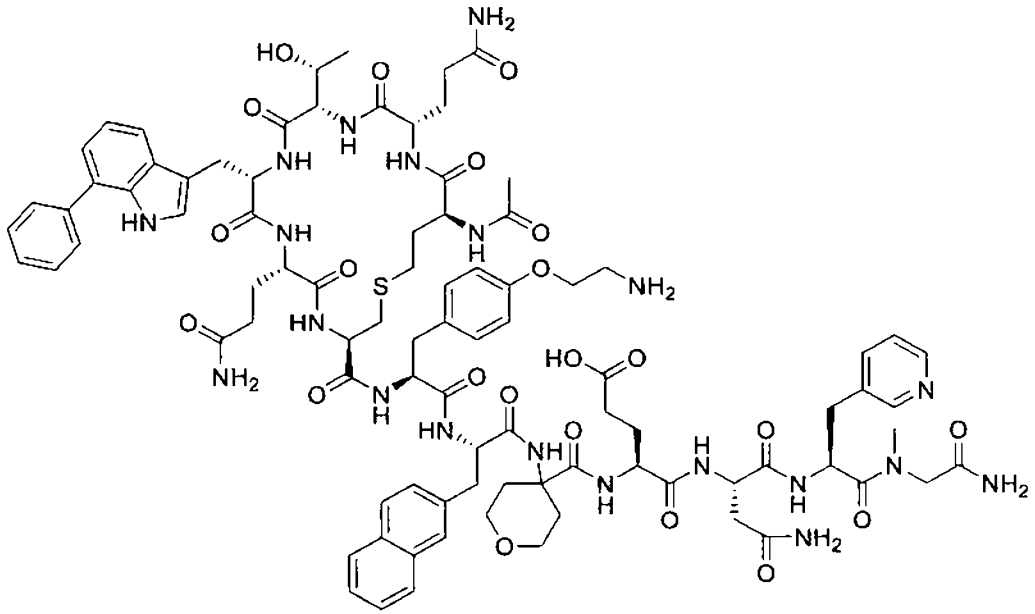
;

Ac-[Pen]-N-T-[W(7-Ph)]-Q-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:127)



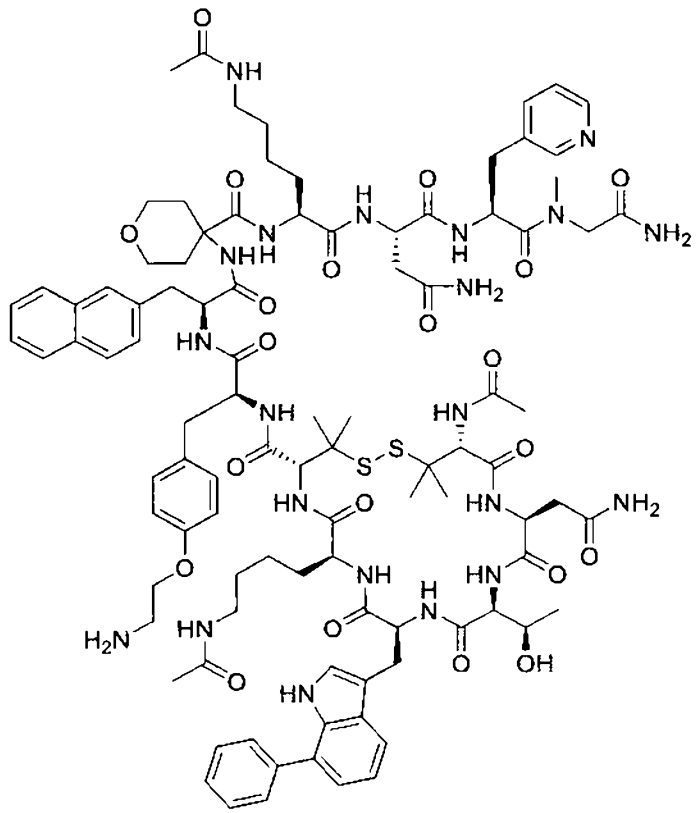
;

Ac-[Abu]-Q-T-[W(7-Ph)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:134)



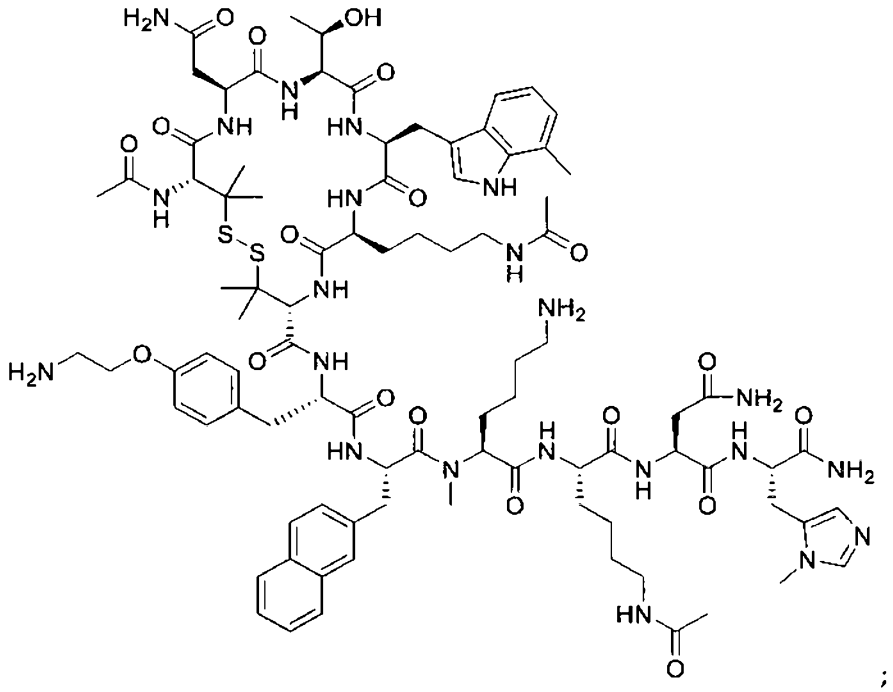
;

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:136)



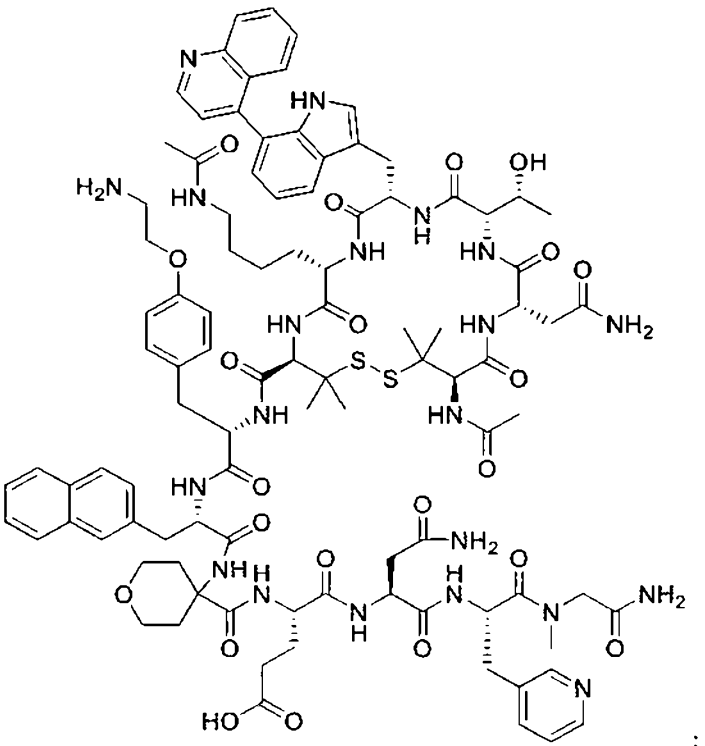
;

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMe(Lys)]-[Lys(Ac)]-N-[His_3Me]-NH_2; (SEQ ID NO:145)



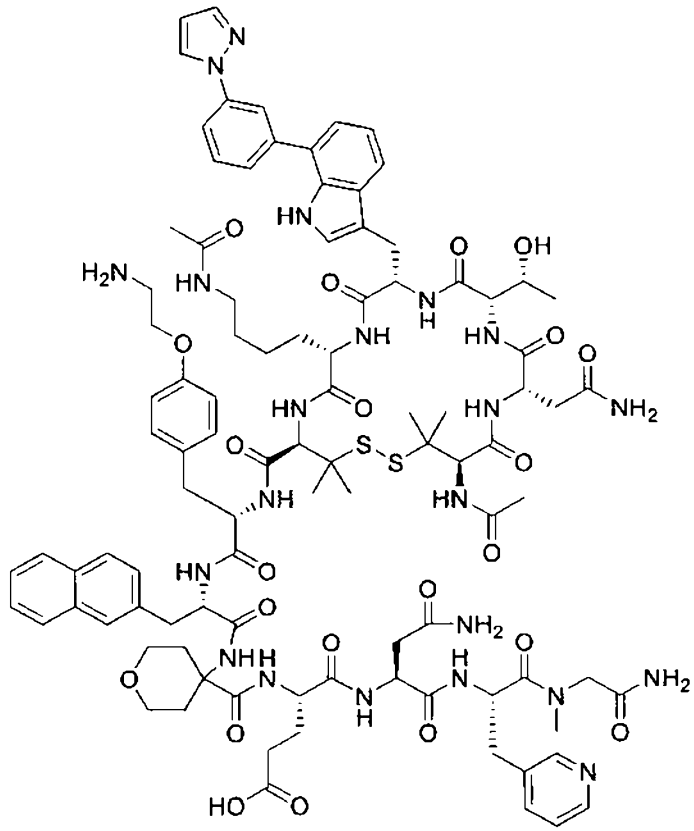
;

Ac-[Pen]-N-T-[W(7-(4Quin))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:147)

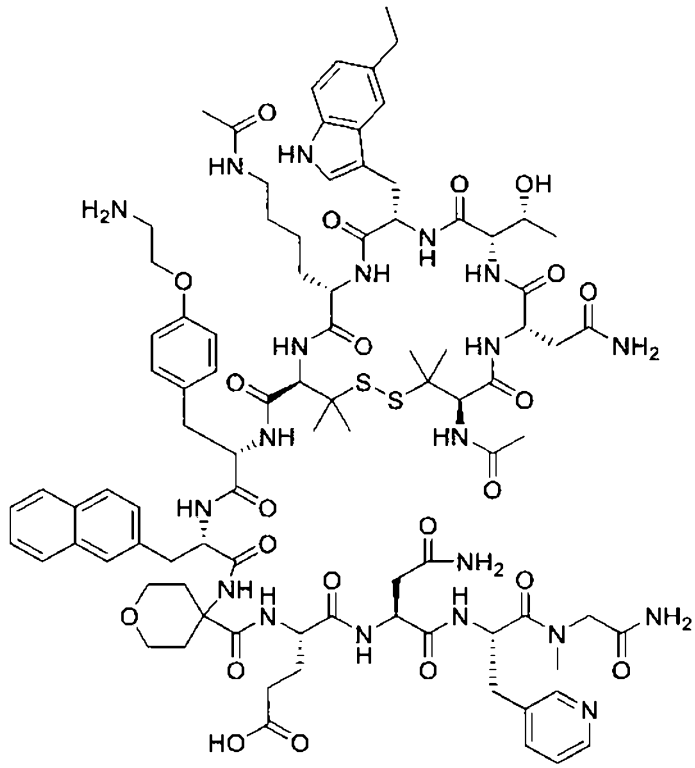


;

Ac-[Pen]-N-T-[(W(7-(3-pyrazol-1-yl))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:148)

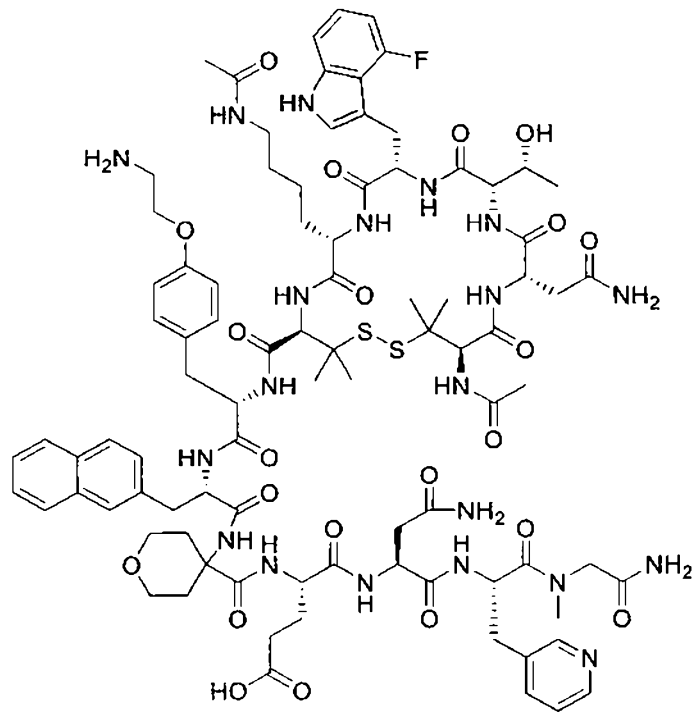


Ac-[Pen]-N-T-[(W(7-(5-Et-Ph))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:149)



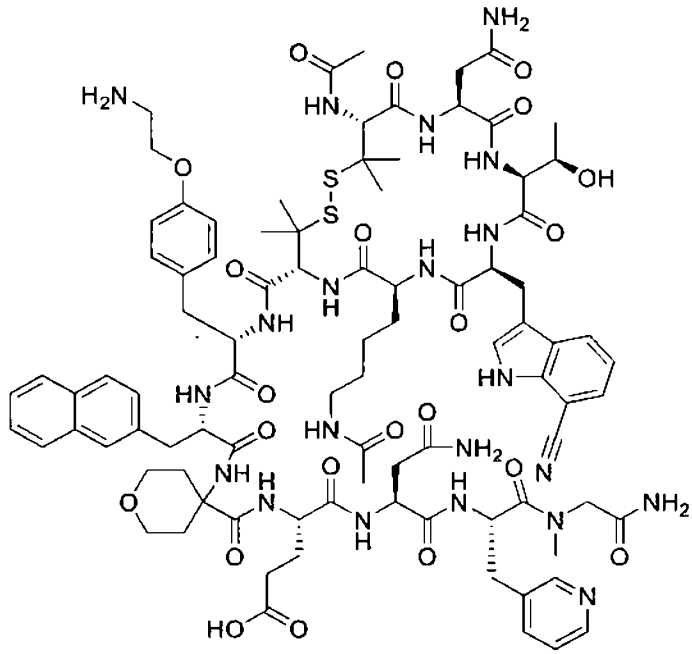
;

Ac-[Pen]-N-T-[W(4-F)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:153)



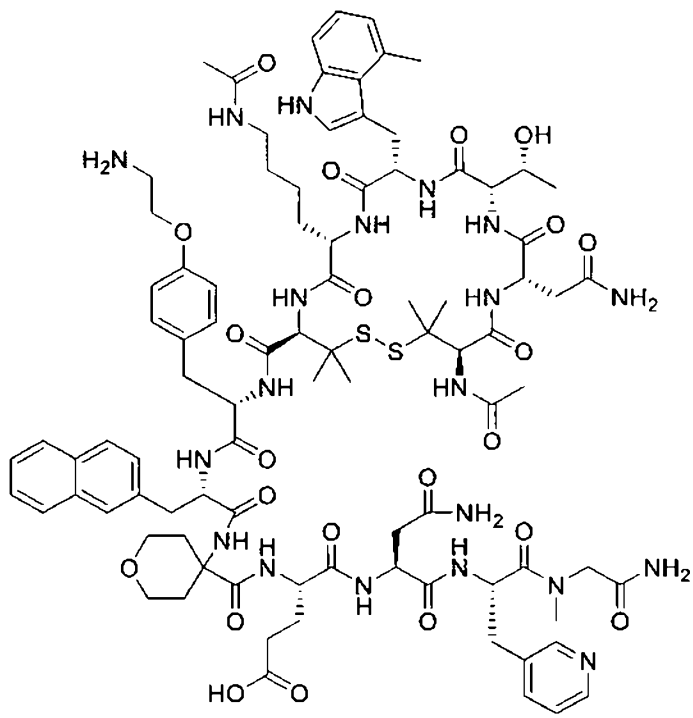
;

Ac-[Pen]-N-T-[W(7-CN)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:155)



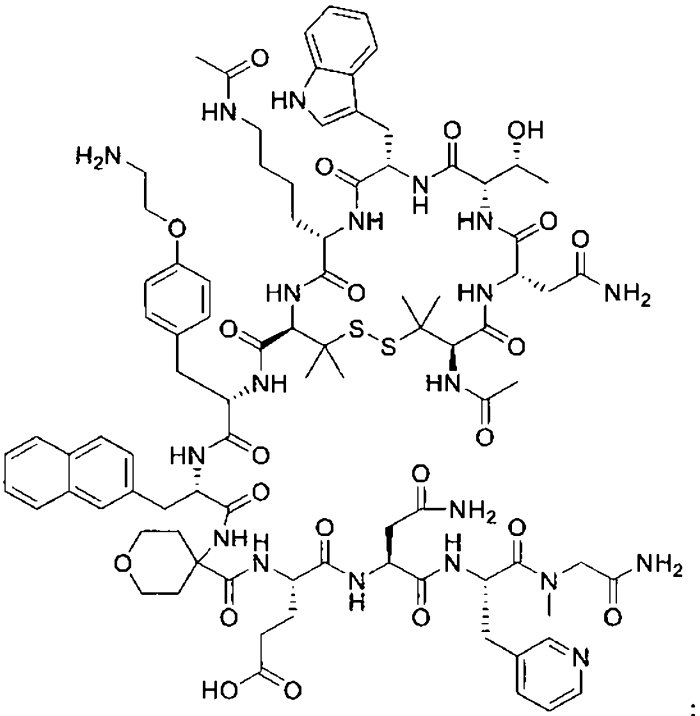
;

Ac-[Pen]-N-T-[W(4-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:157)



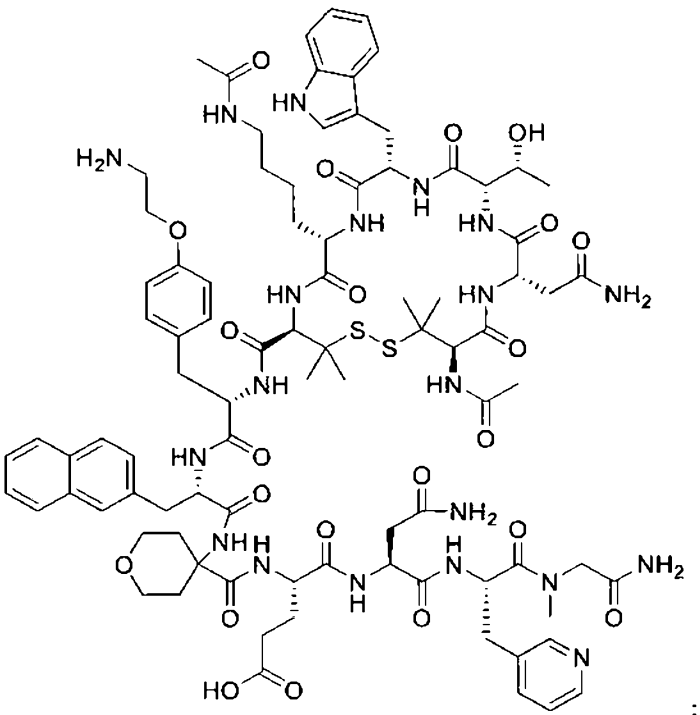
;

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:158)



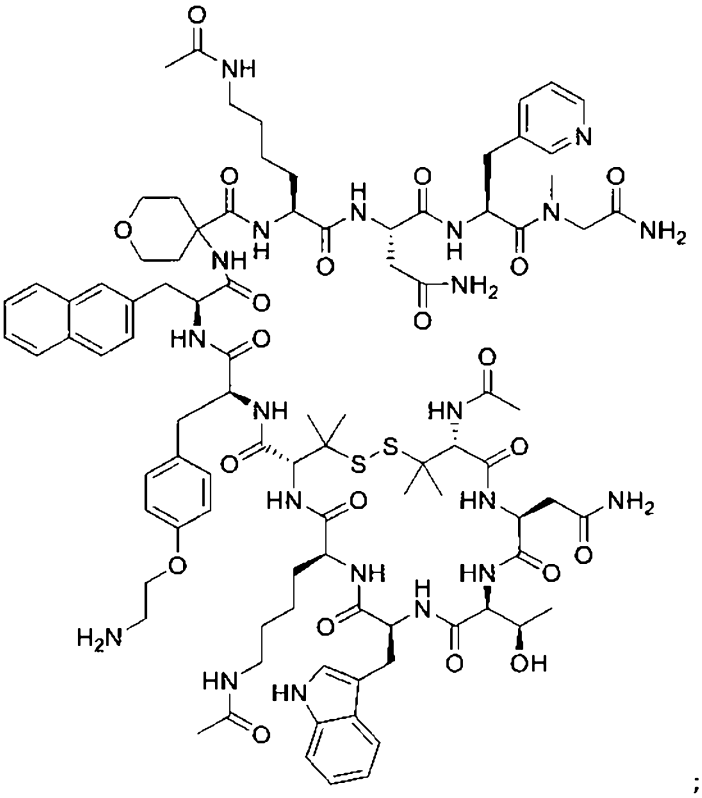
;

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:162)



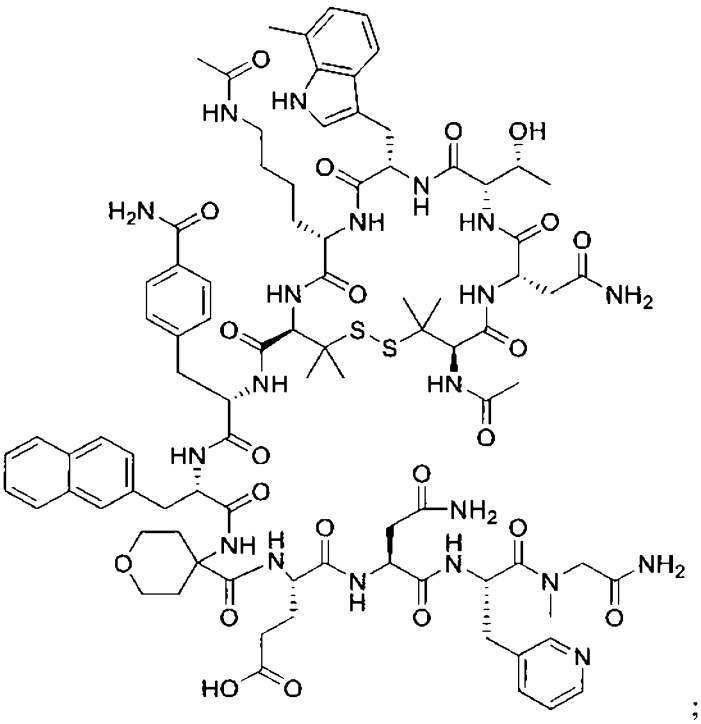
;

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:163)



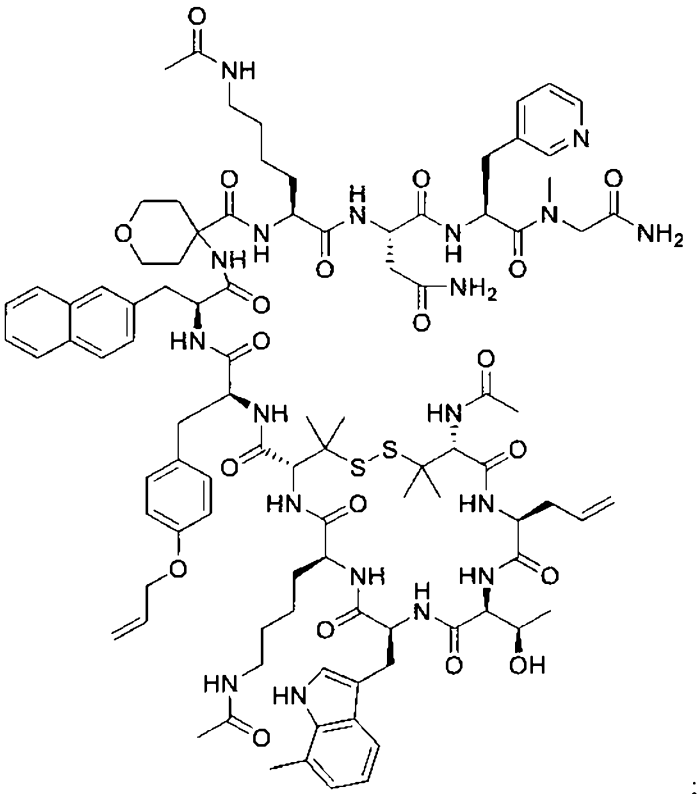
;

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2; (SEQ ID NO:286)



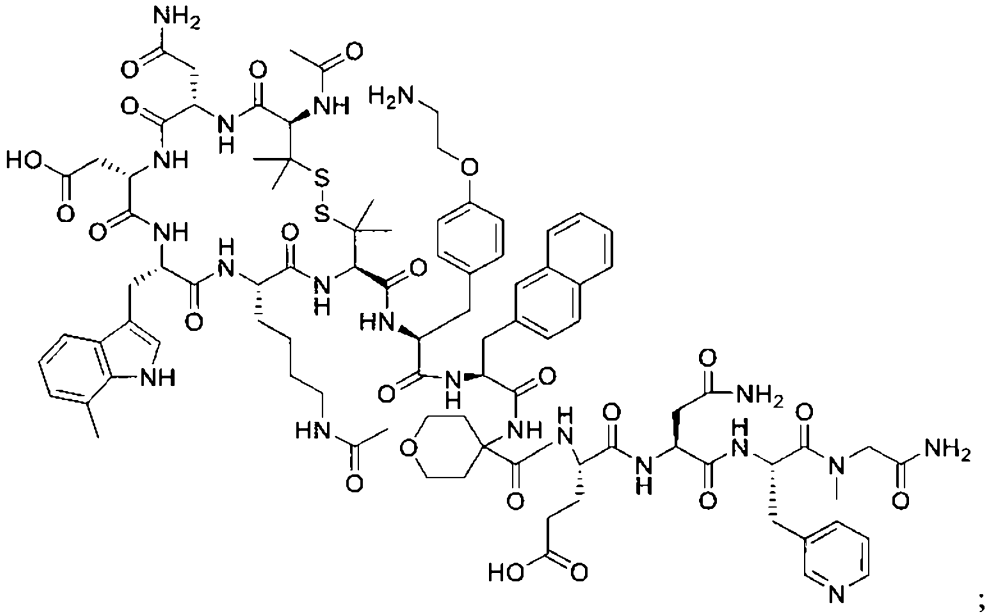
;

Ac-[Pen]-[Gly(Allyl)]-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Tyr(O-Allyl)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2; (SEQ ID NO:287)



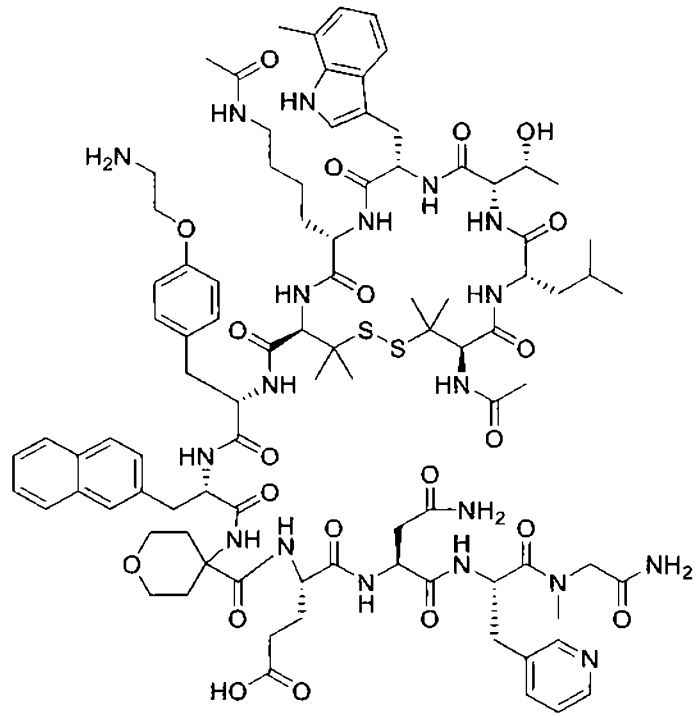
;

Ac-[Pen]-N-D-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2; (SEQ ID NO:290)



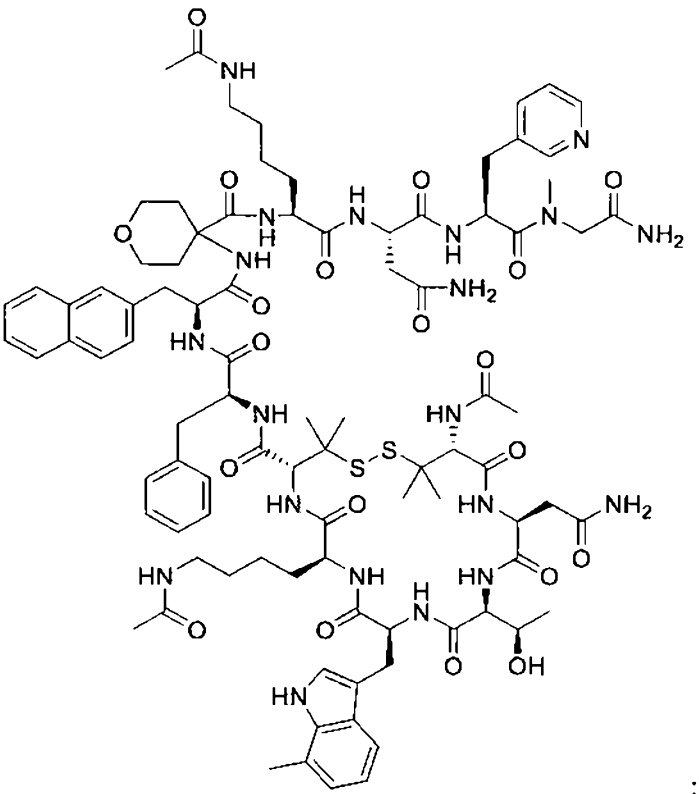
;

Ac-[Pen]-L-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2; (SEQ ID NO:291)



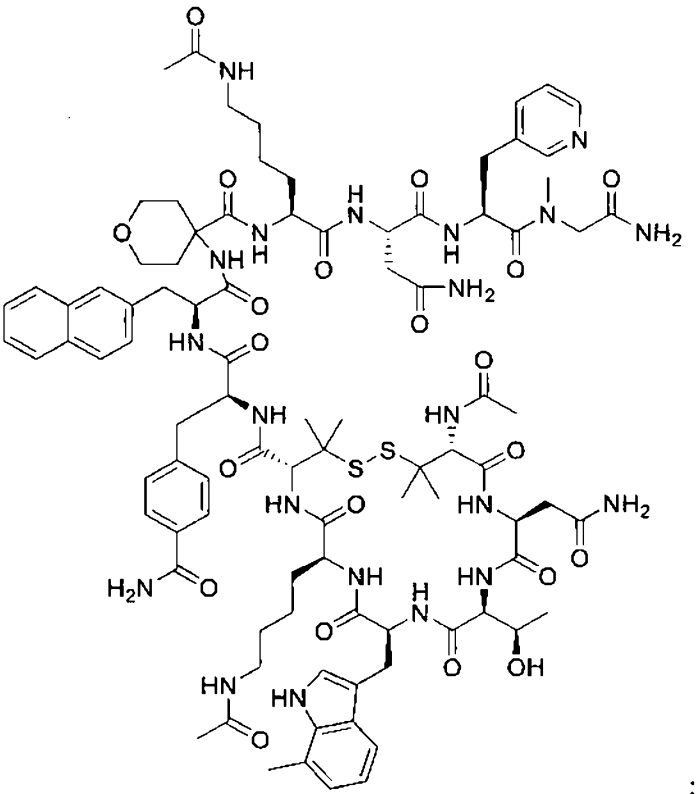
;

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-F-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2; (SEQ ID NO:308)



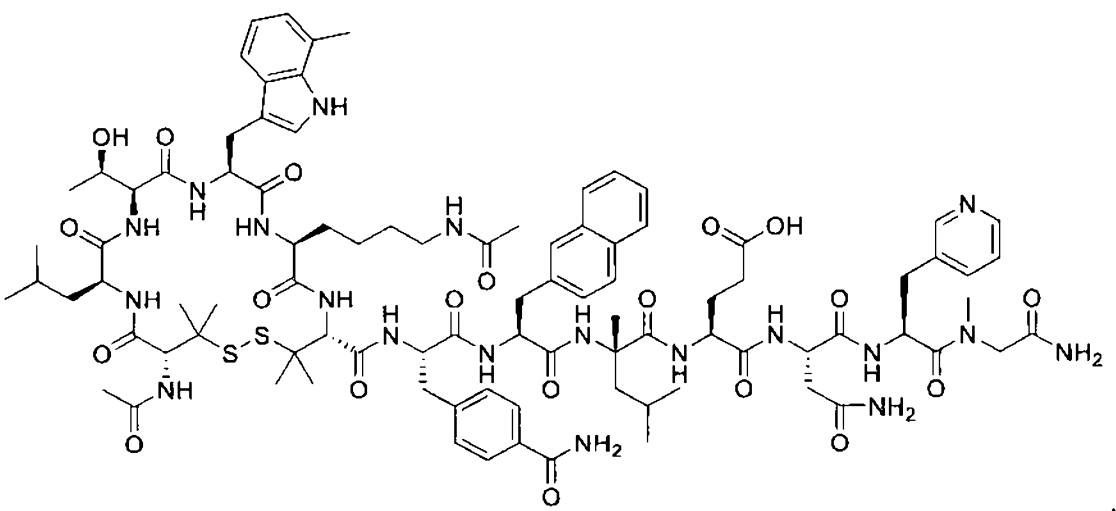
;

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2; (SEQ ID NO:311)



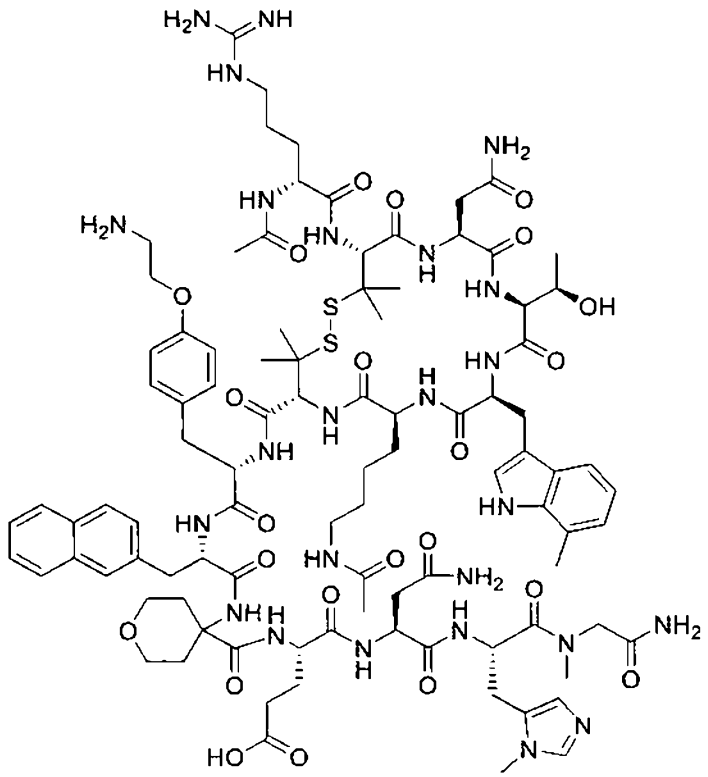
; or

Ac-[Pen]-L-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2-Nal]-[aMeLeu]-E-N-[3Pal]-[Sarc]-NH_2; (SEQ ID NO:339)



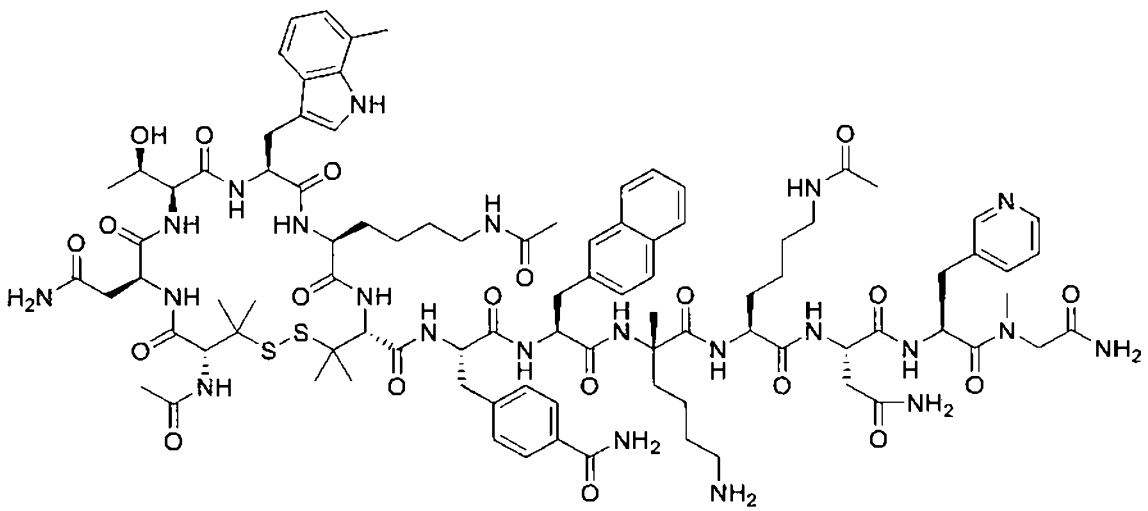
[00275] In certain aspect, the present invention provides a peptide inhibitor of an interleukin-23 receptor, wherein the peptide inhibitor comprises or is any one of the amino acid sequence listed below; or a pharmaceutically acceptable salt thereof:

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[His(3-Me)]-[Sarc]-NH_2; (SEQ ID NO:261)



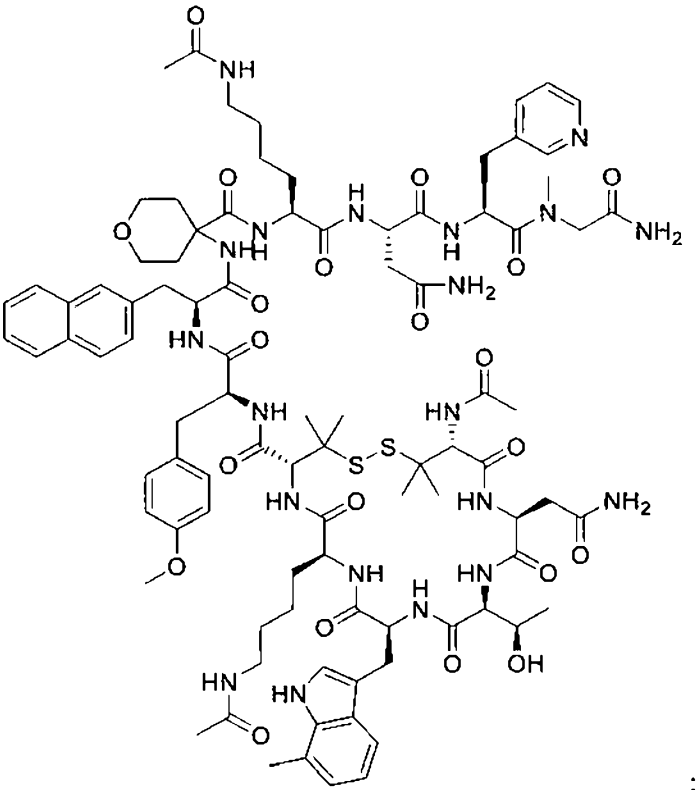
;

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2; (SEQ ID NO:299)



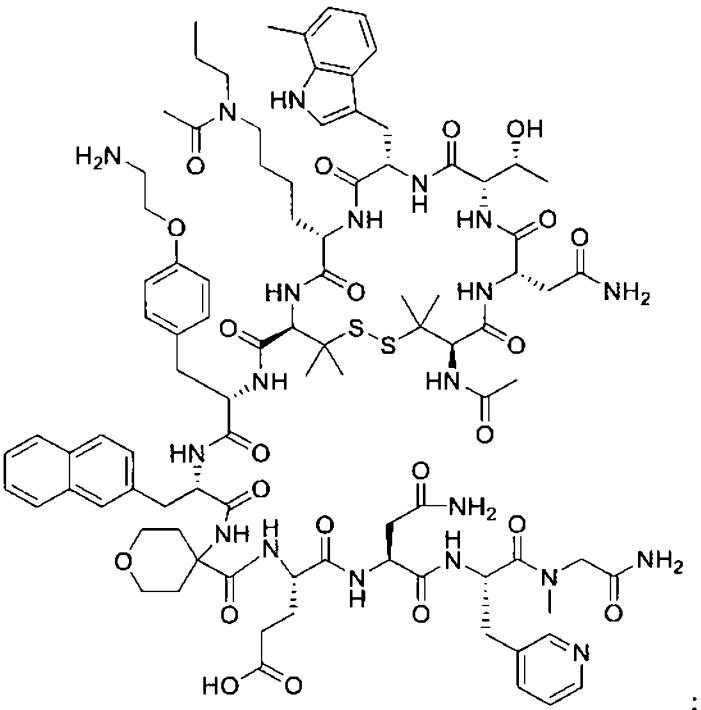
;

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2; (SEQ ID NO:310)



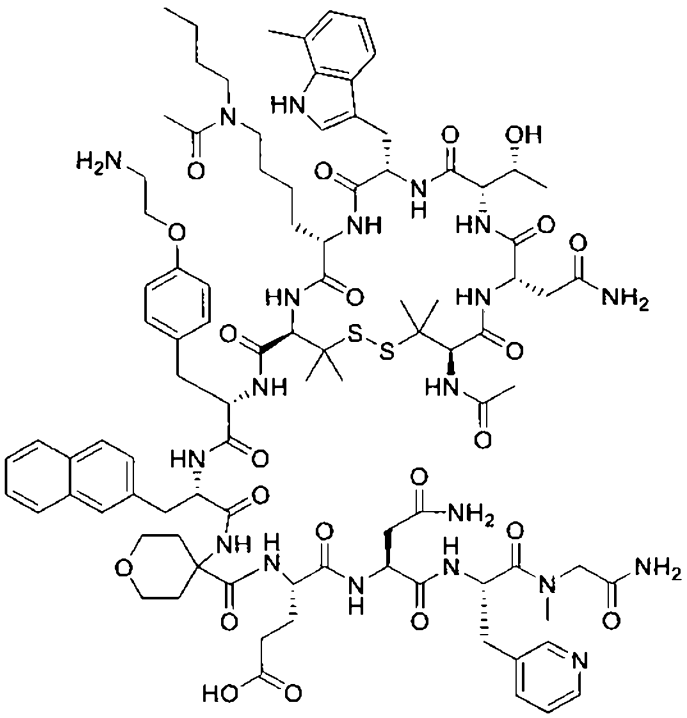
;

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(N-acetyl-N-propyl)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2; (SEQ ID NO:332)



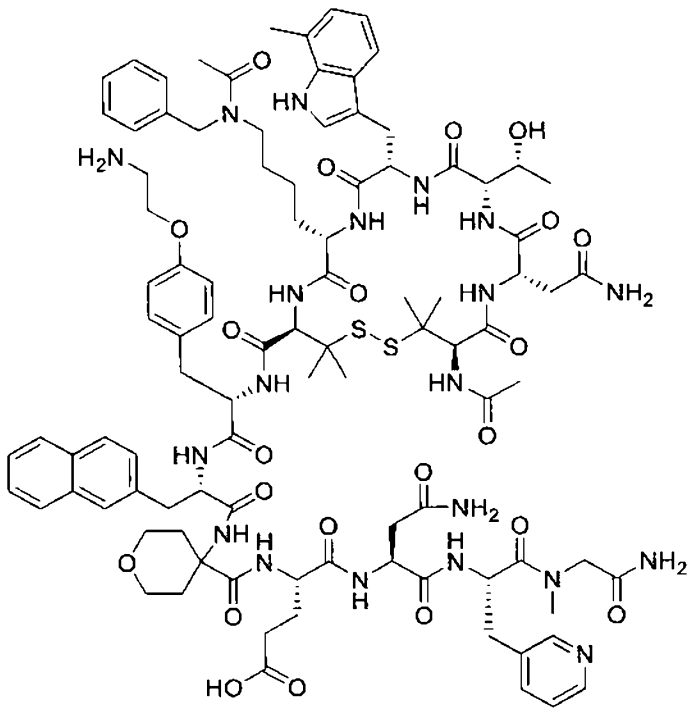
;

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(N-acetyl-N-butyl)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2; (SEQ ID NO:333)



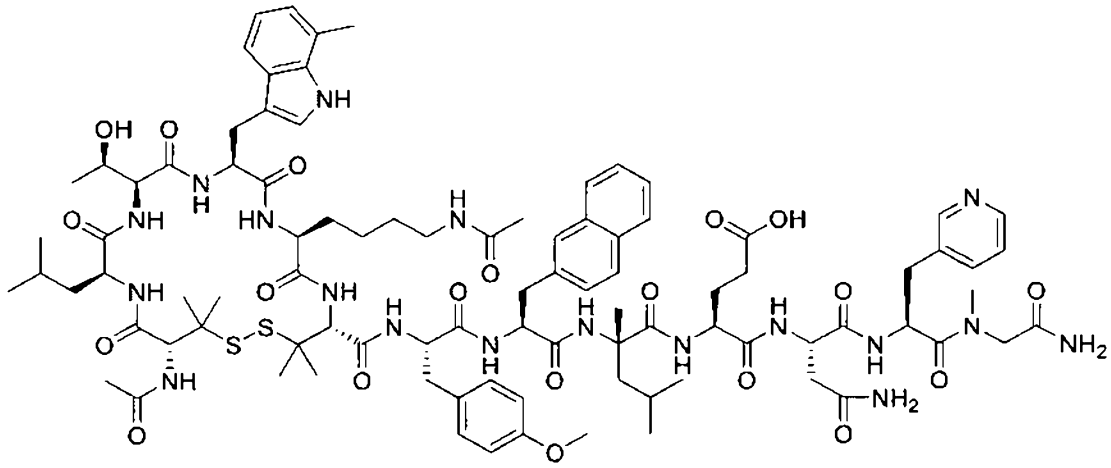
;

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(N-acetyl-N-benzyl)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2; (SEQ ID NO:335)



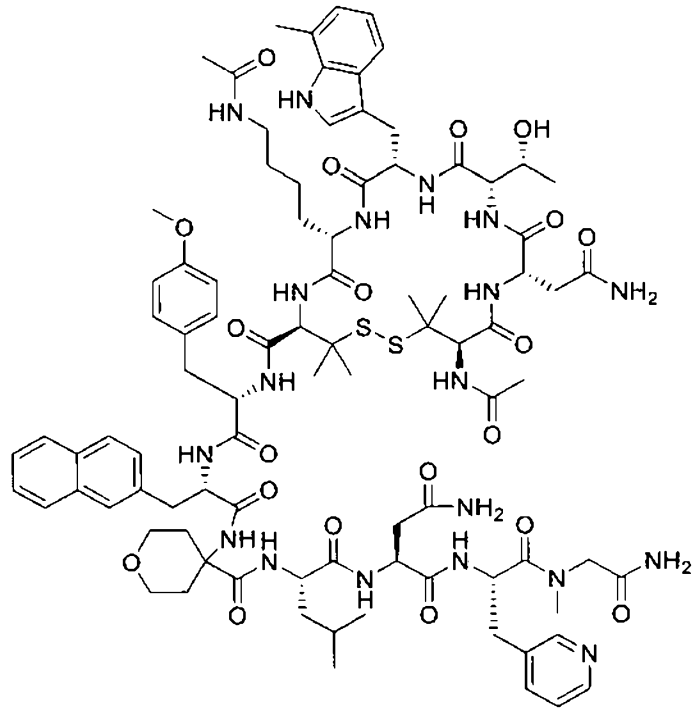
;

Ac-[Pen]-L-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2-Nal]-[aMeLeu]-E-N-[3Pal]-[Sarc]-NH_2; (SEQ ID NO:347)



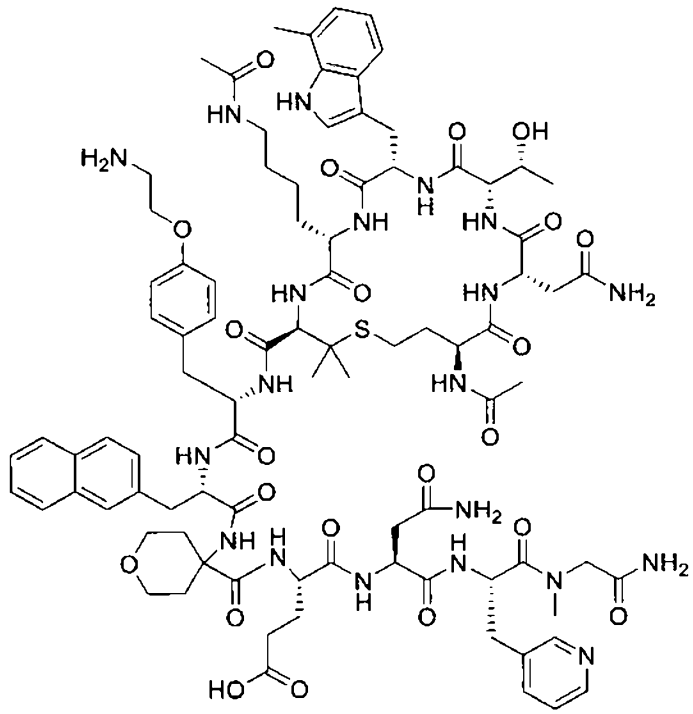
;

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2-Nal]-[THP]-L-N-[3Pal]-[Sarc]-NH_2; (SEQ ID NO:351)



;

Ac-[Abu]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2; (SEQ ID NO:373)



Additional Characteristics of Peptide Inhibitors

[00276] Any of the peptide inhibitors of the present invention may be further defined, e.g., as described below. It is understood that each of the further defining features described herein may be applied to any peptide inhibitors where the amino acids designated at particular positions allow the presence of the further defining feature. In particular embodiments, these features may be present in any of the peptides of Formula (I)-(XVIIId).

[00277] In various embodiments, R^1 is a bond, hydrogen, a C1-C6 alkyl, a C6-C12 aryl, a C6-C12 aryl C1-C6 alkyl, or a C1-C20 alkanoyl, and including PEGylated versions alone or as spacers of any of the foregoing, e.g., acetyl. It is understood that the R^1 may replace or be present in addition to the typical amine group located at the amino terminus of a peptide. It is further understood that R^1 may be absent. In certain embodiments, the peptide inhibitor comprises an N-terminus selected from hydrogen, a C1-C6 alkyl, a C6-C12 aryl, a C6-C12 aryl C1-C6 alkyl, or a C1-C20 alkanoyl, and including PEGylated versions alone or as spacers of any of the foregoing, e.g., acetyl. In particular embodiments of any of the peptide inhibitors described herein, R^1 or the N-terminal moiety is hydrogen. In certain embodiments, R^1 is a bond, e.g., a covalent bond.

[00278] In certain embodiments of any of the peptide inhibitors having any of the various Formulas set forth herein, R^1 or the N-terminal moiety is selected from methyl, acetyl, formyl, benzoyl, trifluoroacetyl, isovaleryl, isobutyryl, octanyl, and the conjugated amides of lauric acid, hexadecanoic acid, and γ-Glu-hexadecanoic acid. In certain embodiments, R^1 or the N-terminal moiety is pGlu. In certain embodiments, R^1 is hydrogen. In particular embodiments, R^1 is acetyl, whereby the peptide inhibitor is acylated at its N-terminus, e.g., to cap or protect an N-terminal amino acid residue, e.g., an N-terminal Pen residue.

[00279] In certain embodiments of any of the peptide inhibitors described herein, R^1 or the N-terminal moiety is an acid. In certain embodiments, R^1 or the N-terminal moiety is an acid selected from acetic acid, formic acid, benzoic acid, trifluoroacetic acid, isovaleric acid, isobutyric acid, octanoic acid, lauric acid, hexadecanoic acid, 4-Biphenylacetic acid, 4-fluorophenylacetic acid, gallic acid, pyroglutamic acid, cyclopentanepropionic acid, glycolic acid, oxalic acid, pyruvic acid, lactic acid, malonic acid, succinic acid, malic acid, maleic acid, fumaric acid, tartaric acid, citric acid, palmitic acid, benzoic acid, 3-(4-hydroxybenzoyl) benzoic acid, cinnamic acid, mandelic acid, 4-methylbicyclo(2.2.2)-oct-2-ene-1-carboxylic acid, glucoheptonic acid, 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, hydroxynaphthoic acid, salicylic acid, stearic acid, muconic acid, an alkylsulfonic acid and an arylsulfonic acid.

[00280] In particular embodiments, R^1 or the N-terminal moiety is an alkylsulfonic acid selected from methanesulfonic acid, ethanesulfonic acid, 1,2-ethane-disulfonic acid, and 2-hydroxyethanesulfonic acid.

[00281] In particular embodiments, R^1 or the N-terminal moiety is an arylsulfonic acid selected from benzenesulfonic acid, 4- chlorobenzenesulfonic acid, 2-naphthalenesulfonic acid, 4-toluenesulfonic acid, and camphorsulfonic acid.

Peptide Dimers

[00282] In certain embodiments, the present invention includes dimers of the monomer peptide inhibitors described herein, including dimers of any of the monomer peptide inhibitors described herein or in the accompanying tables. These dimers fall within the scope of the general term "peptide inhibitors" as used herein. Illustrative dimers of the present invention are also shown in the accompanying tables, which indicate the dimerized monomer subnits in brackets followed by the linker. Unless otherwise indicated, the subunits are linked via their C-termini. The term "dimer," as in a peptide dimer, refers to compounds in which two peptide monomer subinits are linked. A peptide dimer inhibitor of the present invention may comprise two identical monomer

subunits, resulting in a homodimer, or two non-identical monomer subunits, resulting in a heterodimer. A cysteine dimer comprises two peptide monomer subunits linked through a disulfide bond between a cysteine residue in one monomer subunit and a cysteine residue in the other monomer subunit.

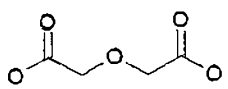
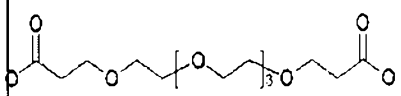
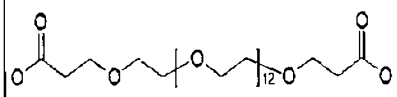
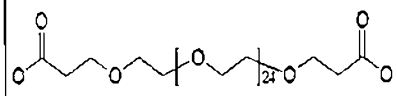
[00283] In some embodiments, the peptide inhibitors of the present invention may be active in a dimer conformation, in particular when free cysteine residues are present in the peptide. In certain embodiments, this occurs either as a synthesized dimer or, in particular, when a free cysteine monomer peptide is present and under oxidizing conditions, dimerizes. In some embodiments, the dimer is a homodimer. In other embodiments, the dimer is a heterodimer.

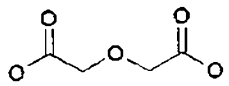
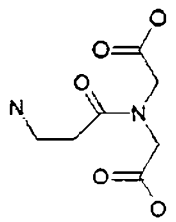
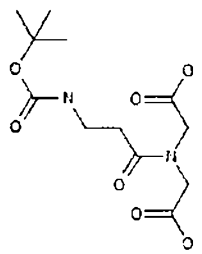
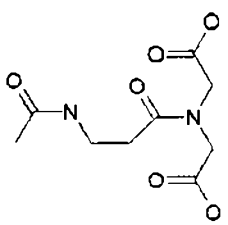
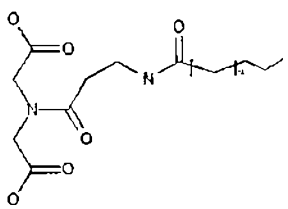
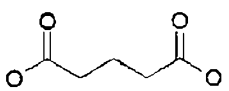
[00284] In certain embodiments, monomer subunits of the present invention may be dimerized by a suitable linking moiety, e.g., a disulphide bridge between two cysteine residues, one in each peptide monomer subunit, or by another suitable linker moiety, including but not limited to those defined herein. Some of the monomer subunits are shown having C- and N-termini that both comprise free amine. Thus, to produce a peptide dimer inhibitor, the monomer subunit may be modified to eliminate either the C- or N-terminal free amine, thereby permitting dimerization at the remaining free amine. Further, in some instances, a terminal end of one or more monomer subunits is acylated with an acylating organic compound selected from the group consisting of: Trifluoropentyl, Acetyl, Octonyl, Butyl, Pentyl, Hexyl, Palmityl, Trifluoromethyl butyric, cyclopentane carboxylic, cyclopropylacetic, 4-fluorobenzoic, 4-fluorophenyl acetic, 3-Phenylpropionic, tetrahedro-2H-pyran-4carboxylic, succinic acid, and glutaric acid. In some instances, monomer subunits comprise both a free carboxy terminal and a free amino terminal, whereby a user may selectively modify the subunit to achieve dimerization at a desired terminus. One having skill in the art therefore, will appreciate that the monomer subunits of the instant invention may be selectively modified to achieve a single, specific amine for a desired dimerization.

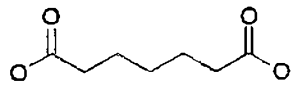
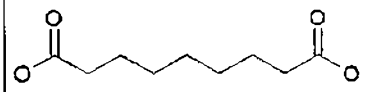
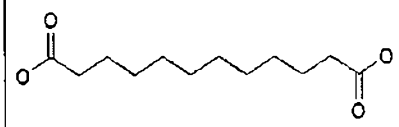
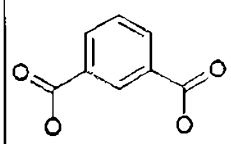
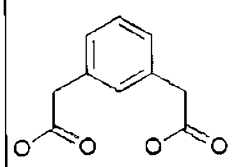
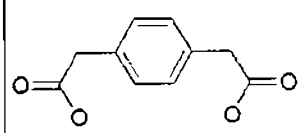
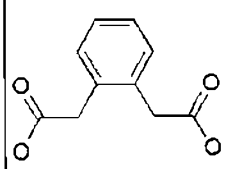
[00285] It is further understood that the C-terminal residues of the monomer subunits disclosed herein are optionally amides. Further, it is understood that, in certain embodiments, dimerization at the C-terminus is facilitated by using a suitable amino acid with a side chain having amine functionality, as is generally understood in the art. Regarding the N-terminal residues, it is generally understood that dimerization may be achieved through the free amine of the terminal residue, or may be achieved by using a suitable amino acid side chain having a free amine, as is generally understood in the art.

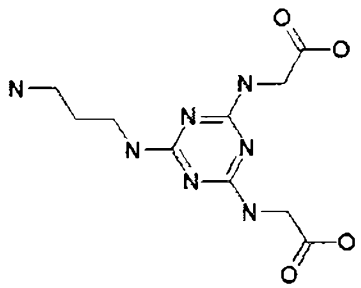
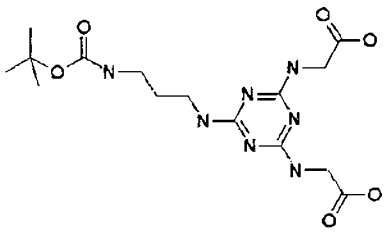
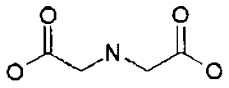
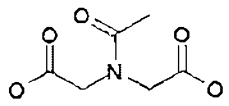
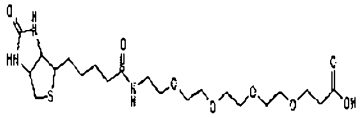
[00286] The linker moieties connecting monomer subunits may include any structure, length, and/or size that is compatible with the teachings herein. In at least one embodiment, a linker moiety is selected from the non-limiting group consisting of cysteine, lysine, DIG, PEG4, PEG4-biotin, PEG13, PEG25, PEG1K, PEG2K, PEG3.4K, PEG4K, PEG5K, IDA, ADA, Boc-IDA, Glutaric acid, Isophthalic acid, 1,3-phenylenediacetic acid, 1,4-phenylenediacetic acid, 1,2-phenylenediacetic acid, Triazine, Boc-Triazine, IDA-biotin, PEG4-Biotin, AADA, suitable aliphatics, aromatics, heteroaromatics, and polyethylene glycol based linkers having a molecular weight from approximately 400Da to approximately 40,000Da. In certain embodiments, PEG2 is $HO_2CCH_2CH_2OCH_2CH_2OCH_2CH_2CO_2H$. Non-limiting examples of suitable linker moieties are provided in Table 2.

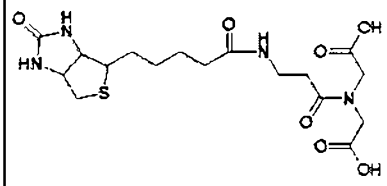
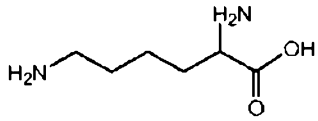
Table 2. Illustrative Linker Moieties

Abbrevation	Description	Structure
DIG	DIGlycolic acid,	
PEG4	Bifunctional PEG linker with 4 PolyEthylene Glycol units	
PEG13	Bifunctional PEG linker with 13 PolyEthylene Glycol units	
PEG25	Bifunctional PEG linker with 25 PolyEthylene Glycol units	
PEG1K	Bifunctional PEG linker with PolyEthylene Glycol Mol wt of 1000Da	
PEG2K	Bifunctional PEG linker with PolyEthylene Glycol Mol wt of 2000Da	
PEG3.4K	Bifunctional PEG linker with PolyEthylene Glycol Mol wt of 3400Da	

Abbrevation	Description	Structure
PEG5K	Bifunctional PEG linker with PolyEthylene Glycol Mol wt of 5000Da	
DIG	DIGlycolic acid	
β-Ala-IDA	β-Ala-Iminodiacetic acid	
Boc-β -Ala-IDA	Boc- β -Ala-Iminodiacetic acid	
Ac-β -Ala -IDA	Ac- β -Ala-Iminodiacetic acid	
IDA-β-Ala-Palm	Palmityl- β -Ala-Iminodiacetic acid	
GTA	Glutaric acid	

Abbrevation	Description	Structure
PMA	Pemilic acid	
AZA	Azelaic acid	
DDA	Dodecanedioic acid	
IPA	Isopthalic aicd	
1,3-PDA	1,3- Phenylenediacetic acid	
1,4-PDA	*1,4*- Phenylenediacetic acid	
1,2-PDA	1,2 - Phenylenediacetic acid	

Abbrevation	Description	Structure
Triazine	Amino propyl Triazine di-acid	
Boc-Triazine	Boc-Triazine di-acid	
ADA	Amino diacetic acid (which may also be referred to as Iminodiacetic acid)	
AADA	n-Acetyl amino acetic acid (which may also be referred to as N-acetyl Iminodiacetic acid)	
PEG4-Biotin	PEG4-Biotin (Product number 10199, QuantaBioDesign)	

Abbrevation	Description	Structure
IDA-Biotin	N-Biotin- β -Ala-Iminodiacetic acid	
Lys	Lysine	

[00287] In some embodiments, a peptide dimer inhibitor is dimerized via a linker moiety. In some embodiments, a peptide dimer inhibitor is dimerized via an intermolecular disulfide bond formed between two cysteine residues, one in each monomer subunit. In some embodiments, a peptide dimer inhibitor is dimerized via both a linker moiety and an intermolecular disulfide bond formed between two cysteine residues. In some embodiments, the intramolecular bond is a thioether, lactam, triazole, selenoether, diselenide or olefin, instead of the disulfide bond.

[00288] One having skill in the art will appreciate that the linker (e.g., C- and N-terminal linker) moieties disclosed herein are non-limiting examples of suitable linkers, and that the present invention may include any suitable linker moiety. Thus, some embodiments of the present invention comprises a homo- or heterodimer peptide inhibitor comprised of two monomer subunits selected from the peptides shown in any of tables herein or comprising or consisting of a sequence presented in any of tables herein, wherein the C- or N-termini of the respective monomer subunits (or internal amino acid residues) are linked by any suitable linker moiety to provide a dimer peptide inhibitor having IL-23R inhibitory activity. In certain embodiments, a linker binds to the N- or C-terminus of one monomer subunit and an internal amino acid residue of the other monomer subunit making up the dimer. In certain embodiments, a linker binds to an internal amino acid residue of one monomer subunit and an internal amino acid residue of the other monomer subunit making up the dimer. In further embodiments, a linker binds to the N-or C-terminus of both subunits.

[00289] In particular embodiments, one or both of the monomer subunits comprise the sequence or structure of any one of Formula (I)-(XVIIId), or shown in Table E1A, Table E1B, or any of the peptides described herein.

[00290] In certain embodiments, a peptide dimer inhibitor has the structure of Formula XII:

$$(R^1\text{-}X\text{-}R^2)_2\text{-}L \quad (XII)$$

[00291] or a pharmaceutically acceptable salt or solvate thereof,

[00292] wherein each R^1 is independently absent, a bond (e.g., a covalent bond), or R1 is selected from hydrogen, a C1-C6 alkyl, a C6-C12 aryl, a C6-C12 aryl C1-C6 alkyl, a C1-C20 alkanoyl, and including PEGylated versions alone or as spacers of any of the foregoing;

[00293] each R^2 is independently absent, a bond (e.g., a covalent bond), or selected from OH or NH_2; L is a linker moiety; andeach X is an independently selected peptide monomer subunit comprising a sequence of Formula (I)-(XVIIId), as described herein. In certain embodiments, one or both peptide monomer subunit of a peptide dimer inhibitor is cyclized, e.g., via an intramolecular bond between X4 and X9. In certain embodiments, one or both peptide monomer subunits is linear or not cyclized.

[00294] In particular embodiments, each R^1 is independently a bond (e.g., a covalent bond), or selected from hydrogen, a C1-C6 alkyl, a C6-C12 aryl, a C6-C12 aryl C1-C6 alkyl, a C1-C20 alkanoyl, and including PEGylated versions alone or as spacers of any of the foregoing. In particular embodimetns, the N-terminus of each subunit includes a moiety selected from hydrogen, a C1-C6 alkyl, a C6-C12 aryl, a C6-C12 aryl C1-C6 alkyl, a C1-C20 alkanoyl, and including PEGylated versions alone or as spacers of any of the foregoing.

[00295] In certain embodiments of any of the peptide inhibitors having any of the various Formulae set forth herein, each R^1 (or N-terminal moiety) is selected from methyl, acetyl, formyl, benzoyl, trifluoroacetyl, isovaleryl, isobutyryl, octanyl, and the conjugated amides of lauric acid, hexadecanoic acid, and γ-Glu-hexadecanoic acid.

[00296] In particular embodiments, each R^2 (or C-terminal moiety) is independently a bond (e.g., a covalent bond), or selected from OH or NH_2.

[00297] In particular embodiments of any of the peptide dimer inhibitors described herein, either or both R^1 is hydrogen.

[00298] In particular embodiments of peptide dimer inhibitors of the present invention, the linker moiety (L) is any of the linkers described herein or shown in Table 1 or 7. In certain embodiments, L is a lysine linker, a diethylene glycol linker, an iminodiacetic acid (IDA) linker, a β-Ala-iminodiaceticacid (β-Ala-IDA) linker, or a PEG linker.

[00299] In various embodiments of any of the peptide dimer inhibitors, each of the peptide monomer subunits is attached to a linker moiety via its N-terminus, C-terminus, or an internal amino acid residue. In certain embodiments of any of the peptide dimer inhibitors, the N-terminus of each peptide monomer subunit is connected by a linker moiety.In certain embodiments of any of the peptide dimer inhibitors, the C-terminus of each peptide monomer subunit is connected by a linker moiety. In certain embodiments of any of the peptide dimer inhibitors, each peptide monomer subunit is connected by a linker moiety attached to an internal amino acid.

Peptide Inhibitor Conjugates and Biopolymers

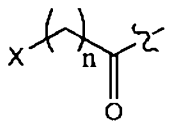
[00300] In certain embodiments, peptide inhibitors of the present invention, including both monomers and dimers, comprise one or more conjugated chemical substituents, such as lipophilic substituents and polymeric moieties, which may be referred to herein as half-life extension moieties. Without wishing to be bound by any particular theory, it is believed that the lipophilic substituent binds to albumin in the bloodstream, thereby shielding the peptide inhibitor from enzymatic degradation, and thus enhancing its half-life. In addition, it is believed that polymeric moieties enhance half-life and reduce clearance in the bloodstream.

[00301] In additional embodiments, any of the peptide inhibitors, e.g. peptides of Formula (I)-(XVIIId) further comprise a linker moiety attached to an amino acid residue present in the inhibitor, e.g., a linker moiety may be bound to a side chain of any amino acid of the peptide inhibitor, to the N-terminal amino acid of the peptide inhibitor, or to the C-terminal amino acid of the peptide inhibitor.

[00302] In additional embodiments, any of the peptide inhibitors e.g. peptides of Formulas (I)-(XIV), further comprise half-life extension moiety attached to an amino acid residue present in the inhibitor, e.g., a half-life extension moiety may be bound to a side chain of any amino acid of the peptide inhibitor, to the N-terminal amino acid of the peptide inhibitor, or to the C-terminal amino acid of the peptide inhibitor.

[00303] In additional embodiments, any of the peptide inhibitors e.g. peptides of Formulas (I)-(XIV), further comprise half-life extension moiety attached to a linker moiety that is attached to an amino acid residue present in the inhibitor, e.g., a half-life extension moiety may be bound to a linker moiety that is bound to a side chain of any amino acid of the peptide inhibitor, to the N-terminal amino acid of the peptide inhibitor, or to the C-terminal amino acid of the peptide inhibitor.

[00304] In particular embodiments, a peptide inhibitor comprises a half-life extension moiety having the structure shown below, wherein n=0 to 24 or n=14 to 24:

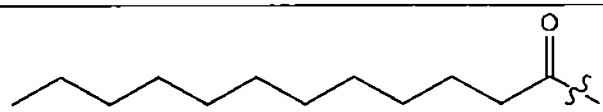
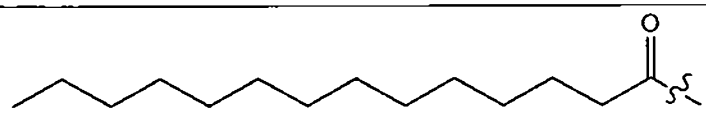
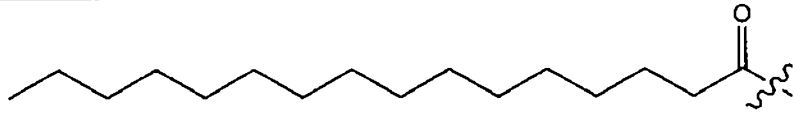
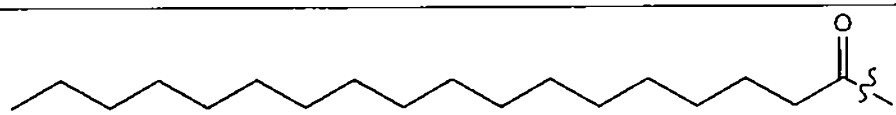
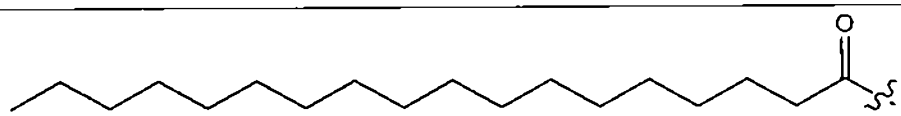
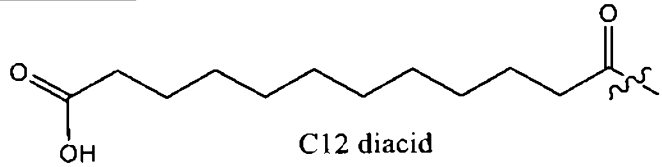
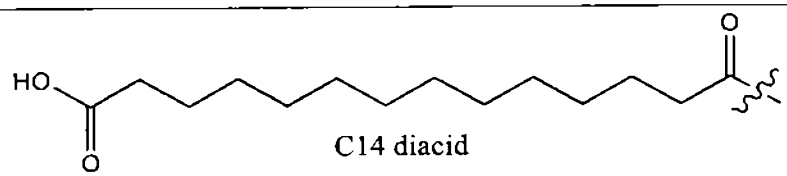


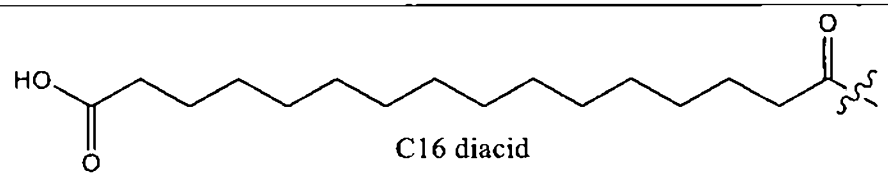
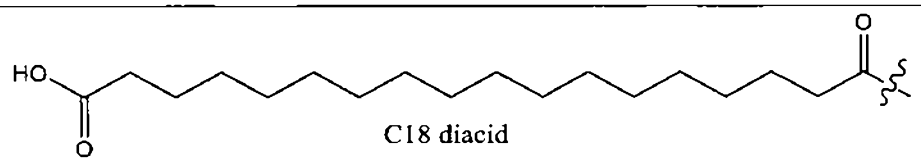
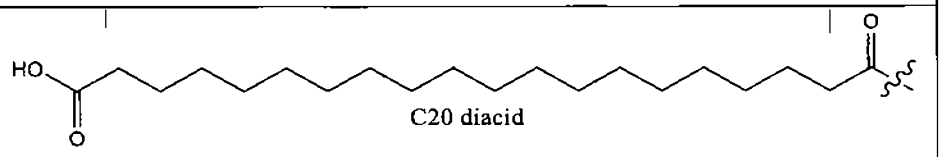
n=0 to 24

X=CH_3, CO_2H, NH_2, OH

[00305] In certain embodiments, a peptide inhibitor of the present invention comprises a half-life extension moiety shown in Table 8.

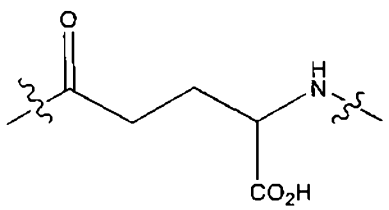
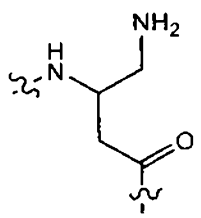
Table 8. Illustrative Half-Life Extension Moieties

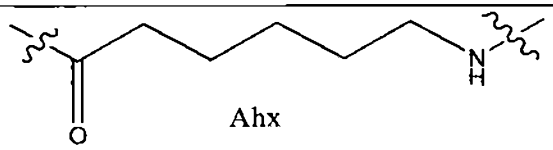
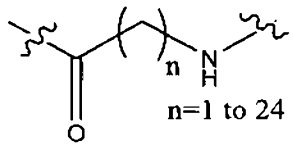
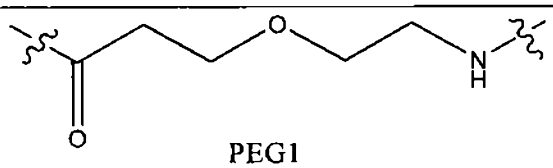
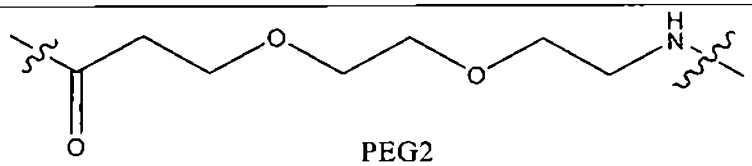
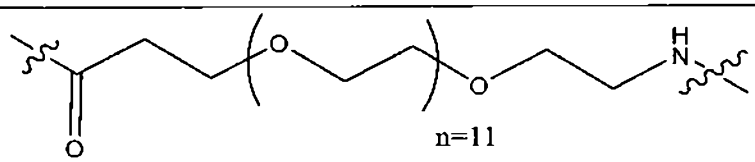
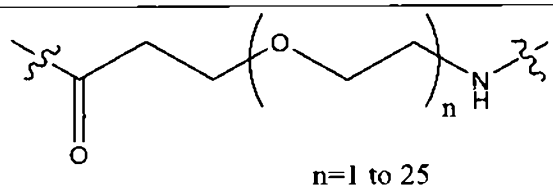
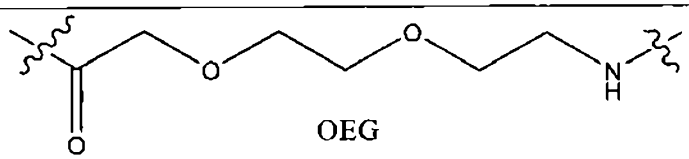
#	Half-Life Extension Moietys
C1	 C12 (Lauric acid)
C2	 C14 (Mysteric acid)
C3	 C16 (Palm or Palmitic acid)
C4	 C18 (Stearic acid)
C5	 C20
C6	 C12 diacid
C7	 C14 diacid

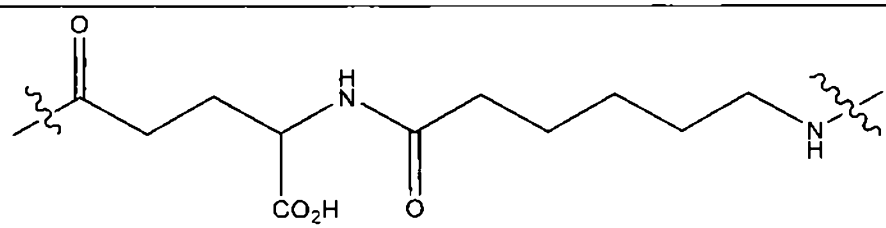
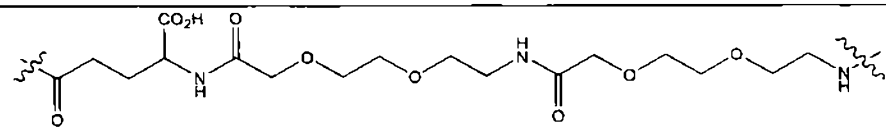
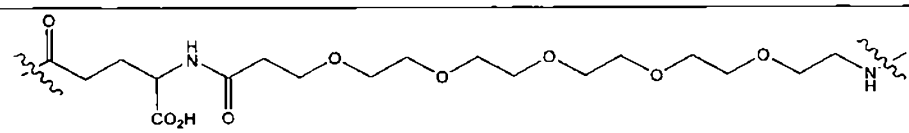
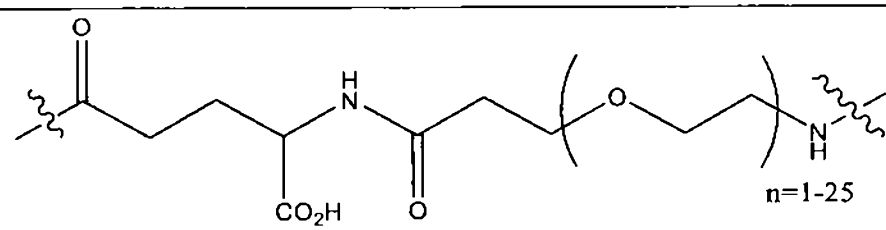
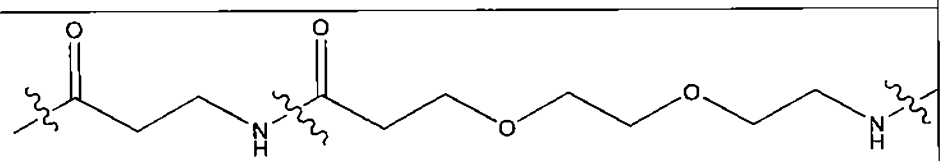
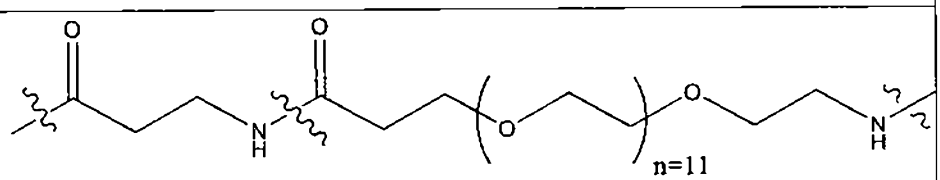
#	Half-Life Extension Moietys
C8	 C16 diacid
C9	 C18 diacid
C10	 C20 diacid

[00306] In certain embodiments, a half-life extension moiety is bound directly to a peptide inhibitor, while in other embodiments, a half-life extension moiety is bound to the peptide inhibitor via a linker moiety, e.g., any of those depicted in Tables 1, 2 or 4.

Table 4. Illustrative Linker Moieties

#	Linker Moiety
L1	 IsoGlu
L2	 Dapa

#	Linker Moiety
L3	 Ahx
L4	Lipidic based linkers:  n=1 to 24
L5	 PEG1
L6	 PEG2
L7	 n=11 PEG11 (40 atoms) also known as PEG12
L8	 n=1 to 25 PEG based linkers
L9	 OEG

#	Linker Moiety
L10	 IsoGlu-Ahx
L11	 IsoGlu-OEG-OEG
L12	 IsoGlu-PEG5
L13	 n=1-25 IsoGlu-PEGn
L14	 βAla-PEG2
L15	 n=11 βAla-PEG11 (40 atoms)

[00307] In particular embodiments, a peptide inhibitor of the present invention comprises any of the linker moieties shown in Tables 2 or 4 and any of the half-life extension moieties shown in Table 3, including any of the following combinations shown in Table 5.

Table 5. Illustrative Combinations of Linkers and Half-Life Extension Moieties in Peptide Inhibitors

Linker	Half-Life Extension Moiety	Linker	Half-Life Extension Moiety	Linker	Half-Life Extension Moiety
L1	C1	L1	C2	L1	C3
L2	C1	L2	C2	L2	C3
L3	C1	L3	C2	L3	C3
L4	C1	L4	C2	L4	C3
L5	C1	L5	C2	L5	C3
L6	C1	L6	C2	L6	C3
L7	C1	L7	C2	L7	C3
L8	C1	L8	C2	L8	C3
L9	C1	L9	C2	L9	C3
L10	C1	L10	C2	L10	C3
L11	C1	L11	C2	L11	C3
L12	C1	L12	C2	L12	C3
L13	C1	L13	C2	L13	C3
L14	C1	L14	C2	L14	C3
L15	C1	L15	C2	L15	C3

Linker	Half-Life Extension Moiety	Linker	Half-Life Extension Moiety	Linker	Half-Life Extension Moiety
L1	C4	L1	C5	L1	C6
L2	C4	L2	C5	L2	C6
L3	C4	L3	C5	L3	C6
L4	C4	L4	C5	L4	C6
L5	C4	L5	C5	L5	C6
L6	C4	L6	C5	L6	C6

L7	C4	L7	C5	L7	C6
L8	C4	L8	C5	L8	C6
L9	C4	L9	C5	L9	C6
L10	C4	L10	C5	L10	C6
L11	C4	L11	C5	L11	C6
L12	C4	L12	C5	L12	C6
L13	C4	L13	C5	L13	C6
L14	C4	L14	C5	L14	C6
L15	C4	L15	C5	L15	C6

Linker	Half-Life Extension Moiety	Linker	Half-Life Extension Moiety	Linker	Half-Life Extension Moiety
L1	C7	L1	C8	L1	C9
L2	C7	L2	C8	L2	C9
L3	C7	L3	C8	L3	C9
L4	C7	L4	C8	L4	C9
L5	C7	L5	C8	L5	C9
L6	C7	L6	C8	L6	C9
L7	C7	L7	C8	L7	C9
L8	C7	L8	C8	L8	C9
L9	C7	L9	C8	L9	C9
L10	C7	L10	C8	L10	C9
L11	C7	L11	C8	L11	C9
L12	C7	L12	C8	L12	C9
L13	C7	L13	C8	L13	C9
L14	C7	L14	C8	L14	C9
L15	C7	L15	C8	L15	C9

Linker	Half-Life Extension Moiety	Linker	Half-Life Extension Moiety	Linker	Half-Life Extension Moiety

L1	C10		L6	C10		L11	C10
L2	C10		L7	C10		L12	C10
L3	C10		L8	C10		L13	C10
L4	C10		L9	C10		L14	C10
L5	C10		L10	C10		L15	C10

[00308] In some embodiments there may be multiple linkers present between the peptide the conjugated moiety, e.g., half-life extension moiety, e.g., as depicted in Table 6.

Table 6. Illustrative Combinations of Linkers and Half-Life Extension Moieties in Peptide Inhibitors

Linker	Half-Life Extension Moiety	Linker	Half-Life Extension Moiety
L1-L2	C10	L1-L2	C8
L2-L5-L3	C10	L2-L5-L3	C8
L3-L8	C10	L3-L8	C8
L1-L2-L3	C10	L1-L2-L3	C8
L5-L3-L3-L3	C10	L5-L3-L3-L3	C8

[00309] In certain embodiments, the half-life of a peptide inhibitor of the invention that includes a conjugated chemical substituent, i.e., a half-life extension moiety, is at least 100%, at least 120%, at least 150%, at least 200%, at least 250%, at least 300%, at least 400%, or at least 500% of the half-life of the same peptide inhibitor but without the conjugated chemical substituent. In certain embodiments, the lipophilic substituents and/or polypermic moieties enhance the permeability of the peptide inhibitor through the epithelium and/or its retention in the lamina propria. In certain embodiments, the permeability through the epithelium and/or the retention in the lamina propria of a peptide inhibitor of the invention that includes a conjugated chemical substituent is at 100%, at least 120%, at least 150%, at least 200%, at least 250%, at least 300%, at least 400%, or at least 500% of the half-life of the same peptide inhibitor but without the conjugated chemical substituent.

[00310] In certain embodiments, a side chain of one or more amino acid residues (e.g., Lys residues) in a peptide inhibitor of the invention is conjugated (e.g., covalently attached) to a lipophilic substituent. The lipophilic substituent may be covalently bonded to an atom in the amino acid side chain, or alternatively may be conjugated to the amino acid side chain via one or more spacers.

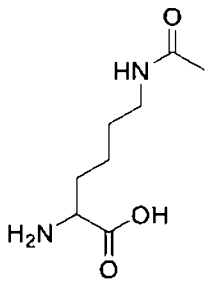
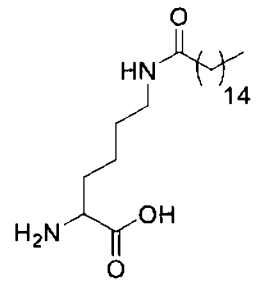
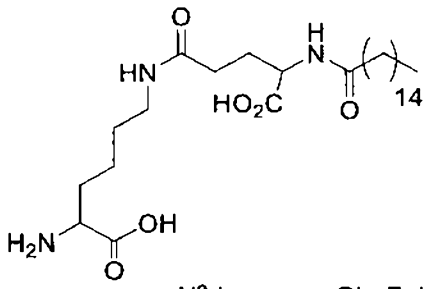
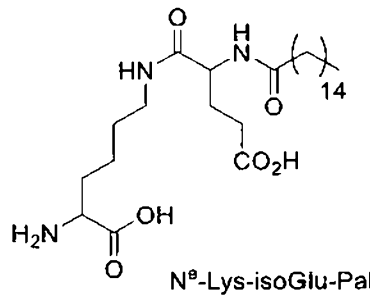
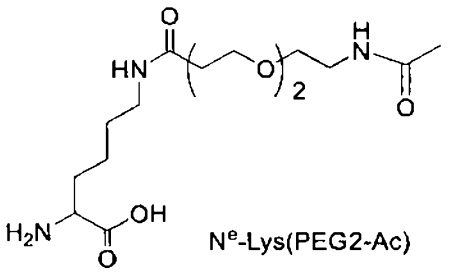
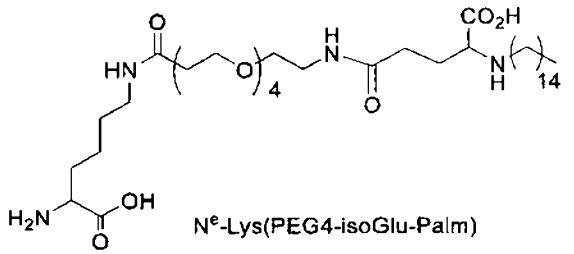
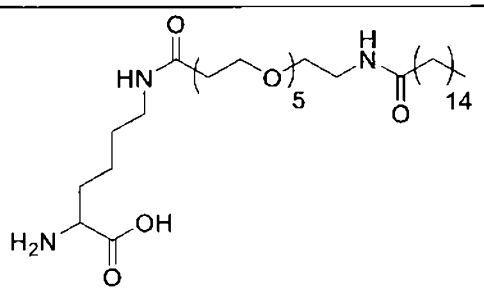
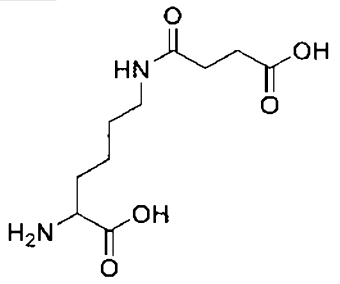
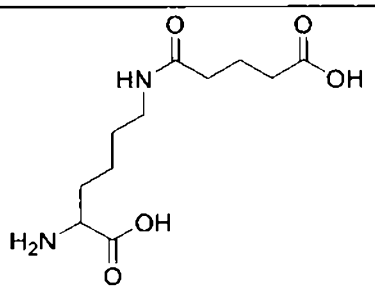
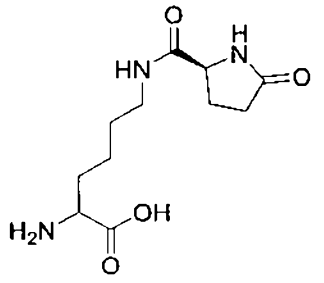
The spacer, when present, may provide spacing between the peptide analogue and the lipophilic substituent. In particular embodiments, the peptide inhibitor comprises any of the conjugated moieties shown in peptides disclosed in Tables 2-6.

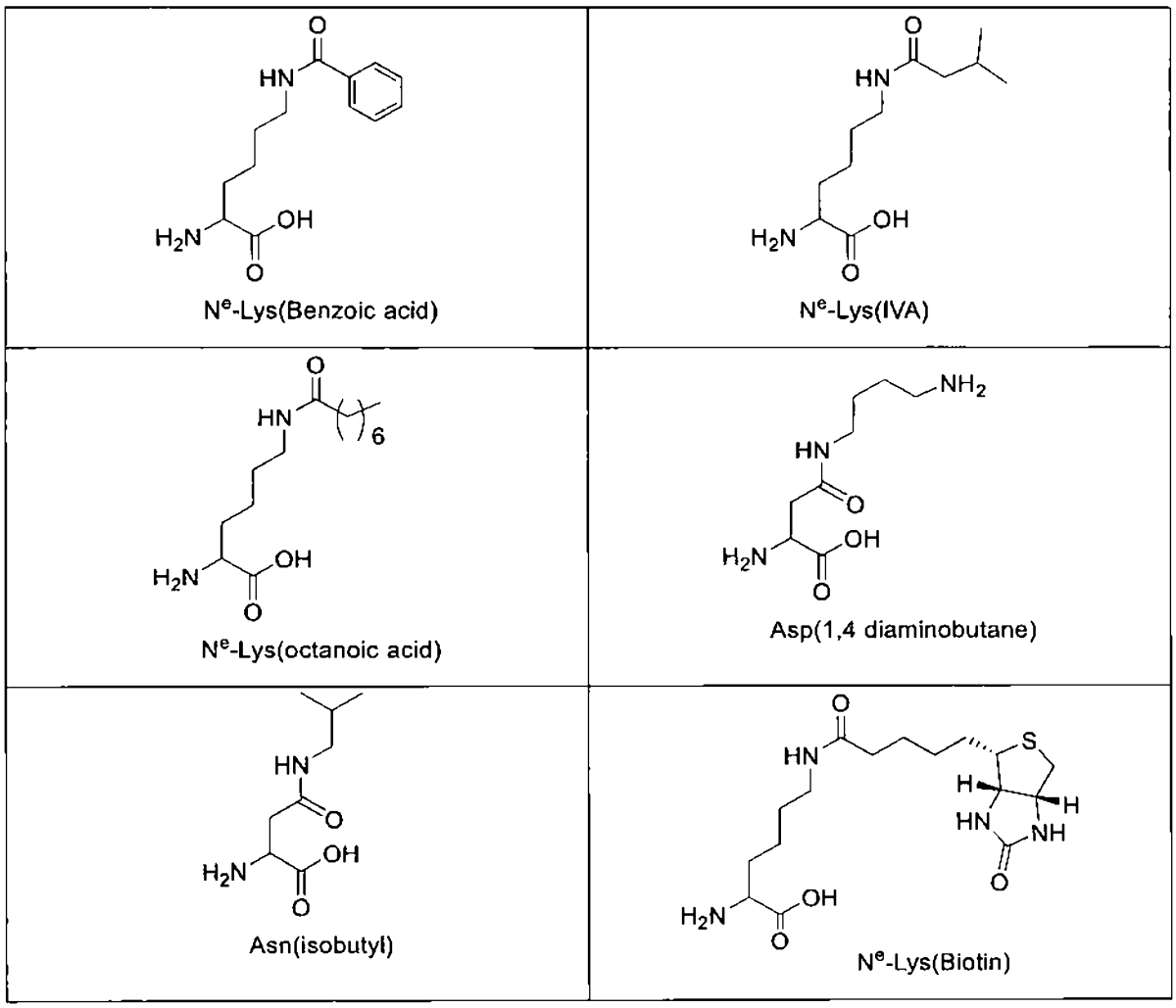
[00311] In certain embodiments, the lipophilic substituent may comprise a hydrocarbon chain having from 4 to 30 C atoms, for example at least 8 or 12 C atoms, and preferably 24 C atoms or fewer, or 20 C atoms or fewer. The hydrocarbon chain may be linear or branched and may be saturated or unsaturated. In certain embodiments, the hydrocarbon chain is substituted with a moiety which forms part of the attachment to the amino acid side chain or the spacer, for example an acyl group, a sulfonyl group, an N atom, an O atom or an S atom. In some embodiments, the hydrocarbon chain is substituted with an acyl group, and accordingly the hydrocarbon chain may form part of an alkanoyl group, for example palmitoyl, caproyl, lauroyl, myristoyl or stearoyl.

[00312] A lipophilic substituent may be conjugated to any amino acid side chain in a peptide inhibitor of the invention. In certain embodiments, the amino acid side chain includes a carboxy, hydroxyl, thiol, amide or amine group, for forming an ester, a sulphonyl ester, a thioester, an amide or a sulphonamide with the spacer or lipophilic substituent. For example, the lipophilic substituent may be conjugated to Asn, Asp, Glu, Gln, His, Lys, Arg, Ser, Thr, Tyr, Trp, Cys or Dbu, Dpr or Orn. In certain embodiments, the lipophilic substituent is conjugated to Lys. An amino acid shown as Lys in any of the Formula provided herein may be replaced by, e.g., Dbu, Dpr or Orn where a lipophilic substituent is added.

[00313] In certain embodiments, the peptide inhibitors of the present invention may be modified, e.g., to enhance stability, increase permeability, or enhance drug like characteristics, through conjugation of a chemical moiety to one or more amino acid side chain within the peptide. For example, the N(epsilon) of lysine N(epsilon), the β–carboxyl of aspartic, or the γ–carboxyl of glutamic acid may be appropriately functionalized. Thus, to produce the modified peptide, an amino acid within the peptide may be appropriately modified. Further, in some instances, the side chain is acylated with an acylating organic compound selected from the group consisting of: Trifluoropentyl, Acetyl, Octonyl, Butyl, Pentyl, Hexyl, Palmityl, Trifluoromethyl butyric, cyclopentane carboxylic, cyclopropylacetic, 4-fluorobenzoic, 4-fluorophenyl acetic, 3-Phenylpropionic, tetrahedro-2H-pyran-4carboxylic, succinic acid glutaric acid or bile acids. One having skill is the art will appreciate that a series of conjugates can be linked, e.g., for example PEG4, isoglu and combinations thereof. One having skill is the art will appreciate that an amino acid with the peptide can be isosterically replaced, for example, Lys may be replaced for Dap, Dab, α-MeLys orOrn. Examples of modified residues within a peptide are shown in Table 7.

Table 7. Examples of modified Lysine, Asp and Asn within the peptide

 N^e-Lys(Ac)	 N^e-Lys(Palm)
 N^e-Lys-gamaGlu-Palm	 N^e-Lys-isoGlu-Palm
 N^e-Lys(PEG2-Ac)	 N^e-Lys(PEG4-isoGlu-Palm)
 N^e-Lys$(PEG)_5$-Palm	 N^e-Lys(succinic acid)
 N^e-Lys(glutaric acid)	 N^e-Lys(Pyroglutaric acid)



[00314] In further embodiments of the present invention, alternatively or additionally, a side-chain of one or more amino acid residues in a peptide inhibitor of the invention is conjugated to a polymeric moiety, for example, in order to increase solubility and/or half-life *in vivo* (e.g. in plasma) and/or bioavailability. Such modifications are also known to reduce clearance (e.g. renal clearance) of therapeutic proteins and peptides.

[00315] As used herein, "Polyethylene glycol" or "PEG" is a polyether compound of general Formula H-(O-CH2-CH2)n-OH. PEGs are also known as polyethylene oxides (PEOs) or polyoxyethylenes (POEs), depending on their molecular weight PEO, PEE, or POG, as used herein, refers to an oligomer or polymer of ethylene oxide. The three names are chemically synonymous, but PEG has tended to refer to oligomers and polymers with a molecular mass below 20,000 Da, PEO to polymers with a molecular mass above 20,000 Da, and POE to a polymer of any molecular mass. PEG and PEO are liquids or low-melting solids, depending on their molecular weights. Throughout this disclosure, the 3 names are used indistinguishably. PEGs are prepared

by polymerization of ethylene oxide and are commercially available over a wide range of molecular weights from 300 Da to 10,000,000 Da. While PEG and PEO with different molecular weights find use in different applications, and have different physical properties (e.g. viscosity) due to chain length effects, their chemical properties are nearly identical. The polymeric moiety is preferably water-soluble (amphiphilic or hydrophilic), non-toxic, and pharmaceutically inert. Suitable polymeric moieties include polyethylene glycols (PEG), homo- or co-polymers of PEG, a monomethyl-substituted polymer of PEG (mPEG), or polyoxyethylene glycerol (POG). See, for example, Int. J. Hematology 68:1 (1998); Bioconjugate Chem. 6:150 (1995); and Crit. Rev. Therap. Drug Carrier Sys. 9:249 (1992). Also encompassed are PEGs that are prepared for purpose of half life extension, for example, mono-activated, alkoxy-terminated polyalkylene oxides (POA's) such as mono-methoxy-terminated polyethyelene glycols (mPEG's); bis activated polyethylene oxides (glycols) or other PEG derivatives are also contemplated. Suitable polymers will vary substantially by weights ranging from about 200 Da to about 40,000 Da or from about 200 Da to about 60,000 Da are usually selected for the purposes of the present invention. In certain embodiments, PEGs having molecular weights from 200 to 2,000 or from 200 to 500 are used. Different forms of PEG may also be used, depending on the initiator used for the polymerization process – a common common initiator is a monofunctional methyl ether PEG, or methoxypoly(ethylene glycol), abbreviated mPEG.

[00316] Lower-molecular-weight PEGs are also available as pure oligomers, referred to as monodisperse, uniform, or discrete. These are used in certain embodiments of the present invention.

[00317] PEGs are also available with different geometries: branched PEGs have three to ten PEG chains emanating from a central core group; star PEGs have 10 to 100 PEG chains emanating from a central core group; and comb PEGs have multiple PEG chains normally grafted onto a polymer backbone. PEGs can also be linear. The numbers that are often included in the names of PEGs indicate their average molecular weights (e.g. a PEG with n = 9) would have an average molecular weight of approximately 400 daltons, and would be labeled PEG 400.

[00318] As used herein, "PEGylation" is the act of covalently coupling a PEG structure to the peptide inhibitor of the invention, which is then referred to as a "PEGylated peptide inhibitor". In certain embodiments, the PEG of the PEGylated side chain is a PEG with a molecular weight from about 200 to about 40,000. In some embodiments, a spacer of a peptide of Formula I, Formula I', or Formula I'' is PEGylated. In certain embodiments, the PEG of a PEGylated spacer is PEG3,

PEG4, PEG5, PEG6, PEG7, PEG8, PEG9, PEG10, or PEG11. In certain embodiments, the PEG of a PEGylated spacer is PEG3 or PEG8.

[00319] Other suitable polymeric moieties include poly-amino acids such as poly-lysine, poly-aspartic acid and poly-glutamic acid (see for example Gombotz, et al. (1995), Bioconjugate Chem., vol. 6: 332-351; Hudecz, et al. (1992), Bioconjugate Chem., vol. 3, 49-57 and Tsukada, et al. (1984), J. Natl. Cancer Inst., vol. 73, : 721-729. The polymeric moiety may be straight-chain or branched. In some embodiments, it has a molecular weight of 500-40,000 Da, for example 500-10,000 Da, 1000-5000 Da, 10,000-20,000 Da, or 20,000-40,000 Da.

[00320] In some embodiments, a peptide inhibitor of the invention may comprise two or more such polymeric moieties, in which case the total molecular weight of all such moieties will generally fall within the ranges provided above.

[00321] In some embodiments, the polymeric moiety is coupled (by covalent linkage) to an amino, carboxyl or thiol group of an amino acid side chain. Certain examples are the thiol group of Cys residues and the epsilon amino group of Lys residues, and the carboxyl groups of Asp and Glu residues may also be involved.

[00322] The skilled worker will be well aware of suitable techniques which can be used to perform the coupling reaction. For example, a PEG moiety bearing a methoxy group can be coupled to a Cys thiol group by a maleimido linkage using reagents commercially available from Nektar Therapeutics AL. See also WO 2008/101017, and the references cited above, for details of suitable chemistry. A maleimide-functionalised PEG may also be conjugated to the side-chain sulfhydryl group of a Cys residue.

[00323] As used herein, disulfide bond oxidation can occur within a single step or is a two step process. As used herein, for a single oxidation step, the trityl protecting group is often employed during assembly, allowing deprotection during cleavage, followed by solution oxidation. When a second disulfide bond is required, one has the option of native or selective oxidation. For selective oxidation requiring orthogonal protecting groups, Acm and Trityl is used as the protecting groups for cysteine. Cleavage results in the removal of one protecting pair of cysteine allowing oxidation of this pair. The second oxidative deprotection step of the cysteine protected Acm group is then performed. For native oxidation, the trityl protecting group is used for all cysteines, allowing for natural folding of the peptide. A skilled worker will be well aware of suitable techniques which can be used to perform the oxidation step.

[00324] Several chemical moieties, including poly(ethylene)glycol, react with functional groups present in the twenty naturally occurring amino acids, such as, for example, the epsilon amino

group in lysine amino acid residues, the thiol present in cysteine amino acid residues, or other nucleophilic amino acid side chains. When multiple naturally occurring amino acids react in a peptide inhibitor, these non-specific chemical reactions result in a final peptide inhibitor that contains many isomers of peptides conjugated to one or more poly(ethylene)glycol strands at different locations within the peptide inhibitor.

[00325] One advantage of certain embodiments of the present invention includes the ability to add one or more chemical moiety (such as PEG) by incorporating one or more non-natural amino acid(s) that possess unique functional groups that react with an activated PEG by way of chemistry that is unreactive with the naturally occurring amino acids present in the peptide inhibitor. For example, azide and alkyne groups are unreactive with all naturally occurring functional groups in a protein. Thus, a non-natural amino acid may be incorporated in one or more specific sites in a peptide inhibitor where PEG or another modification is desired without the undesirable non-specific reactions. In certain embodiments, the particular chemistry involved in the reaction results in a stable, covalent link between the PEG strand and the peptide inhibitor. In addition, such reactions may be performed in mild aqueous conditions that are not damaging to most peptides. In certain embodiments, the non-natural amino acid residue is AHA.

[00326] Chemical moieties attached to natural amino acids are limited in number and scope. By contrast, chemical moieties attached to non-natural amino acids can utilize a significantly greater spectrum of useful chemistries by which to attach the chemical moiety to the target molecule. Essentially any target molecule, including any protein (or portion thereof) that includes a non-natural amino acid, e.g., a non-natural amino acid containing a reactive site or side chain where a chemical moiety may attach, such as an aldehyde- or keto-derivatized amino acid, can serve as a substrate for attaching a chemical moiety.

[00327] Numerous chemical moieties may be joined or linked to a particular molecule through various known methods in the art. A variety of such methods are described in U.S. Patent No. 8,568,706. As an illustrative example, azide moieties may be useful in conjugating chemical moieties such as PEG or others described herein. The azide moiety serves as a reactive functional group, and is absent in most naturally occurring compounds (thus it is unreactive with the native amino acids of naturally occurring compounds). Azides also undergo a selective ligation with a limited number of reaction partners, and azides are small and can be introduced to biological samples without altering the molecular size of significantly. One reaction that allows incorporation or introduction of azides to molecules is the copper-mediated Huisgen [3+2] cycloaddition of an azide. This reaction can be used for the selective PEGylation of peptide inhibitors. (Tornoe et al.,

J. Org. Chem. 67: 3057, 2002; Rostovtsev et al., Angew. Chem., Int. Ed. 41: 596, 2002; and Wang et al., J. Am. Chem. Soc. 125: 3192, 2003, Speers et al., J. Am. Chem. Soc., 2003, 125, 4686).

Synthesis of Peptide Inhibitors

[00328] The peptide inhibitors of the present invention may be synthesized by many techniques that are known to those skilled in the art. In certain embodiments, monomer subunits are synthesized, purified, and dimerized using the techniques described in the accompanying Examples. In certain embodiments, the present invention provides a method of producing a peptide inhibitor (or monomer subunit thereof) of the present invention, comprising chemically synthesizing a peptide comprising, consisting of, or consisting essentially of a peptide having an amino acid sequence described herein, including but not limited to any of the amino acid sequences set forth in any of Formulas I, II or tables herein. In other embodiments, the peptide is recombinantly synthesized, instead of being chemically synthesized. In certain embodiments, the peptide inhibitor is a dimer, and the method comprises synthezing both monomer subunits of the peptide dimer inhibitor and then dimerizing the two monomer subunits to produce the peptide dimer inhibitor. In various embodiments, dimerization is accomplished via any of the various methods described herein. In particular embodiments, methods of producing a peptide inhibitor (or monomer subunit thereof) further comprise cyclizing the peptide inhibitor (or monomer subunit thereof) after its synthesis. In particular embodiments, cyclization is accomplished via any of the various methods described herein. In certain embodiments, the present invention provides a method of producing a peptide inhibitor (or monomer subunit thereof) of the present invention, comprising introducing an intramolecular bond, e.g., a disulfide, an amide, or a thioether bond between two amino acids residues within a peptide comprising, consisting of, or consisting essentially of a peptide having an amino acid sequence described herein, including but not limited to any of the amino acid sequences set forth in any of Formulas (I) – (IX), the accompanying Examples or Tables.

[00329] In related embodiments, the present invention includes polynucleotides that encode a polypeptide having a sequence set forth in any one of Formulas (I)-(IX), or the accompanying Examples or Table.

[00330] In addition, the present invention includes vectors, e.g., expression vectors, comprising a polynucleotide of the present invention.

METHODS OF TREATMENT

[00331] In certain embodiments, the present invention includes methods of inhibiting IL-23 binding to an IL-23R on a cell, comprising contacting the IL-23 with a peptide inhibitor of the present

invention. In certain embodiments, the cell is a mammalian cell. In particular embodiments, the method is performed *in vitro* or *in vivo*. Inhibition of binding may be determined by a variety of routine experimental methods and assays known in the art.

[00332] In certain embodiments, the present invention includes methods of inhibiting IL-23 signaling by a cell, comprising contacting the IL-23 with a peptide inhibitor of the present invention. In certain embodiments, the cell is a mammalian cell. In particular embodiments, the method is performed in vitro or in vivo. In particular embodiments, the inhibition of IL-23 signalling may be determined by measuring changes in phospho-STAT3 levels in the cell.

[00333] In some embodiments, the present invention provides methods for treating a subject afflicted with a condition or indication associated with IL-21 or IL-23R (e.g., activation of the IL-23/IL-23R signaling pathway), wherein the method comprises administering to the subject a peptide inhibitor of the present invention. In certain embodiments, a method is provided for treating a subject afflicted with a condition or indication characterized by inappropriate, deregulated, or increased IL-23 or IL-23R activity or signaling, comprising administering to the individual a peptide inhibitor of the present invention in an amount sufficient to inhibit (partially or fully) binding of IL-23 to IL-23R in the subject. In particular embodiments, the inhibition of IL-23 binding to IL-23R occurs in particular organs or tissues of the subject, e.g., the stomach, small intestine, large intestine/colon, intestinal mucosa, lamina propria, Peyer's Patches, mesenteric lymph nodes, or lymphatic ducts.

[00334] In some embodiments, methods of the present invention comprise providing a peptide inhibitor of the present invention to a subject in need thereof. In particular embodiments, the subject in need thereof has been diagnosed with or has been determined to be at risk of developing a disease or disorder associated with IL-23/IL-23R. In particular embodiments, the subject is a mammal.

[00335] In certain embodiments, the disease or disorder is autoimmune inflammation and related diseases and disorders, such as multiple sclerosis, asthma, rheumatoid arthritis, inflammation of the gut, inflammatory bowel diseases (IBDs), juvenile IBD, adolescent IBD, Crohn's disease, ulcerative colitis, sarcoidosis, Systemic Lupus Erythematosus, ankylosing spondylitis (axial spondyloarthritis), psoriatic arthritis, or psoriasis. In particular embodiments, the disease or disorder is psoriasis (e.g., plaque psoriasis, guttate psoriasis, inverse psoriasis, pustular psoriasis, Palmo-Plantar Pustulosis, psoriasis vulgaris, or erythrodermic psoriasis), atopic dermatitis, acne ectopica, ulcerative colitis, Crohn's disease, Celiac disease (nontropical Sprue), enteropathy associated with seronegative arthropathies, microscopic colitis, collagenous colitis, eosinophilic

gastroenteritis/esophagitis, colitis associated with radio- or chemo-therapy, colitis associated with disorders of innate immunity as in leukocyte adhesion deficiency-1, chronic granulomatous disease, glycogen storage disease type 1b, Hermansky-Pudlak syndrome, Chediak-Higashi syndrome, Wiskott-Aldrich Syndrome, pouchitis, pouchitis resulting after proctocolectomy and ileoanal anastomosis, gastrointestinal cancer, pancreatitis, insulin-dependent diabetes mellitus, mastitis, cholecystitis, cholangitis, primary biliary cirrhosis, viral-associated enteropathy, pericholangitis, chronic bronchitis, chronic sinusitis, asthma, uveitis, or graft versus host disease.

[00336] In certain embodiments, the present invention provides methods for treating an inflammatory bowel disease (IBD) in a subject in need thereof, comprising administering to the subject a peptide inhibitor of the present invention,wherein the peptide inhibitor comprises or consists of an amino acid sequence of Formula (I). In certain embodiments, the IBD is ulcerative colitis. In certain embodiments, the IBD is Crohn's disease. In certain embodiments, the peptide inhibitor comprises or consists of an amino acid sequence of Formula (Z) or (Z'). In certain embodiments, the IBD is ulcerative colitis. In certain embodiments, the IBD is Crohn's disease.

[00337] In certain related embodiments, the peptide inhibitor comprises or consists of an amino acid sequence of Formula (Ia), (Ib), (Ic), or (Id). In certain embodiments, the IBD is ulcerative colitis. In certain embodiments, the IBD is Crohn's disease.

[00338] In other related embodiments, the peptide inhibitor comprises or consists of an amino acid sequence of Formula (IIa), (IIb), or (IIc). In certain embodiments, the IBD is ulcerative colitis. In certain embodiments, the IBD is Crohn's disease.

[00339] In other related embodiments, the peptide inhibitor comprises or consists of an amino acid sequence of Formula (IIIa), (IIIb), (IIIc), or (IIId). In certain embodiments, the IBD is ulcerative colitis. In certain embodiments, the IBD is Crohn's disease.

[00340] In other related embodiments, the peptide inhibitor comprises or consists of an amino acid sequence of Formula (IVa), (IVb), (IVc), (IVd), (IVe), (IVf), (IVg), or (IVh). In certain embodiments, the IBD is ulcerative colitis. In certain embodiments, the IBD is Crohn's disease.

[00341] In other related embodiments, the peptide inhibitor comprises or consists of an amino acid sequence of Formula (Va), (Vb), (Vc), or (Vd). In certain embodiments, the IBD is ulcerative colitis. In certain embodiments, the IBD is Crohn's disease.In other related embodiments, the peptide inhibitor comprises or consists of an amino acid sequence of Formula (VIa), (VIb), (VIc), (VId), (VIe), (VIf), (VIg), or (VIh). In certain embodiments, the IBD is ulcerative colitis. In certain embodiments, the IBD is Crohn's disease.

[00342] In other related embodiments, the peptide inhibitor comprises or consists of an amino acid sequence of Formula (VIIa), (VIIb), (VIIc), or (VIId). In certain embodiments, the IBD is ulcerative colitis. In certain embodiments, the IBD is Crohn's disease.

[00343] In other related embodiments, the peptide inhibitor comprises or consists of an amino acid sequence of Formula (VIIIa), (VIIIb), (VIIIc), (VIIId), (VIIIe), (VIIIf), (VIIIg), or (VIIIh). In certain embodiments, the IBD is ulcerative colitis. In certain embodiments, the IBD is Crohn's disease.

[00344] In other related embodiments, the peptide inhibitor comprises or consists of an amino acid sequence of Formula (IXa), (IXb), (IXc), or (IXd). In certain embodiments, the IBD is ulcerative colitis. In certain embodiments, the IBD is Crohn's disease.

[00345] In other related embodiments, the peptide inhibitor comprises or consists of an amino acid sequence of Formula (Xa), (Xb), (Xc), (Xd), (Xe), (Xf), (Xg), or (Xh). In certain embodiments, the IBD is ulcerative colitis. In certain embodiments, the IBD is Crohn's disease.

[00346] In other related embodiments, the peptide inhibitor comprises or consists of an amino acid sequence of Formula (XIa), (XIb), (XIc), or (XId). In certain embodiments, the IBD is ulcerative colitis. In certain embodiments, the IBD is Crohn's disease.

[00347] In other related embodiments, the peptide inhibitor comprises or consists of an amino acid sequence of Formula (XIIa), (XIIb), (XIIc), (XIId), (XIIe), (XIIf), (XIIg), or (XIIh). In certain embodiments, the IBD is ulcerative colitis. In certain embodiments, the IBD is Crohn's disease.

[00348] In other related embodiments, the peptide inhibitor comprises or consists of an amino acid sequence of Formula (XIIIa), (XIIIb), (XIIIc), or (XIIId). In certain embodiments, the IBD is ulcerative colitis. In certain embodiments, the IBD is Crohn's disease.

[00349] In other related embodiments, the peptide inhibitor comprises or consists of an amino acid sequence of Formula (XIVa), (XIVb), (XIVc), (XIVd), (XIVe), (XIVf), (XIVg), or (XIVh). In certain embodiments, the IBD is ulcerative colitis. In certain embodiments, the IBD is Crohn's disease.

[00350] In other related embodiments, the peptide inhibitor comprises or consists of an amino acid sequence of Formula (XVa), (XVb), (XVc), or (XVd). In certain embodiments, the IBD is ulcerative colitis. In certain embodiments, the IBD is Crohn's disease.

[00351] In other related embodiments, the peptide inhibitor comprises or consists of an amino acid sequence of Formula (XVIa), (XVIb), (XVIc), (XVId), (XVIe), (XVIf), (XVIg), or (XVIh). In certain embodiments, the IBD is ulcerative colitis. In certain embodiments, the IBD is Crohn's disease.

[00352] In other related embodiments, the peptide inhibitor comprises or consists of an amino acid sequence of Formula (XVIIa), (XVIIb), (XVIIc), or (XVIId). In certain embodiments, the IBD is ulcerative colitis. In certain embodiments, the IBD is Crohn's disease.

[00353] In other related embodiments, the peptide inhibitor comprises or consists of an amino acid sequence of Formula (Z'), (Z'-A), (Z'-B), (Z'-C), (Z'-D), (Z'-E), (Z'-F), (Z'-G), (Z'-H), (Z'-I), (Z'-J), (Z'-K), (Z'-L), or (Z'-M). In certain embodiments, the IBD is ulcerative colitis. In certain embodiments, the IBD is Crohn's disease.

[00354] In certain related embodiments of methods of treating an IBD, e.g., ulcerative colitis or Crohn's disease, the peptide inhibitor comprises or is any one of the amino acid sequence listed below; or a pharmaceutically acceptable salt thereof:

Ac-[(D)Arg]-[Abu]-Q-T-[W(7-Ph)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-dK-[Sarc]-NH_2 (SEQ ID NO:1);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3-Quin]-[a-MeLys]-[Lys(Ac)]-N-[(D)Leu)]-[Sarc]-NH_2 (SEQ ID NO:2);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3-Quin]-[THP]-E-N-[(D)Lys]-[Sarc]-NH_2 (SEQ ID NO:3);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3-Quin]-[a-MeLys]-[Lys(Ac)]-N-[(D)His]-[Sarc]-NH_2 (SEQ ID NO:4);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3-Quin]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:5);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3-Quin]-[THP]-E-N-[(D)Leu)]-[Sarc]-NH_2 (SEQ ID NO:6);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3-Quin]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH_2 (SEQ ID NO:7);

Ac-[(D)Arg]-[Abu]-Q-T-W-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-N-[(D)NMeTyr]-NH_2 (SEQ ID NO:8);

Ac-[(D)Arg]-[Pen]-Q-T-W-Q-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-N-[(D)NMeTyr]-NH_2 (SEQ ID NO:9);

Ac-[(D)Arg]-[Pen]-Q-T-W-Q-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[aMeGlu]-N-F-[(D)NMeTyr]-NH_2 (SEQ ID NO:10);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3Quin]-[THP]-E-N-[(D)Lys]-[Sarc]-NH_2 (SEQ ID NO:11);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3Quin]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH_2 (SEQ ID NO:12);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3Quin]-[THP]-E-N-[(D)Leu]-[Sarc]-NH_2 (SEQ ID NO:13);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3Quin]-[a-MeLys]-[Lys(Ac)]-N-[(D)Leu]-[Sarc]-NH_2 (SEQ ID NO:14);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3Quin]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:15);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3Quin]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:16);

Ac-[Abu]-Q-T-[W(7-Me)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH_2 (SEQ ID NO:17);

Ac-[Abu]-Q-T-[W(7-Ph)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH_2 (SEQ ID NO:18);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Leu]-[Sarc]-NH_2 (SEQ ID NOs:20, 25);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH_2 (SEQ ID NO:21);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:22);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:23);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[(D)Leu]-[Sarc]-NH_2 (SEQ ID NO:24);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Leu]-[Sarc]-NH_2 (SEQ ID NO:25);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Gly)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:26);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Gly)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:27);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Gly)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[(D)Leu]-[Sarc]-NH_2 (SEQ ID NO:28);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Gly)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Leu]-[Sarc]-NH_2 (SEQ ID NO:29);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(bAla)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:30);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(bAla)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:31);

Ac-[Pen]-N-T-[W(7-Et)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:32);

Ac-[Pen]-N-T-[W(7-Et)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:33);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(7-Et)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:34);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(4-Me)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:35);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(6-Me)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:36);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(4-OMe)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:37);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(7-i-Pr)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:38);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(7-nPr)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:39);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(7-OMe)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:40);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(6-Cl)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:41);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(5-OMe)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:42);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(3-MePh)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:43);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(6-Ph)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:44);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(6-Et)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:45);

Ac-[Pen]-N-T-[W(7-(2-FPh)]- [Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:46);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Leu]-[(D)NMeTyr]-NH_2 (SEQ ID NO:47);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[(D)NMeTyr]-NH_2 (SEQ ID NO:48);

Ac-[Pen]-N-T-[W(7-(2-OMePh)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:49);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(7-Ph)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:50);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:51);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:52);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3Quin]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:53);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-H-[Sarc]-NH_2 (SEQ ID NO:54);

Ac-[Pen]-S-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:55);

Ac-[Pen]-S-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-H-[Sarc]-NH_2 (SEQ ID NO:56);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[(D)NMeTyr]-NH_2 (SEQ ID NO:57);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-F-[(D)NMeTyr]-NH_2 (SEQ ID NO:58);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-H-[Sarc]-NH_2 (SEQ ID NO:59);

Ac-[Pen]-S-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:60);

Ac-[Pen]-S-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-H-[Sarc]-NH_2 (SEQ ID NO:61);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[(D)NMeTyr]-NH_2 (SEQ ID NO:62);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-[(D)Asn]-H-[Sarc]-NH_2 (SEQ ID NO:63);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-G-H-[Sarc]-NH_2 (SEQ ID NO:64);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-[h(Ser)]-H-[Sarc]-NH_2 (SEQ ID NO:65);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-P-NH_2 (SEQ ID NO:66);

Ac-[Pen]-N-T-[W(7-(2-Nal))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:67);

Ac-[Pen]-N-T-[W(7-3BiPh)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:68);

Ac-[Pen]-N-T-[W(7-(Phenanthren-5-yl))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:69);

Ac-[Pen]-N-T-[W(7-(4-Anthracen-5-yl))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:70);

Ac-[Pen]-N-T-[W(7-(1-Nal))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:71);

Ac-[Pen]-N-T-[W(7-(4BiPh))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:72);

Ac-[Pen]-N-T-[W(7-(3,5-t-Bu-Ph))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:73);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:74);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:75);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3Quin]-[a-MeLys]-[Lys(Ac)]-N-[2Pal]-NH_2 (SEQ ID NO:78);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[2Pal]-NH_2 (SEQ ID NO:79);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-NH_2 (SEQ ID NO:80);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:81);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[(D)NMeTyr]-NH_2 (SEQ ID NO:82);

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-E-N-H-[(D)NMeTyr]-NH_2 (SEQ ID NO:83);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-Phe[4-aminomethyl]-[(D)NMeTyr]-NH_2 (SEQ ID NO:84);

Ac-[Pen]-N-T-[W(7-Me)]-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMeLeu]-[Lys(Ac)]-N-[(D)His]-NH_2 (SEQ ID NO:85);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)His]-NH_2 (SEQ ID NO:86);

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[(D)His]-[(D)NMeTyr]-NH_2 (SEQ ID NO:87);

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-N-[(D)NMeTyr]-NH_2 (SEQ ID NO:88);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-N-[(D)NMeTyr]-NH_2 (SEQ ID NO:89);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Val]-[(D)NMeTyr]-NH_2 (SEQ ID NO:90);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Thr]-[(D)NMeTyr]-NH_2 (SEQ ID NO:91);

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[(D)His]-NH_2 (SEQ ID NO:92);

Ac-[Abu]-N-T-[W(7-Ph)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[3Quin]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:93);

Ac-[Abu]-N-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[3Quin]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:94);

Ac-[Abu]-N-T-[W(7-Ph)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:95);

Ac-[Abu]-N-T-[W(7-Ph)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:96);

Ac-[Abu]-N-T-[W(7-Me)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2 (SEQ ID NO:97);

Ac-[Abu]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2; (SEQ ID NO:98)

Ac-[Abu]-N-T-[W(7-Me)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:99);

Ac-[(D)Arg]-[Abu]-S-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:100);

Ac-[(D)Arg]-[Abu]-N-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[(D)Leu]-[Sarc]-NH_2(SEQ ID NO:101);

Ac-[(D)Arg]-[Abu]-N-T-[W(7-Me)]-[Cit]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2(SEQ ID NO:102);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2(SEQ ID NO:103);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2(SEQ ID NO:104);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2(SEQ ID NO:105);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2(SEQ ID NO:106);

Ac-[Pen]-N-T-[W(7-Me)]-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2(SEQ ID NO:107);

Ac-[Pen]-N-T-[W(7-Me)]-Q-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2(SEQ ID NO:108);

Ac-[Abu]-Q-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2(SEQ ID NO:109);

Ac-[Abu]-Q-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:110);

Ac-[Abu]-Q-T-[W(7-Me)]-[Cit]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:111);

Ac-[Abu]-Q-T-[W(7-Me)]-[Cit]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:112);

Ac-[Abu]-Q-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[bA]-NH_2 (SEQ ID NO:113);

Ac-[Abu]-Q-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-[3Pal]-[bA]-NH_2 (SEQ ID NO:114);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[4Pal]-[Sarc]-NH_2 (SEQ ID NO:115);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[bA]-NH_2 (SEQ ID NO:116);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:117);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Quin]-[Sarc]-NH_2 (SEQ ID NO:118);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[7-Aza-tryptophan]-[Sarc]-NH_2 (SEQ ID NO:119);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[(D)aMeTyr]-NH_2 (SEQ ID NO:120);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[(D)aMeTyr]-NH_2 (SEQ ID NO:121);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[(D)aMeTyr]-NH_2 (SEQ ID NO:122);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[(D)aMeTyr]-NH_2 (SEQ ID NO:123);

Ac-[Pen]-S-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:124);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:125);

Ac-[Pen]-N-T-[W(7-Ph)]-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:126);

Ac-[Pen]-N-T-[W(7-Ph)]-Q-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:127);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[bA]-NH_2 (SEQ ID NO:130);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[bA]-NH_2(SEQ ID NO:131);

Ac-[Pen]-S-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2(SEQ ID NO:132);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2(SEQ ID NO:133);

Ac-[Abu]-Q-T-[W(7-Ph)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2(SEQ ID NO:134);

Ac-[Abu]-Q-T-[W(7-Ph)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2(SEQ ID NO:135);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2(SEQ ID NO:136);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aceylaminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2(SEQ ID NO:137);

Ac-[Pen]-E-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2(SEQ ID NO:138);

Ac-[Pen]-E-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2(SEQ ID NO:139);

Ac-[Abu]-Q-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:140);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:141);

Ac-[Pen]-N-T-[W(7-(3-carboxamidophenyl))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:142);

Ac-[Pen]-N-T-[W(7-pyrimidin-5-yl)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:143);

Ac-[Pen]-N-T-[W(7-imidazopyridinyl)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:144);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMe(Lys)]-[Lys(Ac)]-N-[His_3Me]-NH_2 (SEQ ID NO:145);

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[His_3Me]-NH_2 (SEQ ID NO:146);

Ac-[Pen]-N-T-[W(7-(4Quin))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:147);

Ac-[Pen]-N-T-[(W(7-(3-pyrazol-1-yl))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:148);

Ac-[Pen]-N-T-[(W(7-(5-Et-Ph))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:149);

Ac-[Pen]-N-T-[W(5-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:150);

Ac-[Pen]-N-T-[(W(7-(3-pyrazol-1-yl))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:151);

Ac-[Pen]-N-T-[W(7-indazol-5-yl)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2(SEQ ID NO:152);

Ac-[Pen]-N-T-[W(4-F)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:153);

Ac-[Pen]-N-T-[W(5-CN)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:154);

Ac-[Pen]-N-T-[W(7-CN)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:155);

Ac-[Pen]-N-T-[W(4-OMe)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:156);

Ac-[Pen]-N-T-[W(4-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:157);

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:158);

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:159, 285);

Ac-[Pen]-N-T-[W(5-Ca)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:160);

Ac-[Pen]-N-T-[Trp_4Aza]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:161);

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:162);

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:163);

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[(5Pyal)]-NH_2 (SEQ ID NO:164);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-Me-Lys]-[Lys(Ac)]-N-[(5Pyal)]-NH_2 (SEQ ID NO:165);

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[(1-Me)His]-NH_2 (SEQ ID NO:166);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMeLys]-[Lys(Ac)]-N-[(1-Me)His]-NH_2 (SEQ ID NO:167); or

Ac-[Pen]-N -T-[W(7-Me]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-Me-Lys]-[Lys(Ac)]-N-[3Pal]-[(D)NMeTyr]-NH_2 (SEQ ID NO:168);

and wherein the peptide inhibitor is cyclized via a Pen-Pen disulfide bond; or via an Abu-C thioether bond.

[00355] In certain related embodiments of methods of treating an IBD, e.g., ulcerative colitis or Crohn's disease, the peptide inhibitor comprises or is any one of the amino acid sequence listed below; or a pharmaceutically acceptable salt thereof:

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-NH_2 (SEQ ID NO:80);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:104);

Ac-[Pen]-N-T-[W(7-Me)]-Q-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:108);

Ac-[Abu]-Q-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:110);

Ac-[Abu]-Q-T-[W(7-Me)]-[Cit]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:112);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Quin]-[Sarc]-NH_2 (SEQ ID NO:118);

Ac-[Pen]-S-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:124); or

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:125);

and wherein the peptide inhibitor is cyclized via a Pen-Pen disulfide bond; or via an Abu-Cys thioether bond.

[00356] In certain related embodiments of methods of treating an IBD, e.g., ulcerative colitis or Crohn's disease, the peptide inhibitor comprises or is any one of the amino acid sequence listed below; or a pharmaceutically acceptable salt thereof:

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:105);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:106);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:117);

Ac-[Pen]-N-T-[W(7-Ph)]-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:126);

Ac-[Pen]-N-T-[W(7-Ph)]-Q-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:127);

Ac-[Abu]-Q-T-[W(7-Ph)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:134);

Ac-[Abu]-Q-T-[W(7-Ph)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:135);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:136);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aceylaminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:137); or

Ac-[Pen]-E-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:139);

and wherein the peptide inhibitor is cyclized via a Pen-Pen disulfide bond; or via an Abu-C thioether bond.

[00357] In certain related embodiments of methods of treating an IBD, e.g., ulcerative colitis or Crohn's disease, the peptide inhibitor comprises or is any one of the amino acid sequence listed below; or a pharmaceutically acceptable salt thereof:

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:139);

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NOs:158, 162, 284);

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:163);

Ac-[Pen]-N-T-W-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:523);

Ac-[Pen]-N-T-W-Q-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:524);

Ac-[Abu]-Q-T-W-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:525);

Ac-[Abu]-Q-T-W-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:526);

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:163);

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aceylaminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:527);; or

Ac-[Pen]-E-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:528);

and wherein the peptide inhibitor is cyclized via a Pen-Pen disulfide bond; or via an Abu-C thioether bond.

[00358] In certain related embodiments of methods of treating an IBD, ulcerative colitis or Crohn's disease, the peptide inhibitor comprises or is any one of the amino acid sequence listed below; or a pharmaceutically acceptable salt thereof:

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMeLeu]-E-N-[(D)Lys]-[(D)NMeTyr]-NH_2 (SEQ ID NO:201),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMeLeu]-E-N-[(D)His]-[(D)NMeTyr]-NH_2 (SEQ ID NO:202),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Orn]-[(D)NMeTyr]-NH_2 (SEQ ID NO:203),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Ser]-[(D)NMeTyr]-NH_2 (SEQ ID NO:204),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Phe]-[(D)NMeTyr]-NH_2 (SEQ ID NO:205),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[(D)Tyr]-NH_2 (SEQ ID NO:206),

Ac-[Pen]-N-T-[W(7-Me)]-[(D)Tyr]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[(D)NMeTyr]-NH_2 (SEQ ID NO:207),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-P-NH_2 (SEQ ID NO:208),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[(D)Pro]-NH_2 (SEQ ID NO:209),

Ac-[Pen]-N-T-[W(7-Me)]-[Phe(4-CONH2)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[(D)NMeTyr]-NH_2 (SEQ ID NO:210),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-(D)Phe[4-NH_2]-[Sarc]-NH_2 (SEQ ID NO:211),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-NH_2 (SEQ ID NO:212),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-N(H)Me (SEQ ID NO:213),

Ac-[Pen]-N-T-[W(7-Me)]-[Phe(4-NH(Ac))]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[(D)NMeTyr]-NH_2 (SEQ ID NO:214),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Tyr]-[(D)NMeTyr]-NH_2 (SEQ ID NO:215),

Ac-[Pen]-N-T-[W(7-Me)]-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMeLeu]-[Lys(Ac)]-N-[(D)Lys]-[(D)NMeTyr]-NH_2 (SEQ ID NO:216),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)His]-[(D)NMeTyr]-NH_2 (SEQ ID NO:217),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[bAla]-[(D)NMeTyr]-NH_2 (SEQ ID NO:218),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[bAla]-[(D)NMeTyr]-NH_2 (SEQ ID NO:219),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[bAla]-[(D)NMeTyr]-NH_2 (SEQ ID NO:220),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-E-N-H-N(H)Me (SEQ ID NO:221),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[THP]-P-NH_2 (SEQ ID NO:222),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[THP]-[(D)Pro]-NH_2 (SEQ ID NO:223),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-[bAla]-[Sarc]-NH_2 (SEQ ID NO:224),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-[(D)Val]-[Sarc]-NH_2 (SEQ ID NO:225),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-[(D)Arg]-[Sarc]-NH_2 (SEQ ID NO:226),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-[Hph]-[Sarc]-NH_2 (SEQ ID NO:227),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-Phe[4-NH_2]-[Sarc]-NH_2 (SEQ ID NO:228),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-Phe[4-NH_2]-[Sarc]-NH_2 (SEQ ID NO:229),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-F-[Sarc]-NH_2 (SEQ ID NO:230),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-[THP]-[Sarc]-NH_2 (SEQ ID NO:231),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-[(D)Leu]-[Sarc]-NH_2 (SEQ ID NO:232),

Ac-[(D)Arg]-[Cys]-N-T-[W(7-Me)]-[Lys(Ac)]-[aMeCys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-H-[Sarc]-NH_2 (SEQ ID NO:233),

Ac-[(D)Arg]-[Cys]-N-T-[W(7-Me)]-[Lys(Ac)]-[aMeCys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-[(D)Leu]-[Sarc]-NH_2 (SEQ ID NO:234),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:235),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[bAla]-[Sarc]-NH_2 (SEQ ID NO:236),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Val]-[Sarc]-NH_2 (SEQ ID NO:237),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Arg]-[Sarc]-NH_2 (SEQ ID NO:238),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[Hph]-[Sarc]-NH_2 (SEQ ID NO:239),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Tyr]-[Sarc]-NH_2 (SEQ ID NO:240),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Tyr]-[Sarc]-NH_2 (SEQ ID NO:241),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[4Pal]-NH_2 (SEQ ID NO:242),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[Phe(4-CF3)]-[Sarc]-NH_2 (SEQ ID NO:243),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-Tyr_CHF2-[Sarc]-NH_2 (SEQ ID NO:244),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[THP]-P-NH_2 (SEQ ID NO:245),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[(D)NMeTyr]-NH_2 (SEQ ID NO:246),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:247),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[4Pal]-[Sarc]-NH_2 (SEQ ID NO:248),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[Phe(2-aminomethyl)]-[Sarc]-NH_2 (SEQ ID NO:249),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Pro(4,4diF)]-NH_2 (SEQ ID NO:250),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[aMePro]-NH_2 (SEQ ID NO:251),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Aib]-NH_2 (SEQ ID NO:252),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[His(3-Me)]-[Sarc]-NH_2 (SEQ ID NO:253),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[His(3-Me)]-[Sarc]-NH_2 (SEQ ID NO:261),

Ac-[(D)Arg]-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[His(3-Me)]-[Sarc]-NH_2 (SEQ ID NO:262),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:266),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-N(H)Me (SEQ ID NO:267),

[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:270),

Ac-[(D)Arg]-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:271),

Pr-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]- Phe[4-(2-(N-propionylamino)ethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:272),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-(N-(4-hydroxy-3-methylphenyl) propionylamino) ethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:273),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal(5-Me)]-[Sarc]-NH_2 (SEQ ID NO:276),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal(5-NH_2)]-[Sarc]-NH_2 (SEQ ID NO:277),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[His(3-Me)]-N(H)Me (SEQ ID NO:278),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[(D)NMeTyr]-NH_2 (SEQ ID NO:279),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Gly(N-cyclohexylmethyl)]-NH_2 (SEQ ID NO:280),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Gly(N-isobutyl)]-NH_2 (SEQ ID NO:281),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal(3-Me)]-NH_2 (SEQ ID NO:282),

Ac-[(D)Arg]-[aMeCys]-N-T-[W(7-Me)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:283),

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:284),

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:285, 159),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:286),

Ac-[Pen]-[Gly(Allyl)]-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Tyr(O-Allyl)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:287),

Ac-[Pen]-[Gly(Allyl)]-D-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Tyr(O-Allyl)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:288),

Ac-[Pen]-[Gly(Allyl)]-T-(W(4-F)]-[Lys(Ac)]-[Pen]-[Tyr(O-Allyl)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:289),

Ac-[Pen]-N-D-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:290),

Ac-[Pen]-L-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:291),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:299),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-F-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:308),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[(D)Tyr]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:309),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:310),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2, (SEQ ID NO:311)

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(N-acetyl-N-propyl)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:332),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(N-acetyl-N-butyl)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:333),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(N-acetyl-N-isobutyl)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:334),

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(N-acetyl-N-benzyl)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:335),

Ac-[Pen]-L-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2-Nal]-[a-MeLeu]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:339),

Ac-[Pen]-L-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2-Nal]-[aMeLeu]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:347), or

Ac-[Abu]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:373),

and wherein the peptide inhibitor is cyclized via a Pen-Pen disulfide bond; or via an Abu-C thioether bond.

[00359] In certain related embodiments of methods of treating an IBD, ulcerative colitis or Crohn's disease, the peptide inhibitor comprises or is any one of the amino acid sequence listed below; or a pharmaceutically acceptable salt thereof:

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:104),

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:106),

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NOs:158, 162, 284),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2(SEQ ID NOs:247, 266),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[His(3-Me)]-[Sarc]-NH_2(SEQ ID NO:261), or

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-N(H)Me (SEQ ID NO:267),

wherein the peptide inhibitor is cyclized via a Pen-Pen disulfide bond.

[00360] In certain related embodiments of methods of treating an IBD, ulcerative colitis or Crohn's disease, the peptide inhibitor comprises or is any one of the amino acid sequence listed below; or a pharmaceutically acceptable salt thereof:

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:104),

wherein the peptide inhibitor is cyclized via a Pen-Pen disulfide bond.

[00361] In certain related embodiments of methods of treating an IBD, ulcerative colitis or Crohn's disease, the peptide inhibitor comprises or is any one of the amino acid sequence listed below; or a pharmaceutically acceptable salt thereof:

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:106),

wherein the peptide inhibitor is cyclized via a Pen-Pen disulfide bond.

[00362] In certain related embodiments of methods of treating an IBD, ulcerative colitis or Crohn's disease, the peptide inhibitor comprises or is any one of the amino acid sequence listed below; or a pharmaceutically acceptable salt thereof:

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:158),

wherein the peptide inhibitor is cyclized via a Pen-Pen disulfide bond.

[00363] In certain related embodiments of methods of treating an IBD, ulcerative colitis or Crohn's disease, the peptide inhibitor comprises or is any one of the amino acid sequence listed below; or a pharmaceutically acceptable salt thereof:

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2 (SEQ ID NO:247),

wherein the peptide inhibitor is cyclized via a Pen-Pen disulfide bond.

[00364] In certain related embodiments of methods of treating an IBD, ulcerative colitis or Crohn's disease, the peptide inhibitor comprises or is any one of the amino acid sequence listed below; or a pharmaceutically acceptable salt thereof:

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[His(3-Me)]-[Sarc]-NH_2 (SEQ ID NO:261),

wherein the peptide inhibitor is cyclized via a Pen-Pen disulfide bond.

[00365] In certain related embodiments of methods of treating an IBD, ulcerative colitis or Crohn's disease, the peptide inhibitor comprises or is any one of the amino acid sequence listed below; or a pharmaceutically acceptable salt thereof:

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-N(H)Me (SEQ ID NO:267),

wherein the peptide inhibitor is cyclized via a Pen-Pen disulfide bond.

[00366] In certain related embodiments, the present invention provides a method of selectively inhibiting IL-23 or IL-23R signaling (or the binding of IL-23 to IL-23R) in a subject in need thereof, comprising providing to the subject a peptide inhibitor of the present invention. In particular embodiments, the present invention includes a method of selectively inhibiting IL-23 or IL-23R signaling (or the binding of IL-23 to IL-23R) in the GI tract of a subject in need thereof, comprising providing to the subject a peptide inhibitor of the present invention by oral administration. In particular embodiments, exposure of the administered peptide inhibitor in GI tissues (e.g., small intestine or colon) is at least 10-fold, at least 20-fold, at least 50-fold, or at least 100-fold greater than the exposure in the blood. In particular embodiments, the present invention includes a method of selectively inhibiting IL23 or IL23R signaling (or the binding of IL23 to IL23R) in the GI tract of a subject in need thereof, comprising providing to the subject a peptide inhibitor, wherein the peptide inhibitor does not block the interaction between IL-6 and IL-6R or antagonize the IL-12 signaling pathway. In a further related embodiment, the present invention includes a method of inhibiting GI inflammation and/or neutrophil infiltration to the GI, comprising providing to a subject in need thereof a peptide inhibitor of the present invention. In some embodiments, methods of the present invention comprise providing a peptide inhibitor of the present invention (i.e., a first therapeutic agent) to a subject in need thereof in combination with a second therapeutic agent. In certain embodiments, the second therapeutic agent is provided to the subject before and/or simultaneously with and/or after the peptide inhibitor is administered to the subject. In particular embodiments, the second therapeutic agent is an anti-inflammatory agent. In certain embodiments, the second therapeutic agent is a non-steroidal anti-inflammatory drug, steroid, or immune modulating agent. In certain embodiments, the method comprises administering to the subject a third therapeutic agent. In certain embodiments, the second therapeutic agent is an antibody that binds IL-23 or IL-23R.

PHARMACEUTICAL COMPOSITIONS

[00367] In particular embodiments, the peptide inhibitor, or the pharmaceutical composition comprising a peptide inhibitor, is suspended in a sustained-release matrix. A sustained-release matrix, as used herein, is a matrix made of materials, usually polymers, which are degradable by enzymatic or acid-base hydrolysis or by dissolution. Once inserted into the body, the matrix is acted upon by enzymes and body fluids. A sustained-release matrix desirably is chosen from

biocompatible materials such as liposomes, polylactides (polylactic acid), polyglycolide (polymer of glycolic acid), polylactide co-glycolide (copolymers of lactic acid and glycolic acid) polyanhydrides, poly(ortho)esters, polypeptides, hyaluronic acid, collagen, chondroitin sulfate, carboxylic acids, fatty acids, phospholipids, polysaccharides, nucleic acids, polyamino acids, amino acids such as phenylalanine, tyrosine, isoleucine, polynucleotides, polyvinyl propylene, polyvinylpyrrolidone and silicone. One embodiment of a biodegradable matrix is a matrix of one of either polylactide, polyglycolide, or polylactide co-glycolide (co-polymers of lactic acid and glycolic acid).

[00368] In certain embodiments, the present invention includes pharmacetical compositions comprising one or more peptide inhibitors of the present invention and a pharmaceutically acceptable carrier, diluent or excipient. A pharmaceutically acceptable carrier, diluent or excipient refers to a non-toxic solid, semi-solid or liquid filler, diluent, encapsulating material or Formulation auxiliary of any type. Prevention of the action of microorganisms may be ensured by the inclusion of various antibacterial and antifungal agents, for example, paraben, chlorobutanol, phenol sorbic acid, and the like. It may also be desirable to include isotonic agents such as sugars, sodium chloride, and the like.

[00369] In certain embodiments, the compositions are administered orally, parenterally, intracisternally, intravaginally, intraperitoneally, intrarectally, topically (as by powders, ointments, drops, suppository, or transdermal patch), by inhalation (such as intranasal spray), ocularly (such as intraocularly) or buccally. The term "parenteral" as used herein refers to modes of administration which include intravenous, intramuscular, intraperitoneal, intrasternal, subcutaneous, intradermal and intraarticular injection and infusion. Accordingly, in certain embodiments, the compositions are Formulated for delivery by any of these routes of administration.

[00370] In certain embodiments, pharmaceutical compositions for parenteral injection comprise pharmaceutically acceptable sterile aqueous or nonaqueous solutions, dispersions, suspensions or emulsions, or sterile powders, for reconstitution into sterile injectable solutions or dispersions just prior to use. Examples of suitable aqueous and nonaqueous carriers, diluents, solvents or vehicles include water, ethanol, polyols (such as glycerol, propylene glycol, polyethylene glycol, and the like), carboxymethylcellulose and suitable mixtures thereof, β-cyclodextrin, vegetable oils (such as olive oil), and injectable organic esters such as ethyl oleate. Proper fluidity may be maintained, for example, by the use of coating materials such as lecithin, by the maintenance of the required particle size in the case of dispersions, and by the use of surfactants. Thes compositions may also

contain adjuvants such as preservative, wetting agents, emulsifying agents, and dispersing agents. Prolonged absorption of an injectable pharmaceutical form may be brought about by the inclusion of agents which delay absorption, such as aluminum monostearate and gelatin.

[00371] Injectable depot forms include those made by forming microencapsule matrices of the peptide inhibitor in one or more biodegradable polymers such as polylactide-polyglycolide, poly(orthoesters), poly(anhydrides), and (poly)glycols, such as PEG. Depending upon the ratio of peptide to polymer and the nature of the particular polymer employed, the rate of release of the peptide inhibitor can be controlled. Depot injectable Formulations are also prepared by entrapping the peptide inhibitor in liposomes or microemulsions compatible with body tissues.

[00372] The injectable Formulations may be sterilized, for example, by filtration through a bacterial-retaining filter, or by incorporating sterilizing agents in the form of sterile solid compositions which can be dissolved or dispersed in sterile water or other sterile injectable medium just prior to use.

[00373] Topical administration includes administration to the skin or mucosa, including surfaces of the lung and eye. Compositions for topical lung administration, including those for inhalation and intranasal, may involve solutions and suspensions in aqueous and non-aqueous Formulations and can be prepared as a dry powder which may be pressurized or non-pressurized. In non-pressurized powder compositions, the active ingredientmay be finely divided form may be used in admixture with a larger-sized pharmaceutically acceptable inert carrier comprising particles having a size, for example, of up to 100 micrometers in diameter. Suitable inert carriers include sugars such as lactose.

[00374] Alternatively, the composition may be pressurized and contain a compressed gas, such as nitrogen or a liquefied gas propellant. The liquefied propellant medium and indeed the total composition may bey such that the active ingredient does not dissolve therein to any substantial extent. The pressurized composition may also contain a surface active agent, such as a liquid or solid non-ionic surface active agent or may be a solid anionic surface active agent. It is preferred to use the solid anionic surface active agent in the form of a sodium salt.

[00375] A further form of topical administration is to the eye. A peptide inhibitor of the invention may be delivered in a pharmaceutically acceptable ophthalmic vehicle, such that the peptide inhibitor is maintained in contact with the ocular surface for a sufficient time period to allow the peptide inhibitor to penetrate the corneal and internal regions of the eye, as for example the anterior chamber, posterior chamber, vitreous body, aqueous humor, vitreous humor, cornea, iris/ciliary, lens, choroid/retina and sclera. The pharmaceutically acceptable ophthalmic vehicle may, for

example, be an ointment, vegetable oil or an encapsulating material. Alternatively, the peptide inhibitors of the invention may be injected directly into the vitreous and aqueous humour.

[00376] Compositions for rectal or vaginal administration include suppositories which may be prepared by mixing the peptide inhibitorss of this invention with suitable non-irritating excipients or carriers such as cocoa butter, polyethylene glycol or a suppository wax, which are solid at room temperature but liquid at body temperature and, therefore, melt in the rectum or vaginal cavity and release the active compound.

[00377] Peptide inhibitors of the present invention may also be administered in liposomes or other lipid-based carriers. As is known in the art, liposomes are generally derived from phospholipids or other lipid substances. Liposomes are formed by mono- or multi-lamellar hydrated liquid crystals that are dispersed in an aqueous medium. Any non-toxic, physiologically acceptable and metabolizable lipid capable of forming liposomes can be used. The present compositions in liposome form can contain, in addition to a peptide inhibitor of the present invention, stabilizers, preservatives, excipients, and the like. In certain embodiments, the lipids comprise phospholipids, including the phosphatidyl cholines (lecithins) and serines, both natural and synthetic. Methods to form liposomes are known in the art.

[00378] Pharmaceutical compositions to be used in the invention suitable for parenteral administration may comprise sterile aqueous solutions and/or suspensions of the peptide inhibitos made isotonic with the blood of the recipient, generally using sodium chloride, glycerin, glucose, mannitol, sorbitol, and the like.

[00379] In some aspects, the invention provides a pharmaceutical composition for oral delivery. Compositions and peptide inhibitors of the instant invention may be prepared for oral administration according to any of the methods, techniques, and/or delivery vehicles described herein. Further, one having skill in the art will appreciate that the peptide inhibitors of the instant invention may be modified or integrated into a system or delivery vehicle that is not disclosed herein, yet is well known in the art and compatible for use in oral delivery of peptides.

[00380] In certain embodiments, Formulations for oral administration may comprise adjuvants (e.g. resorcinols and/or nonionic surfactants such as polyoxyethylene oleyl ether and n-hexadecylpolyethylene ether) to artificially increase the permeability of the intestinal walls, and/or enzymatic inhibitors (e.g. pancreatic trypsin inhibitors, diisopropylfluorophosphate (DFF) or trasylol) to inhibit enzymatic degradation. In certain embodiments, the peptide inhibitor of a solid-type dosage form for oral administration can be mixed with at least one additive, such as sucrose, lactose, cellulose, mannitol, trehalose, raffinose, maltitol, dextran, starches, agar, alginates, chitins,

chitosans, pectins, gum tragacanth, gum arabic, gelatin, collagen, casein, albumin, synthetic or semisynthetic polymer, or glyceride. These dosage forms can also contain other type(s) of additives, e.g., inactive diluting agent, lubricant such as magnesium stearate, paraben, preserving agent such as sorbic acid, ascorbic acid, alpha-tocopherol, antioxidants such as cysteine, disintegrators, binders, thickeners, buffering agents, pH adjusting agents, sweetening agents, flavoring agents or perfuming agents.

[00381] In particular embodiments, oral dosage forms or unit doses compatible for use with the peptide inhibitors of the present invention may include a mixture of peptide inhibitor and nondrug components or excipients, as well as other non-reusable materials that may be considered either as an ingredient or packaging. Oral compositions may include at least one of a liquid, a solid, and a semi-solid dosage forms. In some embodiments, an oral dosage form is provided comprising an effective amount of peptide inhibitor, wherein the dosage form comprises at least one of a pill, a tablet, a capsule, a gel, a paste, a drink, a syrup, ointment, and suppository. In some instances, an oral dosage form is provided that is designed and configured to achieve delayed release of the peptide inhibitor in the subject's small intestine and/or colon.

[00382] In certain embodiments, an oral pharmaceutical composition comprising a peptide inhibitor of the present invention comprises an enteric coating that is designed to delay release of the peptide inhibitor in the small intestine. In at least some embodiments, a pharmaceutical composition is provided which comprises a peptide inhibitor of the present invention and a protease inhibitor, such as aprotinin, in a delayed release pharmaceutical Formulation. In some instances, pharmaceutical compositions of the instant invention comprise an enteric coat that is soluble in gastric juice at a pH of about 5.0 or higher. In at least one embodiment, a pharmaceutical composition is provided comprising an enteric coating comprising a polymer having dissociable carboxylic groups, such as derivatives of cellulose, including hydroxypropylmethyl cellulose phthalate, cellulose acetate phthalate and cellulose acetate trimellitate and similar derivatives of cellulose and other carbohydrate polymers.

[00383] In certain embodiments, a pharmaceutical composition comprising a peptide inhibitor of the present invention is provided in an enteric coating, the enteric coating being designed to protect and release the pharmaceutical composition in a controlled manner within the subject's lower gastrointestinal system, and to avoid systemic side effects. In addition to enteric coatings, the peptide inhibitors of the instant invention may be encapsulated, coated, engaged or otherwise associated within any compatible oral drug delivery system or component. For example, in some embodiments a peptide inhibitor of the present invention is provided in a lipid carrier system

comprising at least one of polymeric hydrogels, nanoparticles, microspheres, micelles, and other lipid systems.

[00384] To overcome peptide degradation in the small intestine, some embodiments of the present invention comprise a hydrogel polymer carrier system in which a peptide inhibitor of the present invention is contained, whereby the hydrogel polymer protects the peptide inhibitor from proteolysis in the small intestine and/or colon. The peptide inhibitors of the present invention may further be Formulated for compatible use with a carrier system that is designed to increase the dissolution kinetics and enhance intestinal absorption of the peptide. These methods include the use of liposomes, micelles and nanoparticles to increase GI tract permeation of peptides.

[00385] Various bioresponsive systems may also be combined with one or more peptide inhibitor of the present invention to provide a pharmaceutical agent for oral delivery. In some embodiments, a peptide inhibitor of the instant invention is used in combination with a bioresponsive system, such as hydrogels and mucoadhesive polymers with hydrogen bonding groups (e.g., PEG, poly(methacrylic) acid [PMAA], cellulose, Eudragit®, chitosan and alginate) to provide a therapeutic agent for oral administration. Other embodiments include a method for optimizing or prolonging drug residence time for a peptide inhibitor disclosed herein, wherein the surface of the peptide inhibitor surface is modified to comprise mucoadhesive properties through hydrogen bonds, polymers with linked mucins or/and hydrophobic interactions. These modified peptide molecules may demonstrate increase drug residence time within the subject, in accordance with a desired feature of the invention. Moreover, targeted mucoadhesive systems may specifically bind to receptors at the enterocytes and M-cell surfaces, thereby further increasing the uptake of particles containing the peptide inhibitor.

[00386] Other embodiments comprise a method for oral delivery of a peptide inhibitor of the present invention, wherein the peptide inhibitor is provided to a subject in combination with permeation enhancers that promote the transport of the peptides across the intestinal mucosa by increasing paracellular or transcellular permeation. Various permeation enhancers and methods for the oral delivery of therapeutic agents is described in Brayden, D.J., Mrsny, R.J., 2011. Oral peptide delivery: prioritizing the leading technologies. Ther. Delivery 2 (12), 1567–1573.

[00387] In certain embodiments, pharmaceutical compositions and Formulations of the present invention comprises a peptide inhibitor of the present invention and one or more permeation enhancer. Examples of absorption enhancers may include Bile salts, fatty acids, surfactants (anionic, cationic, and nonanionic) chelators, Zonular OT, esters, cyclodextrin, dextran sulfate, azone, crown ethers, EDTA, sucrose esters, and phosphotidyl choline, for example. Although

absorption enhancers are not typically carriers by themselves, they are also widely associated with other carriers to improve oral bioavailability by transporting of peptides and proteins across the intestinal mucosa. Such substances can be added to the Formulation as excipients or incorporated to form non specific interactions with the intended peptide inhibitor.

[00388] Dietary components and/or other naturally occurring substances affirmed as enhancing tight junction permeation and as Generally Recognized As Safe (GRAS) include, e.g., asglycerides, acylcarnitines, bile salts, and medium chain fatty acids. Sodium salts of medium chain fatty acids (MCFAS) were also suggested to be permeation enhancers. The most extensively studied MCFAS is sodium caprate, a salt of capric acid, which comprises 2-3% of the fatty acids in the milk fat fraction. To date, sodium caprate is mainly used as an excipient in a suppository Formulation (Doktacillin™) for improving rectal ampicillin absorption. The permeation properties of another dietary MCFAS, sodium caprylate (8-carbon), were shown in vitro to be lower when compared to sodium caprate. Sodium caprylate and a peptidic drug were Formulated in an admixture with other excipients in oil to generate an oily suspension (OS) that enhanced permeability (Tuvia, S. et al., Pharmaceutical Research, Vol. 31, No. 8, pp. 2010-2021 (2014).

[00389] For example, In certain embodiments, a permeation enhancer is combined with a peptide inhibitor, wherein the permeation enhancer comprises at least one of a medium-chain fatty acid, a long-chain fatty acid, a bile salt, an amphiphilic surfactant, and a chelating agent. In certain embodiments, medium-chain fatty acid salts promote absorption by increasing paracellular permeability of the intestinal epithelium. In certain embodiments, a permeation enhancer comprising sodium N-[hydroxybenzoyl)amino] caprylate is used to form a weak noncovalent association with the peptide inhibitor of the instant invention, wherein the permeation enhancer favors membrane transport and further dissociation once reaching the blood circulation. In certain embodiments, a peptide inhibitor of the present invention is conjugated to oligoarginine, thereby increasing cellular penetration of the peptide into various cell types. Further, in at least one embodiment a noncovalent bond is provided between a peptide inhibibitor of the present invention and a permeation enhancer selected from the group consisting of a cyclodextrin (CD) and a dendrimers, wherein the permeation enhancer reduces peptide aggregation and increasing stability and solubility for the peptide inhibitor molecule.

[00390] In certain embodiments, a pharmaceutical composition or Formulation comprises a peptide inhibitor of the present invention and a transient permeability enhancers (TPEs). Permeation enhancers and TPEs may be used to increase orally bioavailability or the peptide inhibitor. One example of a TPE that may be used is an oily suspension Formulation that disperses a powder

containing sodioum caprylate and a therapeutic agent (Tuvia, S. et al., Pharmaceutical Research, Vol. 31, No. 8, pp. 2010-2021 (2014).

[00391] In certain embodiments, pharmaceutical composition and Formulations may include a peptide inhibitor of the present invention and one or more absorption enhancers, enzyme inhibitors, or mucoso adhesive polymers.

[00392] In particular embodiments, peptide inhibors of the present invention are Formulated in a Formulation vehicle, such as, e.g., emulsions, liposomes, microsphere or nanoparticles.

[00393] Other embodiments of the invention provide a method for treating a subject with a peptide inhibitor of the present invention having an increased half-life. In one aspect, the present invention provides a peptide inhibitor having a half-life of at least several hours to one day *in vitro* or *in vivo* (e.g., when administered to a human subject) sufficient for daily (q.d.) or twice daily (b.i.d.) dosing of a therapeutically effective amount. In certain embodiments, the peptide inhibitor has a half-life of three days or longer sufficient for weekly (q.w.) dosing of a therapeutically effective amount. In certain embodiments, the peptide inhibitor has a half-life of eight days or longer sufficient for bi-weekly (b.i.w.) or monthly dosing of a therapeutically effective amount. In certain embodiments, the peptide inhibitor is derivatized or modified such that is has a longer half-life as compared to the underivatized or unmodified peptide inhibitor. In certain embodiments, the peptide inhibitor contains one or more chemical modifications to increase serum half-life.

[00394] When used in at least one of the treatments or delivery systems described herein, a peptide inhibitor of the present invention may be employed in pure form or, where such forms exist, in pharmaceutically acceptable salt form.

[00395] The total daily usage of the peptide inhibitors and compositions of the present invention can be decided by the attending physician within the scope of sound medical judgment. The specific therapeutically effective dose level for any particular subject will depend upon a variety of factors including: a) the disorder being treated and the severity of the disorder; b) activity of the specific compound employed; c) the specific composition employed, the age, body weight, general health, sex and diet of the patient; d) the time of administration, route of administration, and rate of excretion of the specific peptide inhibitor employed; e) the duration of the treatment; f) drugs used in combination or coincidental with the specific peptide inhibitor employed, and like factors well known in the medical arts.

[00396] In particlar embodiments, the total daily dose of the peptide inhibitors of the invention to be administered to a human or other mammal host in single or divided doses may be in amounts, for example, from 0.0001 to 300 mg/kg body weight daily or 1 to 300 mg/kg body weight daily.

NON-INVASIVE DETECTION OF INTESTINAL INFLAMMATION

[00397] The peptide inhibitors of the invention may be used for detection, assessment and diagnosis of intestinal inflammation by microPET imaging, wherein the peptide inhibitor is labeled with a chelating group or a detectable label, as part of a a non-invasive diagnostic procedure. In certain embodiments, a peptide inhibitor is conjugated with a bifunctional chelator. In certain embodiments, a peptide inhibitor is radiolabeled. The labeled peptide inhibitor is then administered to a subject orally or rectally. In certain embodiments, the labeled peptide inhibitor is included in drinking water. Following uptake of the peptide inhibitor, microPET imaging may be used to visualize inflammation throughout the subject's bowels and digestive track.

EXAMPLES

SYNTHESIS OF SUBSTITUTED TRYPTOPHANS

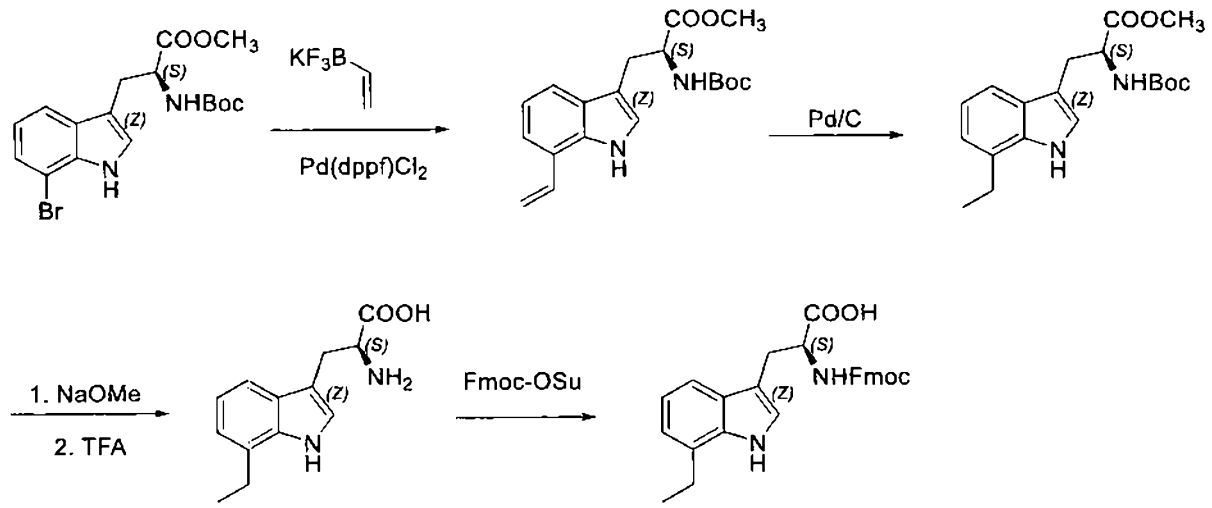
Synthesis of 7-methyl tryptophan

[00398] 7-Methyl tryptophan was purchased from a commercial source. Additionally, the compound can be synthesized following one of the methods described below.

Synthesis of 7-ethyl tryptophan

[00399] 7-Ethyl tryptophan was synthesized following the method depicted in Scheme 1:

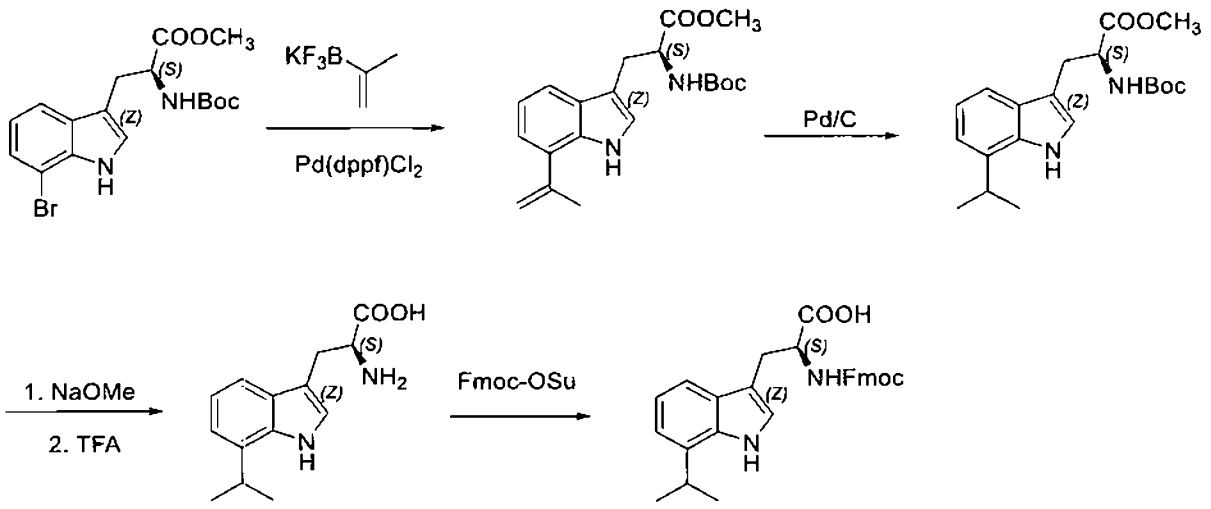
Scheme 1



Synthesis of 7-ispropyl tryptophan

[00400] 7-Isopropyl tryptophan was synthesized following the method depicted in Scheme 2:

Scheme 2



Procedures for 7-isopropyl compound:

Suzuki Coupling:

[00401] To a solution of (S)-methyl 3-(7-bromo-1H-indol-3-yl)-2-((tert-butoxycarbonyl) amino) propanoate (5.0 g, 12.6 mmol) in n-propanol in sealed was added Ptassium isoprenyltrifluoroborate (2.2 g, 15.1 mmol) and was purged with nitrogen. To the above mixture was added triethylamine (3.5 mL, 25.5 mmol) and then the catalyst [1,1'-Bis(diphenylphosphino)ferrocene]dichloropalladium(II), complex with dichloromethane (0.72 g, 0.88 mmol), purged with nitrogen for 10 minutes and heated to 100 °C overnight. The solution was concentrated to residue which was dissolved in ethyl acetate (150 mL) washed with water and brine. The organic layer was concentrated and crude was purified by flash column (3.2 g, 71%) to get thick foamy solid.

Transfer Hydrogenation:

[00402] To a solution of (S)-methyl 2-((tert-butoxycarbonyl) amino)-3-(7-(prop-1-en-2-yl)-1H-indol-3-yl)propanoate (3.1 g, 8.6 mmol) in ethanol (40 mL) was added 10% Pd/C (100 mg, 50% wet catalyst) and then was added ammonium formate (1.6 g, 25.3 mmol) and the resulting mixture was heated to 65- 70 °C, over 2 h. The reaction mixture was concentrated and water was added to the residue and extracted into ethyl acetate (2 x 100 mL). The organic layer was washed with water and brine and concentrated. The product (3.1 g, quantitative) obtained was used as such for the next reaction.

Hydrolysis:

[00403] To a solution of (S)-methyl 2-((tert-butoxycarbonyl) amino)-3-(7-isopropyl-1H-indol-3-yl)propanoate (3.6 g, 10.0 mmol) in THF/MeOH/water (4:1:1) was added lithium hydroxide (1.26 g, 30.0 mmol) and the solution was stirred overnight. The solution was concentrated to remove

solvents and diluted with water and was acidified with 10% aqueous citric acid. The water layer containing product was extracted with ethyl acetate (2 x 100 mL). The organic layer was washed with water and brine, dried over Na2SO4 and concentrated to the desired product (2.8 g, 94%) as thick oil. Crude taken into next step without further purification

Boc deprotection:

[00404] To a cold solution of (S)-2-((tert-butoxycarbonyl)amino)-3-(7-isopropyl-1H-indol-3-yl)propanoic acid (2.8 g, 8.0 mmol) in dichloromethane (12 mL) was added Trifluoroacetic acid (6 mL) and the solution was stirred for 5 h at room temperature. The solution was evaporated to dryness re-dissolved in dichloromethane (10 mL) was treated with HCl/ether to and concentrated. The crude hydrochloride salt was suspended in MTBE (25 mL), stirred for 30 minutes and filtered to get S)-2-amino-3-(7-isopropyl-1H-indol-3-yl)propanoic acid hydrochloride (1.3 g, 68%) off white solid (hygroscopic)

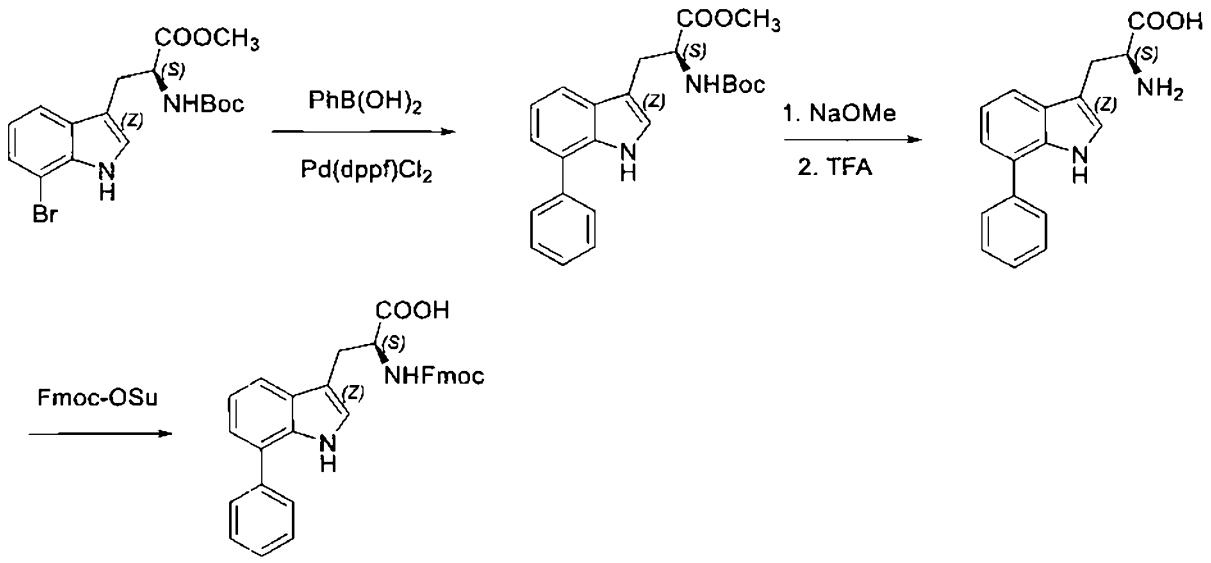
Fmoc protection:

[00405] To a solution of (S)-2-amino-3-(7-isopropyl-1H-indol-3-yl)propanoic acid hydrochloride (1.3 g, 4.6 mmol) in THF/ water (33 mL: 10 mL) was added sodium bicarbonate (1.55 g, 18.4 mmol) and then N-(9-Fluorenylmethoxycarbonyloxy)succinimide (1.55 g, 4.6 mmol) in portions. The resulting mixture was stirred overnight and concentrated to remove THF. The residue was diluted with water and was acidified with 2N HCl and extracted with ethyl acetate (2 x 75 mL). The organic layer was washed with water and brine, dried over Na_2SO_4 and concentrated to get the product as a foamy low melting solid (1.85 g, 86%).

Synthesis of 7-phenyl substituted tryptophans

[00406] 7-Phenyl substituted tryptophan were or can be synthesized following the method depicted in Scheme 3:

Scheme 3. 7-Phenyl Substituted Tryptophans



Suzuki Coupling with Aryl Boronic acid

[00407] (S)-methyl 3-(7-bromo-1H-indol-3-yl)-2-((tert-butoxycarbonyl)amino)propanoate (4.0 g, 10.0 mmol) in dry toluene (30 mL) was purged for 10 min with nitrogen. K_2CO_3 (2.0 g, 15.0 mmol) in 10 mL of water was added followed by Phenyl boronic acid (1.47 g, 12.0 mmol) and the reaction mixture was purged for 10 min with nitrogen. Pd(dppf)Cl_2.DCM (0.58 g, 0.71 mmol), ethanol (10 mL) and THF (20 mL) were added and the reaction mixture was heated to 100 °C with stirring for 8 hr. The reaction mixture was concentrated under vacuum and the residue was dissolved in DCM (200 mL). The organic layer was washed with water and brine, dried over sodium sulfate and concentrated. The crude product was purified by 60-120-mesh silica gel column chromatography to yield the product (3.6 g ,90%) as foamy solid.

Hydrolysis:

[00408] To a solution of (S)-methyl 2-((tert-butoxycarbonyl)amino)-3-(7-phenyl-1H-indol-3-yl)propanoate (3.6 g, 9.1 mmol) in THF/MeOH/water (4:1:1) was added lithium hydroxide (1.15g, 27.3 mmol) and the solution was stirred overnight. The solution was concentrated to remove solvents and diluted with enough water and was acidified with 10% citric acid. The water layer containing product was extracted with ethyl acetate (2 x 10 mL). The organic layer was washed with water and brine, dried over Na_2SO_4 and concentrated to the desired product (3.3 g, 95 %).

Boc Deprotection:

[00409] To an ice cooled solution of (S)-2-((tert-butoxycarbonyl)amino)-3-(7-phenyl-1H-indol-3-yl)propanoic acid (3.3 g, 8.6 mmol) in dichloromethane (13 mL) was added Trifluoroacetic acid

(6.6 mL) and the solution was stirred for 6 h at room temperature. The solution was evaporated to dryness re-dissolved in dichloromethane (10 mL) was treated with HCl/ether to and concentrated. The crude hydrochloride salt was suspended in MTBE (25 mL), stirred for 30 minutes and filtered to get (S)-2-amino-3-(7-phenyl-1H-indol-3-yl)propanoic acid hydrochloride (1.8 g, 66 %).

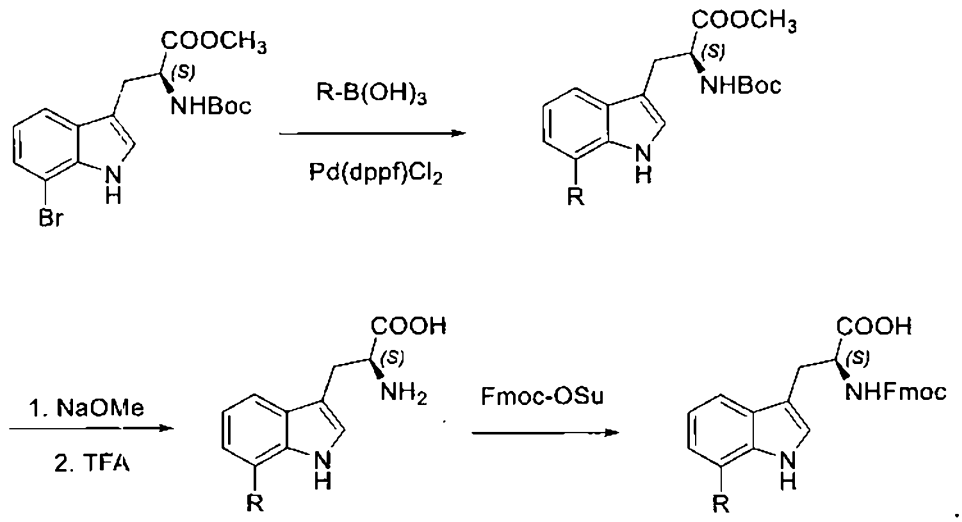
Fmoc protection:

[00410] To a solution of (S)-2-amino-3-(7-phenyl-1H-indol-3-yl)propanoic acid hydrochloride (1.8 g, 5.7 mmol) in THF/ water (45 mL: 13 mL) was added sodium bicarbonate (1.92 g, 22.8 mmol) and then N-(9-Fluorenylmethoxycarbonyloxy)succinimide (1.92 g, 5.7 mmol) in portions. The resulting mixture was stirred overnight and concentrated to remove THF. The residue was diluted with enough water and was acidified with 2N HCl and extracted with ethyl acetate (2 x 100 mL). The organic layer was washed with water and brine, dried over Na_2SO_4 and concentrated and residue was suspended in 20% MTBE/hexanes to yield the desired product (2.6 g, 92 %).

Synthesis of 7-heteroaryl substituted tryptophans

[00411] 7-Heteroaryl substituted tryptophan were or can be synthesized following the method depicted in Scheme 4:

Scheme 4. 7-Heteroaryl Substituted Tryptophans



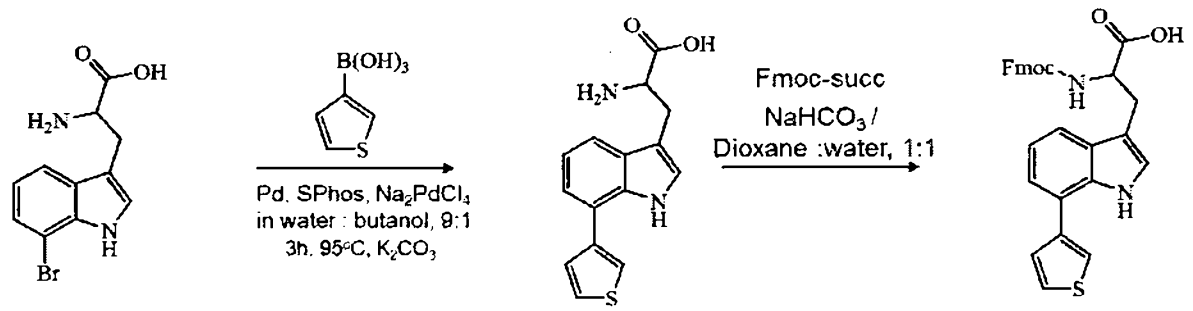
wherein R is heteroaryl, unsubstituted or substituted with halo, halo, alkyl, cyano, haloalkyl, hydroxy, or alkoxy.

[00412] Specific representative R groups are selected from thienyl, pyridyl, piperidinyl, and morpholinyl.

Synthesis of 7-thienyl (thiophenyl) substituted tryptophans

[00413] 7-Thienyl (thiophenyl) substituted tryptophan were or can be synthesized following the method depicted in Scheme 5:

Scheme 5. 7-Thiophenyl Substituted Tryptophans



[00414] Suzuki–Miyaura cross-coupling reaction was performed using the modified approach described by Frese et al. (ChemCatChem 2016, 8, 1799-1803). Using the Na_2PdCl_4 as a Pd source in combination with the Buchwald ligand SPhos. This system is known to catalyze challenging substrate combinations with excellent results even at low temperatures. In our case the Suzuki–Miyaura cross-coupling reaction of 7 bromoTrp and the boronic acid afforded the wanted product which we subsequently protected using Fmoc-OSu.

[00415] L-7-(Thiophen-3-yl)-tryptophan: 7-Bromo-L-tryptophan (0.283 g , 1 mmol), Thiophene-3-boronic acid acid (0.383 g, 3.00 mmol, 3 equiv.) and K_2CO_3 (10 equiv.) were placed in a flask and purged with N_2. Degassed water: 1-butanol (9:1, 30 mL) was added via a syringe, and the reaction was stirred at 95 °C. To initiate the reaction SPhos (6.2 mg, 15 mole %) and Na_2Cl_4Pd (15.2 mg, 5 mole %) were transferred to the mixture after previous warming of Pd salt and ligand for 10 min at 40 °C.

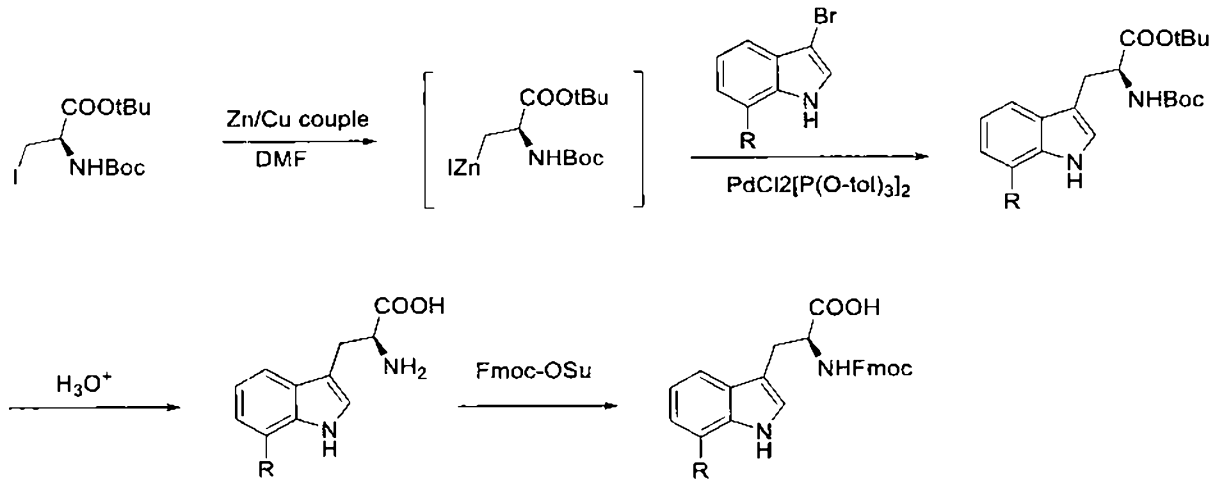
[00416] Upon completion, the aqueous reaction was diluted with H_2O (20 mL) and the solution was acidified to pH 1.0 by dropwise addition of 1 M HCl. Precipitated palladium black was removed by filtration (Whatman, 20 μm pore size) and the filtrate was lyophilized. Finally, the resulting crude product was purified by means of preparative reverse-phase high performance liquid chromatography (RP-HPLC) with a C18 column (5μm , 250 x 50 mm) with a flow rate of 50 mL/min. Separation was achieved using linear gradients of buffer B in A (Buffer A : Aqueous 0.05% TFA; Buffer B: 0.043% TFA, 90% acetonitrile in water). Analysis was monitored performed using a C18 column (3μm, 50 x 2mm) with a flow rate of 1 mL/min. Fractions containing pure product were then freeze-dried on a lyophilizer. Yield 104 mg (36% yield). MS (ESI) *m/z* 287.08 $[M+H]^+$ (Calcd. For $C_{15}H_{15}O_2NS$ 287.12).

[00417] Fmoc-L-7-(Thiophen-3-yl)-tryptophan: The amino acid, L-7-(Thiophen-3-yl)-tryptophan (31.5 mg, 0.11 mmol) was dissolved in water and sodium bicarbonate (2 eq) with stirring. The resulting solution was cooled to 5° C and Fmoc-OSu (44.53 mg, 1.05 eq) added slowly as a solution in dioxane. The resulting mixture is stirred at 0° for 1 h and allowed to warm overnight to room temperature. Water was then added and the aqueous layer is extracted 2 times with EtOAc. The organic layer was back extracted twice with saturated sodium bicarbonate solution. The combined aqueous layers are acidified to a pH of 1.0 with 10% HCl, and then extracted 3 times with EtOAc. The combined organic layers are dried (sodium sulfate) and concentrated in vacuo. The resulting residue was be purified by flash chromatography (SiO2) using (toluene, ethyl acteate, (1:1), 1% acetic acid). Yield 50 mg (89% yield). MS (ESI) *m/z* 509.10 [M+H] + (Calcd. For $C_{15}H_{15}O_2NS$ 508.59).

Synthesis of additional 7-substituted tryptophans

[00418] Additional 7-substituted tryptophan were or can be synthesized following the method depicted in Scheme 3:

Additional 7-Substituted Tryptophans



EXAMPLE 1: SYNTHESIS OF PEPTIDE MONOMERS

[00419] Peptide monomers of the present invention were synthesized using the Merrifield solid phase synthesis techniques on Protein Technology's Symphony multiple channel synthesizer. The peptides were assembled using HBTU (O-Benzotriazole-N,N,N',N'-tetramethyl-uronium-hexafluoro-phosphate), Diisopropylethylamine(DIEA) coupling conditions. For some amino acid

couplings PyAOP(7-Azabenzotriazol-1-yloxy)tripyrrolidinophosponium hexafluorophosphate) and DIEA conditions were used. Rink Amide MBHA resin (100-200 mesh, 0.57 mmol/g) was used for peptide with C-terminal amides and pre-loaded Wang Resin with N-α-Fmoc protected amino acid was used for peptide with C-terminal acids. The coupling reagents (HBTU and DIEA premixed) were prepared at 100mmol concentration. Similarly amino acids solutions were prepared at 100 mmol concentration. Peptide inhibitors of the present invention were identified based on medical chemistry optimization and/or phage display and screened to identify those having superior binding and/or inhibitory properties.

Assembly

[00420] The peptides were assembled using standard Symphony protocols.The peptide sequences were assembled as follows: Resin (250 mg, 0.14 mmol) in each reaction vial was washed twice with 4ml of DMF followed by treatment with 2.5ml of 20% 4-methyl piperidine (Fmoc de-protection) for 10min. The resin was then filtered and washed two times with DMF (4ml) and re-treated with N-methyl piperifine for additional 30 minute. The resin was again washed three times with DMF (4 ml) followed by addition 2.5ml of amino acid and 2.5ml of HBTU-DIEA mixture. After 45min of frequent agitations, the resin was filtered and washed three timed with DMF (4 ml each). For a typical peptide of the present invention, double couplings were performed. After completing the coupling reaction, the resin was washed three times with DMF (4 ml each) before proceeding to the next amino acid coupling.

Ring Closing Metathesis to form Olefins

[00421] The resin (100 μmol) was washed with 2 ml of DCM (3 × 1 min) and then with 2 ml of DCE (3 × 1 min) before being treated with a solution of 2 ml of a 6 mM solution of Grubbs' first-generation catalyst in DCE (4.94 mg ml−1; 20 mol% with regard to the resin substitution). The solution was refluxed overnight (12 h) under nitrogenbefore being drained. The resin was washed three times with DMF (4 ml each); DCM (4 ml) before being dried and cleavaed.

Cleavage

[00422] Following completion of the peptide assembly, the peptide was cleaved from the resin by treatment with cleavage reagent, such as reagent K (82.5% trigluoroacetic acid, 5% water, 5% thioanisole, 5% phenol, 2.5% 1,2-ethanedithiol). The cleavage reagent was able to successfully cleave the peptide from the resin, as well as all remaining side chain protecting groups.

[00423] The cleaved peptides were precipitated in cold diethyl ether followed by two washings with ethyl ether. The filtrate was poured off and a second aliquot of cold ether was added, and the procedure repeated. The crude peptide was dissolved in a solution of acetonitrile: water (7:3 with

1% TFA) and filtered. The quality of linear peptide was then verified using electrospray ionization mass spectrometry (ESI-MS) (Micromass/Waters ZQ) before being purified.

Disulfide Bond Formation via Oxidation

[00424] The peptide containing the free thiol (for example diPen) was assembled on a Rink Amide-MBHA resin following general Fmoc-SPPS procedure. The peptide was cleaved from the resin by treatment with cleavage reagent 90% trifluoroacetic acid, 5% water, 2.5% 1,2-ethanedithiol, 2.5% tri-isopropylsilane). The cleaved peptides were precipitated in cold diethyl ether followed by two washings with ethyl ether. The filtrate was poured off and a second aliquot of cold ether was added, and the procedure repeated. The crude peptide was dissolved in a solution of acetonitrile: water (7:3 with 1% TFA) and filtered giving the wanted unoxidized peptide crude peptide.

[00425] The crude, cleaved peptide with X4 and X9 possessing either Cys, Pen, hCys, (D)Pen, (D)Cys or (D)hCys, was dissolved in 20ml of water : acetonitrile. Saturated Iodine in acetic acid was then added drop wise with stirring until yellow color persisted. The solution was stirred for 15 minutes, and the reaction was monitored with analytic HPLC and LCMS. When the reaction was completed, solid ascorbic acid was added until the solution became clear. The solvent mixture was then purified by first being diluted with water and then loaded onto a reverse phase HPLC machine (Luna C18 support, 10u, 100A, Mobile phase A: water containing 0.1% TFA, mobile phase B: Acetonitrile (ACN) containing 0.1% TFA, gradient began with 5% B, and changed to 50% B over 60 minutes at a flow rate of 15ml/min). Fractions containing pure product were then freeze-dried on a lyophilyzer.

Thioether Bond Formation

[00426] The peptide containing the free thiol (e.g., Cys) and hSer(OTBDMS) was assembled on a Rink Amide-MBHA resin following general Fmoc-SPPS procedure. Chlorination was carried out by treating the resin with PPh_3 (10 equiv.) and Cl_3CCN (10 equiv.) in DCM for 2 h. The peptide was cleaved from the resin by treatment with cleavage reagent 90% trifluoroacetic acid, 5% water, 2.5% 1,2-ethanedithiol, 2.5% tri-isopropylsilane). The cleaved peptides were precipitated in cold diethyl ether followed by two washings with ethyl ether. The filtrate was poured off and a second aliquot of cold ether was added, and the procedure repeated. The crude peptide was dissolved in a solution of acetonitrile:water (7:3 with 1% TFA) and filtered giving the wanted uncyclized crude peptide.

[00427] The crude peptide possessing a free thiol (eg Cys, Pen, hCys, (D)Pen, (D)Cys or (D)hCys and the alkyl halide (hSer(Cl)) at either the X4 and X9 position or X9 and X4 position was dissolved in 0.1 M TRIS buffer pH 8.5. Cyclization was allowed to take place overnight at RT.

The solvent mixture was then purified by first being diluted two-fold with water and then loaded onto a reverse phase HPLC machine (Luna C18 support, 10u, 100A, Mobile phase A: water containing 0.1% TFA, mobile phase B: Acetonitrile (ACN) containing 0.1% TFA, gradient began with 5% B, and changed to 50% B over 60 minutes at a flow rate of 15ml/min). Fractions containing pure product were then freeze-dried on a lyophilyzer.

Purification

[00428] Analytical reverse-phase, high performance liquid chromatography (HPLC) was performed on a Gemini C18 column (4.6 mm x 250 mm) (Phenomenex). Semi-Preparative reverse phase HPLC was performed on a Gemini 10 µm C18 column (22 mm x 250 mm) (Phenomenex) or Jupiter 10 µm, 300 A ° C18 column (21.2 mm x 250 mm) (Phenomenex). Separations were achieved using linear gradients of buffer B in A (Mobile phase A: water containing 0.15% TFA, mobile phase B: Acetonitrile (ACN) containing 0.1% TFA), at a flow rate of 1 mL/min (analytical) and 15 mL/min (preparative). Separations were achieved using linear gradients of buffer B in A (Mobile phase A: water containing 0.15% TFA, mobile phase B: Acetonitrile (ACN) containing 0.1% TFA), at a flow rate of 1 mL/min (analytical) and 15mL/min (preparative).

EXAMPLE 1A: ADDITIONAL REPRESENTATIVE SYNTHESIS OF PEPTIDE MONOMERS

[00429] Peptide monomers of the present invention were synthesized using standard Fmoc solid phase synthesis techniques on a CEM Liberty Blue™ microwave peptide synthesizer. The peptides were assembled using Oxyma/DIC (ethyl cyanohydroxyiminoacetate/diisopropylcarbodiimide) with microwave heating. Rink Amide-MBHA resin (100-200 mesh, 0.66 mmol/g) was used for peptides with C-terminal amides and pre-loaded Wang Resin with N-α-Fmoc protected amino acid was used for peptide with C-terminal acids. Oxyma was prepared as a 1M solution in DMF with 0.1M DIEA. DIC was prepared as 0.5M solution in DMF. The Amino acids were prepared at 200mM. Peptide inhibitors of the present invention were identified based on medicinal chemistry optimization and/or phage display and screened to identify those having superior binding and/or inhibitory properties.

Assembly

[00430] The peptides were made using standard CEM Liberty Blue™ protocols. The peptide sequences were assembled as follows: Resin (400 mg, 0.25 mmol) was suspended in 10 ml of 50/50 DMF/DCM. The resin was then transferred to the reaction vessel in the microwave cavity. The peptide was assembled using repeated Fmoc deprotection and Oxyma/DIC coupling cycles. For deprotection, 20% 4-methylpiperidine in DMF was added to the reaction vessel and heated to

90 °C for 65 seconds. The deprotection solution was drained and the resin washed three times with DMF. For most amino acids, 5 equivalents of amino acid, Oxyma and DIC were then added to the reaction vessel and microwave irradiation rapidly heated the mixing reaction to 90 °C for 4 min. For Arginine and Histidine residues, milder conditions using respective temperatures of 75 and 50 °C for 10 min were used to prevent racemization. Rare and expensive amino acids were often coupled manually overnight at room temperature using only 1.5-2 eq of reagents. Difficult couplings were often double coupled 2 x 4 min at 90 °C. After coupling the resin was washed with DMF and the whole cycle was repeated until the desired peptide assembly was completed.

Ring Closing Metathesis to form Olefins

[00431] The resin (100 μmol) was washed with 2 ml of DCM (3 × 1 min) and then with 2 ml of DCE (3 × 1 min) before being treated with a solution of 2 ml of a 6 mM solution of Grubbs Catalyst® 1st Generation in DCE (4.94 mg ml^{-1}; 20 mol% with regard to the resin substitution). The solution was refluxed overnight (12 h) under nitrogen before being drained. The resin was washed three times with DMF (4 ml each); DCM (4 ml) before being dried and cleaved.

Cleavage

[00432] Following completion of the peptide assembly, the peptide was then cleaved from the resin by treatment with a standard cleavage cocktail of 91:5:2:2 TFA/H_2O/TIPS/DODT for 2 hrs. If more than one Arg(pbf) residue was present the cleavage was allowed to go for an additional hour.

[00433] The cleaved peptides were precipitated in cold diethyl ether. The filtrate was decanted off and a second aliquot of cold ether was added, and the procedure was repeated. The quality of linear peptide was then verified using electrospray ionization mass spectrometry (ESI-MS) (Waters® Micromass® ZQ™) before being purified.

Disulfide Bond Formation via Oxidation

[00434] The peptide containing the free thiol (for example diPen) was assembled on a Rink Amide-MBHA resin following general Fmoc solid phase synthesis, cleavage and isolation as described above.

[00435] The crude, cleaved thiol containing peptide possessing either Cys, Pen, hCys, (D)Pen, (D)Cys or (D)hCys, was dissolved ~2mg/ml in 50/50 acetonitrile/water. Saturated iodine in acetic acid was then added dropwise with stirring until yellow color persisted. The solution was stirred for a few minutes, and the reaction was monitored with analytic HPLC and LCMS. When the reaction was completed, solid ascorbic acid was added until the solution became clear. The solvent mixture was then purified by first being diluted with water and then loaded onto a reverse phase HPLC Column (Luna® C18 support, 10u, 100A, Mobile phase A: water containing 0.1% TFA,

mobile phase B: acetonitrile (ACN) containing 0.1% TFA, gradient began with 15% B, and changed to 50% B over 60 minutes at a flow rate of 15ml/min). Fractions containing pure product were then freeze-dried on a lyophilizer.

Thioether Bond Formation

[00436] The peptide containing the free thiol (e.g., Cys) and hSer(OTBDMS) was assembled on a Rink Amide-MBHA resin following general Fmoc-SPPS procedure. Chlorination was carried out by treating the resin with Dichlorotriphenylphosphorane (5 eq, 0.5M) with Pinene (0.875M) and thioanisole (0.375M) scavengers at room temperature for 2 hours. The chloro-peptides were cleaved from the resin and precipitated as described above.

[00437] The crude peptide possessing a free thiol (e.g. Cys, Pen, hCys, (D)Pen, (D)Cys or (D)hCys and the alkyl halide (hSer(Cl)) were dissolved in 1:1 ACN/water and diluted with one volume of 0.2 M TRIS buffer pH 8.4. Cyclization was performed overnight at room temperature. The reaction mixture was then purified by first being diluted 1x with water and then loaded onto a reverse phase HPLC column (Luna® C18 support, 10u, 100A, Mobile phase A: water containing 0.1% TFA, mobile phase B: Acetonitrile (ACN) containing 0.1% TFA, gradient began at 15% B, and changed to 50% B over 60 minutes at a flow rate of 20 ml/min). Fractions containing pure product as determined by RPHPLC were then freeze-dried on a lyophilizer.

Purification

[00438] Analytical reverse-phase, high performance liquid chromatography (HPLC) was performed on a Gemini® C18 column (4.6 mm x 250 mm) (Phenomenex). Semi-Preparative reverse phase HPLC was performed on a Gemini® 10 μm C18 column (22 mm x 250 mm) (Phenomenex) or Jupiter® 10 μm, 300 A ° C18 column (21.2 mm x 250 mm) (Phenomenex). Separations were achieved using linear gradients of buffer B in A (Mobile phase A: water containing 0.15% TFA, mobile phase B: Acetonitrile (ACN) containing 0.1% TFA), at a flow rate of 1 mL/min (analytical) and 20 mL/min (preparative).

EXAMPLE 1B: ADDITIONAL REPRESENTATIVE SYNTHESIS OF PEPTIDE MONOMERS - SYNTHESIS OF PEPTIDE Ac-[Pen]*-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]*-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3-Pal]-Sarc-NH_2 (*PEN-PEN FORM DISULFIDE BOND) (SEQ. ID. NO. 104) (PEPTIDE #104)

[00439] The synthesis of Peptide #104 is prepared using FMOC solid phase peptide synthesis techniques.

[00440] The Peptide #104 is constructed on Rink Amide MBHA resin using standard FMOC protection synthesis conditions reported in the literature. The constructed peptide is isolated from

the resin and protecting groups by cleavage with strong acid followed by precipitation. Oxidation to form the disulfide bond is performed followed by purification by RPHPLC and counterion exchange. Lyophilization of pure fractions gives the final product Peptide #67.

[00441] Swell Resin: 10 g of Rink Amide MBHA solid phase resin (0.66mmol/g loading) is transferred to a 250 ml peptide vessel with filter frit, ground glass joint and vacuum side arm. The resin is washed 3x with DMF.

[00442] Step 1: Coupling of FMOC-Sarc-OH: Deprotection of the resin bound FMOC group is realized by adding 2 resin-bed volumes of 20% 4-methyl-piperidine in DMF to the swollen resin and shaking for 3-5 min prior to draining and adding a second, 2-resin-bed volume of the 4-methyl piperidine solution and shaking for an additional 20-30 min. After deprotection the resin is washed 3x DMF with shaking. FMOC-Sarc-OH (3 eq, 6.2 g) is dissolved in 100 ml DMF along with Oxyma (4.5 eq, 4.22g). Preactivation of the acid is accomplished by addition of DIC (3.9 eq, 4 ml) with shaking for 15 min prior to addition to the deprotected resin. An additional aliquot of DIC (2.6 eq, 2.65 ml) is then added after ~ 15 min of coupling. The progress of the coupling reaction is monitored by the colorimetric Kaiser test. Once the reaction is judged complete the resin is washed 3 x DMF with shaking prior to starting the next deprotection/coupling cycle.

[00443] Step 2: Coupling of FMOC-3Pal-OH: FMOC deprotection is again accomplished by adding two sequential, 2-resin-bed volumes of 20% 4-methyl-piperidine in DMF, one times 3-5 minutes and one times 20-30 minutes, draining in between treatments. The resin is then washed 3 times prior to coupling with protected 3-pyridyl alanine (3Pal). FMOC-3Pal-OH (3 eq, 7.8g) is dissolved in DMF along with Oxyma (4.5eq, 4.22g). Preactivation with DIC (3.9 eq, 4 ml) for 15 minutes is done prior to addition to the Sarc-Amide resin. After 15 minutes, an additional aliquot of DIC (2.6 eq, 2.65 ml) is added to the reaction. Once the reaction is complete as determined by the Kaiser test, the resin is again washed 3x with DMF prior to starting the next deprotection/coupling cycle.

[00444] Step 3: Coupling of FMOC-Asn(Trt)-OH: The FMOC is removed from the N-terminus of the resin bound 3Pal and washed as previously described. FMOC-Asn(Trt)-OH (2eq, 8g) is dissolved in 100ml of DMF along with Oxyma (3eq, 2.81g). DIC (2.6 eq, 2.65 ml) is added for preactivation of the acid for ~15 minutes prior to addition to the 3Pal-Sarc-Amide resin. After ~15 minutes, an additional aliquot of DIC (1.4 eq, 1.43 ml) is added to the reaction. Once the reaction is complete as determined by the Kaiser test, the resin is washed 3x with DMF prior to starting the next deprotection/coupling cycle.

[00445] Step 4: Coupling of FMOC-Glu(OtBu)-OH: The FMOC is removed from the N-terminus of the resin bound Asparigine and the resin washed with DMF as previously described. FMOC-Glu(OtBu)-OH (2 eq, 5.91 g) is dissolved in 100ml of DMF along with Oxyma (3eq, 2.81g). DIC (2.6 eq, 2.65 ml) is added for preactivation of the acid ~15 minutes prior to addition to the Asn(Trt)-3Pal-Sarc-Amide resin. After ~15 minutes, an additional aliquot of DIC (1.4 eq, 1.43 ml) is added to the reaction. Once the reaction is complete as determined by the Kaiser test the resin is washed 3x with DMF prior to starting the next deprotection/coupling cycle.

[00446] Step 5: Coupling of FMOC-THP-OH: The FMOC is removed from the N-terminus of the resin bound peptide and the resin is washed as previously described. FMOC-THP-OH (3 eq, 7.36 g) is dissolved in 100ml of DMF along with Oxyma (4.5 eq, 4.22g). DIC (3.9 eq, 4 ml) is added for preactivation of the acid ~15 minutes prior to addition to the Glu(OtBu)-Asn(Trt)-3Pal-Sarc-Amide resin. After ~15 minutes, an additional aliquot of DIC (2.6 eq, 2.65 ml) is added to the reaction. Once the reaction is complete as determined by the Kaiser test the resin is washed 3x with DMF prior to starting the next deprotection/coupling cycle.

[00447] Step 6: Coupling of FMOC-L-Ala(2-Naphthyl)-OH (Nal): The FMOC is removed from the N-terminus of the resin bound peptide and the resin washed as previously described. FMOC-L-Ala(2-Naphthyl)-OH (3 eq, 8.66 g) is dissolved in 100ml of DMF along with Oxyma (4.5 eq, 4.22g). DIC (3.9 eq, 4 ml) is added for preactivation of the acid ~15 minutes prior to addition to the THP-Glu(OtBu)-Asn(Trt)-3Pal-Sarc-Amide resin. After ~15 minutes, an additional aliquot of DIC (2.6 eq, 2.65 ml) is added. Once the reaction is complete as determined by the Kaiser test the resin was again washed 3x with DMF prior to starting the next deprotection/coupling cycle.

[00448] Step 7: Coupling of FMOC-4-[2-(Boc-amino-ethoxy)]-L-Phenylalanine (FMOC-AEF): The FMOC is removed from the N-terminus of the resin bound peptide and the resin washed as previously described. FMOC-4-[2-(Boc-amino-ethoxy)]-L-Phenylalanine (3 eq, 10.8 g) is dissolved in 100ml of DMF along with Oxyma (4.5 eq, 4.22g). DIC (3.9 eq, 4 ml) is added for preactivation of the acid ~15 minutes prior to addition to the Nal-THP- Glu(OtBu)-Asn(Trt)-3Pal-Sarc-Amide resin. After ~15 minutes, an additional aliquot of DIC (2.6 eq, 2.65 ml) is added to the reaction. Once the reaction is complete as determined by the Kaiser test the resin is washed 3x with DMF prior to starting the next deprotection/coupling cycle.

[00449] Step 8: Coupling of FMOC-Pen(Trt)-OH : The FMOC is removed from the N-terminus of the resin bound peptide and the resin washed as previously described. FMOC-Pen(Trt)-OH (3 eq, 12.14 g) is dissolved in 100ml of DMF along with Oxyma (4.5 eq, 4.22g). DIC (3.9 eq, 4 ml) is added for preactivation of the acid ~15 minutes prior to addition to the AEF-Nal-THP-Glu(OtBu)-

Asn(Trt)-3Pal-Sarc-Amide resin. After ~15 minutes, an additional aliquot of DIC (2.6 eq, 2.65 ml) is added to the reaction. Once the reaction is complete as determined by the Kaiser test, the resin iss again washed 3x with DMF prior to starting the next deprotection/coupling cycle.

[00450] Step 9: Coupling of FMOC-Lys(Ac)-OH : The FMOC is removed from the N-terminus of the resin bound peptide and the resin washed as previously described. FMOC-Lys(Ac)-OH (2 eq, 5.4 g) is dissolved in 100 ml of DMF along with Oxyma (3 eq, 2.81 g). DIC (2.6 eq, 2.65 ml) is added for preactivation of the acid ~15 minutes prior to addition to the Pen(Trt)-AEF-Nal-THP-Glu(OtBu)-Asn(Trt)-3Pal-Sarc-Amide resin. After ~15 minutes, an additional aliquot of DIC (1.4 eq, 1.43 ml) is added to the reaction. Once the reaction was complete as determined by the Kaiser test, the resin is again washed 3x with DMF prior to starting the next deprotection/coupling cycle.

[00451] Step 10: Coupling of FMOC-7-Me-Trp-OH : The FMOC is removed from the N-terminus of the resin bound peptide and the resin washed as previously described. FMOC-7-Me-Trp-OH (2 eq, 5.81 g) is dissolved in 100 ml of DMF along with Oxyma (3 eq, 2.81 g). DIC (2.6 eq, 2.65 ml) is added for preactivation of the acid ~15 minutes prior to addition to the Lys(Ac)-Pen(Trt)-AEF-Nal-THP-Glu(OtBu)-Asn(Trt)-3Pal-Sarc-Amide resin. After ~15 minutes, an additional aliquot of DIC (1.4 eq, 1.43 ml) is added to the reaction. Once the reaction is complete as determined by the Kaiser test, the resin is again washed 3x with DMF prior to starting the next deprotection/coupling cycle.

[00452] Step 11: Coupling of FMOC-Thr(tBu)-OH : The FMOC is removed from the N-terminus of the resin bound peptide and the resin washed as previously described. FMOC-Thr(tBu)-OH (4 eq, 10.5g) is dissolved in 100 ml of DMF along with Oxyma (6 eq, 5.62 g). DIC (5.2 eq, 5.3 ml) is added for preactivation of the acid ~15 minutes prior to addition to the 7MeTrp-Lys(Ac)-Pen(Trt)-AEF-Nal-THP-Glu(OtBu)-Asn(Trt)-3Pal-Sarc-Amide resin (SEQ ID NO:529). After ~15 minutes, an additional aliquot of DIC (2.6 eq, 2.65 ml) is added to the reaction. Once the reaction is complete as determined by the Kaiser test, the resin is again washed 3x with DMF prior to starting the next deprotection/coupling cycle.

[00453] Step 12: Coupling of FMOC-Asn(Trt)-OH : The FMOC is removed from the N-terminus of the resin bound peptide and the resin washed as previously described. FMOC-Asn(Trt)-OH (4 eq, 15.8 g) is dissolved in 100 ml of DMF along with Oxyma (6 eq, 5.62 g). DIC (5.2 eq, 5.3 ml) is added for preactivation of the acid ~15 minutes prior to addition to the Thr(tBu)-7MeTrp-Lys(Ac)-Pen(Trt)-AEF-Nal-THP-Glu(OtBu)-Asn(Trt)-3Pal-Sarc-Amide resin (SEQ ID NO:530). After ~15 minutes, an additional aliquot of DIC (2.6 eq, 2.65 ml) is added to the reaction. Once

the reaction is complete as determined by the Kaiser test, the resin is again washed 3x with DMF prior to starting the next deprotection/coupling cycle.

[00454] Step 13: Coupling of FMOC-Pen(Trt)-OH : The FMOC is removed from the N-terminus of the resin bound peptide and the resin washed as previously described. FMOC- Pen(Trt)-OH (2 eq, 8.1 g) is dissolved in 100ml of DMF along with Oxyma (3 eq, 2.81 g). DIC (2.6 eq, 2.65 ml) is added for preactivation of the acid ~15 minutes prior to addition to the Asn(Trt)-Thr(tBu)-7MeTrp-Lys(Ac)-Pen(Trt)-AEF-Nal-THP-Glu(OtBu)-Asn(Trt)-3Pal-Sarc-Amide resin (SEQ ID NO:531). After ~15 minutes, an additional aliquot of DIC (2.6 eq, 2.65 ml) is added to the reaction. Once the reaction is complete as determined by the Kaiser test, the resin is again washed 3x with DMF prior to the final deprotection and acetic acid capping of the constructed peptide.

[00455] Step 14: Acetyl Capping: The FMOC is removed from the N-terminus of the resin bound peptide and the resin washed as previously described. 150 ml of Capping Reagent A (THF/Acetic anhydride/Pyridine, 80:10:10) is added to the constructed Pen(Trt)-Asn(Trt)-Thr(tBu)-7MeTrp-Lys(Ac)-Pen(Trt)-AEF-Nal-THP-Glu(OtBu)-Asn(Trt)-3Pal-Sarc-Amide resin (SEQ ID NO: 532) and shaken for 30 min. The resin is washed 3 x with DMF followed by 5x with DCM. The resin is divided into 5 – 50 ml centrifuge tubes and placed under vacuum for 1.5 hrs prior to cleavage with TFA.

[00456] Step 15: TFA Cleavage and Ether precipitation: 200 ml of the TFA cleavage cocktail (90/5/2.5/2.5 TFA/water/Tips/DODT) is prepared. 40 ml of the cleavage cocktail is added to each of the 5 tubes containing the protected resin bound peptide and shaken for two hours. The spent resin is filtered away and the filtrate divided evenly into 18 – 50 ml centrifuge tubes for precipitation. Cold diethyl ether is added to each forming a white precipitate that is then centrifuged. The ether is decanted to waste and 2 more ether washes of the precipitate are performed. The resulting white precipitate cake is dried overnight in the hood to give the crude reduced peptide.

[00457] Step 16: Disulfide Oxidation: The crude peptide is oxidized and purified in four 1L batches. ~ 2.5 g of crude peptide is dissolved in 1L 20% ACN/water. With stirring, a saturated solution of iodine in acetic acid/methanol is added dropwise to the 1L peptide solution until the yellow/brown color of the I_2 remains and does not fade away. The light yellow solution is allowed to sit for 5 min prior to quenching the excess I_2 with a pinch of ascorbic acid.

[00458] Step 17: RP-HPLC purification: The RP-HPLC purification is performed s immediately following each I2 oxidation. A preparative purification column (Phenomenex, Luna, C18(2), 100A, 250x50mm) is equilibrated at 70ml/min with 20% MPB in MPA (MPA = 0.1% TFA/water,

MPB = 0.1% TFA in ACN). The 1 L of quenched oxidized peptide is loaded onto the equilibrated column at 70 ml/min. After the solvent front elutes, a gradient of 25-45% MPB at 70ml/min is run over 60 min. The desired material is isolated in fractions and each are analyzed by analytical RPHPLC. Pure fractions are combined from all four purifications and lyophilized to give purified TFA salt ready for counterion exchange.

[00459] Step 18: Counterion Exchange to Acetate: The same preparative RP-HPLC column is equilibrated with 5% MPB in MPA at 70 ml/min (MPA = 0.3% AcOH in Water, MPB = 0.3% AcOH in ACN, MPC = 0.5M NH4OAc in Water.) The purified peptide TFA salt is dissolved in 50/50 ACN/water and diluted to 15% ACN. The solution is loaded onto the equilibrated column at 70 ml/min and the solvent front is eluted. The captured peptide is washed with 5% MPB in MPA for 5 min. The captured peptide is then washed with 5% MPB in MPC for 40 min at 70 ml/min to exchange the counterions to Acetate. The captured peptide is washed with 5% MPB in MPA at 70ml/min for 10 min to clear all NH4OAc from the system. Finally, the peptide is eluted with a gradient of 5-70% MPB in MPA over 60 minutes and collected in fractions.

[00460] Step 19: Final Lyophilization and Analysis: The collected fractions are analyzed by analytical RP-HPLC, and all fractions >95% purity are combined. Lyophilization of the combined fractions gives Peptide #104 as a white powder with a purity >95 % as determined by RPHPLC. Peptide identity is confirmed with LC/MS of the purified Peptide #104, giving 2 charged states of the peptide, M+2/2 of 950 amu and the molecular ion of 1899 amu.

EXAMPLE 1C: ADDITIONAL REPRESENTATIVE SYNTHESIS OF PEPTIDE MONOMERS - SYNTHESIS OF PEPTIDE Ac-[Pen]*-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]*-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3-Pal]-Sarc-NH_2 (*PEN-PEN FORM DISULFIDE BOND) (SEQ. ID. NO. 106) (PEPTIDE #106)

[00461] The synthesis of Peptide #106 is prepared using FMOC solid phase peptide synthesis techniques.

[00462] The Peptide #106 is constructed on Rink Amide MBHA resin using standard FMOC protection synthesis conditions reported in the literature. The constructed peptide is isolated from the resin and protecting groups by cleavage with strong acid followed by precipitation. Oxidation to form the disulfide bond is performed followed by purification by RPHPLC and counterion exchange. Lyophilization of pure fractions gives the final product Peptide #433.

[00463] Swell Resin: 10 g of Rink Amide MBHA solid phase resin (0.66mmol/g loading) is transferred to a 250 ml peptide vessel with filter frit, ground glass joint and vacuum side arm. The resin is washed 3x with DMF.

[00464] Step 1: Coupling of FMOC-Sarc-OH: Deprotection of the resin bound FMOC group is realized by adding 2 resin-bed volumes of 20% 4-methyl-piperidine in DMF to the swollen resin and shaking for 3-5 min prior to draining and adding a second, 2-resin-bed volume of the 4-methyl piperidine solution and shaking for an additional 20-30 min. After deprotection the resin is washed 3x DMF with shaking. FMOC-Sarc-OH (3 eq, 6.2 g) is dissolved in 100 ml DMF along with Oxyma (4.5 eq, 4.22g). Preactivation of the acid is accomplished by addition of DIC (3.9 eq, 4 ml) with shaking for 15 min prior to addition to the deprotected resin. An additional aliquot of DIC (2.6 eq, 2.65 ml) is then added after ~ 15 min of coupling. The progress of the coupling reaction is monitored by the colorimetric Kaiser test. Once the reaction is judged complete the resin is washed 3 x DMF with shaking prior to starting the next deprotection/coupling cycle.

[00465] Step 2: Coupling of FMOC-3Pal-OH: FMOC deprotection is again accomplished by adding two sequential, 2-resin-bed volumes of 20% 4-methyl-piperidine in DMF, one times 3-5 minutes and one times 20-30 minutes, draining in between treatments. The resin is then washed 3 times prior to coupling with protected 3-pyridyl alanine (3Pal). FMOC-3Pal-OH (3 eq, 7.8g) is dissolved in DMF along with Oxyma (4.5eq, 4.22g). Preactivation with DIC (3.9 eq, 4 ml) for 15 minutes is done prior to addition to the Sarc-Amide resin. After 15 minutes, an additional aliquot of DIC (2.6 eq, 2.65 ml) is added to the reaction. Once the reaction is complete as determined by the Kaiser test, the resin is again washed 3x with DMF prior to starting the next deprotection/coupling cycle.

[00466] Step 3: Coupling of FMOC-Asn(Trt)-OH: The FMOC is removed from the N-terminus of the resin bound 3Pal and washed as previously described. FMOC-Asn(Trt)-OH (2eq, 8g) is dissolved in 100ml of DMF along with Oxyma (3eq, 2.81g). DIC (2.6 eq, 2.65 ml) is added for preactivation of the acid for ~15 minutes prior to addition to the 3Pal-Sarc-Amide resin. After ~15 minutes, an additional aliquot of DIC (1.4 eq, 1.43 ml) is added to the reaction. Once the reaction is complete as determined by the Kaiser test, the resin is washed 3x with DMF prior to starting the next deprotection/coupling cycle.

[00467] Step 4: Coupling of FMOC-Glu(OtBu)-OH: The FMOC is removed from the N-terminus of the resin bound Asparigine and the resin washed with DMF as previously described. FMOC-Glu(OtBu)-OH (2 eq, 5.91 g) is dissolved in 100ml of DMF along with Oxyma (3eq, 2.81g). DIC (2.6 eq, 2.65 ml) is added for preactivation of the acid ~15 minutes prior to addition to the Asn(Trt)-3Pal-Sarc-Amide resin. After ~15 minutes, an additional aliquot of DIC (1.4 eq, 1.43 ml) is added to the reaction. Once the reaction is complete as determined by the Kaiser test the resin is washed 3x with DMF prior to starting the next deprotection/coupling cycle.

[00468] Step 5: Coupling of FMOC-THP-OH: The FMOC is removed from the N-terminus of the resin bound peptide and the resin is washed as previously described. FMOC-THP-OH (3 eq, 7.36 g) is dissolved in 100ml of DMF along with Oxyma (4.5 eq, 4.22g). DIC (3.9 eq, 4 ml) is added for preactivation of the acid ~15 minutes prior to addition to the Glu(OtBu)-Asn(Trt)-3Pal-Sarc-Amide resin. After ~15 minutes, an additional aliquot of DIC (2.6 eq, 2.65 ml) is added to the reaction. Once the reaction is complete as determined by the Kaiser test the resin is washed 3x with DMF prior to starting the next deprotection/coupling cycle.

[00469] Step 6: Coupling of FMOC-L-Ala(2-Naphthyl)-OH (Nal): The FMOC is removed from the N-terminus of the resin bound peptide and the resin washed as previously described. FMOC-L-Ala(2-Naphthyl)-OH (3 eq, 8.66 g) is dissolved in 100ml of DMF along with Oxyma (4.5 eq, 4.22g). DIC (3.9 eq, 4 ml) is added for preactivation of the acid ~15 minutes prior to addition to the THP-Glu(OtBu)-Asn(Trt)-3Pal-Sarc-Amide resin. After ~15 minutes, an additional aliquot of DIC (2.6 eq, 2.65 ml) is added. Once the reaction is complete as determined by the Kaiser test the resin was again washed 3x with DMF prior to starting the next deprotection/coupling cycle.

[00470] Step 7: Coupling of FMOC-4-[2-(Boc-amino-ethoxy)]-L-Phenylalanine (FMOC-AEF): The FMOC is removed from the N-terminus of the resin bound peptide and the resin washed as previously described. FMOC-4-[2-(Boc-amino-ethoxy)]-L-Phenylalanine (3 eq, 10.8 g) is dissolved in 100ml of DMF along with Oxyma (4.5 eq, 4.22g). DIC (3.9 eq, 4 ml) is added for preactivation of the acid ~15 minutes prior to addition to the Nal-THP- Glu(OtBu)-Asn(Trt)-3Pal-Sarc-Amide resin. After ~15 minutes, an additional aliquot of DIC (2.6 eq, 2.65 ml) is added to the reaction. Once the reaction is complete as determined by the Kaiser test the resin is washed 3x with DMF prior to starting the next deprotection/coupling cycle.

[00471] Step 8: Coupling of FMOC-Pen(Trt)-OH : The FMOC is removed from the N-terminus of the resin bound peptide and the resin washed as previously described. FMOC-Pen(Trt)-OH (3 eq, 12.14 g) is dissolved in 100ml of DMF along with Oxyma (4.5 eq, 4.22g). DIC (3.9 eq, 4 ml) is added for preactivation of the acid ~15 minutes prior to addition to the AEF-Nal-THP-Glu(OtBu)-Asn(Trt)-3Pal-Sarc-Amide resin. After ~15 minutes, an additional aliquot of DIC (2.6 eq, 2.65 ml) is added to the reaction. Once the reaction is complete as determined by the Kaiser test, the resin is again washed 3x with DMF prior to starting the next deprotection/coupling cycle.

[00472] Step 9: Coupling of FMOC-Lys(Ac)-OH : The FMOC is removed from the N-terminus of the resin bound peptide and the resin washed as previously described. FMOC-Lys(Ac)-OH (2 eq, 5.4 g) is dissolved in 100 ml of DMF along with Oxyma (3 eq, 2.81 g). DIC (2.6 eq, 2.65 ml) is added for preactivation of the acid ~15 minutes prior to addition to the Pen(Trt)-AEF-Nal-THP-

Glu(OtBu)-Asn(Trt)-3Pal-Sarc-Amide resin. After ~15 minutes, an additional aliquot of DIC (1.4 eq, 1.43 ml) is added to the reaction. Once the reaction was complete as determined by the Kaiser test, the resin is again washed 3x with DMF prior to starting the next deprotection/coupling cycle.

[00473] Step 10: Coupling of FMOC-7-Phe-Trp-OH : The FMOC is removed from the N-terminus of the resin bound peptide and the resin washed as previously described. FMOC-7-Ph-Trp-OH (2 eq, 5.81 g) is dissolved in 100 ml of DMF along with Oxyma (3 eq, 2.81 g). DIC (2.6 eq, 2.65 ml) is added for preactivation of the acid ~15 minutes prior to addition to the Lys(Ac)-Pen(Trt)-AEF-Nal-THP-Glu(OtBu)-Asn(Trt)-3Pal-Sarc-Amide resin. After ~15 minutes, an additional aliquot of DIC (1.4 eq, 1.43 ml) is added to the reaction. Once the reaction is complete as determined by the Kaiser test, the resin is again washed 3x with DMF prior to starting the next deprotection/coupling cycle.

[00474] Step 11: Coupling of FMOC-Thr(tBu)-OH : The FMOC is removed from the N-terminus of the resin bound peptide and the resin washed as previously described. FMOC-Thr(tBu)-OH (4 eq, 10.5g) is dissolved in 100 ml of DMF along with Oxyma (6 eq, 5.62 g). DIC (5.2 eq, 5.3 ml) is added for preactivation of the acid ~15 minutes prior to addition to the 7PhTrp-Lys(Ac)-Pen(Trt)-AEF-Nal-THP-Glu(OtBu)-Asn(Trt)-3Pal-Sarc-Amide resin. After ~15 minutes, an additional aliquot of DIC (2.6 eq, 2.65 ml) is added to the reaction. Once the reaction is complete as determined by the Kaiser test, the resin is again washed 3x with DMF prior to starting the next deprotection/coupling cycle.

[00475] Step 12: Coupling of FMOC-Asn(Trt)-OH : The FMOC is removed from the N-terminus of the resin bound peptide and the resin washed as previously described. FMOC-Asn(Trt)-OH (4 eq, 15.8 g) is dissolved in 100 ml of DMF along with Oxyma (6 eq, 5.62 g). DIC (5.2 eq, 5.3 ml) is added for preactivation of the acid ~15 minutes prior to addition to the Thr(tBu)-7PhTrp-Lys(Ac)-Pen(Trt)-AEF-Nal-THP-Glu(OtBu)-Asn(Trt)-3Pal-Sarc-Amide resin (SEQ ID NO: 533). After ~15 minutes, an additional aliquot of DIC (2.6 eq, 2.65 ml) is added to the reaction. Once the reaction is complete as determined by the Kaiser test, the resin is again washed 3x with DMF prior to starting the next deprotection/coupling cycle.

[00476] Step 13: Coupling of FMOC-Pen(Trt)-OH : The FMOC is removed from the N-terminus of the resin bound peptide and the resin washed as previously described. FMOC- Pen(Trt)-OH (2 eq, 8.1 g) is dissolved in 100ml of DMF along with Oxyma (3 eq, 2.81 g). DIC (2.6 eq, 2.65 ml) is added for preactivation of the acid ~15 minutes prior to addition to the Asn(Trt)-Thr(tBu)-7PhTrp-Lys(Ac)-Pen(Trt)-AEF-Nal-THP-Glu(OtBu)-Asn(Trt)-3Pal-Sarc-Amide resin (SEQ ID NO: 534). After ~15 minutes, an additional aliquot of DIC (2.6 eq, 2.65 ml) is added to the reaction.

Once the reaction is complete as determined by the Kaiser test, the resin is again washed 3x with DMF prior to the final deprotection and acetic acid capping of the constructed peptide.

[00477] Step 14: Acetyl Capping: The FMOC is removed from the N-terminus of the resin bound peptide and the resin washed as previously described. 150 ml of Capping Reagent A (THF/Acetic anhydride/Pyridine, 80:10:10) is added to the constructed Pen(Trt)-Asn(Trt)-Thr(tBu)-7PhTrp-Lys(Ac)-Pen(Trt)-AEF-Nal-THP-Glu(OtBu)-Asn(Trt)-3Pal-Sarc-Amide resin (SEQ ID NO: 535) and shaken for 30 min. The resin is washed 3 x with DMF followed by 5x with DCM. The resin is divided into 5 – 50 ml centrifuge tubes and placed under vacuum for 1.5 hrs prior to cleavage with TFA.

[00478] Step 15: TFA Cleavage and Ether precipitation: 200 ml of the TFA cleavage cocktail (90/5/2.5/2.5 TFA/water/Tips/DODT) is prepared. 40 ml of the cleavage cocktail is added to each of the 5 tubes containing the protected resin bound peptide and shaken for two hours. The spent resin is filtered away and the filtrate divided evenly into 18 – 50 ml centrifuge tubes for precipitation. Cold diethyl ether is added to each forming a white precipitate that is then centrifuged. The ether is decanted to waste and 2 more ether washes of the precipitate are performed. The resulting white precipitate cake is dried overnight in the hood to give the crude reduced peptide.

[00479] Step 16: Disulfide Oxidation: The crude peptide is oxidized and purified in four 1L batches. ~ 2.5 g of crude peptide is dissolved in 1L 20% ACN/water. With stirring, a saturated solution of iodine in acetic acid/methanol is added dropwise to the 1L peptide solution until the yellow/brown color of the I_2 remains and does not fade away. The light yellow solution is allowed to sit for 5 min prior to quenching the excess I_2 with a pinch of ascorbic acid.

[00480] Step 17: RP-HPLC purification: The RP-HPLC purification is performed s immediately following each I2 oxidation. A preparative purification column (Phenomenex, Luna, C18(2), 100A, 250x50mm) is equilibrated at 70ml/min with 20% MPB in MPA (MPA = 0.1% TFA/water, MPB = 0.1% TFA in ACN). The 1 L of quenched oxidized peptide is loaded onto the equilibrated column at 70 ml/min. After the solvent front elutes, a gradient of 25-45% MPB at 70ml/min is run over 60 min. The desired material is isolated in fractions and each are analyzed by analytical RPHPLC. Pure fractions are combined from all four purifications and lyophilized to give purified TFA salt ready for counterion exchange.

[00481] Step 18: Counterion Exchange to Acetate: The same preparative RP-HPLC column is equilibrated with 5% MPB in MPA at 70 ml/min (MPA = 0.3% AcOH in Water, MPB = 0.3% AcOH in ACN, MPC = 0.5M NH4OAc in Water.) The purified peptide TFA salt is dissolved in

50/50 ACN/water and diluted to 15% ACN. The solution is loaded onto the equilibrated column at 70 ml/min and the solvent front is eluted. The captured peptide is washed with 5% MPB in MPA for 5 min. The captured peptide is then washed with 5% MPB in MPC for 40 min at 70 ml/min to exchange the counterions to Acetate. The captured peptide is washed with 5% MPB in MPA at 70ml/min for 10 min to clear all NH4OAc from the system. Finally, the peptide is eluted with a gradient of 5-70% MPB in MPA over 60 minutes and collected in fractions.

[00482] Step 19: Final Lyophilization and Analysis: The collected fractions are analyzed by analytical RP-HPLC, and all fractions >95% purity are combined. Lyophilization of the combined fractions gives Peptide #106 as a white powder with a purity >95 % as determined by RPHPLC. Peptide identity is confirmed with LC/MS of the purified Peptide #106, giving 2 charged states of the peptide, M+2/2 of 981 amu and the molecular ion of 1961 amu.

EXAMPLE 2: PEPTIDE INHIBITION OF BINDING OF INTERLEUKIN-23 TO THE INTERLEUKIN-23 RECEPTOR

[00483] Peptide optimization was performed to identify peptide inhibitors of IL-23 signalling that were active at low concentrations (e.g., IC_{50} <10 nM). Peptides were tested to identify peptides that inhibit the binding of IL-23 to human IL-23R and inhibit IL-23/IL-23R functional activity, as described below.

[00484] Assays were performed to determine peptide activity as described below, and the results of these assays are provided in Table E1A and Table E1B. Human ELISA indicates the IL23-IL23R competitive binding assay described below, Rat ELISA indicates the rat IL-23R competitive binding ELISA assay described below, and pStat3HTRF indicates the DB cells IL-23R pSTAT3 cell assay described below. The peptides depicted in Table E1A and Table E1B are cyclized via a disulfide bridge formed between two Pen residues in these peptides. The peptides depicted in Table E2 are cyclized via a thioether bond between the indicated amino acid residues. Table E2 provides an illustrative structure depicting thioether cyclization, which is indicated in the table by the term "cyclo," with the cyclic region bracketed immediately following the term "cyclo." For certain peptides, the residue Abu is present where indicated, whereas in other embodiments, e.g., those related to the non-cyclized form, the Abu may be referred to as a hSer(Cl) or homoSer residue.

IL23-IL23R Competitive Binding ELISA

[00485] An Immulon® 4HBX plate was coated with 50 ng/well of IL23R_huFC and incubated overnight at 4°C. The wells were washed four times with PBST, blocked with PBS containing 3% Skim Milk for 1 hour at room temperature, and washed again four times with PBST. Serial

dilutions of test peptides and IL-23 at a final concentration of 2 nM diluted in Assay Buffer (PBS containing 1% Skim Milk) were added to each well, and incubated for 2 hours at room temperature. After the wells were washed, bound IL-23 was detected by incubation with 50 ng/well of goat anti-p40 polyclonal antibodies (R&D Systems #AF309) diluted in Assay Buffer for 1 hour at room temperature. The wells were again washed four times with PBST. The secondary antibodies, HRP conjugated donkey anti-goat IgG (Jackson ImmunoResearch Laboratories #705-035-147) diluted 1:5000 in Assay Buffer was then added, and incubated for 30 minutes at room temperature. The plate was finally washed as above. Signals were visualized with TMB One Component HRP Membrane Substrate, quenched with 2 M sulfuric acid and read spectrophotometrically at 450 nm. IC_{50} values for various test peptides determined from these data are shown in Table E1A and Table E1B.

Rat IL-23R Competitive Binding ELISA

[00486] An assay plate was coated with 300 ng/well of Rat IL-23R_huFC and incubated overnight at 4°C. The wells were washed, blocked, and washed again. Serial dilutions of test peptides and IL-23 at a final concentration of 7 nM were added to each well, and incubated for 2 hours at room temperature. After the wells were washed, bound IL-23 was detected with goat anti-p40 polyclonal antibodies, followed by an HRP conjugated donkey anti-goat IgG. Signals were visualized with TMB One Component HRP Membrane Substrate and quenched with 2 M sulfuric acid. IC_{50} values for various test peptides determined from these data are shown in Table E1A-E3B.

DB Cells IL23R pSTAT3 Cell Assay

[00487] IL-23 plays a central role in supporting and maintaining Th17 differentiation *in vivo*. This process is thought to be mediated primarily through the Signal Transducer and Activator of Transcription 3 (STAT3), with phosphorylation of STAT3 (to yield pSTAT3) leading to upregulation of RORC and pro-inflammatory IL-17. This cell assay examines the levels of pSTAT3 in IL-23R-expressing DB cells when stimulated with IL-23 in the presence of test compounds. Serial dilutions of test peptides and IL-23 (Humanzyme #HZ-1261) at a final concentration of 0.5 nM, were added to each well in a 96 well tissue culture plate (Corning #CLS3894). DB cells (ATCC #CRL-2289), cultured in RPMI-1640 medium (Thermo Scientific #11875093) supplemented with 10% FBS, were added at 5 X 10E5 cells/well and incubated for 30 minutes at 37°C in a 5% CO_2 humidified incubator. Changes in phospho-STAT3 levels in the cell lysates were detected using the Cisbio HTRF pSTAT3 (Tyr705) Cellular Assay Kit (Cisbio #62AT3PEH), according to manufacturer's Two Plate Assay protocol. IC_{50} values determined

from these data are shown in Table E1A and Table E1B. Where not shown or it is marked as "0", data was not yet determined.

PBMC pSTAT3 assay

[00488] Cryopreserved peripheral blood mononuclear cells (PBMCs) from healthy donors were thawed and washed twice in ImmunoCult-XF T cell expansion medium (XF-TCEM) supplemented with CTL anti-aggregate wash. The cells were counted, resuspended at $2x10^5$ cells per mL XF-TCEM supplemented with penicillin/streptomycin and 100 ng/mL IL-1β (BioLegend, 579404), and cultured in tissue culture flasks coated with anti-CD3 (eBioscience, 16-0037-85 or BD Pharmingen, 555329) at 37°C in 5% CO_2. On day 4 of culture, PBMCs were collected, washed twice in RPMI-1640 supplemented with 0.1% BSA (RPMI-BSA), and incubated in RPMI-BSA in upright tissue culture flasks for 4 hours at 37°C in 5% CO_2. Following this 'starvation,' a total of $6x10^4$ cells in 30 µL RPMI-BSA was transferred into each well of a 384-well plate pre-spotted with peptide or DMSO. The cells were incubated for 30 minutes prior to the addition of IL-23 at a final concentration of 5 ng/mL. The cells were stimulated with cytokine for 30 minutes at 37°C in 5% CO_2, transferred onto ice for 10 minutes, and lysed. Cell lysates were stored at -80°C until phosphorylated STAT3 was measured using the phospho-STAT panel kit (Meso Scale Discovery, K15202D).

Table E1A. IC_{50}s of Additional Illustrative Peptides of the present invention

SEQ ID No. / Peptide No.	Sequence*	pStat3 HTRF (nM)	PBMC pSTAT3 (nM)
1	Ac-[(D)Arg]-[Abu]-Q-T-[W(7-Ph)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-dK-[Sarc]-NH_2	12.3	
2	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3-Quin]-[a-MeLys]-[Lys(Ac)]-N-[(D)Leu)]-[Sarc]-NH_2	0.742	
3	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3-Quin]-[THP]-E-N-[(D)Lys]-[Sarc]-NH_2	0.456	
4	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3-Quin]-[a-MeLys]-[Lys(Ac)]-N-[(D)His]-[Sarc]-NH_2	0.722	
5	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3-Quin]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2	0.209	2.6
6	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3-Quin]-[THP]-E-N-[(D)Leu)]-[Sarc]-NH_2	0.405	4.4

SEQ ID No. / Peptide No.	Sequence*	pStat3 HTRF (nM)	PBMC pSTAT3 (nM)
7	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3-Quin]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH_2	0.877	
8	Ac-[(D)Arg]-[Abu]-Q-T-W-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-N-[(D)NMeTyr]-NH_2;		1
9	Ac-[(D)Arg]-[Pen]-Q-T-W-Q-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-N-[(D)NMeTyr]-NH_2;		24
10	Ac-[(D)Arg]-[Pen]-Q-T-W-Q-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[aMeGlu]-N-F-[(D)NMeTyr]-NH_2;		4.3
11	Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3Quin]-[THP]-E-N-[(D)Lys]-[Sarc]-NH_2;	0.433	5.4
12	Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3Quin]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH_2;	0.519	10
13	Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3Quin]-[THP]-E-N-[(D)Leu]-[Sarc]-NH_2;	0.319	
14	Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3Quin]-[a-MeLys]-[Lys(Ac)]-N-[(D)Leu]-[Sarc]-NH_2;	0.573	
15	Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3Quin]-[THP]-E-N-H-[Sarc]-NH_2;	0.264	2.2
16	Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3Quin]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2;	0.156	1.9
17	Ac-[Abu]-Q-T-[W(7-Me)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH_2;	0.791	
18	Ac-[Abu]-Q-T-[W(7-Ph)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH_2;	1.1	
19	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Leu]-[NMeLeu]-NH_2;	3.24	
20	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Leu]-[Sarc]-NH_2;	0.391	
21	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH_2;	0.542	
22	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2;	0.166	0.51

SEQ ID No. / Peptide No.	Sequence*	pStat3 HTRF (nM)	PBMC pSTAT3 (nM)
23	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2;	0.234	0.78
24	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[(D)Leu]-[Sarc]-NH_2;	0.446	
25	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Leu]-[Sarc]-NH_2;	0.487	
26	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Gly)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2;	0.224	0.95
27	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Gly)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2;	0.334	
28	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Gly)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[(D)Leu]-[Sarc]-NH_2;	0.358	
29	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Gly)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Leu]-[Sarc]-NH_2;	0.974	
30	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(bAla)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2;	0.207	
31	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(bAla)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2;	0.42	
32	Ac-[Pen]-N-T-[W(7-Et)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2;	0.171	1.4
33	Ac-[Pen]-N-T-[W(7-Et)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2;	0.251	2.2
34	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(7-Et)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2;	6.92	
35	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(4-Me)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2;	51.3	
36	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(6-Me)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2;	1.1	
37	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(4-OMe)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2;	196	
38	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(7-i-Pr)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2;	21.7	

SEQ ID No. / Peptide No.	Sequence*	pStat3 HTRF (nM)	PBMC pSTAT3 (nM)
39	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(7-nPr)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2;		
40	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(7-OMe)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2;	3.8	
41	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(6-Cl)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2;	0.304	
42	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(5-OMe)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2;	5.82	
43	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(3-MePh)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2;	5.39	
44	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(6-Ph)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2;	9.26	
45	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(6-Et)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2;	2.43	
46	Ac-[Pen]-N-T-[W(7-(2-FPh)]- [Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2;	0.373	
47	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Leu]-[(D)NMeTyr]-NH_2;	0.497	1.9
48	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[(D)NMeTyr]-NH_2;	0.679	1.7
49	Ac-[Pen]-N-T-[W(7-(2-OMePh)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2;	0.853	
50	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(7-Ph)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2;	2.97	
51	Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2;	0.114	0.87
52	Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2;	0.076	0.34
53	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3Quin]-[THP]-E-N-H-[Sarc]-NH_2;	0.175	1.8
54	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-H-[Sarc]-NH_2;	0.358	

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55	Ac-[Pen]-S-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2;	0.203	
56	Ac-[Pen]-S-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-H-[Sarc]-NH_2;	0.674	
57	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[(D)NMeTyr]-NH_2;	0.26	
58	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-F-[(D)NMeTyr]-NH_2;		19
59	Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-H-[Sarc]-NH_2;	0.359	
60	Ac-[Pen]-S-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2;	0.264	
61	Ac-[Pen]-S-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-H-[Sarc]-NH_2;	0.391	
62	Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[(D)NMeTyr]-NH_2;	0.151	
63	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-[(D)Asn]-H-[Sarc]-NH_2;	3.23	
64	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-G-H-[Sarc]-NH_2;	0.604	
65	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-[h(Ser)]-H-[Sarc]-NH_2;	0.288	
66	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-P-NH_2;	0.205	
67	Ac-[Pen]-N-T-[W(7-(2-Nal))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2;	0.199	
68	Ac-[Pen]-N-T-[W(7-3BiPh)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2;	0.798	
69	Ac-[Pen]-N-T-[W(7-(Phenanthren-5-yl))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2;	3.19	
70	Ac-[Pen]-N-T-[W(7-(4-Anthracen-5-yl))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2;	78.3	
71	Ac-[Pen]-N-T-[W(7-(1-Nal))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2;	0.533	
72	Ac-[Pen]-N-T-[W(7-(4BiPh))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2;	0.594	

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73	Ac-[Pen]-N-T-[W(7-(3,5-t-Bu-Ph))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2;	6.24	
74	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2;	1.42	
75	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2;	0.291	
78	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3Quin]-[a-MeLys]-[Lys(Ac)]-N-[2Pal]-NH_2;	73.6	
79	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[2Pal]-NH_2;	1.91	
80	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-NH_2;	0.0688	
81	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-H-[Sarc]-NH_2;	0.123	
82	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[(D)NMeTyr]-NH_2;		0.98
83	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-E-N-H-[(D)NMeTyr]-NH_2;		1.7
84	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-Phe[4-aminomethyl]-[(D)NMeTyr]-NH_2;		13
85	Ac-[Pen]-N-T-[W(7-Me)]-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMeLeu]-[Lys(Ac)]-N-[(D)His]-NH_2;		3
86	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)His]-NH_2;		7.2
87	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[(D)His]-[(D)NMeTyr]-NH_2;		2000
88	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-N-[(D)NMeTyr]-NH_2;		>2000
89	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-N-[(D)NMeTyr]-NH_2;		6.9
90	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Val]-[(D)NMeTyr]-NH_2;		7.1

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91	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Thr]-[(D)NMeTyr]-NH_2;		14
92	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[(D)His]-NH_2;		2.8
93	Ac-[Abu]-N-T-[W(7-Ph)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[3Quin]-[THP]-E-N-H-[Sarc]-NH_2;	0.418	
94	Ac-[Abu]-N-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[3Quin]-[THP]-E-N-H-[Sarc]-NH_2;	0.917	
95	Ac-[Abu]-N-T-[W(7-Ph)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2;	0.0818	
96	Ac-[Abu]-N-T-[W(7-Ph)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2;	0.143	
97	Ac-[Abu]-N-T-[W(7-Me)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH_2;		
98	Ac-[Abu]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2;	0.134	
99	Ac-[Abu]-N-T-[W(7-Me)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2;	0.684	
100	Ac-[(D)Arg]-[Abu]-S-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2;	1.51	
101	Ac-[(D)Arg]-[Abu]-N-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[(D)Leu]-[Sarc]-NH_2;	0.238	
102	Ac-[(D)Arg]-[Abu]-N-T-[W(7-Me)]-[Cit]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH_2;	0.155	
103	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2;	0.0442	0.00775
104	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2;	0.022	0.00515
105	Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2;	0.146	0.019
106	Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2;	0.044	0.0087
107	Ac-[Pen]-N-T-[W(7-Me)]-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2;	0.029	
108	Ac-[Pen]-N-T-[W(7-Me)]-Q-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2;	0.022	

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109	Ac-[Abu]-Q-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2;	0.041	
110	Ac-[Abu]-Q-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2;	0.018	
111	Ac-[Abu]-Q-T-[W(7-Me)]-[Cit]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2;	0.014	
112	Ac-[Abu]-Q-T-[W(7-Me)]-[Cit]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2;	0.025	
113	Ac-[Abu]-Q-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[bA]-NH_2;	0.057	
114	Ac-[Abu]-Q-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-[3Pal]-[bA]-NH_2;	0.035	
115	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[4Pal]-[Sarc]-NH_2	0.023	
116	Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[bA]-NH_2	0.029	
117	Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2	0.02	
118	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Quin]-[Sarc]-NH_2	0.057	
119	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[7-Aza-tryptophan]-[Sarc]-NH_2	0.672	
120	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[(D)NMeTyr]-NH_2	0.066	
121	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[(D)NMeTyr]-NH_2	0.043	
122	Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[(D)NMeTyr]-NH_2	0.144	
123	Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[(D)NMeTyr]-NH_2	0.019	
124	Ac-[Pen]-S-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-[3Pal]-[Sarc]-NH_2	0.023	
125	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-[3Pal]-[Sarc]-NH_2	0.04	
126	Ac-[Pen]-N-T-[W(7-Ph)]-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2	0.054	

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127	Ac-[Pen]-N-T-[W(7-Ph)]-Q-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2	0.0324	
130	Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[bA]-NH_2	0.066	
131	Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[bA]-NH_2		
132	Ac-[Pen]-S-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2	0.054	
133	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2	0.06	
134	Ac-[Abu]-Q-T-[W(7-Ph)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2	0.038	
135	Ac-[Abu]-Q-T-[W(7-Ph)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2	0.169	
136	Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2		0.011
137	Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aceylaminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2	0.06	0.012
138	Ac-[Pen]-E-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2	0.054	
139	Ac-[Pen]-E-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2	0.077	
140	Ac-[Abu]-Q-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2	0.791	
141	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2	0.889	
142	Ac-[Pen]-N-T-[W(7-(3-carboxamidophenyl))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2	0.09	
143	Ac-[Pen]-N-T-[W(7-pyrimidin-5-yl)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2	0.94	
144	Ac-[Pen]-N-T-[W(7-imidazopyridinyl)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2	0.316	

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145	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[NMe(Lys)]-[Lys(Ac)]-N-[His_3Me]-NH_2;	0.029	0.12
146	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[His_3Me]-NH_2;		0.036
147	Ac-[Pen]-N-T-[W(7-(4Quin))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2	0.0429	
148	Ac-[Pen]-N-T-[(W(7-(3-pyrazol-1-yl))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2	0.0682	
149	Ac-[Pen]-N-T-[(W(7-(5-Et)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2	0.0239	
150	Ac-[Pen]-N-T-[W(5-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2	>10	
151	Ac-[Pen]-N-T-[(W(7-(3-pyrazol-1-yl))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2	0.0615	
152	Ac-[Pen]-N-T-[W(7-indazol-5-yl)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2	0.0766	
153	Ac-[Pen]-N-T-[W(4-F)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2	0.0402	
154	Ac-[Pen]-N-T-[W(5-CN)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2	>10	
155	Ac-[Pen]-N-T-[W(7-CN)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2	0.0405	
156	Ac-[Pen]-N-T-[W(4-OMe)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2	0.0852	
157	Ac-[Pen]-N-T-[W(4-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2	0.0432	
158	Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2	0.0491	0.011
159	Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-[3Pal]-[Sarc]-NH_2	0.17	0.017
160	Ac-[Pen]-N-T-[W(5-Ca)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2	>10	
161	Ac-[Pen]-N-T-[Trp_4Aza]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2	0.222	
162	Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2	0.0436	

SEQ ID No. / Peptide No.	Sequence*	pStat3 HTRF (nM)	PBMC pSTAT3 (nM)
163	Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2	0.017	
164	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[(5Pyal)]-NH_2		0.011
165	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-Me-Lys]-[Lys(Ac)]-N-[(5Pyal)]-NH_2		0.0053
166	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[(1-Me)His]-NH_2		8.9
167	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMeLys]-[Lys(Ac)]-N-[(1-Me)His]-NH_2		14
168	Ac-[Pen]-N -T-[W(7-Me]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-Me-Lys]-[Lys(Ac)]-N-[3Pal]-[(D)NMeTyr]-NH_2		0.019
169	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[Aib]-[(D)Thr]-NH_2;	0.534	
170	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[(D)Pro]-NH_2;	0.388	

* wherein Cys and Cys, or Pen and Pen form a disulfide bond; and Abu and Cys or Abu and Pen form a thioether bond.

Table E1B. IC_{50}s of Additional Illustrative Peptides of the present invention

SEQ ID No. / Peptide No.	Sequence*	pStat3 HTRF (nM)	PBMC pSTAT3 (nM)
201	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMeLeu]-E-N-[(D)Lys]-[(D)NMeTyr]-NH_2		
202	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMeLeu]-E-N-[(D)His]-[(D)NMeTyr]-NH_2		
203	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Orn]-[(D)NMeTyr]-NH_2		2.9
204	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Ser]-[(D)NMeTyr]-NH_2		6.4
205	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Phe]-[(D)NMeTyr]-NH_2		

SEQ ID No. / Peptide No.	Sequence*	pStat3 HTRF (nM)	PBMC pSTAT3 (nM)
206	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[(D)Tyr]-NH_2		0.78
207	Ac-[Pen]-N-T-[W(7-Me)]-[(D)Tyr]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[(D)NMeTyr]-NH_2		3.3
208	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-P-NH_2		
209	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[(D)Pro]-NH_2		0.97
210	Ac-[Pen]-N-T-[W(7-Me)]-[Phe(4-CONH2)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[(D)NMeTyr]-NH_2		6.8
211	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-(D)Phe[4-NH_2]-[Sarc]-NH_2		3.2
212	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-NH_2		1.2
213	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-N(H)Me		
214	Ac-[Pen]-N-T-[W(7-Me)]-[Phe(4-NH(Ac))]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[(D)NMeTyr]-NH_2		5.7
215	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Tyr]-[(D)NMeTyr]-NH_2		7.3
216	Ac-[Pen]-N-T-[W(7-Me)]-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMeLeu]-[Lys(Ac)]-N-[(D)Lys]-[(D)NMeTyr]-NH_2		1.8
217	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)His]-[(D)NMeTyr]-NH_2		4.2
218	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[bAla]-[(D)NMeTyr]-NH_2		15
219	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[bAla]-[(D)NMeTyr]-NH_2		14
220	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[bAla]-[(D)NMeTyr]-NH_2		8.4
221	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-E-N-H-N(H)Me		0.49
222	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[THP]-P-NH_2		8.1
223	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[THP]-[(D)Pro]-NH_2		13

SEQ ID No. / Peptide No.	Sequence*	pStat3 HTRF (nM)	PBMC pSTAT3 (nM)
224	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-[bAla]-[Sarc]-NH_2		8.7
225	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-[(D)Val]-[Sarc]-NH_2		12
226	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-[(D)Arg]-[Sarc]-NH_2		1.7
227	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-[Hph]-[Sarc]-NH_2		8.2
228	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-Phe[4-NH_2]-[Sarc]-NH_2		17
229	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-Phe[4-NH_2]-[Sarc]-NH_2		5.1
230	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-F-[Sarc]-NH_2		9.8
231	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-[THP]-[Sarc]-NH_2		9.9
232	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-[(D)Leu]-[Sarc]-NH_2		7.7
233	Ac-[(D)Arg]-[Cys]-N-T-[W(7-Me)]-[Lys(Ac)]-[aMeCys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-H-[Sarc]-NH_2		4.3
234	Ac-[(D)Arg]-[Cys]-N-T-[W(7-Me)]-[Lys(Ac)]-[aMeCys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-[(D)Leu]-[Sarc]-NH_2		16
235	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-[3Pal]-[Sarc]-NH_2		0.01
236	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[bAla]-[Sarc]-NH_2		17
237	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Val]-[Sarc]-NH_2		49
238	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Arg]-[Sarc]-NH_2		8.9
239	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[Hph]-[Sarc]-NH_2		76
240	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Tyr]-[Sarc]-NH_2		40
241	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Tyr]-[Sarc]-NH_2		13
242	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[4Pal]-NH_2		

SEQ ID No. / Peptide No.	Sequence*	pStat3 HTRF (nM)	PBMC pSTAT3 (nM)
243	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[Phe(4-CF3)]-[Sarc]-NH_2		
244	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-Tyr_CHF2-[Sarc]-NH_2		
245	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[THP]-P-NH_2		
246	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[(D)NMeTyr]-NH_2		0.33
247	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2		0.0043
248	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[4Pal]-[Sarc]-NH_2		
249	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[Phe(2-aminomethyl)]-[Sarc]-NH_2		
250	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Pro(4,4diF)]-NH_2		0.024
251	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[aMePro]-NH_2		0.0055
252	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Aib]-NH_2		0.046
253	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[His(3-Me)]-[Sarc]-NH_2		
261	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[His(3-Me)]-[Sarc]-NH_2	0.046	0.084
262	Ac-[(D)Arg]-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[His(3-Me)]-[Sarc]-NH_2		0.29
266	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2		0.81
267	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-N(H)Me		0.027
270	[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2		
271	Ac-[(D)Arg]-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2		
272	Pr-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]- Phe[4-(2-(N-propionylamino)ethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2		

SEQ ID No. / Peptide No.	Sequence*	pStat3 HTRF (nM)	PBMC pSTAT3 (nM)
273	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-(N-(4-hydroxy-3-methylphenyl) propionylamino) ethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2		
274	[N3_Acid]-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2		
275	[FPrpTriazoleMe_Acid]-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2		
276	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal(5-Me)]-[Sarc]-NH_2		
277	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal(5-NH_2)]-[Sarc]-NH_2		
278	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[His(3-Me)]-N(H)Me		
279	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[(D)NMeTyr]-NH_2		
280	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Gly(N-cyclohexylmethyl)]-NH_2		
281	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Gly(N-isobutyl)]-NH_2		
282	Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal(3-Me)]-NH_2		
283	Ac-[(D)Arg]-[aMeCys]-N-T-[W(7-Me)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2		
284	Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2		
285	Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-[3Pal]-[Sarc]-NH_2		
286	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2	0.0274	
287	Ac-[Pen]-[Gly(Allyl)]-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Tyr(O-Allyl)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2	0.0285	
288	Ac-[Pen]-[Gly(Allyl)]-D-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Tyr(O-Allyl)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2	0.062	
289	Ac-[Pen]-[Gly(Allyl)]-T-(W(4-F)]-[Lys(Ac)]-[Pen]-[Tyr(O-Allyl)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2	0.059	

SEQ ID No. / Peptide No.	Sequence*	pStat3 HTRF (nM)	PBMC pSTAT3 (nM)
290	Ac-[Pen]-N-D-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2	0.033	
291	Ac-[Pen]-L-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2	0.0318	
299	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2	0.0442	
308	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-F-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2	0.0298	
309	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[(D)Tyr]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2	0.0618	
310	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2	0.0438	
311	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH_2	0.0319	
332	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(N-acetyl-N-propyl)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2	0.0449	
333	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(N-acetyl-N-butyl)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2	0.0494	
334	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(N-acetyl-N-isobutyl)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2	0.0516	
335	Ac-[Pen]-N-T-[W(7-Me)]-[Lys(N-acetyl-N-benzyl)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2	0.0447	
339	Ac-[Pen]-L-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2-Nal]-[aMeLeu]-E-N-[3Pal]-[Sarc]-NH_2	0.0399	
347	Ac-[Pen]-L-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2-Nal]-[aMeLeu]-E-N-[3Pal]-[Sarc]-NH_2	0.0459	
373	Ac-[Abu]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2	0.0412	

EXAMPLE 3: NK CELL BASED ASSAY

[00489] Natural killer (NK) cells, purified from human peripheral blood of healthy donors by negative selection (Miltenyi Biotech, Cat # 130-092-657), were cultured in complete media (RPMI 1640 containing 10% FBS, L-glutamine and penicillin-streptomycin) in the presence of IL-2 (RnD, Cat # 202-IL-010/CF) at 25 ng/mL. After 7 days, cells were centrifuged, and resuspended in complete media at 1E6 cells/mL. Recombinant IL-23 at predetermined EC_{50} to EC_{75} and IL-18 (RnD, Cat # B003-5) at 10 ng/mL were mixed with varying concentrations of peptides, and added to NK cells seeded at 1E5 cells per well. After 20 to 24 hours, IFNγ in the supernatant was

quantified using Quantikine ELISA (RnD, Cat # DIF50). IC_{50} values determined from these data are shown in Table E2A and Table E2B. Where not shown (N/A), data was not yet determined.

Table E2. IC_{50} of Illustrative Peptide Inhibitors in Primary Cell Line (NK Cell Assay)

SEQ ID No. / Peptide No.	NK Cell Assay (nM)
1	N/A
2	2.11
3	2.05
4	5.81
5	0.785
6	2.46
7	2.62
8	N/A
9	N/A
10	N/A
11	1.73
12	1.91
13	2.37
14	2.8
15	0.963
16	0.779
17	2.63
18	6.52
19	N/A
20	2.88
21	2.04
22	0.774
23	0.706
24	N/A
25	N/A
26	0.587
27	N/A
28	N/A
29	N/A
30	0.896
31	N/A
32	1.3
33	1.32
34	N/A
35	N/A
36	N/A
37	N/A
38	N/A

SEQ ID No. / Peptide No.	NK Cell Assay (nM)
39	34.1
40	N/A
41	1.51
42	N/A
43	N/A
44	N/A
45	N/A
46	1.39
47	1.7
48	1.01
49	N/A
50	N/A
51	0.627
52	0.46
53	0.812
54	1.64
55	1.14
56	4.33
57	1.68
58	N/A
59	1.68
60	0.973
61	2.23
62	2.1
63	28.1
64	1.42
65	1.8
66	0.878
67	0.771
68	1.07
69	9.99
70	N/A
71	2.88
72	1.81
73	8.1
74	1.82
75	1.84
78	256
79	2.68
80	0.0515
81	N/A
82	N/A
83	N/A

SEQ ID No. / Peptide No.	NK Cell Assay (nM)
84	N/A
85	N/A
86	N/A
87	N/A
88	N/A
89	N/A
90	N/A
91	N/A
92	N/A
93	N/A
94	N/A
95	N/A
96	N/A
97	N/A
98	N/A
99	N/A
100	N/A
101	N/A
102	N/A
103	0.0277
104	0.0192
105	0.0523
106	0.0325
107	N/A
108	N/A
109	N/A
110	N/A
111	N/A
112	N/A
113	N/A
114	N/A
115	N/A
116	N/A
117	0.0281
118	N/A
119	N/A
120	0.0512
121	0.04
122	0.079
123	0.042
124	0.0874
125	0.1
126	N/A

SEQ ID No. / Peptide No.	NK Cell Assay (nM)
127	N/A
130	N/A
131	N/A
132	0.0456
133	N/A
134	N/A
135	N/A
136	N/A
137	0.0177
138	N/A
139	N/A
140	N/A
141	N/A
142	N/A
143	N/A
144	N/A
145	N/A
146	N/A
147	N/A
148	0.025
149	N/A
150	N/A
151	N/A
152	N/A
153	N/A
154	N/A
155	0.049
156	N/A
157	N/A
158	0.0258
159	0.0416
160	N/A
161	N/A
162	0.029
163	N/A

EXAMPLE 4: STABILITY OF PEPTIDE INHIBITORS IN SIMULATED INTESTINAL FLUID (SIF), SIMULATED GASTRIC FLUID (SGF) AND REDOX CONDITIONS

[00490] Studies were carried out in simulated intestinal fluid (SIF) and simulated gastric fluid (SGF) to evaluate gastric stability of the peptide inhibitors of the present invention. In addition, studies were carried out to assess redox stability of the peptide inhibiotrs of the present invention.

[00491] SIF was prepared by adding 6.8 g of monobasic potassium phosphate and 10.0 g of pancreatin to 1.0 L of water. After dissolution, the pH was adjusted to 6.8 using NaOH. DMSO stocks (2 mM) were first prepared for the test compounds. Aliquots of the DMSO solutions were dosed into 6 individual tubes, each containing 0.5 mL of SIF, which is pre-warmed to 37°C. The final test compound concentration was 20 μM. The vials were kept in a benchtop Thermomixer® for the duration of the experiment. At each timepoint (0, 5, 10, 20, 40, 60, or 360 minutes or 24 hours), 1.0 mL of acetonitrile containing 1% formic acid was added to one vial to terminate the reaction. Samples were stored at 4°C until the end of the experiment. After the final timepoint is sampled, the tubes were mixed and then centrifuged at 3,000 rpm for 10 minutes. Aliquots of the supernatant were removed, diluted 1:1 into distilled water containing internal standard, and analyzed by LCMS/MS. Percent remaining at each timepoint was calculated based on the peak area response ratio of test to compound to internal standard. Time 0 was set to 100%, and all later timepoints were calculated relative to time 0. Half-lives were calculated by fitting to a first-order exponential decay equation using Graphpad. Stablity in SIF assays is shown in Tables E9 and E10.

[00492] SGF was prepared by adding 20 mg NaCl, 32 mg porcine pepsin (MP Biochemicals, catalog 02102599), and 70μl HCl to 10ml water (final pH=2). Aliquots of SGF (0.5ml each) were pre-warmed at 37°C. To start the reaction, 1μl of peptide stock solution (10mM in DMSO) was added to 0.5ml SGF and thoroughly mixed such that the final peptide concentration was 20μM. The reactions were incubated at 37°C with gentle shaking. At each time point (0, 15, 30, 60 min) 50μl aliquots were removed and added to 200 ul acetonitrile containing 0.1% formic acid to quench the reaction. Samples are stored at 4°C until the end of the experiment and centrifuged at 10,000 rpm for 5 minutes. Aliquots of the supernatant were removed, diluted 1:1 into distilled water containing internal standard, and analyzed by LCMS/MS. Percent remaining at each timepoint was calculated based on the peak area response ratio of test to compound to internal standard. Time 0 was set to 100%, and all later timepoints were calculated relative to time 0. Half-lives were calculated by fitting to a first-order exponential decay equation using GraphPad. Stability in SGF assays in shown in Table E3.

Table E3. Stability of Illustrative Peptides Inhibitors in Simulated Intestinal Fluid (SIF) and Simulated Gastric Fluid (SGF)

SEQ ID No. / Peptide No.	SGF t1/2 (hr) §	SIF t1/2 (hr) §
1	N/A	22.4

SEQ ID No. / Peptide No.	SGF t1/2 (hr) §	SIF t1/2 (hr) §
2	N/A	>24.0
3	N/A	>24.0
4	N/A	>24.0
5	>24.0	>24.0
6	N/A	>24.0
7	N/A	>24.0
8	N/A	N/A
9	N/A	N/A
10	N/A	N/A
11	N/A	>24.0
12	N/A	>24.0
13	N/A	>24.0
14	N/A	>24.0
15	>24.0	>24.0
16	>24.0	>24.0
17	N/A	6.4
18	N/A	15.1
19	N/A	N/A
20	N/A	>24.0
21	N/A	>24.0
22	>24.0	>24.0
23	>24.0	>24.0
24	N/A	>24.0
25	N/A	>24.0
26	>24.0	20.3
27	N/A	>24.0
28	N/A	>24.0
29	N/A	>24.0

SEQ ID No. / Peptide No.	SGF t1/2 (hr) §	SIF t1/2 (hr) §
30	>24.0	>24.0
31	N/A	>24.0
32	N/A	>24.0
33	N/A	>24.0
34	N/A	>24.0
35	N/A	>24.0
36	N/A	>24.0
37	N/A	>24.0
38	N/A	>24.0
39	N/A	>24.0
40	N/A	>24.0
41	N/A	>24.0
42	N/A	>24.0
43	N/A	>24.0
44	N/A	>24.0
45	N/A	>24.0
46	N/A	>24.0
47	>24.0	20.1
48	>24.0	13.9
49	N/A	23.8
50	N/A	>24.0
51	>24.0	>24.0
52	>24.0	>24.0
53	>24.0	21.6
54	>24.0	>24.0
55	N/A	21.4
56	N/A	24.1
57	N/A	>24.0

SEQ ID No. / Peptide No.	SGF t1/2 (hr) §	SIF t1/2 (hr) §
58	N/A	N/A
59	N/A	>24.0
60	>24.0	>24.0
61	N/A	>24.0
62	N/A	>24.0
63	N/A	>24.0
64	N/A	>24.0
65	N/A	>24.0
66	>24.0	21.8
67	>24.0	>24.0
68	N/A	>24.0
69	N/A	>24.0
70	N/A	>24.0
71	N/A	>24.0
72	N/A	>24.0
73	N/A	>24.0
74	N/A	14.6
75	N/A	15.4
78	N/A	N/A
79	N/A	0.3
80	>24.0	0.1
81	N/A	11.9
82	N/A	N/A
83	N/A	N/A
84	N/A	N/A
85	N/A	N/A
86	N/A	N/A
87	N/A	N/A

SEQ ID No. / Peptide No.	SGF t1/2 (hr) §	SIF t1/2 (hr) §
88	N/A	N/A
89	N/A	N/A
90	N/A	N/A
91	N/A	N/A
92	N/A	N/A
93	N/A	>24.0
94	N/A	>24.0
95	N/A	>24.0
96	N/A	>24.0
97	N/A	6.6
98	N/A	>24.0
99	N/A	10.8
100	N/A	>24.0
101	N/A	>24.0
102	N/A	17.9
103	>24.0	>24.0
104	>24.0	>24.0
105	>24.0	>24.0
106	>24.0	>23.0
107	N/A	20.9
108	N/A	>24.0
109	17	14.1
110	N/A	15
111	N/A	8.5
112	N/A	10
113	N/A	10
114	N/A	10.7
115	N/A	>24.0

SEQ ID No. / Peptide No.	SGF t1/2 (hr) §	SIF t1/2 (hr) §
116	N/A	22.5
117	>24.0	>24.0
118	N/A	>24.0
119	N/A	19.6
120	N/A	>24.0
121	N/A	>24.0
122	N/A	>24.0
123	N/A	>24.0
124	N/A	18.5
125	N/A	24.5
126	N/A	>24.0
127	N/A	>24.0
130	N/A	0.2
131	N/A	N/A
132	N/A	>24.0
133	>24.0	13.8
134	>24.0	>24.0
135	>24.0	11.7
136	N/A	N/A
137	>24.0	22.7
138	>24.0	9
139	>24.0	16.4
140	N/A	N/A
141	N/A	N/A
142	>24.0	>24.0
143	>24.0	>24.0
144	>24.0	>24.0
145	>24.0	>24.0

SEQ ID No. / Peptide No.	SGF t1/2 (hr) §	SIF t1/2 (hr) §
146	>24.0	>24.0
147	N/A	>24.0
148	N/A	>24.0
149	N/A	>24.0
150	N/A	>24.0
151	N/A	>24.0
152	N/A	>24.0

§ the matrix used is 100 fold dilution of standard SIF concentration.

EXAMPLE 5: STABILITY OF PEPTIDE INHIBITORS IN HUMAN AND CYNOMLOGUS (MONKEY) FECES

[00493] Studies were carried out in human or cynomlogus monkey fecal homogenate to evaluate gastrointestinal stability of the peptide inhibitors of the present invention.

[00494] Fecal homogenate (20%) was prepared by adding 4 mL of growth medium (1 liter contains 2 g peptone water powder, 2 g yeast extract, 0.1 g NaCl, 0.04 g KH_2PO_4, 0.01 g $CaCl_2 \cdot 6H_2O$, 0.01 g $MgSO_4 \cdot 7H_2O$, 2 mL Tween 80, 0.5 g bile salts, 0.5 g L-cysteine HCl, 2 g $NaHCO_3$, and 10 µL Vitamin K, pH adjusted to 6.8, and sterilized by filtration through a 0.22 µm filter) to every gram of feces (pooled freshly collected human or cynomolgus monkey feces). The suspension was vortexed to break up large clumps, and homogenized using a bead mill homogenizer. Centrifuged the homogenate at 2800 x g for 15 min. The supernatant is taken out and used for incubations. DMSO stocks (10 mM) were first prepared for the test compounds. Incubations were performed in an anaerobic chamber conditioned at 37°C. Aliquots of the DMSO solutions were dosed into 1.0 mL aliquots of 20% fecal homogenate, which are pre-warmed to 37°C. The final test compound concentration was 20 µM. At each timepoint (0, 20 min, 1, 3, 6, or 24 hours), 100 µL aliquot of each incubation mixture is taken out and added to separate tubes containing 300 µL of 50% acetonitrile/50% methanol and an internal standard to terminate the reaction. Samples were taken out of the anaerobic chamber and stored at 4°C until the end of the experiment. After the final timepoint is sampled, the tubes were mixed and then centrifuged at 3,000 rpm for 10 minutes. Aliquots of the supernatant were removed, diluted 1:1 into distilled water containing 0.1% formic acid, and analyzed by LC/MS/MS. Percent remaining at each timepoint was calculated based on the peak area response ratio of test to compound to internal standard. Time 0 was set to 100%, and all later timepoints were calculated relative to time 0. Half-lives were calculated by fitting to

a first-order exponential decay equation using Graphpad or Excel. Stablity in fecal homogenate assays is shown in Tables.

EXAMPLE 6: STABILITY OF PEPTIDE INHIBITORS IN RAT PLASMA

[00495] Peptides of interest (20 μM) were incubated with pre-warmed rat plasma (SD rat, mixed gender pooled, EDTA, filtered through 0.22 μm, BioreclamationIVT) at 37°C. Aliquots were taken at various time points up to 24 hours (e.g. 0, 0.25, 1, 3, 6 and 24 hr), and immediately quenched with 4 volumes of organic solvent (acetonitrile/methanol (1:1) and 0.1% formic acid, containing 1 μM internal standard). Quenched samples were stored at 4 °C until the end of the experiment and centrifuged at 4,000 rpm for 10 minutes. The supernatant were diluted 1:1 with deionized water and analyzed using LC-MS. Percentage remaining at each time point was calculated based on the peak area ratio (analyte over internal standard) relative to the initial level at time zero. Half-lives were calculated by fitting to a first-order exponential decay equation using GraphPad.

Table E4. Stability of Illustrative Peptides Inhibitors in Human Feces, Monkey Feces, and Rat Plasma

SEQ ID No. / Peptide No.	t1/2: Human Feces anaerobic (hr)	t1/2: Monkey Feces anaerobic (hr)	t1/2: Rat Plasma EDTA (hr)
1	>24.0	>24.0	>24.0
2	>24.0	>24.0	>24.0
3	>24.0	>24.0	10.9
4	>24.0	>24.0	>24.0
5	>24.0	15.8	>24.0
6	>24.0	>24.0	13.9
7	>24.0	>24.0	>24.0
8	N/A	N/A	N/A
9	N/A	N/A	N/A
10	N/A	N/A	N/A
11	>24.0	>24.0	12.5
12	>24.0	>24.0	>24.0
13	>24.0	>24.0	7.6
14	>24.0	>24.0	>24.0
15	>24.0	>24.0	>24.0
16	>24.0	20.8	>24.0
17	24.5	11.1	>24.0
18	>24.0	19.6	>24.0
19	N/A	N/A	N/A
20	>24.0	>24.0	20.6
21	>24.0	22.7	>24.0
22	>24.0	7.6	>24.0

SEQ ID No. / Peptide No.	t1/2: Human Feces anaerobic (hr)	t1/2: Monkey Feces anaerobic (hr)	t1/2: Rat Plasma EDTA (hr)
23	>24.0	15.8	>24.0
24	>24.0	>24.0	1
25	>24.0	>24.0	>24.0
26	4.4	5.3	>24.0
27	4.4	11.6	>24.0
28	5.6	19.2	1
29	5.7	17.5	22.2
30	7.7	9.6	>24.0
31	5.4	17	>24.0
32	21.1	10.2	>24.0
33	25.7	18.1	>24.0
34	>24.0	>24.0	>24.0
35	>24.0	>24.0	>24.0
36	>24.0	14.8	>24.0
37	>24.0	23.5	>24.0
38	>24.0	>24.0	>24.0
39	23.4	24	>24.0
40	>24.0	19.9	>24.0
41	21.5	15.4	>24.0
42	>24.0	15.7	>24.0
43	23.9	24	>24.0
44	22.7	23.2	>24.0
45	>24.0	18.4	>24.0
46	>24.0	>24.0	>24.0
47	>24.0	>24.0	>24.0
48	>24.0	>24.0	>24.0
49	>24.0	>24.0	>24.0
50	>24.0	24.1	>24.0
51	>24.0	24.6	>24.0
52	>24.0	19.5	>24.0
53	17.7	>24.0	>24.0
54	9.4	14.5	>24.0
55	13	19.5	>24.0
56	7.9	12.6	>24.0
57	>24.0	>24.0	>24.0
58	N/A	N/A	N/A
59	20.7	19.9	>24.0
60	>24.0	21.4	>24.0
61	19.5	15.7	>24.0
62	>24.0	>24.0	>24.0
63	>24.0	>24.0	>24.0

SEQ ID No. / Peptide No.	t1/2: Human Feces anaerobic (hr)	t1/2: Monkey Feces anaerobic (hr)	t1/2: Rat Plasma EDTA (hr)
64	13.3	14	>24.0
65	14.7	12.5	>24.0
66	4	4.7	>24.0
67	>24.0	>24.0	>24.0
68	>24.0	>24.0	>24.0
69	>24.0	>24.0	>24.0
70	>24.0	>24.0	>24.0
71	>24.0	>24.0	>24.0
72	>24.0	>24.0	>24.0
73	>24.0	23.2	>24.0
74	6.1	17.5	>24.0
75	7.2	17	16.1
78	N/A	N/A	N/A
79	22.9	19	N/A
80	>18.1	14.8	>24.0
81	17.5	18.3	>24.0
82	N/A	N/A	N/A
83	N/A	N/A	N/A
84	N/A	N/A	N/A
85	N/A	N/A	N/A
86	N/A	N/A	N/A
87	N/A	N/A	N/A
88	N/A	N/A	N/A
89	N/A	N/A	N/A
90	N/A	N/A	N/A
91	N/A	N/A	N/A
92	N/A	N/A	N/A
93	1.3	8.4	N/A
94	1.2	9.9	N/A
95	13.3	17.3	>24.0
96	1.5	8.6	N/A
97	5.1	8	N/A
98	6.8	14.1	N/A
99	2.3	8.8	N/A
100	1.6	5.4	N/A
101	1.8	8.1	N/A
102	1.2	4.2	N/A
103	>24.0	>24.0	>24.0
104	>24.0	>24.0	>24.0
105	>24.0	>24.0	>24.0
106	>24.0	>24.0	>24.0

SEQ ID No. / Peptide No.	t1/2: Human Feces anaerobic (hr)	t1/2: Monkey Feces anaerobic (hr)	t1/2: Rat Plasma EDTA (hr)
107	19.7	9	>24.0
108	17.3	12.9	>24.0
109	3	12.6	>24.0
110	10.6	20.1	>24.0
111	2	12.8	N/A
112	10.9	17	>24.0
113	1.1	3.4	N/A
114	0.8	2.7	N/A
115	N/A	N/A	>24.0
116	N/A	N/A	>24.0
117	N/A	N/A	>24.0
118	N/A	N/A	>24.0
119	N/A	N/A	>24.0
120	N/A	N/A	>24.0
121	N/A	N/A	>24.0
122	N/A	N/A	>24.0
123	N/A	N/A	>24.0
124	N/A	N/A	>24.0
125	N/A	N/A	>24.0
126	N/A	N/A	>24.0
127	N/A	N/A	>24.0
130	N/A	N/A	>24.0
131	N/A	N/A	N/A
132	N/A	N/A	>24.0
133	N/A	N/A	>24.0
134	N/A	N/A	>24.0
135	N/A	N/A	>24.0
136	N/A	N/A	N/A
137	>24.0	>24.0	>24.0
138	>24.0	>24.0	>24.0
139	>24.0	>24.0	>24.0
140	N/A	N/A	N/A
141	N/A	N/A	N/A
142	>24.0	>24.0	>24.0
143	>24.0	>24.0	>24.0
144	>24.0	>24.0	>24.0
145	>24.0	19.3	N/A
146	10.3	14.2	N/A
147	>24.0	>24.0	N/A
148	>24.0	>24.0	N/A
149	>24.0	>24.0	N/A

SEQ ID No. / Peptide No.	t1/2: Human Feces anaerobic (hr)	t1/2: Monkey Feces anaerobic (hr)	t1/2: Rat Plasma EDTA (hr)
150	>24.0	>24.0	N/A
151	>24.0	>24.0	N/A
152	>24.0	>24.0	N/A

[00496] All of the above U.S. patents, U.S. patent application publications, U.S. patent applications, foreign patents, foreign patent applications and non-patent publications referred to in this specification and/or listed in the Application Data Sheet, are incorporated herein by reference, in their entirety.

[00497] From the foregoing it will be appreciated that, although specific embodiments of the invention have been described herein for purposes of illustration, various modifications may be made without deviating from the spirit and scope of the invention. Accordingly, the invention is not limited except as by the appended claims.

CLAIMS

1. A monocyclic peptide inhibitor of an interleukin-23 receptor, or a pharmaceutically acceptable salt thereof, wherein the peptide inhibitor comprises an amino acid sequence of Formula (I):

X3-X4-X5-X6-X7-X8-X9-X10-X11-X12-X13-X14-X15-X16 (I)

wherein

X3 is absent or any amino acid;

X4 is Abu, Cys, (D)Cys, alpha-MeCys, (D)Pen, Pen, or Pen(sulfoxide);

X5 is Cit, Glu, Gly, substituted Gly, Leu, Ile, beta-Ala, Ala, Lys, Asn, Pro, Ser, alpha-MeGln, alpha-MeLys, alpha-MeLeu, alpha-MeAsn, Lys(Ac), alpha-MeLys(Ac), Dab(Ac), Dap(Ac), homo-Lys(Ac), Gln, or Asp;

X6 is Thr, Aib, Asp, Dab, Gly, Pro, Ser, alpha-MeGln, alpha-MeLys, alpha-MeLeu, alpha-MeAsn, alpha-MeThr, alpha-MeSer, or Val;

X7 is unsubstitutedTrp, or Trp substituted with cyano, halo, alkyl, haloalkyl, hydroxy, alkoxy, substituted or unsubstituted aryl, or substituted or unsubstituted heteroaryl;

X8 is Gln, alpha-MeLys, alpha-MeLeu, alpha-MeLys(Ac), beta-homoGln, Cit, Glu, Phe, substituted Phe, Tyr, Asn, Thr, Val, Aib, alpha-MeGln, alpha-MeAsn, Lys(Ac), Dab(Ac), Dap(Ac), homo-Lys(Ac), 1-Nal, 2-Nal, Lys(b-Ala), Lys(Gly), Lys(Benzyl, Ac), Lys(butyl, Ac), Lys(isobutyl,Ac), Lys(propyl,Ac), or Trp;

X9 is Abu, Cys, (D)Cys, alpha-MeCys, (D)Pen, Pen, or Pen(sulfoxide);

X10 is Tyr, or substituted Tyr, unsubstituted Phe, or Phe substituted with halo, alkyl, haloalkyl, hydroxy, alkoxy, cyano, cycloalkyl, carboxy, carboxamido, 2-aminoethoxy, or 2-acetylaminoethoxy; and

X11 is 2-Nal, Phe(2-Me), Phe(3-Me), Phe(4-Me), Phe(3,4-dimethoxy), 2Quin, 3Quin, 1-Nal, unsubstituted Trp, or Trp substituted with cyano, halo, alkyl, haloalkyl, hydroxy, or alkoxy;

X12 is 4-amino-4-carboxy-tetrahydropyran (THP), Acvc, alpha-MeLys, alpha-MeLeu, alpha-MeArg, alpha-MePhe, alpha-MeLeu, alpha-MeLys, alpha-MeAsn, alpha-MeTyr, Ala, cyclohexylAla, Lys, or Aib;

X13 is any amino acid;

X14 is any amino acid;

and

i) X15 is any amino acid other than His, (D)His, substituted or unsubstituted His, 2Pal, 3Pal, or 4Pal; X16 is Sarc, aMeLeu, (D)NMeTyr, His, (D)Thr, bAla, Pro, or (D)Pro; and the peptide inhibitor is other than

Ac-[Abu]-QTWQC-[Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-NNPG-NH_2;

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMeLeu]-[Lys(Ac)]-NN-[Sarc]-NH_2;

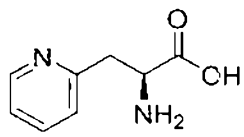
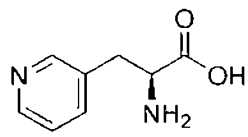
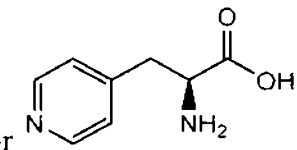
Ac-[(D)Arg]-[Abu]-Q-T-[W(7-Me)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH_2; or

Ac-[Pen]-N-T-[W(7-Me)]-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[Aib]-[bA]-NH_2; or

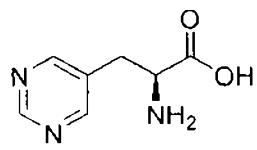
ii) X15 is His, (D)His, substituted or unsubstituted His, 2Pal, 3Pal, 4Pal, 4TriazolAla, or 5Pyal; and X16 is absent, (D)aMeTyr, (D)NMeTyr or any amino acid other than THP, substituted or unsubstituted Phe, substituted or unsubstituted (D)Phe, substituted or unsubstituted His, substituted or unsubstituted (D)His, substituted or unsubstituted Trp, substituted or unsubstituted 2-Nal, or N-substituted Asp; and the compound is other than

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-NH_2;

wherein 2Pal is 2-pyridyl substituted alanine, and 3Pal is 3-pyridyl substituted alanine, and 4Pal is 4-pyridyl substituted alanine


(2Pal),

(3Pal), or

(4Pal); and

5Pyal is 5-pyrimidine substituted alanine:


;

and

wherein X4 and X9 form a disulfide bond or a thioether bond;

and

wherein the peptide inhibitor inhibits the binding of an interleukin-23 (IL-23) to an IL-23 receptor.

2.The peptide inhibitor or pharmaceutically acceptable salt thereof of claim 1, wherein the peptide inhibitor comprises the structure of Formula (Z):

R1-X-R2 (Z)

wherein

R1 is a hydrogen, Ac, a C1-C6 alkyl, a C6-C12 aryl, a C6-C12aryl-C1-6alkyl, a C1-C20 alkanoyl, and including PEGylated versions alone or as spacers of any of the foregoing; X is the amino acid sequence of Formula (I), (Ia), (Ib), (Ic), (Id), or any of Formula (II)-(XVIIId); and R2 is OH, NH2 or N(H)Me.

3.The peptide inhibitor or pharmaceutically acceptable salt thereof of claim 2, wherein the peptide inhibitor comprises the structure of Formula (Z'):

R^1-X3-X4-X5-X6-X7-X8-X9-X10-X11-X12-X13-X14-X15-X16-R^2 (Z')

wherein

R^1 is a hydrogen, Ac, a C1-C6 alkyl, a C6-C12 aryl, a C6-C12aryl-C1-6alkyl, a C1-C20 alkanoyl, and including PEGylated versions alone or as spacers of any of the foregoing; andR^2 is OH, NH_2 or N(H)Me.

4.The peptide inhibitor or pharmaceutically acceptable salt thereof of claim 3, wherein the peptide inhibitor comprises the structure of Formula (Z'):

R^1-X3-Pen-Asn-X6-X7-X8-Pen-X10-X11-X12-X13-X14-X15-X16-R^2 (Z'-B)

wherein the peptide inhibitor is cyclized via a Pen-Pen disulfide bond.

5.Thepeptide inhibitor or pharmaceutically acceptable salt thereof of any one of claims 3 to 4, wherein X10 is Phe, Phe[4-(2-aminoethoxy)], Phe[4-(2-acetylaminoethoxy)], or Phe(4-$CONH_2$).

6.The peptide inhibitor or pharmaceutically acceptable salt thereof of any one of claims 3 to 5, wherein the peptide inhibitor comprises the structure of Formula (Z'):

R^1-X3-Pen-Asn-Thr-X7-Lys(Ac)-Pen-[F(4-2ae)]-[2-Nal]-X12-X13-X14-X15-X16-R^2 (Z'-F) (SEQ ID NO:515)

wherein F(4-2-ae) is Phe[4-(2-aminoethoxy)], and the peptide inhibitor is cyclized via a Pen-Pen disulfide bond.

7. The peptide inhibitor or pharmaceutically acceptable salt thereof of any one of claims3 to 6, wherein the peptide inhibitor comprises the structure of Formula (Z'):

R^1-X3-Pen-Asn-Thr-X7-Lys(Ac)-Pen-[F(4-2ae)]-[2-Nal]-THP-Glu-Asn-X15-X16-R^2 (Z'-I) (SEQ ID NO:518)

wherein F(4-2-ae) is Phe[4-(2-aminoethoxy)], and the peptide inhibitor is cyclized via a Pen-Pen disulfide bond.

8. The peptide inhibitor or pharmaceutically acceptable salt thereof of any one of claims3 to 6,wherein the peptide inhibitor comprises the structure of Formula (Z'):

R^1-X3-Pen-Asn-Thr-[W(7-Me)]-Lys(Ac)-Pen-[F(4-2ae)]-[2-Nal]-THP-Glu-Asn-X15-X16-R^2 (Z'-J)

wherein F(4-2-ae) is Phe[4-(2-aminoethoxy)], and the peptide inhibitor is cyclized via a Pen-Pen disulfide bond.

9.Thepeptide inhibitor or pharmaceutically acceptable salt thereof of claim 3, wherein

X3 is absent or (D)Arg;

X4 is Abu, Cys, (D)Cys, alpha-MeCys, or Pen;

X5 is Ala, (allyl)Gly, Ile, Leu, Asn, Nle, or Gln;

X6 is Asp, or Thr;

X7 is (7-methyl)Trp, (4-F)Trp, or Trp;

X8 is Cit, Lys(Ac), Lys(Benzyl, Ac), Lys(butyl, Ac), Lys(isobutyl,Ac), Lys(propyl,Ac),Gln, 4-adamantyl-Phe, (4-AcNH)Phe, or Tyr;

X9 is Cys, alpha-MeCys, or Pen;

X10 is Phe or substituted Phe, Tyr or substituted Tyr;

X11 is 2-Nal;

X12 is 4-amino-4-carboxy-tetrahydropyran (THP), Acpx, Acvc, alpha-MeLys, or alpha-MeLeu;

X13 is alpha-methylGlu, Glu, or Lys(Ac); and

X14 is Asn.

10. A peptideinhibitorof an interleukin-23 receptor, wherein the peptide inhibitor comprises or is any one of the amino acid sequence set forth in any of Table E1A and Table E1B; or a pharmaceutically acceptable salt thereof.

11. The peptide inhibitor of claim 10,wherein the peptide inhibitor comprises or is any one of the amino acid sequence listed below:

Ac-[(D)Arg]-[Abu]-Q-T-[W(7-Ph)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-dK-[Sarc]-NH2 (SEQ ID NO:1);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3-Quin]-[a-MeLys]-[Lys(Ac)]-N-[(D)Leu)]-[Sarc]-NH2 (SEQ ID NO:2);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3-Quin]-[THP]-E-N-[(D)Lys]-[Sarc]-NH2 (SEQ ID NO:3);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3-Quin]-[a-MeLys]-[Lys(Ac)]-N-[(D)His]-[Sarc]-NH2 (SEQ ID NO:4);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3-Quin]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH2 (SEQ ID NO:5);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3-Quin]-[THP]-E-N-[(D)Leu)]-[Sarc]-NH2 (SEQ ID NO:6);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3-Quin]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH2 (SEQ ID NO:7);

Ac-[(D)Arg]-[Abu]-Q-T-W-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-N-[(D)NMeTyr]-NH2 (SEQ ID NO:8);

Ac-[(D)Arg]-[Pen]-Q-T-W-Q-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-N-[(D)NMeTyr]-NH2 (SEQ ID NO:9);

Ac-[(D)Arg]-[Pen]-Q-T-W-Q-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[aMeGlu]-N-F-[(D)NMeTyr]-NH2 (SEQ ID NO:10);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3Quin]-[THP]-E-N-[(D)Lys]-[Sarc]-NH2 (SEQ ID NO:11);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3Quin]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH2 (SEQ ID NO:12);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3Quin]-[THP]-E-N-[(D)Leu]-[Sarc]-NH2 (SEQ ID NO:13);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3Quin]-[a-MeLys]-[Lys(Ac)]-N-[(D)Leu]-[Sarc]-NH2 (SEQ ID NO:14);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3Quin]-[THP]-E-N-H-[Sarc]-NH2 (SEQ ID NO:15);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3Quin]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH2 (SEQ ID NO:16);

Ac-[Abu]-Q-T-[W(7-Me)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH2 (SEQ ID NO:17);

Ac-[Abu]-Q-T-[W(7-Ph)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH2 (SEQ ID NO:18);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Leu]-[Sarc]-NH2 (SEQ ID NO:20);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[Sarc]-NH2 (SEQ ID NO:21);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH2 (SEQ ID NO:22);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH2 (SEQ ID NO:23);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[(D)Leu]-[Sarc]-NH2 (SEQ ID NO:24);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Leu]-[Sarc]-NH2 (SEQ ID NO:25);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Gly)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH2 (SEQ ID NO:26);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Gly)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH2 (SEQ ID NO:27);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Gly)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[(D)Leu]-[Sarc]-NH2 (SEQ ID NO:28);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Gly)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Leu]-[Sarc]-NH2 (SEQ ID NO:29);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(bAla)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH2 (SEQ ID NO:30);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(bAla)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH2 (SEQ ID NO:31);

Ac-[Pen]-N-T-[W(7-Et)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH2 (SEQ ID NO:32);

Ac-[Pen]-N-T-[W(7-Et)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH2 (SEQ ID NO:33);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(7-Et)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH2 (SEQ ID NO:34);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(4-Me)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH2 (SEQ ID NO:35);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(6-Me)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH2 (SEQ ID NO:36);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(4-OMe)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH2 (SEQ ID NO:37);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(7-i-Pr)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH2 (SEQ ID NO:38);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(7-nPr)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH2 (SEQ ID NO:39);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(7-OMe)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH2 (SEQ ID NO:40);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(6-Cl)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH2 (SEQ ID NO:41);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(5-OMe)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH2 (SEQ ID NO:42);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(3-MePh)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH2 (SEQ ID NO:43);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(6-Ph)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH2 (SEQ ID NO:44);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(6-Et)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH2 (SEQ ID NO:45);

Ac-[Pen]-N-T-[W(7-(2-FPh)]- [Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH2 (SEQ ID NO:46);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Leu]-[(D)NMeTyr]-NH2 (SEQ ID NO:47);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Lys]-[(D)NMeTyr]-NH2 (SEQ ID NO:48);

Ac-[Pen]-N-T-[W(7-(2-OMePh)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH2 (SEQ ID NO:49);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[W(7-Ph)]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH2 (SEQ ID NO:50);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH2 (SEQ ID NO:51);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH2 (SEQ ID NO:52);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3Quin]-[THP]-E-N-H-[Sarc]-NH2 (SEQ ID NO:53);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-H-[Sarc]-NH2 (SEQ ID NO:54);

Ac-[Pen]-S-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH2 (SEQ ID NO:55);

Ac-[Pen]-S-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-H-[Sarc]-NH2 (SEQ ID NO:56);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[(D)NMeTyr]-NH2 (SEQ ID NO:57);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-F-[(D)NMeTyr]-NH2 (SEQ ID NO:58);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-H-[Sarc]-NH2 (SEQ ID NO:59);

Ac-[Pen]-S-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH2 (SEQ ID NO:60);

Ac-[Pen]-S-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-H-[Sarc]-NH2 (SEQ ID NO:61);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[(D)NMeTyr]-NH2 (SEQ ID NO:62);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-[(D)Asn]-H-[Sarc]-NH2 (SEQ ID NO:63);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-G-H-[Sarc]-NH2 (SEQ ID NO:64);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-[h(Ser)]-H-[Sarc]-NH2 (SEQ ID NO:65);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-P-NH2 (SEQ ID NO:66);

Ac-[Pen]-N-T-[W(7-(2-Nal))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH2 (SEQ ID NO:67);

Ac-[Pen]-N-T-[W(7-3BiPh)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH2 (SEQ ID NO:68);

Ac-[Pen]-N-T-[W(7-(Phenanthren-5-yl))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH2 (SEQ ID NO:69);

Ac-[Pen]-N-T-[W(7-(4-Anthracen-5-yl))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH2 (SEQ ID NO:70);

Ac-[Pen]-N-T-[W(7-(1-Nal))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH2 (SEQ ID NO:71);

Ac-[Pen]-N-T-[W(7-(4BiPh))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH2 (SEQ ID NO:72);

Ac-[Pen]-N-T-[W(7-(3,5-t-Bu-Ph))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH2 (SEQ ID NO:73);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH2 (SEQ ID NO:74);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH2 (SEQ ID NO:75);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[3Quin]-[a-MeLys]-[Lys(Ac)]-N-[2Pal]-NH2 (SEQ ID NO:78);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[2Pal]-NH2 (SEQ ID NO:79);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-NH2 (SEQ ID NO:80);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-H-[Sarc]-NH2 (SEQ ID NO:81);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[(D)NMeTyr]-NH2 (SEQ ID NO:82);

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-E-N-H-[(D)NMeTyr]-NH2 (SEQ ID NO:83);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-Phe[4-aminomethyl]-[(D)NMeTyr]-NH2 (SEQ ID NO:84);

Ac-[Pen]-N-T-[W(7-Me)]-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMeLeu]-[Lys(Ac)]-N-[(D)His]-NH2 (SEQ ID NO:85);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)His]-NH2 (SEQ ID NO:86);

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[(D)His]-[(D)NMeTyr]-NH2 (SEQ ID NO:87);

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-N-[(D)NMeTyr]-NH2 (SEQ ID NO:88);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-N-[(D)NMeTyr]-NH2 (SEQ ID NO:89);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Val]-[(D)NMeTyr]-NH2 (SEQ ID NO:90);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[(D)Thr]-[(D)NMeTyr]-NH2 (SEQ ID NO:91);

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[(D)His]-NH2 (SEQ ID NO:92);

Ac-[Abu]-N-T-[W(7-Ph)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[3Quin]-[THP]-E-N-H-[Sarc]-NH2 (SEQ ID NO:93);

Ac-[Abu]-N-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[3Quin]-[THP]-E-N-H-[Sarc]-NH2 (SEQ ID NO:94);

Ac-[Abu]-N-T-[W(7-Ph)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH2 (SEQ ID NO:95);

Ac-[Abu]-N-T-[W(7-Ph)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH2 (SEQ ID NO:96);

Ac-[Abu]-N-T-[W(7-Me)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-H-[Sarc]-NH2 (SEQ ID NO:97);

Ac-[Abu]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH2 (SEQ ID NO:98);

Ac-[Abu]-N-T-[W(7-Me)]-[Lys(Ac)]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH2 (SEQ ID NO:99);

Ac-[(D)Arg]-[Abu]-S-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH2 (SEQ ID NO:100);

Ac-[(D)Arg]-[Abu]-N-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[(D)Leu]-[Sarc]-NH2 (SEQ ID NO:101);

Ac-[(D)Arg]-[Abu]-N-T-[W(7-Me)]-[Cit]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-H-[Sarc]-NH2 (SEQ ID NO:102);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:103);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2(SEQ ID NO:104);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:105);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:106);

Ac-[Pen]-N-T-[W(7-Me)]-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:107);

Ac-[Pen]-N-T-[W(7-Me)]-Q-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:108);

Ac-[Abu]-Q-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:109);

Ac-[Abu]-Q-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:110);

Ac-[Abu]-Q-T-[W(7-Me)]-[Cit]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:111);

Ac-[Abu]-Q-T-[W(7-Me)]-[Cit]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:112);

Ac-[Abu]-Q-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[bA]-NH2 (SEQ ID NO:113);

Ac-[Abu]-Q-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-[3Pal]-[bA]-NH2 (SEQ ID NO:114);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[4Pal]-[Sarc]-NH2 (SEQ ID NO:115);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[bA]-NH2 (SEQ ID NO:116);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:117);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Quin]-[Sarc]-NH2 (SEQ ID NO:118);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[7-Aza-tryptophan]-[Sarc]-NH2 (SEQ ID NO:119);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[(D)NMeTyr]-NH2 (SEQ ID NO:120);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[(D)NMeTyr]-NH2 (SEQ ID NO:121);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[(D)NMeTyr]-NH2 (SEQ ID NO:122);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[(D)NMeTyr]-NH2 (SEQ ID NO:123);

Ac-[Pen]-S-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-[3Pal]-[Sarc]-NH2 (SEQ ID NO:124);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-[3Pal]-[Sarc]-NH2 (SEQ ID NO:125);

Ac-[Pen]-N-T-[W(7-Ph)]-[Cit]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:126);

Ac-[Pen]-N-T-[W(7-Ph)]-Q-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:127);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[bA]-NH2 (SEQ ID NO:130);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[bA]-NH2 (SEQ ID NO:131);

Ac-[Pen]-S-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:132);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:133);

Ac-[Abu]-Q-T-[W(7-Ph)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:134);

Ac-[Abu]-Q-T-[W(7-Ph)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:135);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:136);

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aceylaminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:137);

Ac-[Pen]-E-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:138);

Ac-[Pen]-E-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:139);

Ac-[Abu]-Q-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:140);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:141);

Ac-[Pen]-N-T-[W(7-(3-carboxamidophenyl))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:142);

Ac-[Pen]-N-T-[W(7-pyrimidin-5-yl)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:143);

Ac-[Pen]-N-T-[W(7-imidazopyridinyl)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:144);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[NMe(Lys)]-[Lys(Ac)]-N-[His_3Me]-NH2 (SEQ ID NO:145);

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[His_3Me]-NH2 (SEQ ID NO:146);

Ac-[Pen]-N-T-[W(7-(4Quin))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:147);

Ac-[Pen]-N-T-[(W(7-(3-pyrazol-1-yl))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:148);

Ac-[Pen]-N-T-[(W(7-(5-Et))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:149);

Ac-[Pen]-N-T-[W(5-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:150);

Ac-[Pen]-N-T-[(W(7-(3-pyrazol-1-yl))]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:151);

Ac-[Pen]-N-T-[W(7-indazol-5-yl)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:152);

Ac-[Pen]-N-T-[W(4-F)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:153);

Ac-[Pen]-N-T-[W(5-CN)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:154);

Ac-[Pen]-N-T-[W(7-CN)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:155);

Ac-[Pen]-N-T-[W(4-OMe)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:156);

Ac-[Pen]-N-T-[W(4-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:157);

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:158);

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[Acvc]-E-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:159, 285);

Ac-[Pen]-N-T-[W(5-Ca)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:160);

Ac-[Pen]-N-T-[Trp_4Aza]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:161);

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:162);

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:163);

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[(5Pyal)]-NH2 (SEQ ID NO:164);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-Me-Lys]-[Lys(Ac)]-N-[(5Pyal)]-NH2 (SEQ ID NO:165);

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[(1-Me)His]-NH2 (SEQ ID NO:166);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[aMeLys]-[Lys(Ac)]-N-[(1-Me)His]-NH2 (SEQ ID NO:167); or

Ac-[Pen]-N -T-[W(7-Me]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-Me-Lys]-[Lys(Ac)]-N-[3Pal]-[(D)NMeTyr]-NH2 (SEQ ID NO:168);

and wherein the peptide inhibitor is cyclized via a Pen-Pen disulfide bond; or via an Abu-C thioether bond;

or a pharmaceutically acceptable salt thereof.

12. The peptide inhibitor of claim 11, wherein the peptide comprises or is:

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-NH2 (SEQ ID NO:80);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:104);

Ac-[Pen]-N-T-[W(7-Me)]-Q-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:108);

Ac-[Abu]-Q-T-[W(7-Me)]-Q-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:110);

Ac-[Abu]-Q-T-[W(7-Me)]-[Cit]-[Cys]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[a-MeLys]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2 (SEQ ID NO:112);

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Quin]-[Sarc]-NH2 (SEQ ID NO:118);

Ac-[Pen]-S-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-[3Pal]-[Sarc]-NH2 (SEQ ID NO:124); or

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-S-[3Pal]-[Sarc]-NH2 (SEQ ID NO:125);

and wherein the peptide inhibitor is cyclized via a Pen-Pen disulfide bond; or via an Abu-C thioether bond;

or a pharmaceutically acceptable salt thereof.

13. Thepeptide inhibitor of claim 11 or 12, wherein the peptide is

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2(SEQ ID NO:104),

Ac-[Pen]-N-T-[W(7-Ph)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2(SEQ ID NO:106),

Ac-[Pen]-N-T-W-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2(SEQ ID NOs:158, 162, 284),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH_2(SEQ ID NOs:247, 266),

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[His(3-Me)]-[Sarc]-NH_2(SEQ ID NO:261), or

Ac-[(D)Arg]-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-N(H)Me (SEQ ID NO:267),

wherein the peptide inhibitor is cyclized via a Pen-Pen disulfide bond,

or a pharmaceutically acceptable salt thereof.

14.Thepeptide inhibitor of claim 13, wherein the peptide is

Ac-[Pen]-N-T-[W(7-Me)]-[Lys(Ac)]-[Pen]-Phe[4-(2-aminoethoxy)]-[2-Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2(SEQ ID NO:104),

wherein the peptide inhibitor is cyclized via a Pen-Pen disulfide bond,

or a pharmaceutically acceptable salt thereof.

15.A pharmaceutical composition comprisingthe peptide inhibitor or pharmaceutically acceptable salt thereof of any one of claims 1-14, and a pharmaceutically acceptable carrier, excipient, or diluent.

16.The pharmaceutical composition of claim 15, further comprising an enteric coating.

17. The pharmaceutical composition of claim 16, wherein the enteric coating protects and releases the pharmaceutical composition within a subject's lower gastrointestinal system.

18.Useof the peptide inhibitor or peptide inhibitor or pharmaceutically acceptable salt thereof of any one of claims 1-14, in the manufacture of a pharmaceutical composition fortreating an Inflammatory Bowel Disease (IBD), ulcerative colitis, Crohn's disease, Celiac disease *(nontropical Sprue)*, enteropathy associated with seronegative arthropathies, microscopic colitis, collagenous colitis, eosinophilic gastroenteritis, colitis associated with radio- or chemo-therapy, colitis associated with disorders of innate immunity as in leukocyte adhesion deficiency-1, chronic granulomatous disease, glycogen storage disease type 1b, Hermansky-Pudlak syndrome, Chediak-Higashi syndrome, and Wiskott-Aldrich Syndrome, pouchitis resulting after proctocolectomy and ileoanal anastomosis, gastrointestinal cancer, pancreatitis, insulin-dependent diabetes mellitus, mastitis,

cholecystitis, cholangitis, pericholangitis, chronic bronchitis, chronic sinusitis, asthma, psoriasis, psoriatic arthritis, or graft versus host disease in a subject.

19. The use of claim 18, wherein the pharmaceutical composition is formulated to be provided to the subject by an oral, parenteral, intravenous, peritoneal, intradermal, subcutaneous, intramuscular, intrathecal, inhalation, vaporization, nebulization, sublingual, buccal, parenteral, rectal, intraocular, inhalation, topically, vaginal, or topical route of administration.

20. The use of claim 18 wherein the pharmaceutical composition is for treating Inflammatory Bowel Disease (IBD), ulcerative colitis, or Crohn's disease, wherein the pharmaceutical composition is provided to the subject orally.

21. The use of claim 18 wherein the pharmaceutical composition is for treating psoriasis, wherein the pharmaceutical composition is provided to the subject orally, topically, parenterally, intravenously, subcutaneously, peritonealy, or intravenously.

22. The peptide inhibitor or peptide inhibitor or pharmaceutically acceptable salt thereof of any one of claims 1-14, or the pharmaceutical composition of any one of claims 15 to 17, for use in the treatment of an Inflammatory Bowel Disease (IBD), ulcerative colitis, Crohn's disease, Celiac disease (*nontropical Sprue)*, enteropathy associated with seronegative arthropathies, microscopic colitis, collagenous colitis, eosinophilic gastroenteritis, colitis associated with radio- or chemo-therapy, colitis associated with disorders of innate immunity as in leukocyte adhesion deficiency-1, chronic granulomatous disease, glycogen storage disease type 1b, Hermansky-Pudlak syndrome, Chediak-Higashi syndrome, and Wiskott-Aldrich Syndrome, pouchitis resulting after proctocolectomy and ileoanal anastomosis, gastrointestinal cancer, pancreatitis, insulin-dependent diabetes mellitus, mastitis, cholecystitis, cholangitis, pericholangitis, chronic bronchitis, chronic sinusitis, asthma, psoriasis, psoriatic arthritis, or graft versus host disease in a subject, comprising providing to the subject an effective amount of the peptide inhibitor or peptide inhibitor or pharmaceutically acceptable salt thereof of any one of claims 1-14, or the pharmaceutical composition of any one of claims 15 to 17.

23. The composition for use according to claim 22, wherein the pharmaceutical composition is provided to the subject by an oral, parenteral, intravenous, peritoneal, intradermal, subcutaneous, intramuscular, intrathecal, inhalation, vaporization, nebulization, sublingual,

buccal, parenteral, rectal, intraocular, inhalation, topically, vaginal, or topical route of administration.

24. The composition for use according to claim 22,for treating Inflammatory Bowel Disease (IBD), ulcerative colitis, or Crohn's disease, wherein the pharmaceutical composition is provided to the subject orally.

25.The composition for use according to claim 22,for treating psoriasis, wherein the pharmaceutical composition is provided to the subject orally, topically, parenterally, intravenously, subcutaneously, peritonealy, or intravenously.

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Protagonist Therapeutics, Inc.

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Thr Gly Lys Arg
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1 5 10

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ABSTRACT

The present invention provides novel peptide inhibitors of the interleukin-23 receptor, and related compositions and methods of using these peptide inhibitors to treat or prevent a variety of diseases and disorders, including inflammatory bowel diseases.