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(54) Title: LIPIDATED PEPTIDE INHIBITORS OF INTERLEUKIN-23 RECEPTOR

(57) Abstract: The present invention relates to novel lipidated peptide inhibitors of the interleukin-23 receptor (IL-23R) or pharmaceutically acceptable salts, solvates and/or other forms thereof, corresponding pharmaceutical compositions, methods and/or uses of the IL-23R inhibitors for treatment of autoimmune inflammation diseases and/or related disorders.

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LIPIDATED PEPTIDE INHIBITORS OF INTERLEUKIN-23 RECEPTOR

CROSS-REFERENCE TO RELATED APPLICATION

[0001] This application claims the benefit under 35 U.S.C. § 119 of U.S. Provisional Application No. 63/221,697, filed July 14, 2021 (pending), which is herein incorporated by reference in its entirety, including its respective sequence listing.

PARTIES TO A JOINT RESEARCH AGREEMENT

[0002] The present disclosure was made by, or on behalf of, the below listed parties to a joint research agreement. The joint research agreement was in effect on or before the date the claimed invention was made, and the claimed invention was part of the joint research agreement and made as a result of activities undertaken within the scope of the joint research agreement. The parties to the joint research agreement are JANSSEN BIOTECH, INC. and PROTAGONIST THERAPEUTICS, INC.

INCORPORATION OF SEQUENCE LISTING

[0003] The sequence listing in ST.26 XML format entitled 2948-21_ST26.xml, created on July 13, 2022, comprising 2,848,263 bytes, prepared according to 37 CFR 1.822 to 1.824, submitted concurrently with the filing of this application, is incorporated herein by reference in its entirety.

FIELD OF THE INVENTION

[0004] The present invention inventionrelates to novel lipidated peptide inhibitors of the interleukin-23 receptor (IL-23R) or pharmaceutically acceptable salts, solvates and/or other forms thereof., inventionrelates tocorresponding pharmaceutical compositions, methods and/or uses of the IL-23R inhibitors for treatment of autoimmune inflammation diseases and/or related disorders.

BACKGROUND

[0005] 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), for example, ulcerative colitis and Crohn's disease. Studies in acute and chronic mouse models of IBD revealed a primary role of interleukin-23 receptor (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).

[0006] 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.

[0007] 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.

[0008] 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.

[0009] Therapeutic moieties that inhibit the IL-23 pathway have been developed 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, which has been approved for the treatment of moderate to severe plaque psoriasis (PSO), active psoriatic arthritis (PSA), moderately to

severely active Crohn's disease (CD) and moderately to severely active ulcerative colitis (UC). Examples of such identified antibodies, include: Tildrakizumab, an anti-IL23 antibody approved for treatment of plaque psoriasis, Guselkumab, an anti-IL23 antibody approved for treatment of psoriatic arthritis and Risankizumab, an anti-IL23 antibody approved for the treatment of plaque psoriasis in the US, and generalized pustular psoriasis, erythrodermic psoriasis and psoriatic arthritis in Japan.

[00010] Although targeted IL-23 antibody therapeutics are used clinically, there are no small-molecule therapeutics that selectively inhibit IL-23 signaling. There are some identified polypeptide inhibitors that bind to IL-23R and inhibit binding of IL-23 to IL-23R (see, e.g., US Patent Application Publication No. US2013/0029907).

[00011] Lipidation of therapeutically useful polypeptides can offer advantageous physicochemical properties as compared to the corresponding unmodified polypeptides. Lipidated polypeptides can exhibit improved half-life, reduced immunogenicity, enhanced intracellular uptake and/or enhanced delivery across epithelia.

[00012] Thus, there remains a significant need in the art for effective small-molecule and/or polypeptide therapeutic agents to treat and/or prevent IL-23-associated and/or IL23R-associated diseases and disorders, which include, but are not limited to, psoriasis, psoriatic arthritis, inflammatory bowel diseases, ulcerative colitis, and Crohn's disease. In particular:

- 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; and/or
- orally bioavailable small molecule and/or polypeptide inhibitors of IL-23 may provide both a non-steroidal treatment option for patients with mild to moderate psoriasis psoriasis and treatment for moderate to severe psoriasis that does not require delivery by infusion.

[00013] Compounds and methods for specific targeting of the IL-23R from the luminal side of the gut may provide therapeutic benefit to IBD patients suffering from local inflammation of the intestinal tissue. In addition, orally bioavailable small molecule and/or polypeptide inhibitors of IL-23 may provide both a non-steroidal treatment option for patients with mild to moderate psoriasis and treatment for moderate to severe psoriasis that does not require delivery by infusion.

[00014] The present invention is directed to addressing these needs by providing lipidated cyclic peptide inhibitors or pharmaceutically acceptable salts, solvates and/or other forms

thereof, that bind IL-23R to inhibit IL-23 binding and signaling, via different suitable routes of administration, which may include but is not limited to oral administration.

BRIEF SUMMARY

[00015] In general, the present invention relates to novel lipidated peptide inhibitors of the interleukin-23 receptor (IL-23R) or pharmaceutically acceptable salts, solvates and/or other forms thereof., corresponding pharmaceutical compositions, methods and/or uses of the IL-23R inhibitors for treatment of autoimmune inflammation diseases and/or related disorders.

[00016] inventionIn particular, the present invention inventionrelates to a compound of Formulas (I'), (I) to (X)), or pharmaceutically acceptable salts, solvates and/or other forms thereof, corresponding pharmaceutical compositions, methods and/or uses for treatment of autoimmune inflammation diseases and related disorders.

[00017] The cyclic peptide inhibitor(s) of the IL-23R of the present invention is represented by linear form structure of Formula (I'):

R1-X3-X4-X5-X6-X7-X8-X9-X10-X11-X12-X13-X14-X15-X16-X17-R2 (I').The linear form structure of Formula (I') is intended for exemplary and non-limiting purposes, which will be apparent from examples set forth and exemplified throughout the instant specification, e.g., each such structure may be longer or shorter than the length of fifteen amino acids and/or other corresponding chemical moieties or functional group substituents as defined herein.

Specifically in Formula (I'):

- X3-X17, respectively and individually, represent individual amino acid (aa) residues or other corresponding chemical moieties or functional group substituents as described below and in the instant invention.;
- R1 represents the N-terminal end, which may be, for example a hydrogen or a chemical moiety or functional group substituted on the amino group;
- Simiarly, R2 represents the carboxyl end, which may be, for example the OH of the carboxyl or a chemical moiety or functional group attached thereto or subsituted for the OH group (e.g., an amino group to give a terminal carboxylic acid or amide e.g., -$C(O)HN_2$);
- certain residues as shown in the linear form structures set forth herein may be present or absent, e.g., X3 and/or X17- may be absent;
- The peptide inhibitors have a bond between positions X4 and X9 (e.g., a pair of Pen residues forming a disulfide or an Abu and Cys residue pair forming a thioether) resulting in the formation of a ring structure; and/or

- The bond forming the ring of the structure may, however, be located between other amino acids or chemical moieties besides X4 and X9.

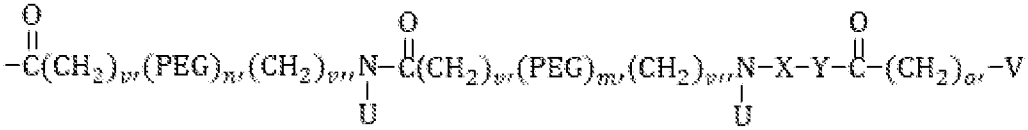
The cyclic IL-23R inhibitors of the present disclosure bear one or more lipid-like substituents (e.g., a lipid or lipid-like group that comprises a hydrophobic moiety), optionally attached by a linker (e.g., a PEG containing linker)).

[00018] Lipid-like substituents, referred to herein as "Z" groups, may be attached at various positions of the IL-23 R inhibitors including, but not limited to, R1, X3, X4, X6, X8, X10, X12, X13, X16, X17 and R2, provided the amino acid at the position to be modified has a suitable funtional group (e.g., an amine) for lipid attachment. Some suitable amino acids having an amine that can be utilized for lipid attachment include, but are not limited to, K, dK, hK, dhK, Orn, dOrn, Dab, dDab, Dap, and dDap. In addition, lipid-like substituents may be an R1 group and/or an R2 group in any of the IL-23 inhibitors described herein.

[00019] Lipids can also be attached to the inhibitor to form branched structures, and a linker e.g., molecule comprised of PEG, may be included between the branch point and the inhibitor. The branch point is generally a diamino carboxylic acid denoted "Xaa". Linker groups with branch points may have the form shown in Z5 provided below.

[00020] Such Z groups may have a variety of forms including those set forth as Z1 through Z5 below. Accordingly, each Z present in a molecule may be a Z1, Z2, Z3, Z4 or Z5 that is selected independently. Z1 to Z4 are unbranched and include:

Z1 is



wherein:

PEG is $-OCH_2CH_2-$;

n' = 0 or 2-24, when n' is 0 the group is absent and replaced by a bond;

m' = 0 or 2-24, when m' is 0 the group is absent and replaced by a bond;

v' is independently selected from the range of 1-4 for each occurrence;

v'' is independently selected from the range of 0-4 for each occurrence, when v'' is 0 the group is replaced by a bond;

X = gE, dgE, 4SB, p, P, ppp, PPP, gE-(c), gE-(C), sp6, gDab, eK, Trx, or absent;

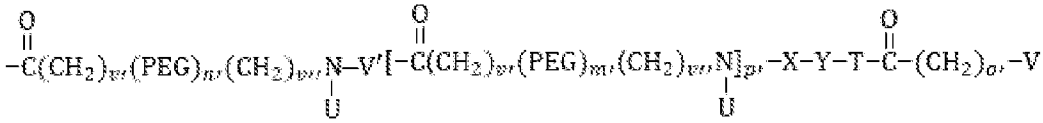
o' = 6-18;

Y = gE, sp6, GolA, Pro, D-Pro, meG, Dab, Trx, or absent;

U is hydrogen or methyl;

V = -COOH, tetrazole, GolB, mXOH, pXOH, OPhenyl, carnitine, d-carnitine, or hydrogen.

Z2 is



wherein:

PEG is $–OCH_2CH_2–$;

n' = 0 or 2-24, when *n'* is 0 the group is absent and replaced by a bond;

m' is independently selected from 0 or the range of 2-24 for each occurrence, when *m'* is 0 the group is replaced by a bond;

v' is independently selected from the range of 1-4 for each occurrence;

v'' is independently selected from the range of 0-4 for each occurrence, when *v*'' is 0 the group is replaced by a bond;

p' is 1-3;

V' is sp6, gEgE

X = gE, dgE, 4SB, p, P, ppp, PPP, gE-(c), gE-(C), sp6, gDab, eK, Trx, or absent;

Y = gE, sp6, GolA, Pro, D-Pro, meG, Dab, Trx, or absent;

X = Trx;

U is hydrogen or methyl;

o' = 6-18;

V = -COOH, tetrazole, GolB, mXOH, pXOH, OPhenyl, carnitine, d-carnitine, or hydrogen;

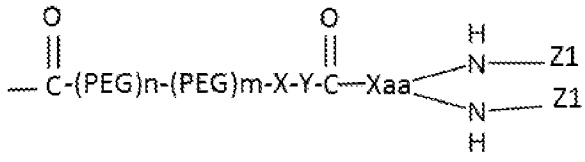
Z3 is

-gE-C(O)$(CH_2)_{6\text{-}10}CH_3$, or -gE-C(O)$(CH_2)_{11\text{-}18}CH_3$;

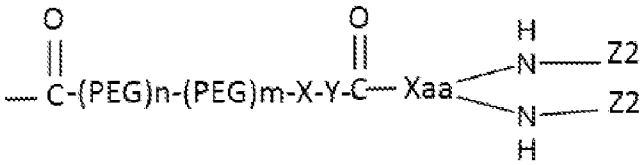
Z4 is

-C(O)$(CH_2)_{6\text{-}18}$COOH or -C(O)$(CH_2)_{6\text{-}18}$COO(C1-4 alkyl);

Z5 is branched and is:



or



wherein:

n and m are independently selected from the range of 0 to 24;

X is absent or is selected from the group consising of E, dgE, 4SB, gE-(c), gE-(C), sp6, gDab, eK, or Trx;

Y is absent or is selected from the group consising of E, dgE, 4SB, gE-(c), gE-(C), sp6, gDab, eK, or Trx;

Xaa is a diamino-carboxylic acid; and

Z1 an Z2 are defined above.

[00021] In any of Groups I to X the Z group(s) present in the IL-23 inhibitor compounds may comprise one or more Z1 substituents. In any of Groups I to X the Z group(s) present in the IL-23 inhibitor compounds may comprise one or more Z2 substituents. In any of Groups I to X the Z group(s) present in the IL-23 inhibitor compounds may comprise one or more Z3 substituents. In any of Groups I to X the Z group(s) present in the IL-23 inhibitor compounds may comprise one or more Z4 substituents. In any of Groups I to X the Z group(s) present in the IL-23 inhibitor compounds may comprise one or more Z5 substituents. In any of Groups I to X the Z group(s) present in the IL-23 inhibitor compounds may comprise one or more substituent selected independently from those set forth in Z1, Z2, X3, or Z4. In any of Groups I to X the Z group(s) present in the IL-23 inhibitor compounds may comprise one or more substituent selected independently from those set forth in Z1, Z2, X3, or Z5. Where more than one Z group is present in a molecule the Z groups may be selected independently.

The present invention invention relates tocompounds of Formulas (I'), (I) to (X) pharmaceutically acceptable salts, solvates and/or other forms thereof, corresponding pharmaceutical compositions, methods and/or uses for treatment of autoimmune inflammation diseases and related disorders.

[00022] In particular, the present invention relates to peptide inhibitor of the IL-23R or a pharmaceutically acceptable salt(s), solvate(s) and/or other form(s) thereof, corresponding pharmaceutical compositions, methods and/or uses for treatment of diseasse including autoimmune inflammation diseases and related disorders; where:

- the inhibitor of the IL-23R of the present inventionis identified by Formulas (I'). (I) to (III) ; or
- in Table 1A, Table 1B, Table 1C, Table 1D, Table 1E, Table 1F, Table 1G, Table 1H, Table 1I, Table 1J, Table 1K, Table 1L, or Table 1M respectively,

in the present specification.

[00023] In one aspects, lipidated peptide inhibitors of the IL-23 receptor are linear.

[00024] In another aspects, the lipidated peptide inhibitors of the IL-23 receptor are monocyclic.

[00025] In other aspects, the lipidated peptide inhibitors of the IL-23 receptor are bicyclic. The present invention relates to novel lipidated peptide inhibitors of the interleukin-23 receptor (IL-23R) or pharmaceutically acceptable salts, solvates and/or other forms thereof., corresponding pharmaceutical compositions, methods and/or uses of the IL-23R inhibitors for treatment of autoimmune inflammation diseases and/or related disorders.

[00026] The present invention relates to compounds which are cyclic inhibitors of an IL-23 receptor comprising an amino acid sequence of Formula (I).

R1-X3-X4-X5-T-X7-X8-X9-X10-X11-THP-X13-N-X15-X16-R2 (I)

wherein:

R1 is hydrogen, C_1 to C_4 alkyl C(O)-, or C_1 to C_4 alkyl C(O)- substituted with Cl, F, or cyano, or cPEG3aCO;

X3 is dR, R, K, dK, or absent;

X4 is Pen, Abu, aMeC, or C;

X5 is K-Z or dK-Z;

X7 is 7MeW, W, 3Pya, 7(2ClPh)W, 7(3(1NMepip)pyraz)W, 7(3(6AzaInd1Me))W, 7(3CF3TAZP)W, 7(3NAcPh)W, 7(3NPyrazPh)W, 7(3NpyrlonePh)W, 7(3UrPh)W, 7(4(CpCNPh))W, 7(4CF3Ph)W, 7(4NAcPh)W, 7(4OCF3Ph)W, 7(4OMePh)W, 7(4Paz)W, 7(5(2(4OMePh)Pyr))W, 7(5(Ina7Pyr))W, 7(6(1)7dMeNDAZ))W, 7(6(2MeNDAZ))W, 7(7(124TAZP))W, 7(7Imzpy)W, 7BrW, 7EtW, 7PhW, 7PyrW, A, DT, or D7MeW;

X8 is KAc, dK(Ac), K, or dK;

X9 is Pen, Abu, aMeC,or C;

X10 is AEF or dAEF;

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;X15 is 3Pya, 3MeH, H, F, hF, Y, dY, Y(CHF2), PAF, oAMPhe, F(CF3), dPaf, D3Pya, ACIPA(SR), 6OH3Pya, 5PyrimidAla, 5MePyridinAla, 5MeH, 5AmPyridinAla, 4TriazolAla, 4PyridinAla, 4Pya, 3QuinolAla, 3OHPhe, 3AmPyrazolAla, 2AmTyr, or 1MeH;

X13 is K(Ac), d(KAc), E, or dE;

X15 is absent, 3pya, 3MeH, H, F, hF, Y, dY, Y(CHF2), PAF, oAMPhe, F(CF3), dPaf, D3Pya, ACIPA(SR), 6OH3Pya, 5PyrimidAla, 5MePyridinAla, 5MeH, 5AmPyridinAla, 4TriazolAla, 4PyridinAla, 4Pya, 3QuinolAla, 3OHPhe, 3AmPyrazolAla, 2AmTyr, or 1MeH;

X16 is meG, 4(R)HydroxyPro, 4(S)AminoPro, 4diFPro, 5(R)diMePro, aMeP, N(3AmBenzyl)Gly, N(Cyclohexyl)Gly, N(Isobutyl)Gly, P, or dP;

R2 is –OH, -NH2, -NH(C1 to C4 alkyl), -NH(C1-C4 alkyl), or -N(C1 to C4 alkyl)$_2$, each alkyl optionally substituted with Cl, F, or cyano; and

Z is group comprising a lipid moiety; and

wherein the IL-23R inhibitor is cyclized by a disulfide or thioether first bond between X4 and X9.

[00027] The present invention also relates to compounds of Formula I, their salts, solvates, or forms thereof, corresponding pharmaceutical compositions, and methods and/or uses for treatment of autoimmune inflammation diseases and related disorders.

[00028] The present invention relates to compounds which are bicyclic inhibitors of an IL-23 receptor comprising an amino acid sequence of Formula (X).

R1- R1- X4-N-T-X7-X8-X9-X10-X11-X12-X13-X14-X15-X16-X17-X18-R2 (X)

wherein:

R1 is hydrogen, C1 to C4 alkyl C(O)-, or C1 to C4 alkyl C(O)- substituted with Cl, F, or cyano, 7Ahp, 6Ahx, 5Ava, 6Ava, AEEP, GABA, succinylcarnitine. cPEG3aCO, ClAcPEG4CO, C18gEPEG2PEG2, PEG2PEG2gEC18OH, PentCO, PEG12_OMe, PEG4_OMe , HOC18gEPEG2PEG2, PEG2PEG2gE16OH, C14gEPEG2PEG2CO, C12gEPEG2PEG2CO, PEG4_Decyl, PEG4_Lauryl, PEG4_Capryl, PEG4_Hexyl, PEG2_Palm, PEG2_Myristyl, PEG2_Lauryl, Hexyl, Decyl, PEG2_Decyl, PEG2_Capryl, Oct, HOC16gEPEG2PEG2orn, or C12gEPEG2PEG2CO;

X3 is dR, dK, dK-Z, or absent;

X4 is Pen, aMeC, Abu, or C;

X5 is N, L, Q, K, E, aMeN, dN, dL, dQ, dK, dE, K-Z, or dK-Z;

X7 is 7MeW, W, 3Pya, 7(2ClPh)W, 7(3(1NMepip)pyraz)W, 7(3(6AzaInd1Me))W, 7(3CF3TAZP)W, 7(3NAcPh)W, 7(3NPyrazPh)W, 7(3NpyrlonePh)W, 7(3UrPh)W, 7(4(CpCNPh))W, 7(4CF3Ph)W, 7(4NAcPh)W, 7(4OCF3Ph)W, 7(4OMePh)W, 7(4Paz)W, 7(5(2(4OMePh)Pyr))W, 7(5(Ina7Pyr))W, 7(6(1)7dMeNDAZ))W, 7(6(2MeNDAZ))W, 7(7(124TAZP))W, 7(7Imzpy)W, 7BrW, 7EtW, 7PhW, 7PyrW, A, DT, or D7MeW;X8 is KAc, or Q;

X9 is Pen, C, aMeC, or Abu;

X10 is AEF, F4OMe, F(4-CONH2), TMAPF, AEF(G), or F;

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 THP, aMeL, Acvc, or Acpx, or MeK;

X13 is KAc, E, L, dK(Ac), dE, or dL;

X14 is N, K, or K-Z;

X15 is 3Pya, 3MeH, H, F, hF, Y, dY, Y(CHF2), PAF, oAMPhe, F(CF3), dPaf, D3Pya, ACIPA(SR), 6OH3Pya, 5PyrimidAla, 5MePyridinAla, 5MeH, 5AmPyridinAla, 4TriazolAla, 4PyridinAla, 4Pya, 3QuinolAla, 3OHPhe, 3AmPyrazolAla, 2AmTyr, THP, NH(2-(pyridin-3-yl)ethyl), bAla, or aMeF, or 1MeH;

X16 is Sarc, K-Z, NMeK-Z, or absent;

X17 is K-Z, dK-Z, or absent;

R2 is –OH, -NH2, -NH(C1 to C4 alkyl), -NH(C1-C4 alkyl), or -N(C1 to C4 alkyl)$_2$, each alkyl optionally substituted with Cl, F, cyano or Z;

Z is group comprising a lipid moiety; and

wherein the IL-23R inhibitor is cyclized by a disulfide or thioether first bond between X4 and X9, and an amide second bond (i) between X5 and X10 when X5 is E and X10 is AEF, or (ii) between X13 and R1 when X13 is E and R1 is 7Ahp, 6Ahx, 5Ava, 6Ava, AEEP, or GABA.

[00029] The present invention also relates to compounds of Formula X, their salts, solvates, or forms thereof, corresponding pharmaceutical compositions, and methods and/or uses for treatment of autoimmune inflammation diseases and related disorders.

[00030] The present invention relates to compounds which are cyclic inhibitors of an IL-23 receptor comprising an amino acid sequence of Formulas II-IX.

[00031] The present invention also relates to compounds of Formula II-IX, their salts, solvates, or forms thereof, corresponding pharmaceutical compositions, and methods and/or uses for treatment of autoimmune inflammation diseases and related disorders

[00032] The present invention relates to methods or processes of making compound of Formulas (I) to (X) or Tables 1A to 1M.

[00033] The present invention also relates to pharmaceutical composition(s), which comprises a herein-described peptide inhibitor compound of the Il-23R or a pharmaceutically acceptable salt, solvate, or form thereof as described herein, and a pharmaceutically acceptable carrier, excipient, or diluent. The pharmaceutical compositions may comprise or may exclude an absorption enhancer depending on the intended route of delivery or use thereof for treatment of specific indications. The absorption enhancer may be permeation enhancer or intestinal permeation enhancer. In an aspect the absorption enhancer improves oral bioavailability.

[00034] The present invention relates to method(s) for treating and/or uses(s) for inflammatory disease(s) in a subject, which comprises administering a therapeutically effective amount of one or more herein-described peptide inhibitor compounds of the IL-23R or pharmaceutically acceptable salts, or solvates thereof, or a corresponding pharmaceutical composition as described herein, respectively to a subject in need thereof. Such inflammatory diseases and related disorders may include, but are not limited to, inflammatory bowel disease (IBD), Crohn's disease (CD), ulcerative colitis (UC), psoriasis (PsO), or psoriatic arthritis (PsA) and the like.

[00035] The present invention invention provides for the use of one or more herein-described compounds (e.g., compounds of formulas (I) to (X) or Tables 1A to 1M) for the preparation of pharmaceutical compositions for use in the treatment of inflammatory diseases and related disorders including, but not limited to, inflammatory bowel disease (IBD), Crohn's disease (CD), ulcerative colitis (UC), psoriasis (PsO), and psoriatic arthritis (PsA).

[00036] The present invention provides for the use of one or more herein-described compounds of formulas (I) to (X) or Tables 1A to 1M in the treatment of inflammatory diseases and related disorders including, but not limited to, inflammatory bowel disease (IBD), Crohn's disease (CD), ulcerative colitis (UC), psoriasis (PsO), and psoriatic arthritis (PsA).

[00037] The present provides for kits comprising one or more herein-described compounds of formulas (I) to (X) or Tables 1A to 1L and instructions for use in treating a disease in a patient. The disease may be an inflammatory diseases or related disorder including, but not limited to, inflammatory bowel disease (IBD), Crohn's disease (CD), ulcerative colitis (UC), psoriasis (PsO), and psoriatic arthritis (PsA)

DETAILED DESCRIPTION

I. GENERAL

[00038] The present invention relates to novel lipidated peptide inhibitors of the interleukin-23 receptor (IL-23R) or pharmaceutically acceptable salts, solvates and/or other forms thereof., corresponding pharmaceutical compositions, methods and/or uses of the IL-23R inhibitors for treatment of autoimmune inflammation diseases and/or related disorders.

[00039] inventionThe present invention inventionto relates to lipidated cyclic peptide inhibitors of an IL-23R. The lipidated cyclic peptide inhibitors of the present invention may exhibit enhanced properties, such as longer in vivo half-life, compared to the corresponding cyclic peptide inhibitor of an IL-23R without a covalently bound lipid (e.g., fatty acid).

II. DEFINITIONS

[00040] 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.

[00041] "About" when referring to a value includes the stated value +/- 10% of the stated value. For example, about 50% includes a range of from 45% to 55%, while about 20 molar equivalents includes a range of from 18 to 22 molar equivalents. Accordingly, when referring to a range, "about" refers to each of the stated values +/- 10% of the stated value of each end of the range. For instance, a ratio of from about 1 to about 3 (weight/weight) includes a range of from 0.9 to 3.3.

[00042] "Patient" or "subject", which are used interchangably, refer to a living organism, which includes, but is not limited to a human subject suffering from or prone to a disease or condition that can be treated by administration of a pharmaceutical composition as provided herein. Further non-limiting examples may include, but is not limited to humans, other mammals, bovines, rats, mice, dogs, monkeys, goat, sheep, cows, deer, horse, and other mammalian animals and the like. In some aspects, the patient is human.

[00043] Unless indicated otherwise 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. In sequences of amino acids that represent IL-23 inhibitors the individual amino acids are separated by a hyphen "-".

[00044] 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., (D)Asp or D-Asp; (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. For example, L-arginine can be represented as "Arg" or "R," while D-arginine can be represented as "arg" or "r." Similarly, L-lysine can be represented as "Lys" or "K," while D-lysine can be represented as "lys" or "k." Alternatively, a lower case "d" in front of an amino acid can be used to indicate that it is of the D isomeric form, for example D-lysine can be represented by dK. Where "gE" appears in modified aa residues, particularly modified lysine residues (e.g., KPEG2PEG2gEC20OH or KPEG6PEG6gEC18OH) it denotes isoglutamic acid and any potential conflict can be resolved by reference to the computer readable form of the structure (e.g., Smiles string) associated with most of he structures provided herein.

[00045] 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), Dap (2,3-diaminopropanoic acid), γ-Glu (γ-glutamic acid), Gaba (γ-aminobutanoic acid), β-Pro (pyrrolidine-3-carboxylic acid), and Abu (2-amino butyric acid).

[00046] Amino acids of the D-isomeric form may be located at any of the positions in the IL-23R inhibitors set forth herein (any of X1-X18 appearing in the molecule). In an aspects, amino acids of the D-isomeric form may be located only at any one or more of X3, X5, X6, X8, X13, and optionally one additional position. In other aspects, amino acids of the D-isomeric form may be located only at any one or more of X3, X8, X13, and optionally one additional position. In other aspects, amino acids of the D-isomeric form may be located only at X3, and optionally one additional position. In other aspects, amino acids of the D-isomeric form may be located only at X3, and optionally two or three additional positions. In other aspects, amino acids of the D-isomeric form may be located at only one or two of positions X1 to X18 appearing in the IL-23R inhibitors set forth herein. In other aspects, amino acids of the D-isomeric form may be located at only three or four of positions X1 to X18 appearing in the IL-23R inhibitors set forth

herein. For example, an IL-23R inhibitors set forth herein having only positions X3 to X15 present may have amino acids of the D-form present in 3 or four of those positions. In other aspects, amino acids of the D-isomeric form may be located at only five or six of positions X1 to X18 appearing in the IL-23R inhibitors set forth herein.

[00047] As conventionally understood in the art or 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.

[00048] Among sequences disclosed herein are sequences incorporating 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, 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 a carboxylic acid (COOH) or 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.

[00049] 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.

[00050] A "compound of the invention" , an "inhibitor of the present invention", an "IL-23R inhibitor of the present invention", a "compound described herein", and a "herein-described compound" include the novel compounds disclosed herein, for example the compounds of any of the Examples, including compounds of Formula (I) to (X) such as those found in Table 1A, Table 1B, Table 1C, Table 1D, Table 1E, Table 1F, Table 1G Table 1H, Table 1I, Table 1J, Table 1K, Table 1L or Table 1M.

[00051] "Pharmaceutically effective amount" refers to an amount of a compound of the invention in a composition or combination thereof that provides the desired therapeutic or pharmaceutical result.

[00052] By "pharmaceutically acceptable" it is meant the carrier(s), diluent(s), salts, or excipient(s) must be compatible with the other components or ingredients of the compositions of the present invention, i.e., that which is useful, safe, non-toxic acceptable for pharmaceutical use. In accordance with the present invention pharmaceutically acceptable means approved or approvable as is listed in the U.S. Pharmacopoeia or other generally recognized pharmacopoeia for use in animals, and more particularly, in humans.

[00053] "Pharmaceutically acceptable excipient" includes without limitation any adjuvant, carrier, excipient, glidant, sweetening agent, diluent, preservative, dye/colorant, flavor enhancer, surfactant, wetting agent, dispersing agent, suspending agent, stabilizer, isotonic agent, solvent, or emulsifier which has been approved by the United States Food and Drug Administration as being acceptable for use in humans or domestic animals.

[00054] "Absorption enhancer" refers to a component that improves or facilitates the mucosal absorption of a drug in the gastrointestinal tract, such as a permeation enhancer or intestinal permeation enhancer. As conventionally understood in the art, permeation enhancers (PEs) are agents aimed to improve oral delivery of therapeutic drugs with poor bioavailability. PEs are capable of increasing the paracellular and/or transcellular passage of drugs.

[00055] Pharmaceutical excipients that can increase permeation have been termed "absorption modifying excipients" (AMEs). AMEs may be used in oral compositions, for example, as wetting agents (sodium dodecyl sulfate), antioxidants (e.g., EDTA), and emulsifiers (e.g., macrogol glycerides), and may be specifically included in compositions as PEs to improve bioavailability. PEs can be categorized as to how they alter barrier integrity via paracellular or transcellular routes.

[00056] "Intestinal permeation enhancer (IPE)" refers to a component that improves the bioavailability of a component. Suitable representative IPEs for use in the present invention, include, but are not limited to, various surfactants, fatty acids, medium chain glycerides, steroidal detergents, acyl carnitine and alkanoylcholines, *N*-acetylated alpha-amino acids and *N*-acetylated non-alpha-amino acids, and chitosans, other mucoadhesive polymers and the like. For example, a suitable IPE for use in the present invention may be sodium caprate.

[00057] "Composition" or "Pharmaceutical Composition" as used herein is intended to encompass an invention or product comprising the specified active product ingredient (API), which may include pharmaceutically acceptable excipients, carriers or diluents as described herein, such as in specified amounts defined throughout the invention. Compositions or Pharmaceutical Compositions result from combination of specific components, such as specified ingredients in the specified amounts as described herein.

[00058] Compositions or pharmaceutical compositions of the present invention may be in different pharmaceutically acceptable forms, which may include, but are not limited to a liquid composition, a tablet or matrix composition, a capsule composition, etc. and the like. When the composition is a tablet composition, the tablet may include, but is not limited to different layers two or more different phases, including an internal phase and an external phase that can comprise a core. The tablet composition can also include but is not limited to one or more coatings.

[00059] "Solvate" as used herein, means a physical association of the compound of the present invention with one or more solvent molecules. This physical association involves varying degrees bonding, including hydrogen bonding. In certain instances, the solvate will be capable of isolation. The term "solvate" is intended to encompass both solution-phase and isolatable solvates. Non-limiting examples of suitable solvates include hydrates.

[00060] Provided are also pharmaceutically acceptable salts and tautomeric forms of the compounds described herein. "Pharmaceutically acceptable" or "physiologically acceptable" refer to compounds, salts, compositions, dosage forms and other materials which are useful in preparing a pharmaceutical composition that is suitable for veterinary or human pharmaceutical use.

[00061] The IL-23R inhibitors of the present invention, or their pharmaceutically acceptable salts or solvates may contain one or more asymmetric centers and may thus give rise to enantiomers, diastereomers, and other stereoisomeric forms that may be defined, in terms of absolute stereochemistry, as (*R*)- or (*S*)- or, as (D)- or (L)- for amino acids. The present invention is meant to include all such possible isomers, as well as their racemic and optically pure forms of the IL-23R inhibitors of the present invention. Optically active (+) and (-), (*R*)- and (*S*)-, or (D)- and (L)- isomers may be prepared using chiral synthons or chiral reagents, or resolved using conventional techniques, for example, chromatography and fractional crystallization. Conventional techniques for the preparation/isolation of individual enantiomers include chiral synthesis from a suitable optically pure precursor or resolution of the racemate (or the racemate of a salt or derivative) using, for example, chiral high pressure liquid chromatography (HPLC). When the compounds described herein contain olefinic double bonds or other centers of geometric asymmetry, and unless specified otherwise, it is intended that the compounds include both E and Z geometric isomers. Likewise, all tautomeric forms are also intended to be included. Where compounds are represented in their chiral form, it is understood that the aspect encompasses, but is not limited to, the specific diastereomerically or enantiomerically enriched form. Where chirality is not specified but is present, it is understood that the aspect is directed to either the specific diastereomerically or enantiomerically enriched form; or a racemic or scalemic mixture of such compound(s). As used herein, "scalemic mixture" is a mixture of stereoisomers enaintiomers at a ratio other than 1:1.

[00062] "Racemates" refers to a mixture of enantiomers. The mixture can include equal or unequal amounts of each enantiomer.

[00063] "Stereoisomer" and "stereoisomers" refer to compounds that differ in the chirality of one or more stereocenters. Stereoisomers include enantiomers and diastereomers. The compounds may exist in stereoisomeric form if they possess one or more asymmetric centers or

a double bond with asymmetric substitution and, therefore, can be produced as individual stereoisomers or as mixtures. Unless otherwise indicated, the description is intended to include individual stereoisomers as well as mixtures. The methods for the determination of stereochemistry and the separation of stereoisomers are well-known in the art (see, e.g., Chapter 4 of Advanced Organic Chemistry, 4th ed., J. March, John Wiley and Sons, New York, 1992).

[00064] "Tautomer" refers to alternate forms of a compound that differ in the position of a proton, such as enol-keto and imine-enamine tautomers, or the tautomeric forms of heteroaryl groups containing a ring atom attached to both a ring -NH- and a ring =N- such as pyrazoles, imidazoles, benzimidazoles, triazoles, and tetrazoles.

[00065] Unless defined otherwise, all technical and scientific terms used herein have the same meaning as commonly or conventionally understood by one of ordinary skill in the art. In the Chemical Arts.a dash at the front or end of a chemical group is a matter of convenience; chemical groups may be depicted with or without one or more dashes without losing their ordinary meaning. A wavy line drawn through a line in a structure indicates a point of attachment of a group. A dashed line indicates an optional bond. Unless chemically or structurally required, no directionality is indicated or implied by the order in which a chemical group is written or the point at which it is attached to the remainder of the molecule. For instance, the group "$-SO_2CH_2-$" is equivalent to "$-CH_2SO_2-$" and both may be connected in either direction. Similarly, an "arylalkyl" group, for example, may be attached to the remainder of the molecule at either an aryl or an alkyl portion of the group. A prefix such as "C_{u-v}" or (C_u-C_v) indicates that the following group has from u to v carbon atoms. For example, "C_{1-6}alkyl" and "C_1-C_6 alkyl" both indicate that the alkyl group has from 1 to 6 carbon atoms.

[00066] "Fatty acid" as used herein is an unbranched alkanoic acid of at least six carbons, for example, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, 19, 20, 21, 22, or more carbons, in length. The fatty acid can contain 1, 2, 3, or more carboxylic acid groups. The fatty acid can include other functional groups, such as but not limited to, amides and phenyl rings. Exemplary fatty acids include hexanoic acid, octanoic acid, decanoic acid, dodecanoic acid, tetradecanoic acid, hexadecanoic acid, octadecanoic acid, 1,6-hexanedioic acid, 1,8-octanedioic acid, 1,10-decanedioic acid, 1,12-dodecanedioic acid, 1,14-tetradecanedioic acid, 1,16-hexadecanedioic acid, and 1,18-octadecanedioic acid.

[00067] "Lipidation" refers to a process of covalently attaching one or more fatty acids directly or indirectly to a cyclic peptide inhibitor of an interleukin-23 receptor described herein. A cyclic peptide inhibitor of an interleukin-23 receptor that has undergone lipidation is said to be lipidated. The process of covalent attachment can convert the carboxylic acid into another

functional group, such as a secondary amide, or can occur at another functional group present on the fatty acid in order to retain the carboxylic acid present in the original fatty acid. The covalent attachment of the one or more fatty acids can be directly attached to a compound, or indirectly attached through a divalent linker moiety between the one or more fatty acids and the cyclic peptide inhibitor of an interleukin-23 receptor. A divalent linker moiety can include one or more amino acids, a polyethylene glycol (PEG), or a combination thereof. A linker moiety containing a PEG can further exhibit other functional groups, such as an amide, as needed for covalent attachment. Linker moieties comprising one or more amino acids can be attached via the C-terminus, the N-terminus, the side chain, or any combination thereof.

[00068] "Polyethylene glycol" or "PEG" is a polyether monovalent radical of general formula -(O-CH_2-CH_2)$_n$-OH, or divalent radical of formula -(O-CH_2-CH_2)$_n$-O-, wherein n is an integer greater than 1. When followed by a number, the PEG indicates the number of repeated units in the moiety. For instance, PEG3 can correspond with a divalent radical of formula -(O-CH_2-CH_2)$_3$-O-, while PEG8 can correspond with a monovalent radical of formula -(O-CH_2-CH_2)$_8$-OH.

[00069] PEGs are prepared by polymerization of ethylene oxide and are commercially available over a range of molecular weights from 300 Da to 10,000,000 Da. Lower molecular weight PEGs are generally available as pure oligomers, referred to as monodisperse, uniform, or discrete. These are used in certain aspects of the present invention. In certain aspects, the PEG is PEG2, PEG3, PEG4, PEG5, PEG6, PEG7, PEG8, PEG9, PEG10, PEG11, PEG12, PEG18, or PEG24. In certain aspects, the PEG is PEG2, PEG6, or PEG24.

[00070] "Treatment" or "treat" or "treating" as used herein refers to an approach for obtaining beneficial or desired results. For purposes of the present invention, beneficial or desired results include, but are not limited to, alleviation of a symptom and/or diminishment of the extent of a symptom and/or preventing a worsening of a symptom associated with a disease or condition. In one aspect, "treatment" or "treating" includes one or more of the following: (a) inhibiting the disease or condition (e.g., decreasing one or more symptoms resulting from the disease or condition, and/or diminishing the extent of the disease or condition); (b) slowing or arresting the development of one or more symptoms associated with the disease or condition (e.g., stabilizing the disease or condition, delaying the worsening or progression of the disease or condition); and (c) relieving the disease or condition, e.g., causing the regression of clinical symptoms, ameliorating the disease state, delaying the progression of the disease, increasing the quality of life, and/or prolonging survival.

[00071] "Therapeutically effective amount" or "effective amount" as used herein refers to an amount that is effective to elicit the desired biological or medical response, including the amount

of a compound that, when administered to a subject for treating a disease, is sufficient to affect such treatment for the disease. The effective amount will vary depending on the compound, the disease, and its severity and the age, weight, etc., of the subject to be treated. The effective amount can include a range of amounts. As is understood in the art, an effective amount may be in one or more doses, i.e., a single dose or multiple doses may be required to achieve the desired treatment endpoint. An effective amount may be considered in the context of administering one or more therapeutic agents, and a single agent may be considered to be given in an effective amount if, in conjunction with one or more other agents, a desirable or beneficial result may be or is achieved. Suitable doses of any co-administered compounds may optionally be lowered due to the combined action (e.g., additive or synergistic effects) of the compounds.

[00072] "Co-administration" as used herein refers to administration of unit dosages of the compounds disclosed herein before or after administration of unit dosages of one or more additional therapeutic agents, for example, administration of the compound disclosed herein within seconds, minutes, or hours of the administration of one or more additional therapeutic agents. For example, in some respects, a unit dose of a compound of the invention is administered first, followed within seconds or minutes by administration of a unit dose of one or more additional therapeutic agents. Alternatively, in other aspects, a unit dose of one or more additional therapeutic agents is administered first, followed by administration of a unit dose of a compound of the invention within seconds or minutes. In some respects, a unit dose of a compound of the invention is administered first, followed, after a period of hours (e.g., 1-12 hours), by administration of a unit dose of one or more additional therapeutic agents. In other aspects, a unit dose of one or more additional therapeutic agents is administered first, followed, after a period of hours (e.g., 1-12 hours), by administration of a unit dose of a compound of the invention. Co-administration of a compound disclosed herein with one or more additional therapeutic agents generally refers to simultaneous or sequential administration of a compound disclosed herein and one or more additional therapeutic agents, such that therapeutically effective amounts of each agent are present in the body of the patient.

[00073] Abbreviation, "(V/V)" refers to the phrase "volume for volume", i.e., the proportion of a particular substance within a mixture, as measured by volume or a volume amount of a component of the composition disclosed herein relative to the total volume amount of the composition. Accordingly, the quantity is unit less and represents a volume percentage amount of a component relative to the total volume of the composition. For example, a 2% (V/V) solvent mixture can indicate 2 mL of one solvent is present in 100 mL of the solvent mixture.

[00074] Abbreviation, "(w/w)" refers to the phrase "weight for weight", i.e., the proportion of a particular substance within a mixture, as measured by weight or mass or a weight amount of a

component of the composition disclosed herein relative to the total weight amount of the composition. Accordingly, the quantity is unit less and represents a weight percentage amount of a component relative to the total weight of the composition. For example, a 2% (w/w) solution can indicate 2 grams of solute is dissolved in 100 grams of solution.

[00075] Systemic routes of administration as conventionally understood in the medicinal or pharmaceutical arts, refer to or are defined as a route of administration of drug, a pharmaceutical composition or formulation, or other substance into the circulatory system so that various body tissues and organs are exposed to the drug, formulation or other substance. As conventionally understood in the art, administration can take place orally (where drug or oral preparations are taken by mouth, and absorbed via the gastrointestinal tract), via enteral administration (absorption of the drug also occurs through the gastrointestinal tract) or parenteral administration (generally injection, infusion, or implantation, etc.

[00076] "Systemically active" peptide drug therapy as it relates to the present invention generally refers to treatment by means of a pharmaceutical composition comprising a peptide active ingredient, wherein said peptide resists immediate metabolism and/or excretion resulting in its exposure in various body tissues and organs, such as the cardiovascular, respiratory, gastrointestinal, nervous or immune systems.

[00077] Systemic drug activity in the present invention also refers to treatment using substances that travel through the bloodstream, reaching and affecting cells in various body tissues and organs. Systemic active drugs are transported to their site of action and work throughout the body to attack the physiological processes that cause inflammatory diseases.

[00078] "Bioavailability" refers to the extent and rate at which the active moiety (drug or metabolite) enters systemic circulation, thereby accessing the site of action. Bioavailability of a drug is impacted by the properties of the dosage form, which depend partly on its design and manufacture.

[00079] "Digestive tract tissue" as used herein refers to all the tissues that comprise the organs of the alimentary canal. For example, only, and without limitation, "digestive tract tissue" includes tisues of the mouth, esophagus, stomach, small intestine, large intestine, duodenum, and anus.

III. COMPOUNDS

[00080] The present invention relates to novel lipidated cyclic peptide inhibitors of the interleukin-23 receptor (IL-23R) or pharmaceutically acceptable salt thereof.

[00081] In particular, the present invention relates to a lipidated cyclic peptide inhibitor compound of the interleukin-23 receptor (IL-23R) or a pharmaceutically acceptable salt thereof,

where each compound structure is as identified in Table 1A, Table 1B, Table 1C, Table 1D, Table 1E, Table 1F, Table 1G, Table 1H, Table 1I, Table 1J, Table 1K, or Table 1L of the present specification.

[00082] In one aspect, a lipidated cyclic peptide inhibitor compound of the interleukin-23 receptor (IL-23R) compound, or a pharmaceutically acceptable salt thereof, has a structure of a compound in Table 1A.

[00083] In another aspect, a lipidated cyclic peptide inhibitor compound of the interleukin-23 receptor (IL-23R) compound or a pharmaceutically acceptable salt thereof, has a structure of a compound in Table 1B.

[00084] In another aspect, a lipidated cyclic peptide inhibitor compound of the interleukin-23 receptor (IL-23R) compound or a pharmaceutically acceptable salt thereof, has a structure of a compound in Table 1C.

[00085] In another aspect, a lipidated cyclic peptide inhibitor compound of the interleukin-23 receptor (IL-23R) compound or a pharmaceutically acceptable salt thereof, has a structure of a compound in Table 1D.

[00086] In another aspect, a lipidated cyclic peptide inhibitor compound of the interleukin-23 receptor (IL-23R) compound or a pharmaceutically acceptable salt thereof, has a structure of a compound in Table 1E.

[00087] In another aspect, a lipidated cyclic peptide inhibitor compound of the interleukin-23 receptor (IL-23R) compound or a pharmaceutically acceptable salt thereof, has a structure of a compound in Table 1F.

[00088] In another aspect, a lipidated cyclic peptide inhibitor compound of the interleukin-23 receptor (IL-23R) compound or a pharmaceutically acceptable salt thereof, has a structure of a compound in Table 1G.

[00089] In another aspect, a lipidated cyclic peptide inhibitor compound of the interleukin-23 receptor (IL-23R) compound or a pharmaceutically acceptable salt thereof, has a structure of a compound in Table 1H.

[00090] In another aspect, a lipidated cyclic peptide inhibitor compound of the interleukin-23 receptor (IL-23R) compound or a pharmaceutically acceptable salt thereof, has a structure of a compound in Table 1I.

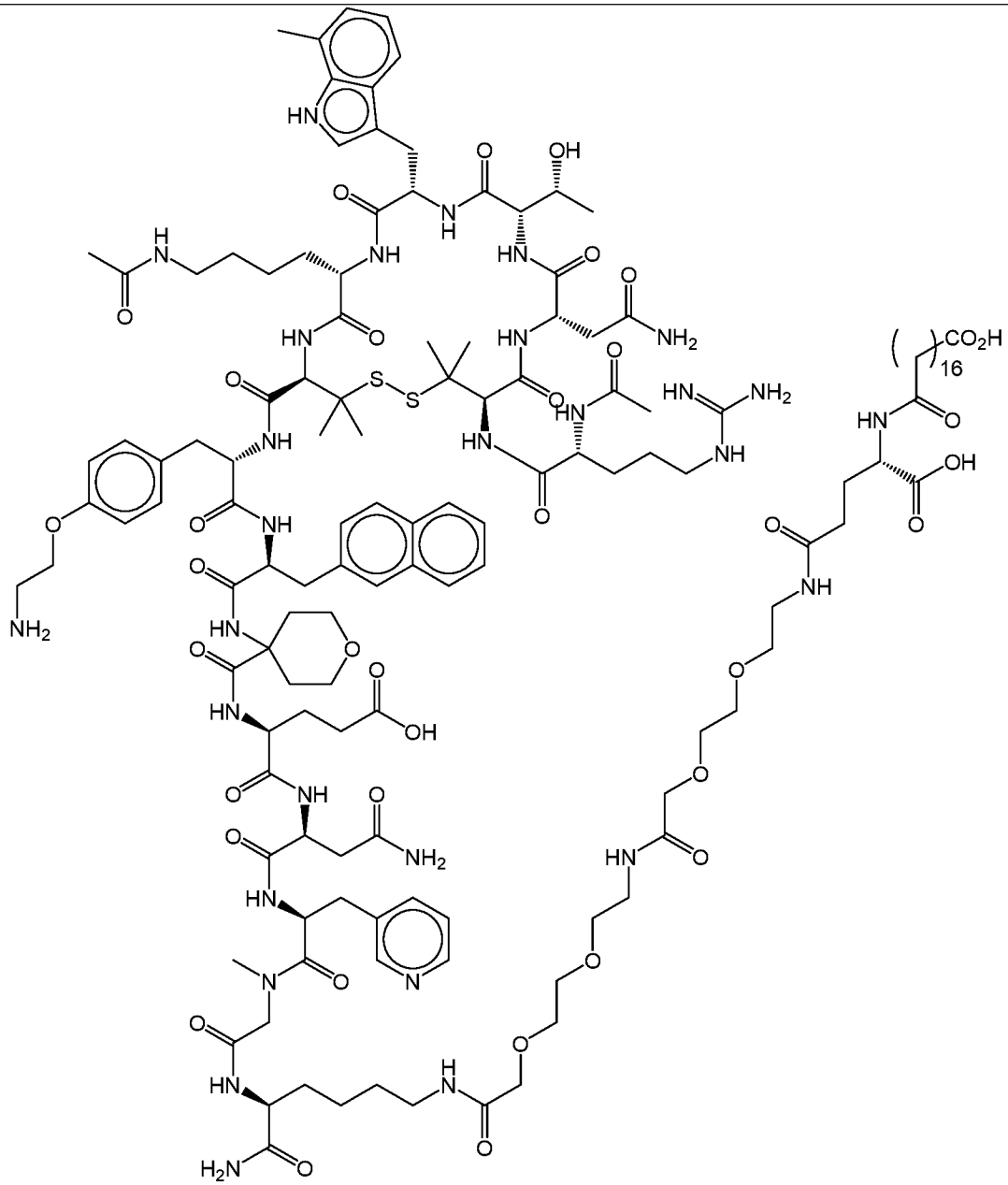
[00091] In another aspect, a lipidated cyclic peptide inhibitor compound of the interleukin-23 receptor (IL-23R) compound or a pharmaceutically acceptable salt thereof, has a structure of a compound in Table 1J.

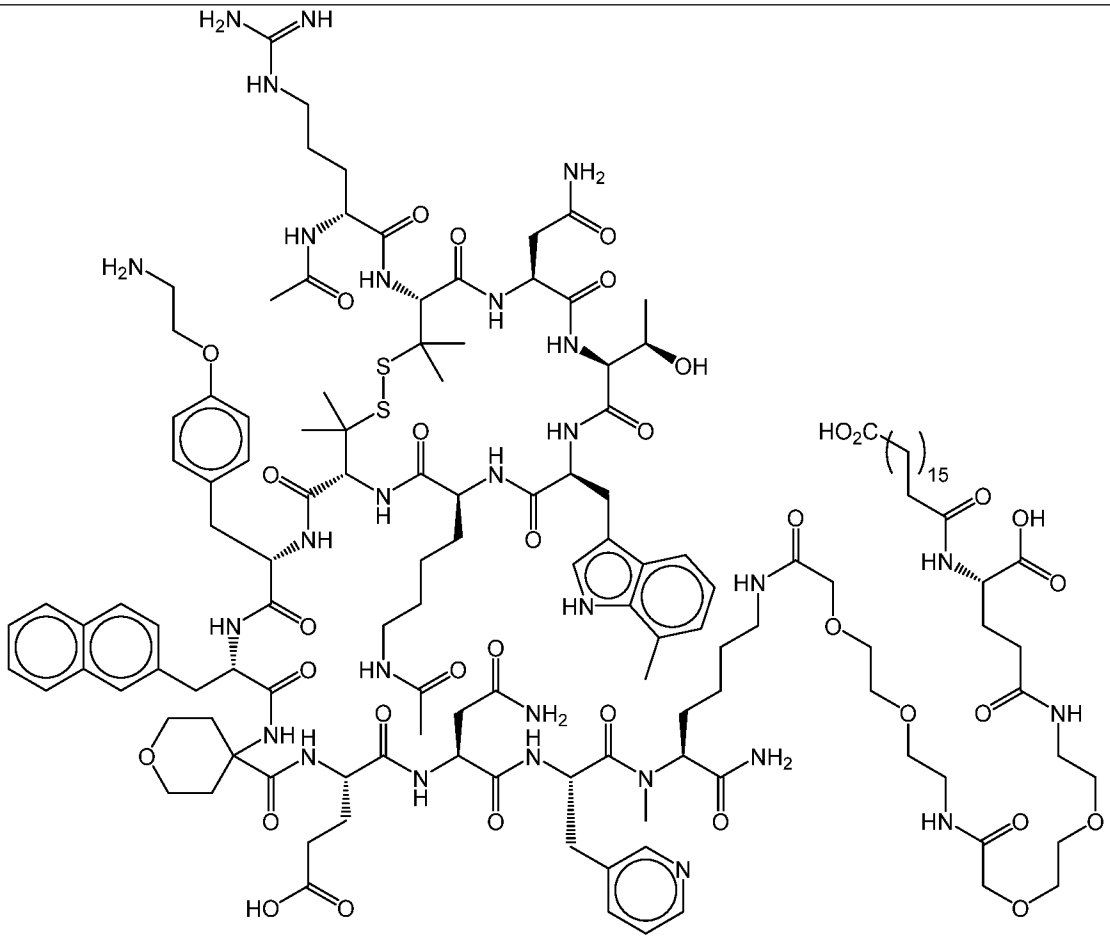
[00092] In another aspect, a lipidated cyclic peptide inhibitor compound of the interleukin-23 receptor (IL-23R) compound or a pharmaceutically acceptable salt thereof, has a structure of a compound in Table 1K.

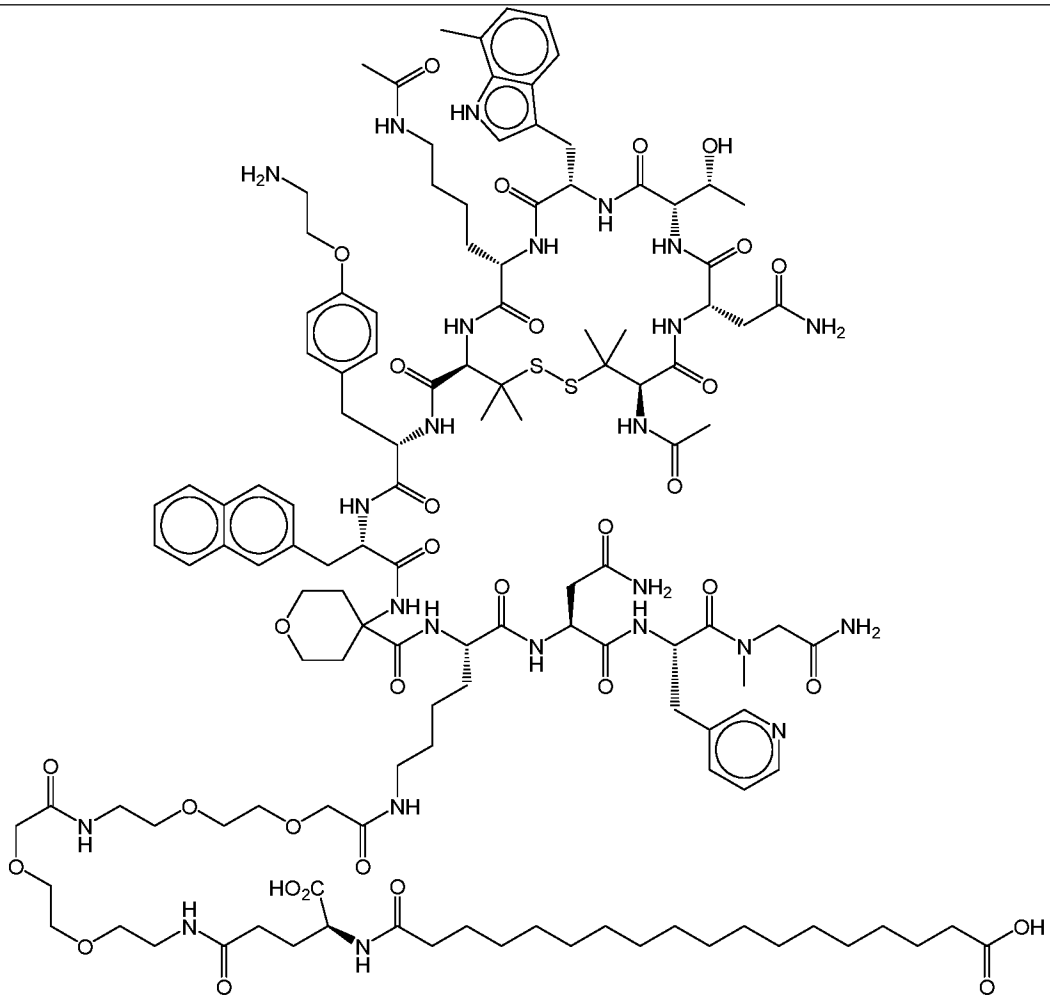
[00093] In another aspect, a lipidated cyclic peptide inhibitor compound of the interleukin-23 receptor (IL-23R) compound or a pharmaceutically acceptable salt thereof, has a structure of a compound in Table 1L.

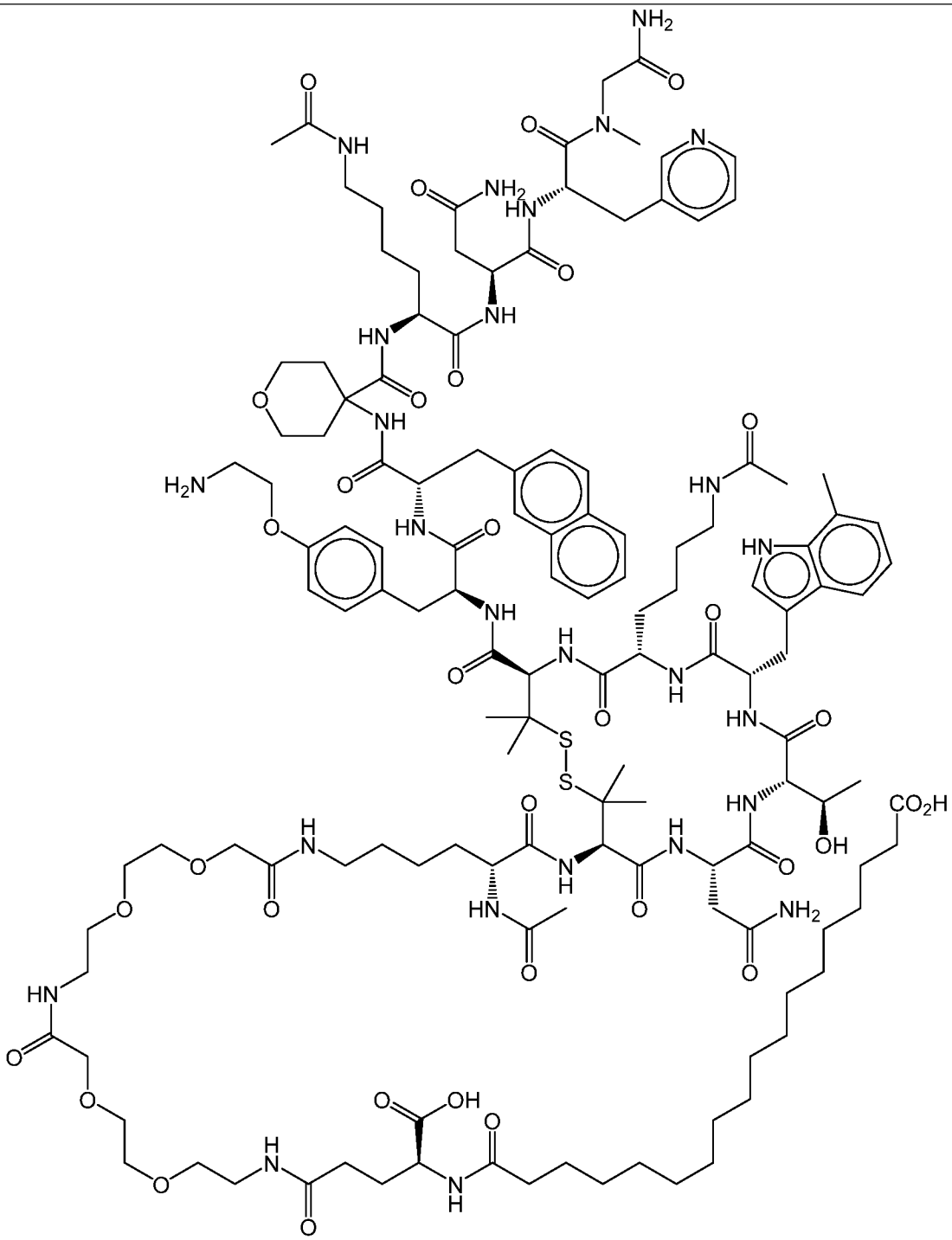
[00094] In another aspect, a lipidated cyclic peptide inhibitor compound of the interleukin-23 receptor (IL-23R) compound or a pharmaceutically acceptable salt thereof, has a structure of a compound in Table 1M.

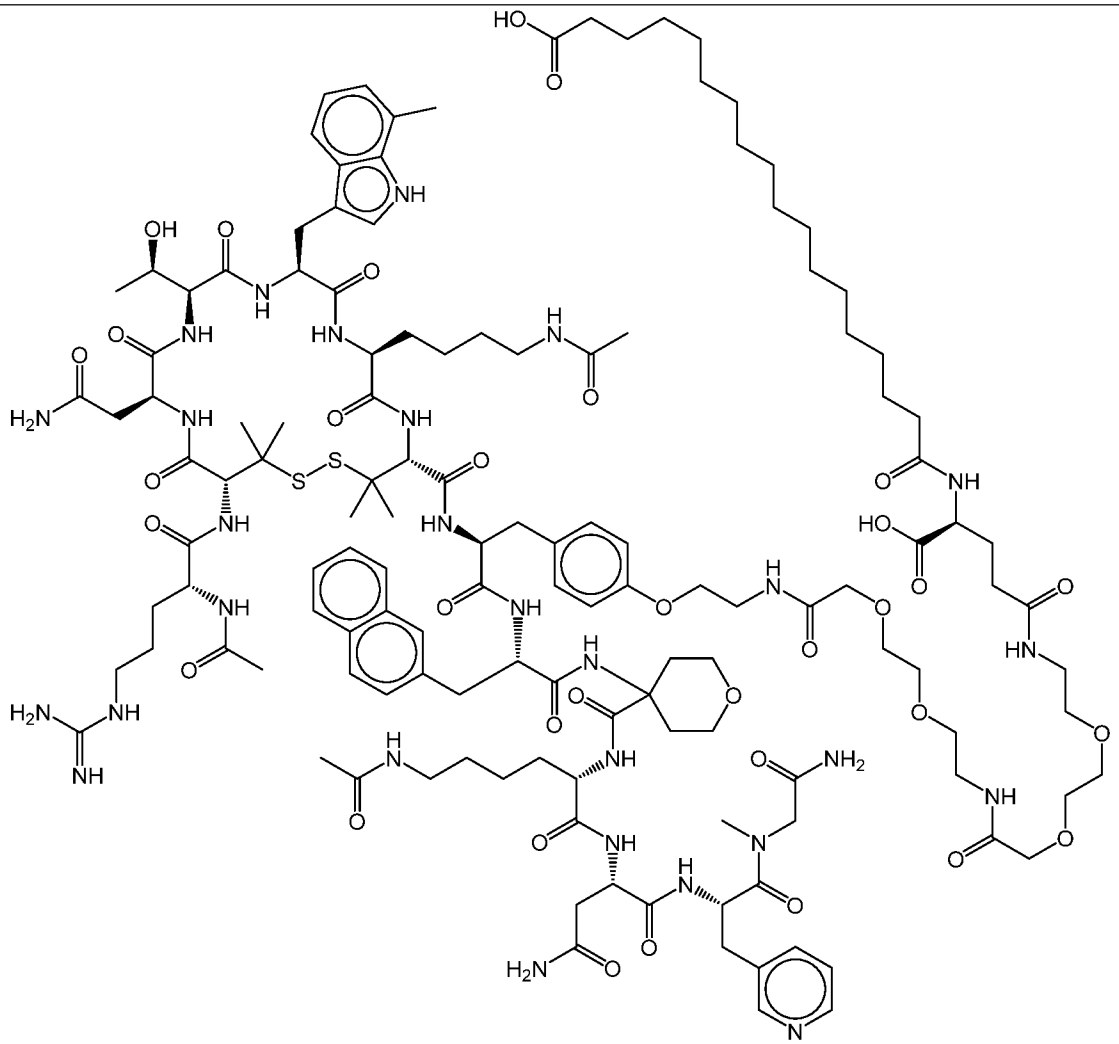
Table 1A. Compounds

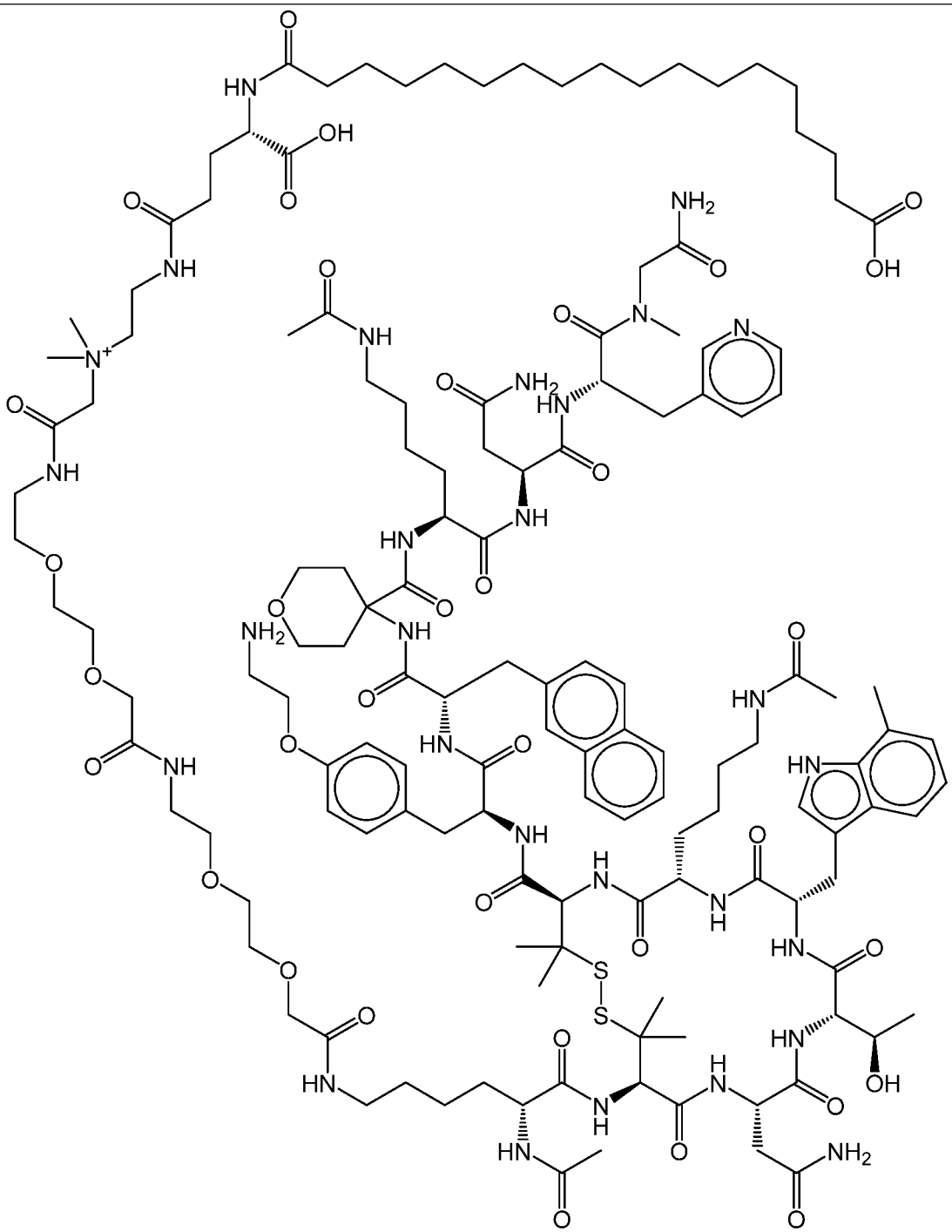
SEQ Id	Structure:
2	 (Example 2) MeCO-r-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2PEG2gEC18OH)-CONH2

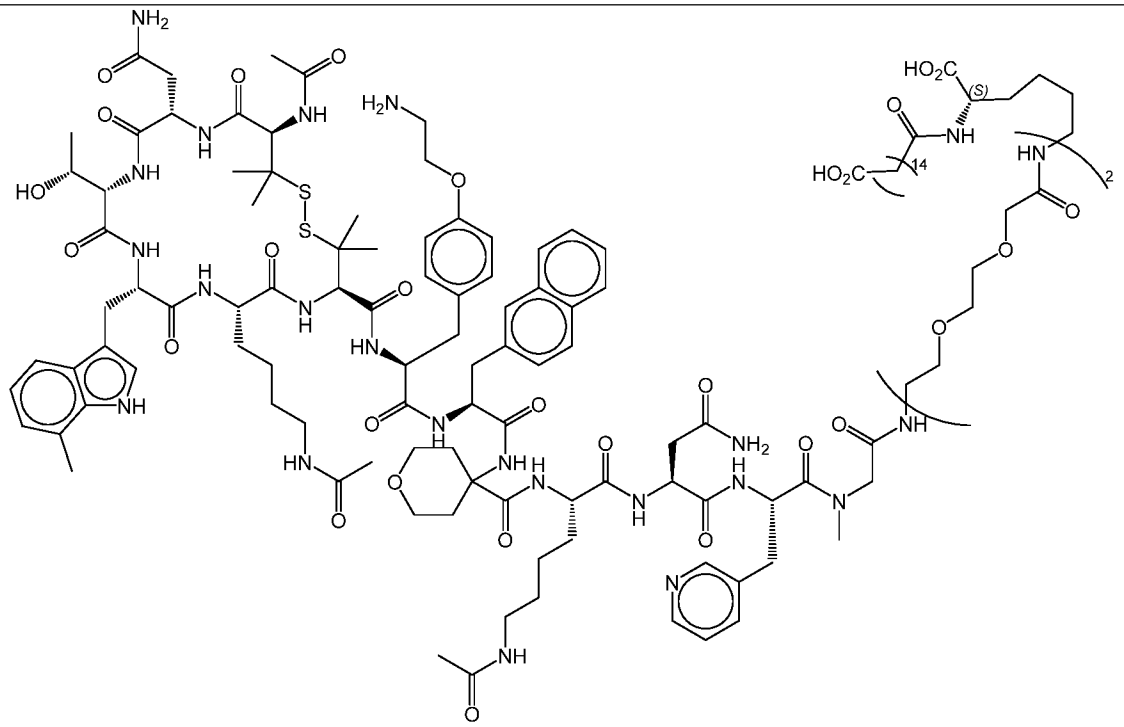
SEQ Id	Structure:
3	 (Example 3) MeCO-r-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2PEG2gEC18OH)-CONH2

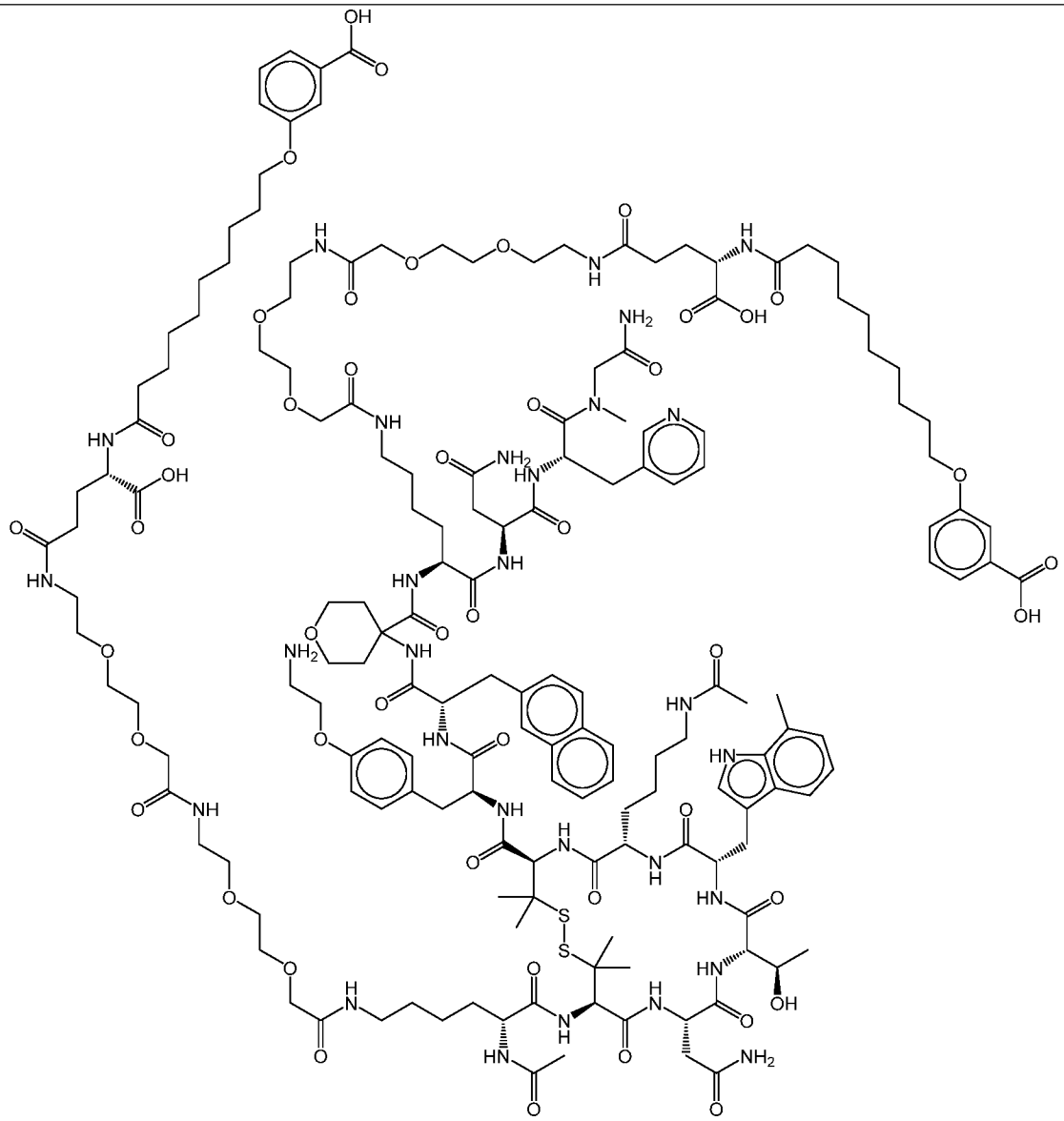
SEQ Id	Structure:
4	 (Example 4) MeCO- Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2gEC18OH)-N-3Pya-Sar-CONH2

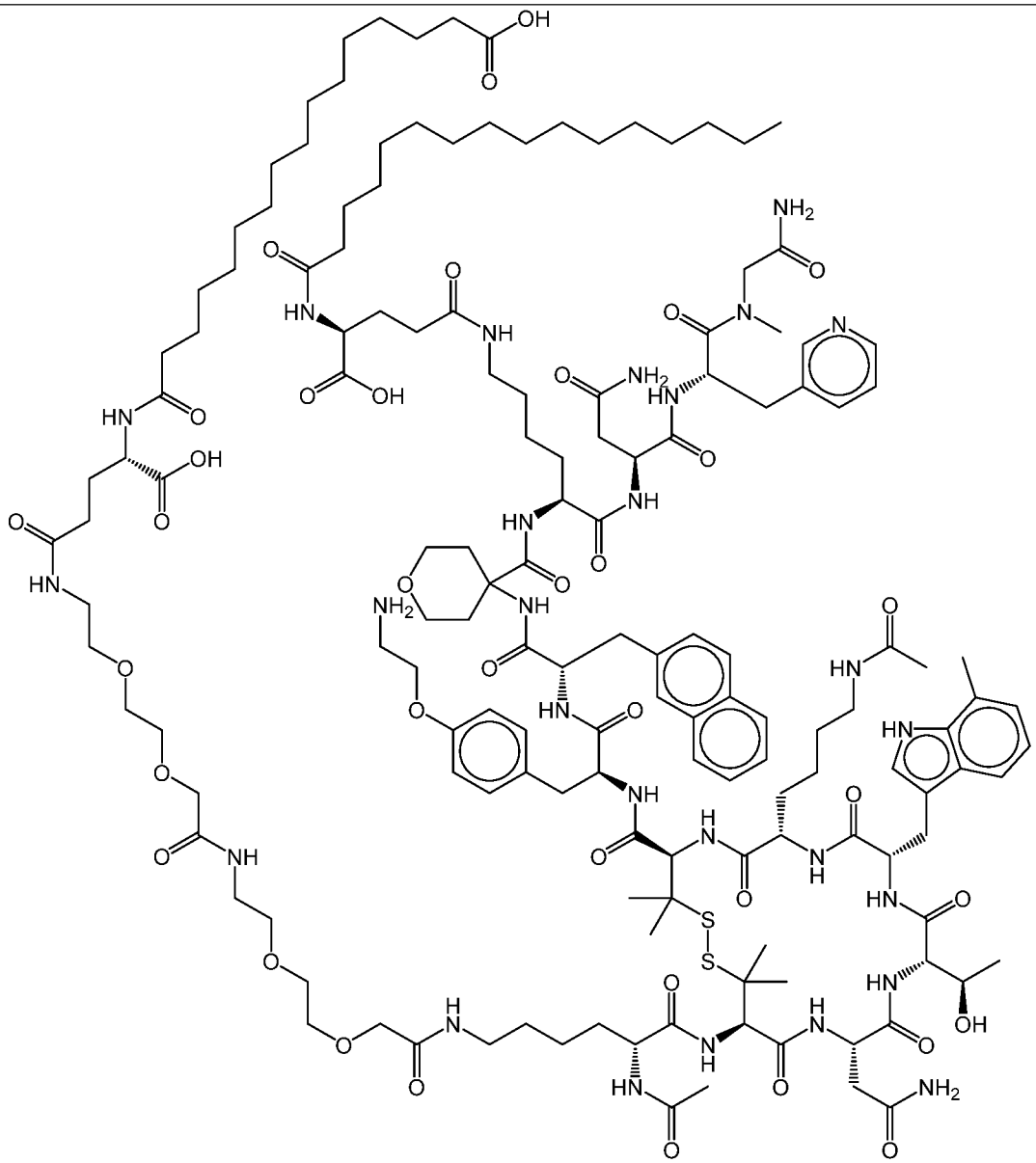
SEQ Id	Structure:
5	 (Example 5) MeCO-k(PEG2PEG2gEC18OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP- K(Ac)-N-3Pya-Sar-CONH2

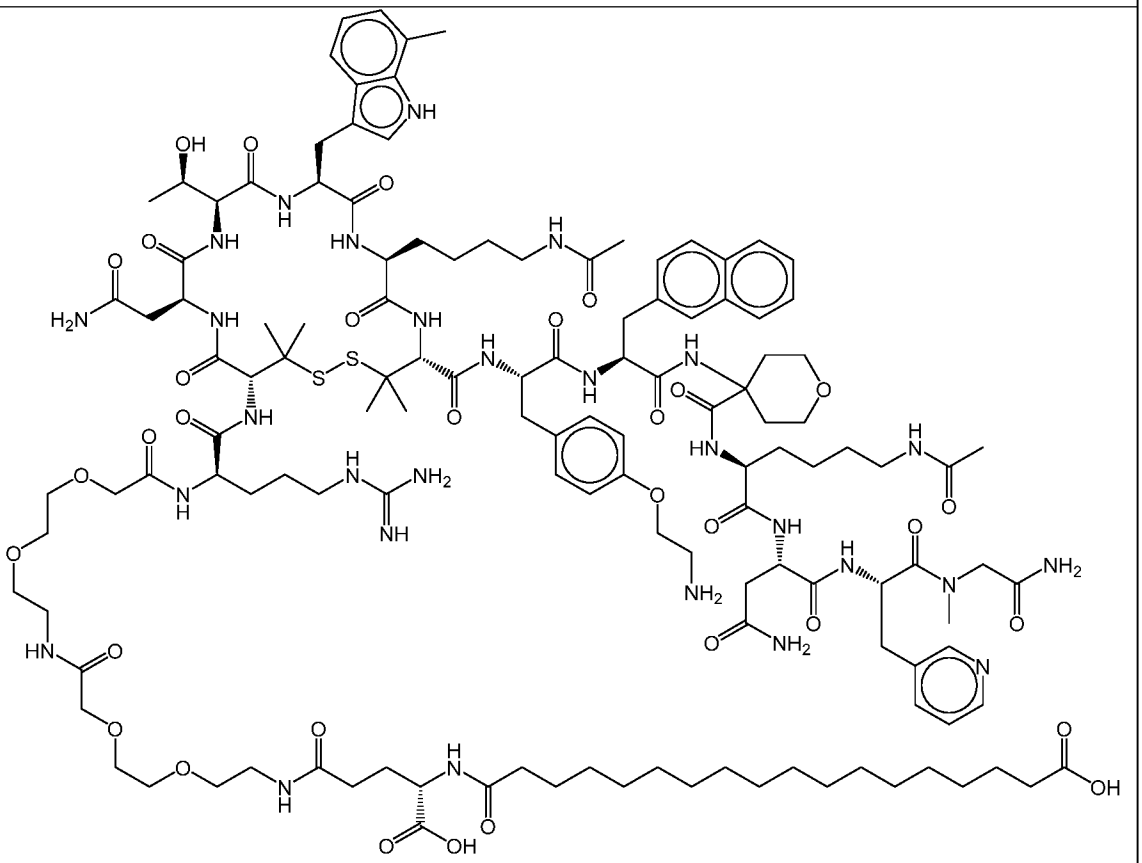
SEQ Id	Structure:
6	 (Example 6) MeCO-r-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF(PEG2PEG2gEC18OH)-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH2

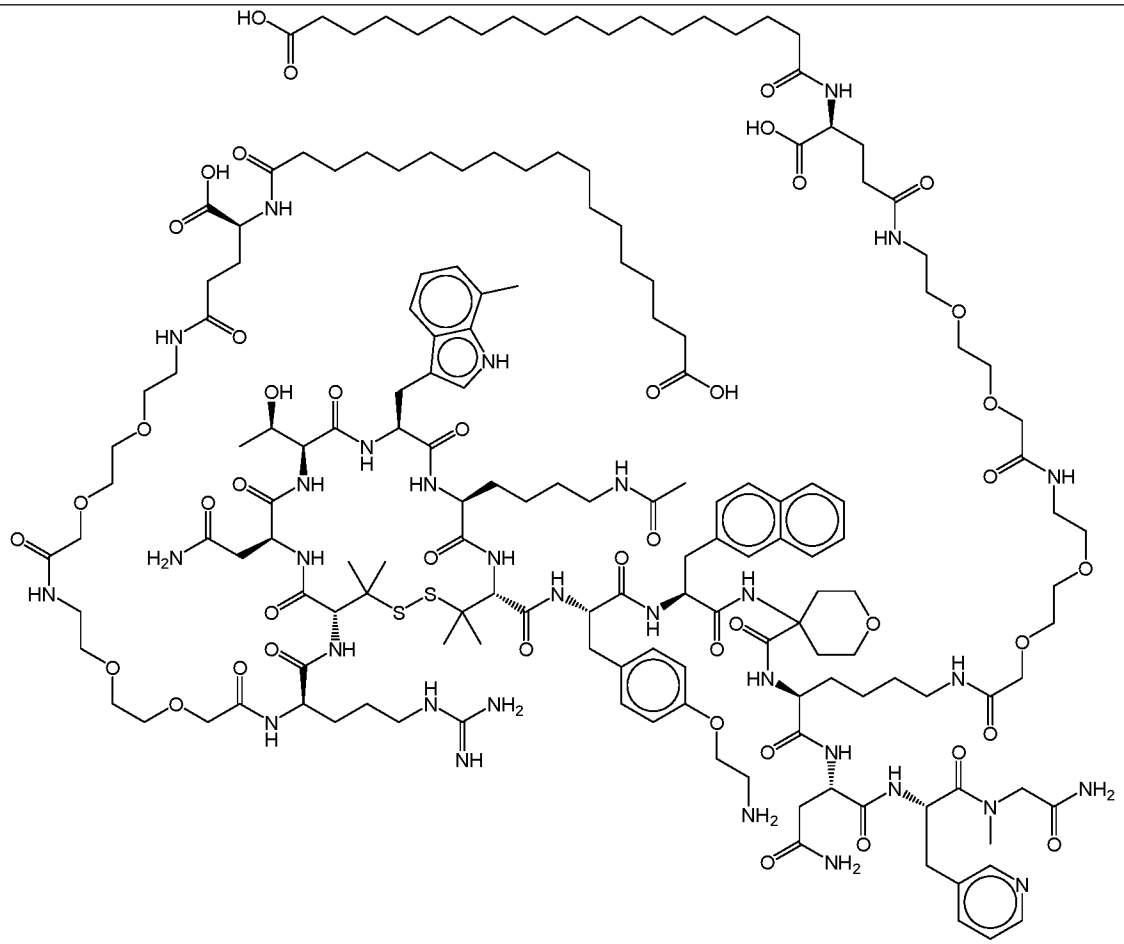
SEQ Id	Structure:
7	 (Example 7) MeCO-r-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF(PEG2PEG2gEC18OH)-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH2

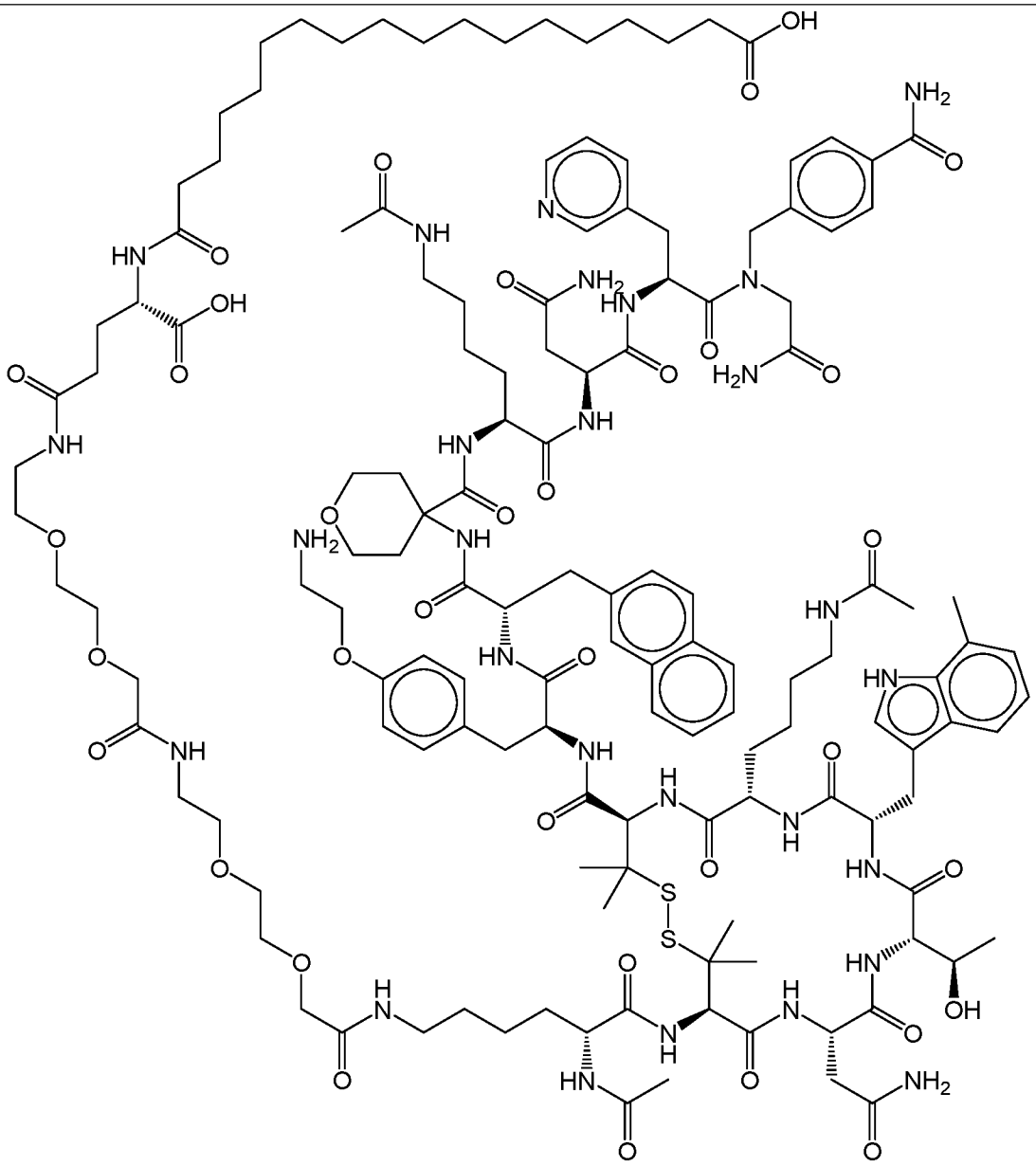
SEQ Id	Structure:
8	 (Example 8) MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-PEG2-PEG2-eK(C16OH)-COOH

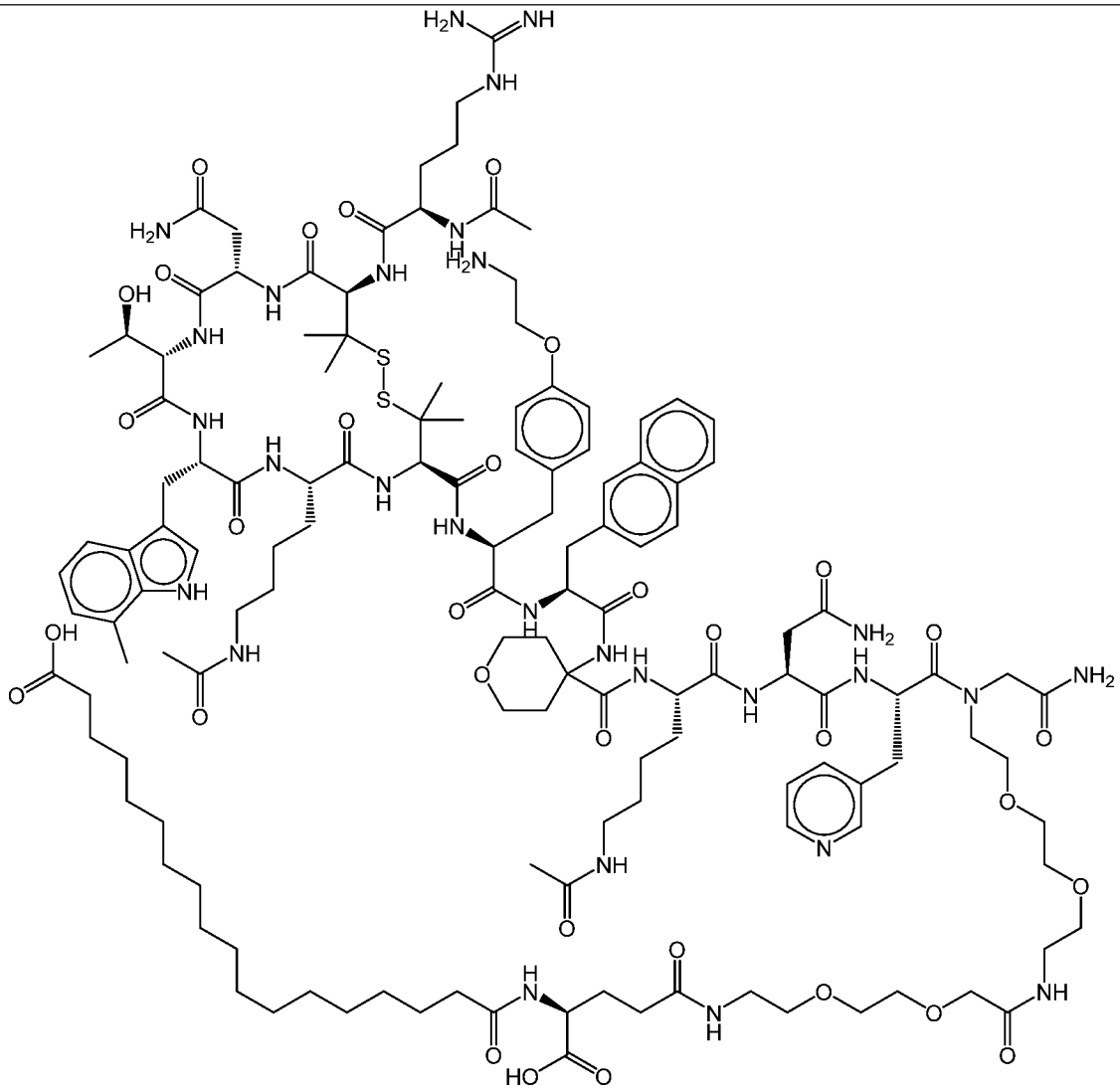
SEQ Id	Structure:
9	 (Example 9) MeCO-k(PEG2PEG2gEmXOH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2gEmXOH)-N-3Pya-Sar-CONH2

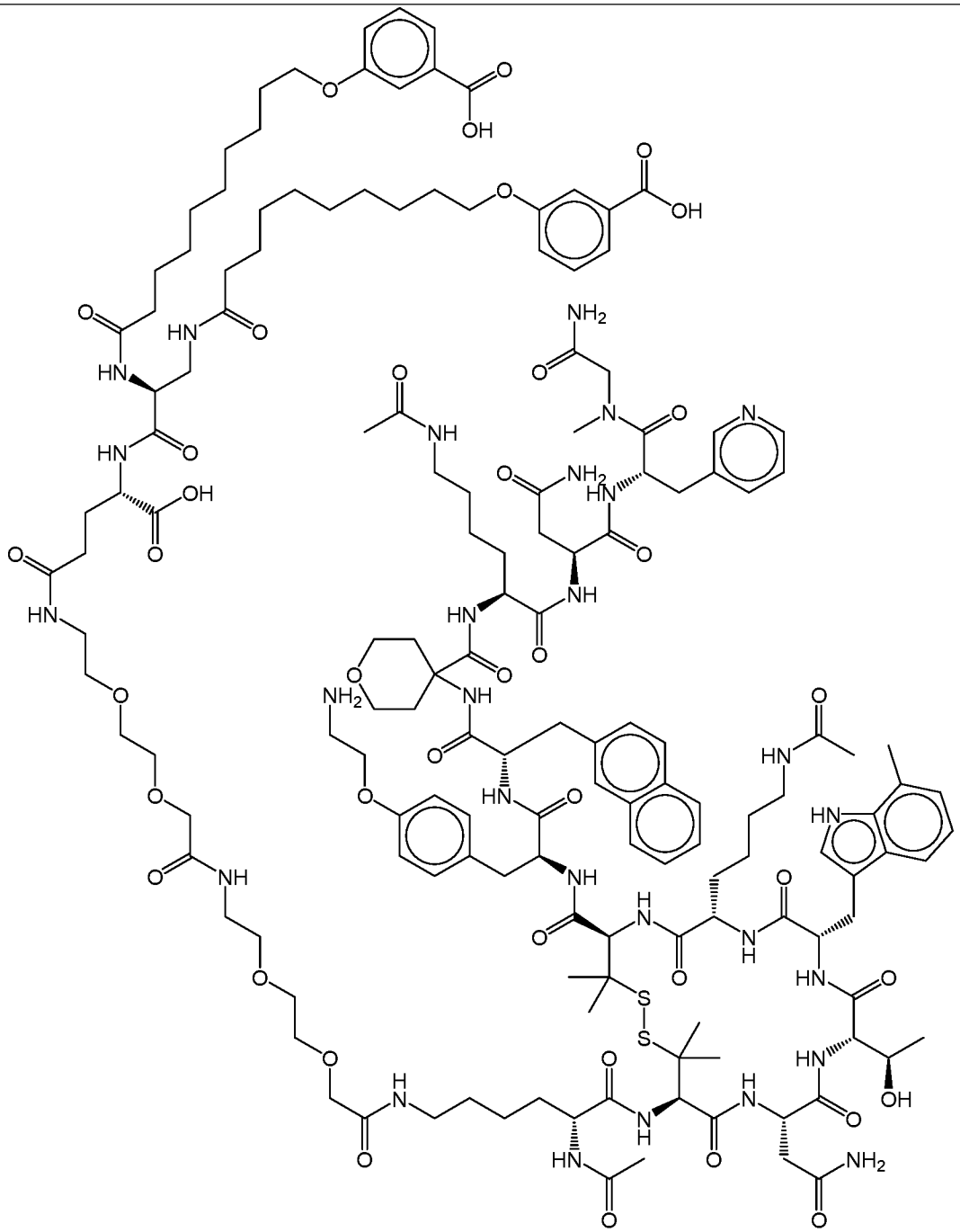
SEQ Id	Structure:
10	 (Example 10) MeCO-k(PEG2PEG2gEC16OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(gEC16)-N-3Pya-Sar-CONH2

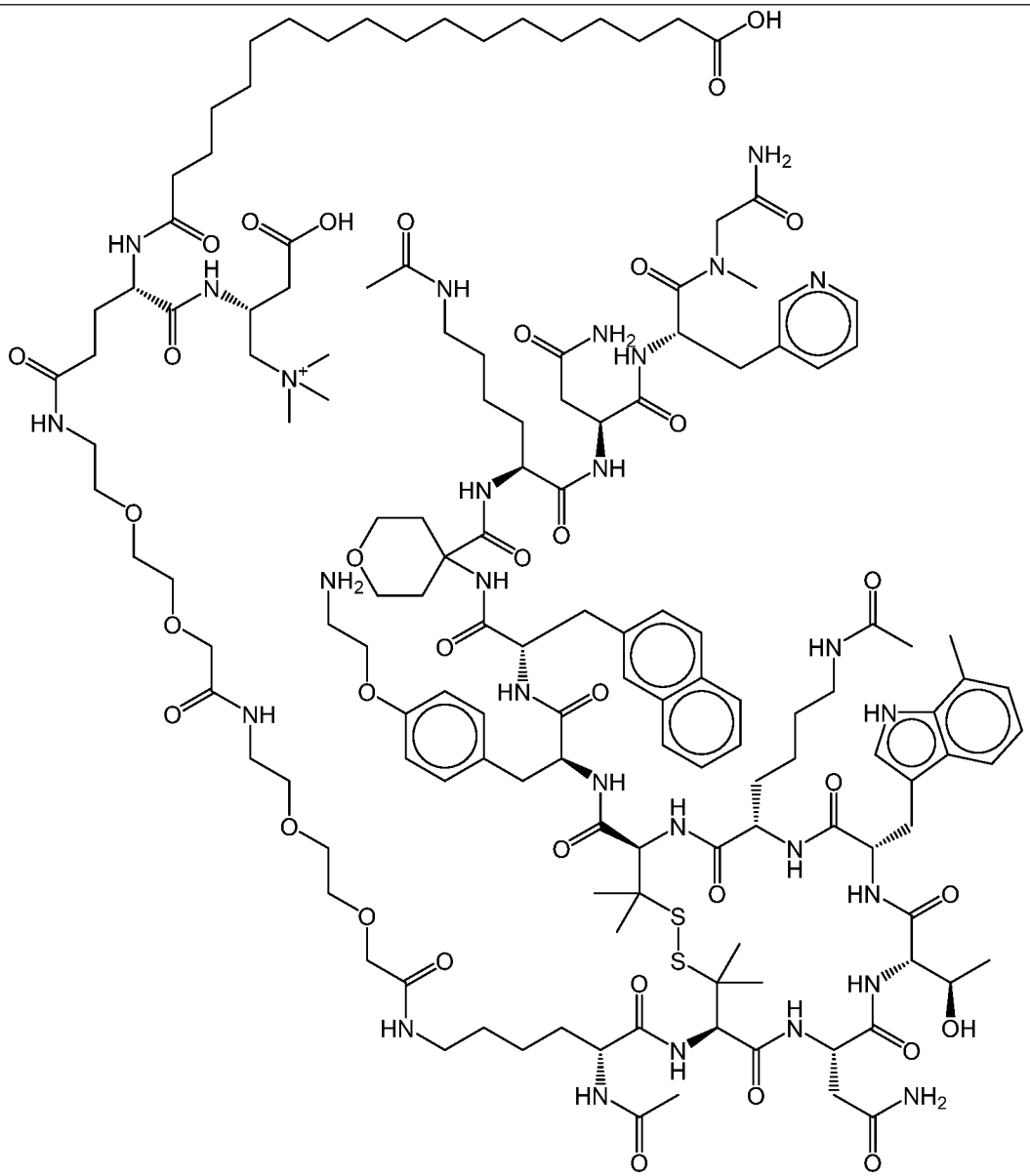
SEQ Id	Structure:
11	 (Example 11) MeCO-k(PEG2PEG2gEC16OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(gEC16)-N-3Pya-Sar-CONH2

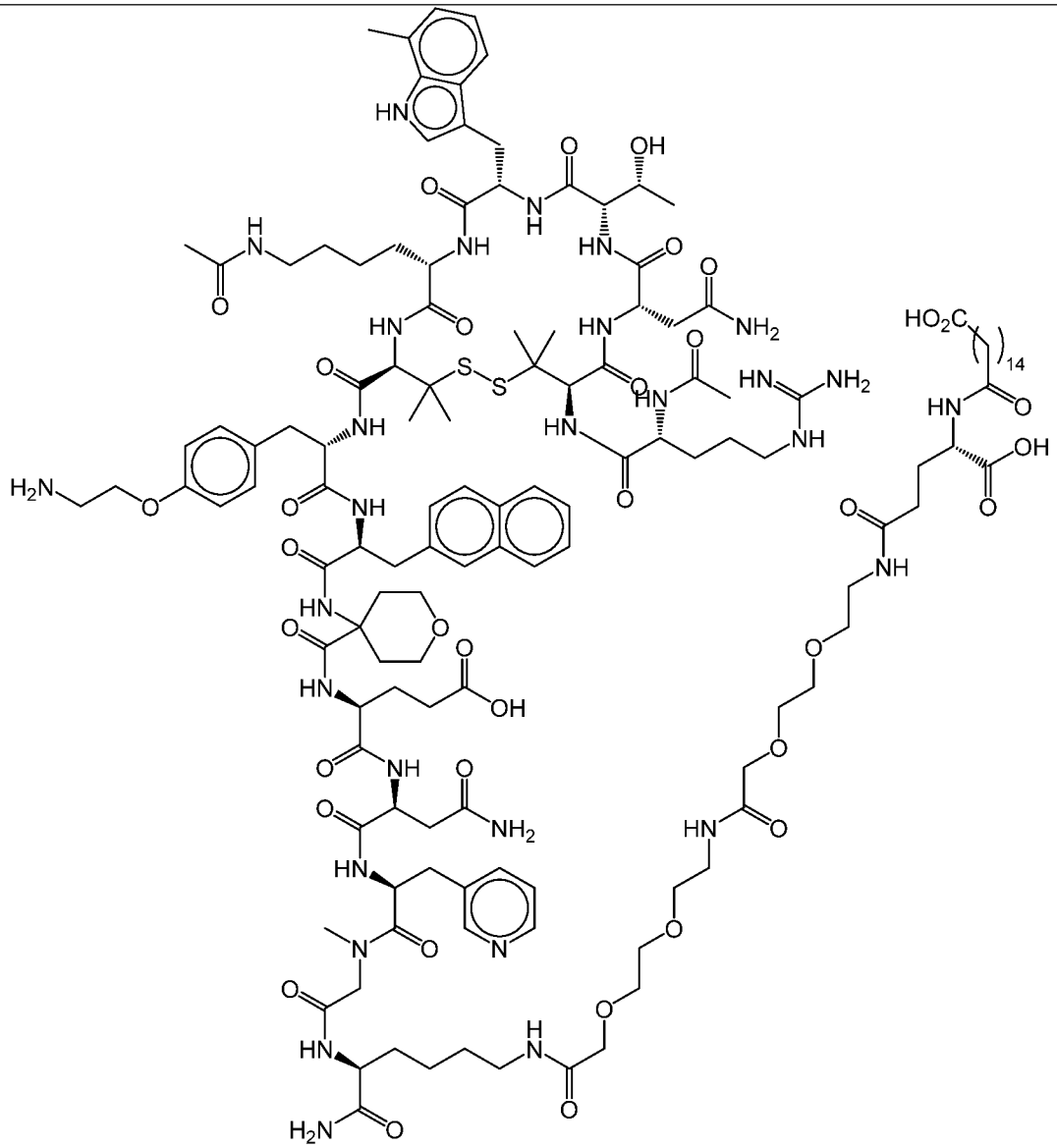
SEQ Id	Structure:
12	 (Example 12) MeCO-k(PEG2PEG2gEC16OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(gEC16)-N-3Pya-Sar-CONH2

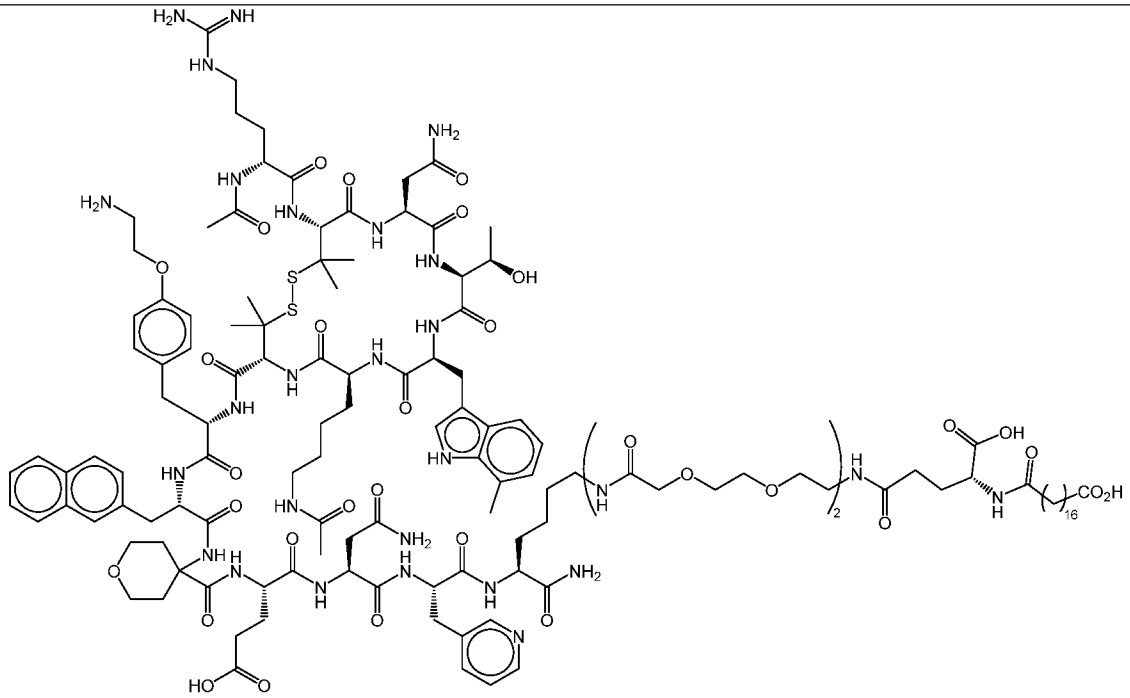
SEQ Id	Structure:
13	 (Example 13) MeCO-k(PEG2PEG2gEC16OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(gEC16)-N-3Pya-Sar-CONH2

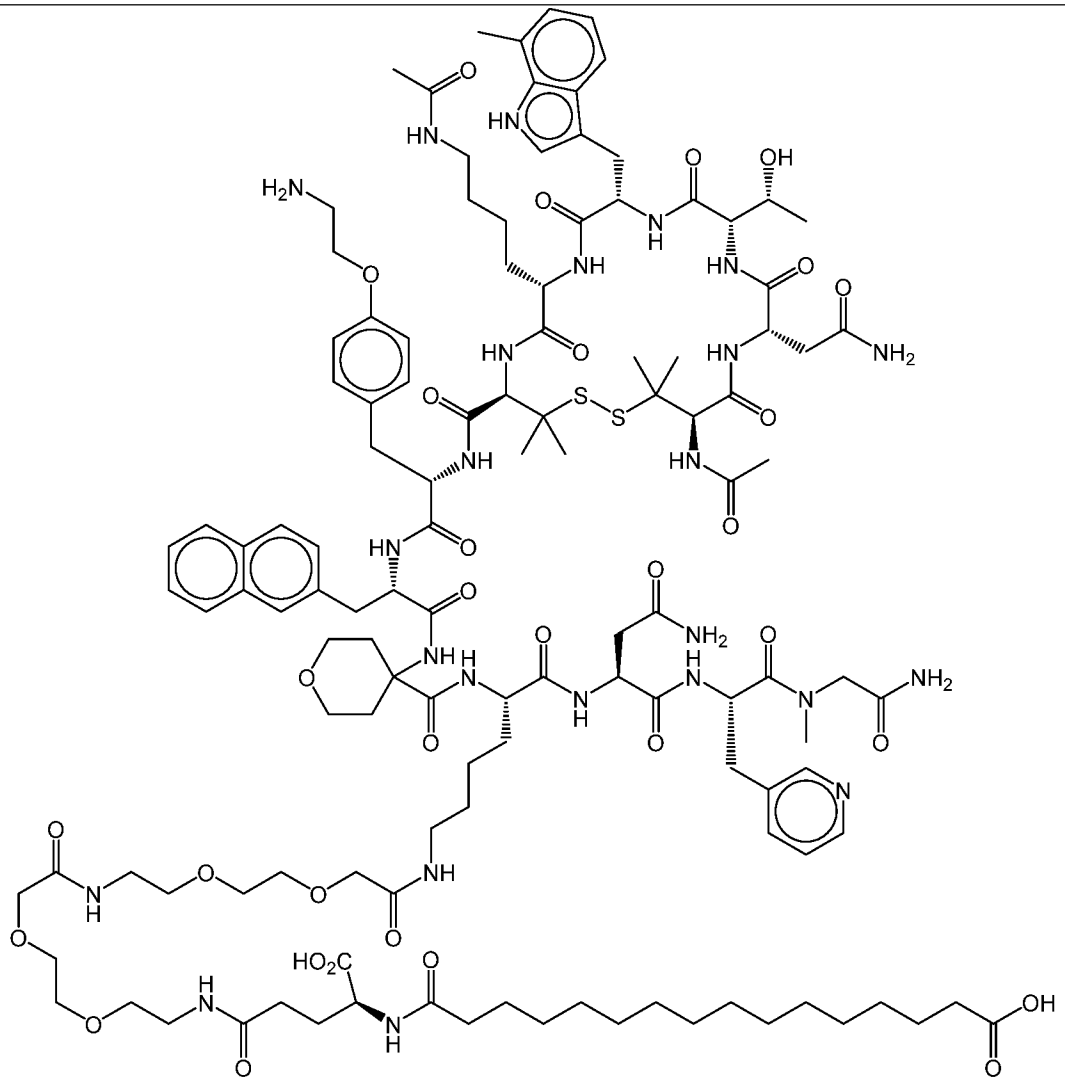
SEQ Id	Structure:
14	 (Example 14) MeCO-r-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-N(PEG2PEG2gEC18OH)Gly-CONH2

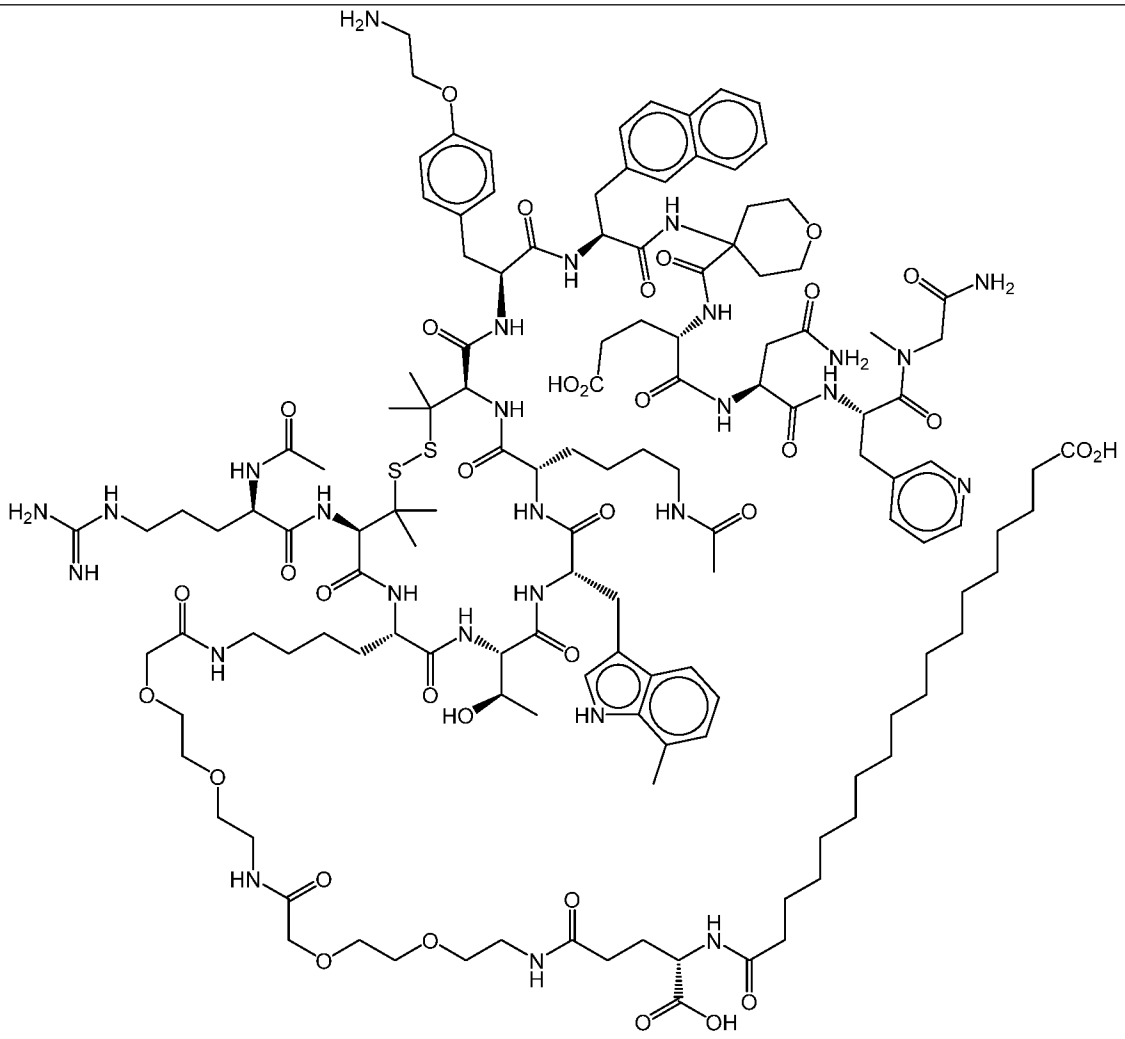
SEQ Id	Structure:
15	 (Example 15) MeCO-r-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-N(PEG2PEG2gEC18OH)Gly-CONH2

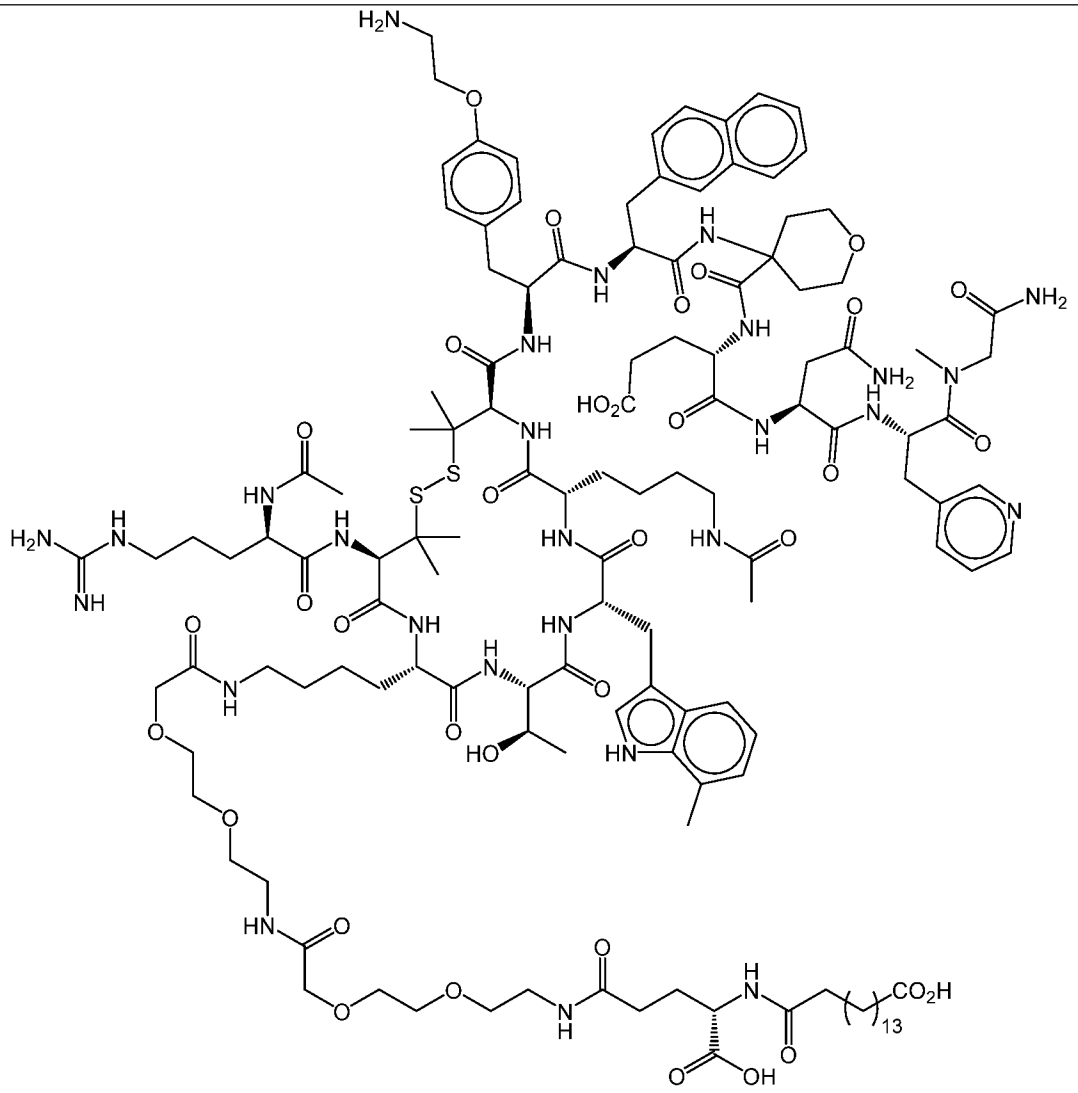
SEQ Id	Structure:
16	 (Example 16) MeCO-k(PEG2PEG2gE(c)C18OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH2

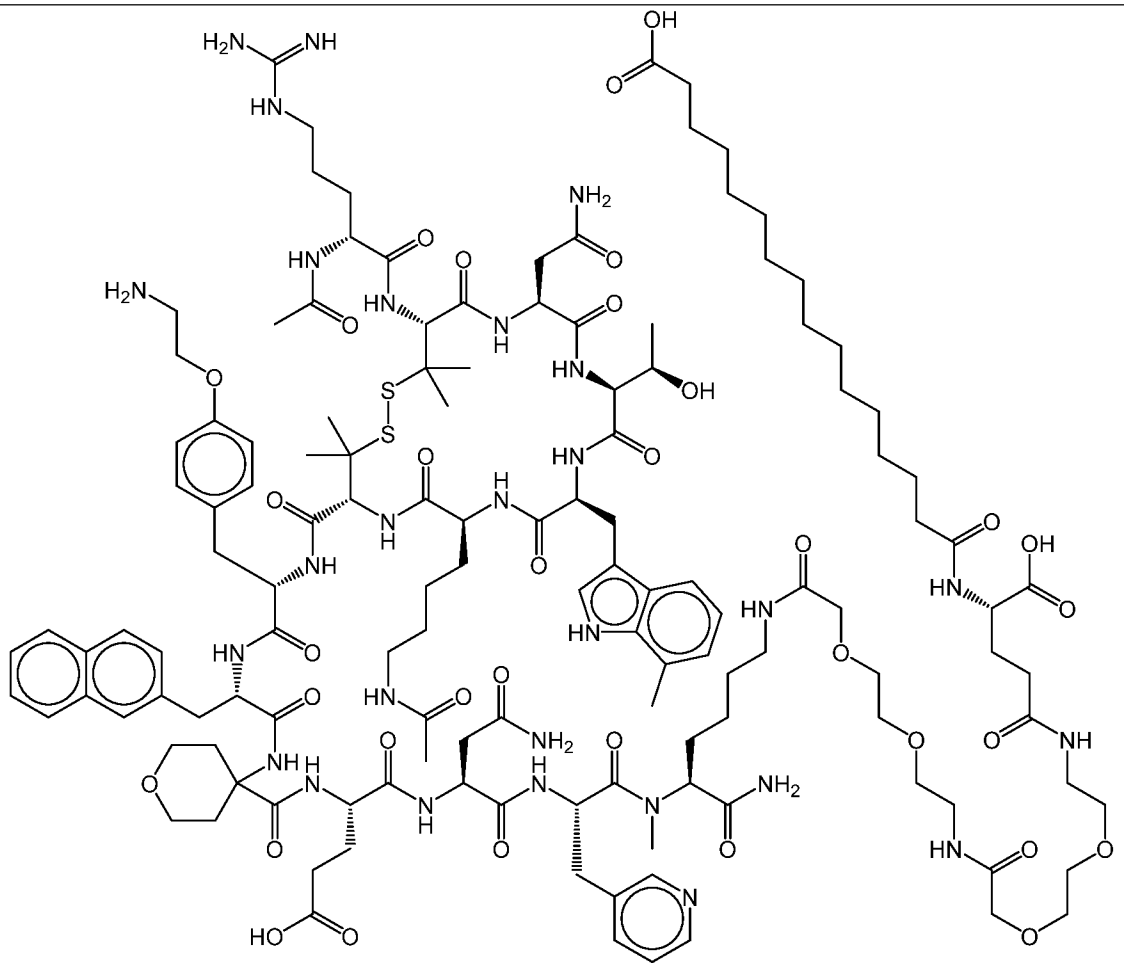
SEQ Id	Structure:
17	 (Example 17)

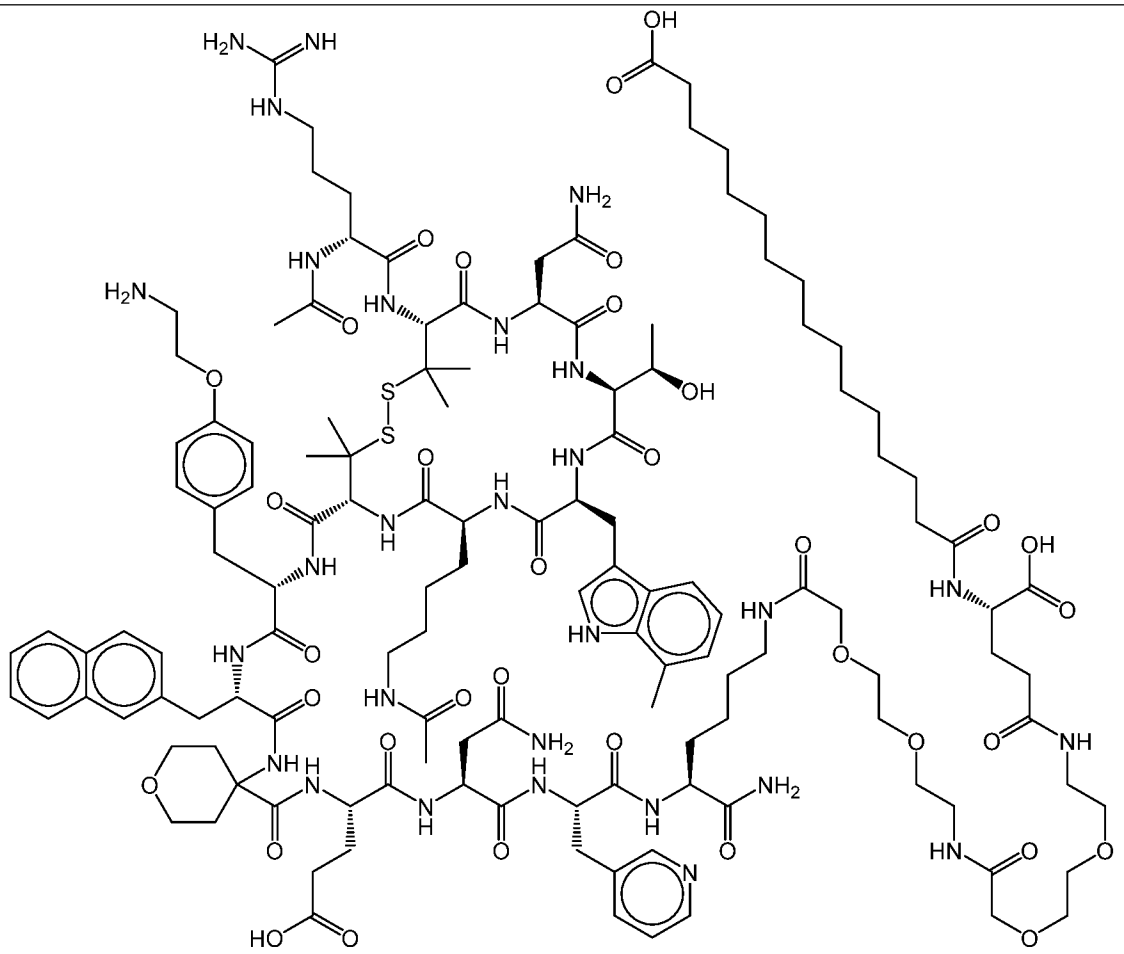
SEQ Id	Structure:
18	 (Example 18)

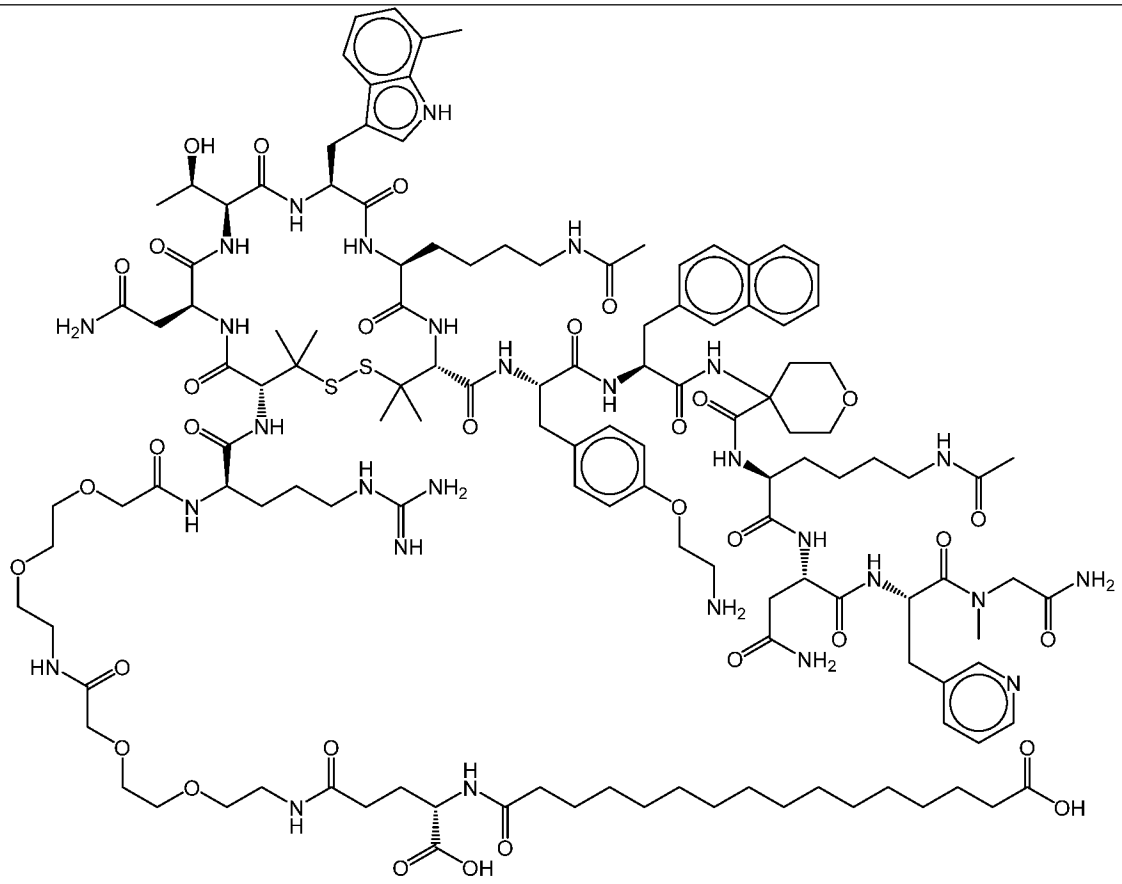
SEQ Id	Structure:
19	 (Example 19)

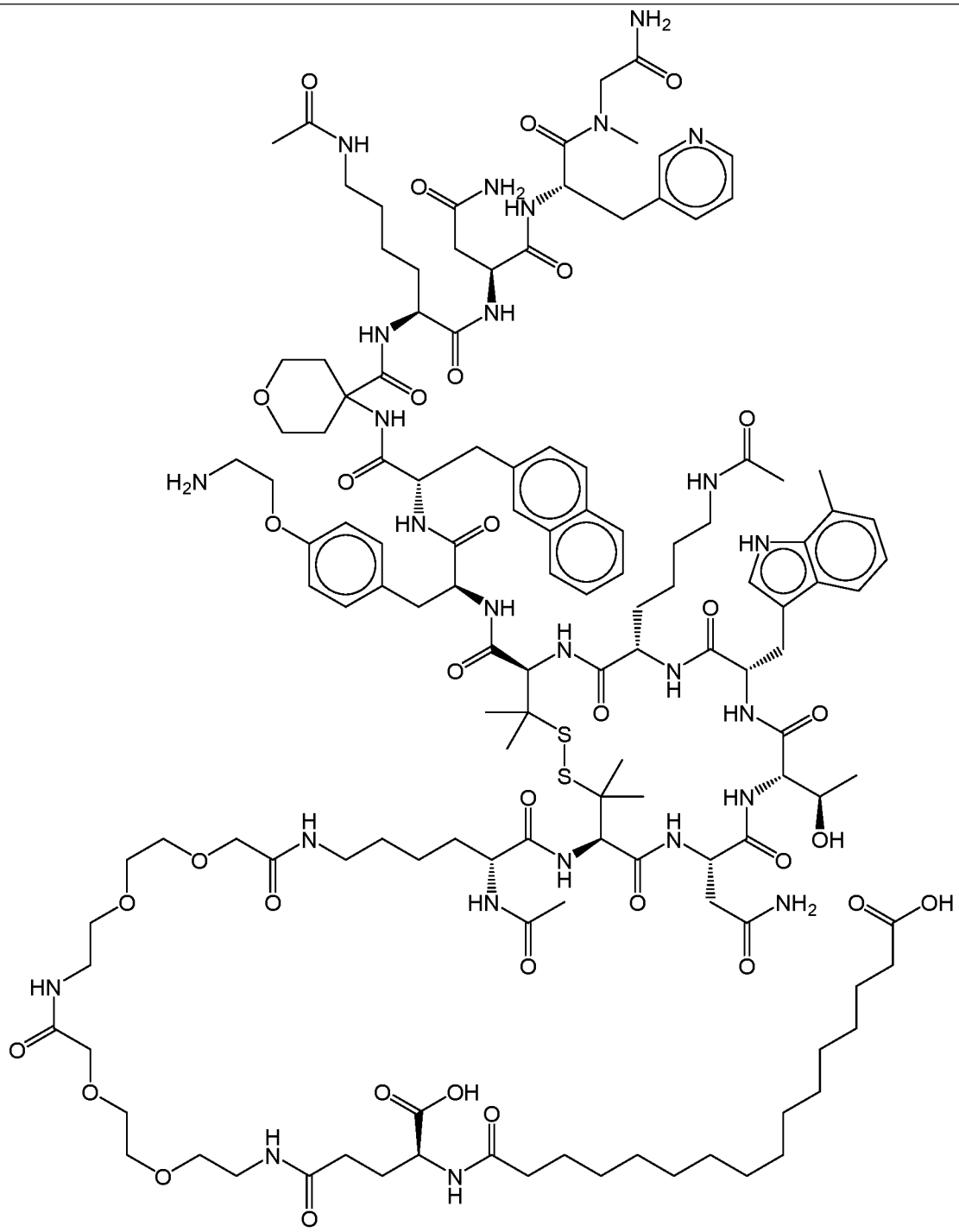
SEQ Id	Structure:
20	 (Example 20)

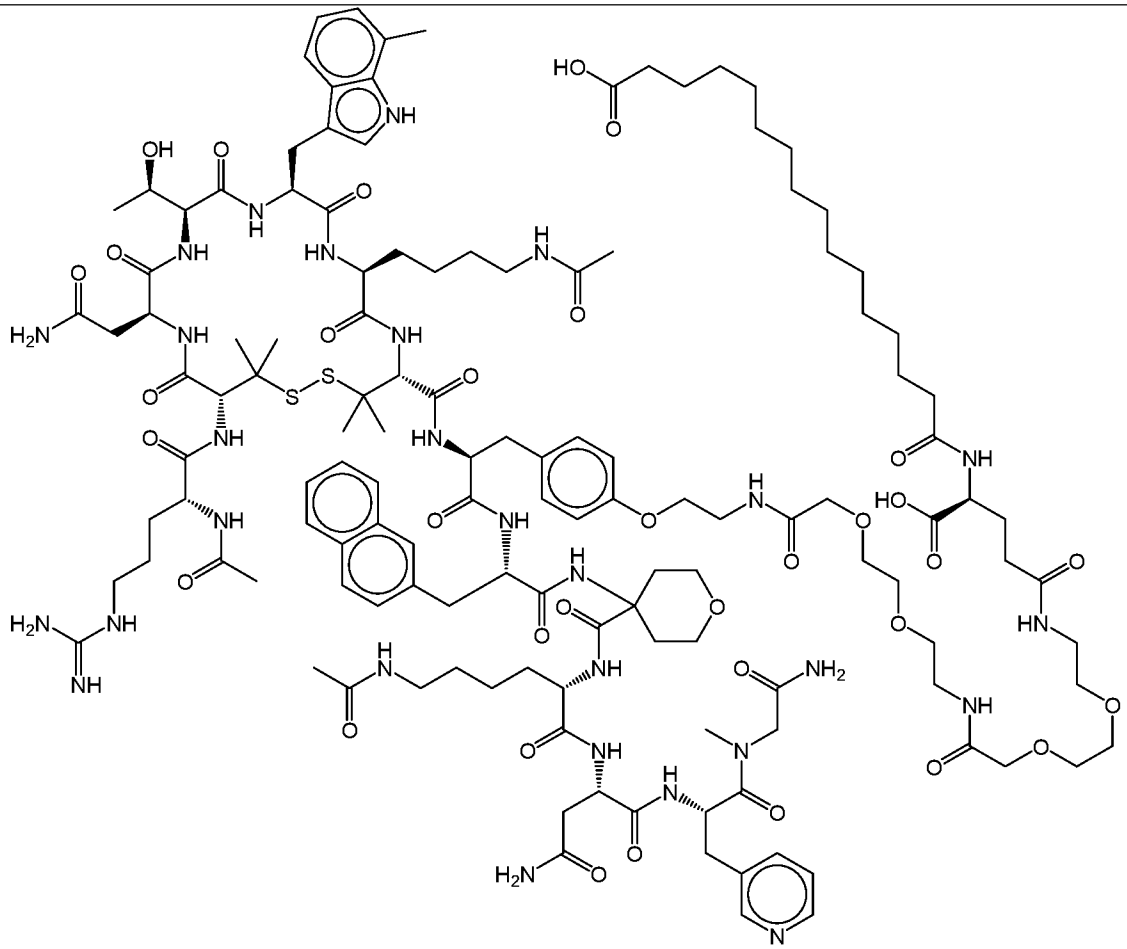
SEQ Id	Structure:
21	 (Example 21)

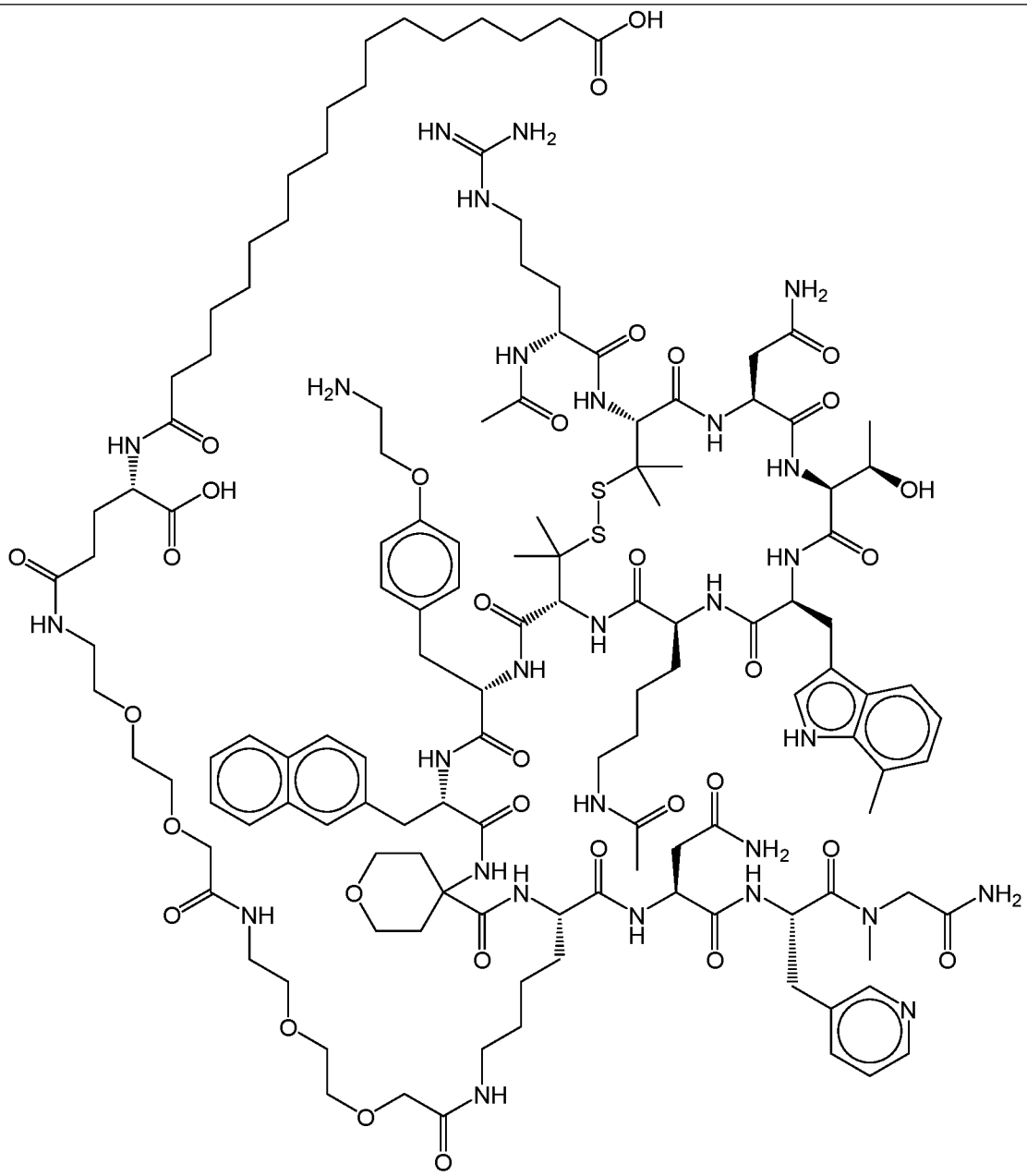
SEQ Id	Structure:
22	 (Example 22)

SEQ Id	Structure:
23	 (Example 23)

SEQ Id	Structure:
24	 (Example 24)

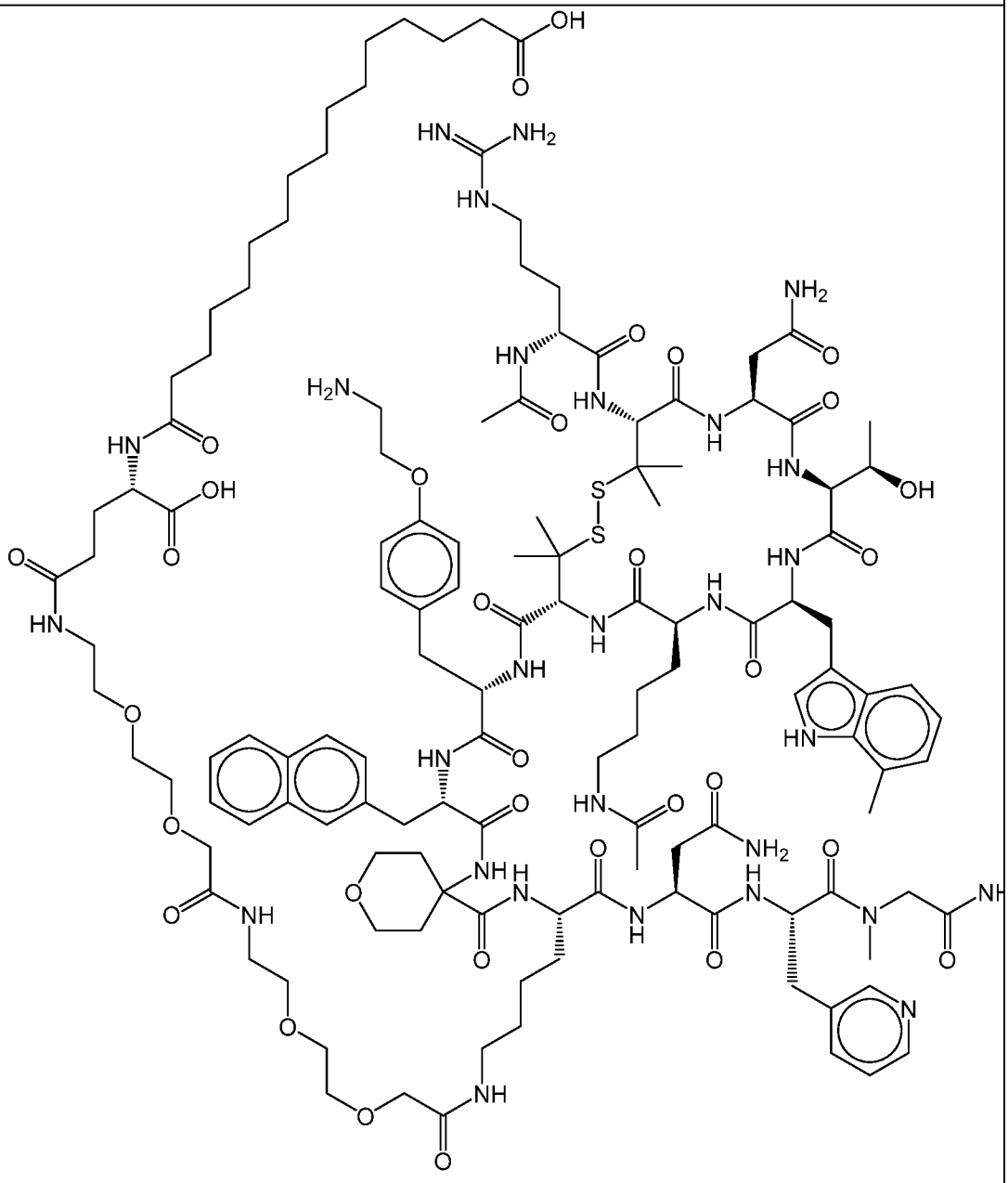
SEQ Id	Structure:
25	 (Example 25)

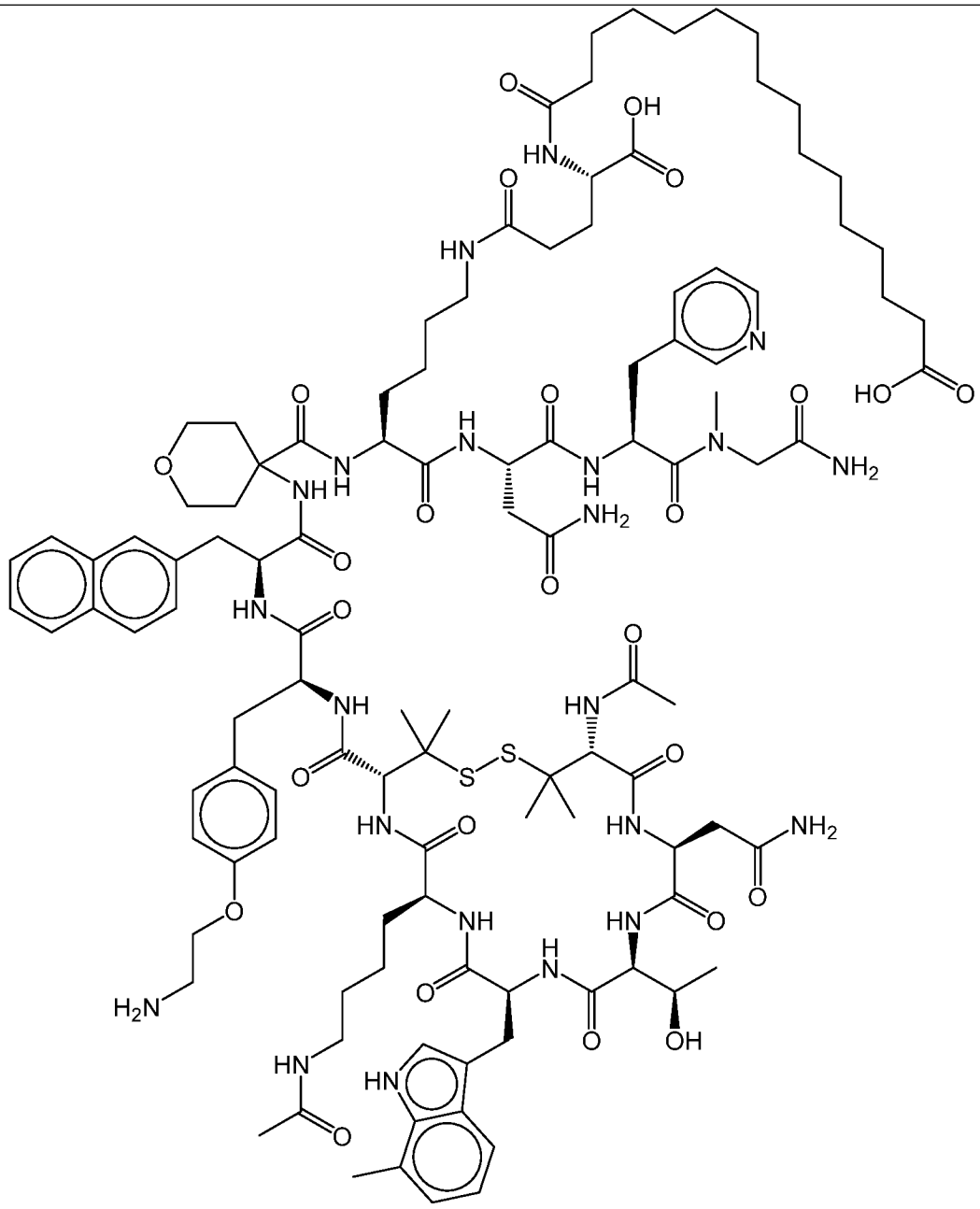
SEQ Id	Structure:
26	 (Example 26)

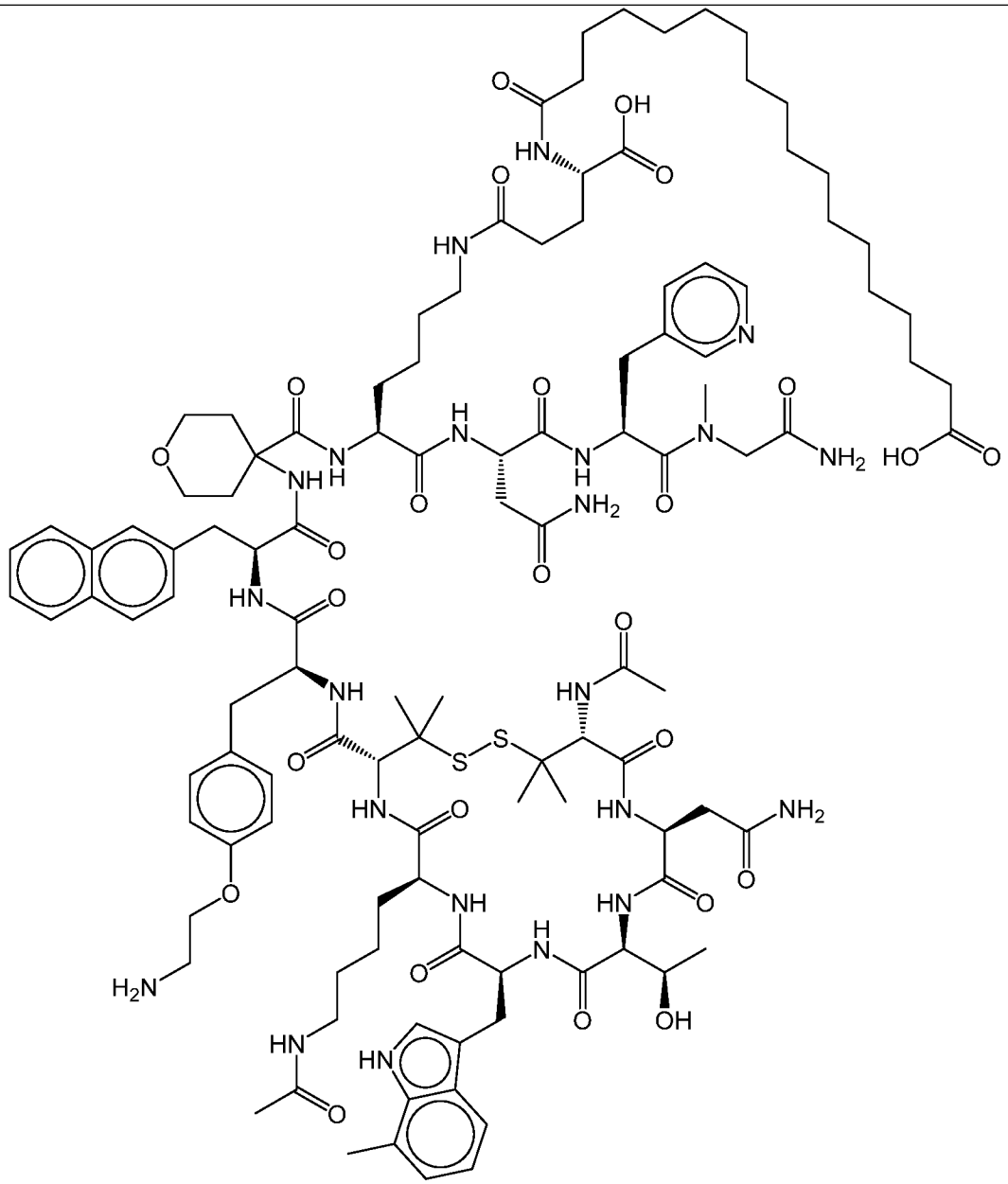
SEQ Id	Structure:
27	 (Example 27)

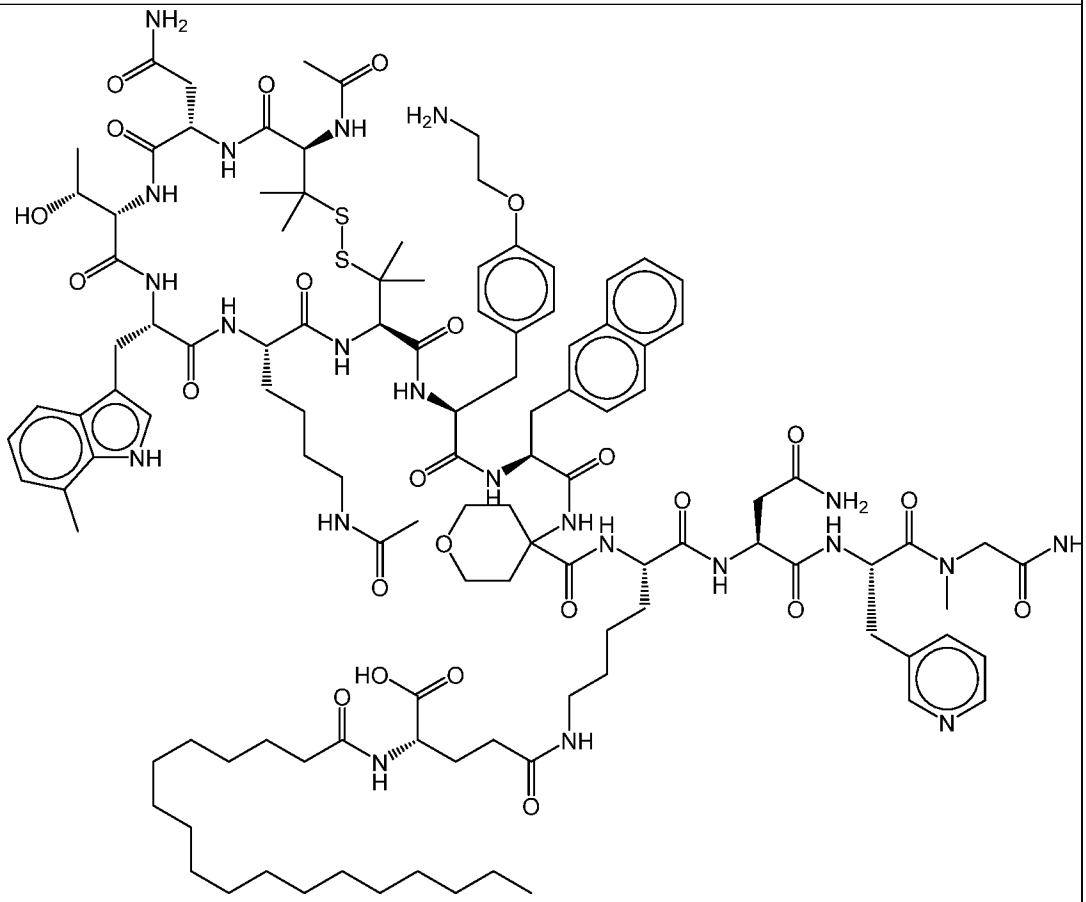
wherein Pen-Pen forms a disulfide bond, or Abu-C form a thioether bond.

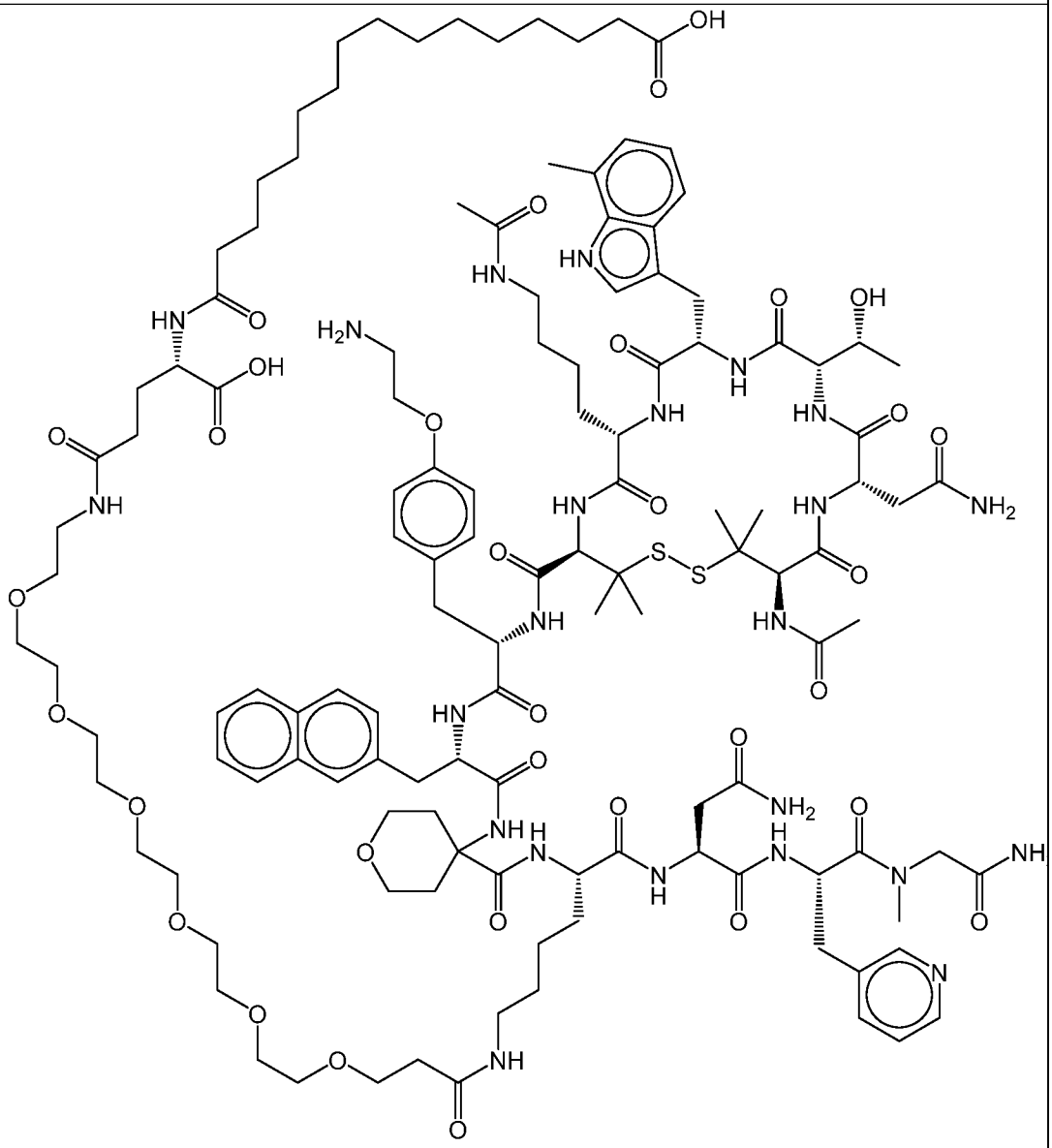
Table 1B. Compounds

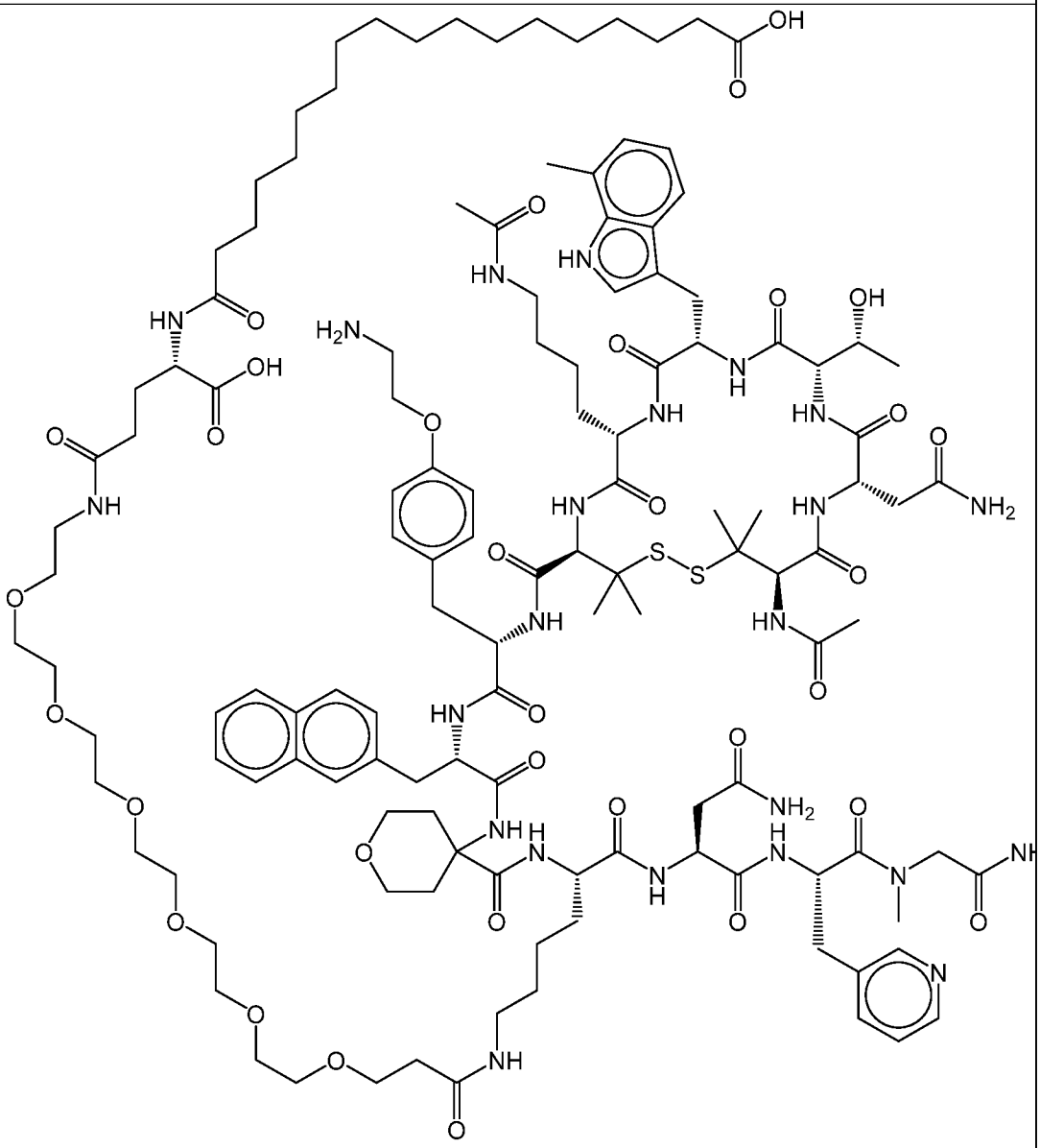
SEQ ID	Structure
28	 (Example 28)

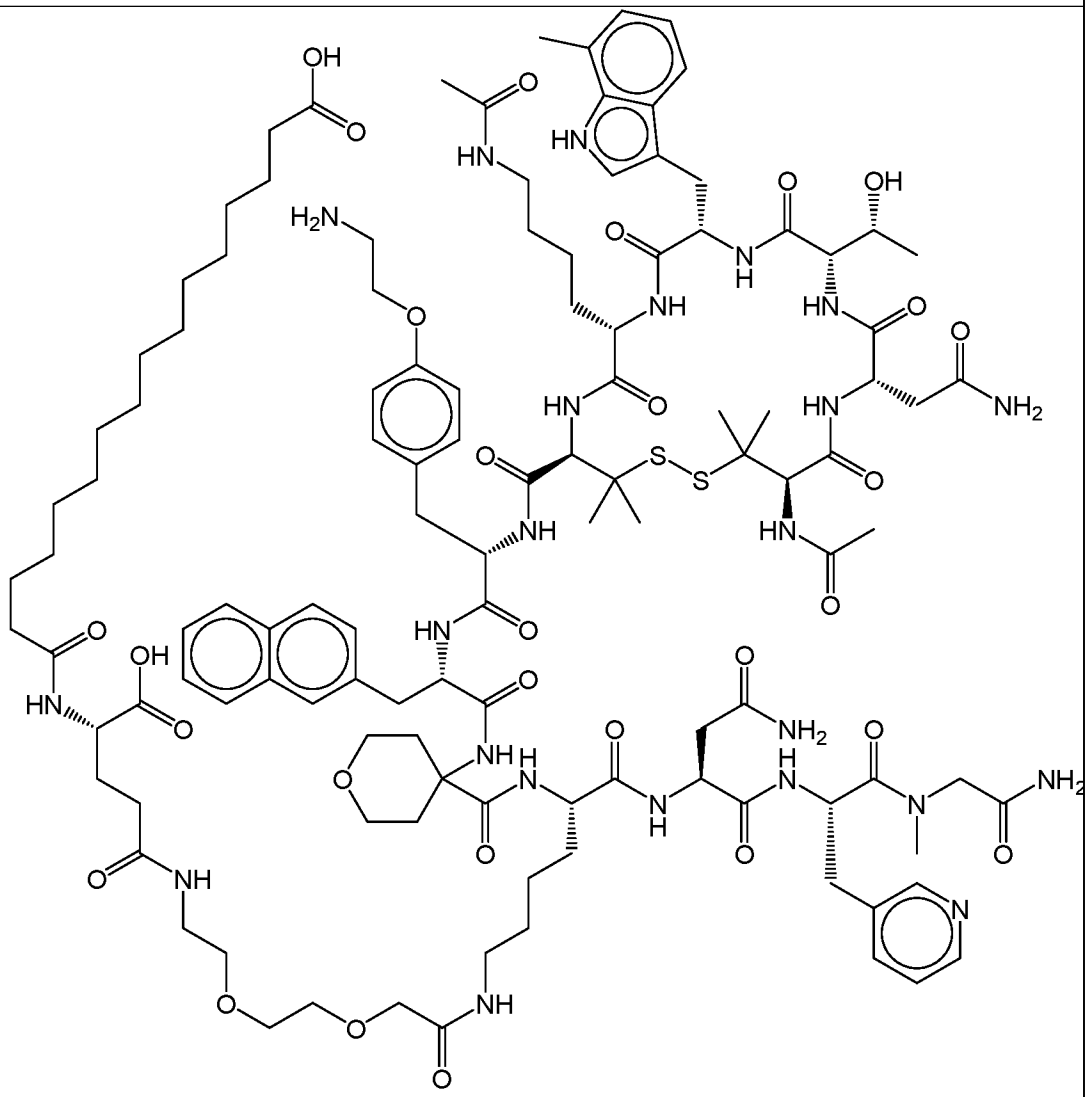
SEQ ID	Structure
29	 (Example 29)

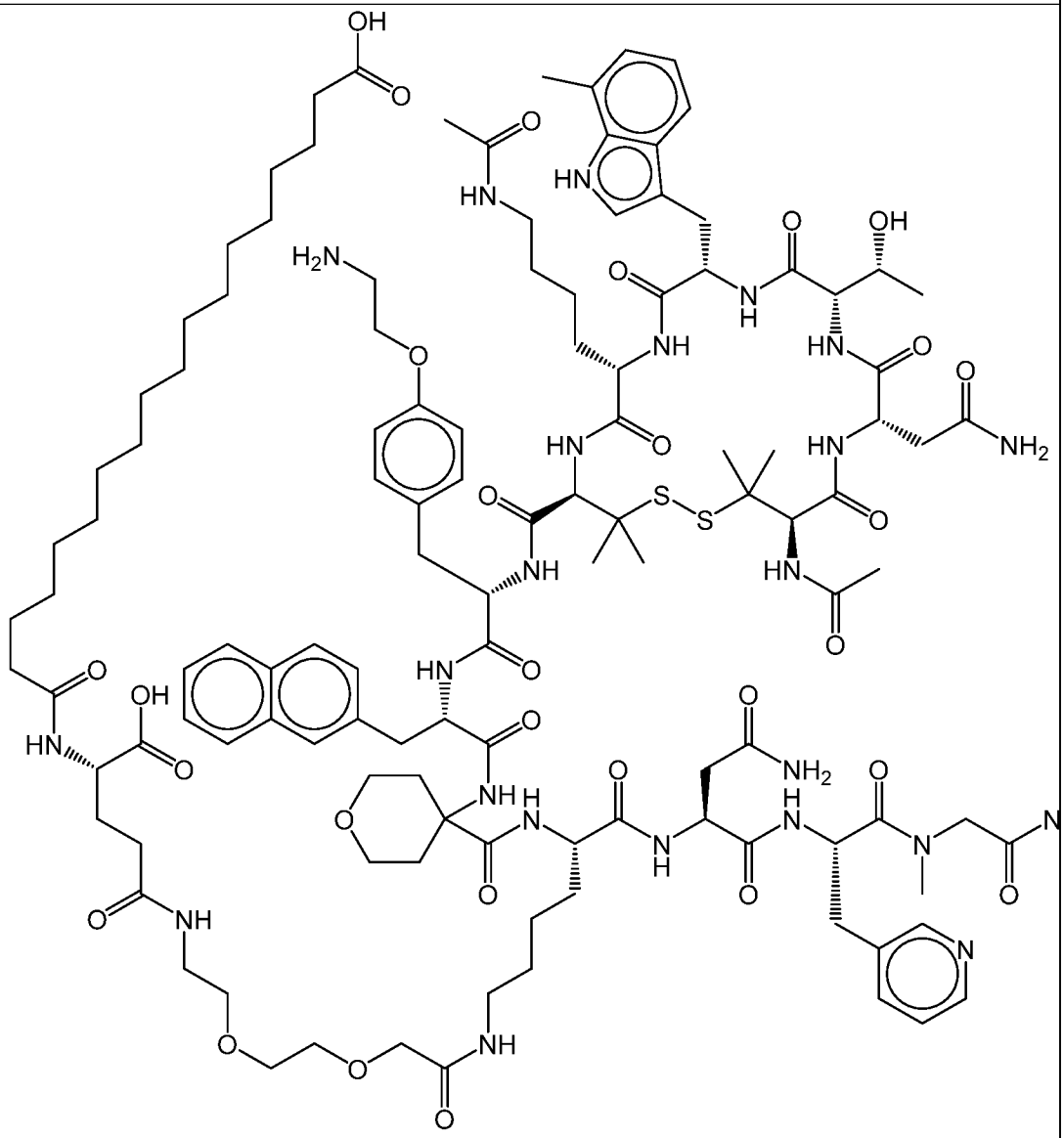
SEQ ID	Structure
30	 (Example 30)

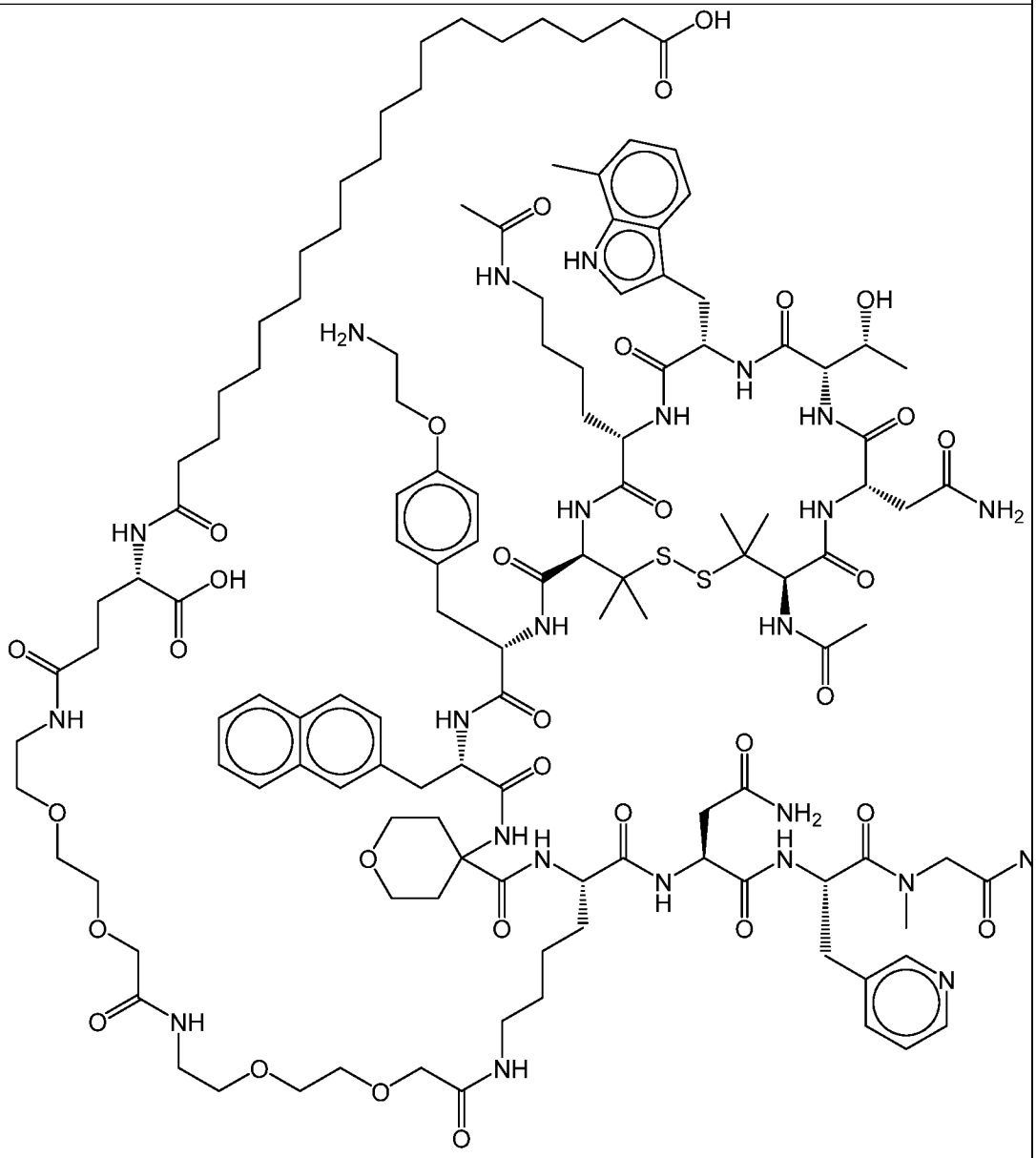
SEQ ID	Structure
31	 (Example 31)

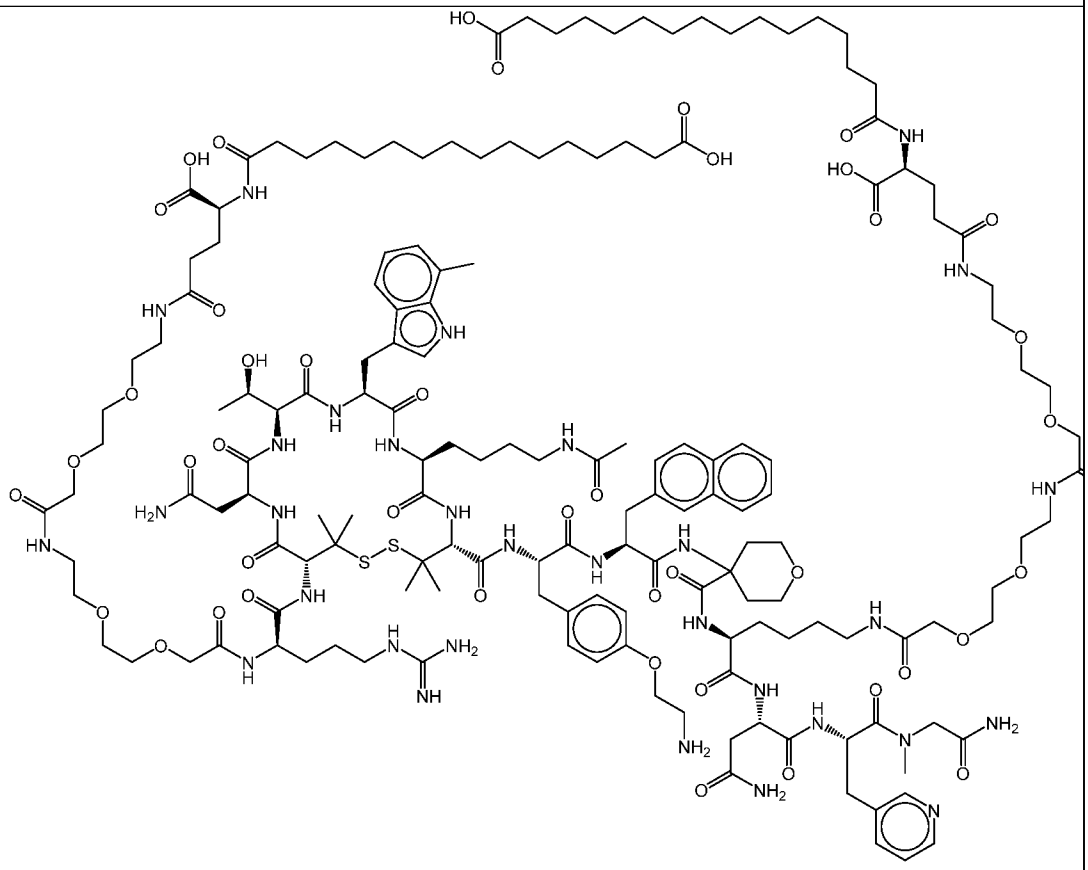
SEQ ID	Structure
32	 (Example 32)

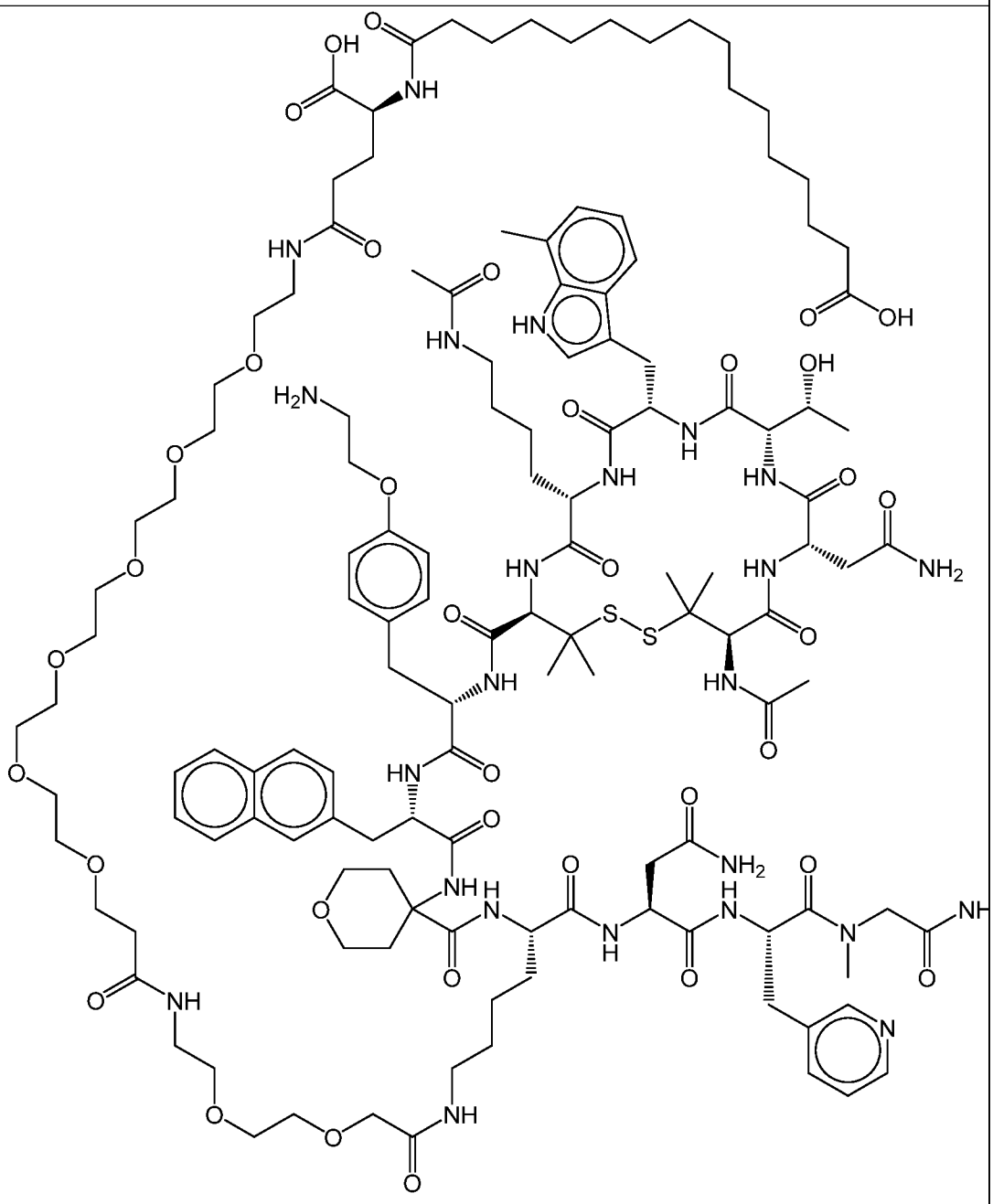
SEQ ID	Structure
33	 (Example 33)

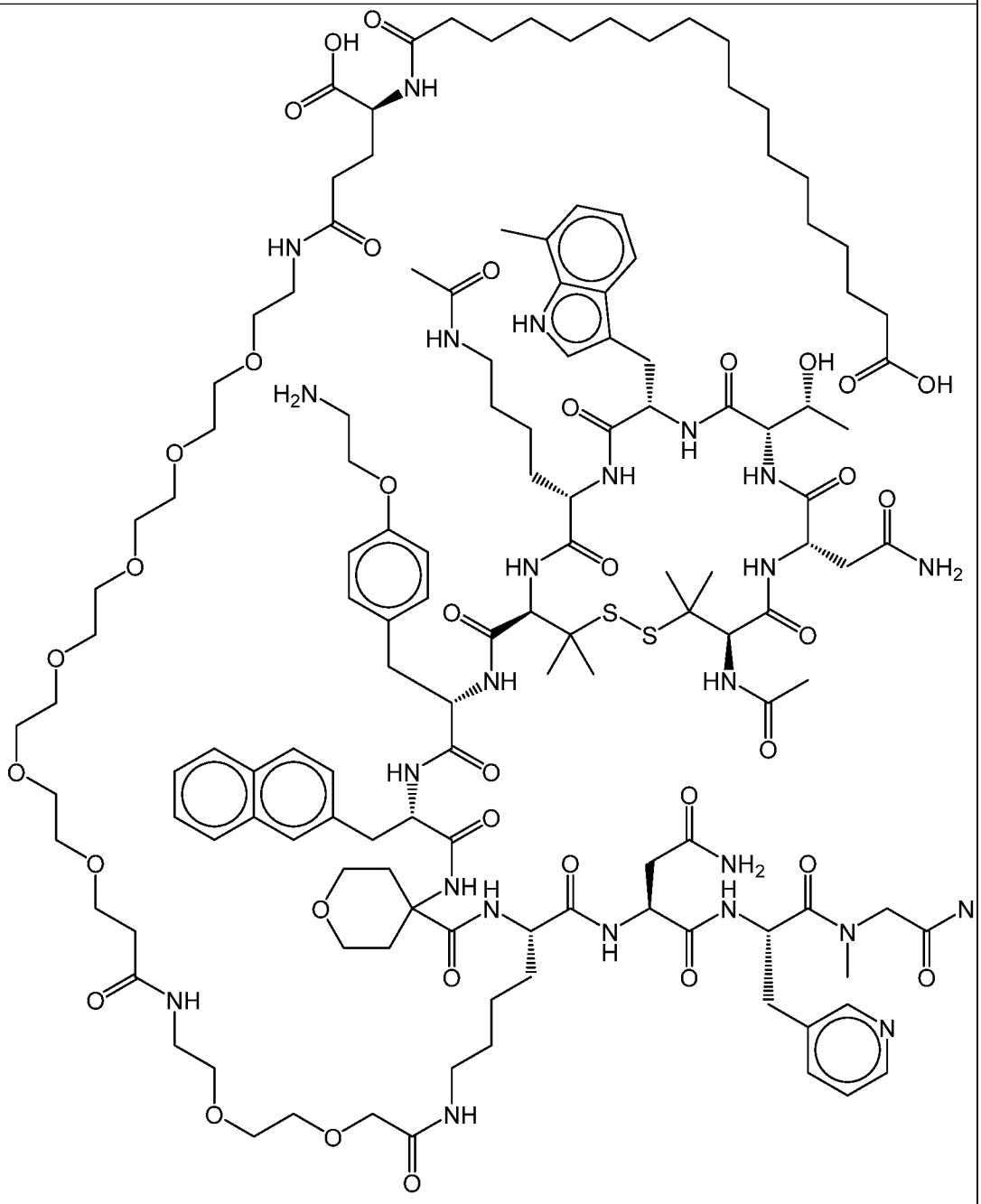
SEQ ID	Structure
34	 (Example 34)

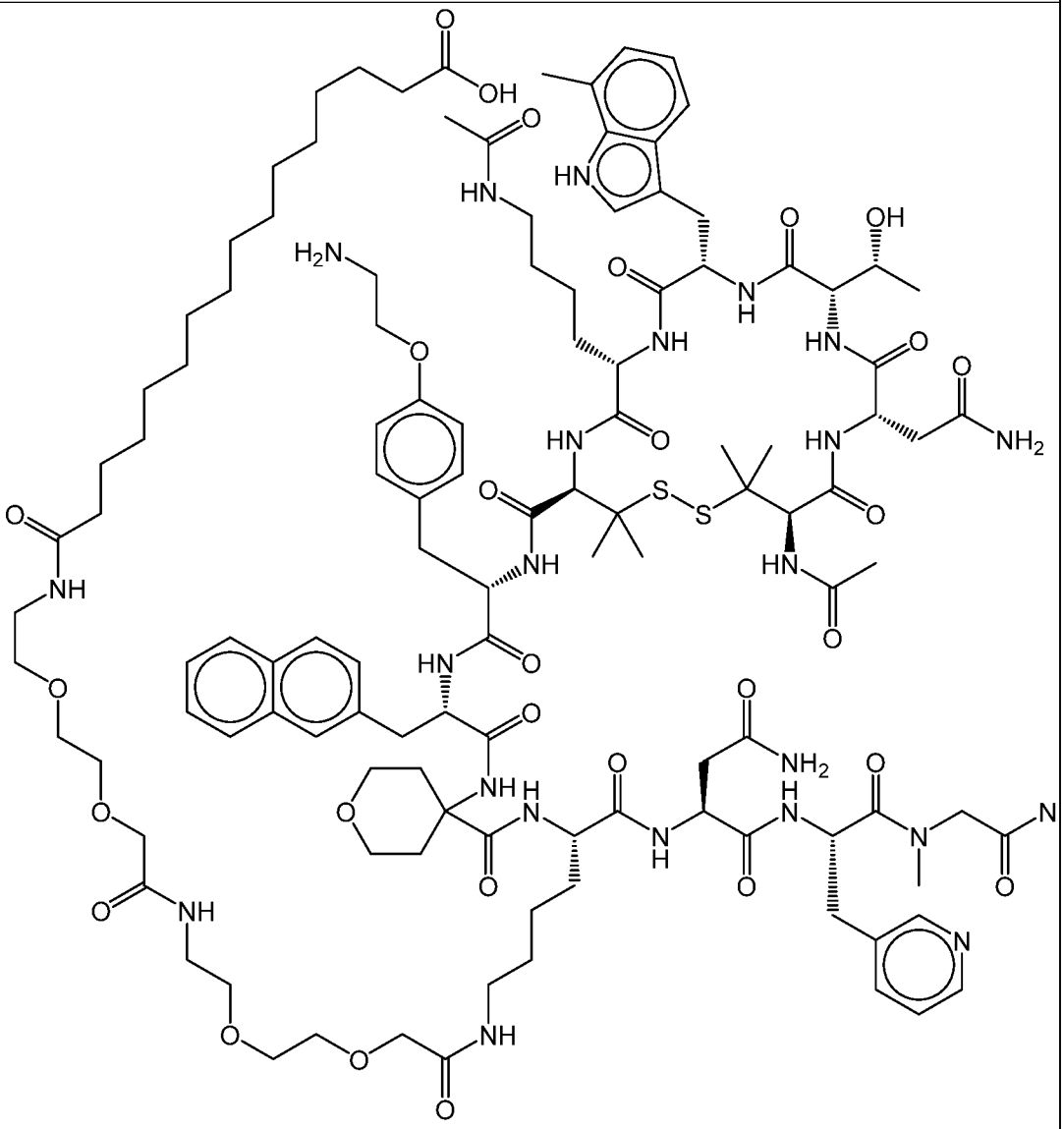
SEQ ID	Structure
35	 (Example 35)

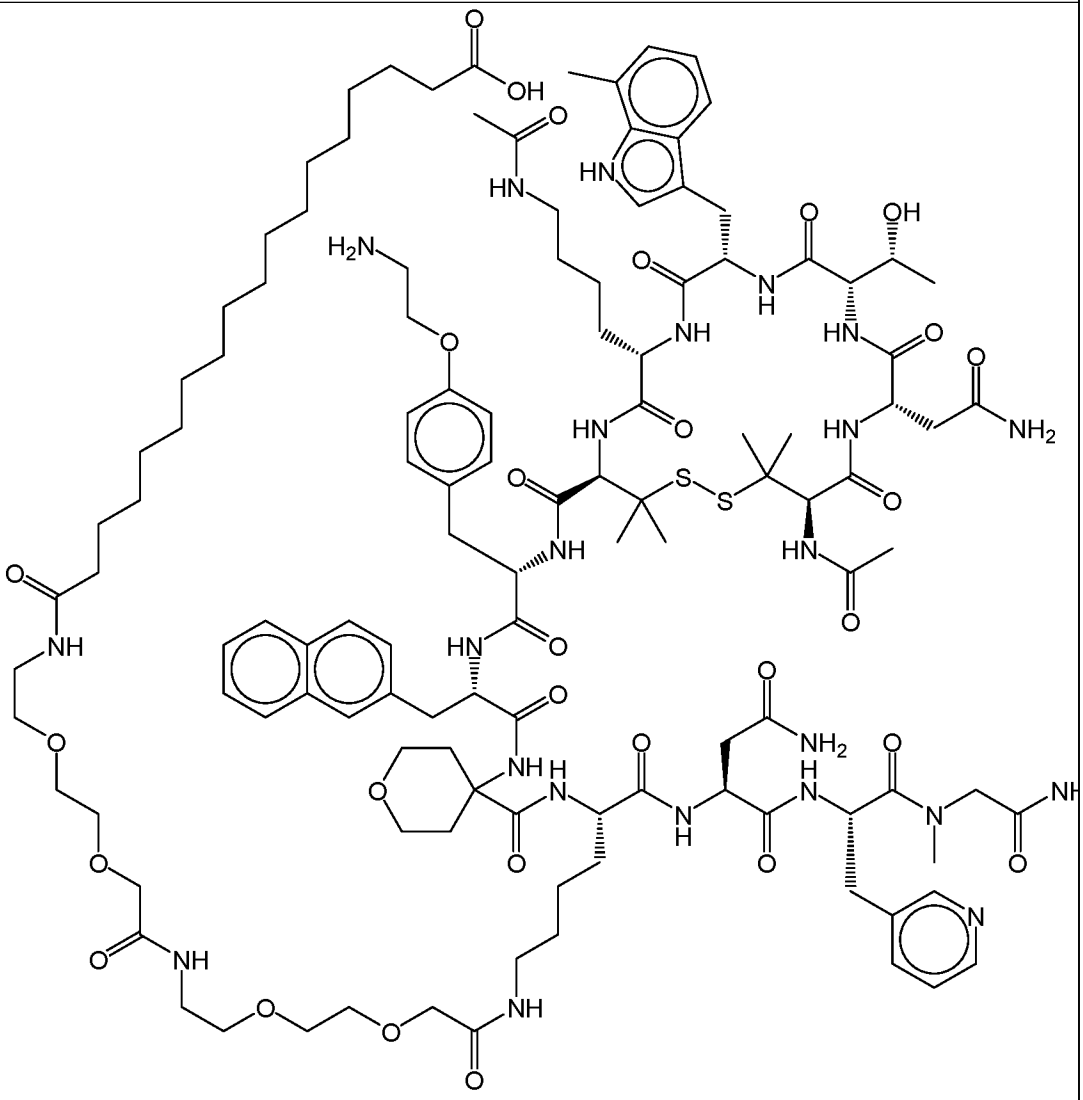
SEQ ID	Structure
36	 (Example 36)

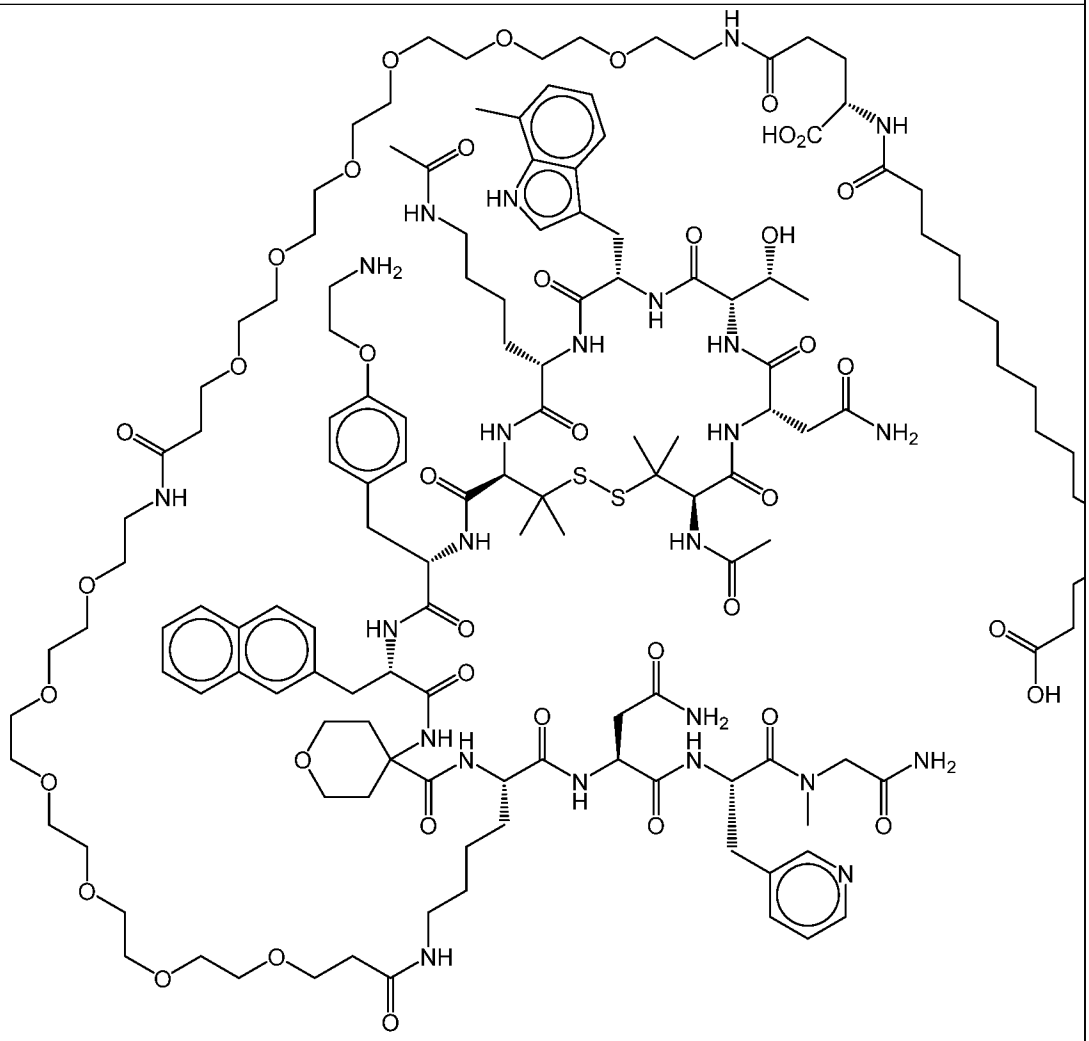
SEQ ID	Structure
37	 (Example 37)

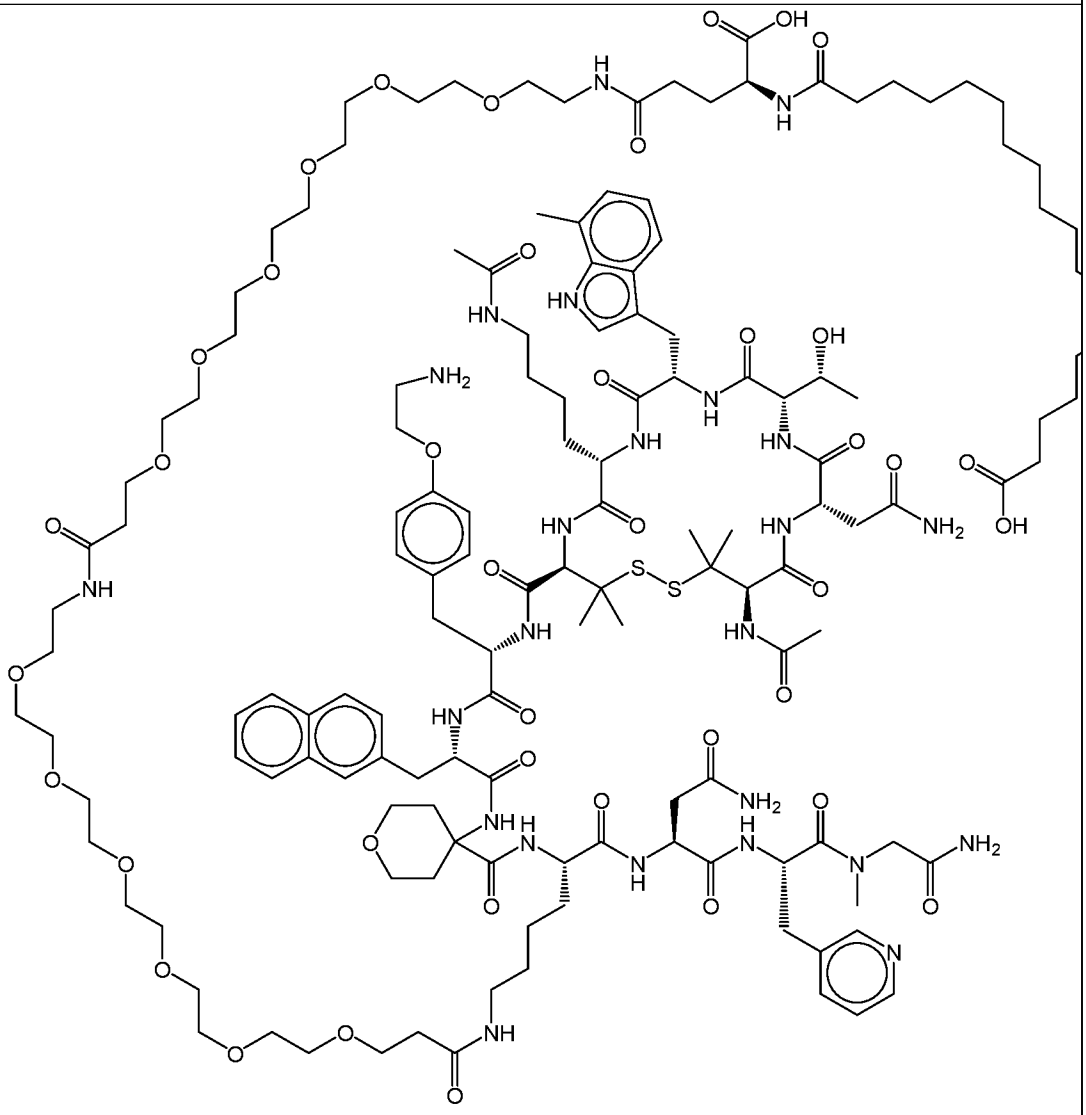
SEQ ID	Structure
38	 (Example 38)

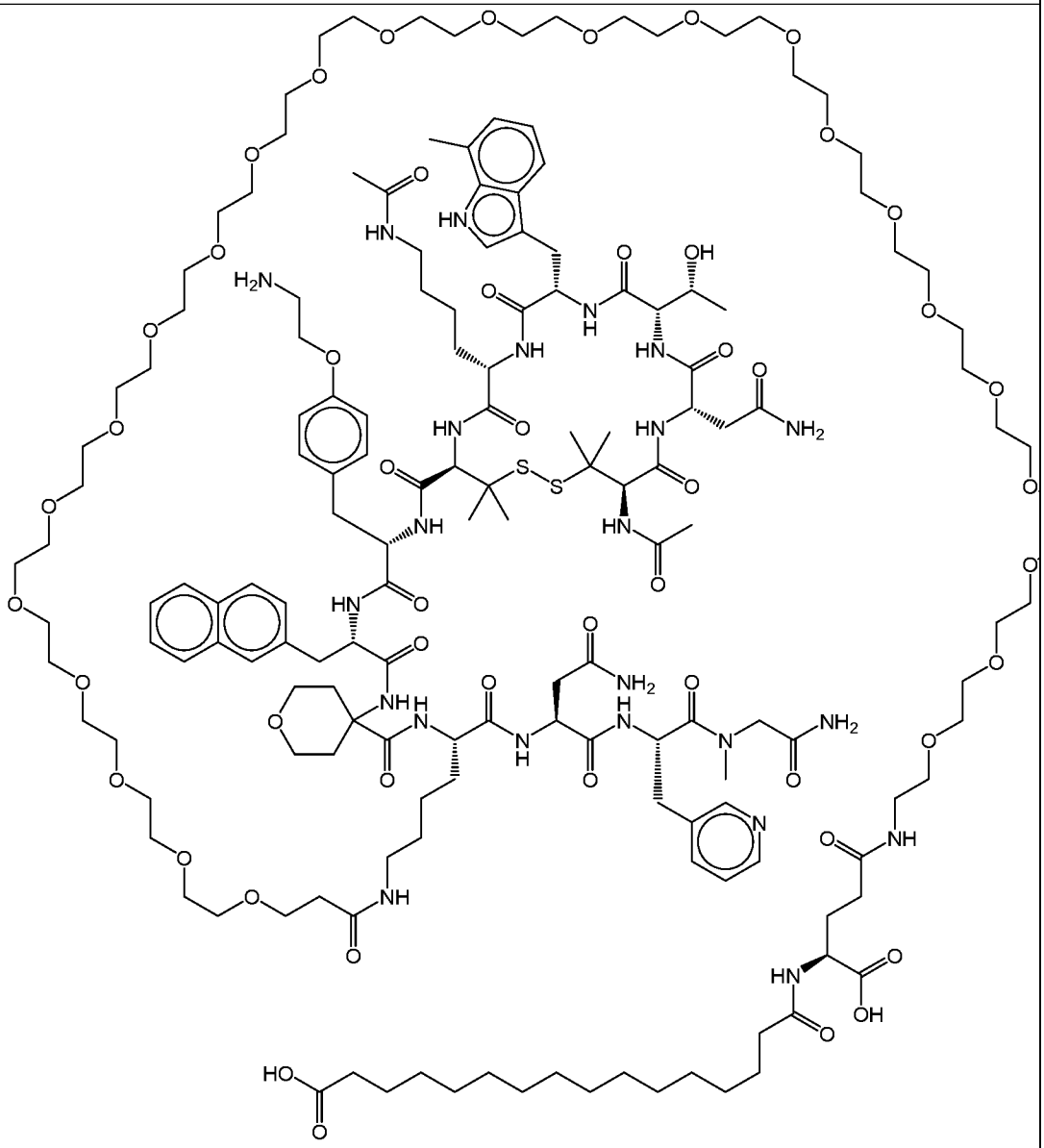
SEQ ID	Structure
39	 (Example 39)

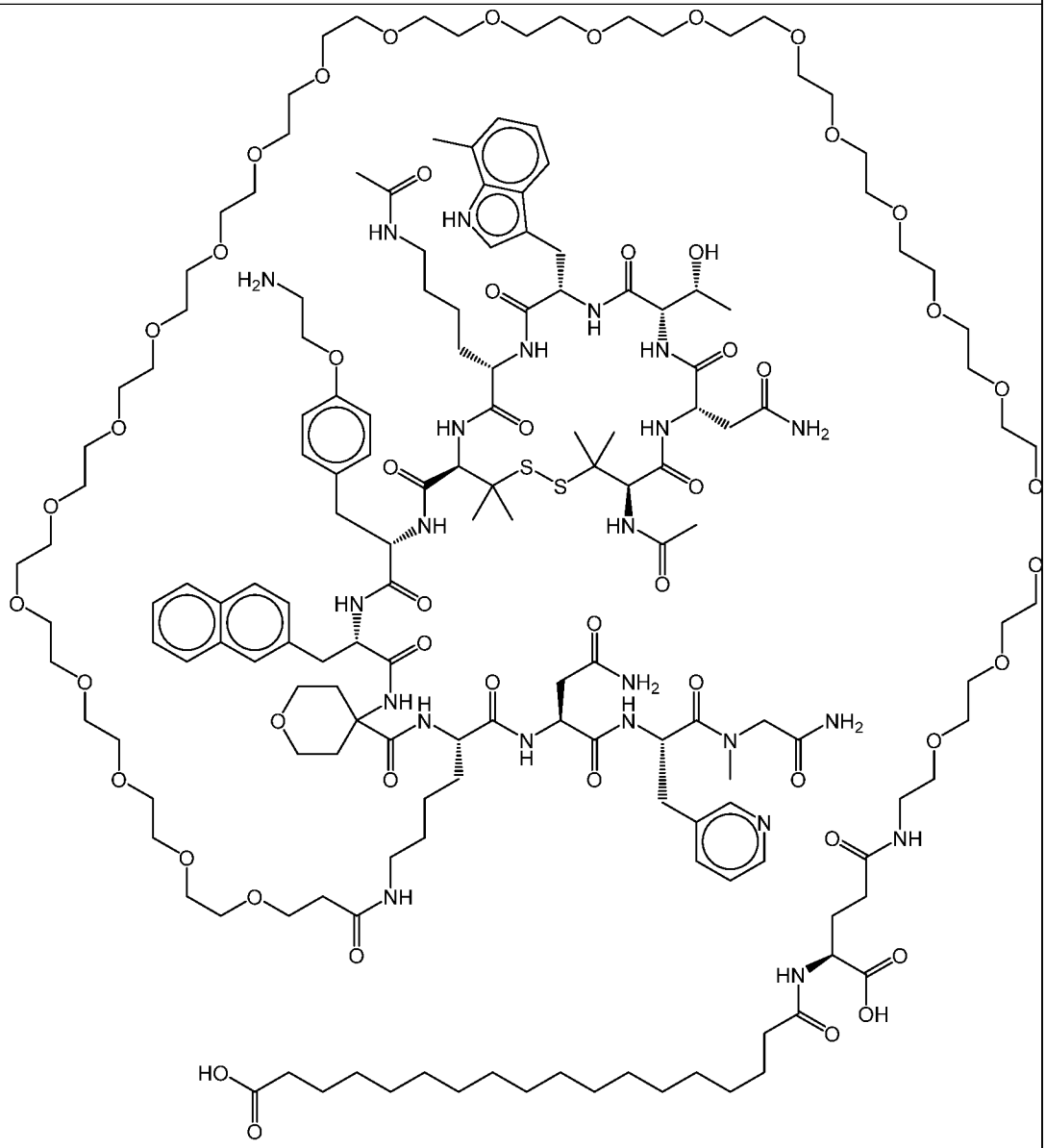
SEQ ID	Structure
40	 (Example 40)

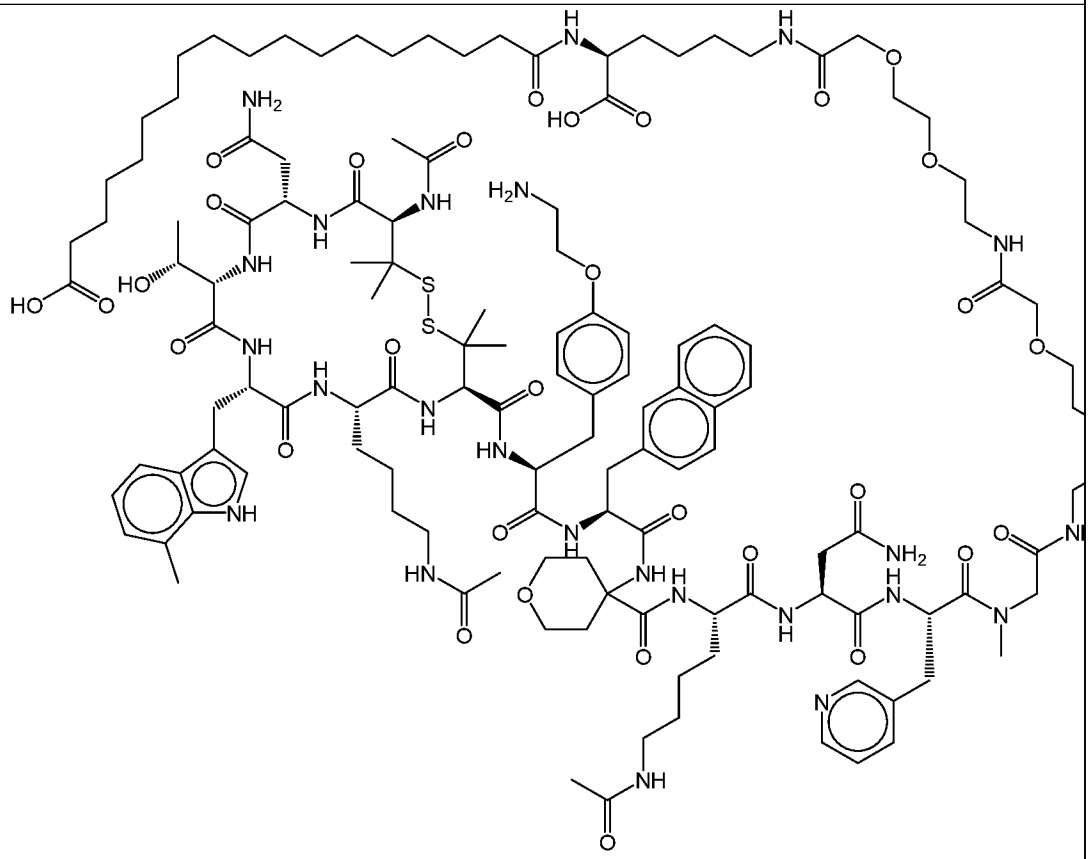
SEQ ID	Structure
41	 (Example 41)

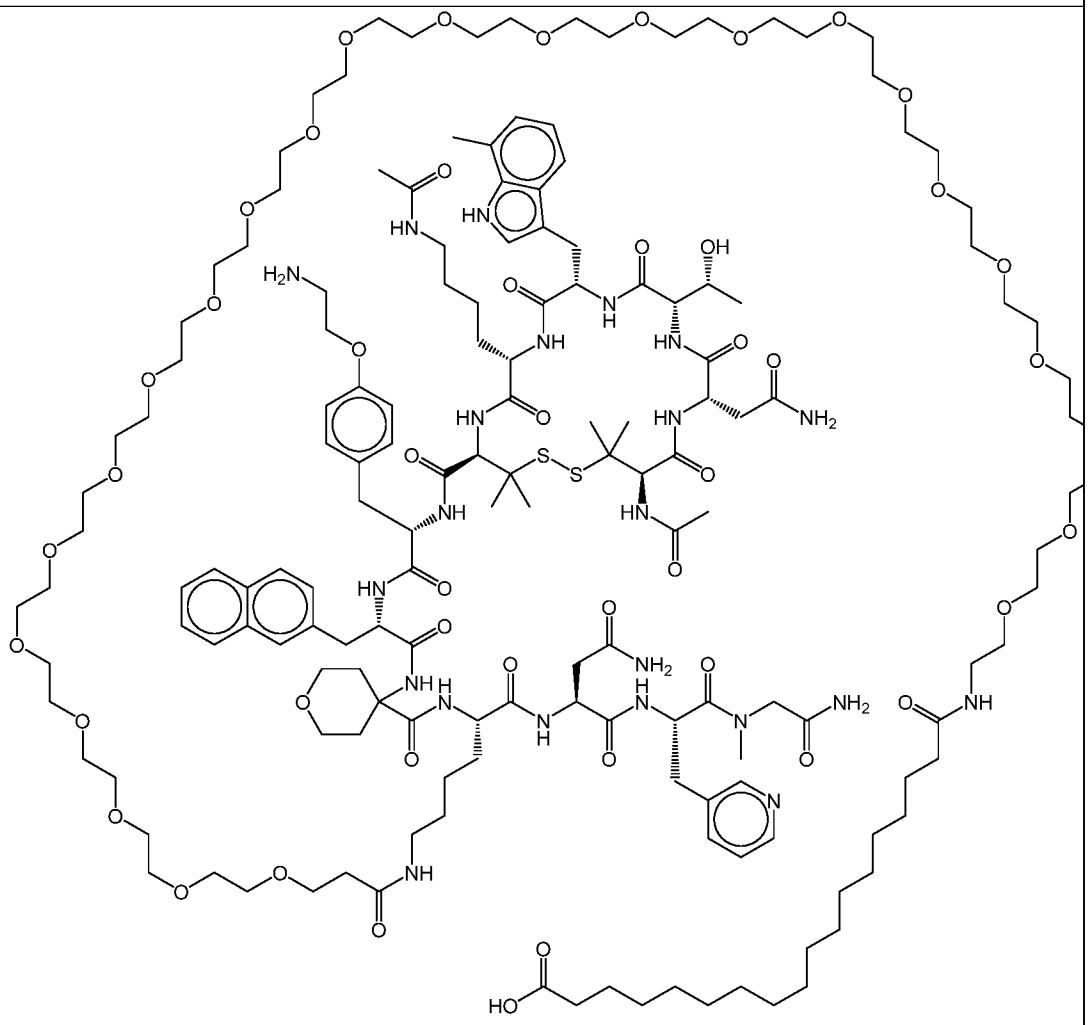
SEQ ID	Structure
42	 (Example 42)

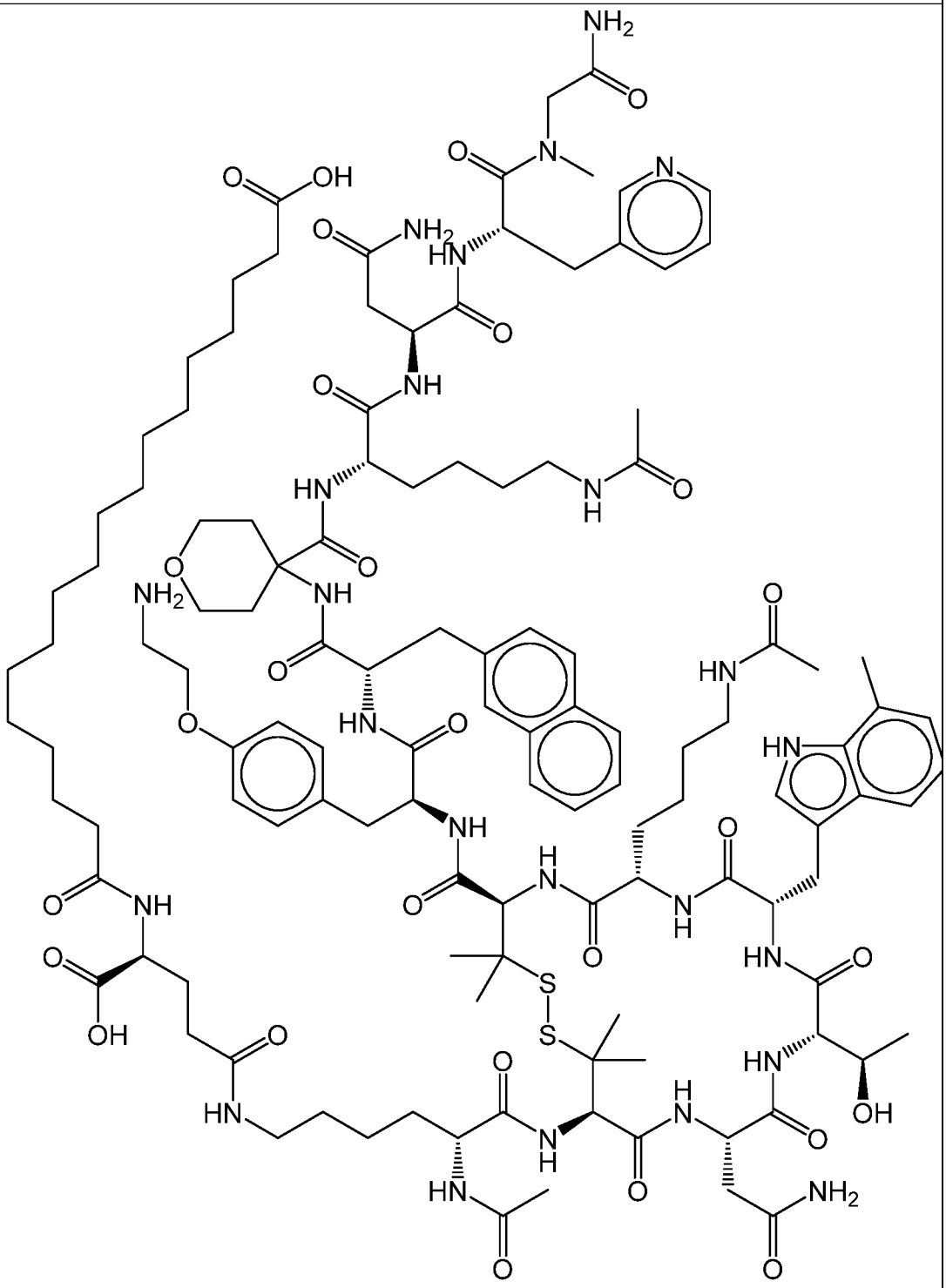
SEQ ID	Structure
43	 (Example 43)

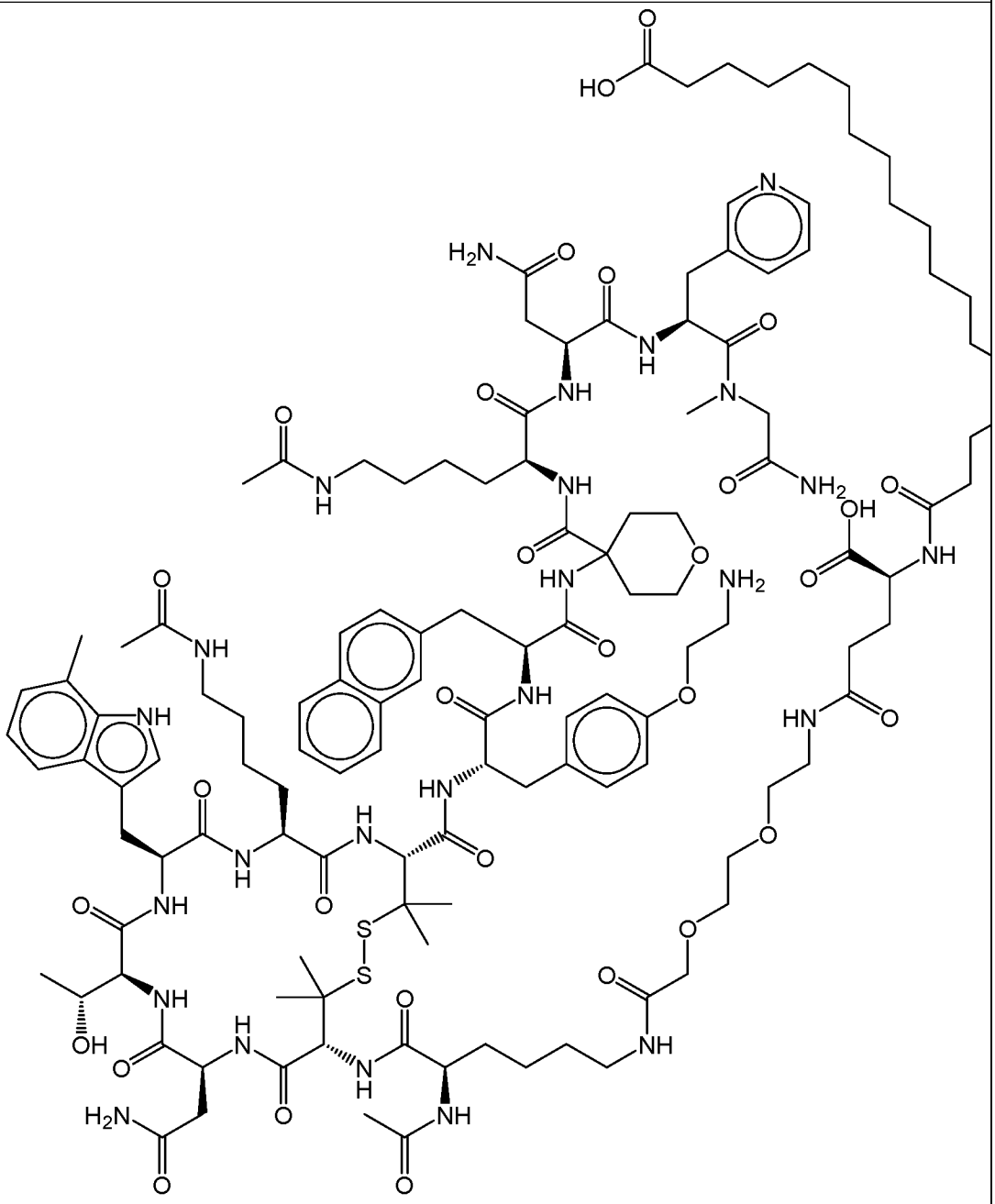
SEQ ID	Structure
44	 (Example 44)

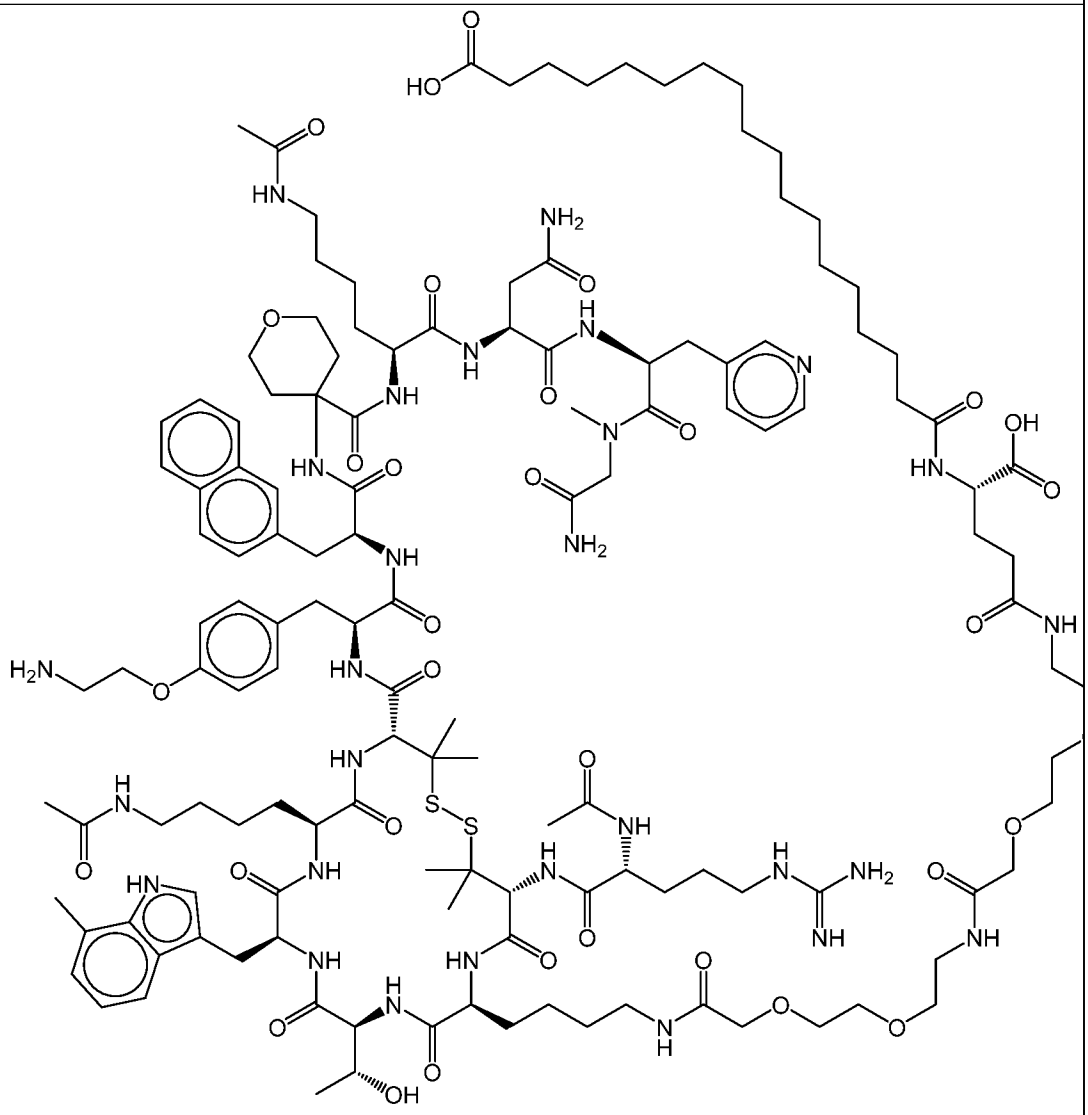
SEQ ID	Structure
45	 (Example 45)

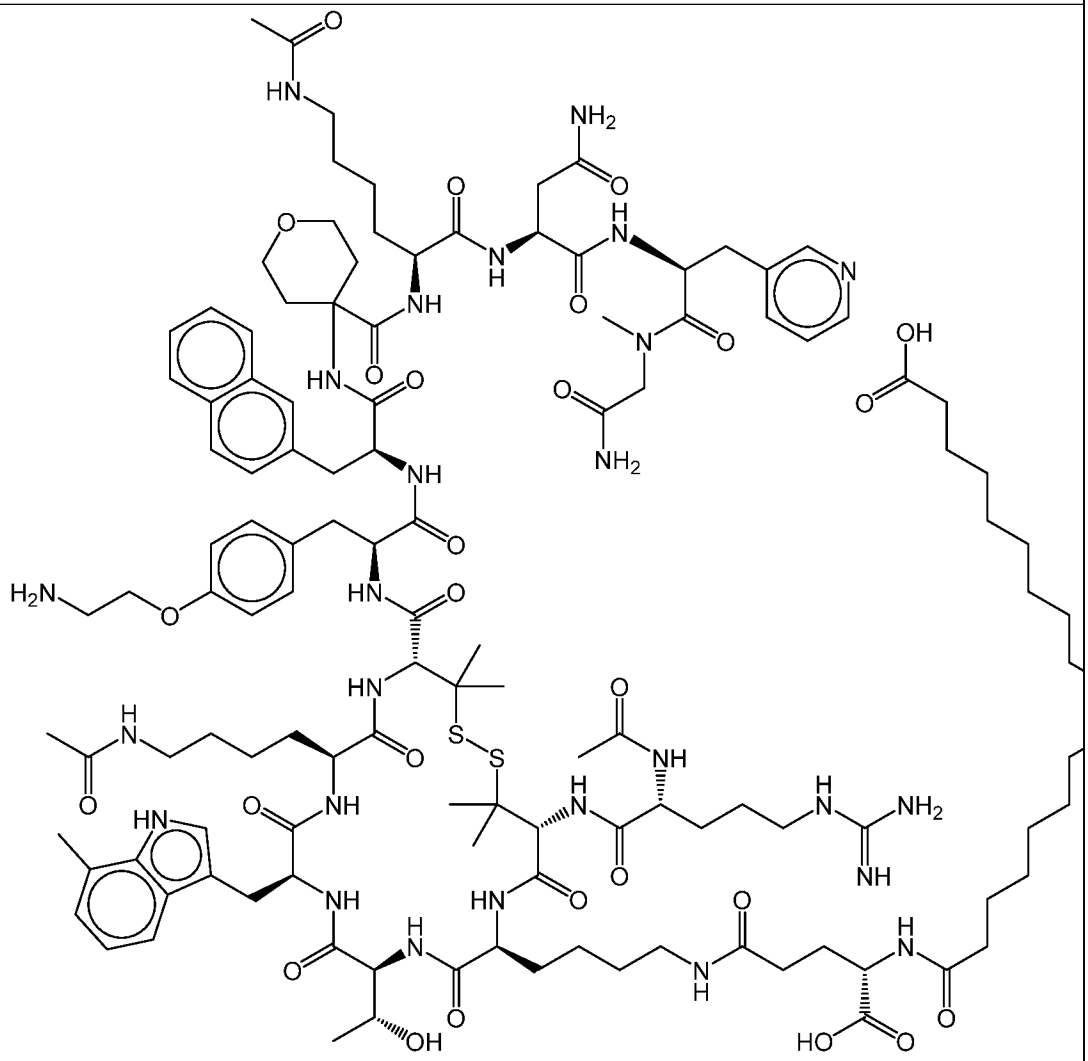
SEQ ID	Structure
46	 (Example 46)

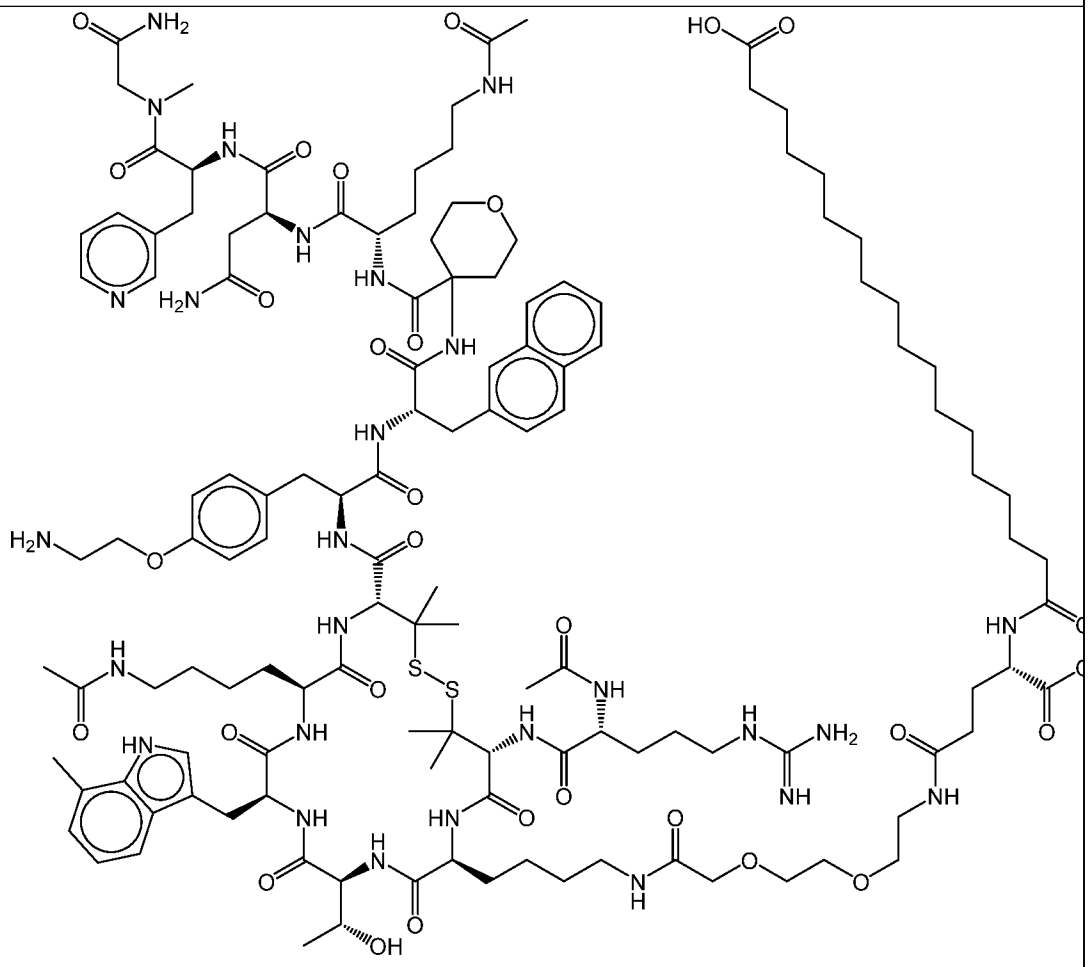
SEQ ID	Structure
47	 (Example 47)

SEQ ID	Structure
48	 (Example 48)

SEQ ID	Structure
49	 (Example 49)

SEQ ID	Structure
50	 (Example 50)

SEQ ID	Structure
51	 (Example 51)

SEQ ID	Structure
52	 (Example 52)

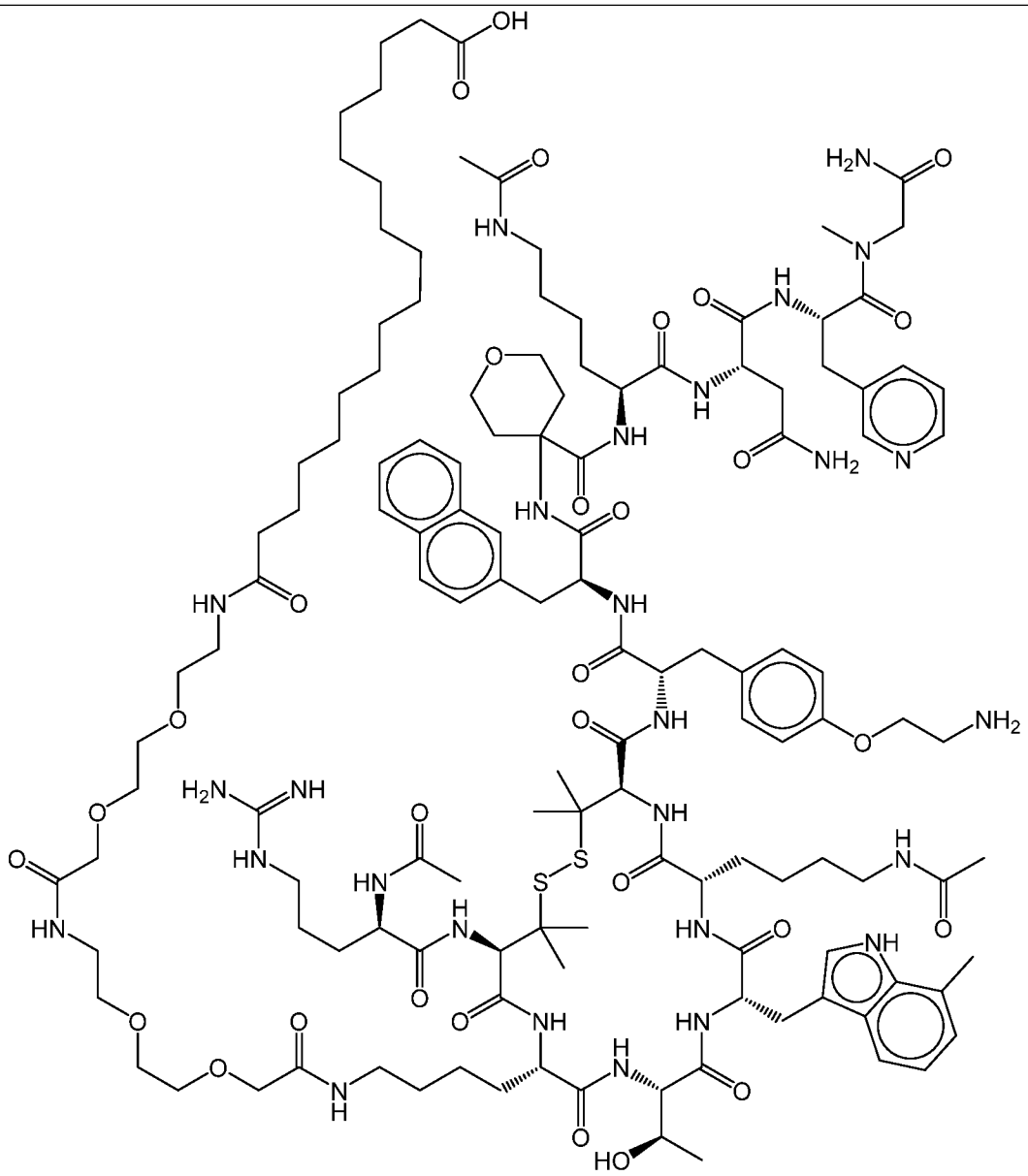
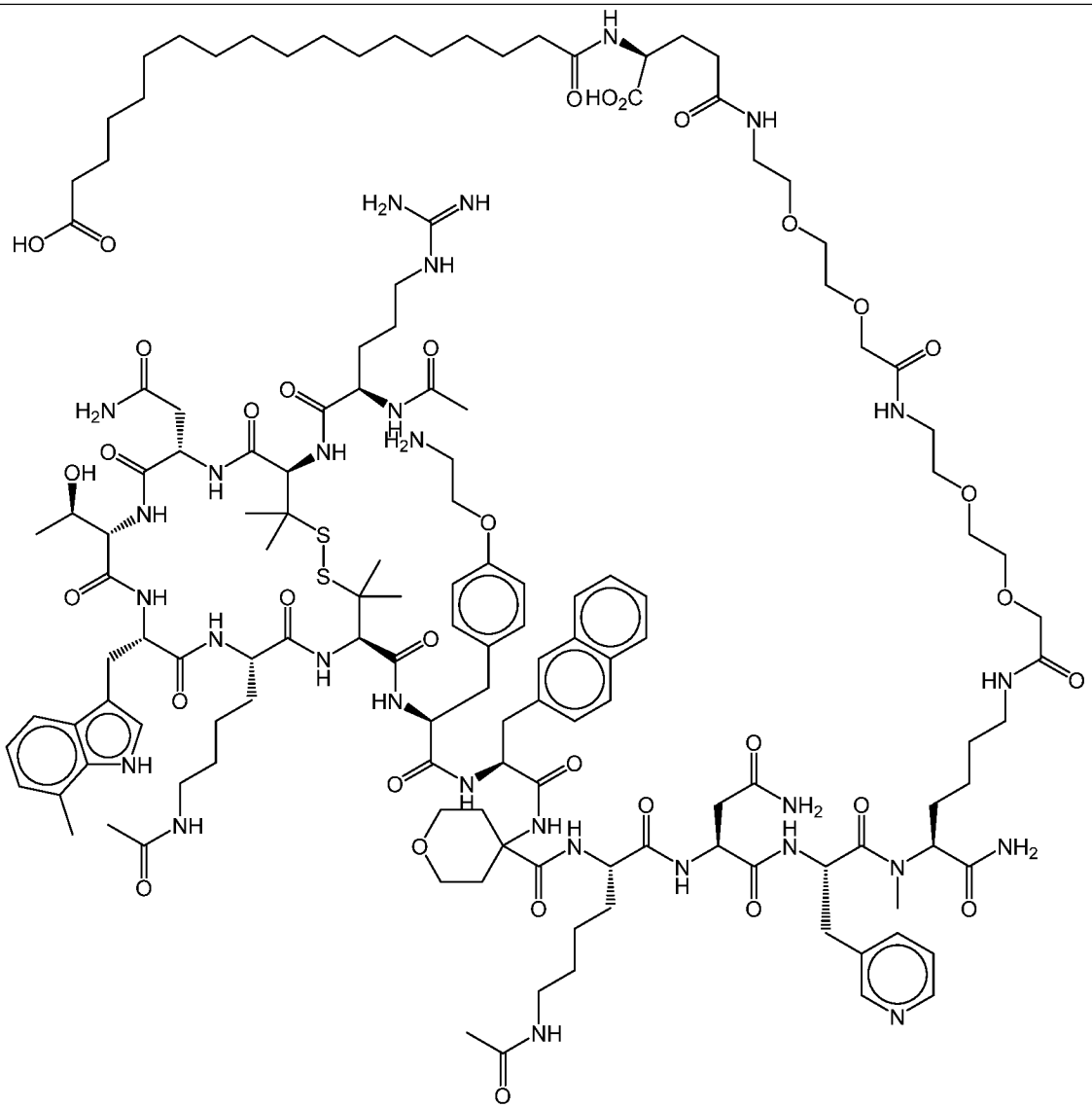
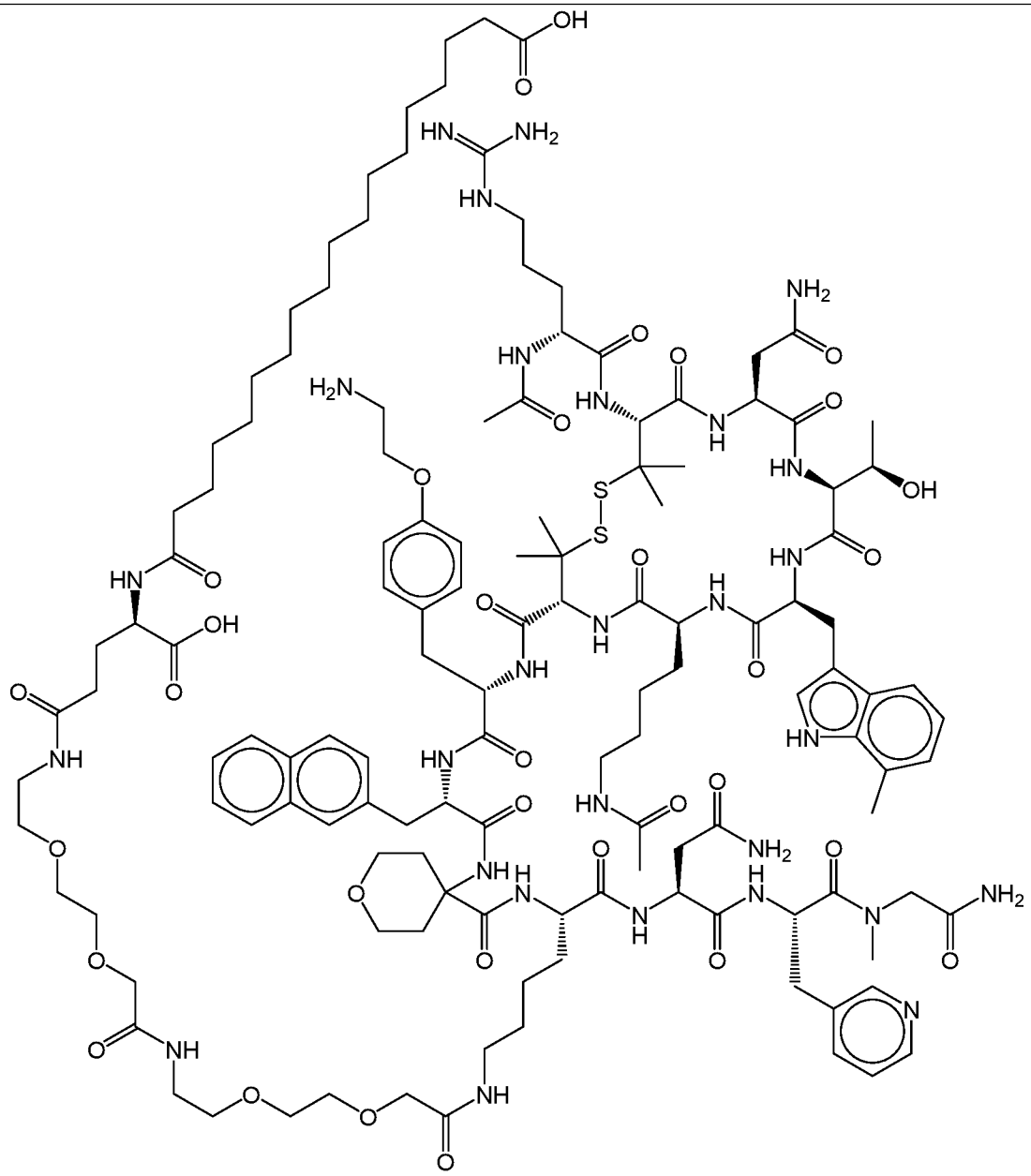
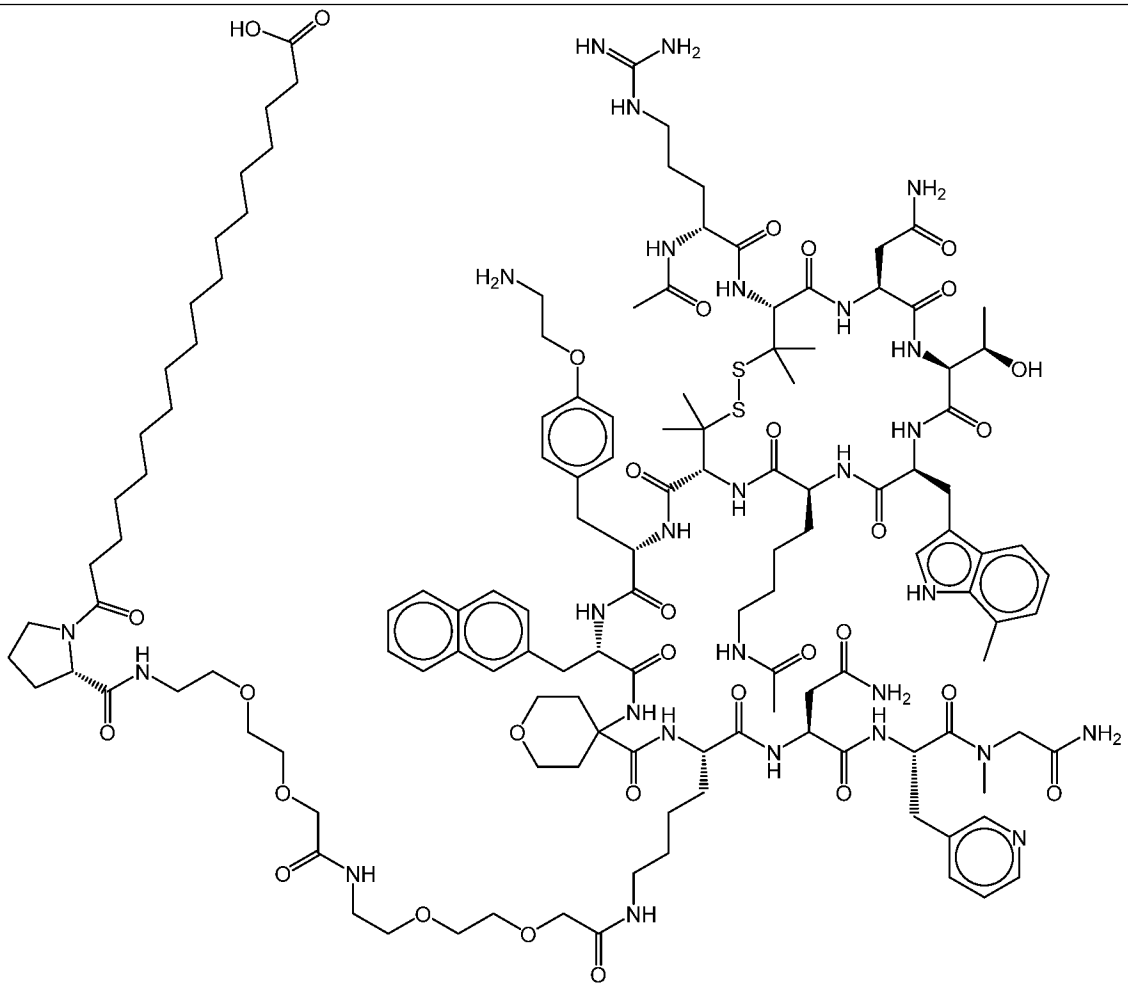
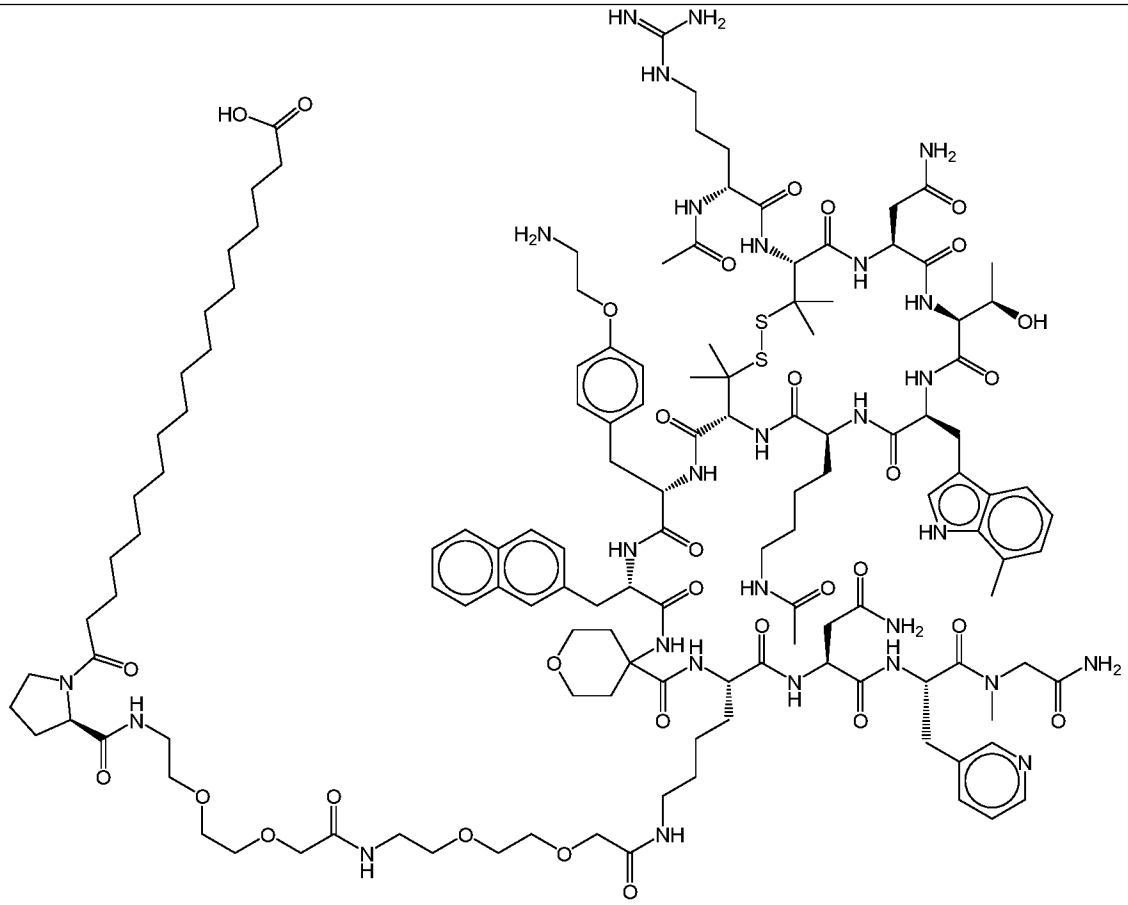
SEQ ID	Structure
53	 (Example 53)

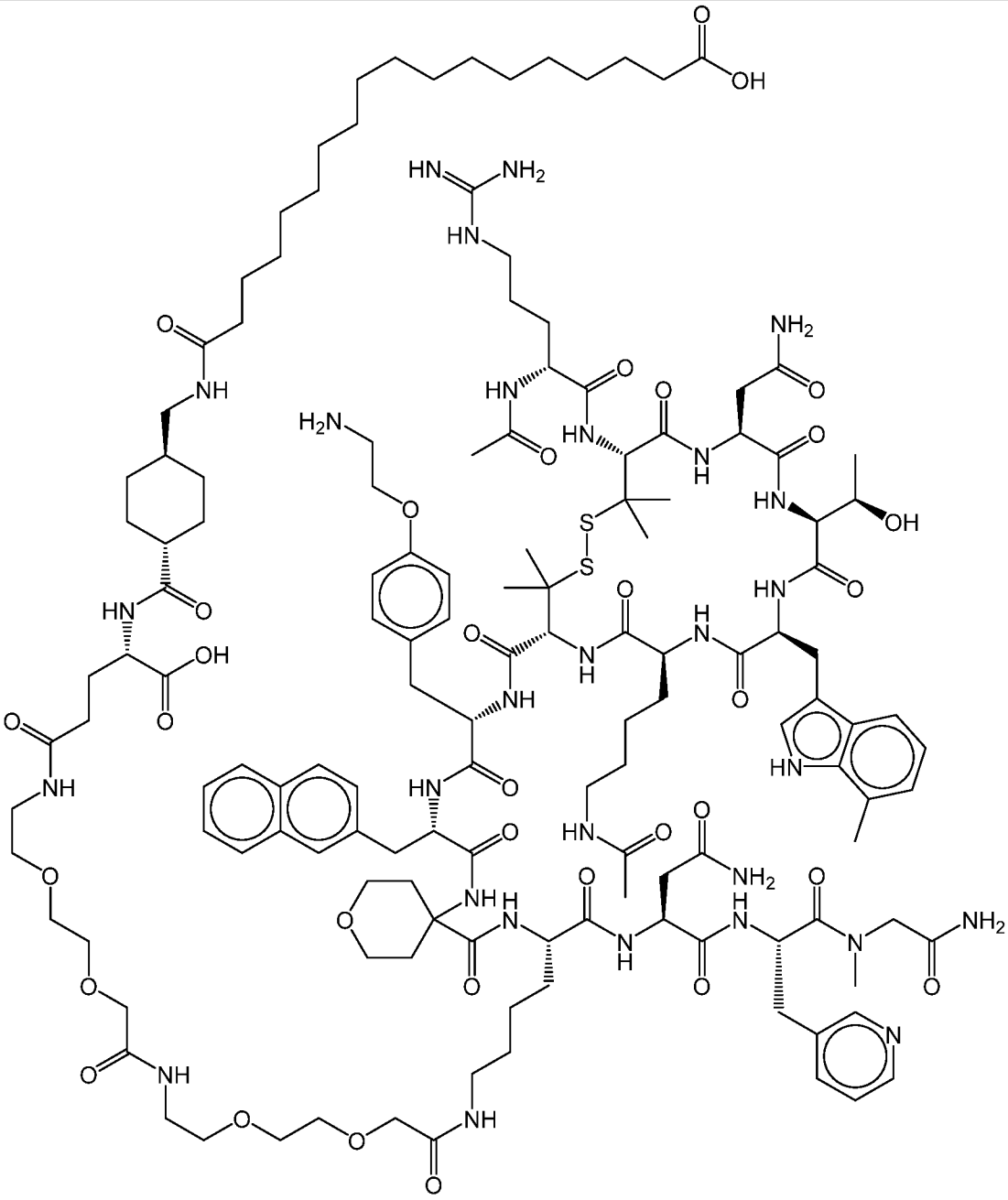
Table 1C. Compounds

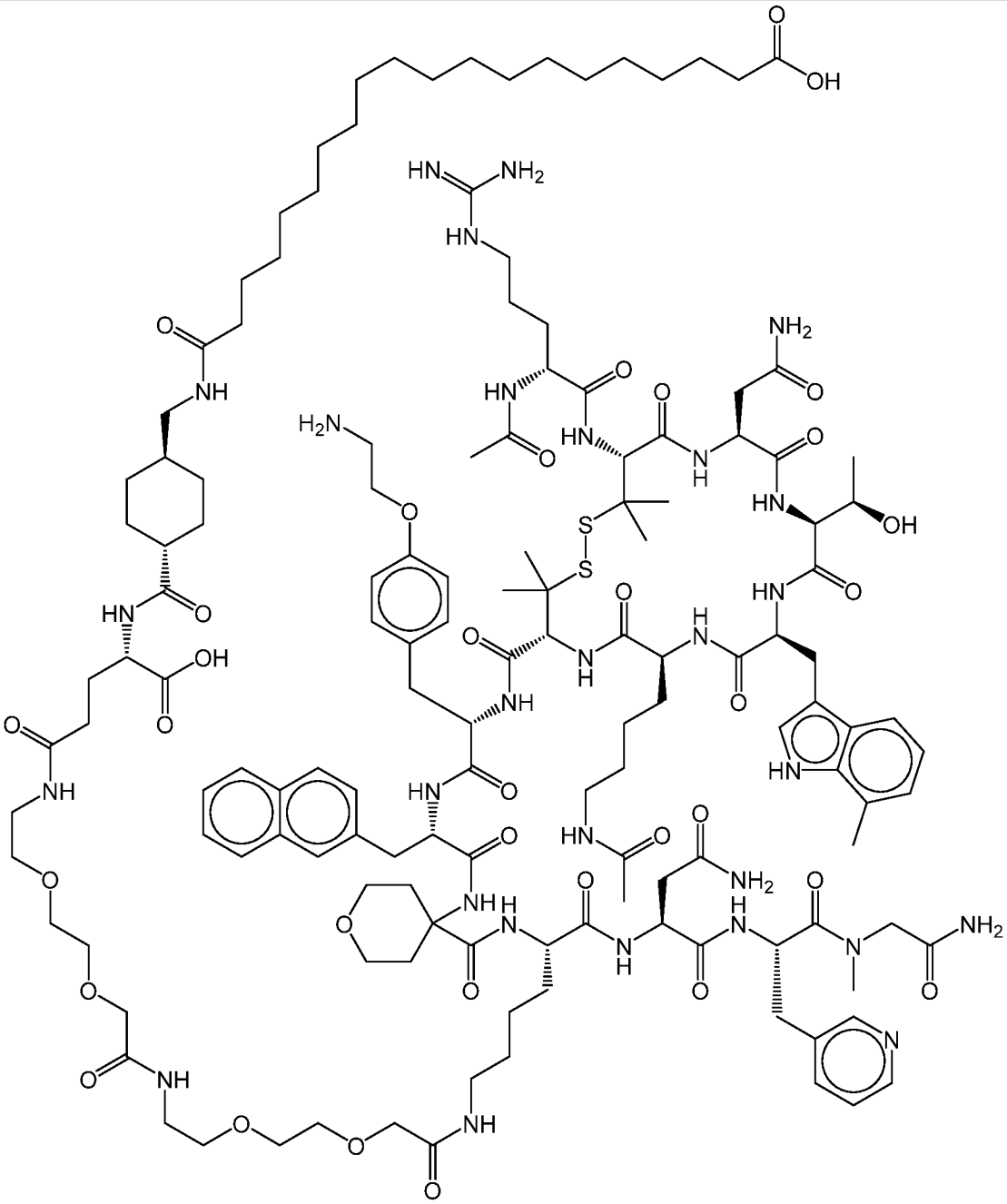
SEQ ID	Structure
54	 (Example 54)

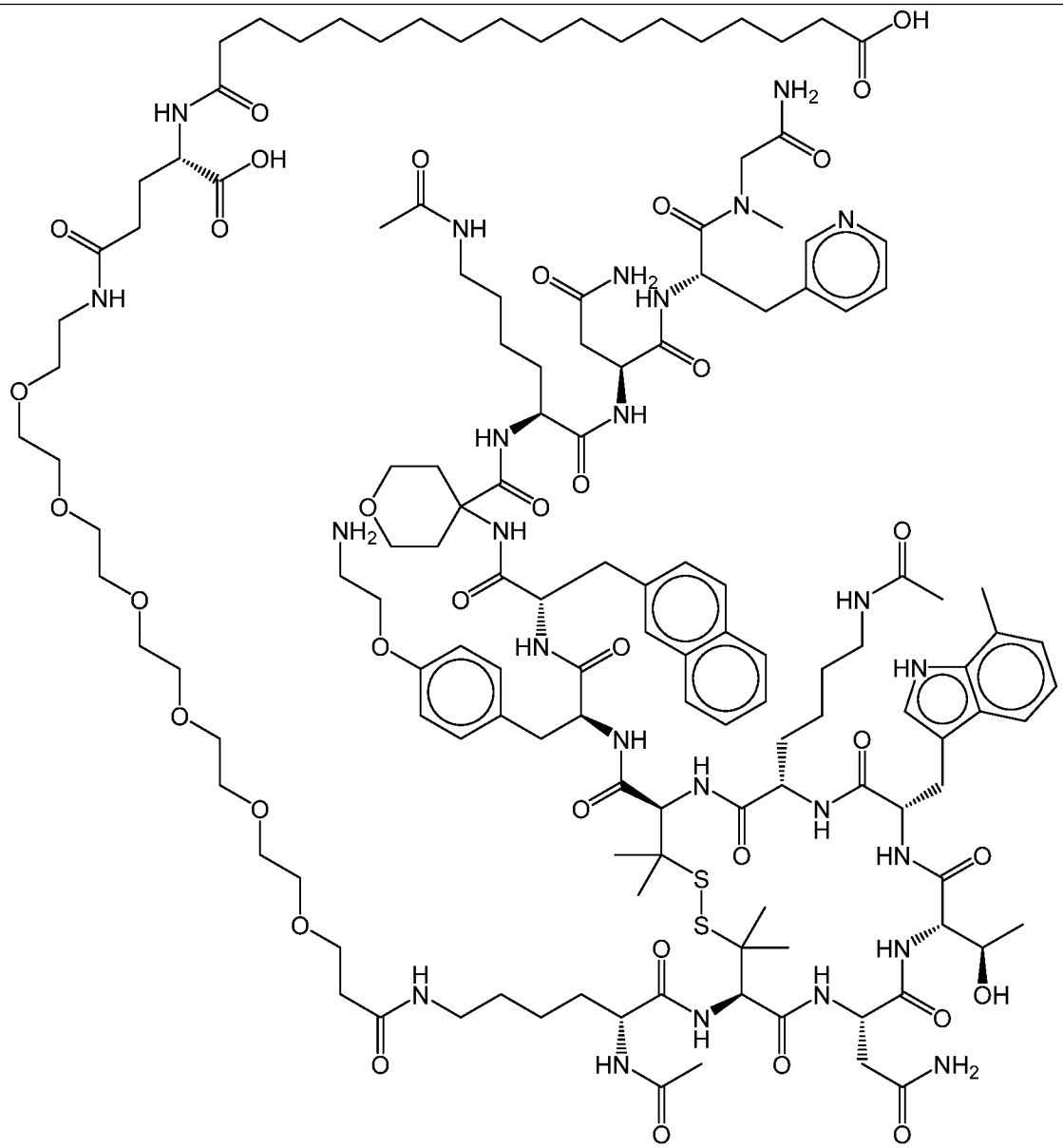
SEQ ID	Structure
55	 (Example 55)

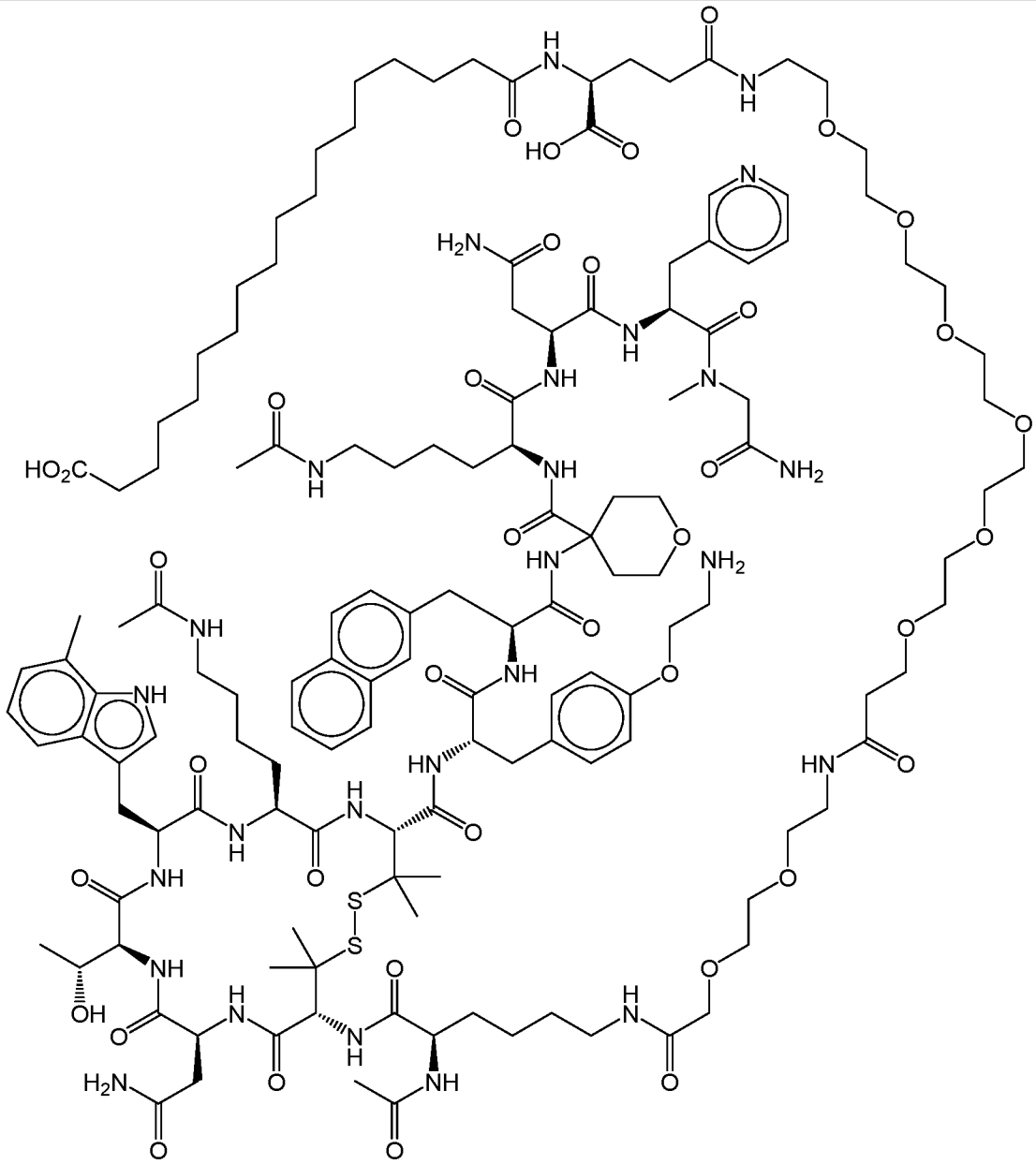
SEQ ID	Structure
56	 (Example 56)

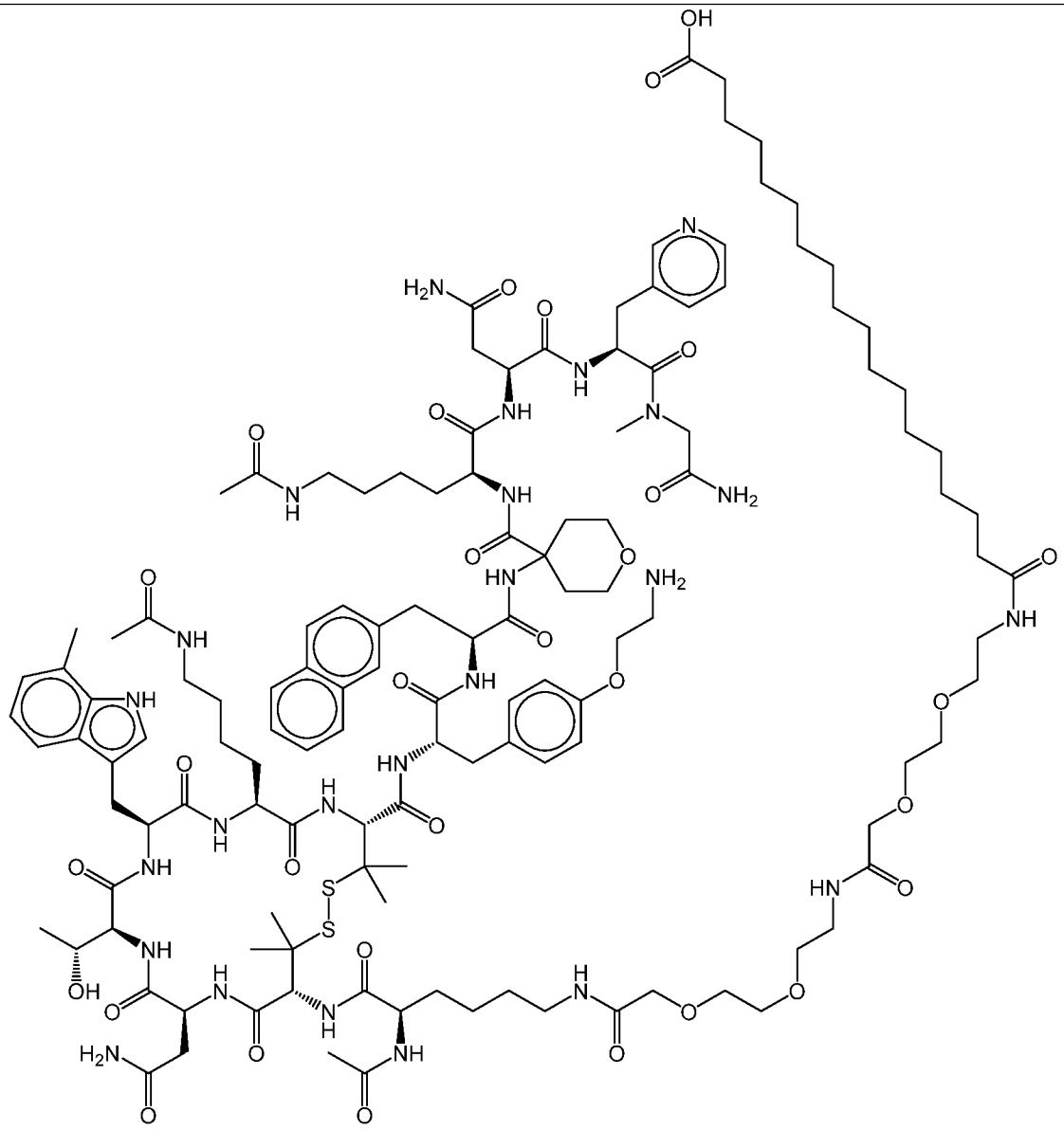
SEQ ID	Structure
57	 (Example 57)

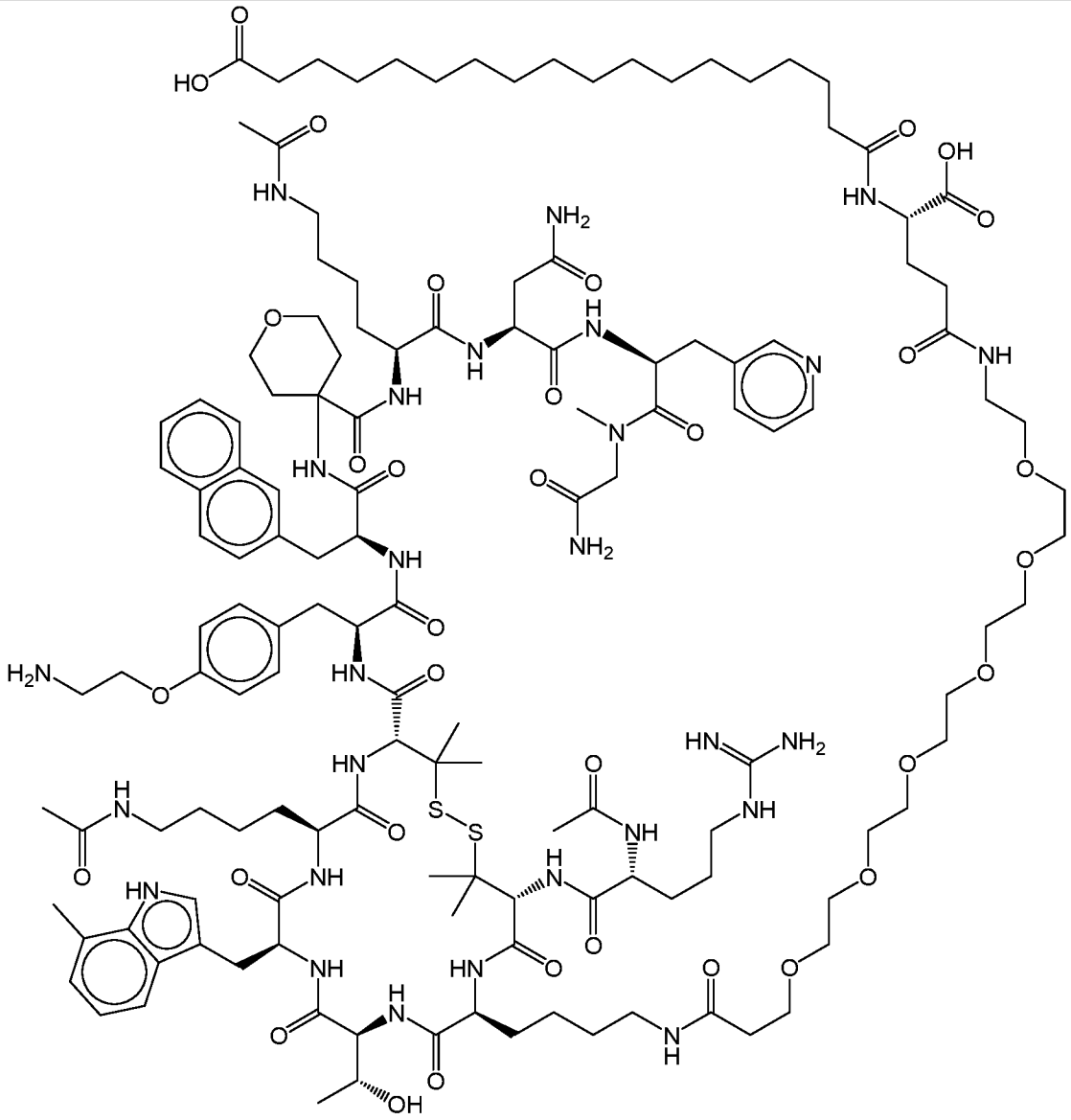
SEQ ID	Structure
58	 (Example 58)

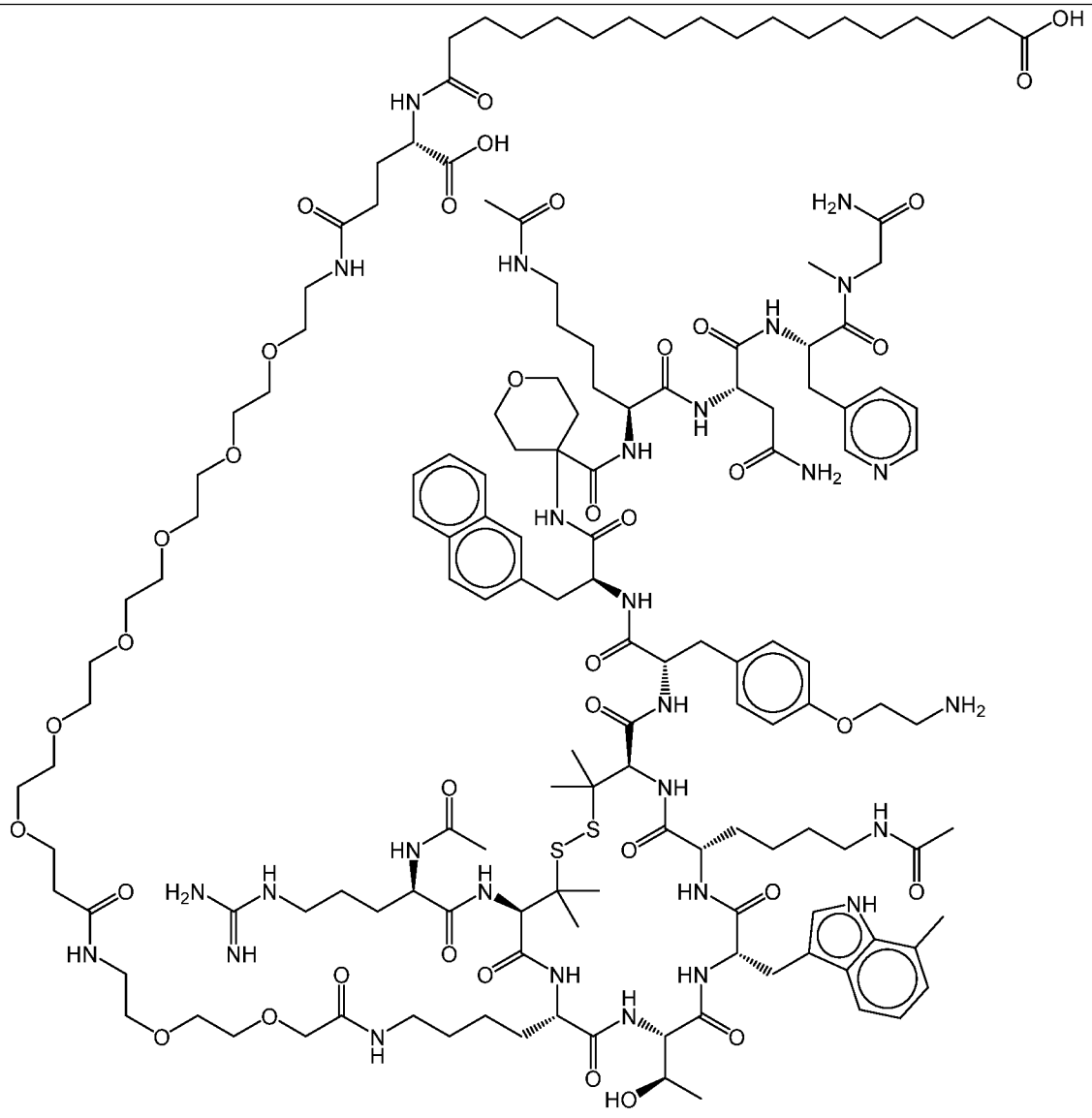
SEQ ID	Structure
59	 (Example 59)

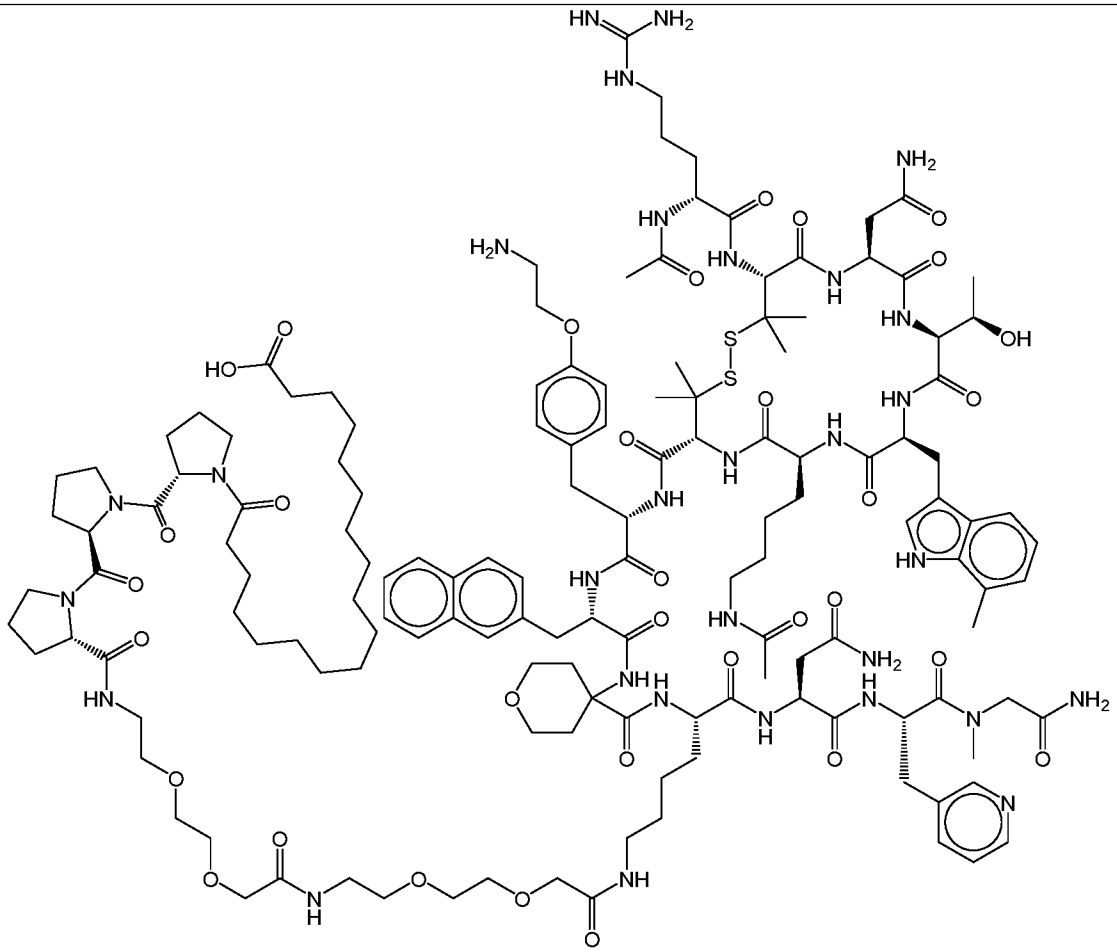
SEQ ID	Structure
60	 (Example 60)

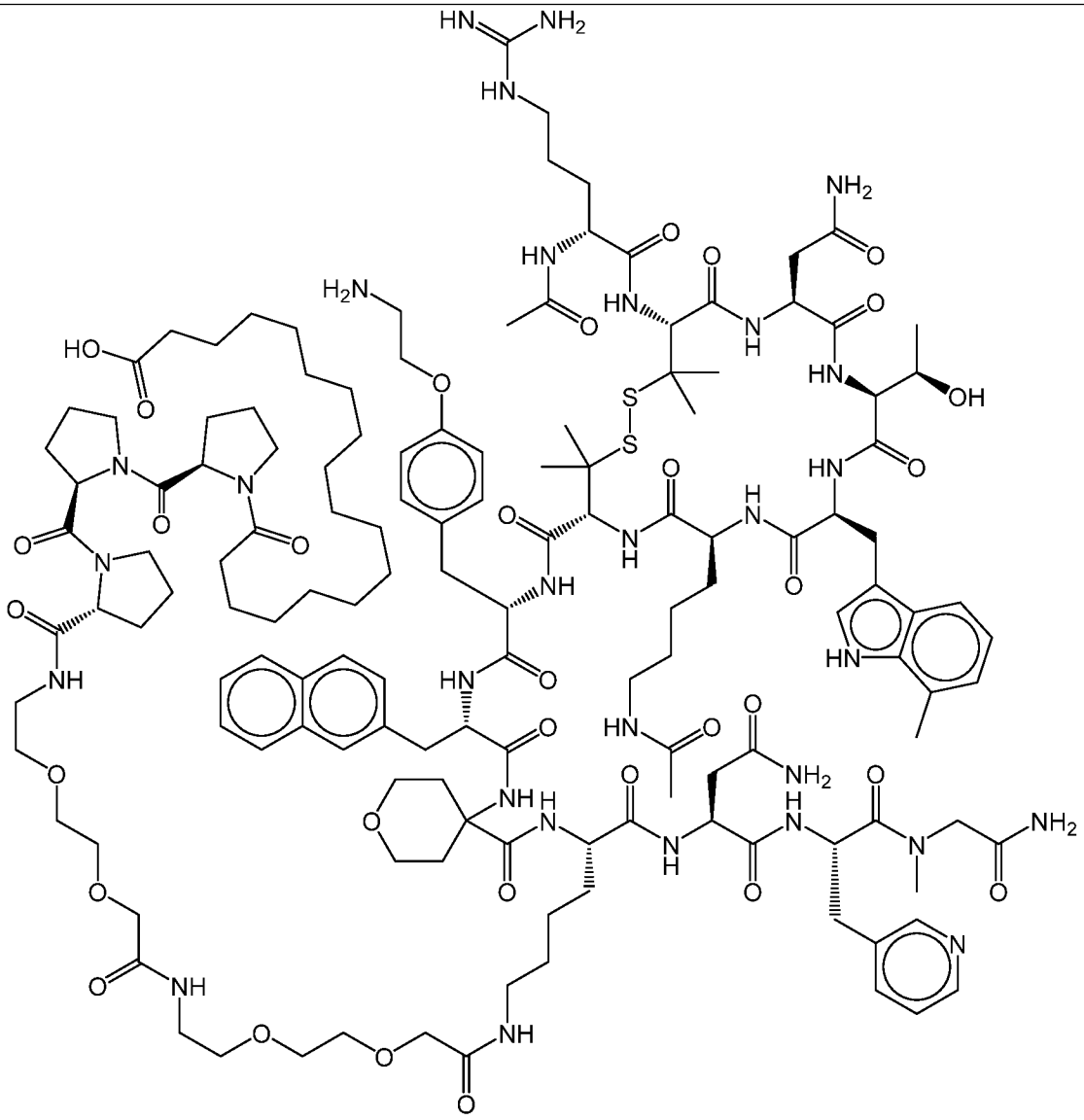
SEQ ID	Structure
61	 (Example 61)

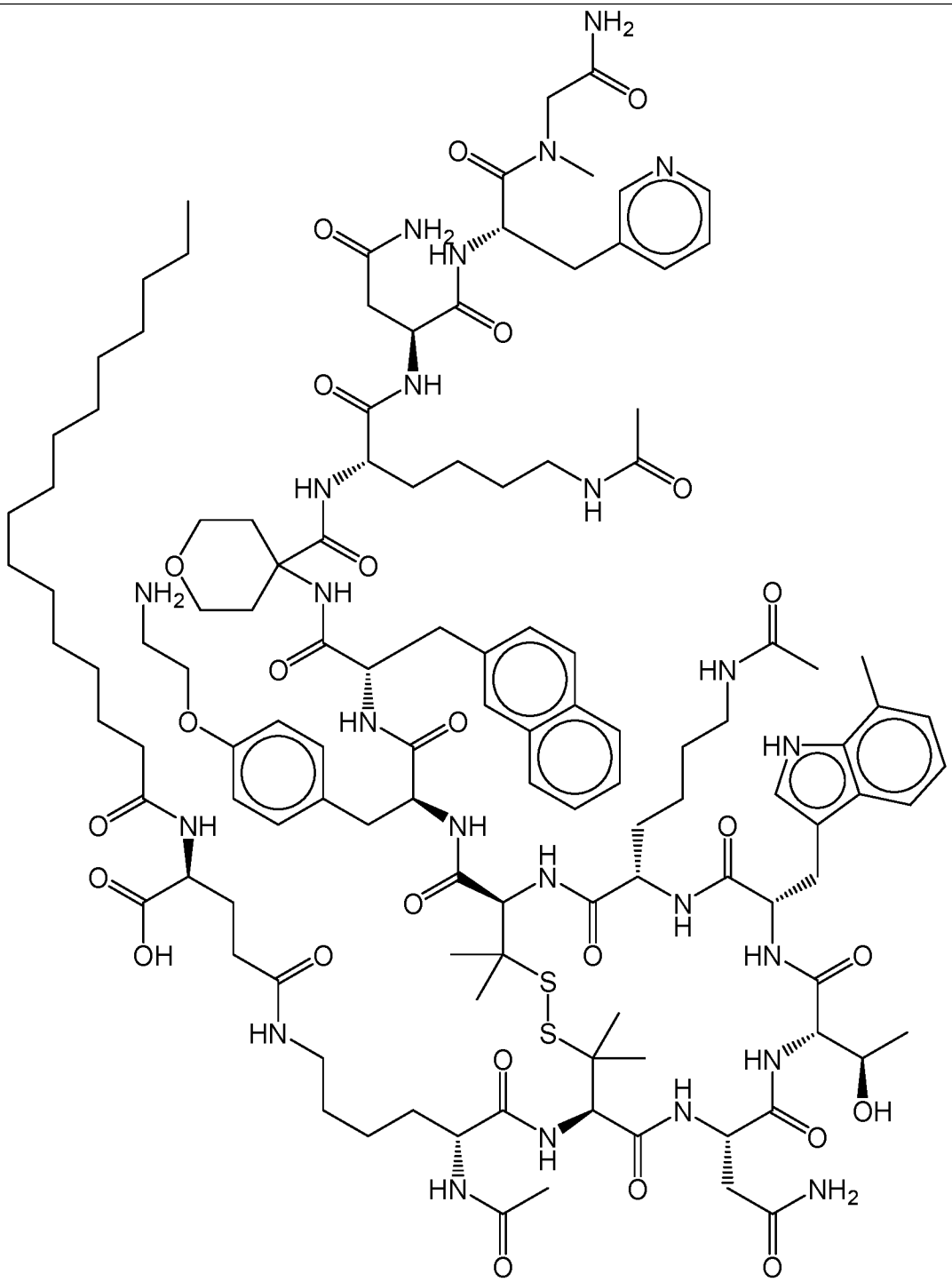
SEQ ID	Structure
62	 (Example 62)

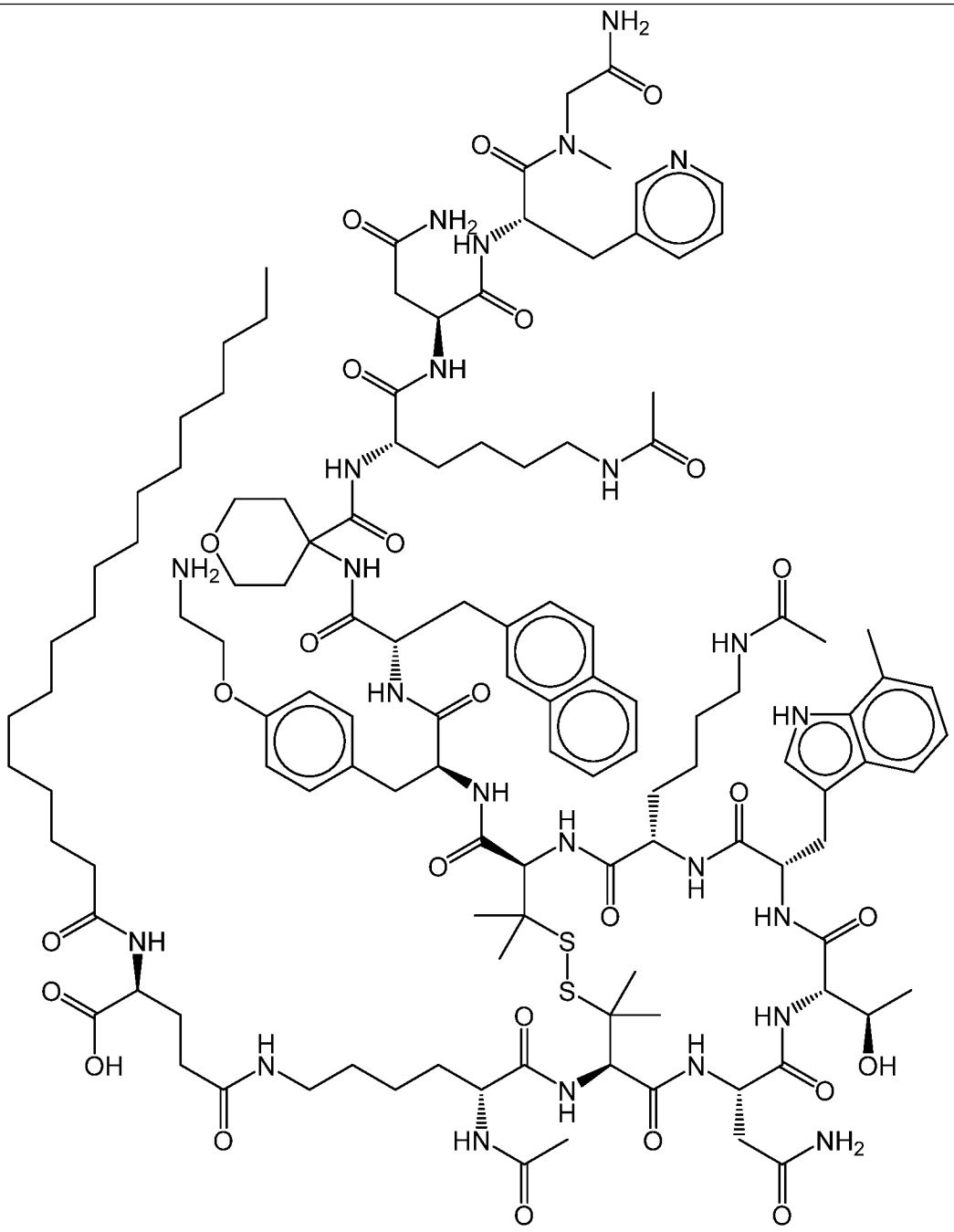
SEQ ID	Structure
63	 (Example 63)

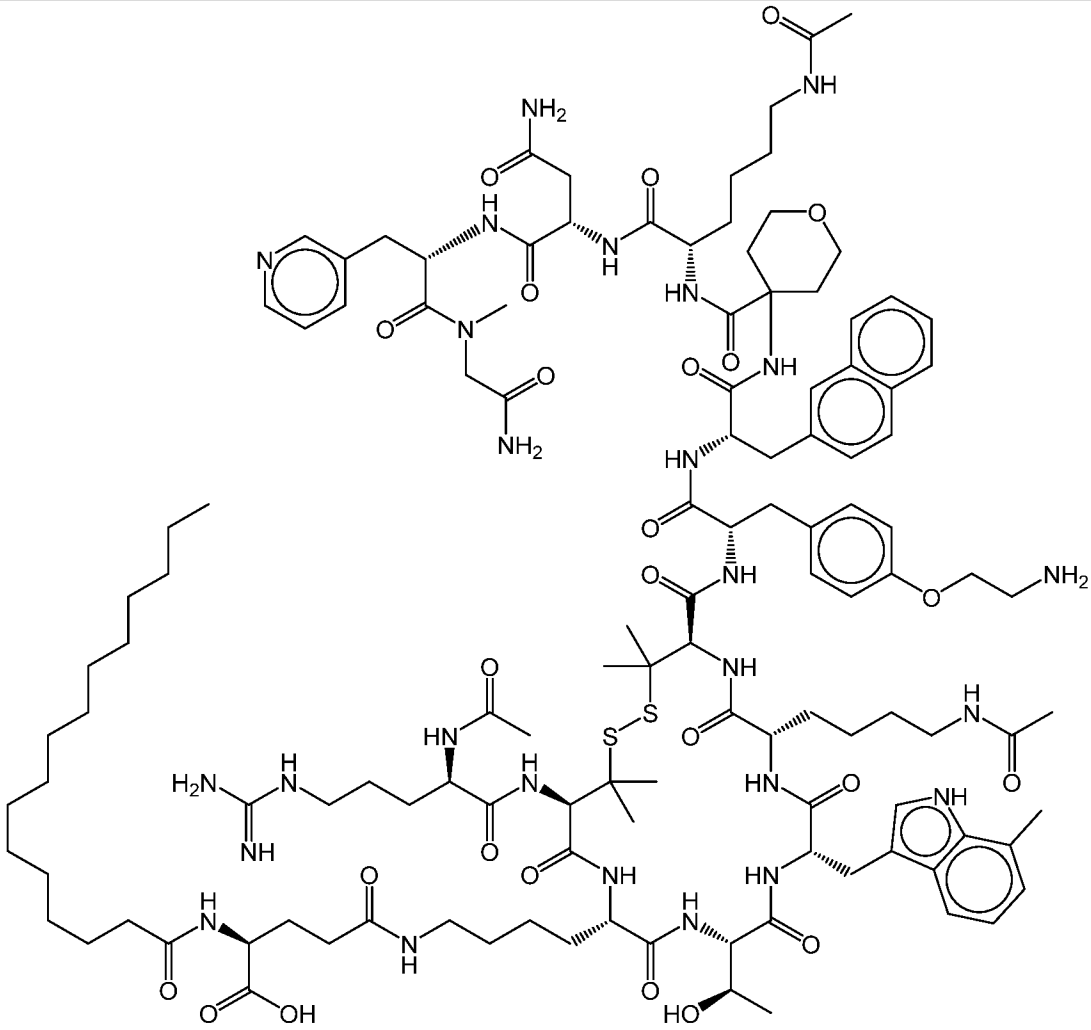
SEQ ID	Structure
64	 (Example 64)

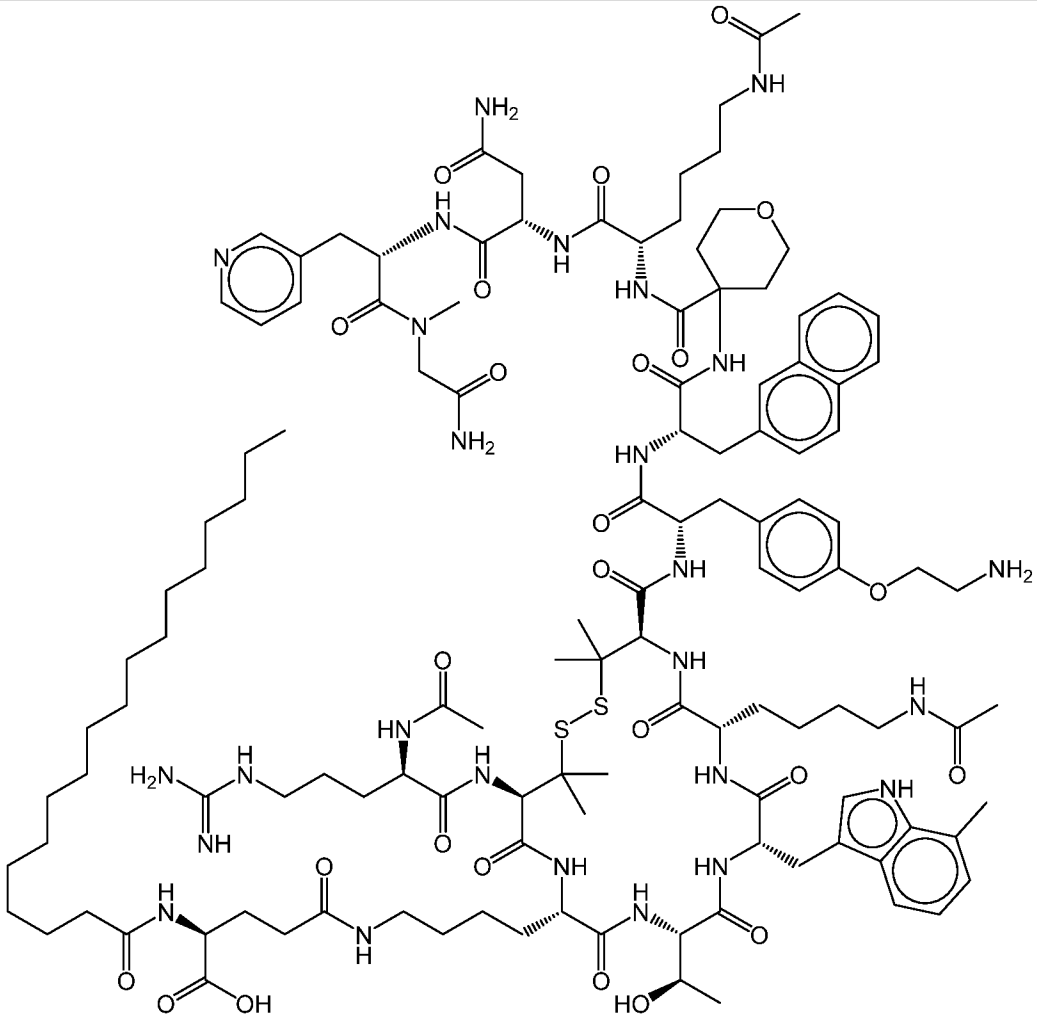
SEQ ID	Structure
65	 (Example 65)

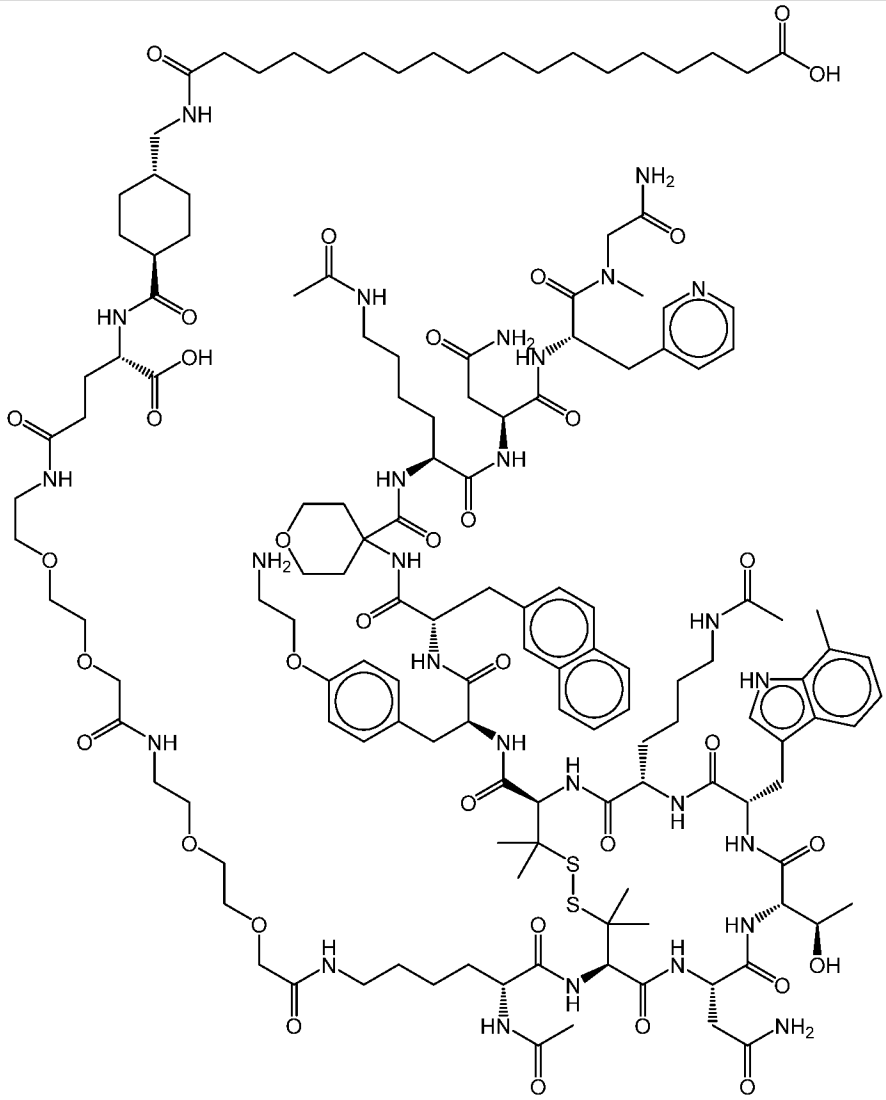
SEQ ID	Structure
66	 (Example 66)

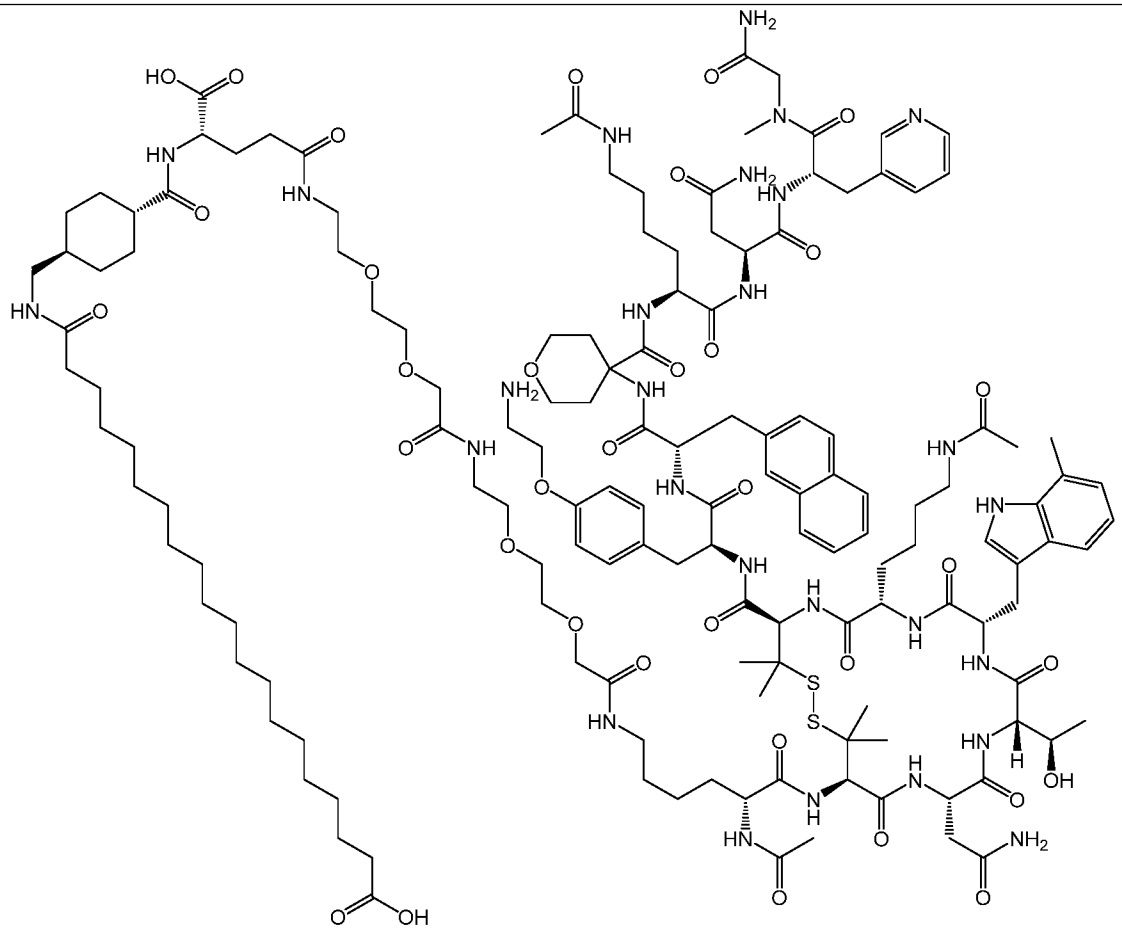
SEQ ID	Structure
67	 (Example 67)

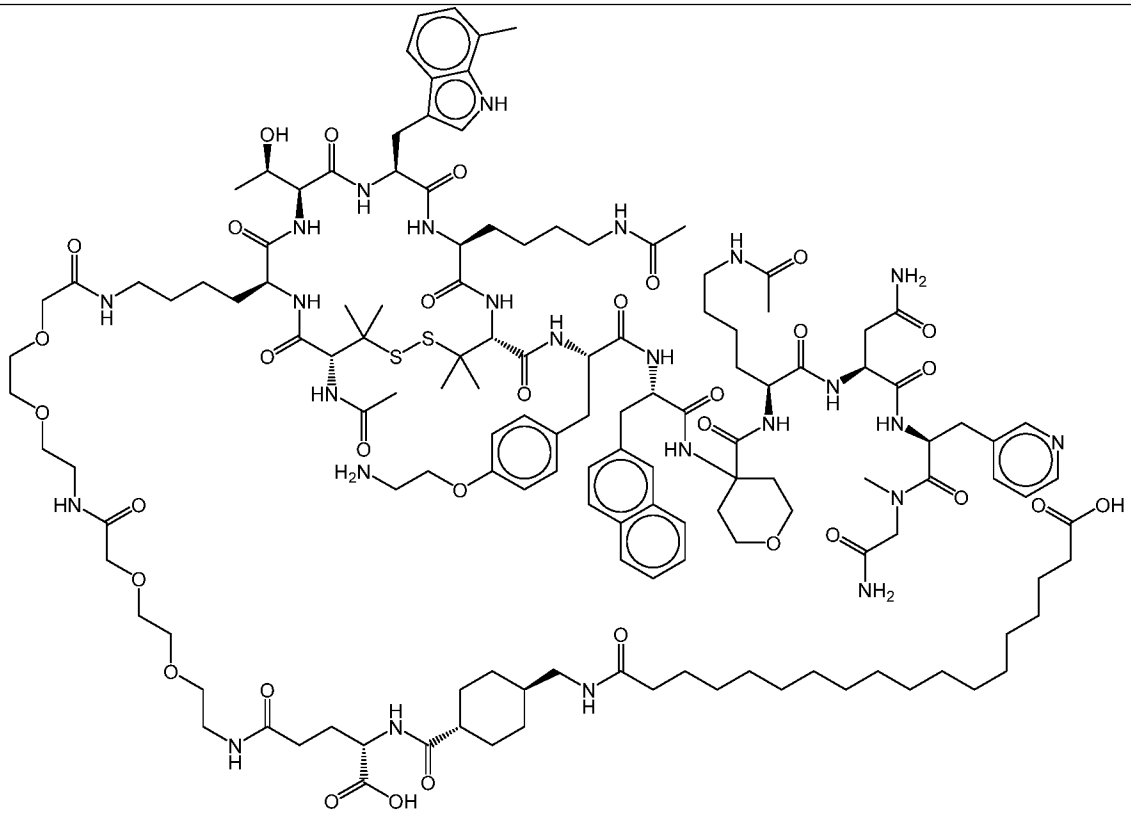
SEQ ID	Structure
68	 (Example 68)

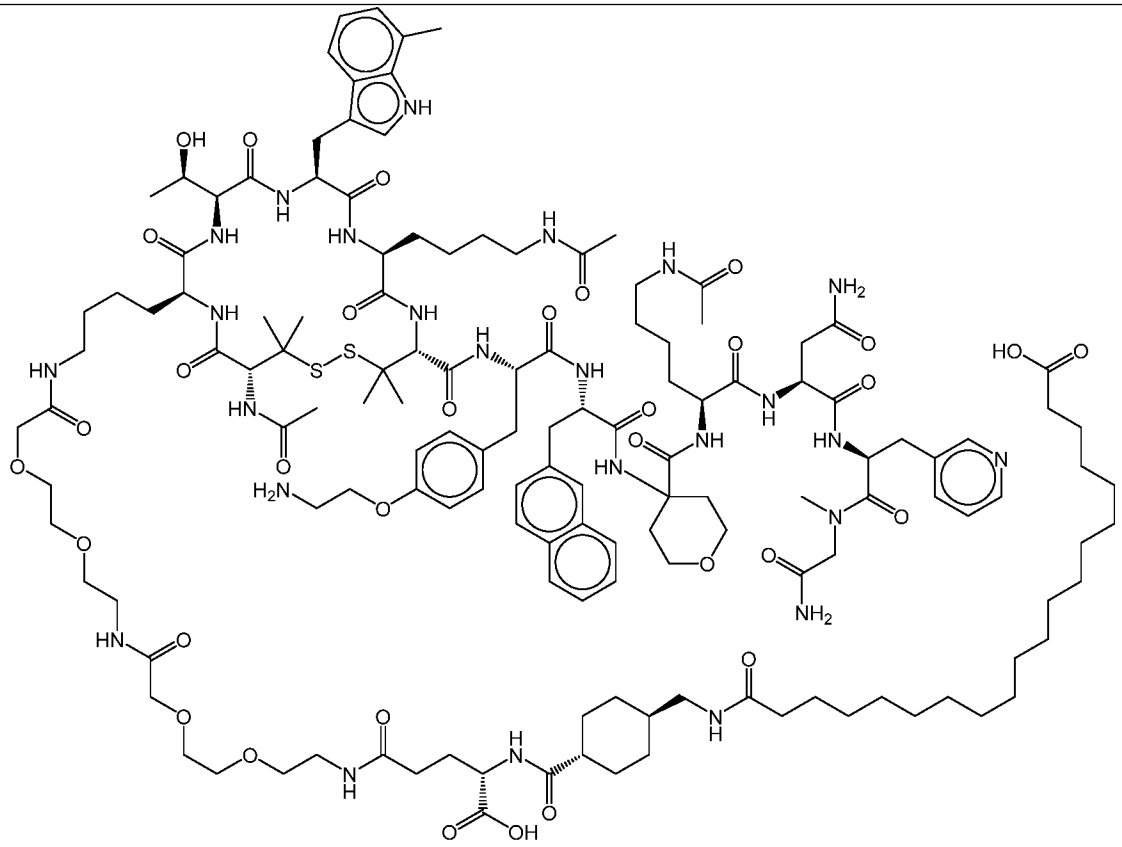
SEQ ID	Structure
69	 (Example 69)

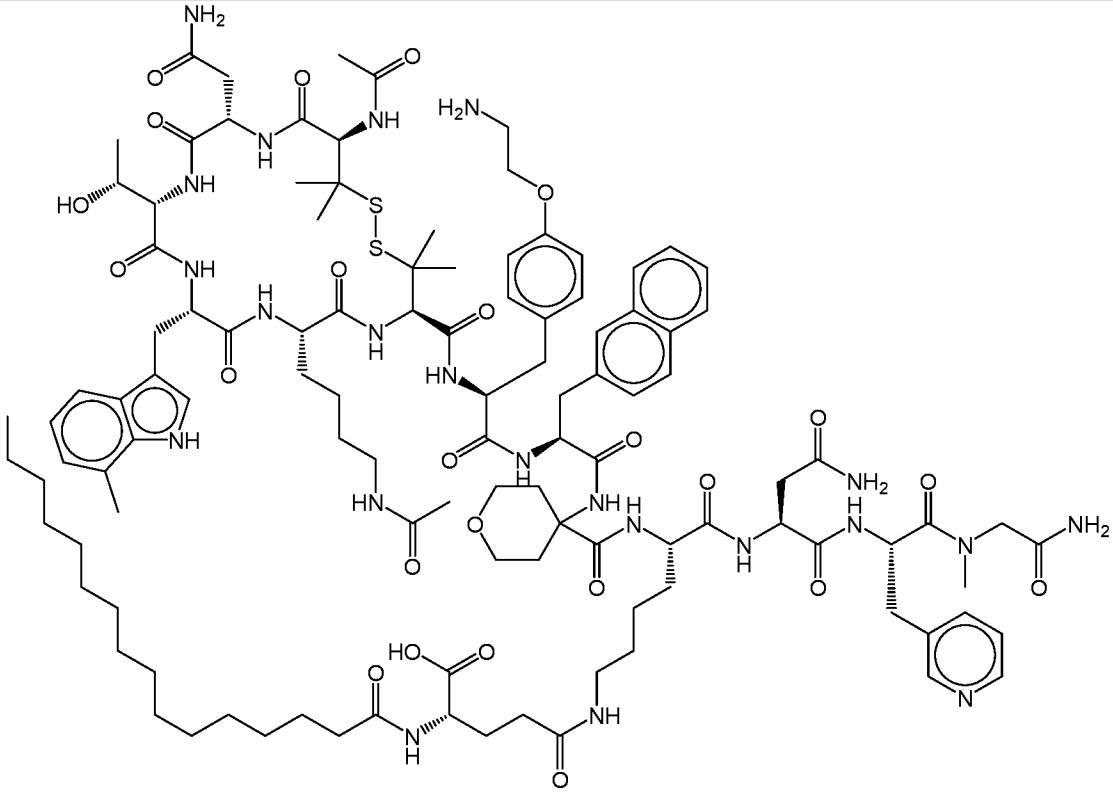
SEQ ID	Structure
70	 (Example 70)

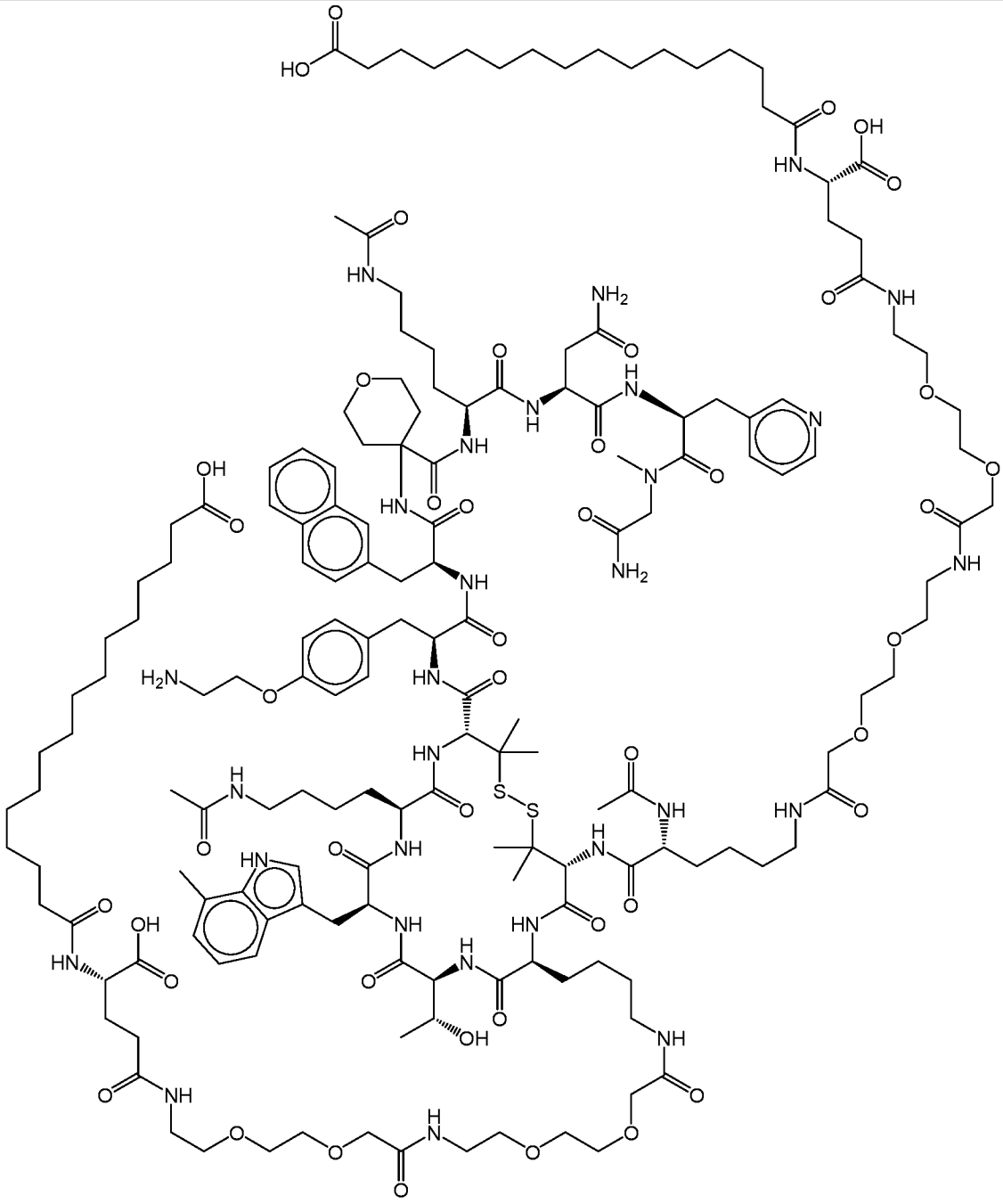
SEQ ID	Structure
71	 (Example 71)

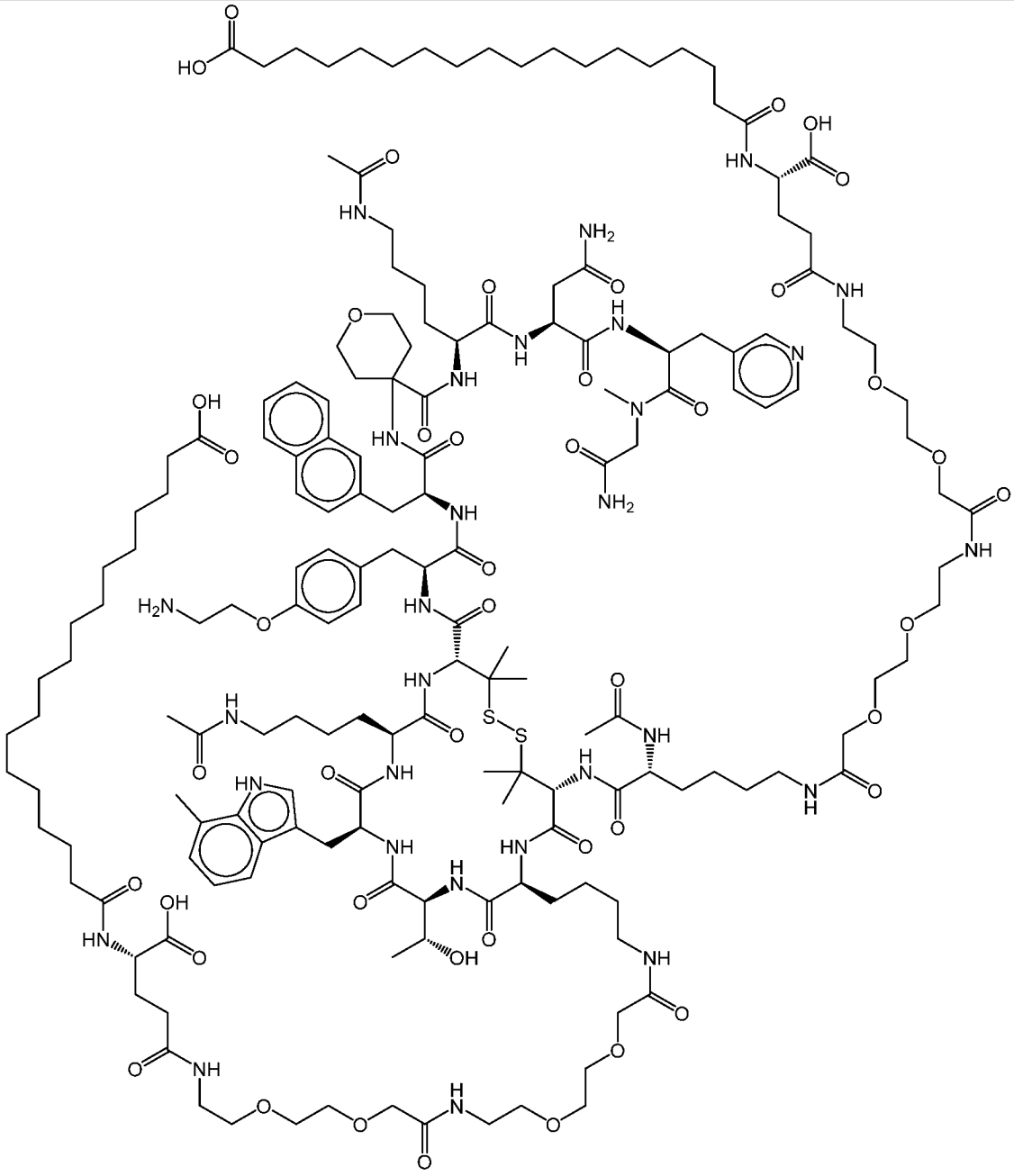
SEQ ID	Structure
72	 (Example 72)

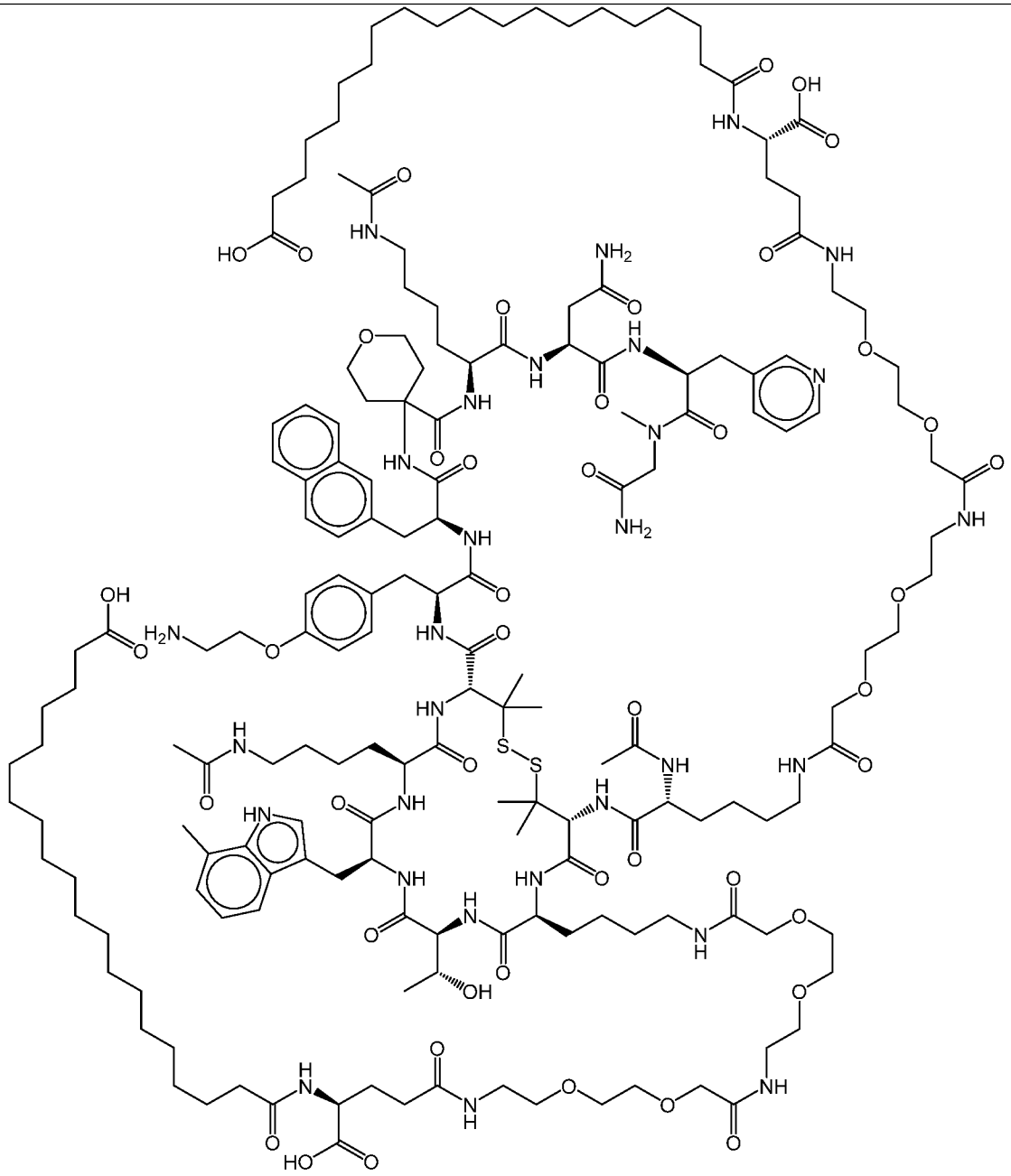
SEQ ID	Structure
73	 (Example 73)

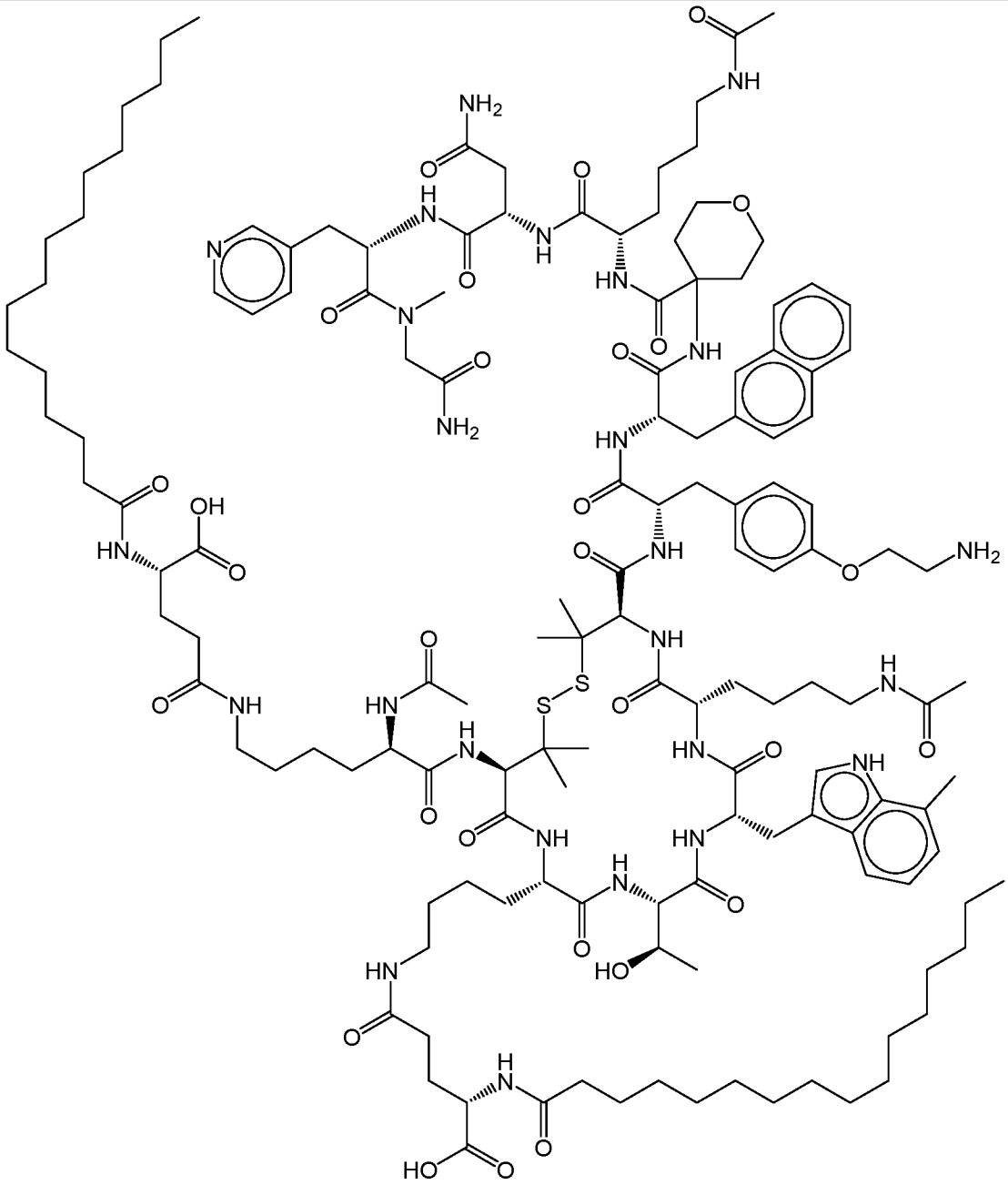
SEQ ID	Structure
74	 (Example 74)

SEQ ID	Structure
75	 (Example 75)

SEQ ID	Structure
76	 (Example 76)

SEQ ID	Structure
77	 (Example 77)

SEQ ID	Structure
78	 (Example 78)

SEQ ID	Structure
79	 (Example 79)

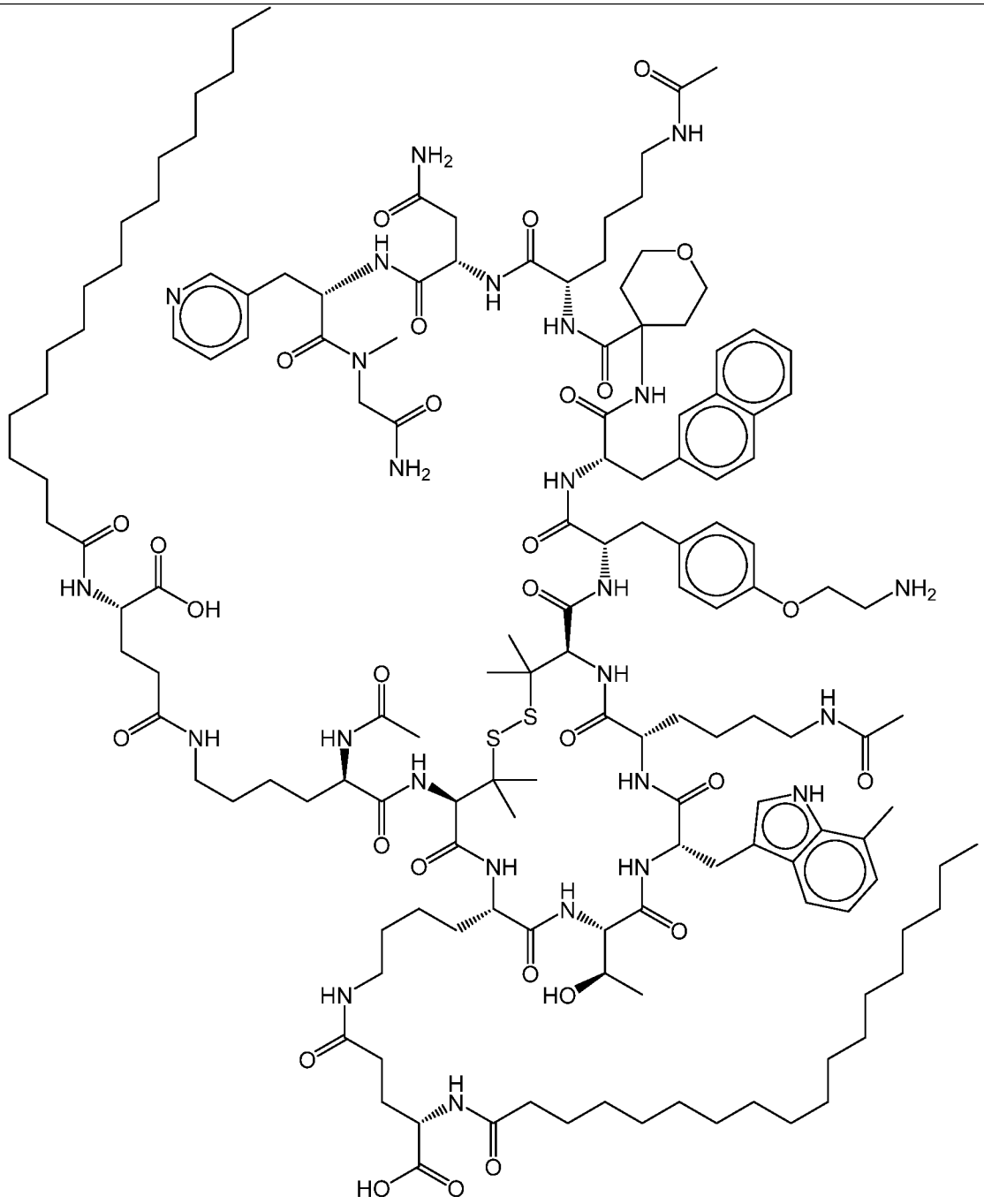
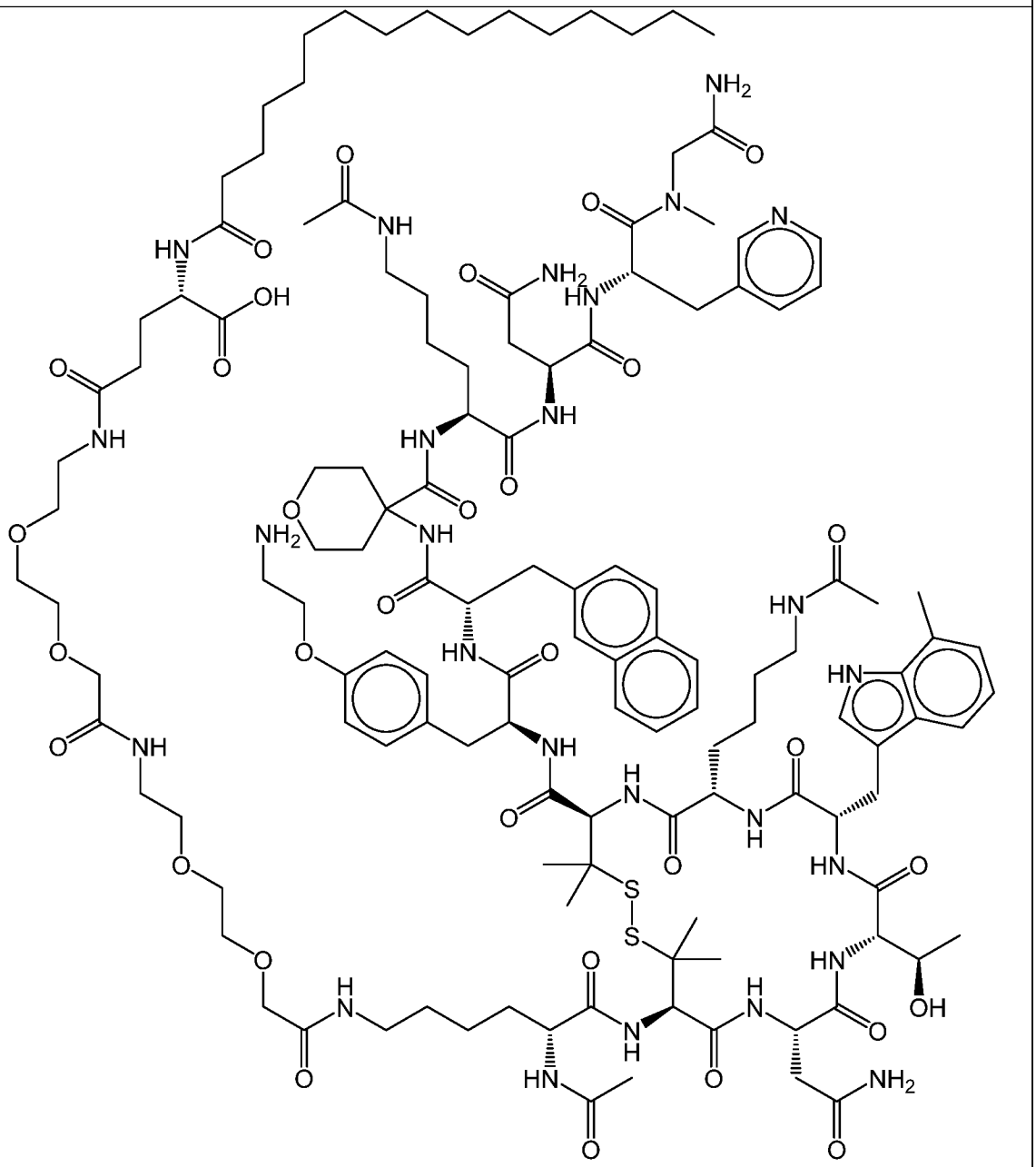
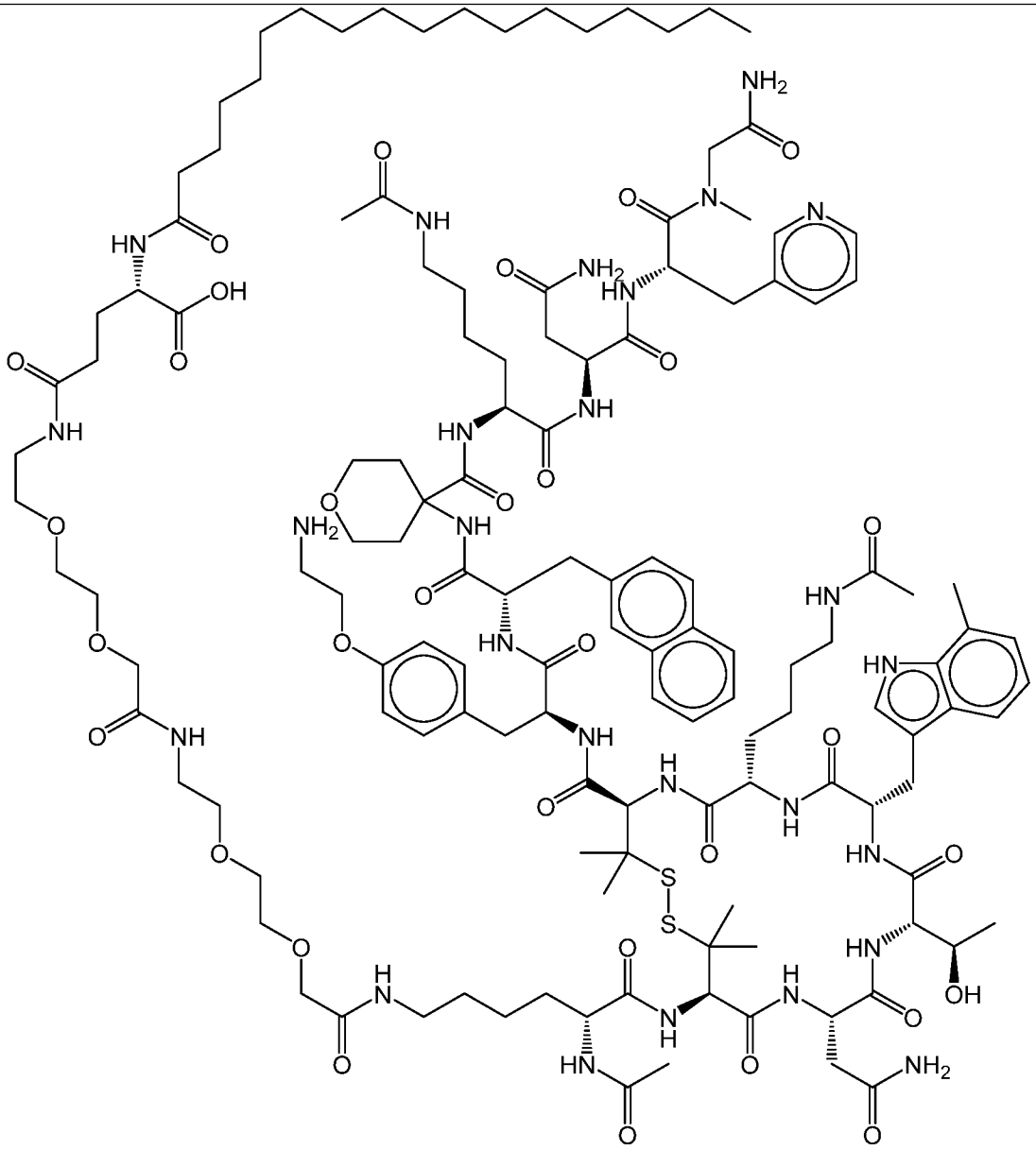
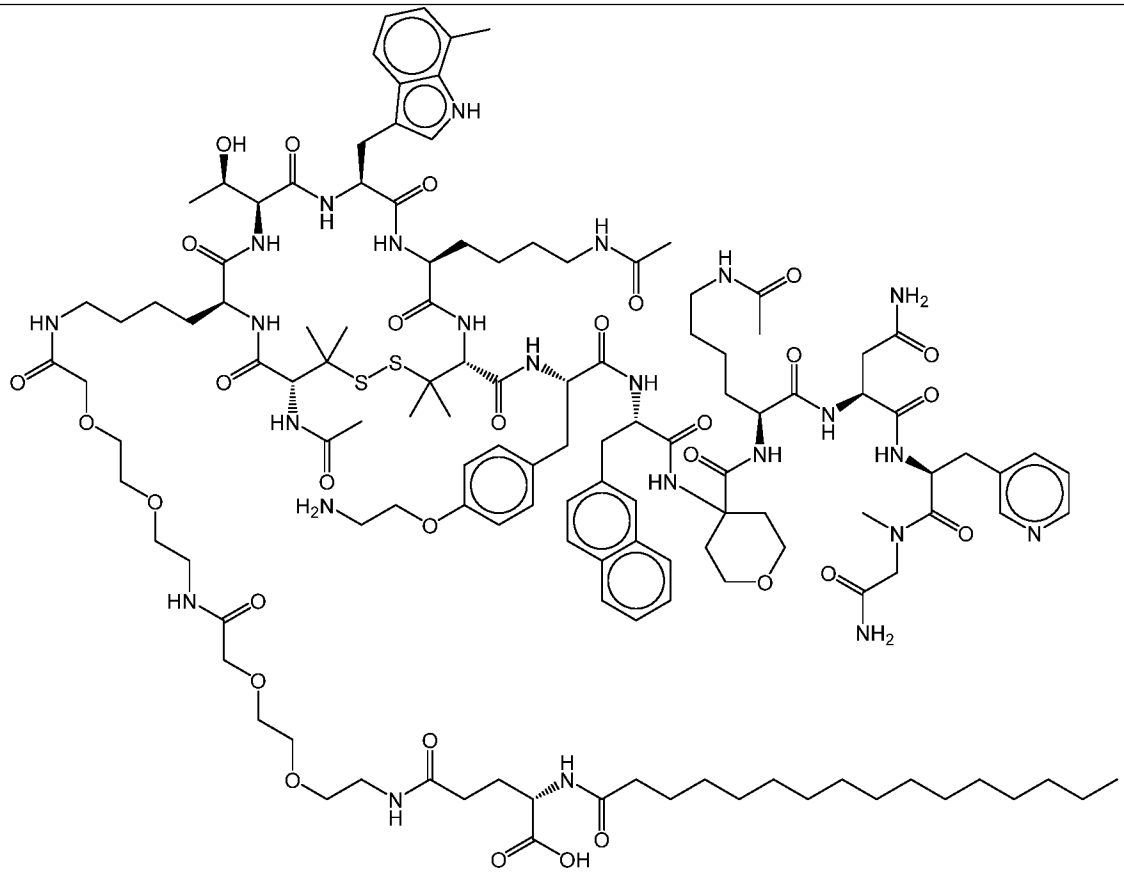
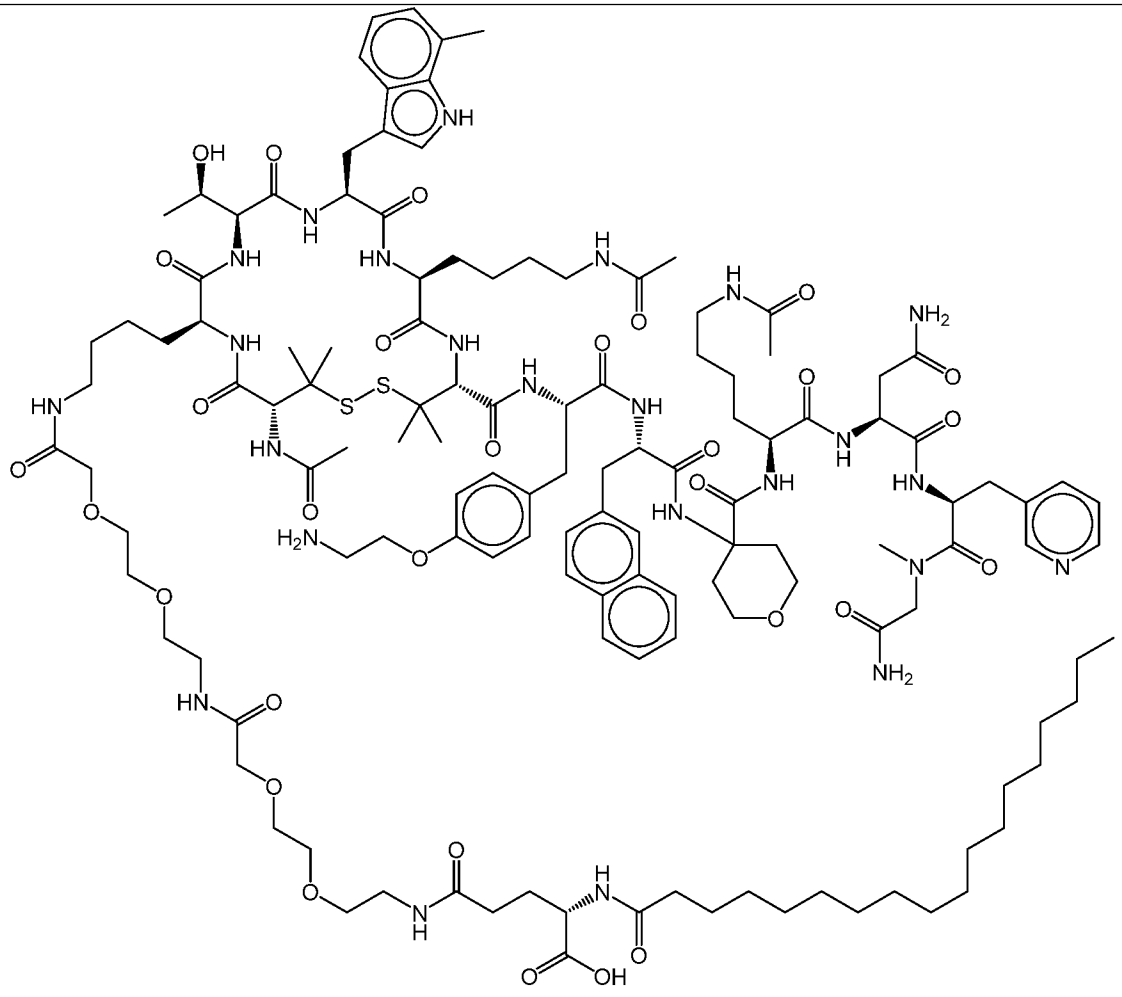
SEQ ID	Structure
80	 (Example 80)

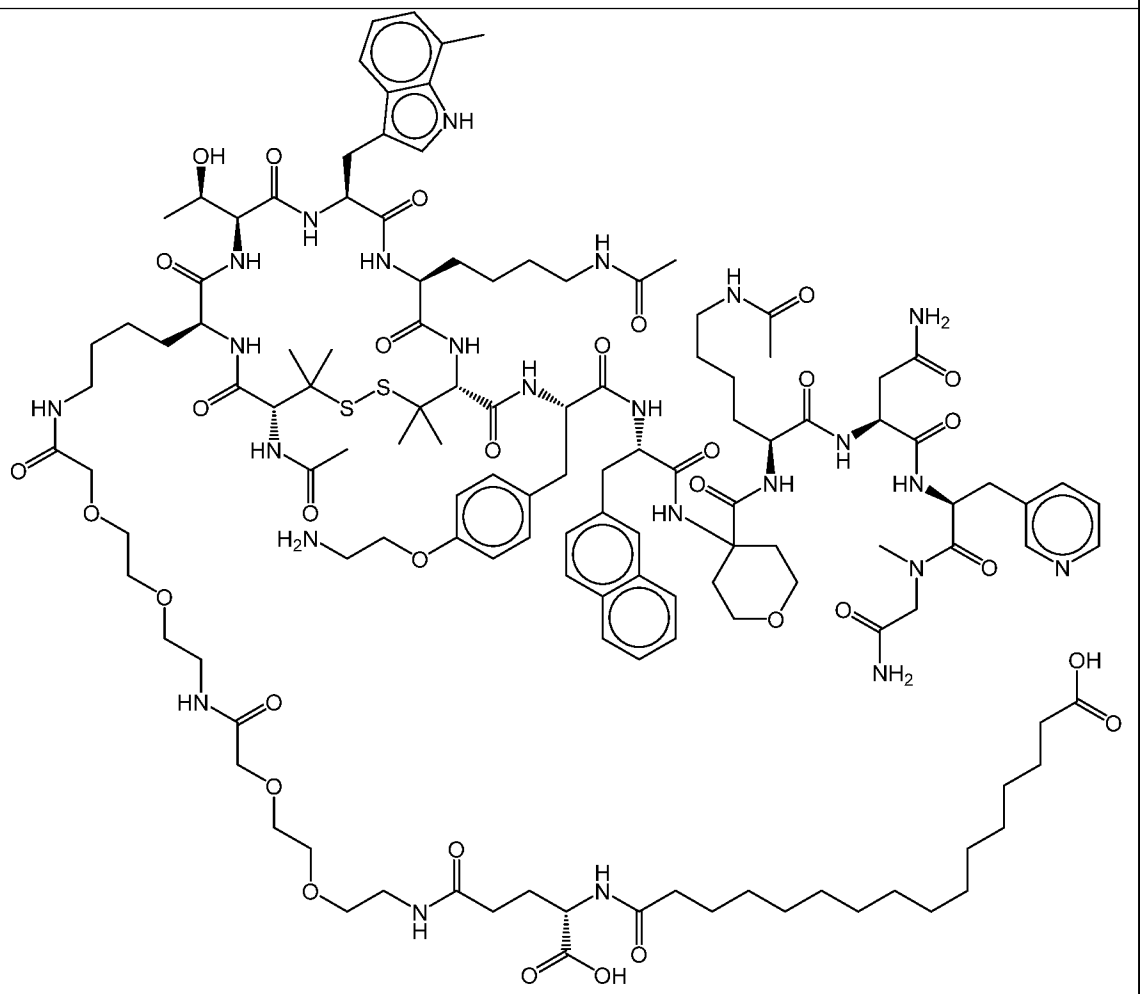
Table 1D. Compounds

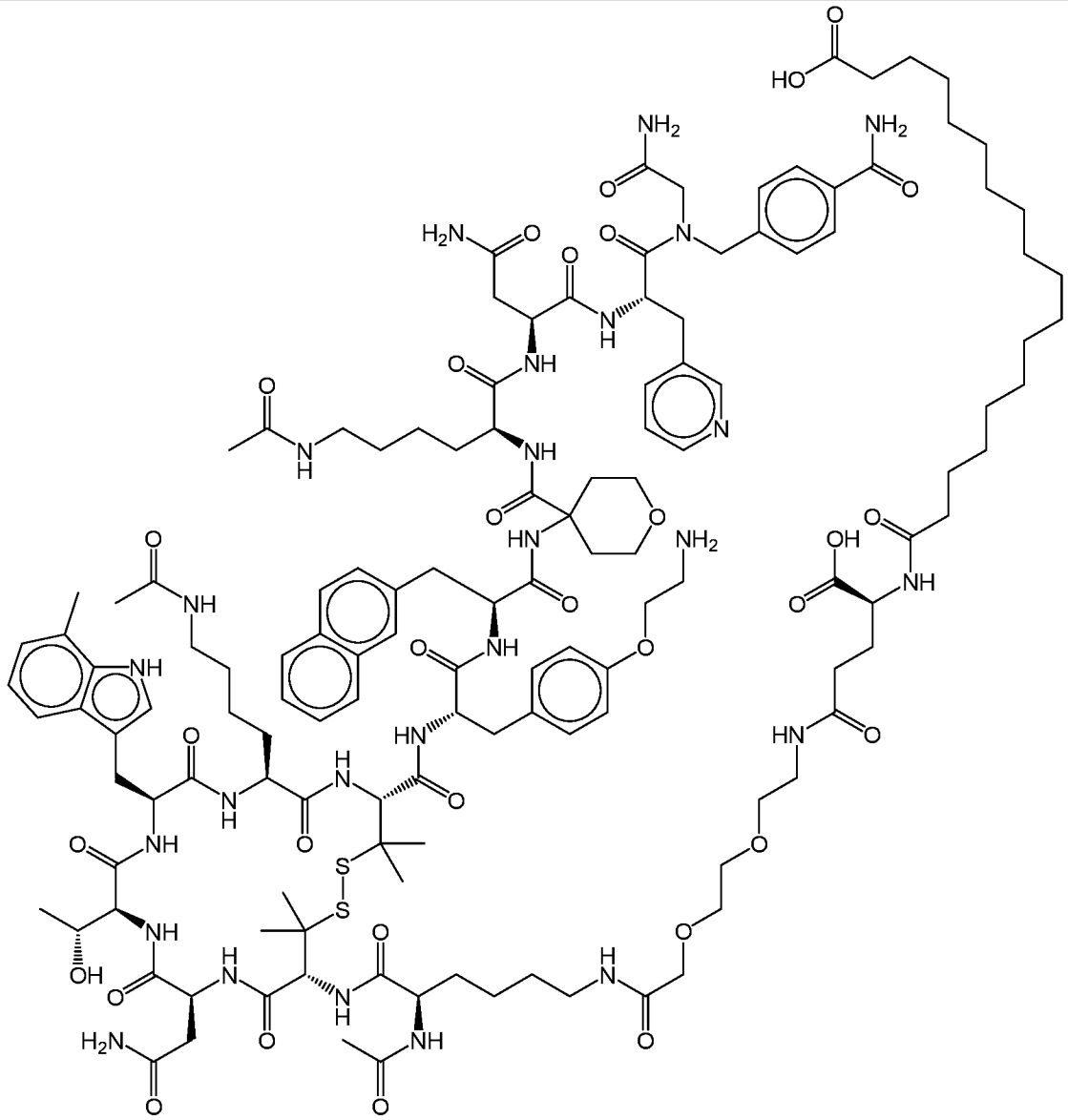
SEQ ID	Structure
81	 (Example 81)

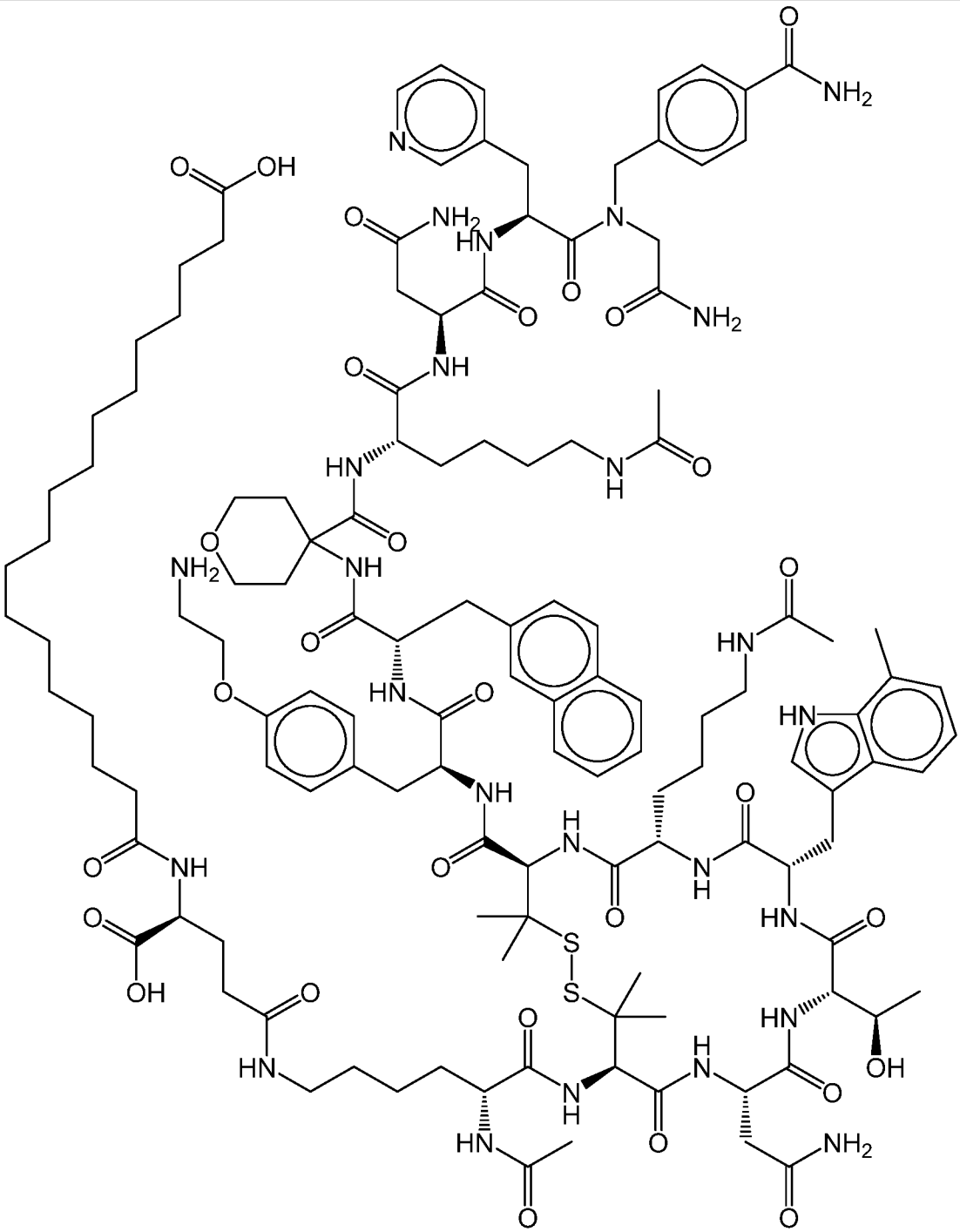
SEQ ID	Structure
82	 (Example 82)

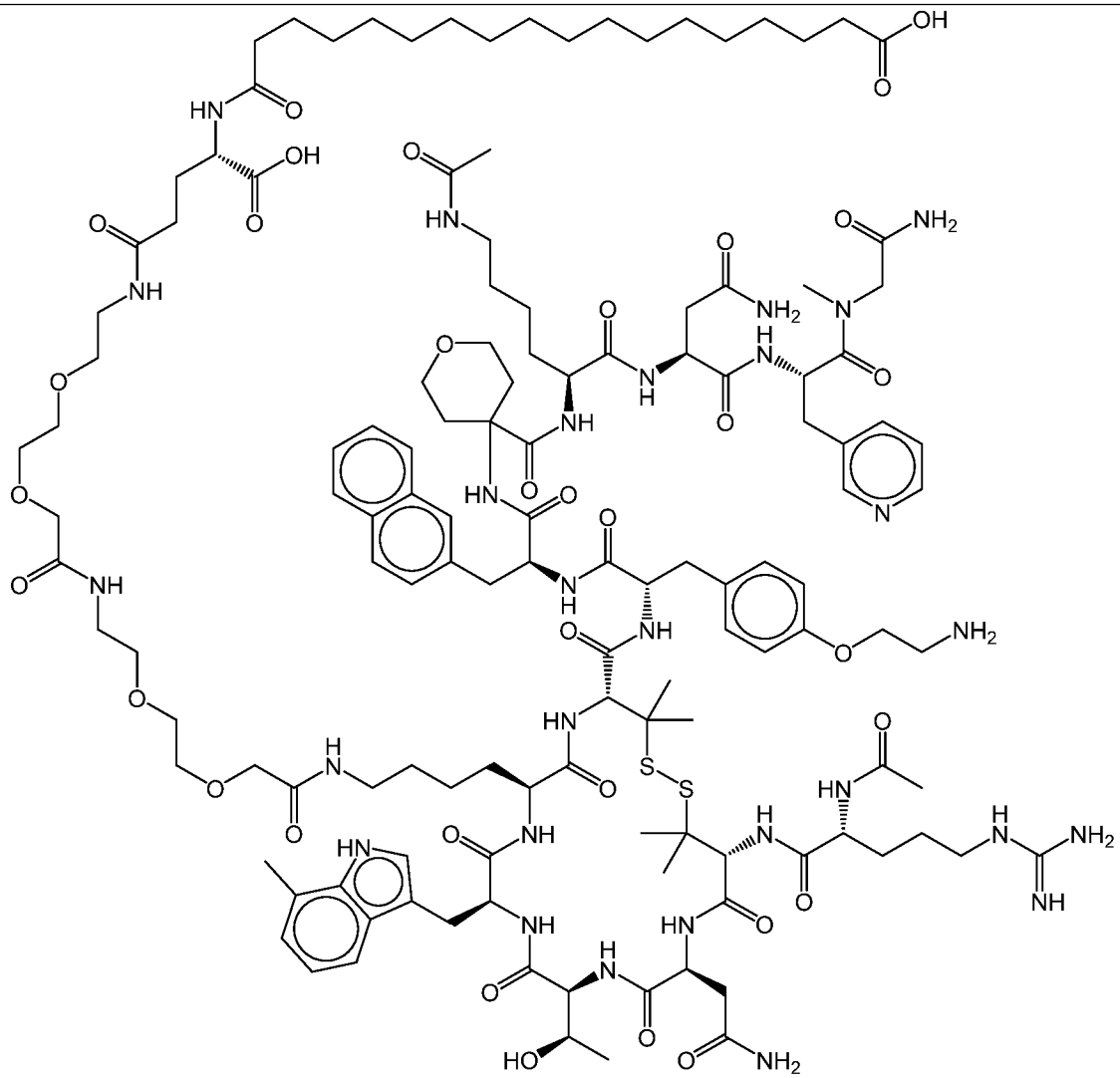
SEQ ID	Structure
83	 (Example 83)

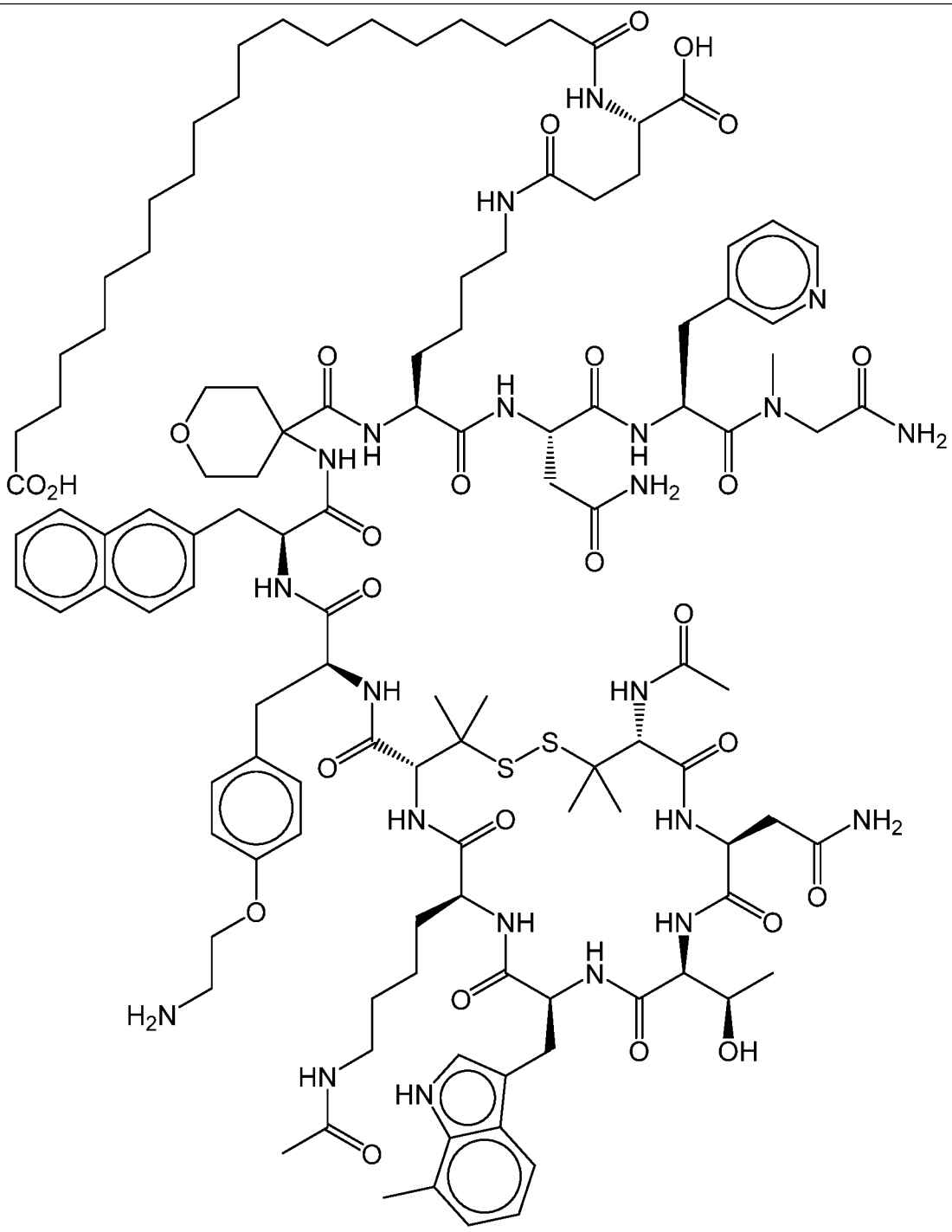
SEQ ID	Structure
84	 (Example 84)

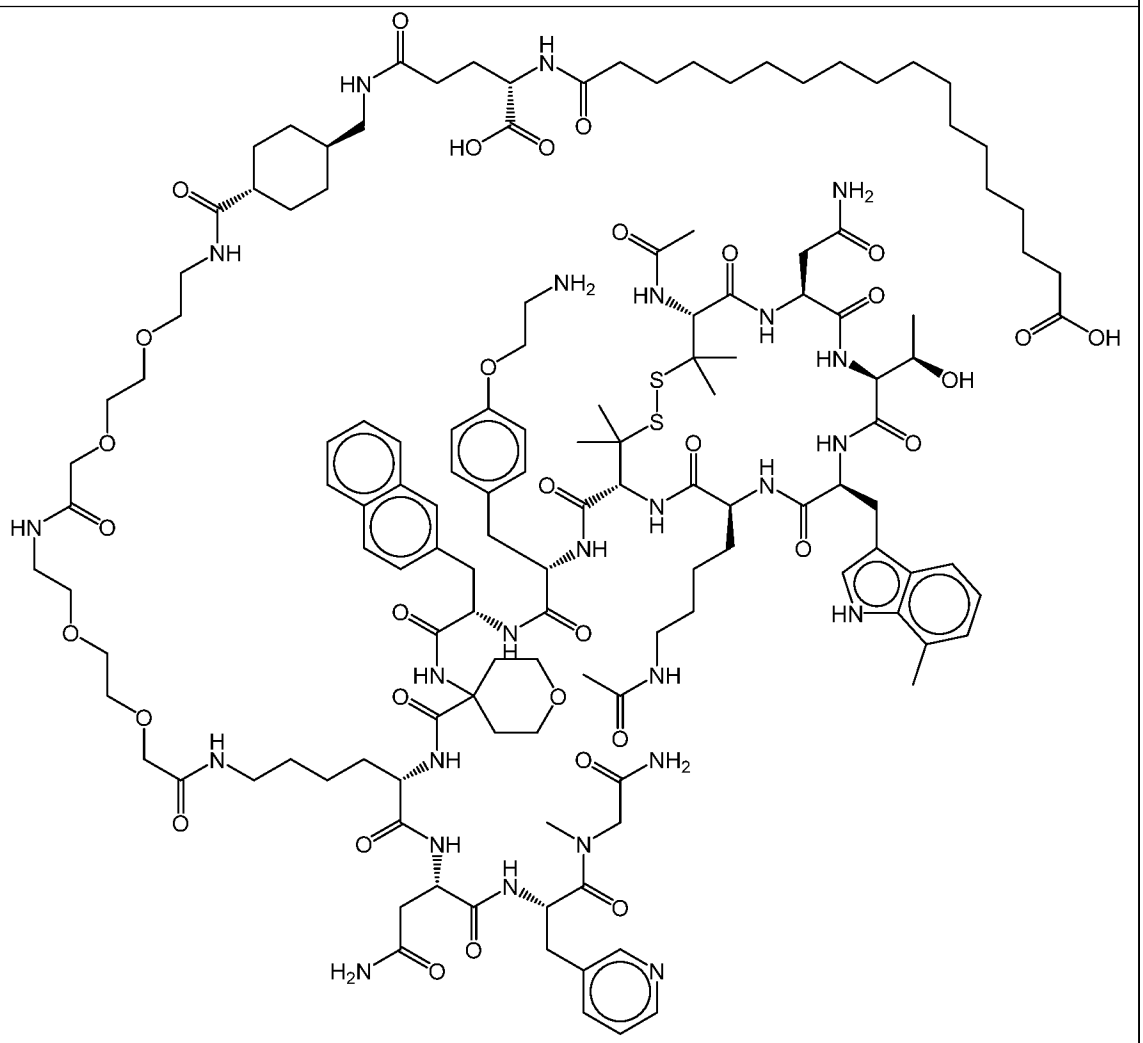
SEQ ID	Structure
85	 (Example 85)

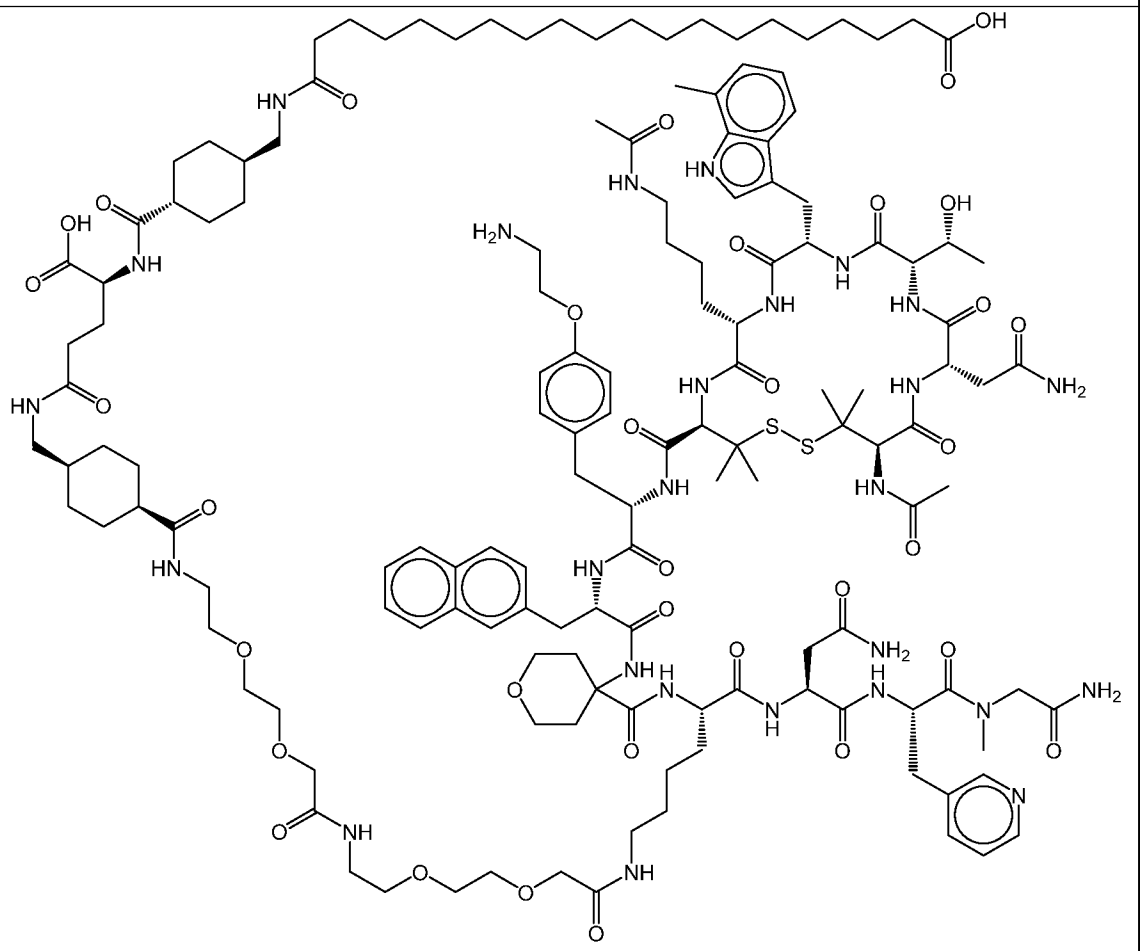
SEQ ID	Structure
86	 (Example 86)

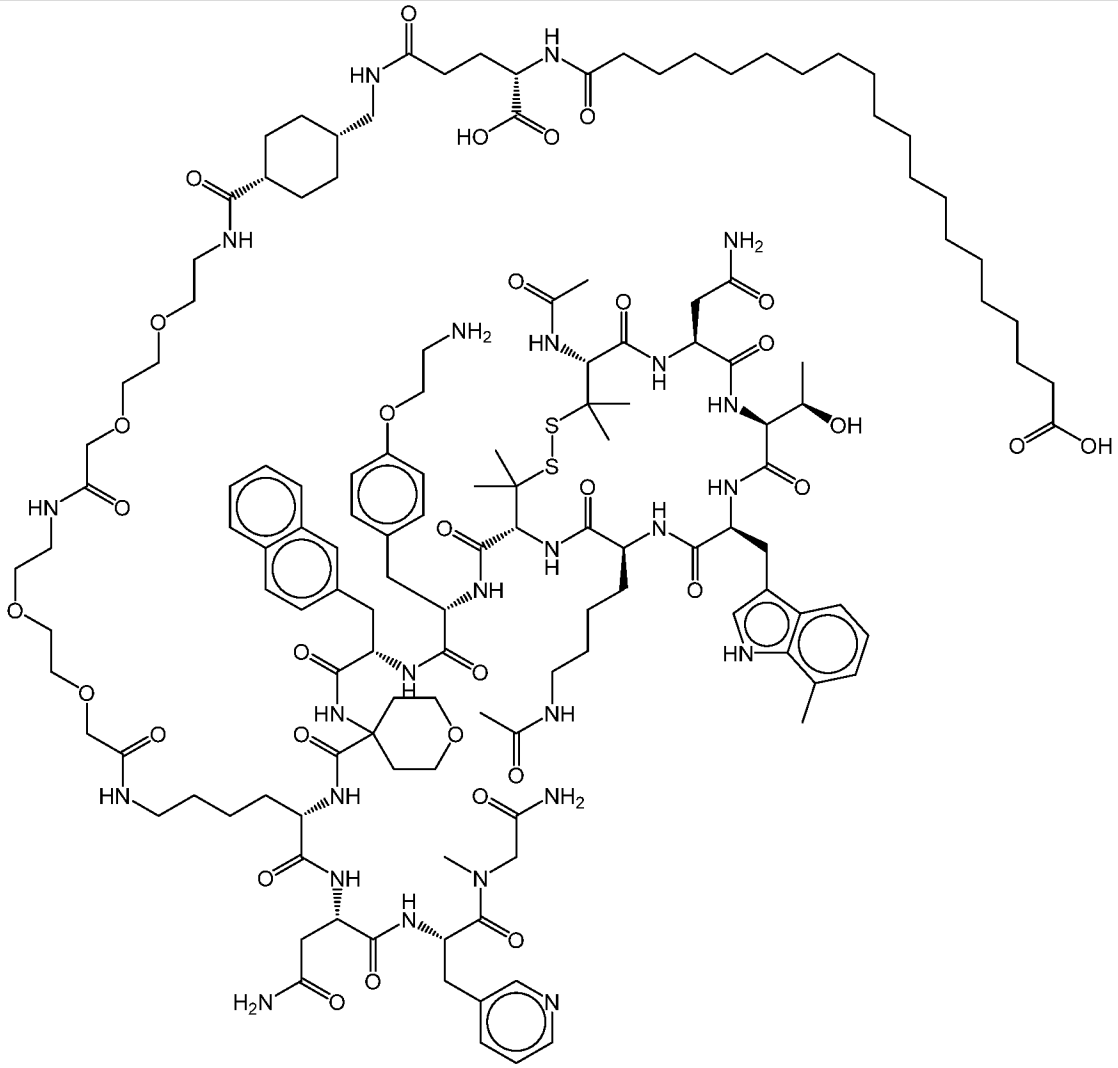
SEQ ID	Structure
87	 (Example 87)

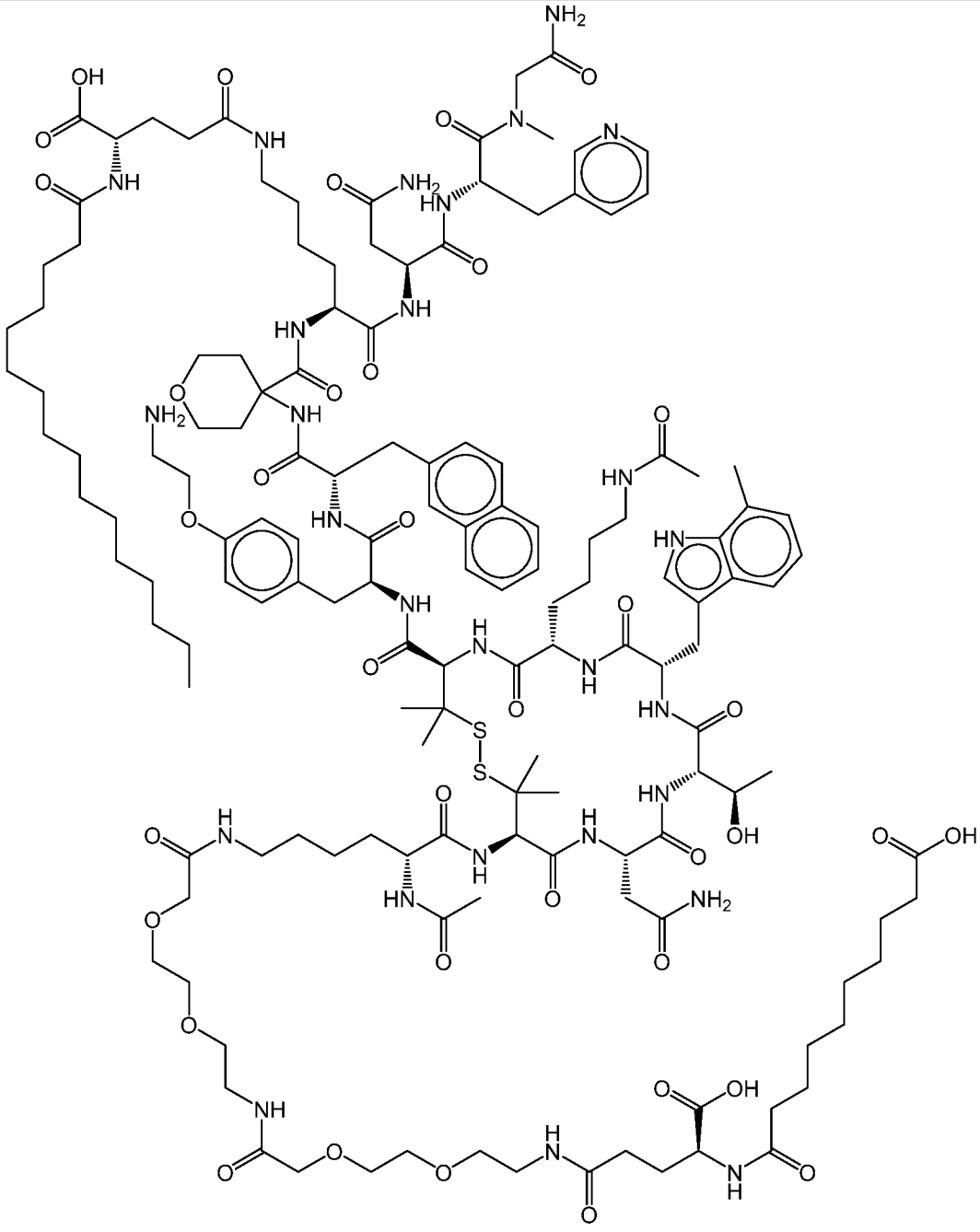
SEQ ID	Structure
88	 (Example 88)

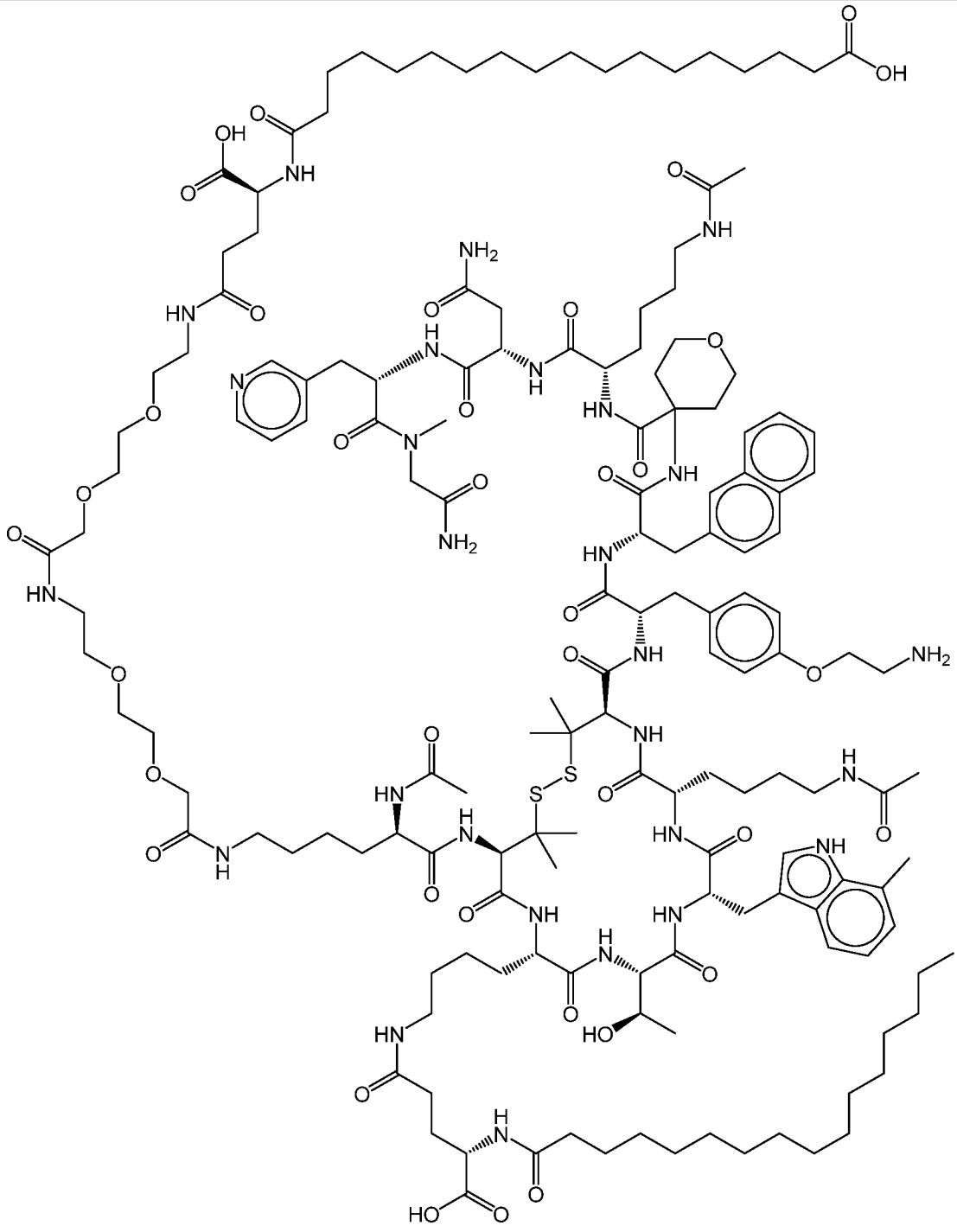
SEQ ID	Structure
89	 (Example 89)

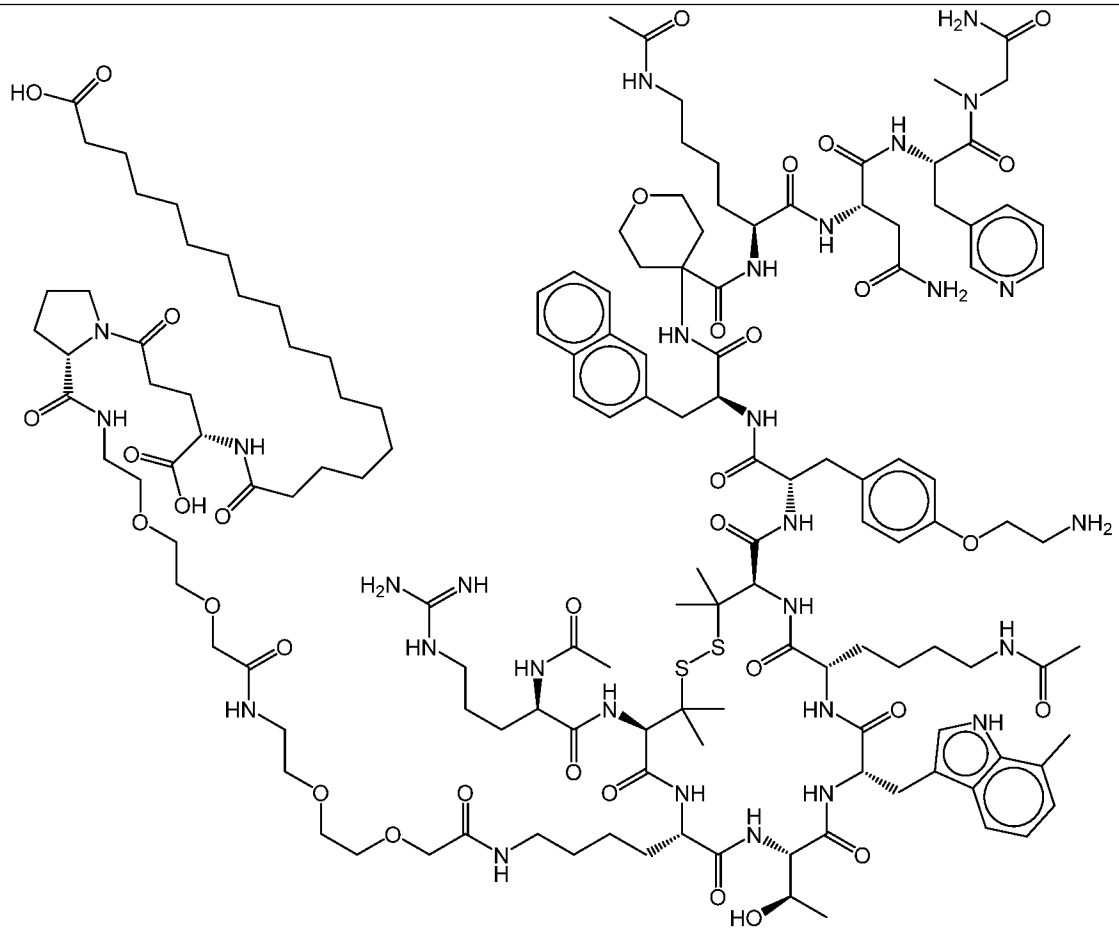
SEQ ID	Structure
90	 (Example 90)

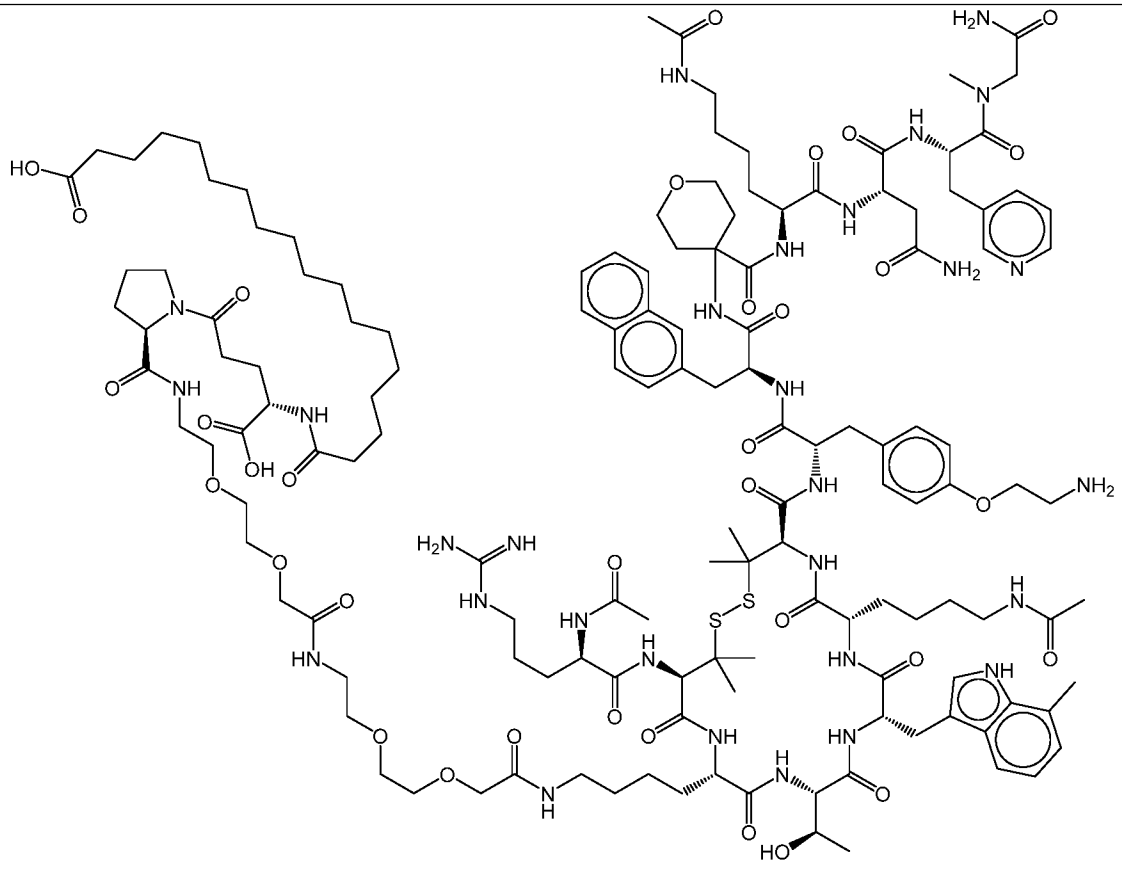
SEQ ID	Structure
91	 (Example 91)

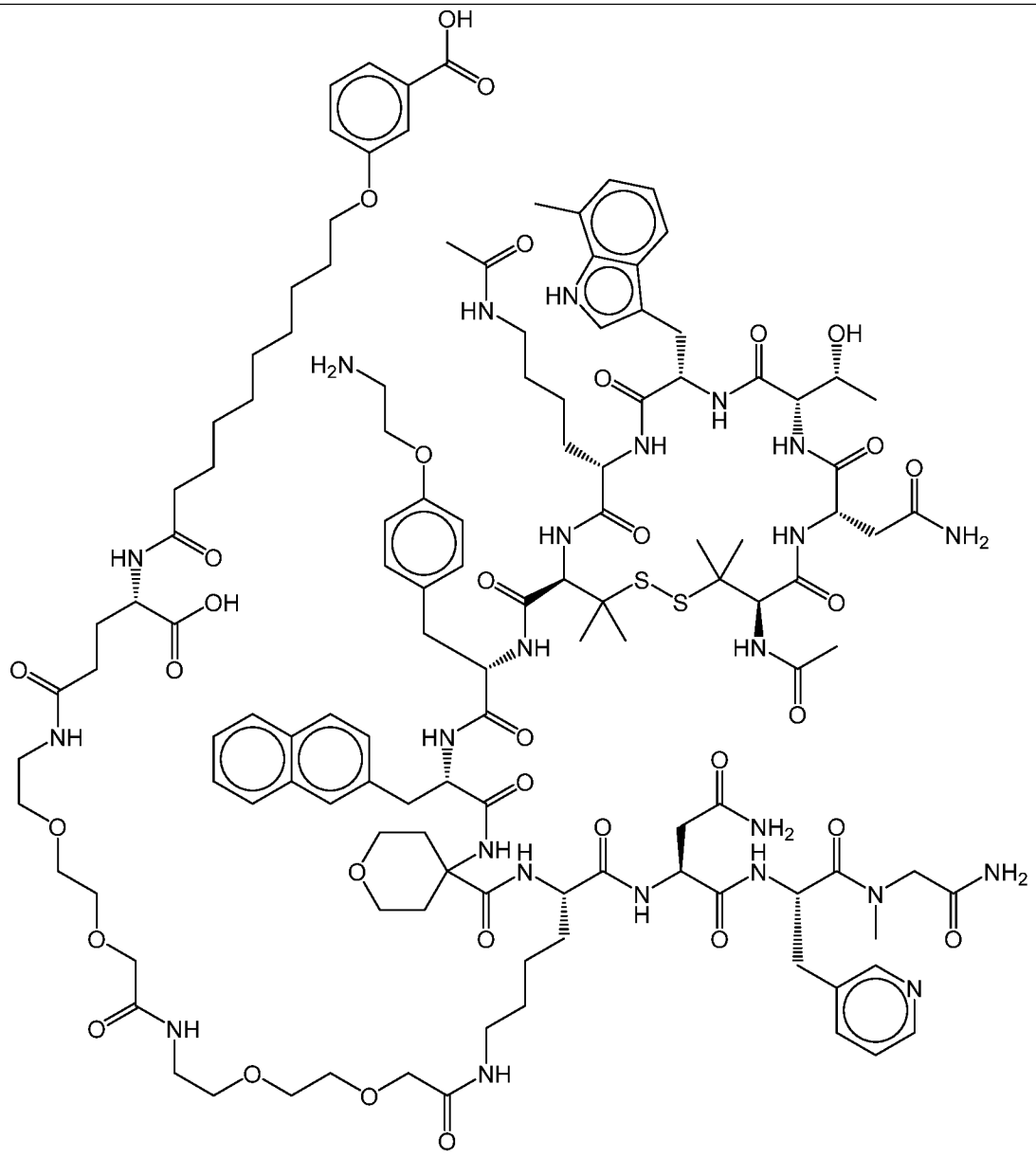
SEQ ID	Structure
92	 (Example 92)

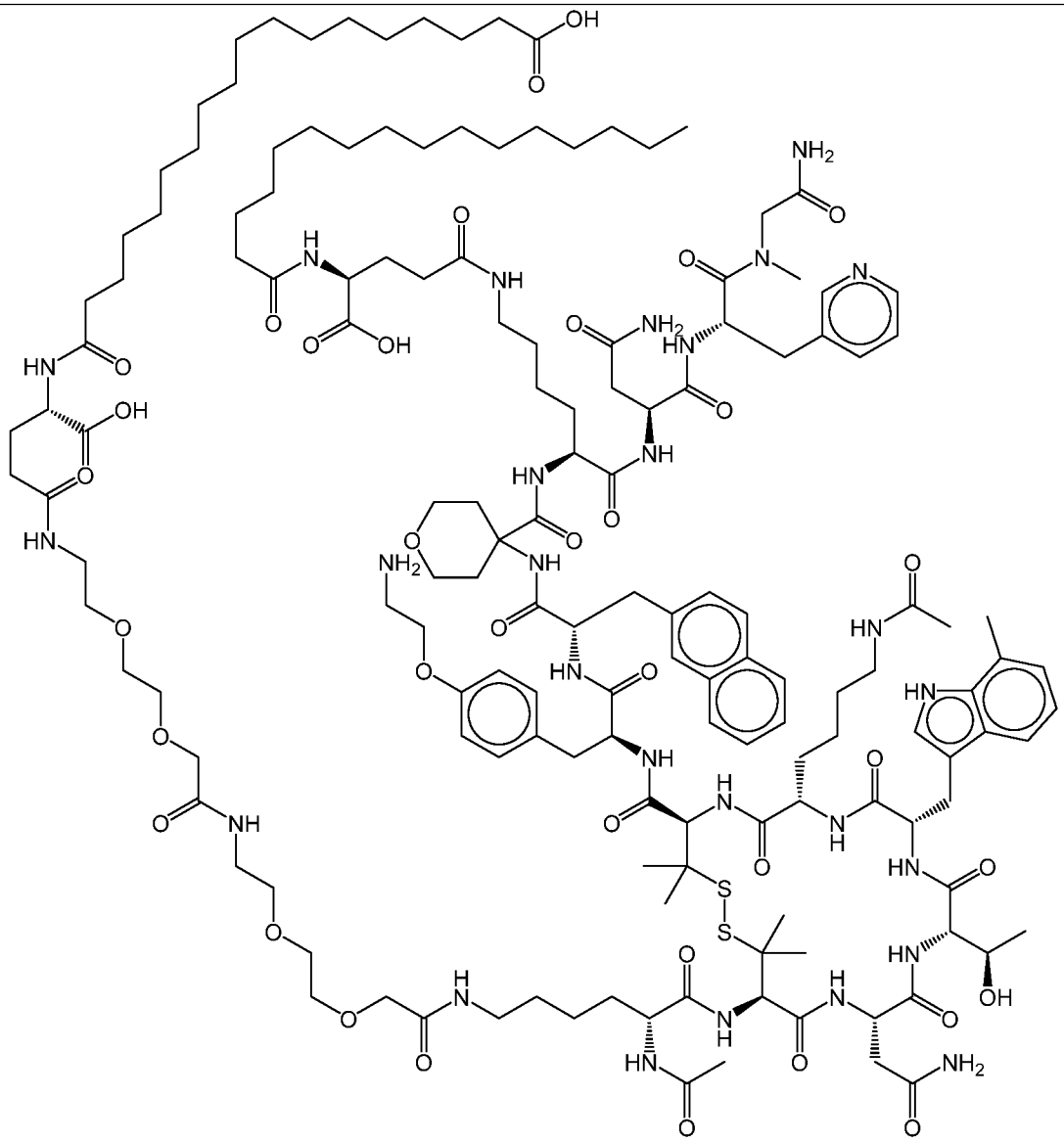
SEQ ID	Structure
93	 (Example 93)

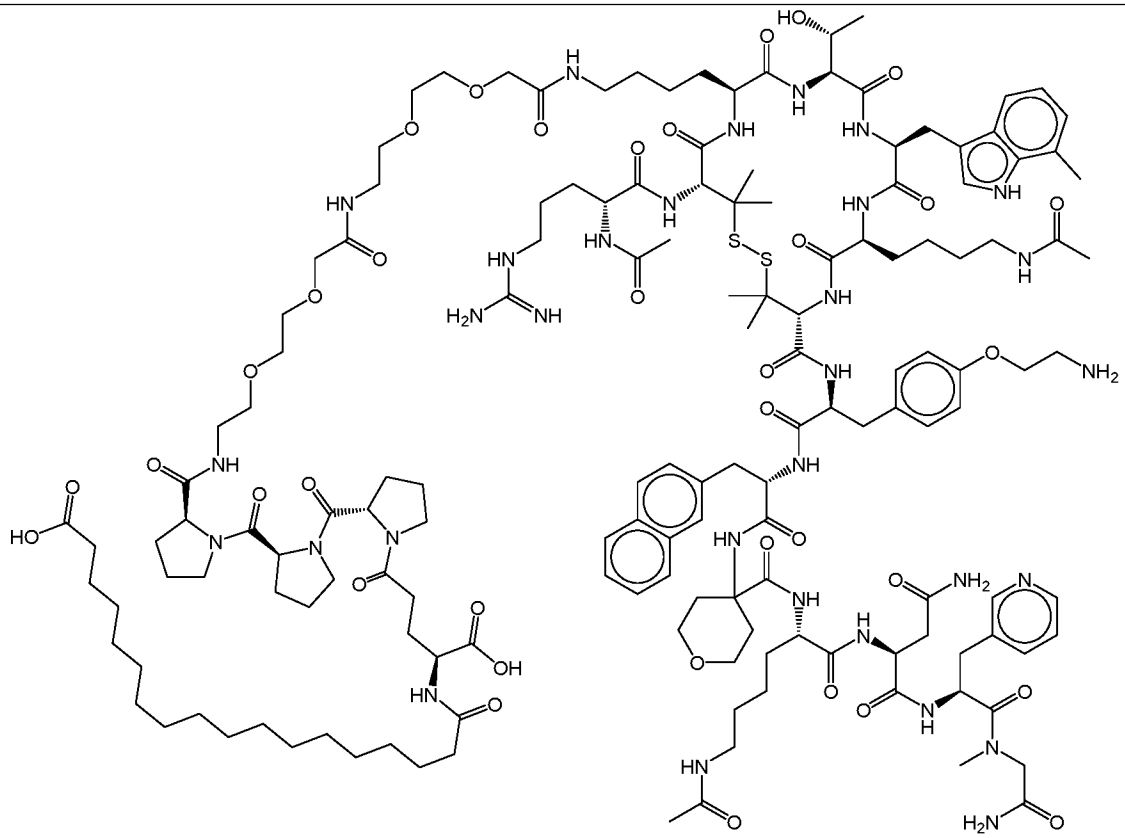
SEQ ID	Structure
94	 (Example 94)

SEQ ID	Structure
95	 (Example 95)

SEQ ID	Structure
96	 (Example 96)

SEQ ID	Structure
97	 (Example 97)

SEQ ID	Structure
98	 (Example 98)

SEQ ID	Structure
99	 (Example 99)

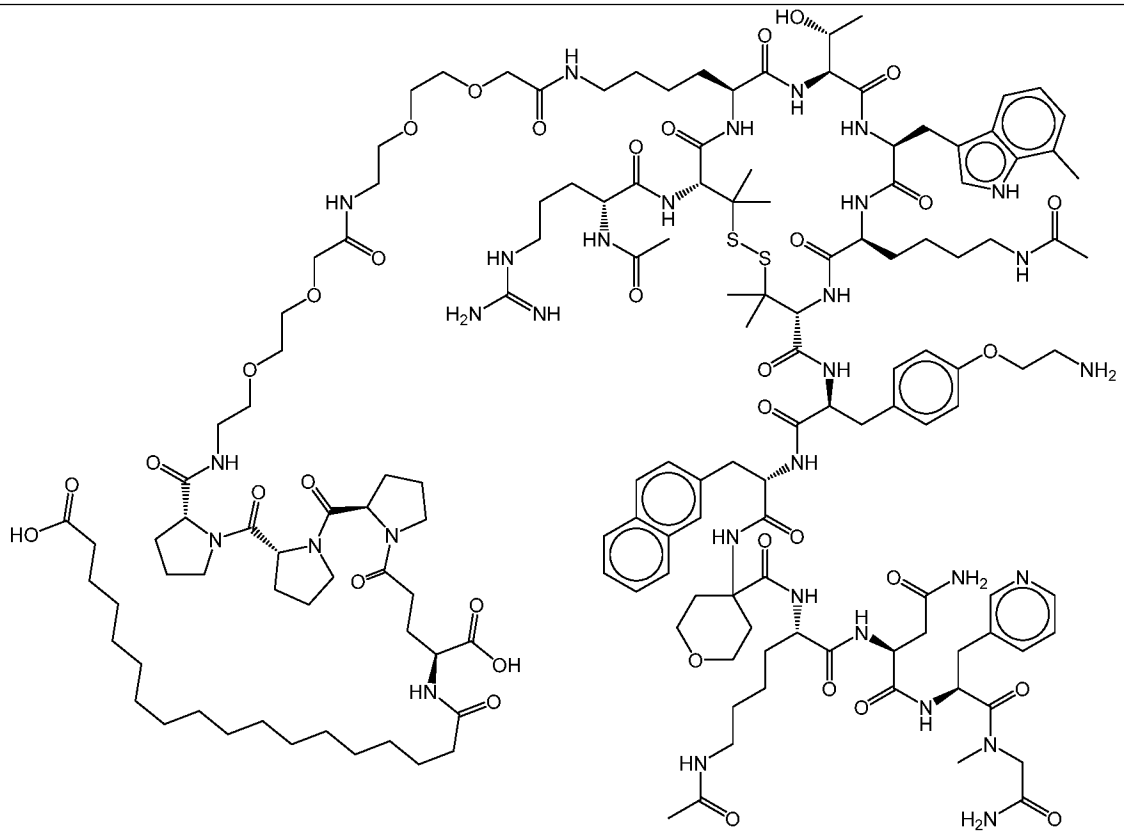
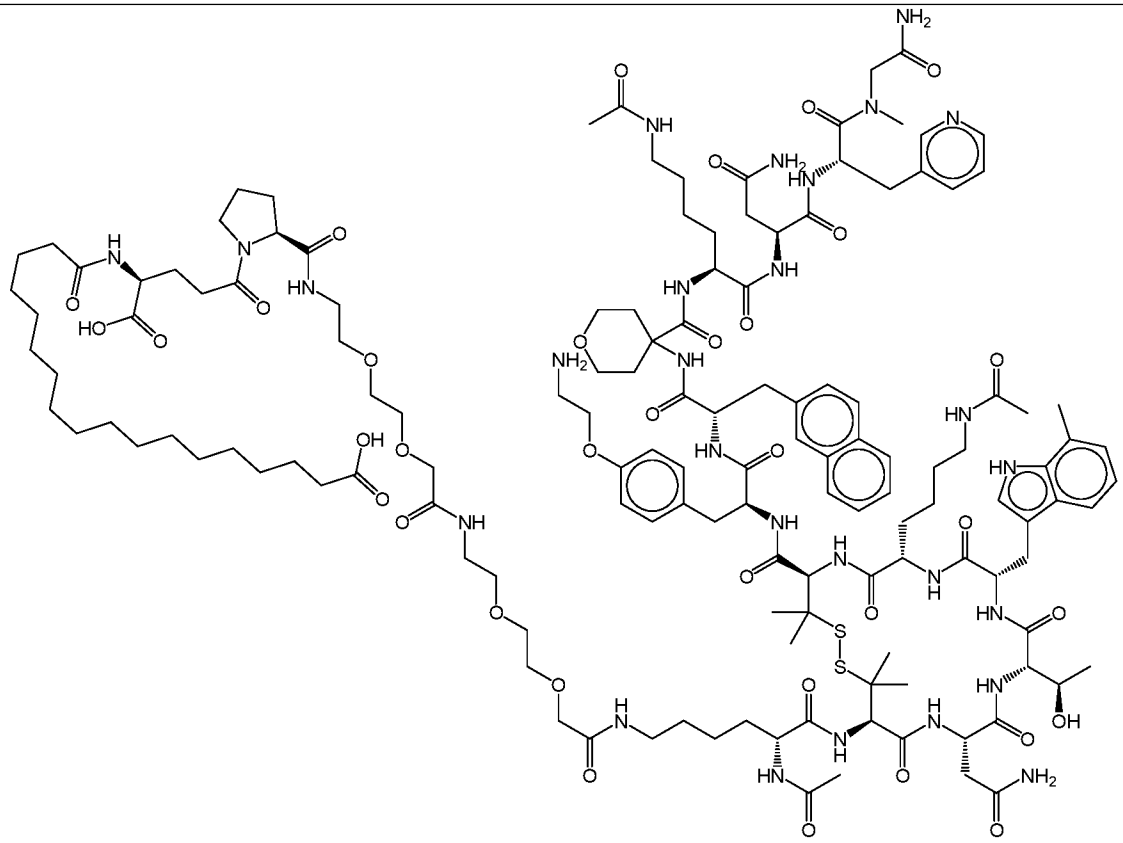
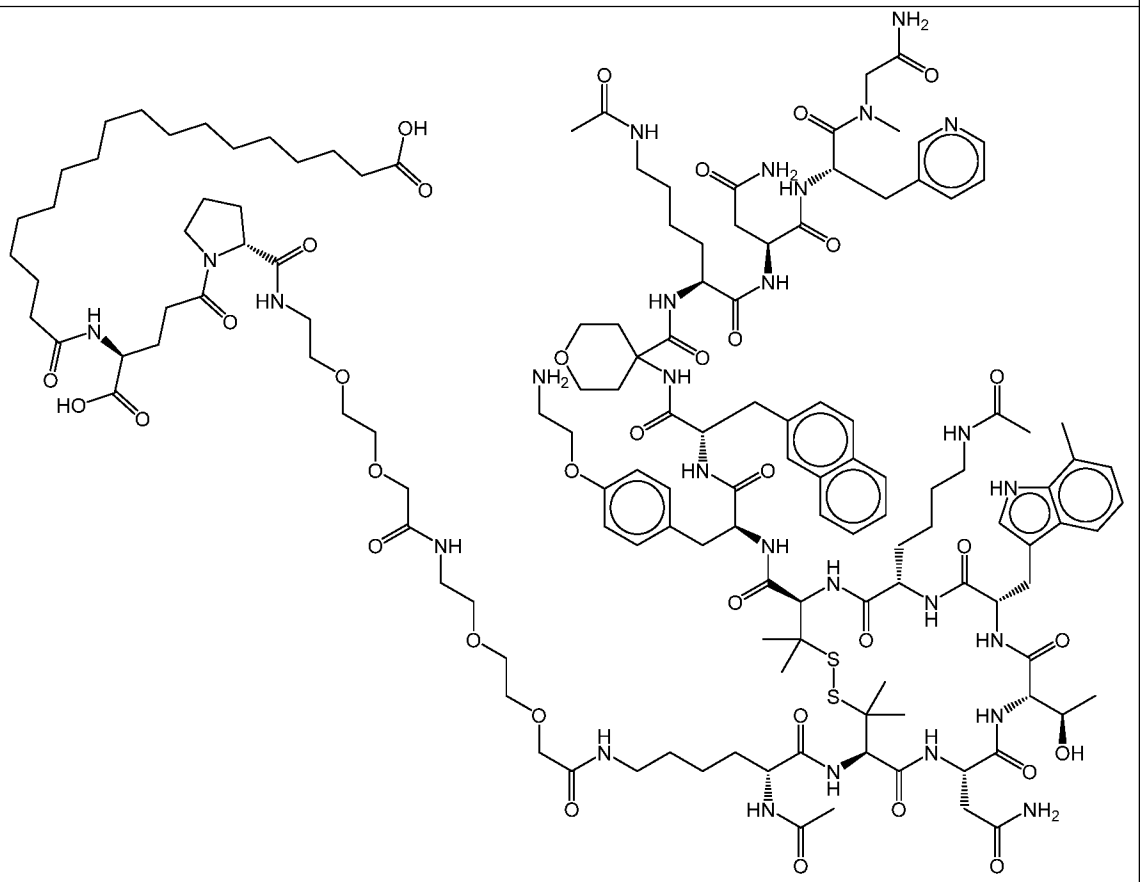
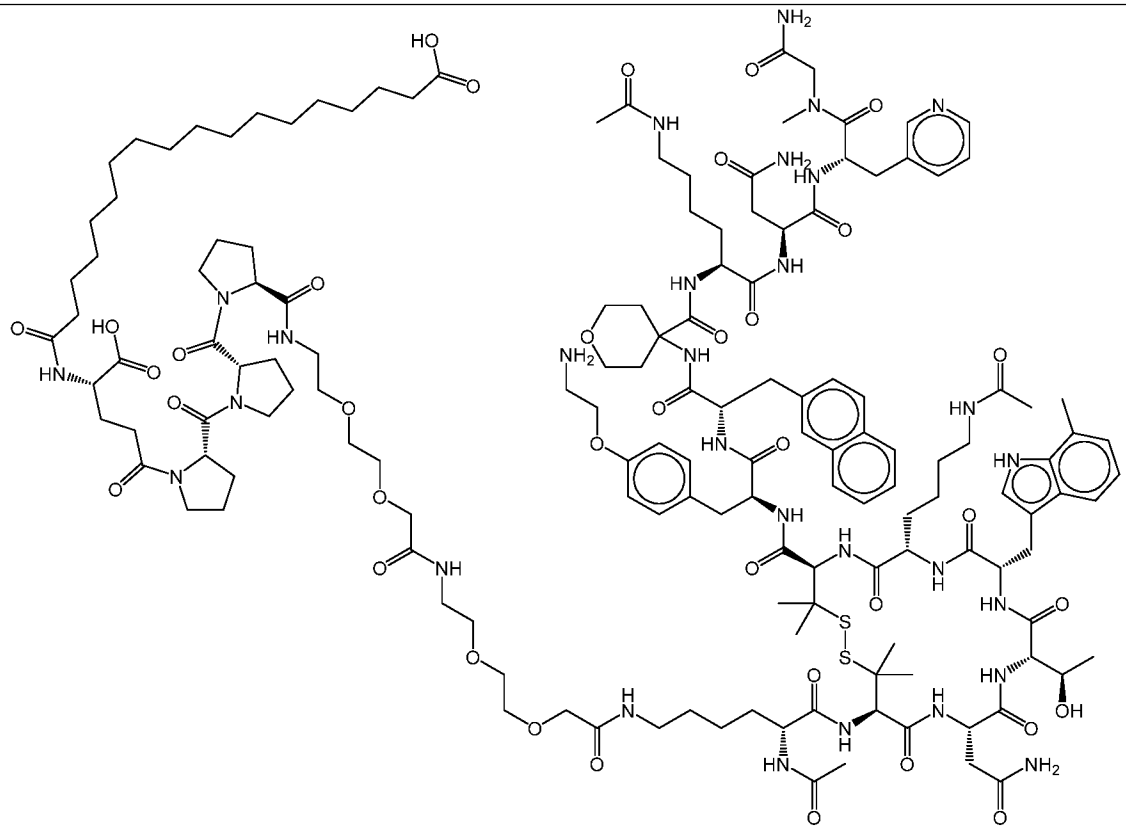
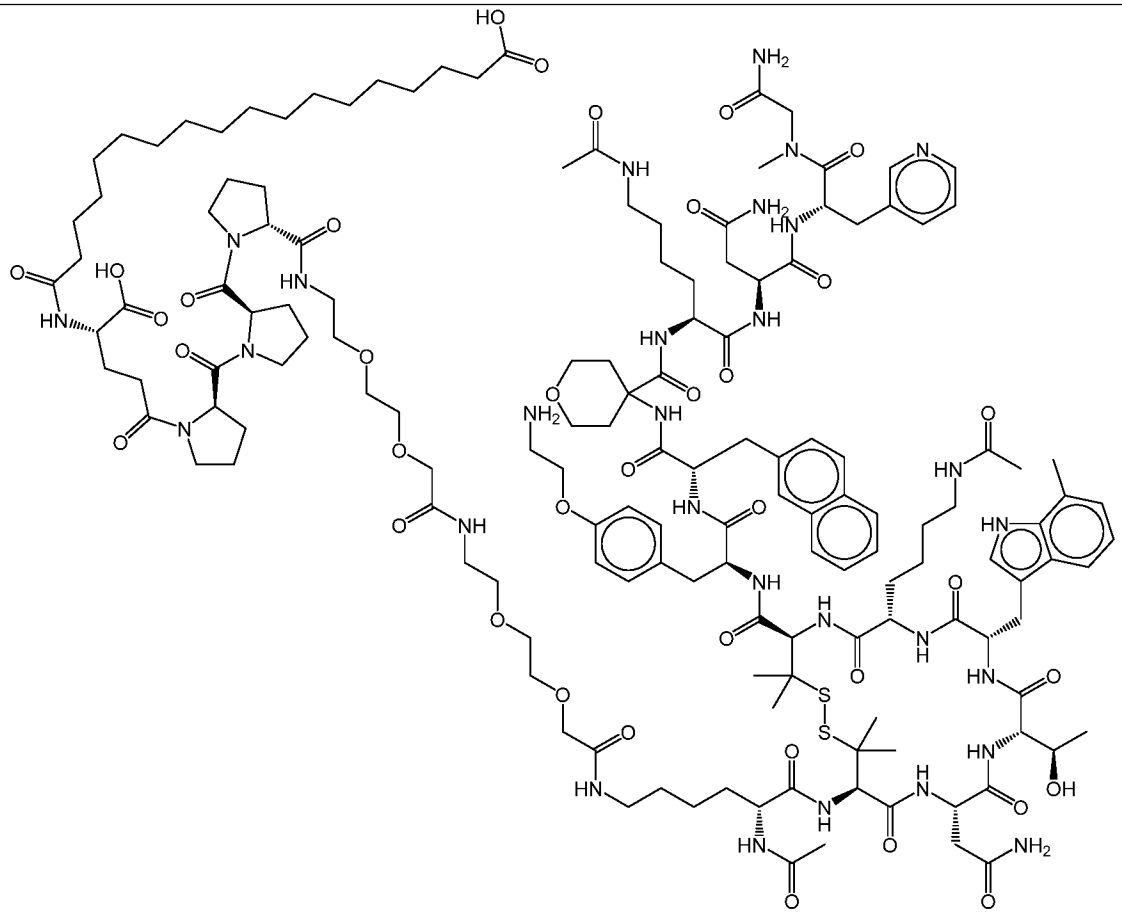
SEQ ID	Structure
100	 (Example 100)

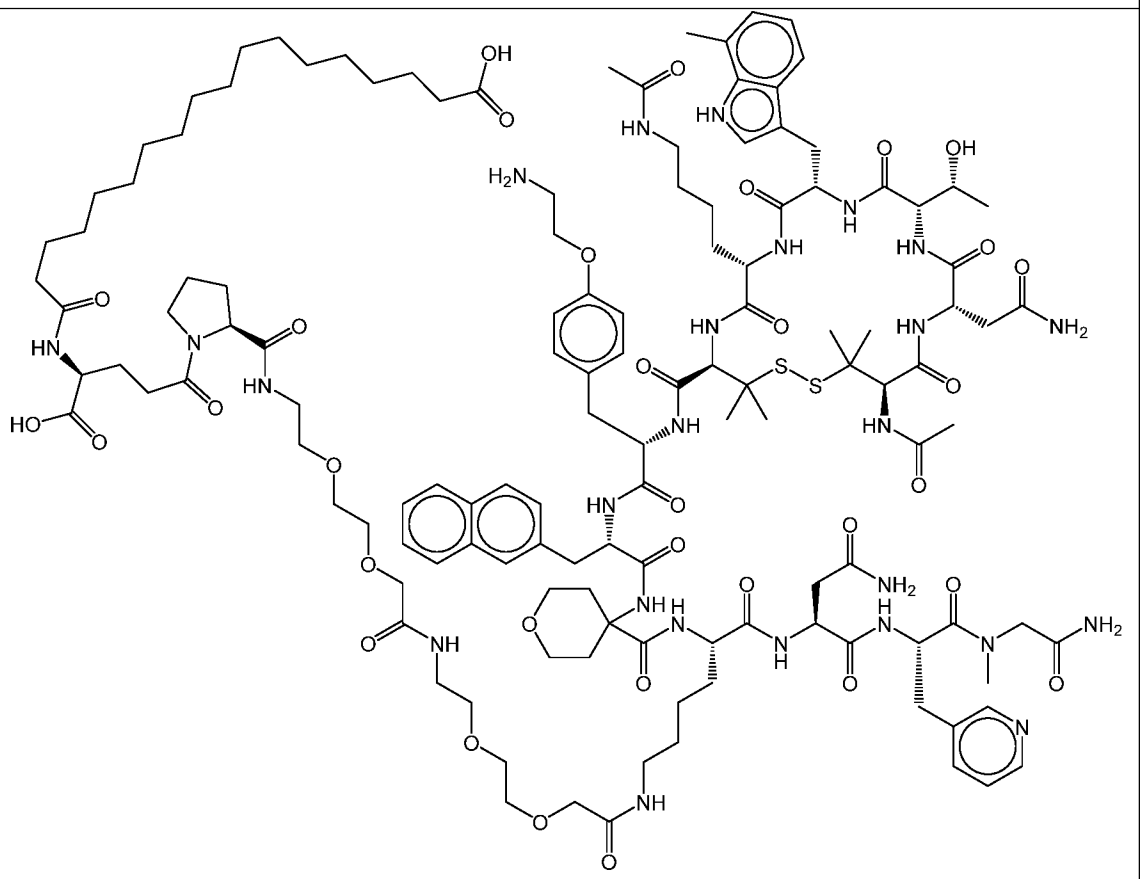
Table 1E. Compounds

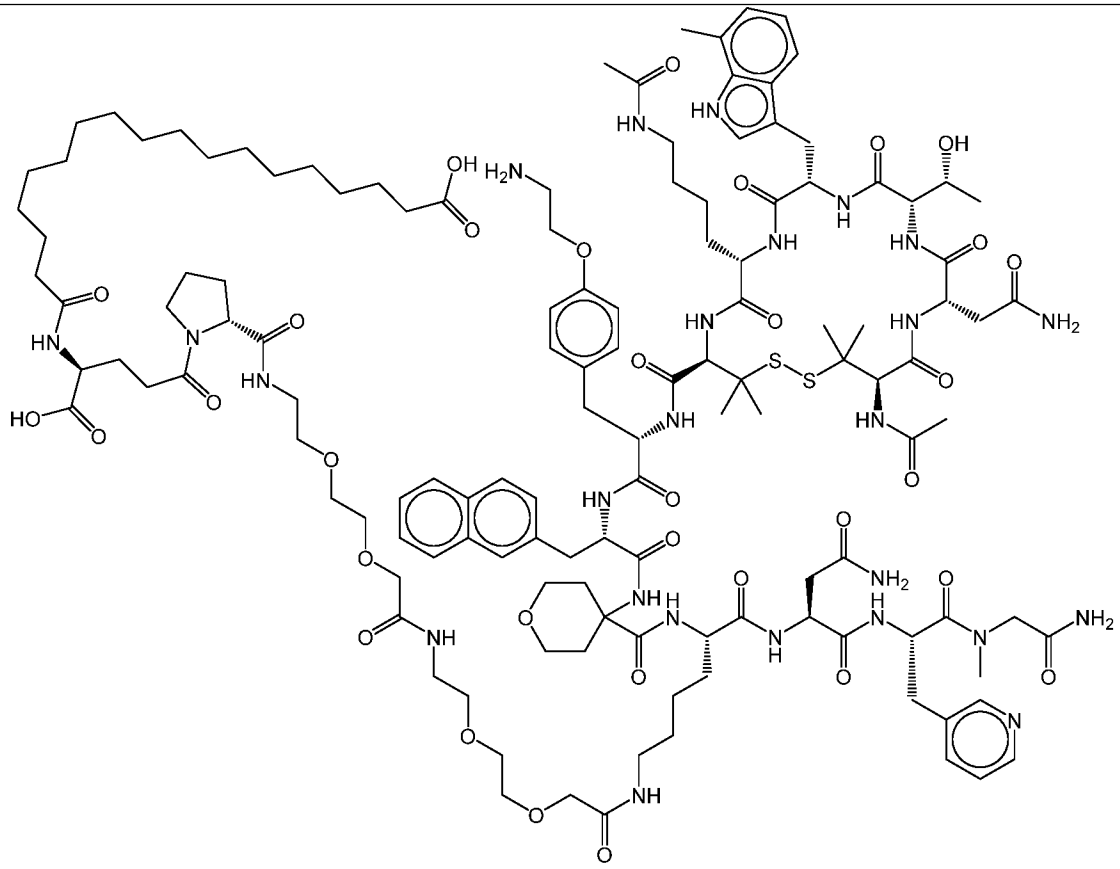
SEQ ID	Structure
101	 (Example 101)

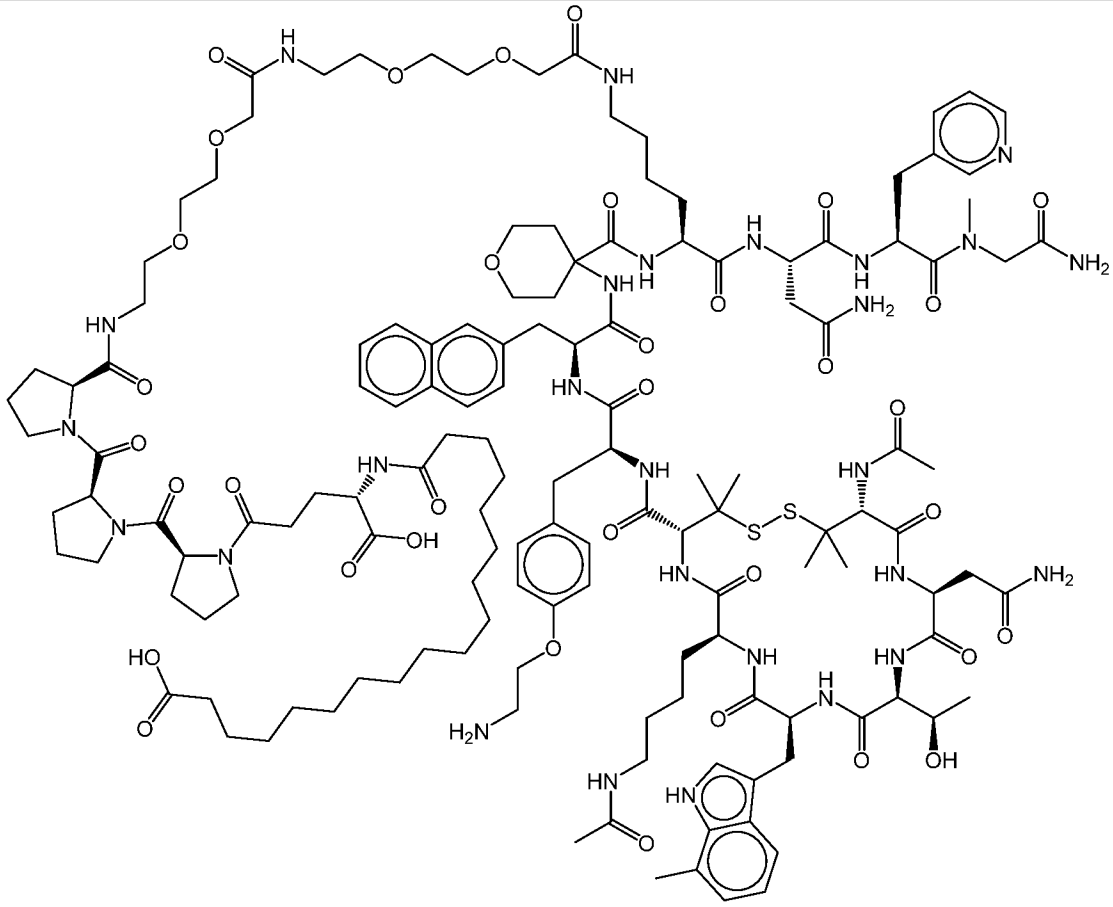
SEQ ID	Structure
102	 (Example 102)

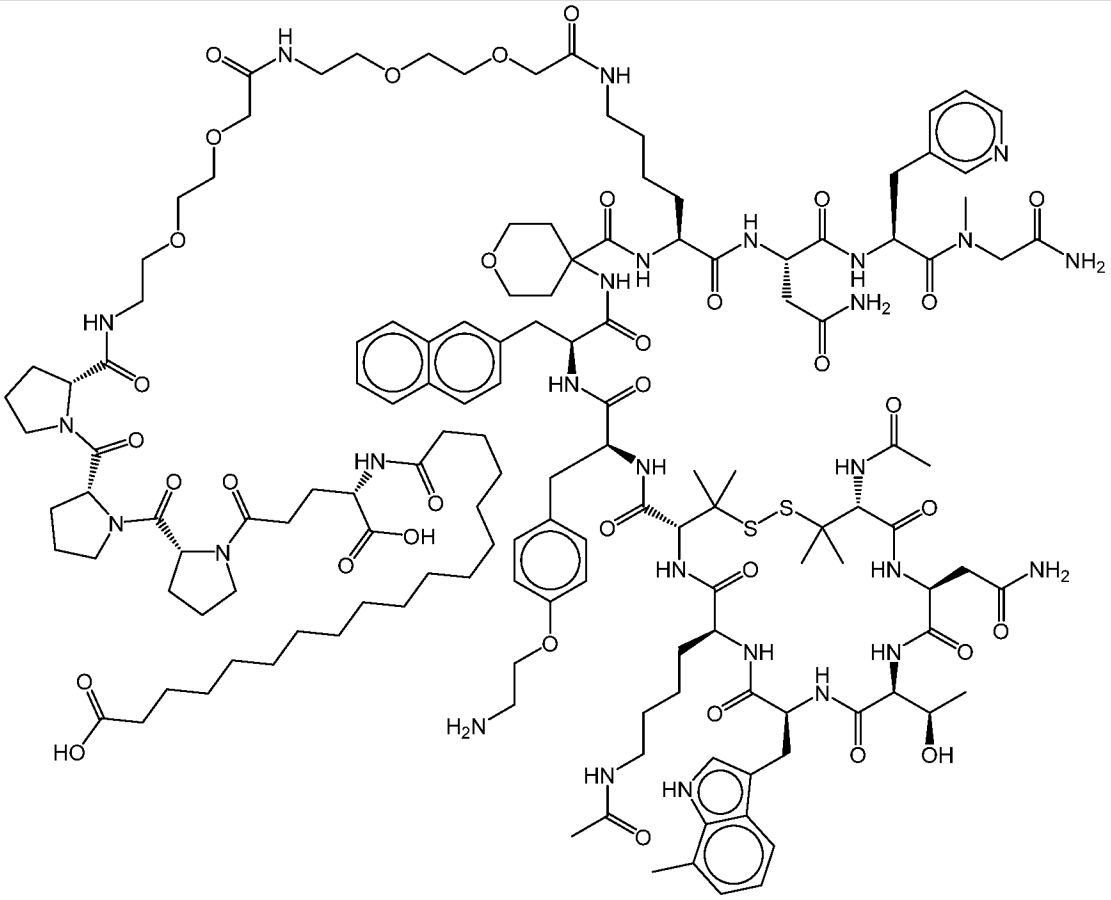
SEQ ID	Structure
103	 (Example 103)

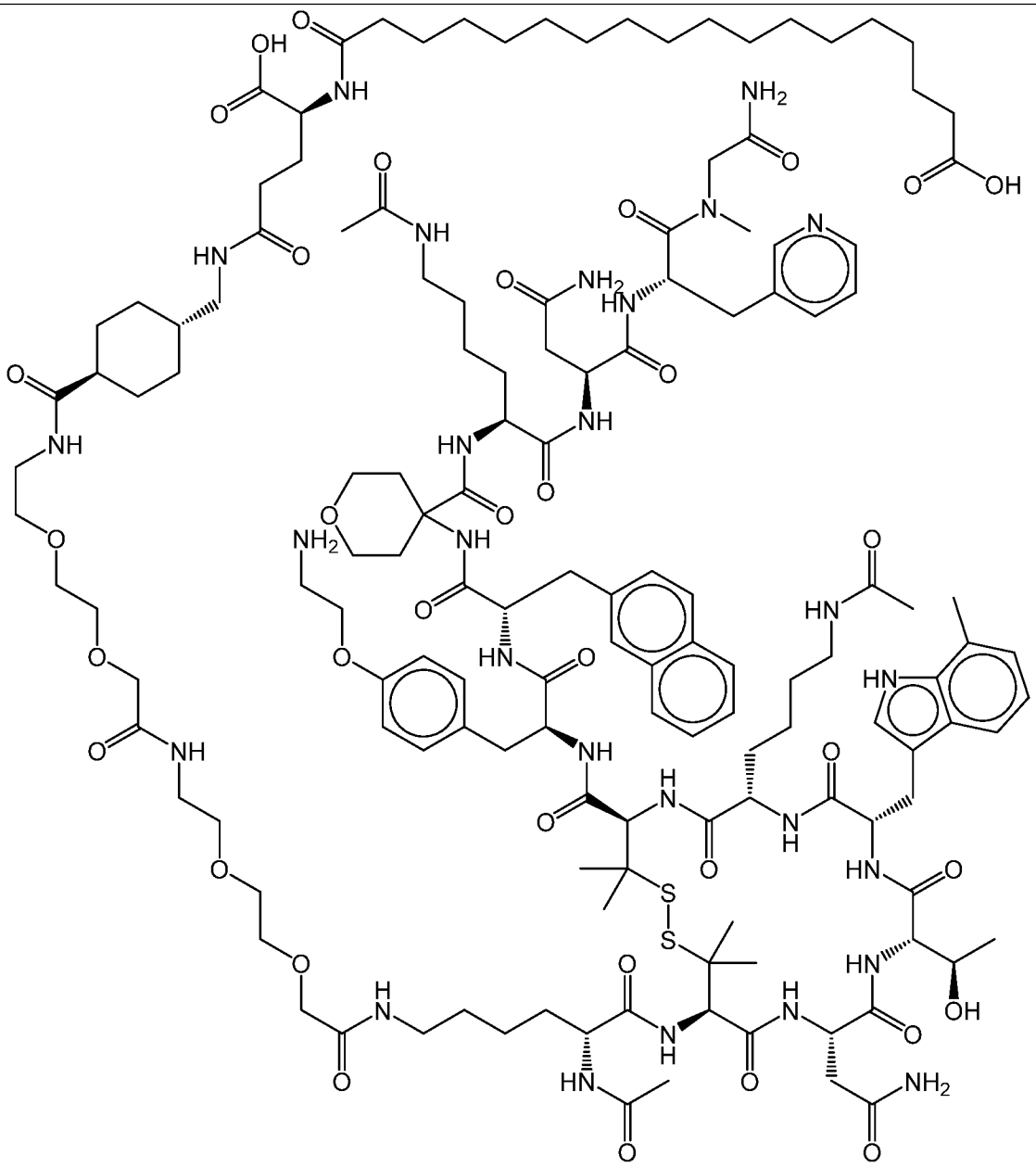
SEQ ID	Structure
104	 (Example 104)

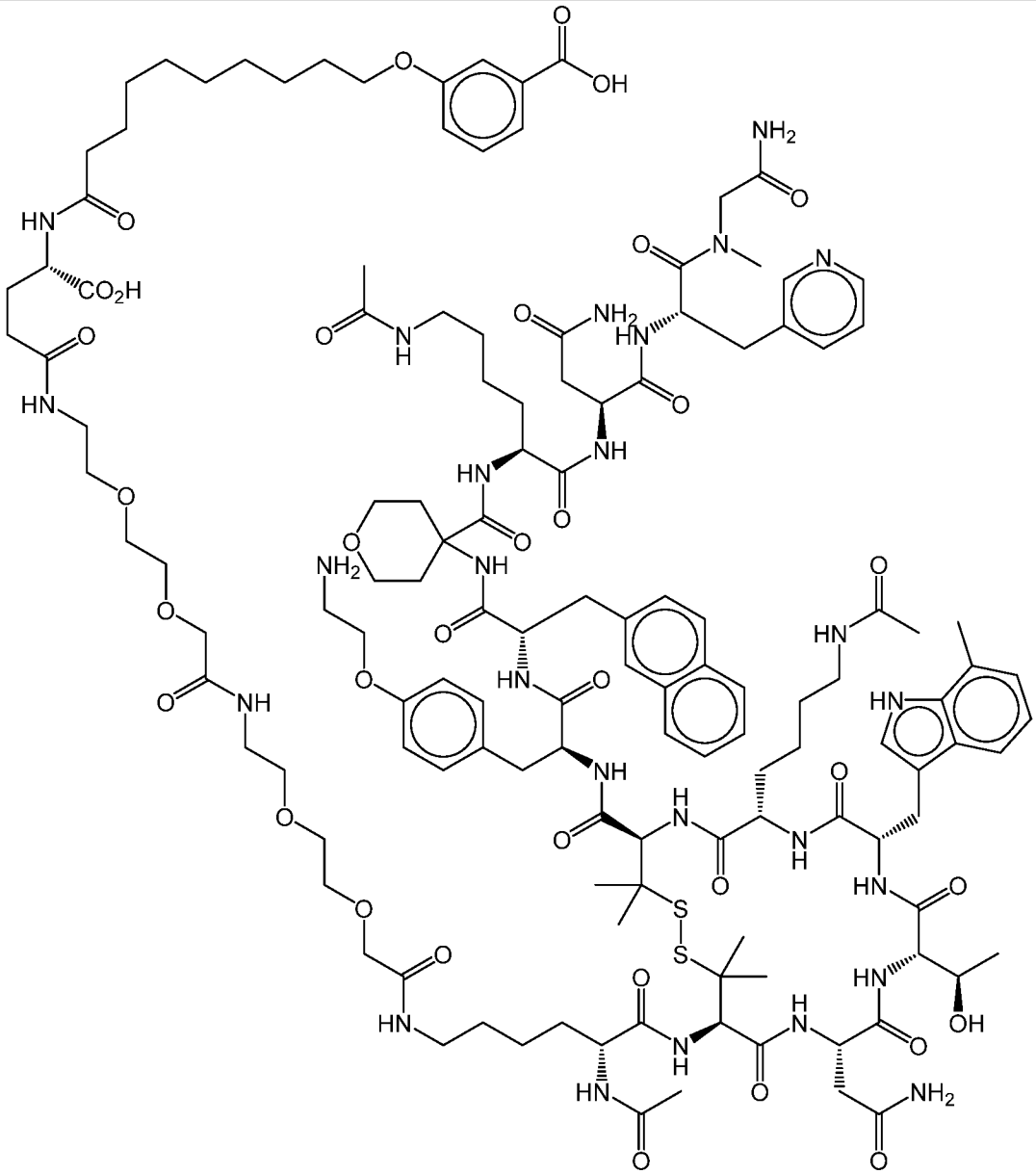
SEQ ID	Structure
105	 (Example 105)

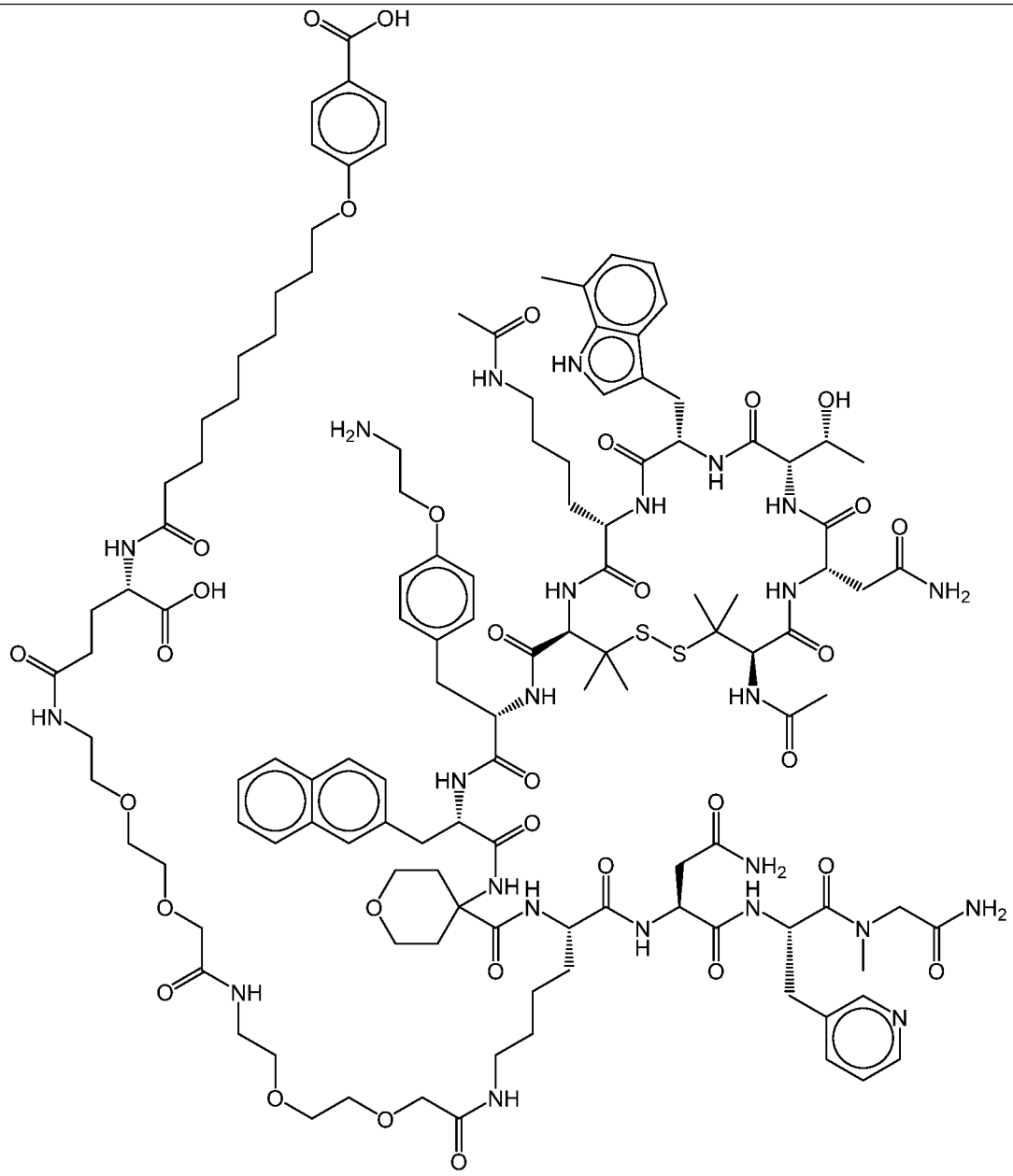
SEQ ID	Structure
106	 (Example 106)

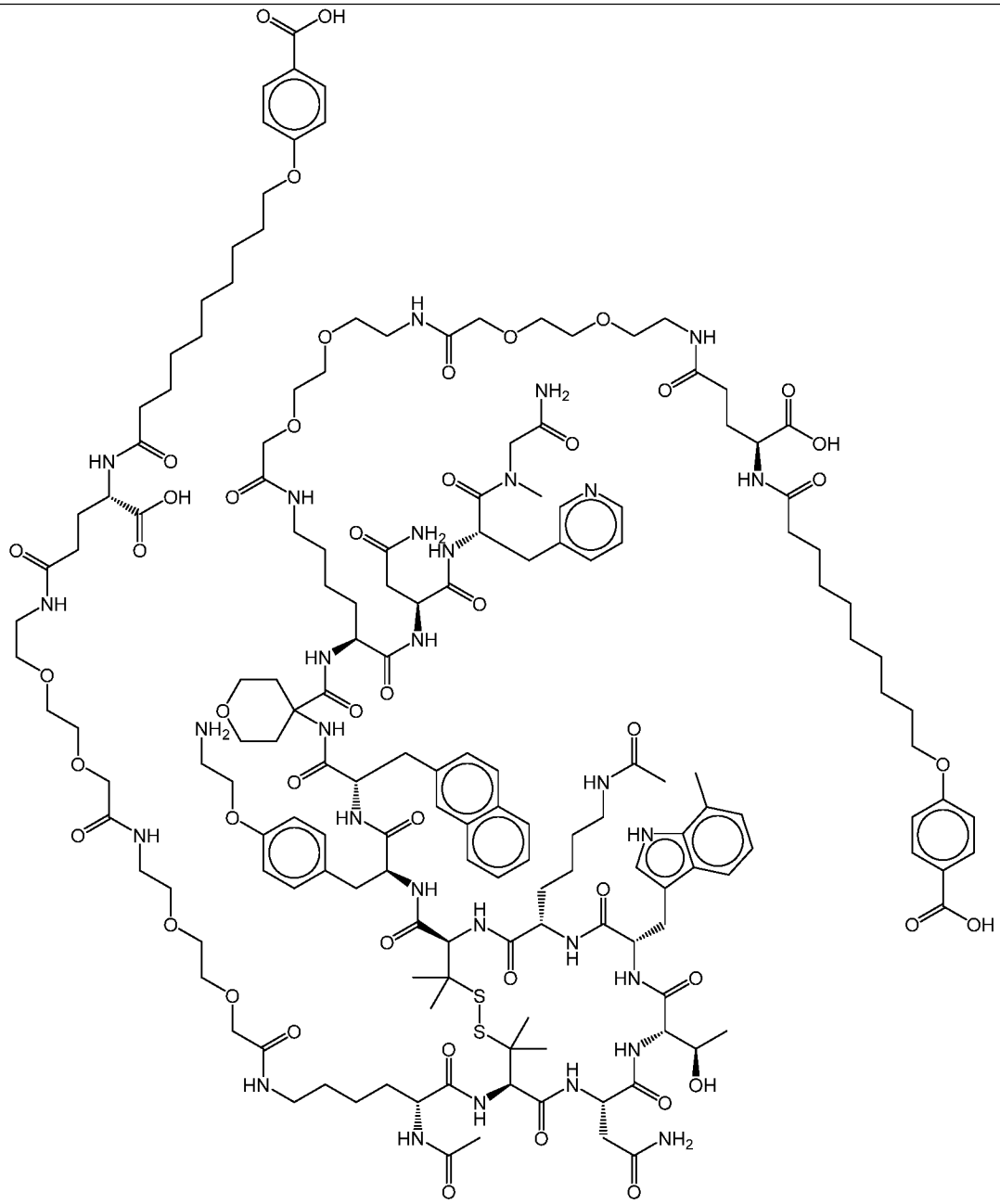
SEQ ID	Structure
107	 (Example 107)

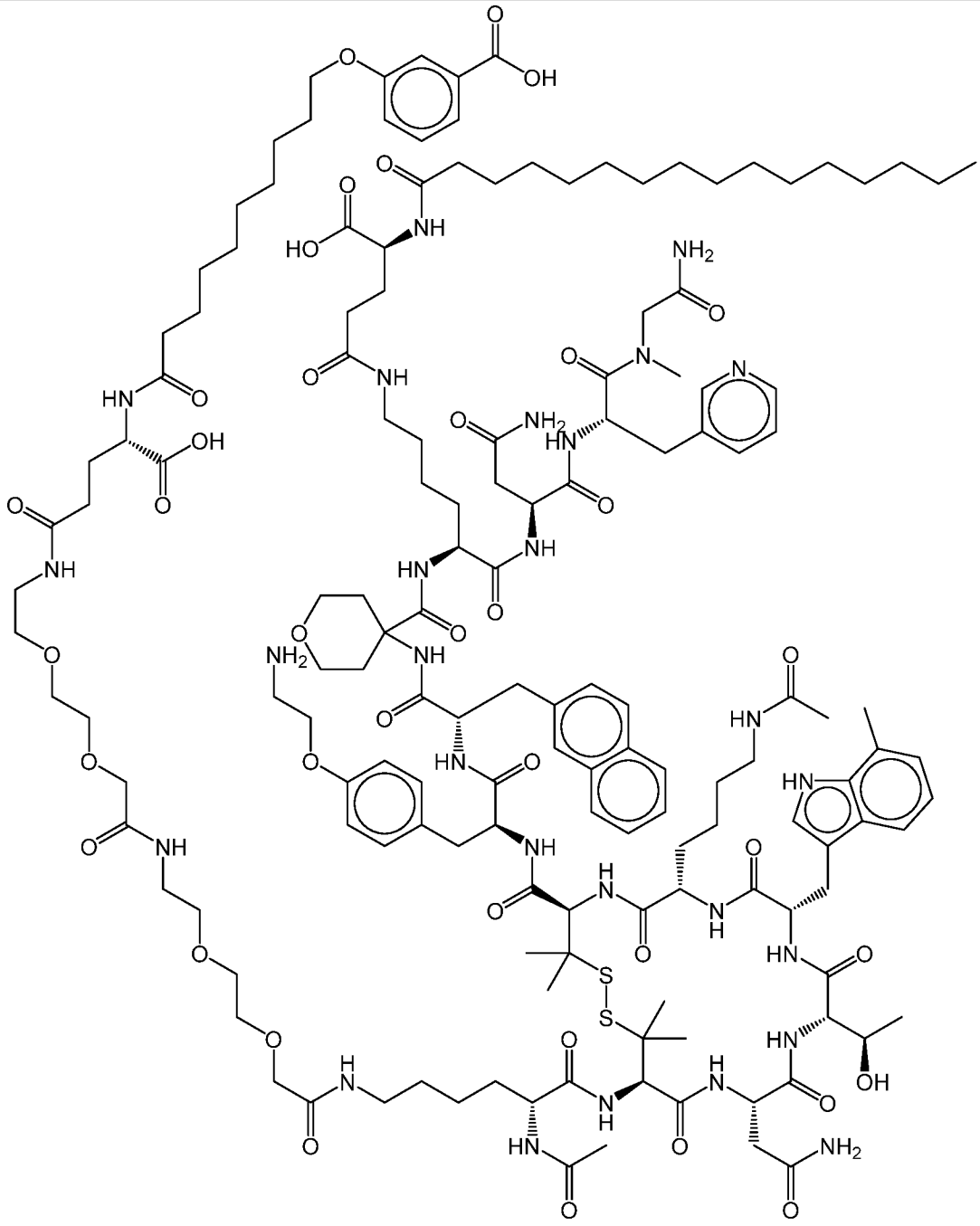
SEQ ID	Structure
108	 (Example 108)

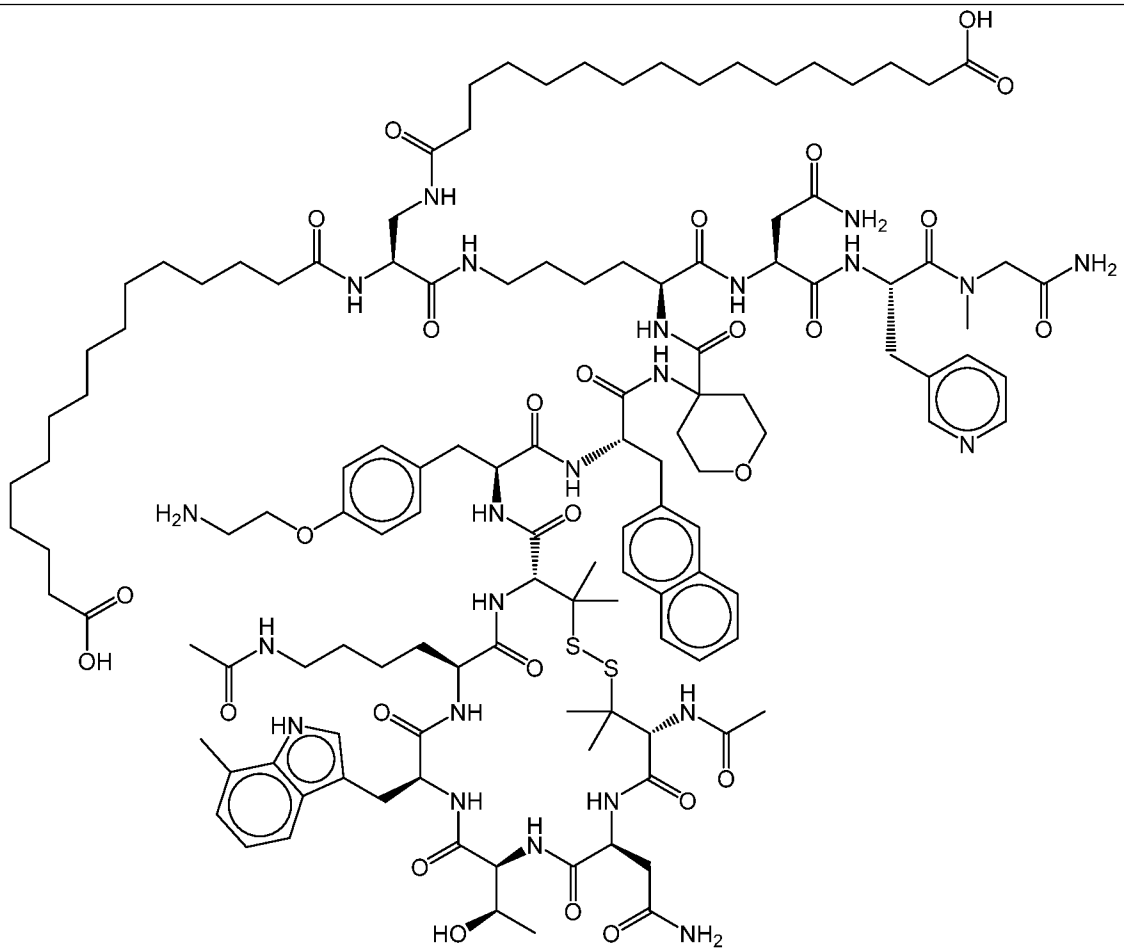
SEQ ID	Structure
109	 (Example 109)

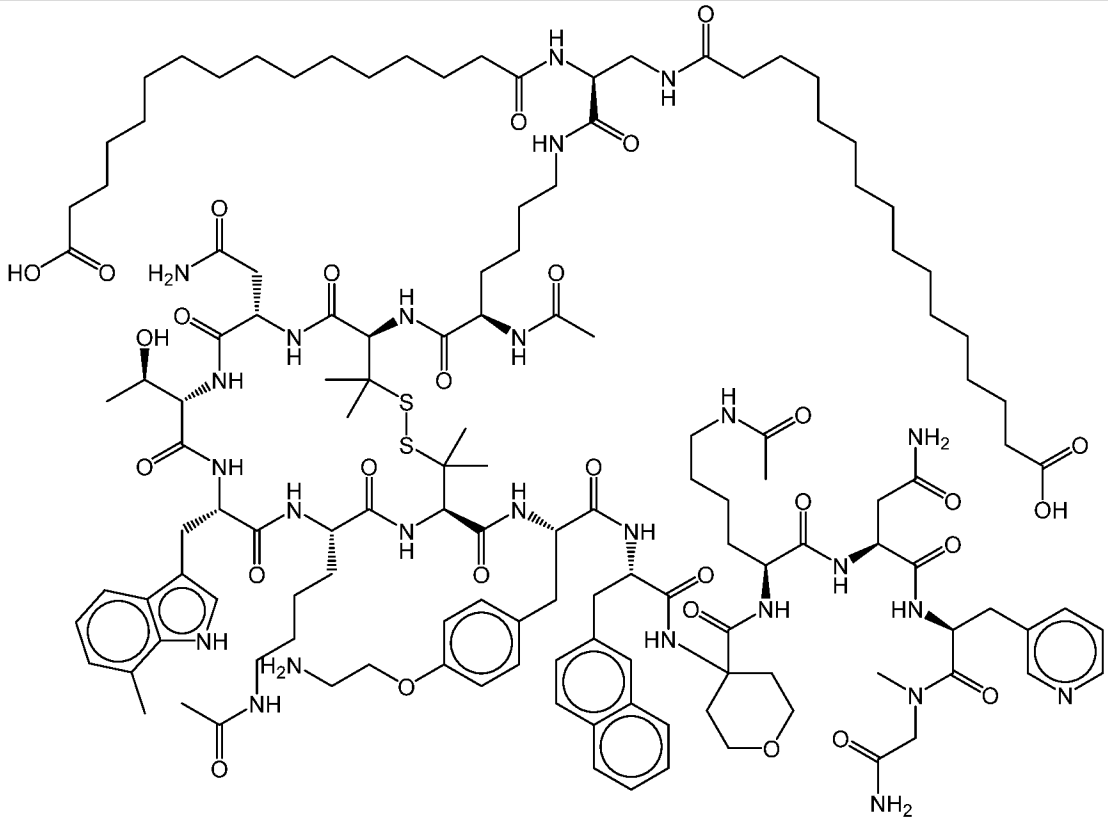
SEQ ID	Structure
110	 (Example 110)

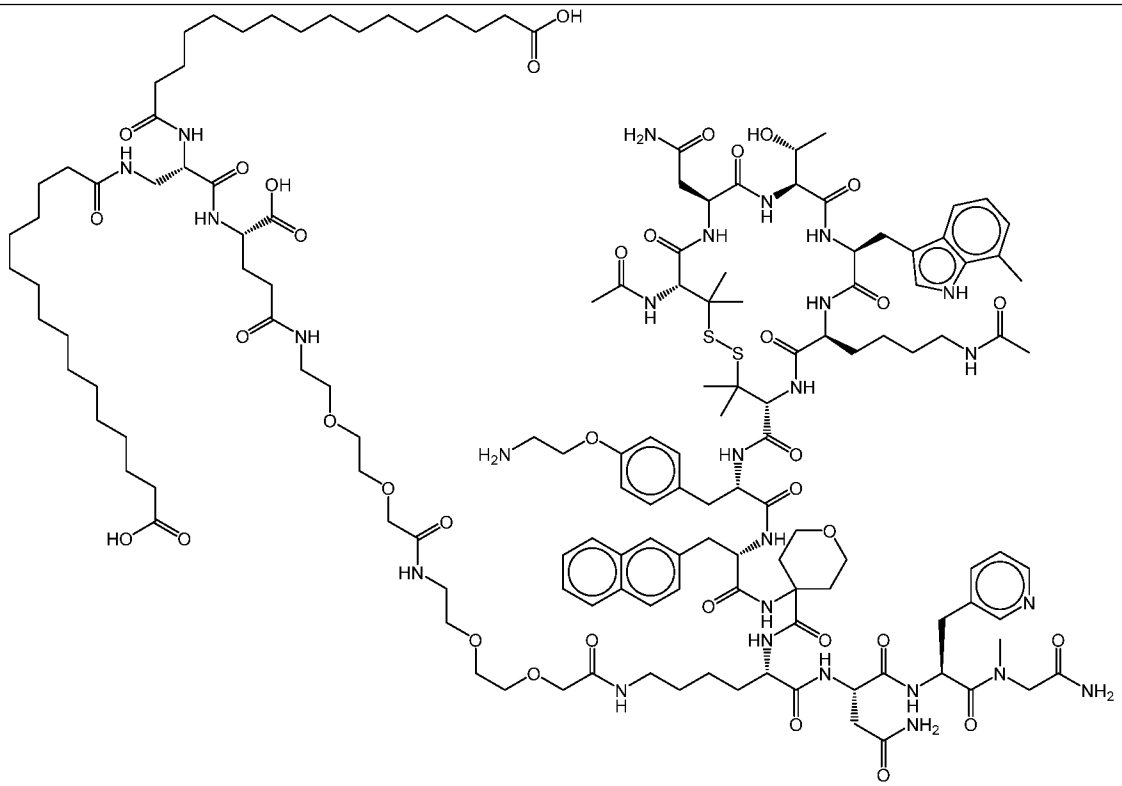
SEQ ID	Structure
111	 (Example 111)

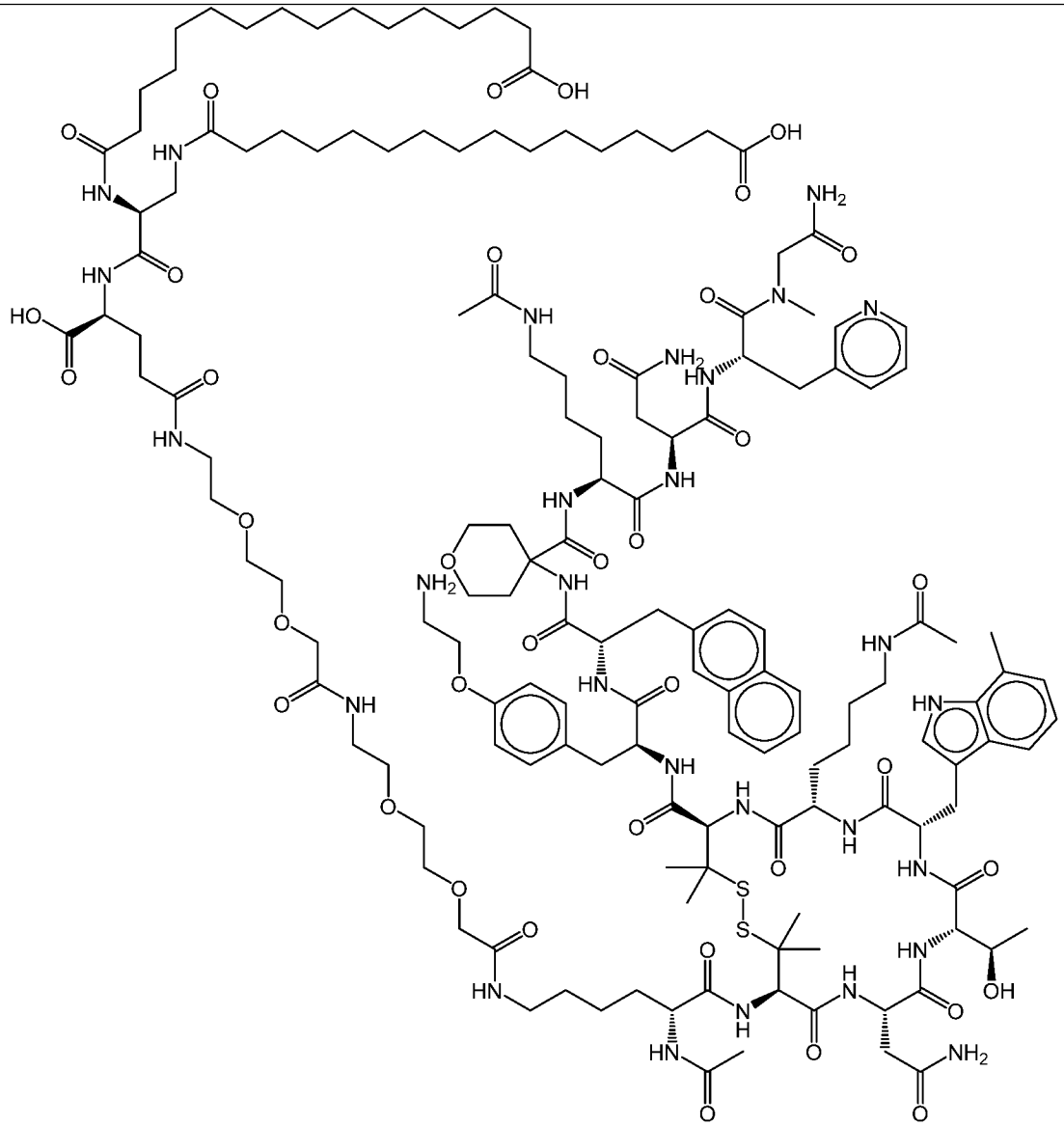
SEQ ID	Structure
112	 (Example 112)

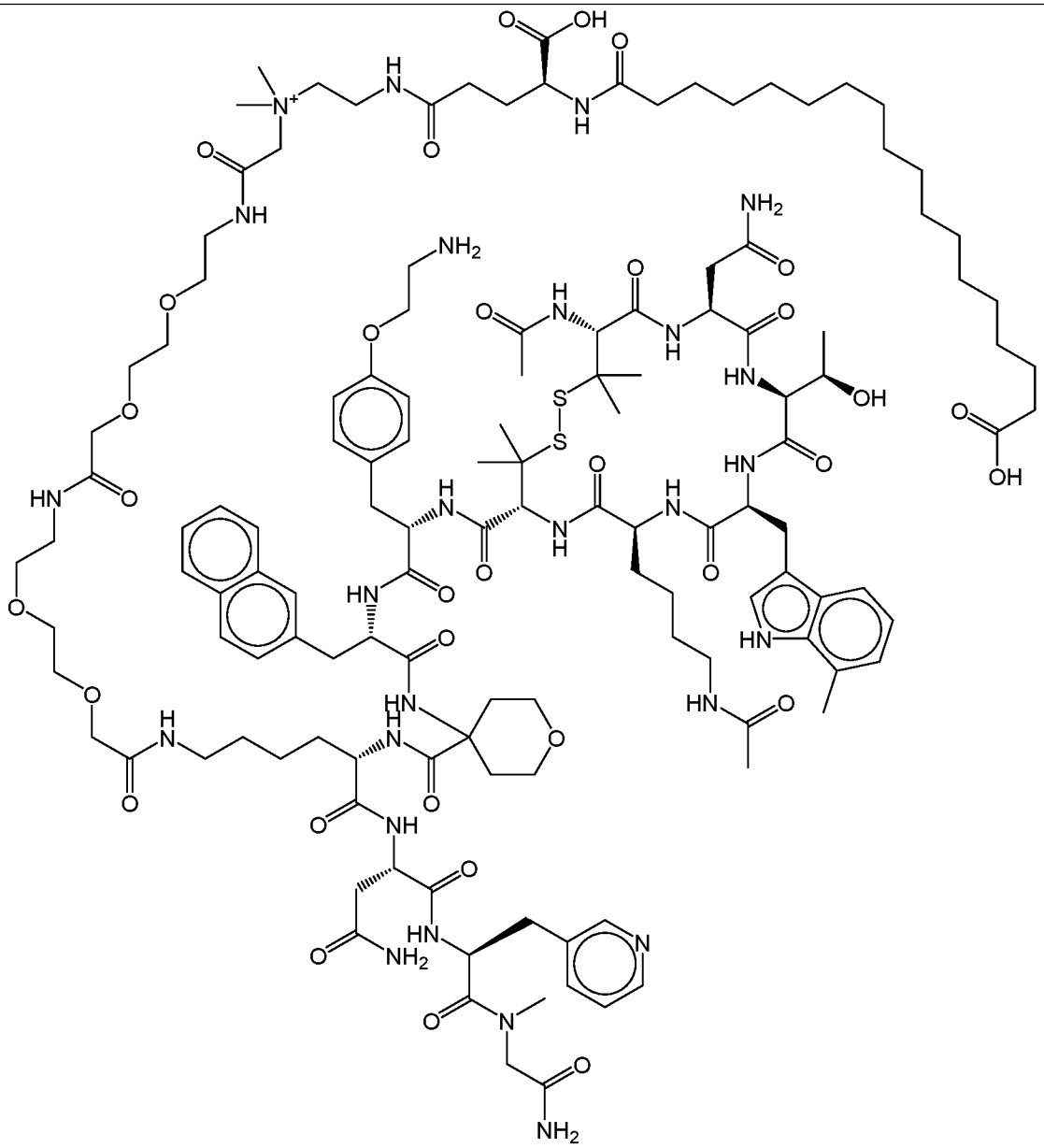
SEQ ID	Structure
113	 (Example 113)

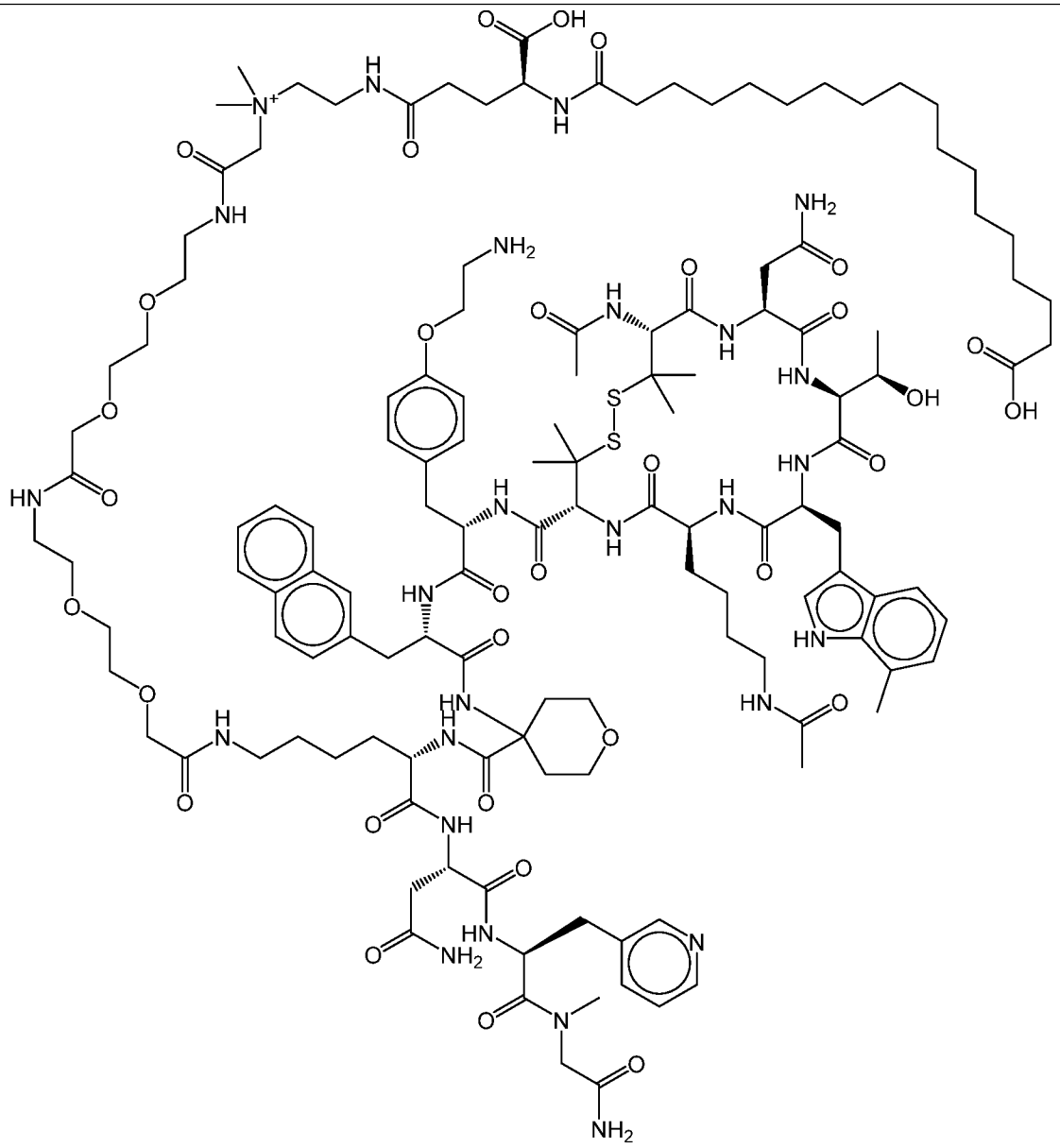
SEQ ID	Structure
114	 (Example 114)

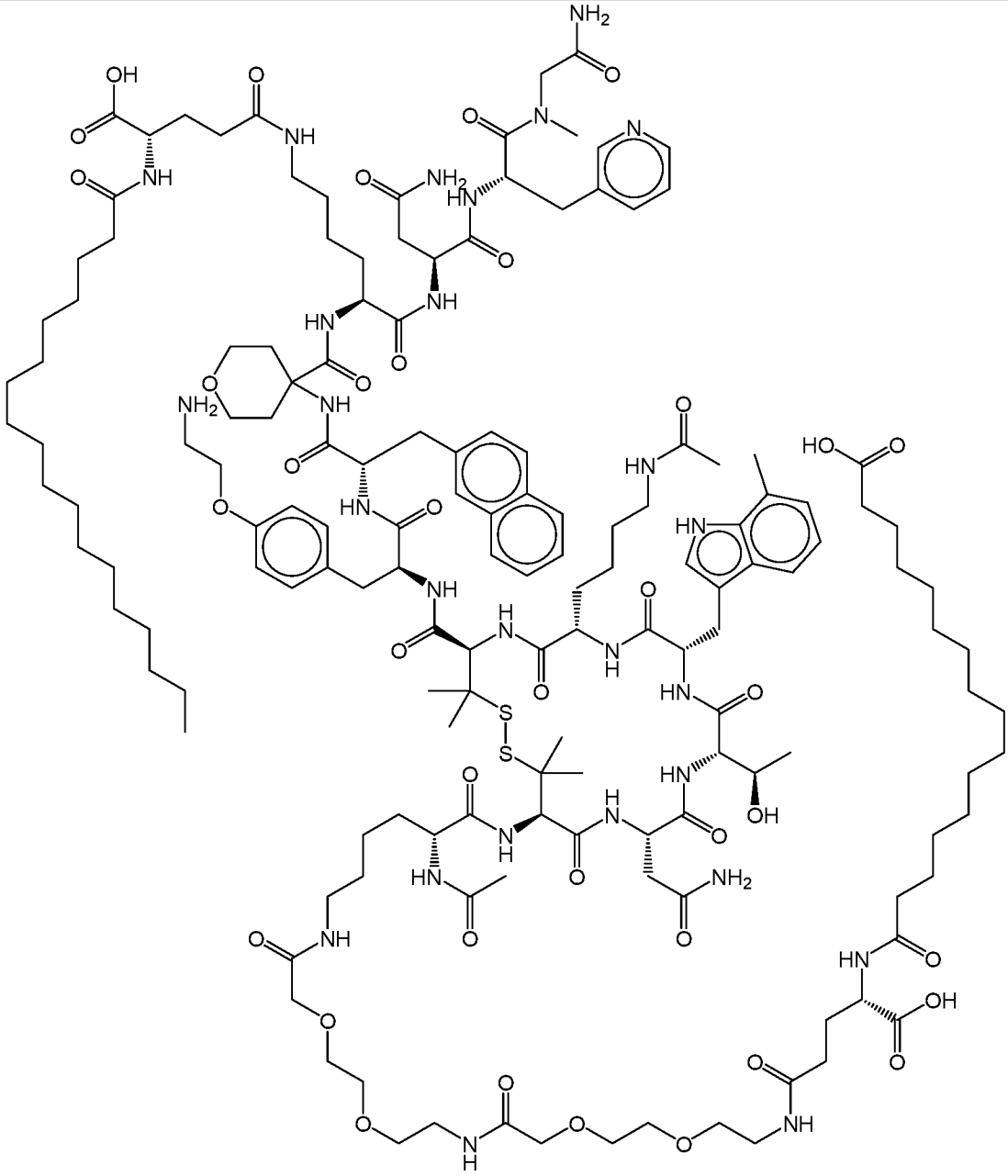
SEQ ID	Structure
115	 (Example 115)

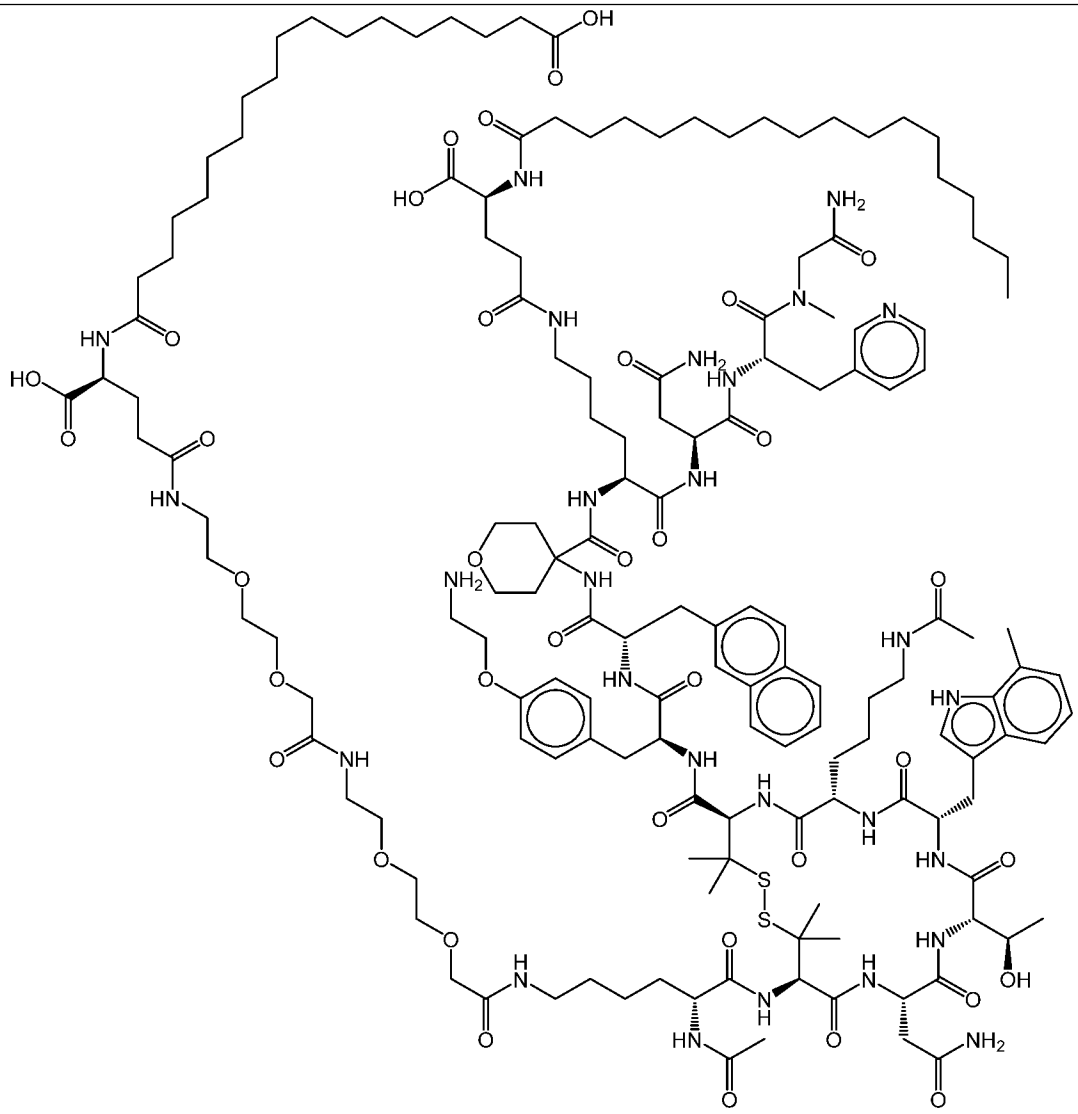
SEQ ID	Structure
116	 (Example 116)

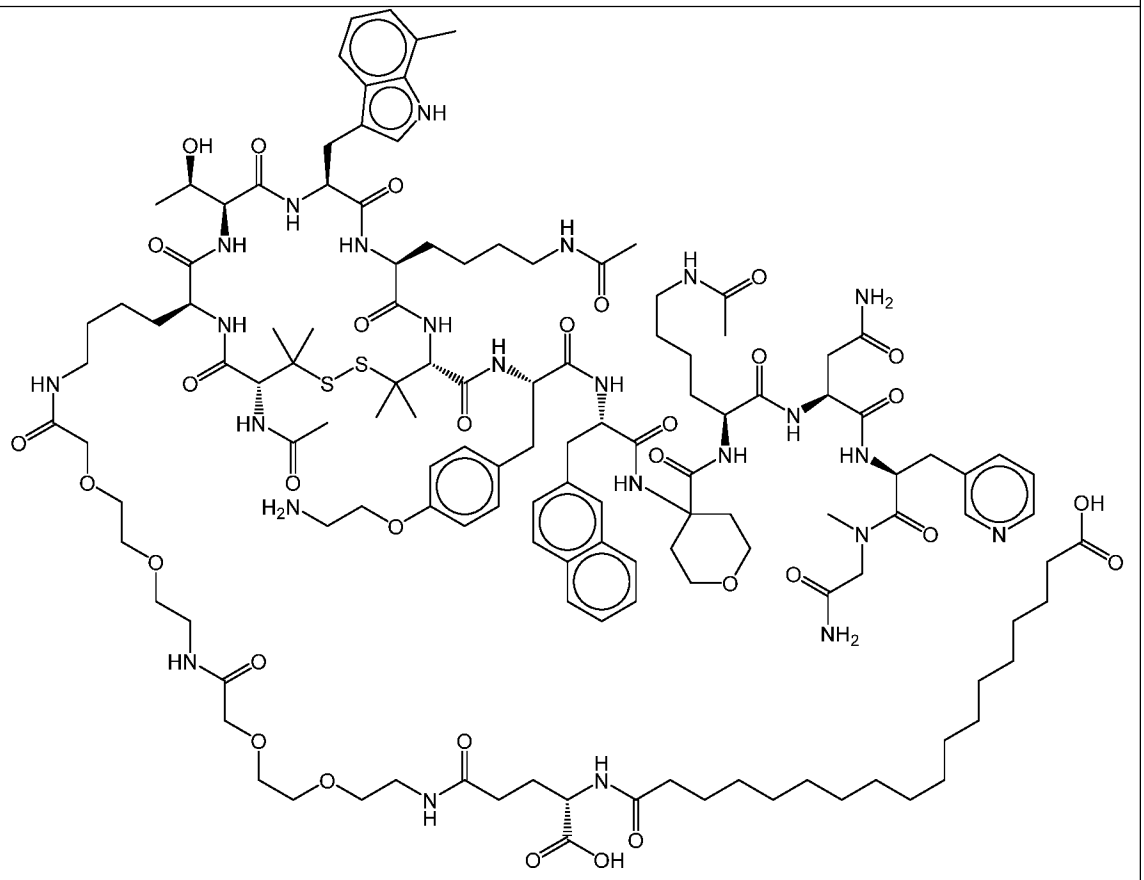
SEQ ID	Structure
117	 (Example 117)

SEQ ID	Structure
118	 (Example 118)

SEQ ID	Structure
119	 (Example 119)

SEQ ID	Structure
120	 (Example 120)

SEQ ID	Structure
121	 (Example 121)

SEQ ID	Structure
122	 (Example 122)

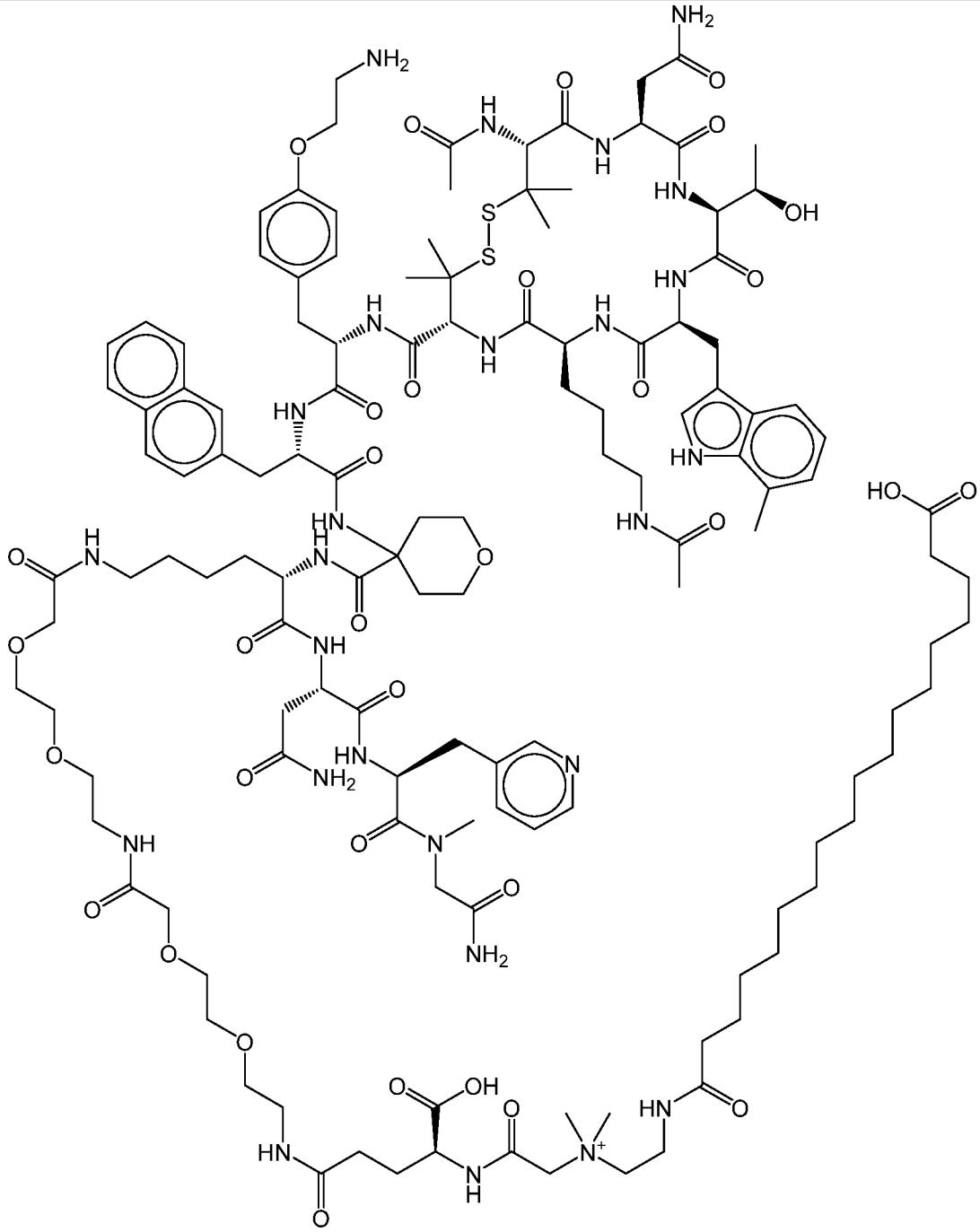
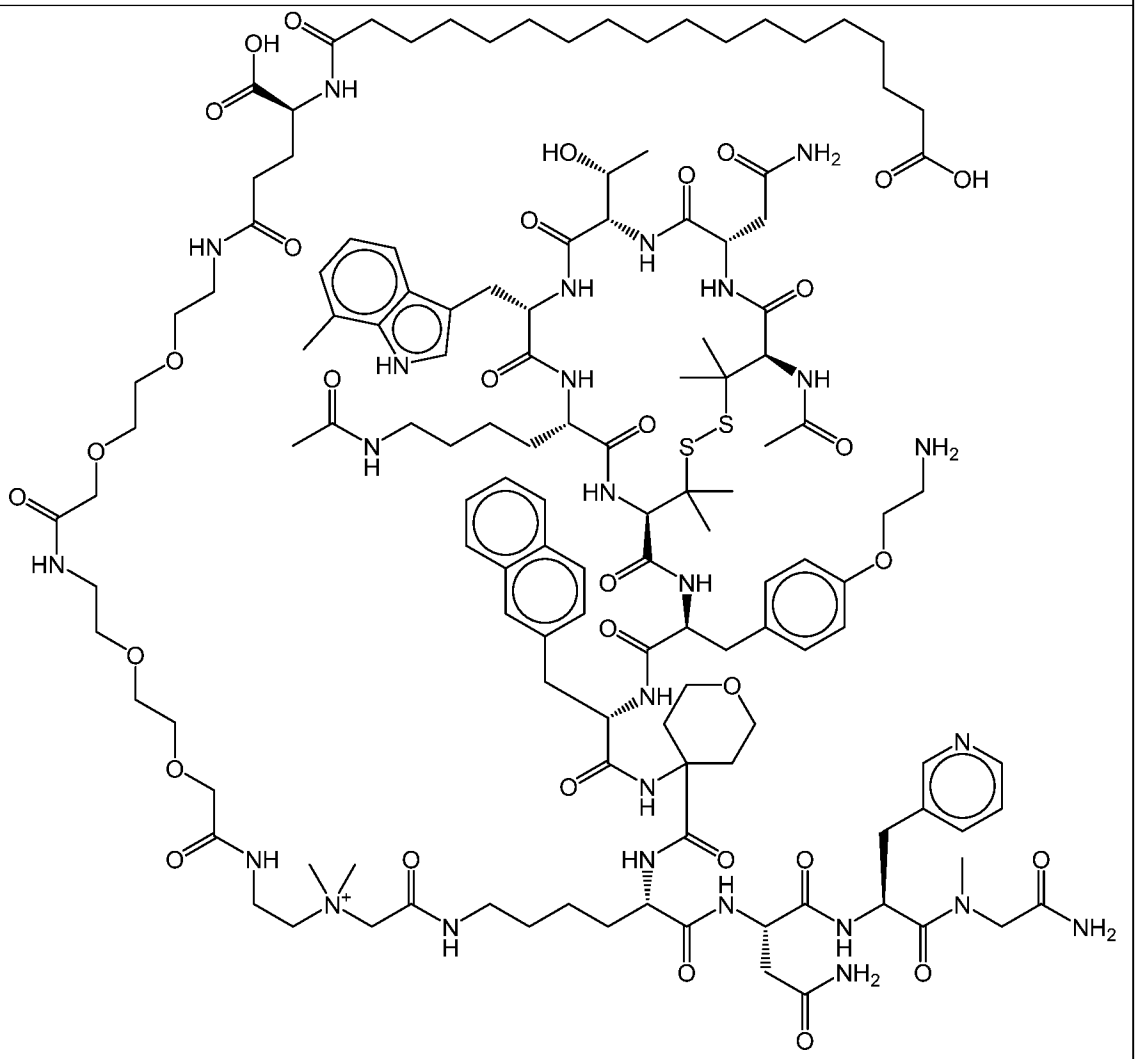
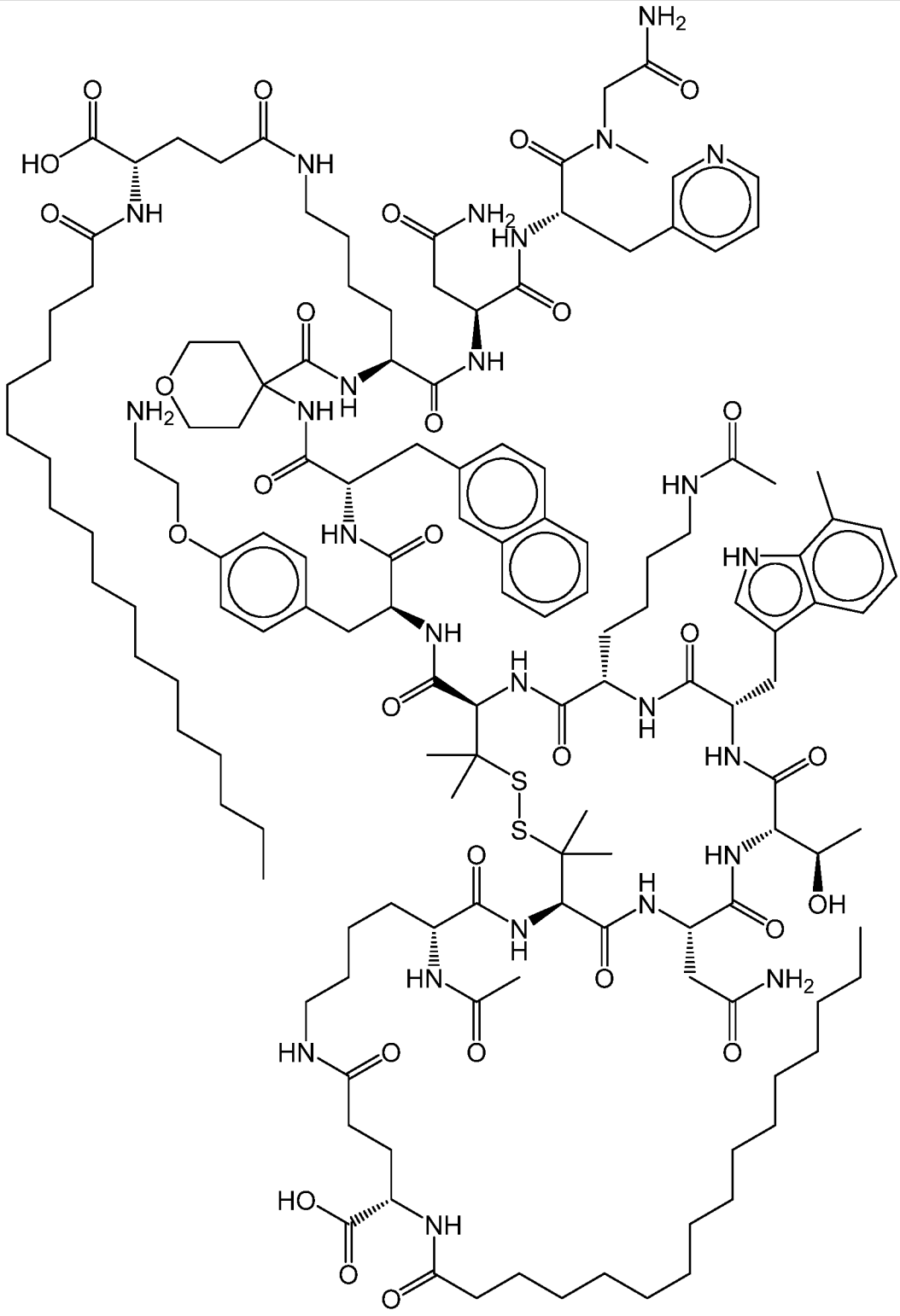
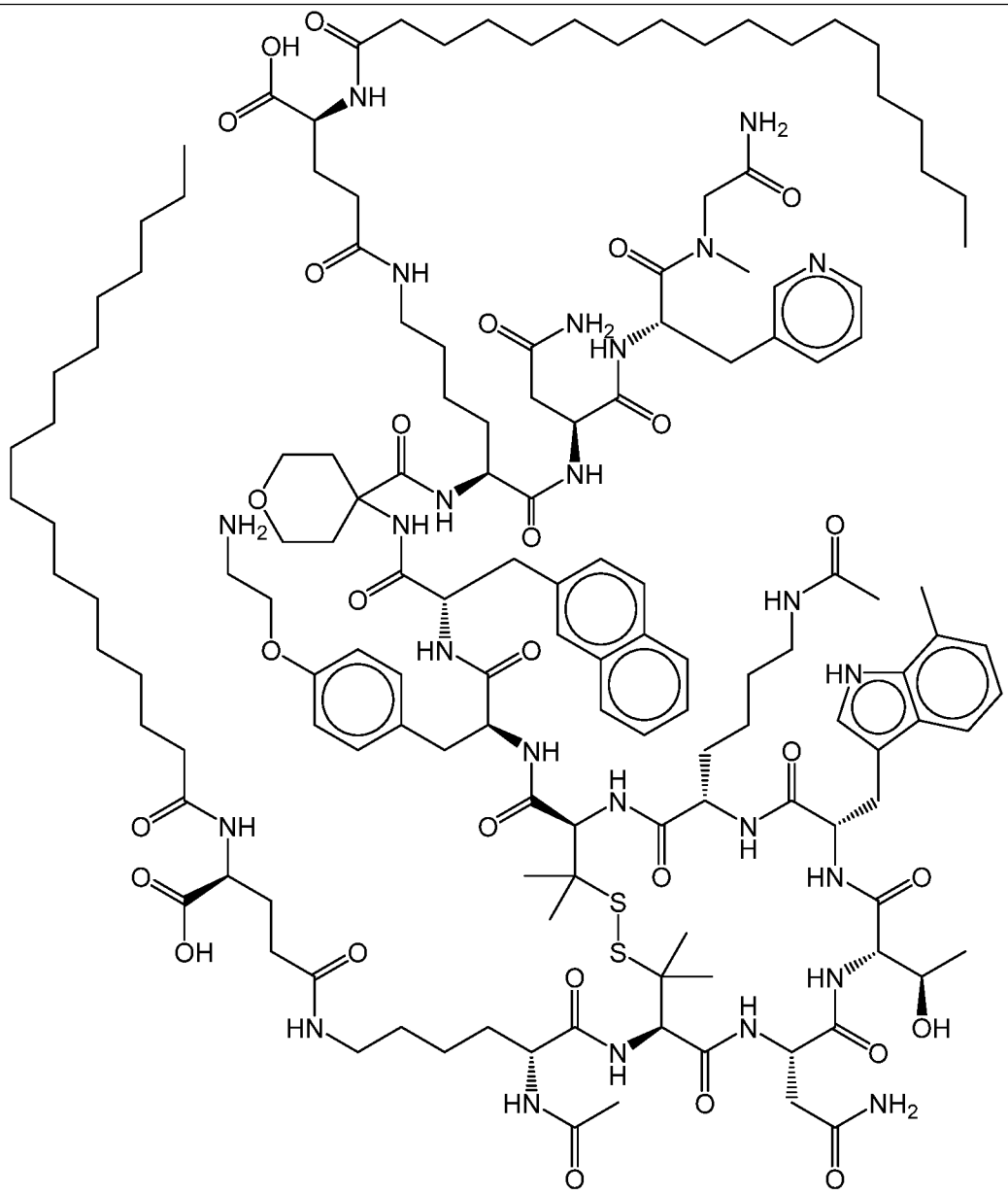
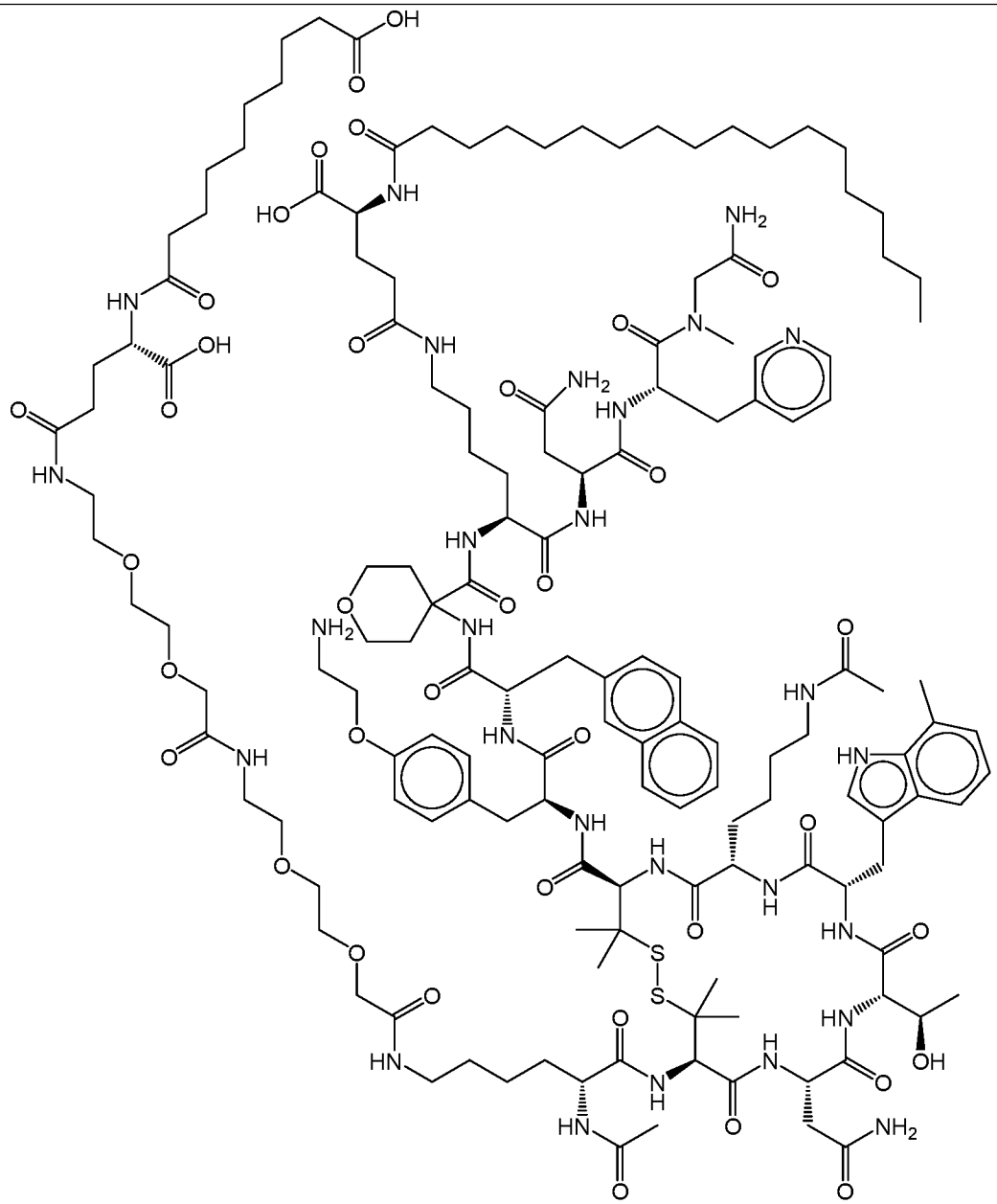
SEQ ID	Structure
123	 (Example 123)

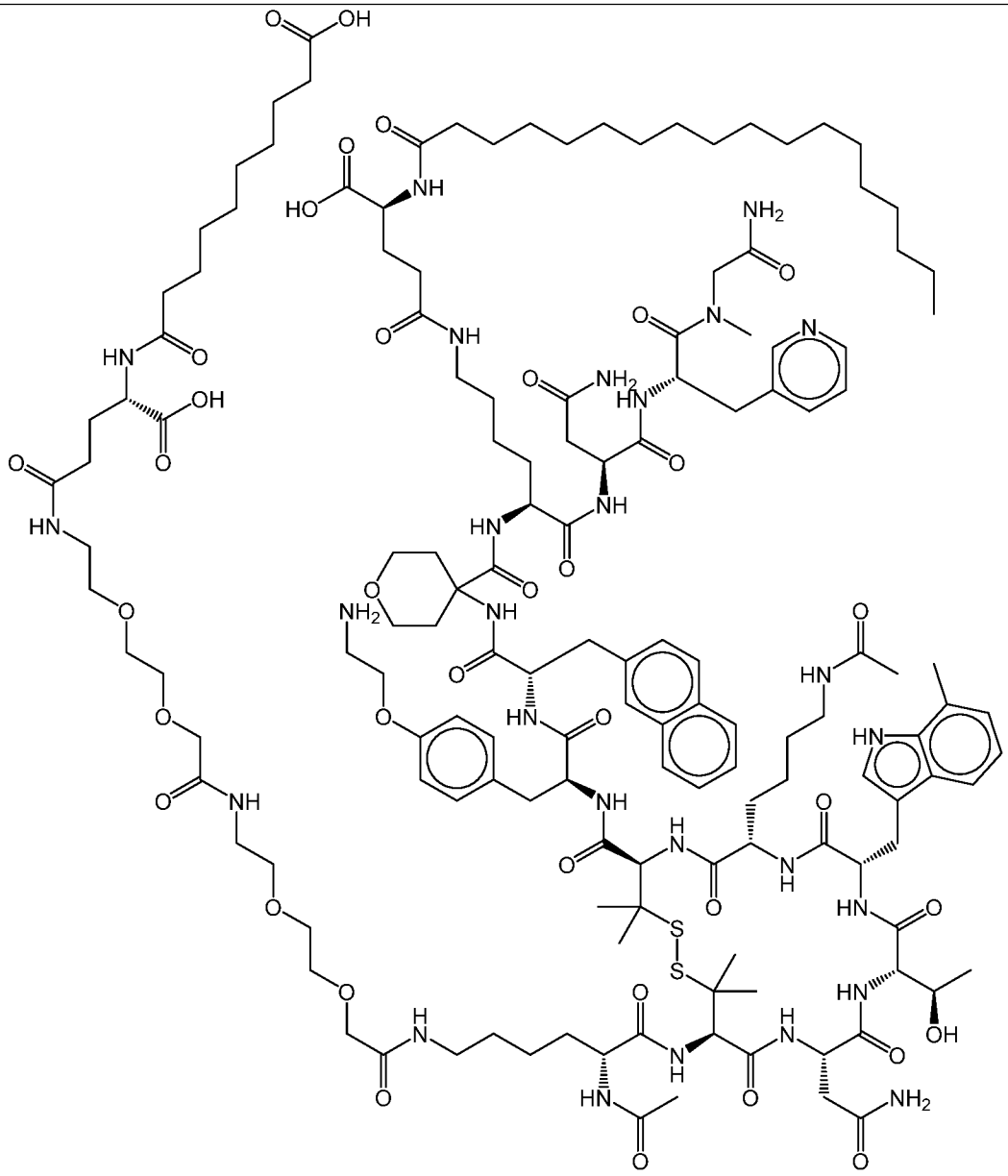
Table 1F. Compounds.

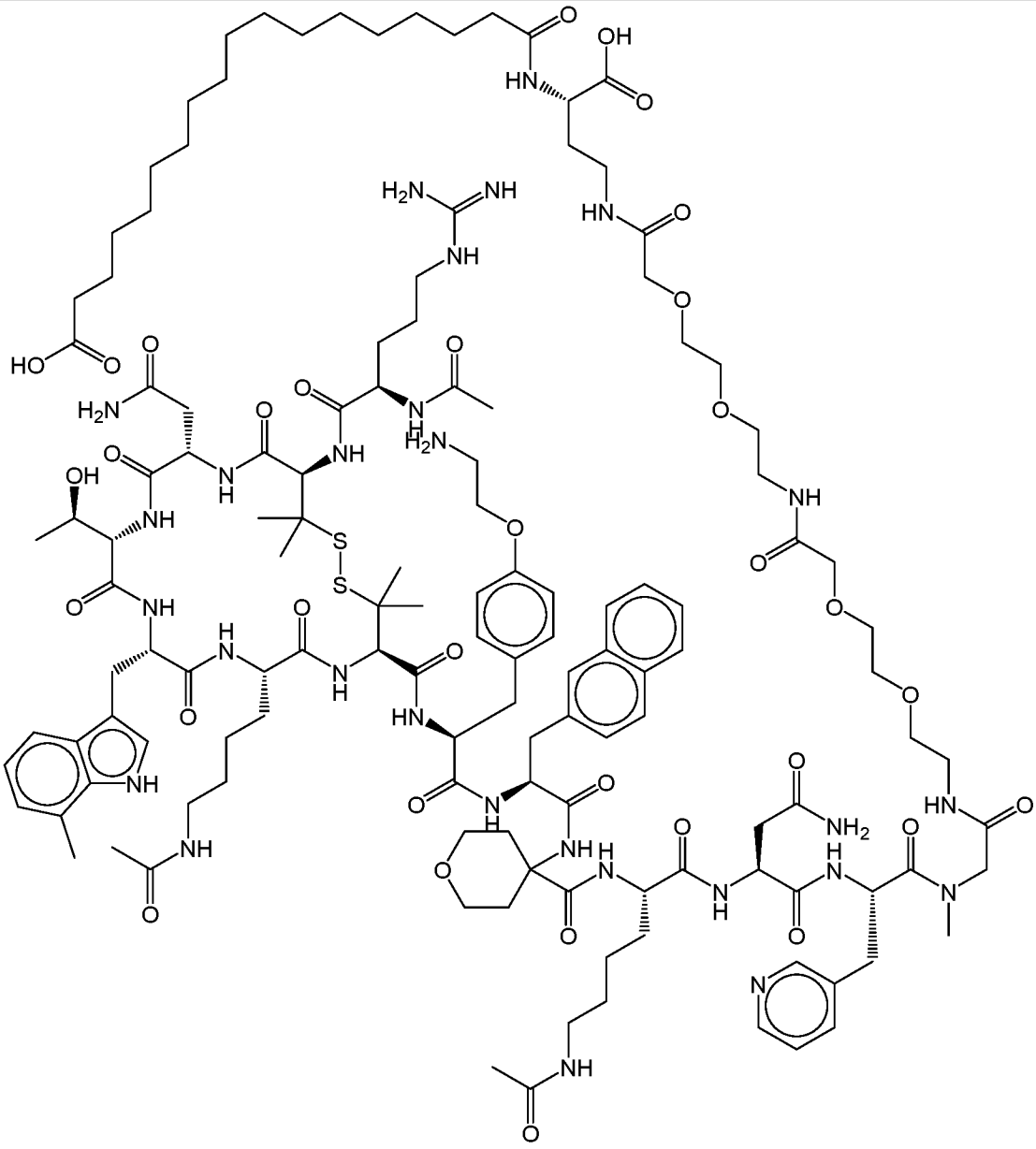
SEQ ID	Structure
124	 (Example 124)

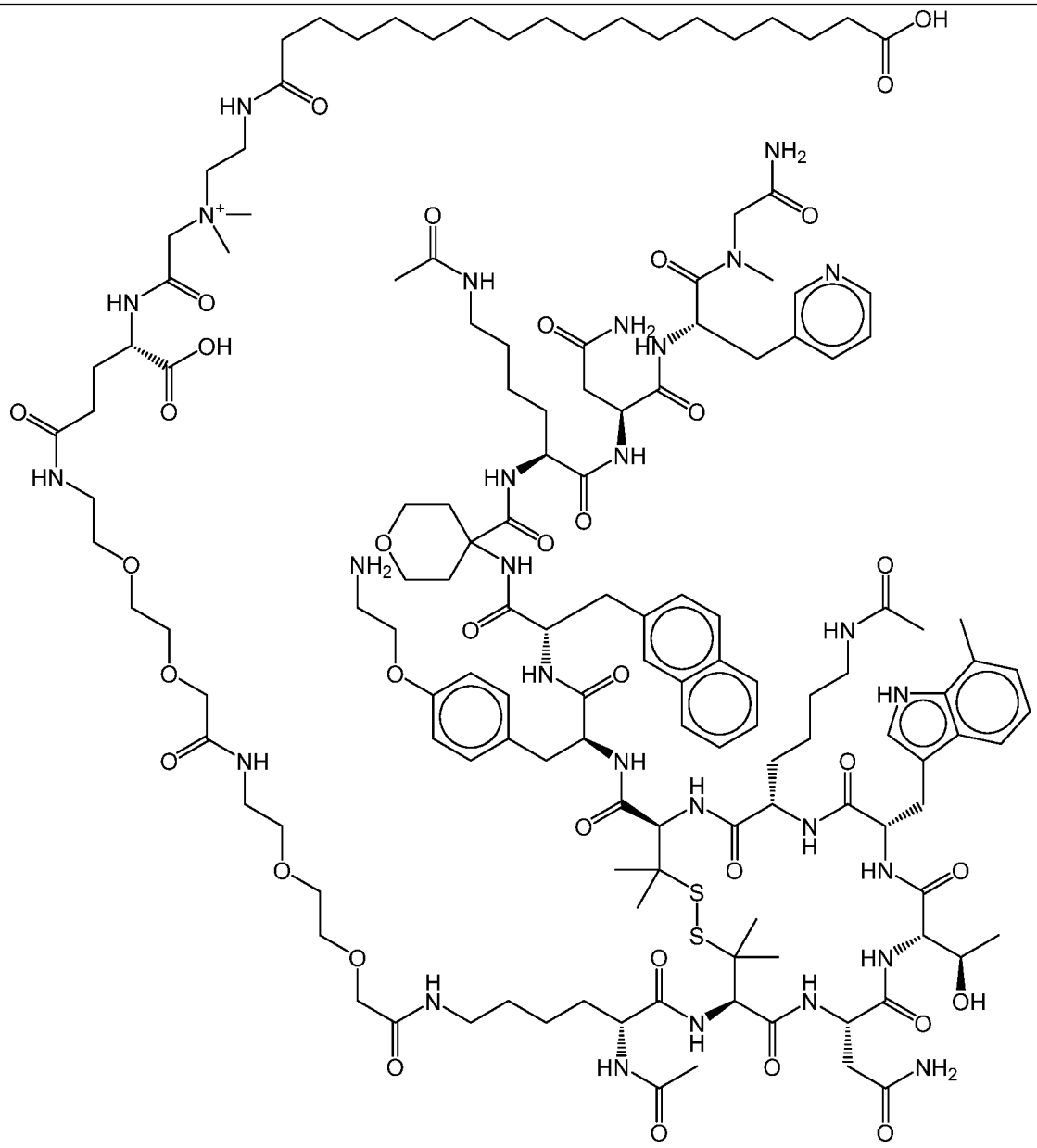
SEQ ID	Structure
125	 (Example 125)

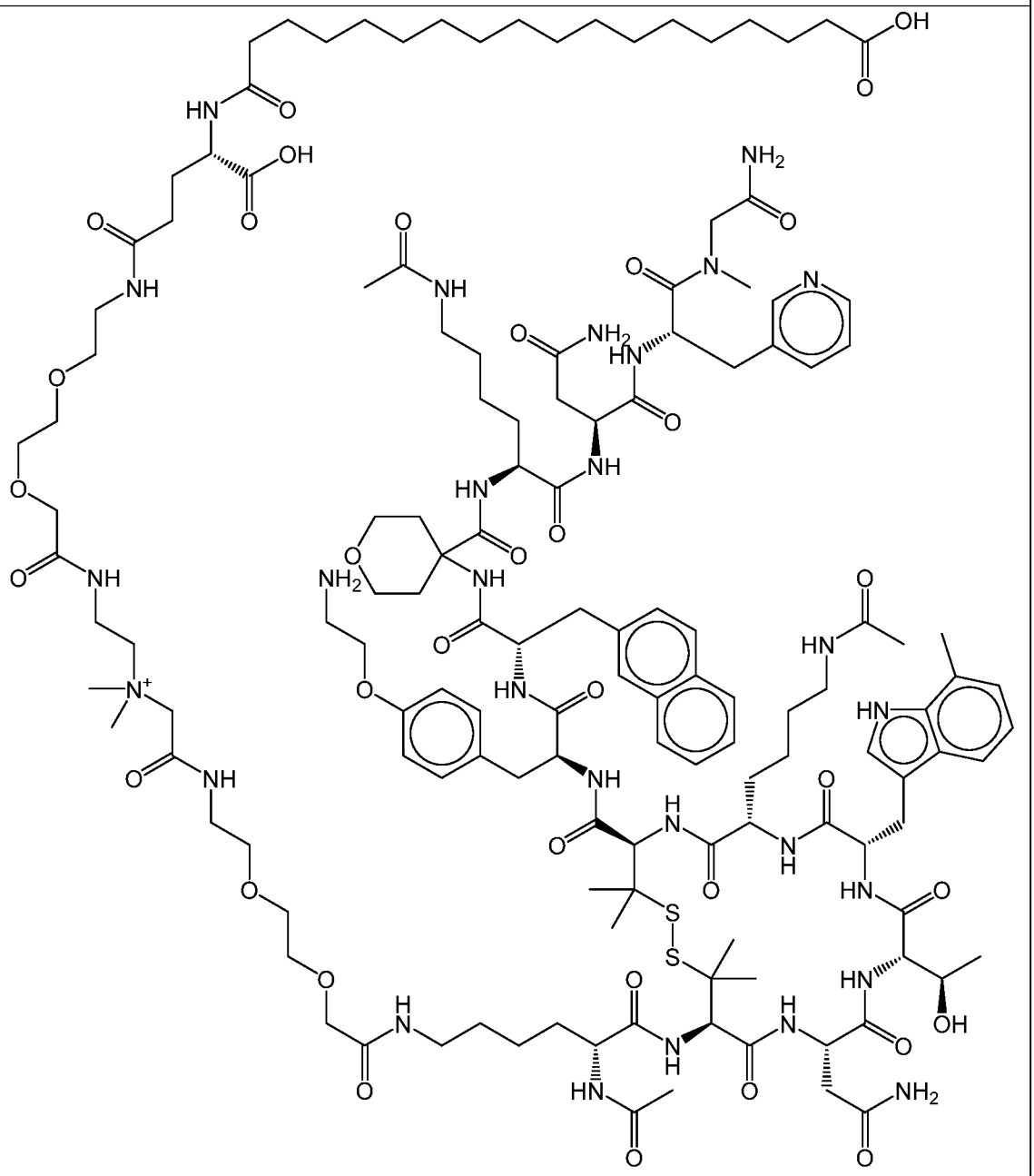
SEQ ID	Structure
126	 (Example 126)

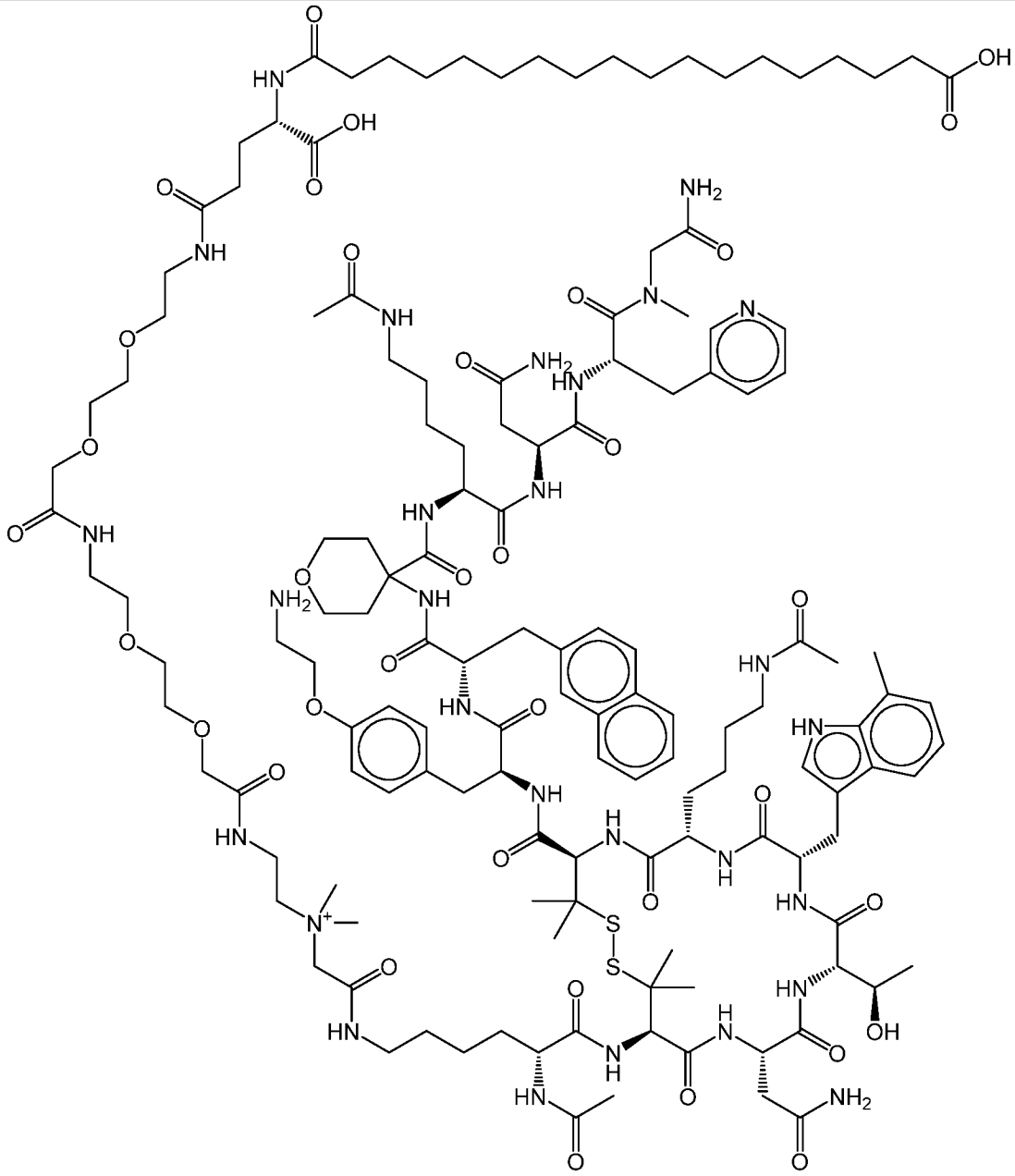
SEQ ID	Structure
127	 (Example 127)

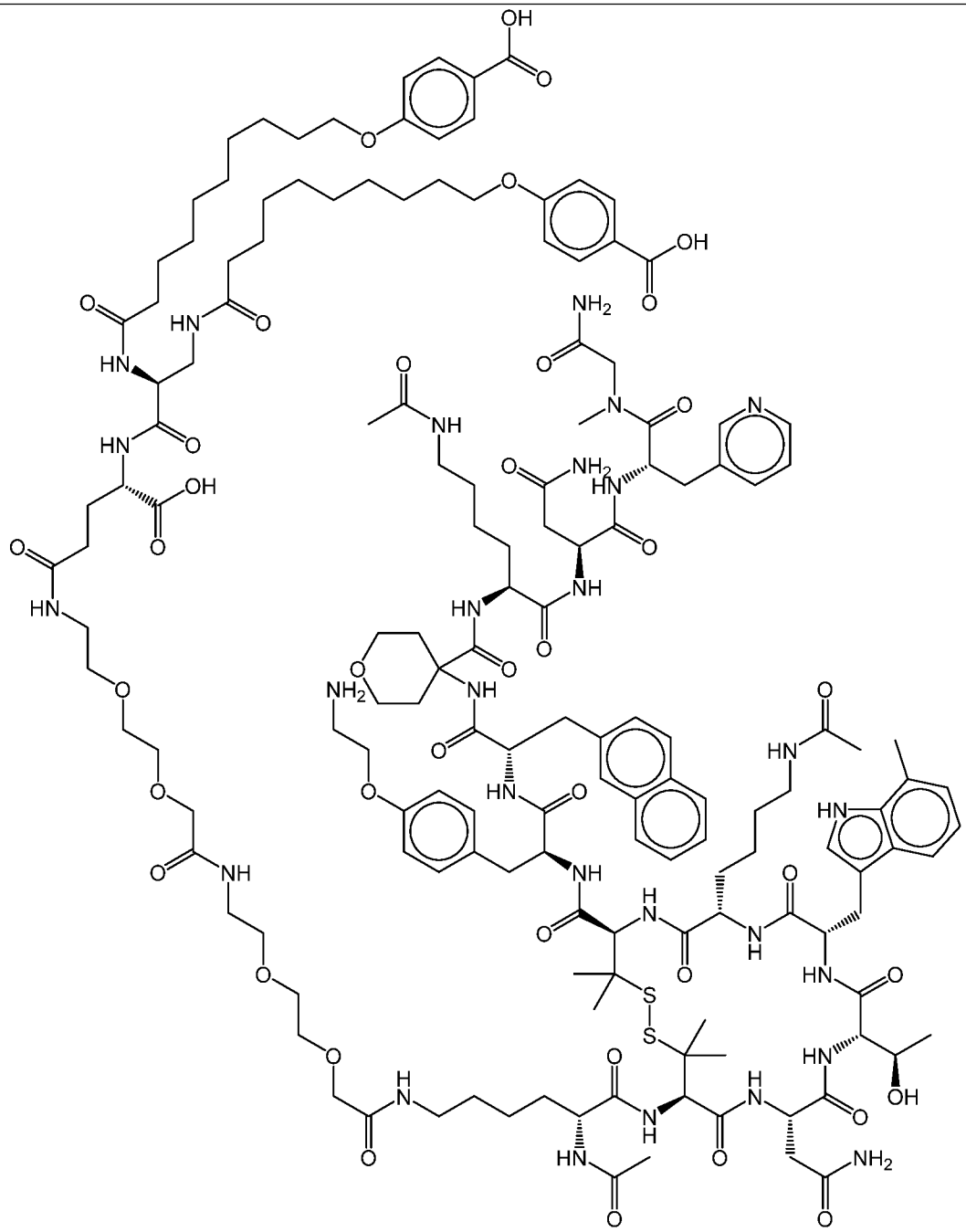
SEQ ID	Structure
128	 (Example 128)

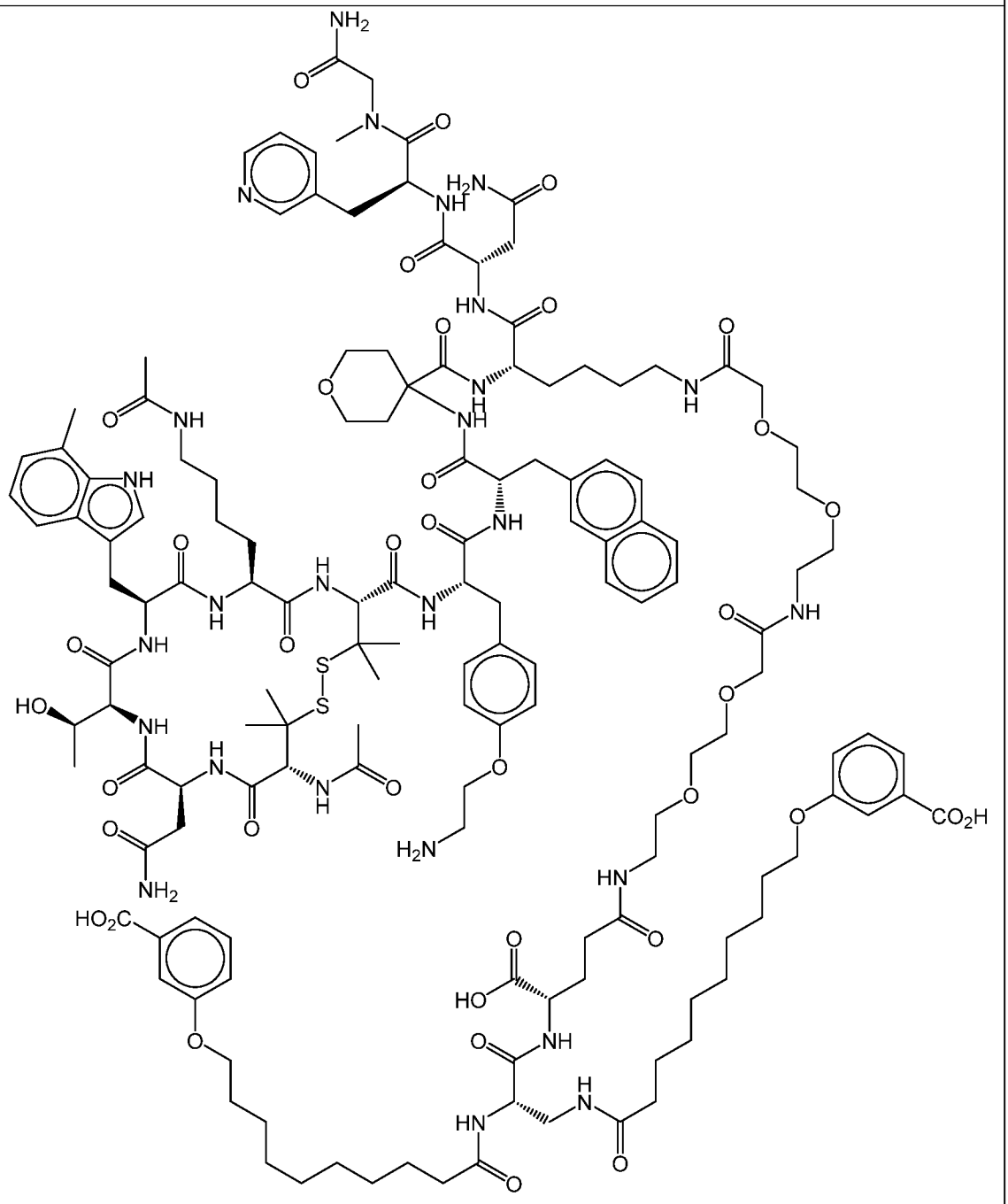
SEQ ID	Structure
129	 (Example 129)

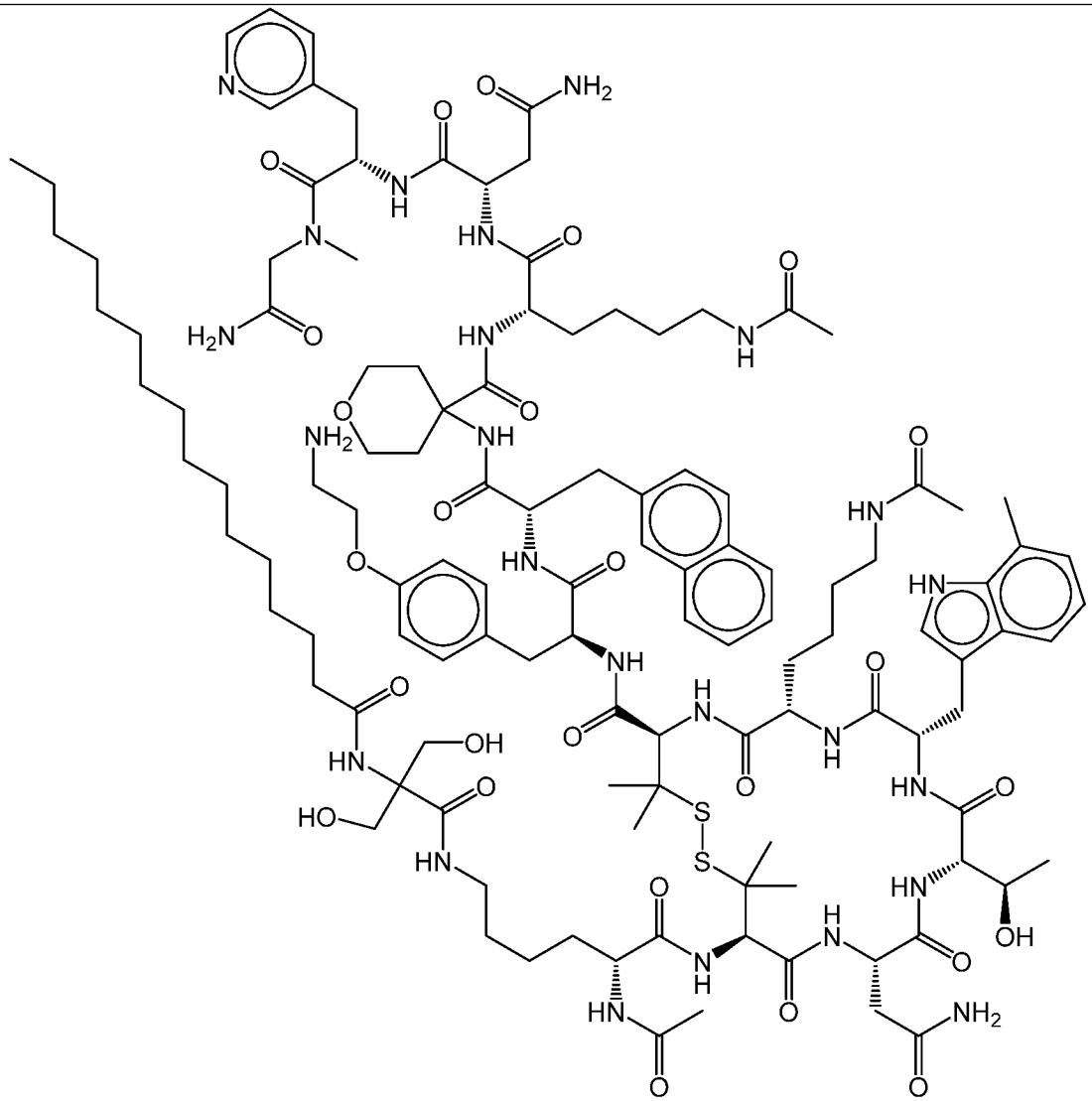
SEQ ID	Structure
130	 (Example 130)

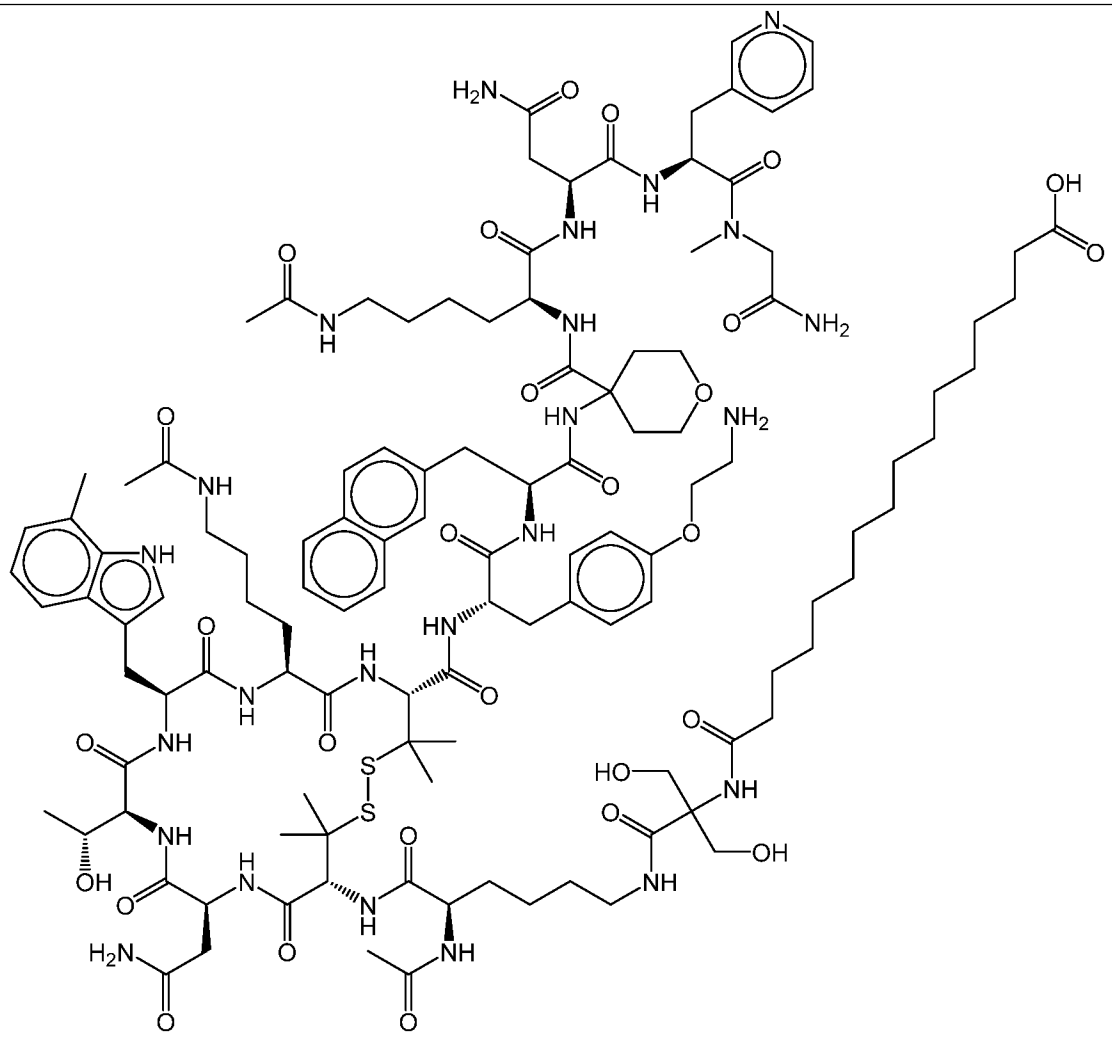
SEQ ID	Structure
131	 (Example 131)

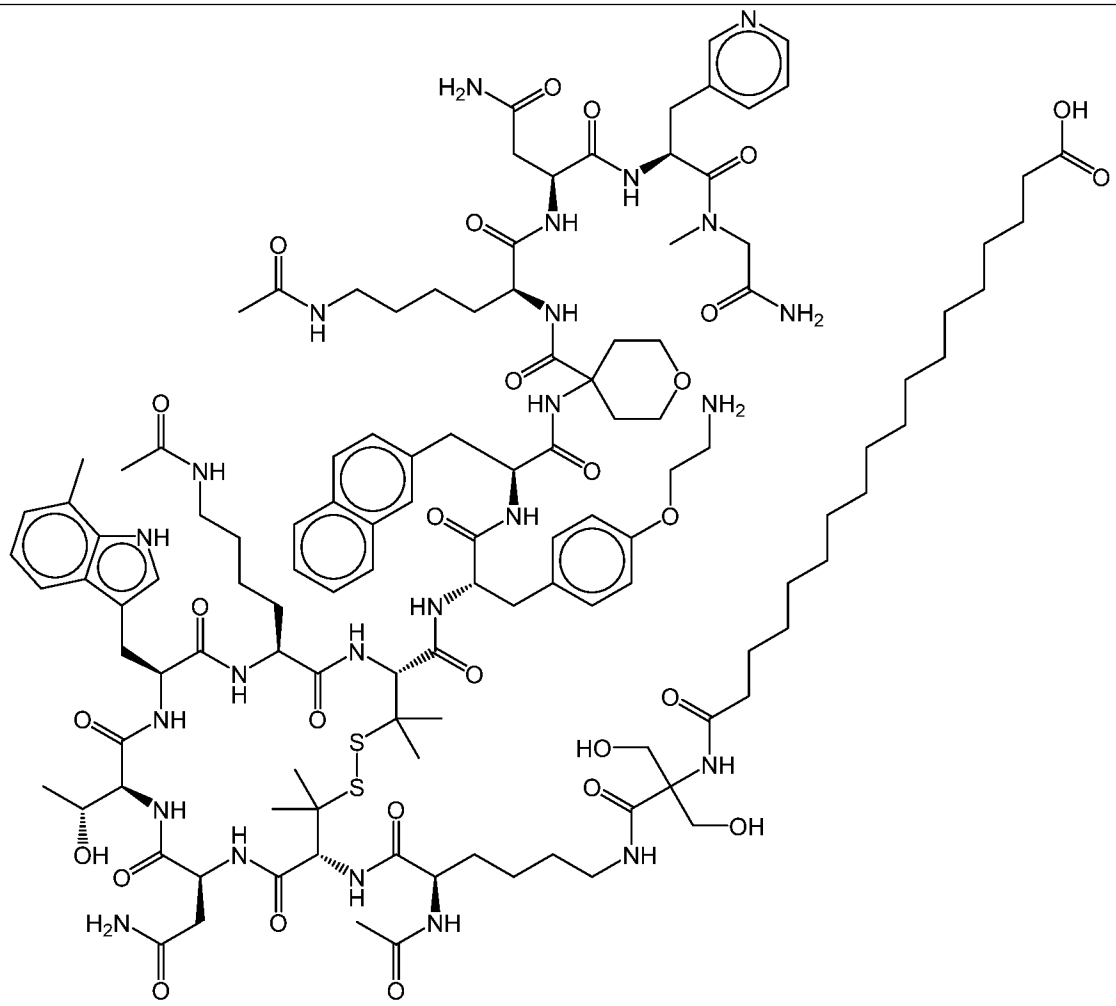
SEQ ID	Structure
132	 (Example 132)

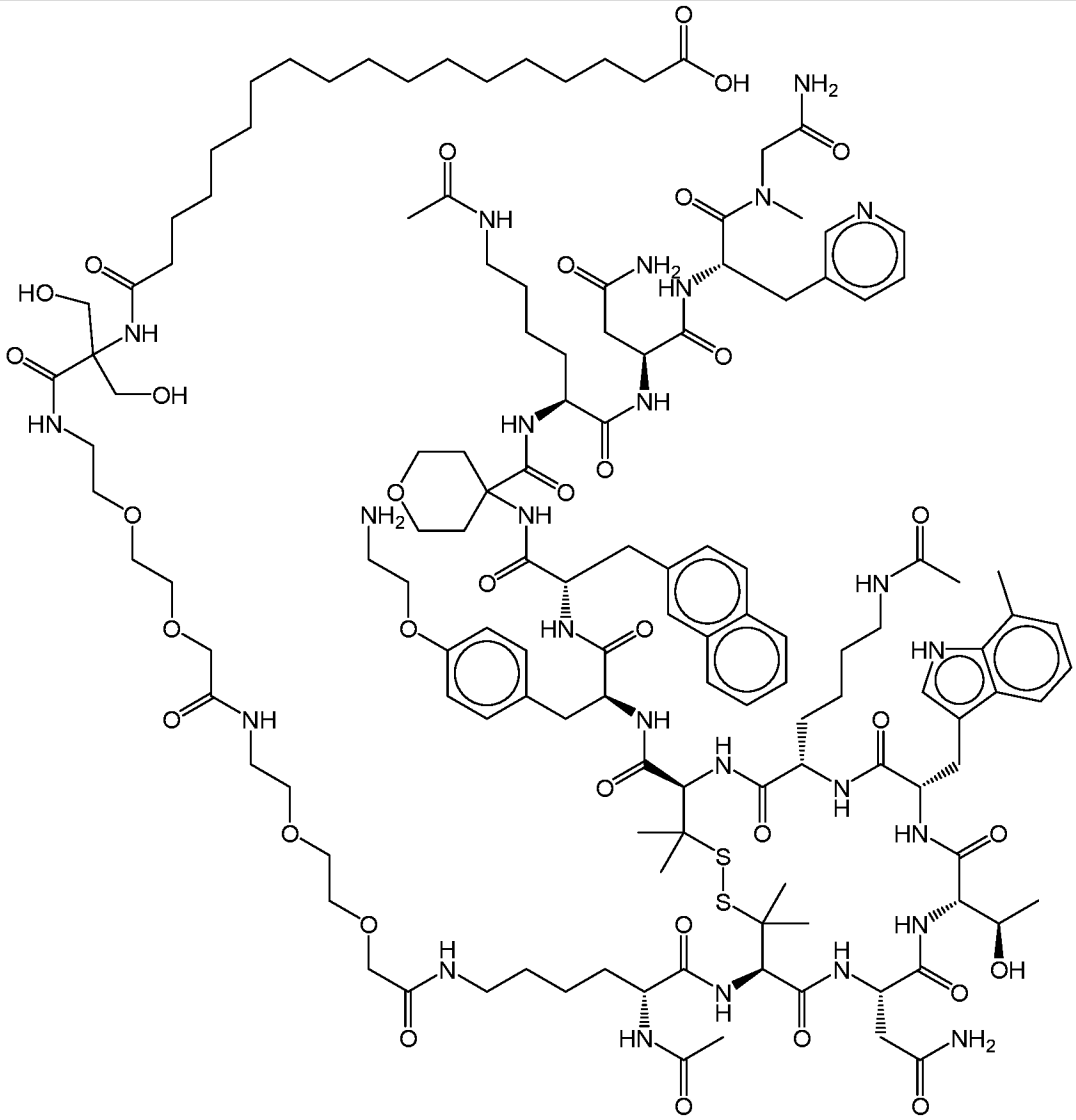
SEQ ID	Structure
133	 (Example 133)

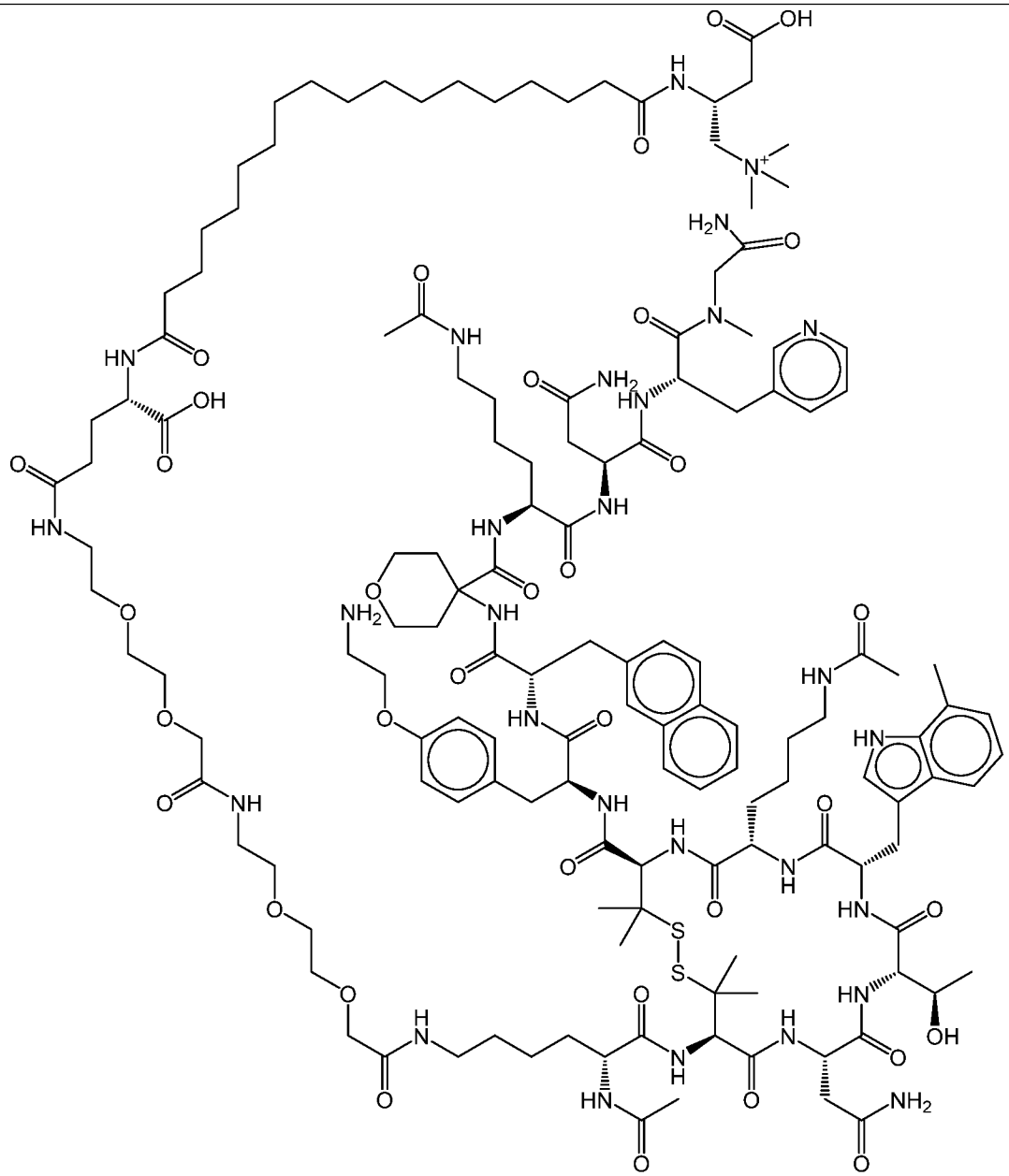
SEQ ID	Structure
134	 (Example 134)

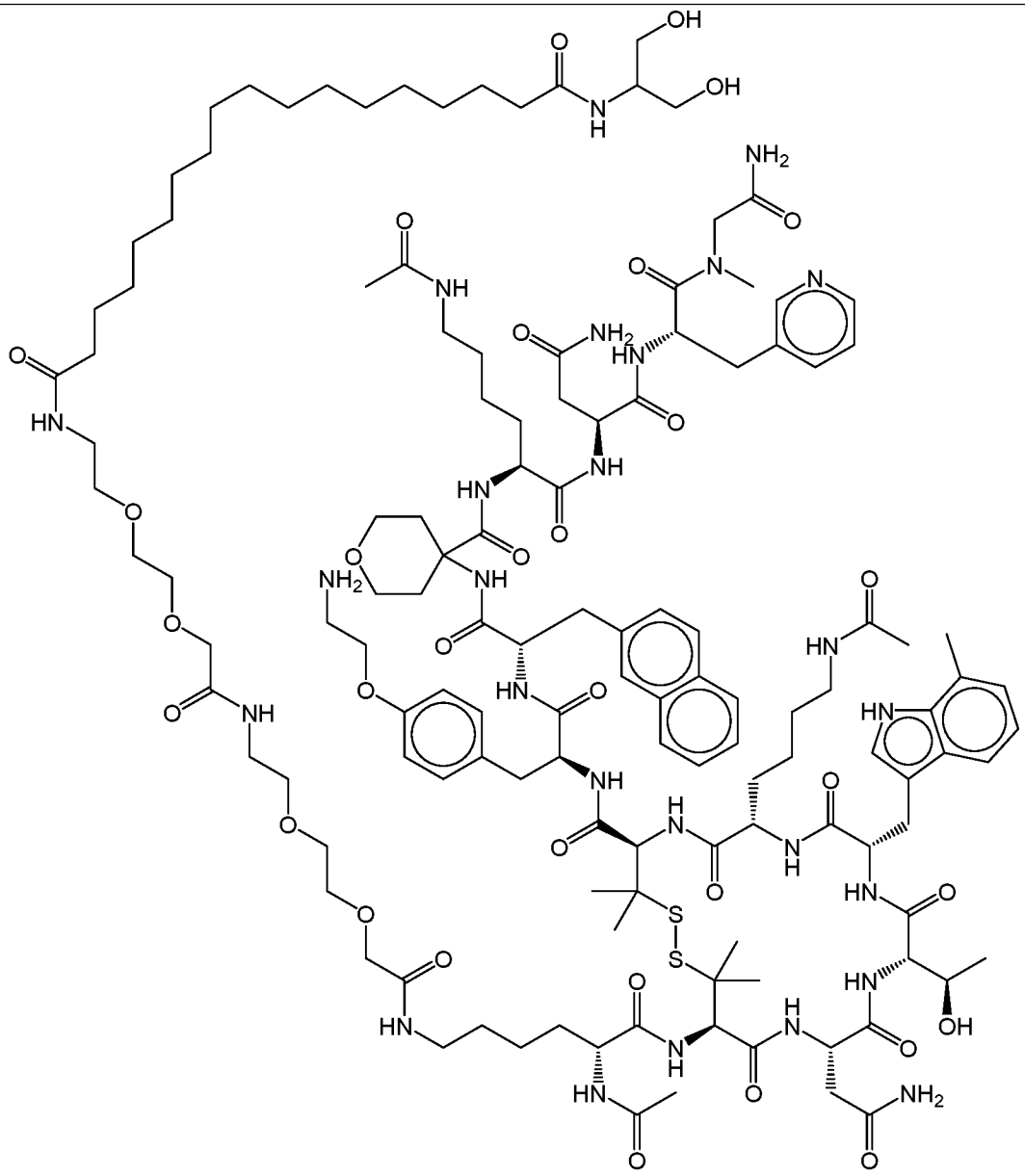
SEQ ID	Structure
135	 (Example 135)

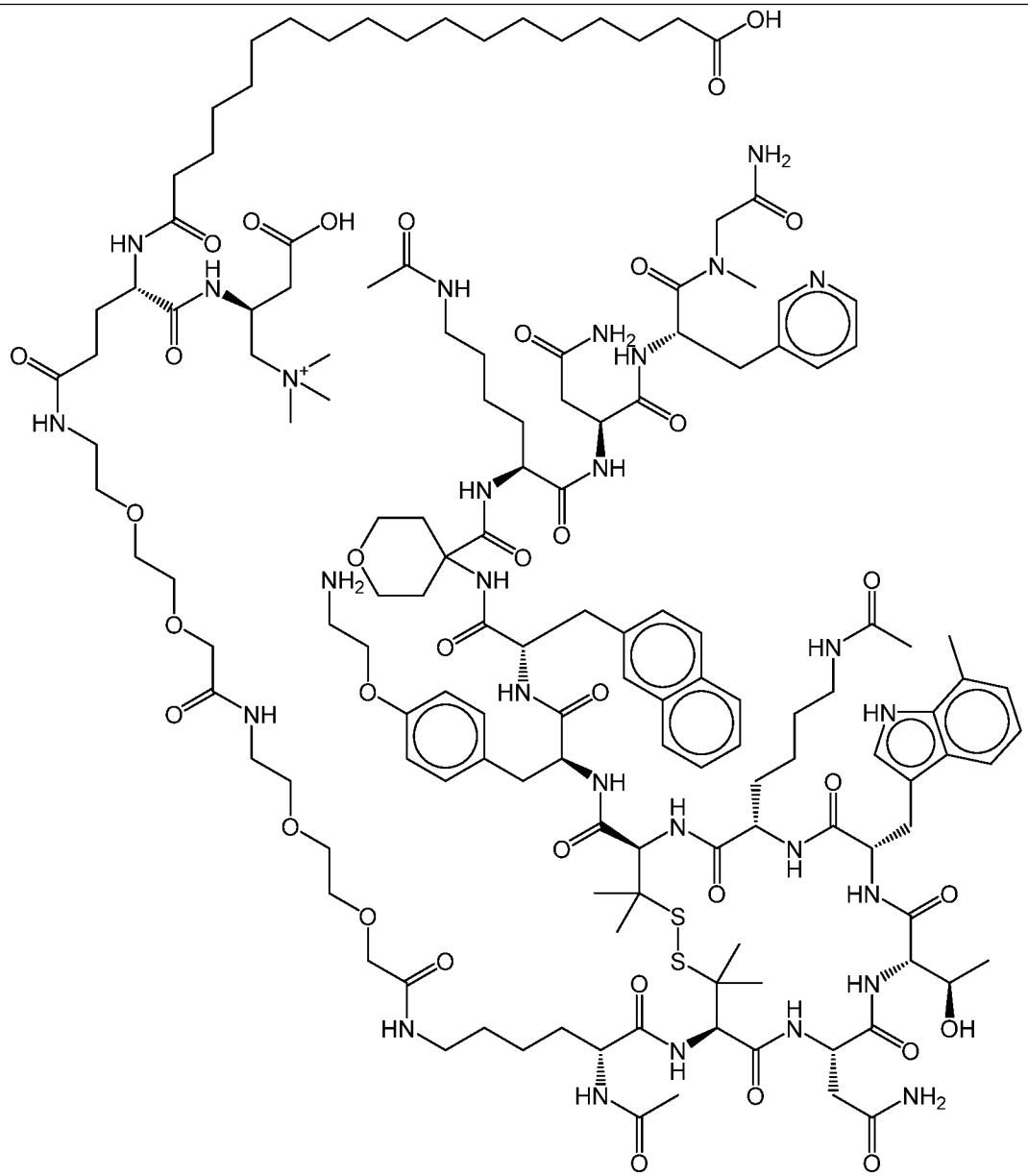
SEQ ID	Structure
136	 (Example 136)

SEQ ID	Structure
137	 (Example 137)

SEQ ID	Structure
138	 (Example 138)

SEQ ID	Structure
139	 (Example 139)

SEQ ID	Structure
140	 (Example 140)

SEQ ID	Structure
141	 (Example 141)

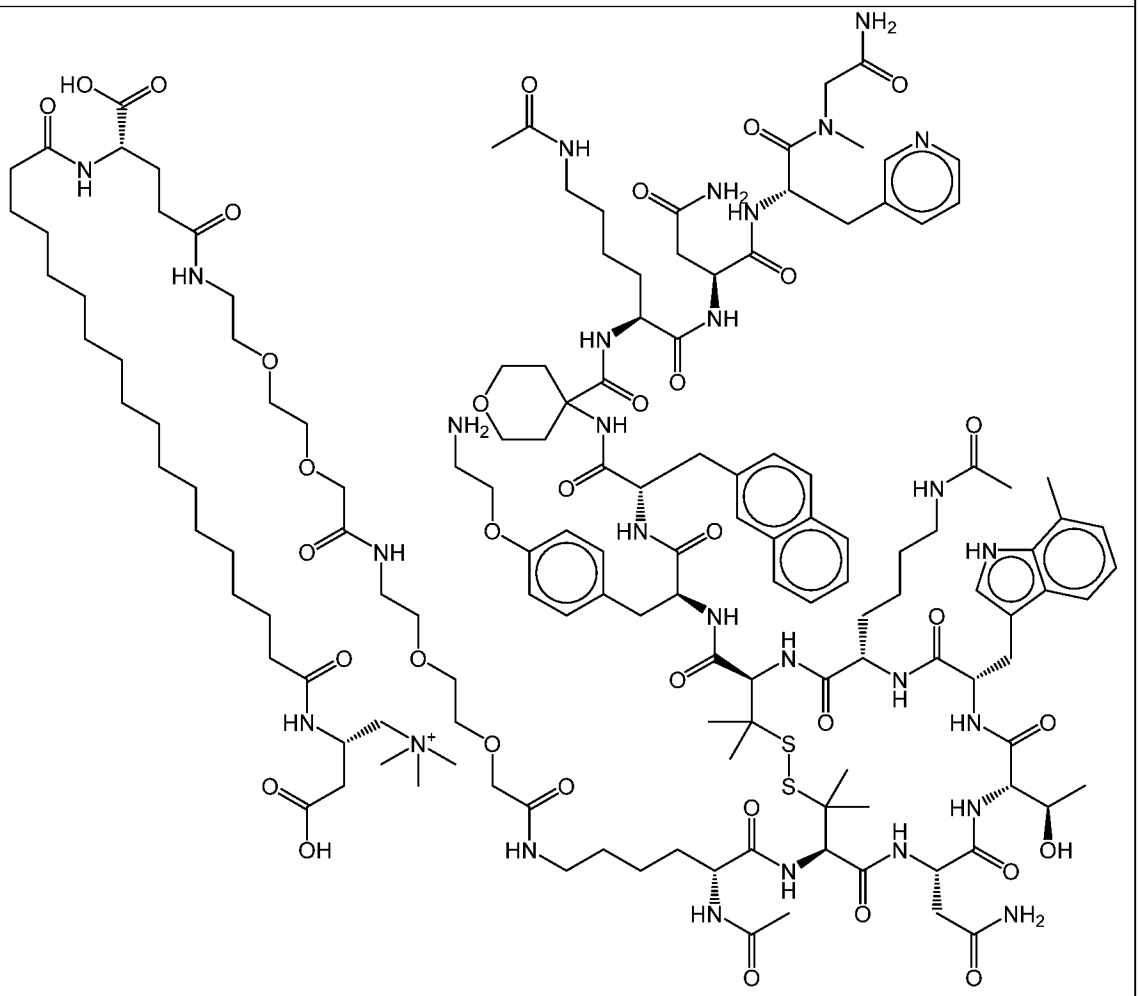
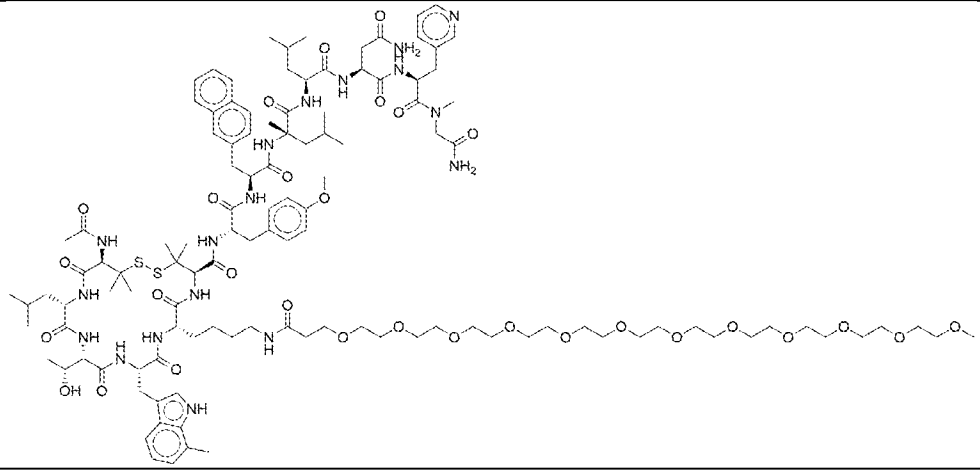
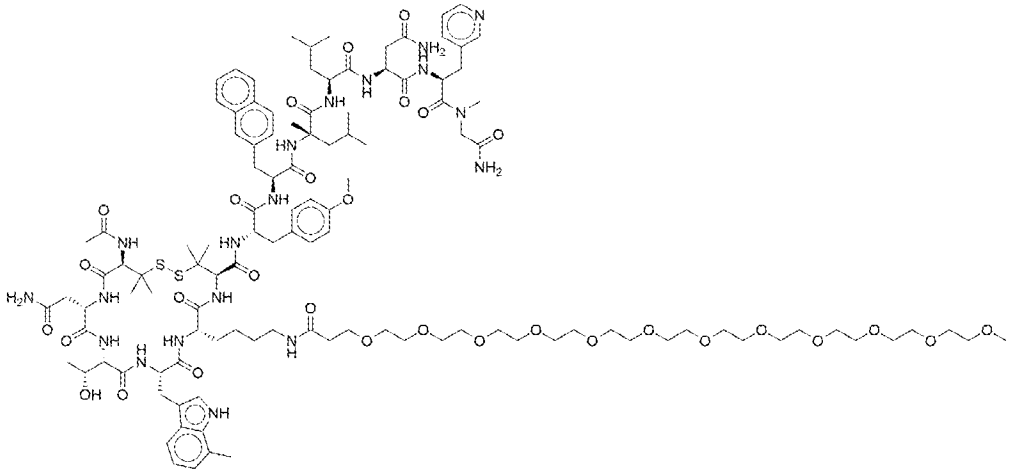
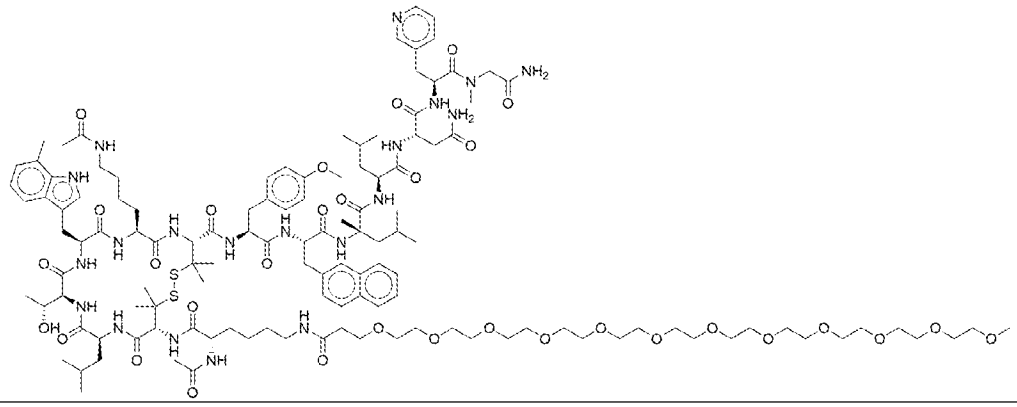
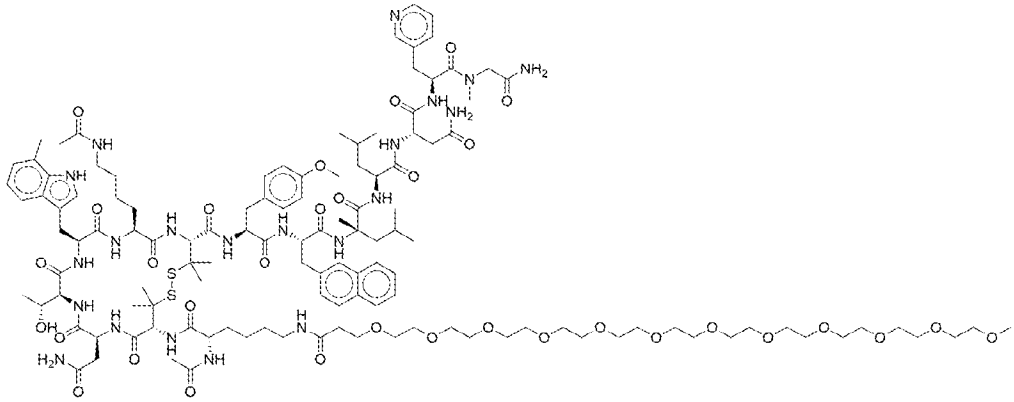
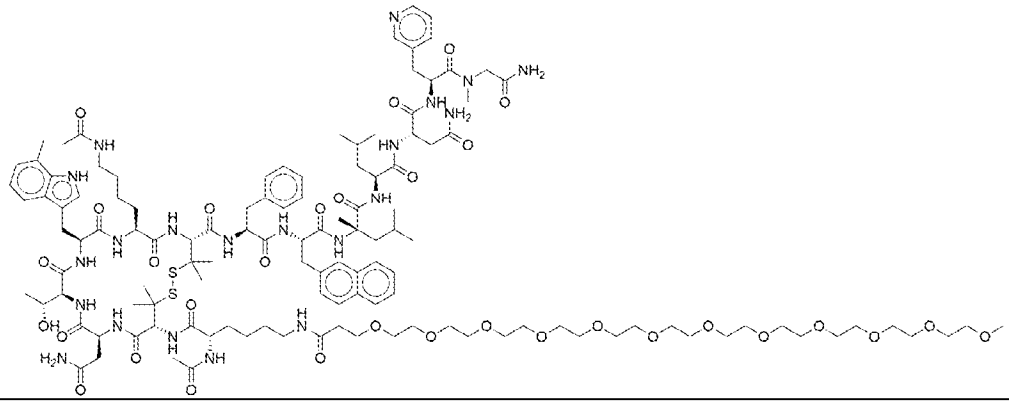
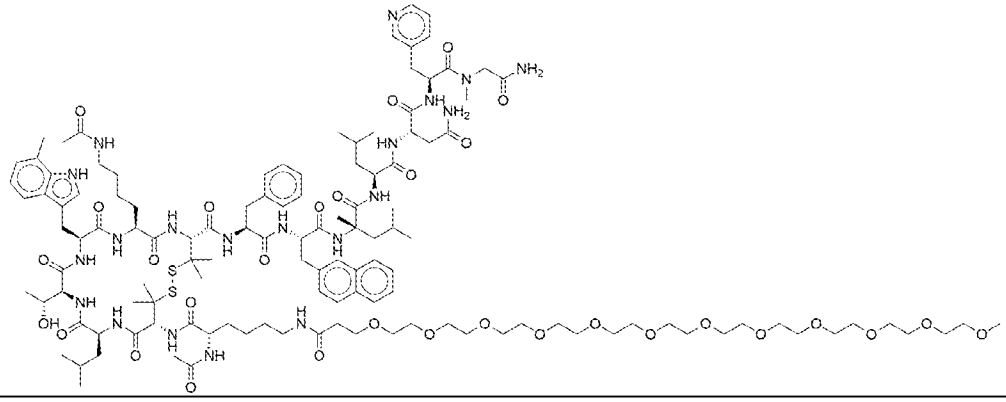
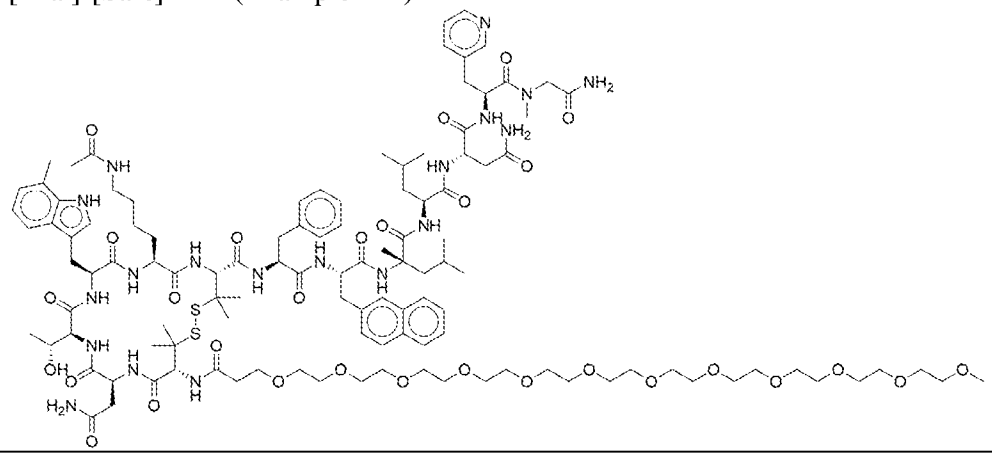
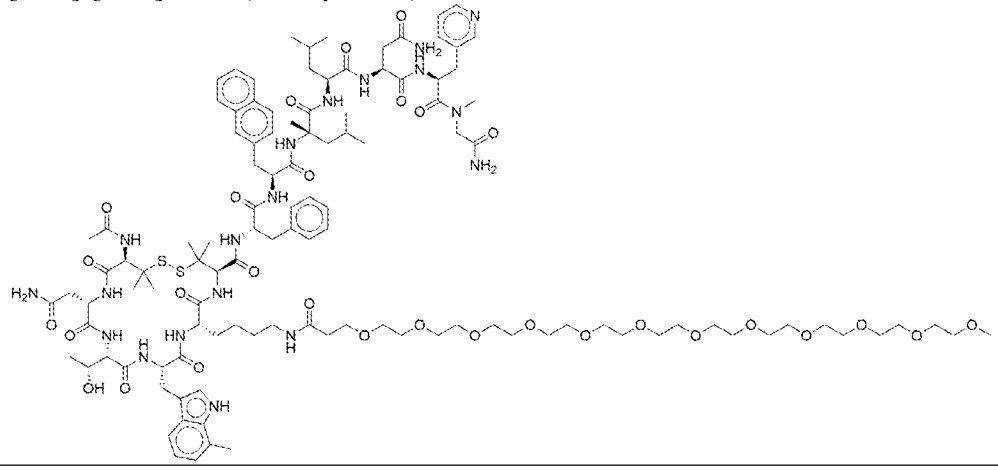
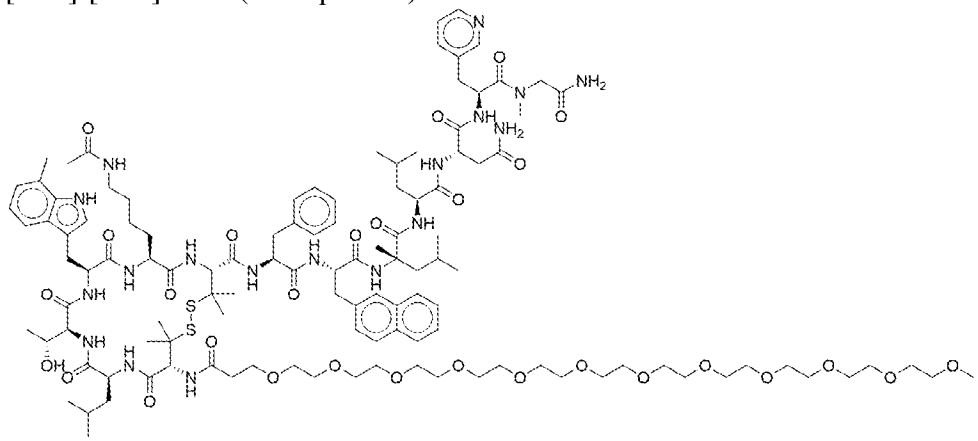
SEQ ID	Structure
142	 (Example 142)

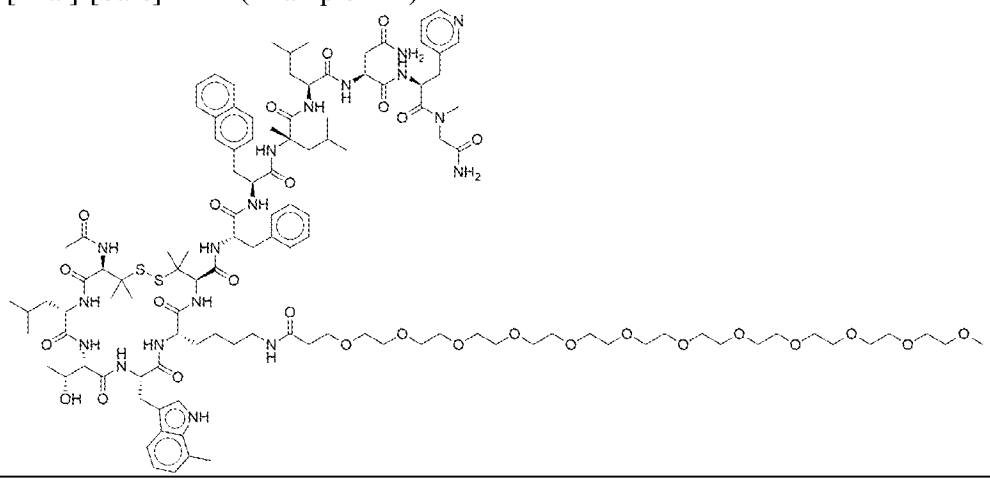
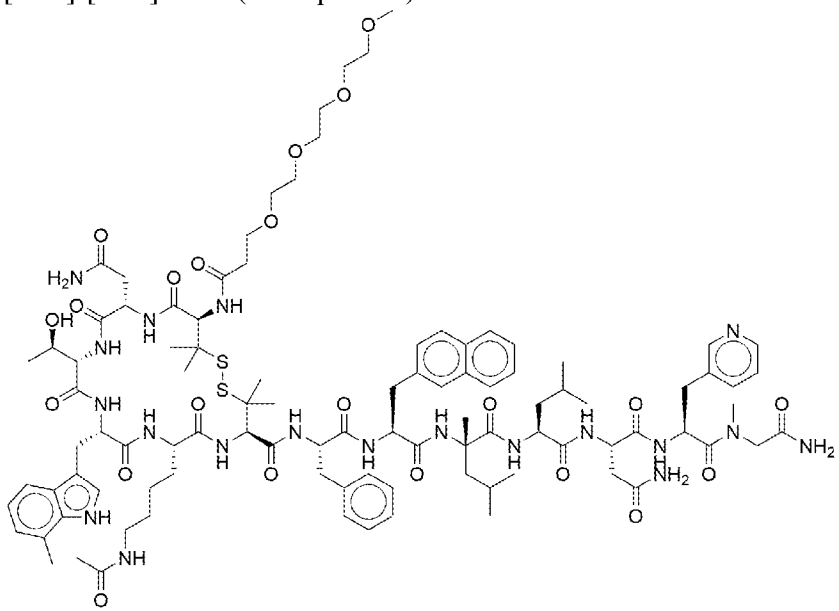
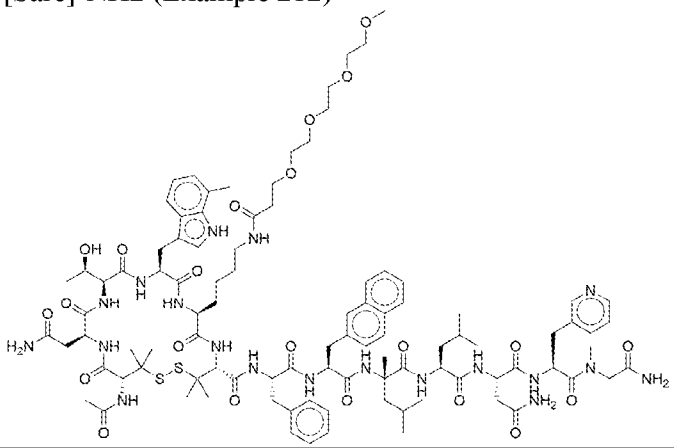
Table 1G. Compounds.

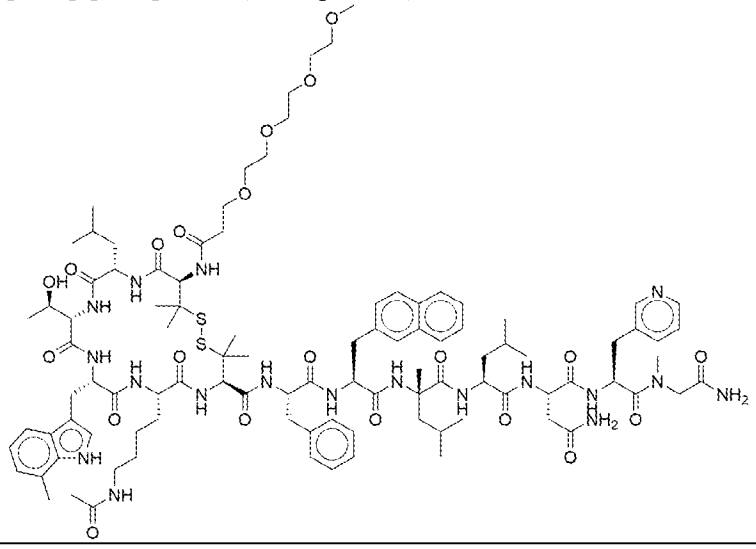
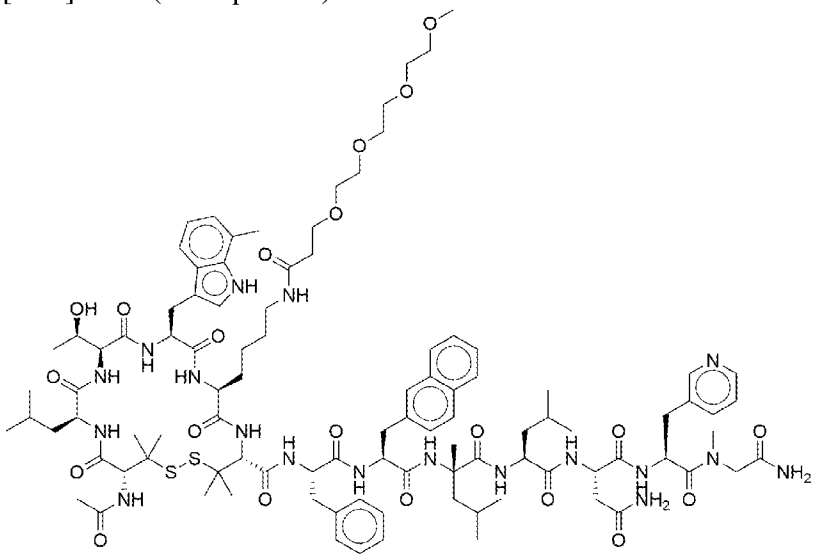
SEQ ID	Structure
143	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG12_OMe)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLeu]-L-N-[3Pal]-[Sarc]-NH2 (Example 201)

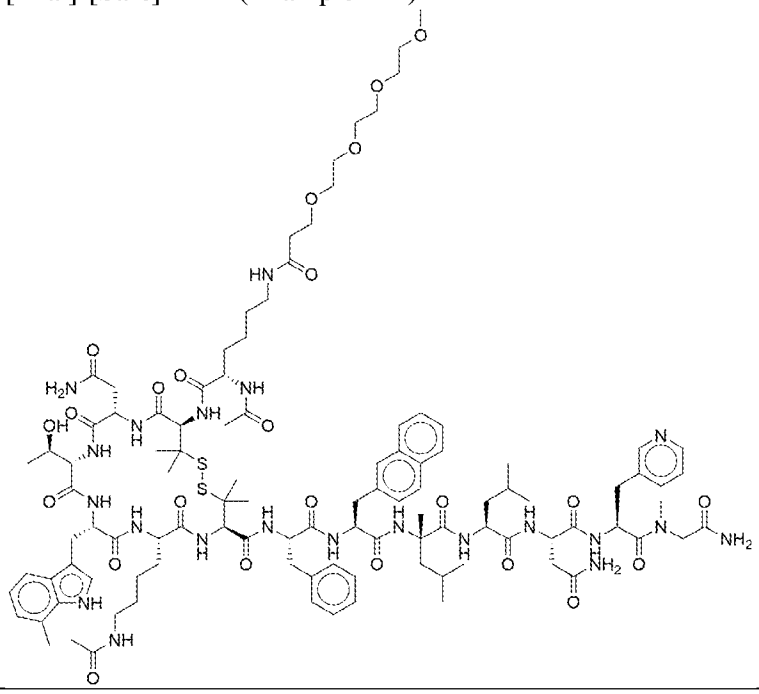
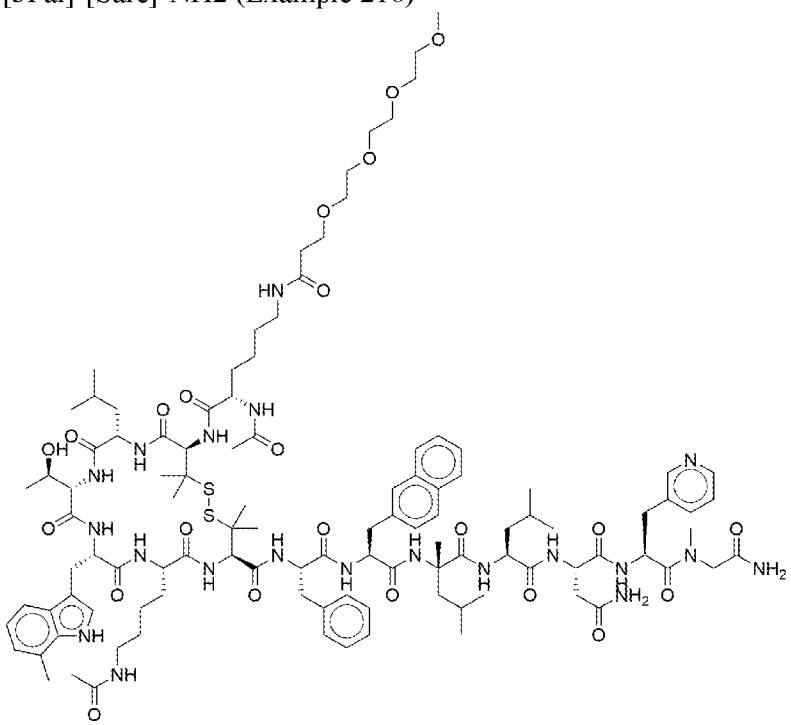
SEQ ID	Structure
	
144	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(PEG12_OMe)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLeu]-L-N-[3Pal]-[Sarc]-NH2 (Example 202) 
145	Ac-[Lys(PEG12_OMe)]-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLeu]-L-N-[3Pal]-[Sarc]-NH2 (Example 203) 

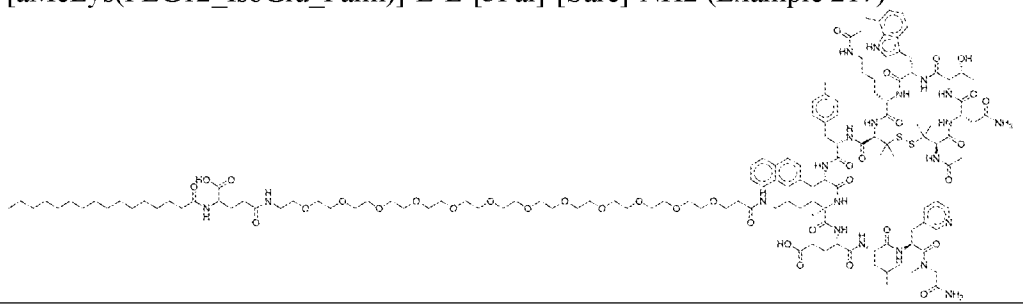
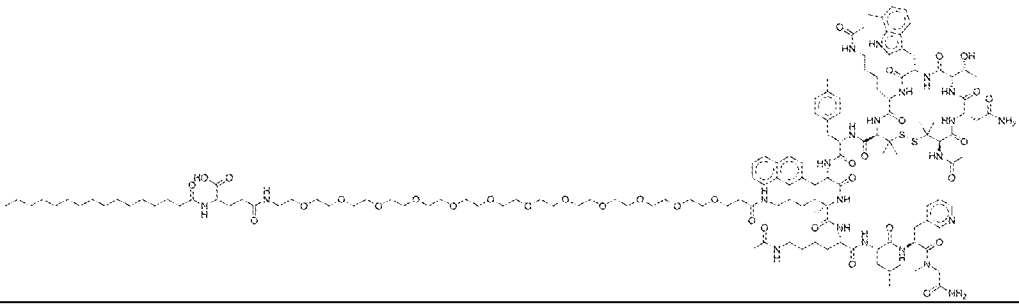
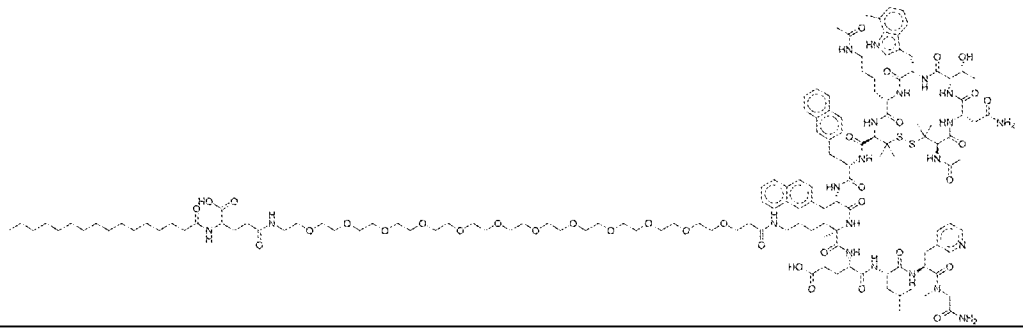
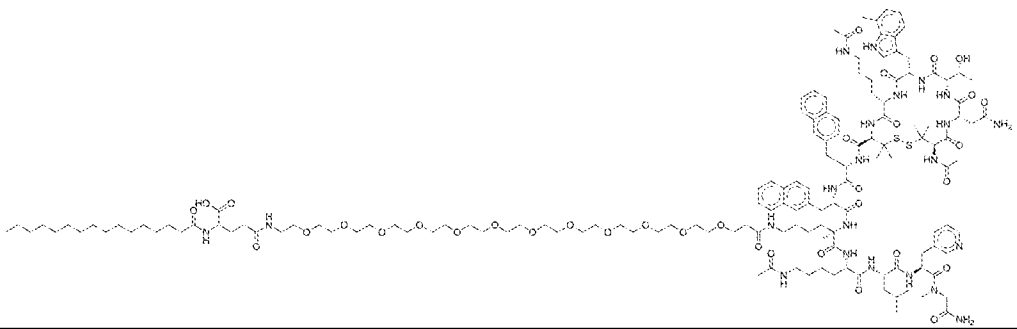
SEQ ID	Structure
146	Ac-[Lys(PEG12_OMe)]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLeu]-L-N-[3Pal]-[Sarc]-NH2 (Example 204) 
147	Ac-[Lys(PEG12_OMe)]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-F-[2Nal]-[aMeLeu]-L-N-[3Pal]-[Sarc]-NH2 (Example 205) 
148	Ac-[Lys(PEG12_OMe)]-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-F-[2Nal]-[aMeLeu]-L-N-[3Pal]-[Sarc]-NH2 (Example 206) 

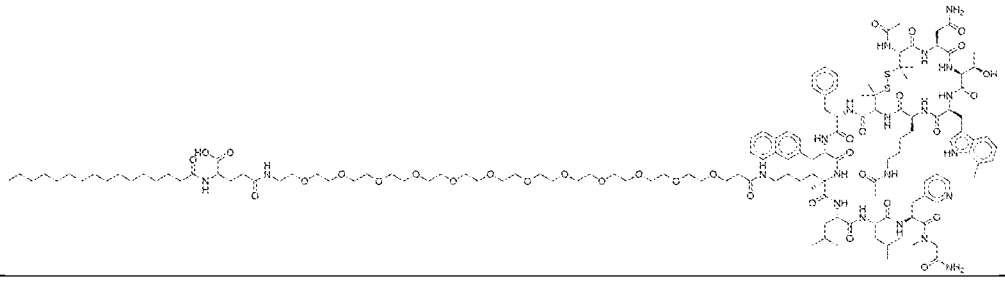
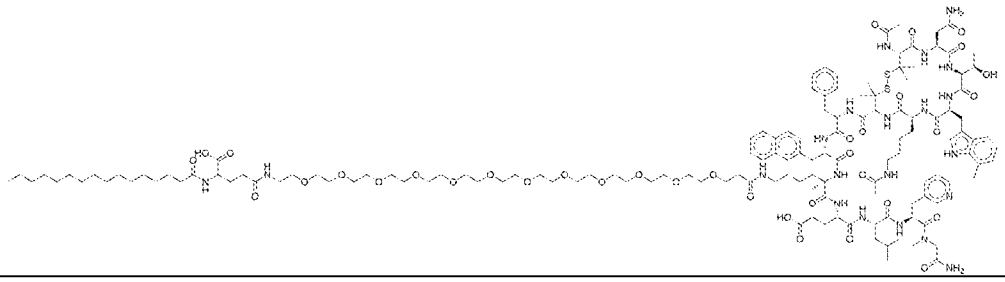
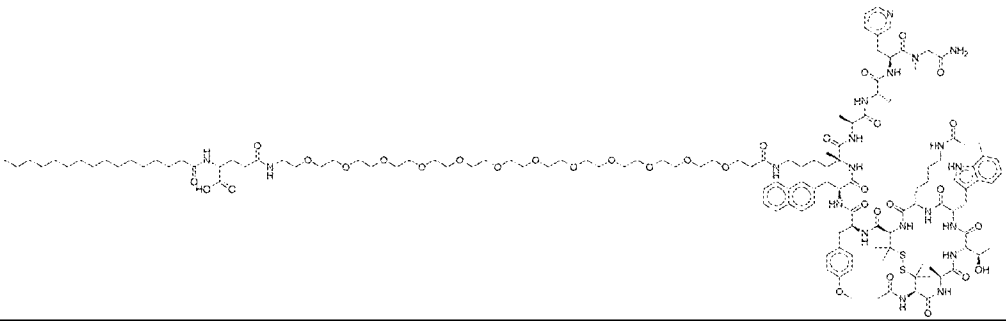
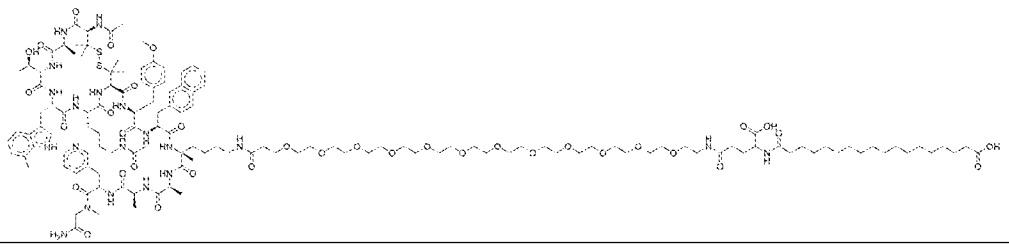
SEQ ID	Structure
149	[PEG12_OMe]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-F-[2Nal]-[aMeLeu]-L-N-[3Pal]-[Sarc]-NH2(Example 207) 
150	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(PEG12_OMe)]-[Pen]-F-[2Nal]-[aMeLeu]-L-N-[3Pal]-[Sarc]-NH2 (Example 208) 
151	[PEG12_OMe]-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-F-[2Nal]-[aMeLeu]-L-N-[3Pal]-[Sarc]-NH2 (Example 209) 

SEQ ID	Structure
152	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG12_OMe)]-[Pen]-F-[2Nal]-[aMeLeu]-L-N-[3Pal]-[Sarc]-NH2 (Example 210) 
153	[PEG4_OMe]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-F-[2Nal]-[aMeLeu]-L-N-[3Pal]-[Sarc]-NH2 (Example 211) 
154	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(PEG4)]-[Pen]-F-[2Nal]-[aMeLeu]-L-N-[3Pal]-[Sarc]-NH2 (Example 212) 

SEQ ID	Structure
155	[PEG4_OMe]-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-F-[2Nal]-[aMeLeu]-L-N-[3Pal]-[Sarc]-NH2 (Example 213) 
156	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG4)]-[Pen]-F-[2Nal]-[aMeLeu]-L-N-[3Pal]-[Sarc]-NH2 (Example 214) 

SEQ ID	Structure
157	Ac-[Lys(PEG4)]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-F-[2Nal]-[aMeLeu]-L-N-[3Pal]-[Sarc]-NH2 (Example 215) 
158	Ac-[Lys(PEG4)]-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-F-[2Nal]-[aMeLeu]-L-N-[3Pal]-[Sarc]-NH2 (Example 216) 

SEQ ID	Structure
159	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLys(PEG12_IsoGlu_Palm)]-E-L-[3Pal]-[Sarc]-NH2 (Example 217) 
160	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLys(PEG12_IsoGlu_Palm)]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2 (Example 218) 
161	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[2Nal]-[2Nal]-[aMeLys(PEG12_IsoGlu_Palm)]-E-L-[3Pal]-[Sarc]-NH2 (Example 219) 
162	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[2Nal]-[2Nal]-[aMeLys(PEG12_IsoGlu_Palm)]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2 (Example 220) 
163	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-F-[2Nal]-[aMeLys(PEG12_IsoGlu_Palm)]-L-L-[3Pal]-[Sarc]-NH2 (Example 221)

SEQ ID	Structure
	
164	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-F-[2Nal]-[aMeLys(PEG12_IsoGlu_Palm)]-E-L-[3Pal]-[Sarc]-NH2 (Example 222) 
165	Ac-[Pen]-A-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLys(PEG12_IsoGlu_Palm)]-A-A-[3Pal]-[Sarc]-NH2 (Example 223) 
166	Ac-[Pen]-A-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLys(PEG12_IsoGlu_C18_Diacid)]-A-A-[3Pal]-[Sarc]-NH2 (Example 224) 
167	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLys(PEG12_IsoGlu_Palm)]-A-A-[3Pal]-[Sarc]-NH2 (Example 225)

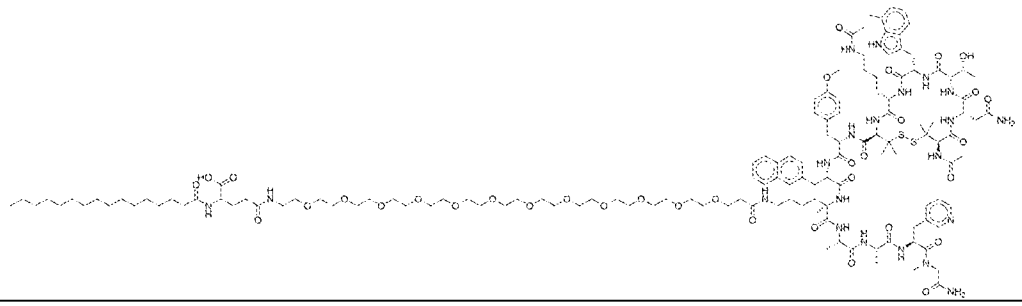
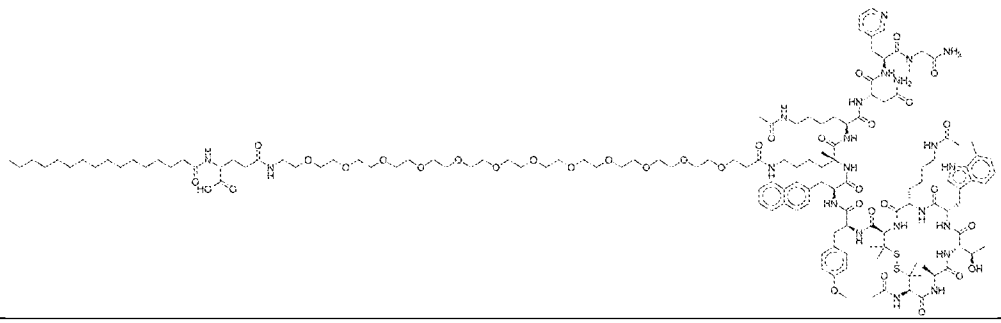
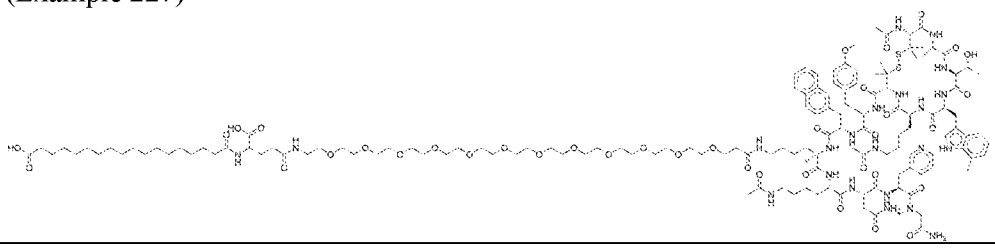
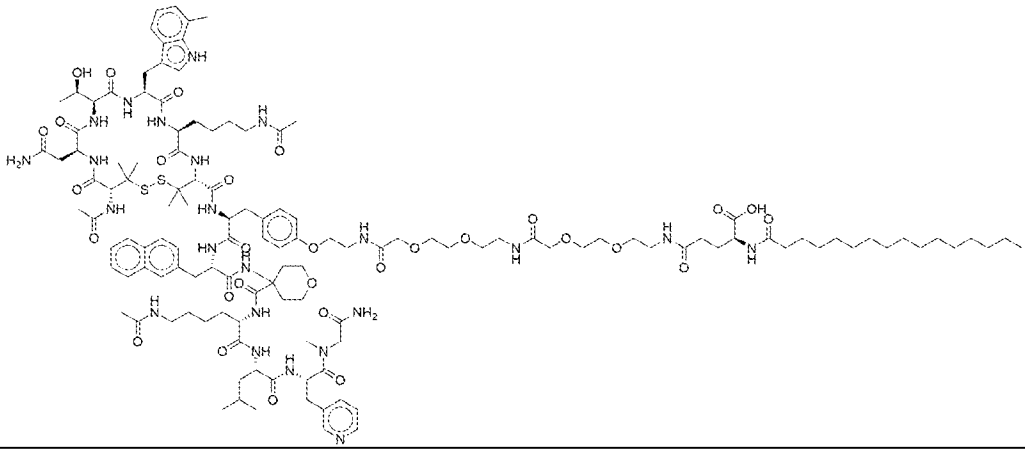
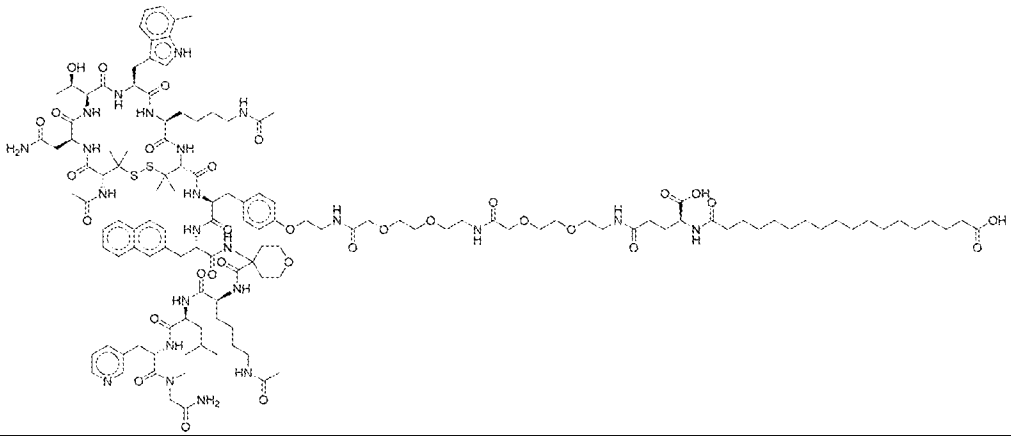
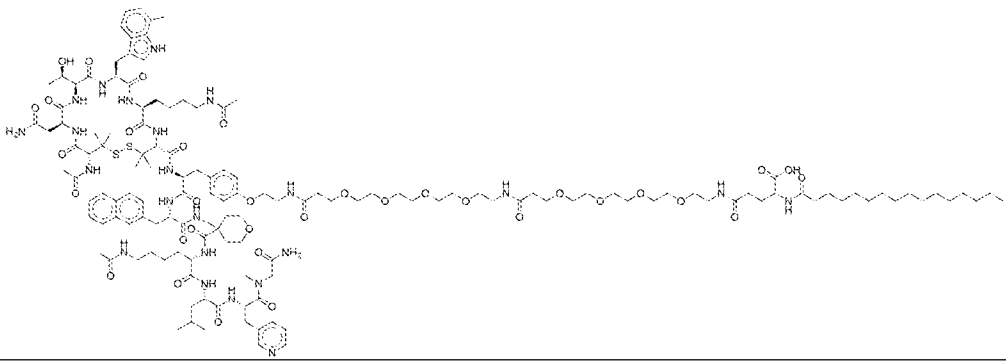
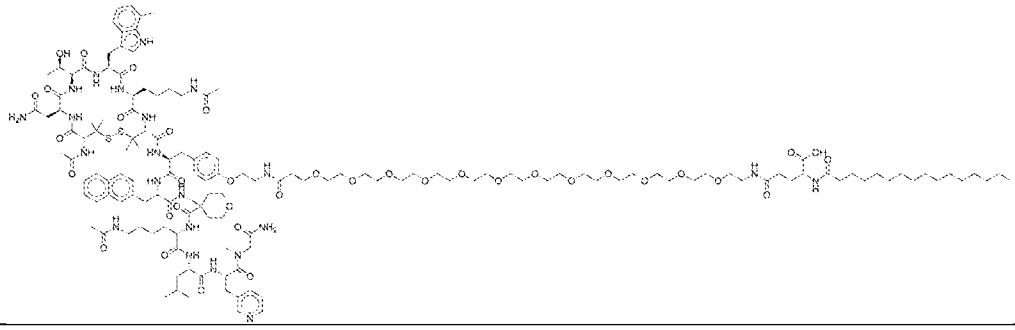
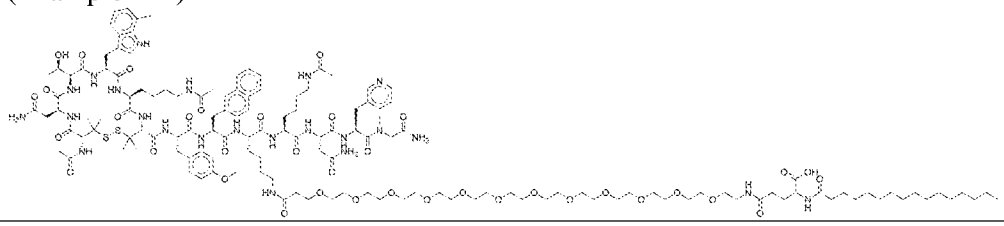
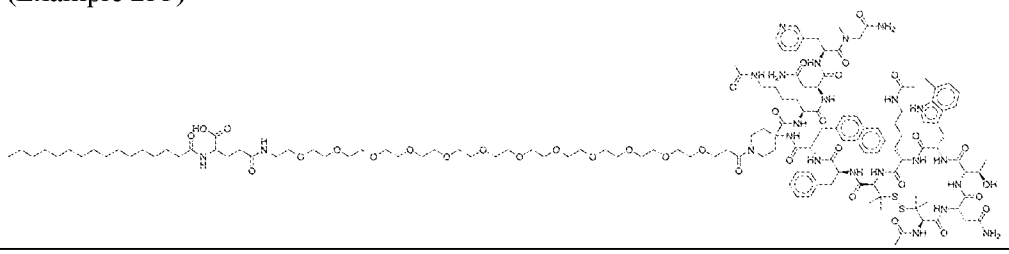
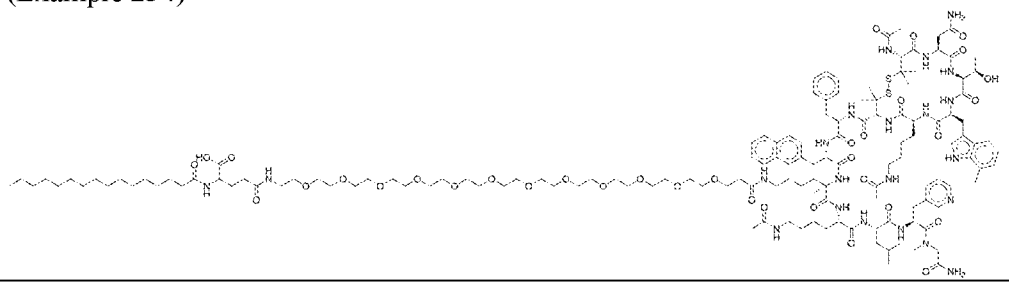
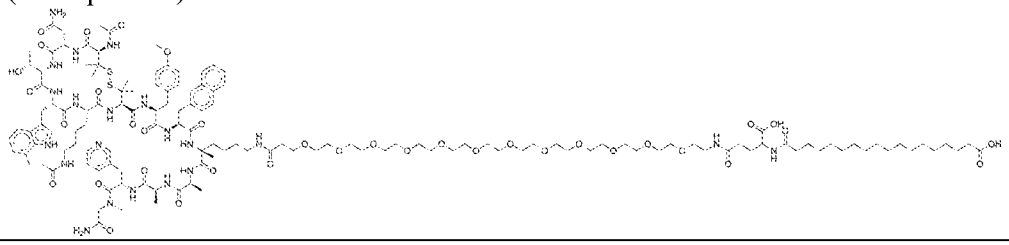
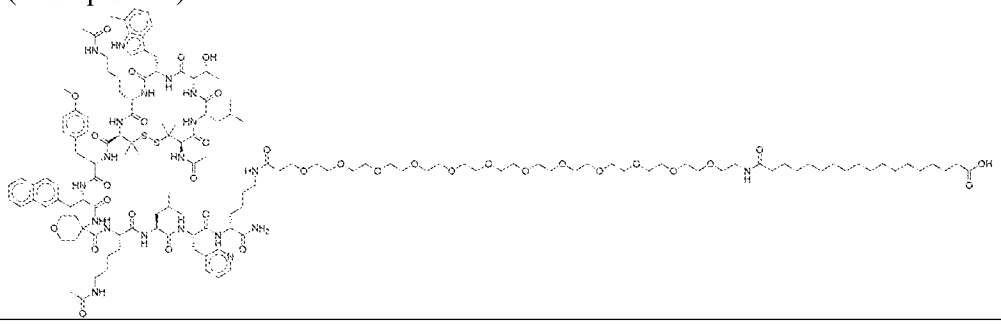
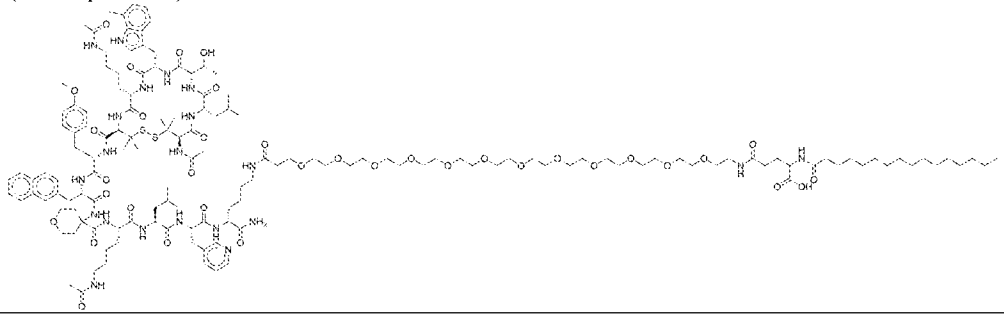
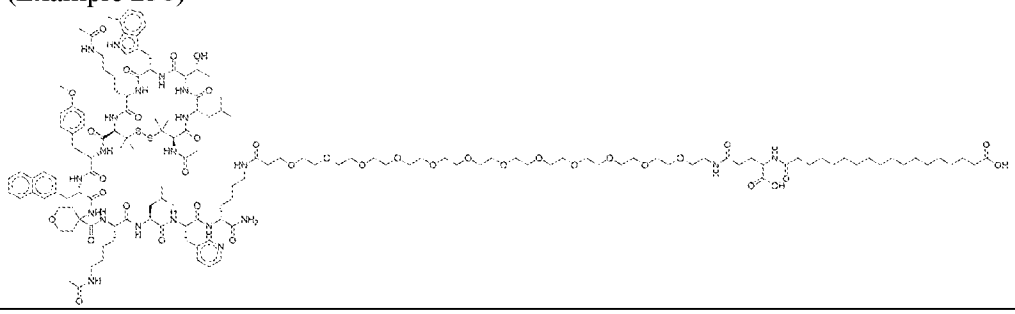
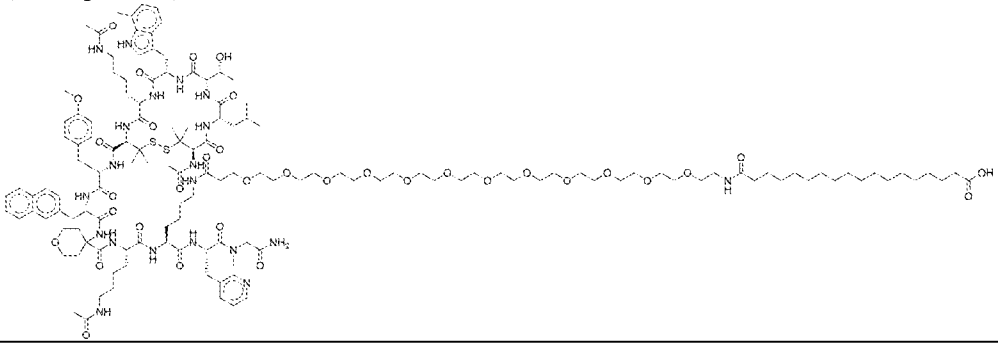
SEQ ID	Structure
	

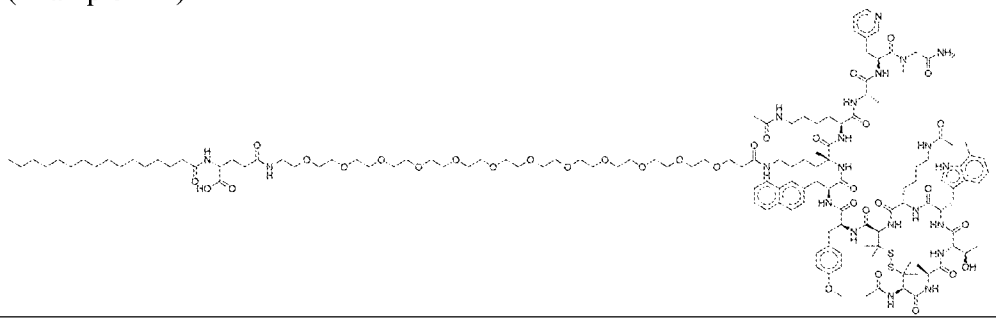
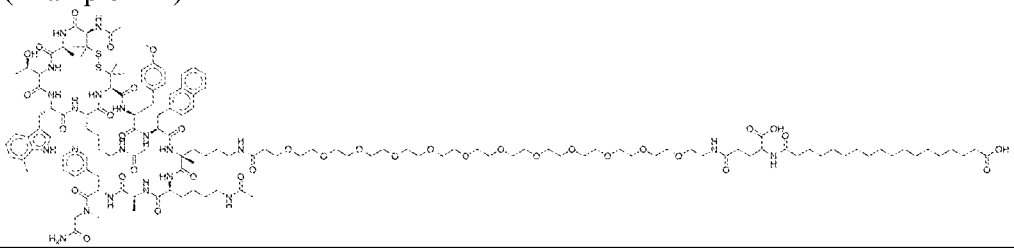
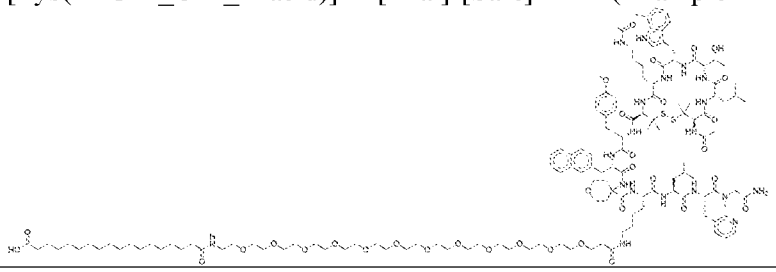
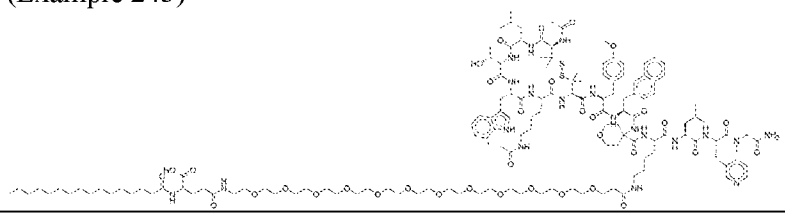
Table 1H. Compounds.

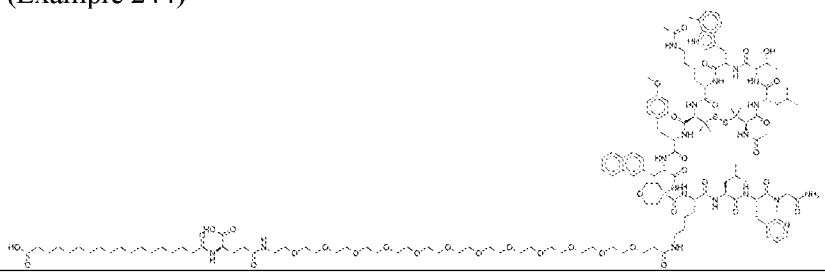
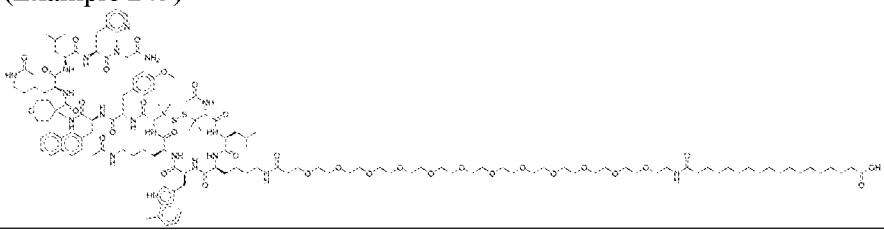
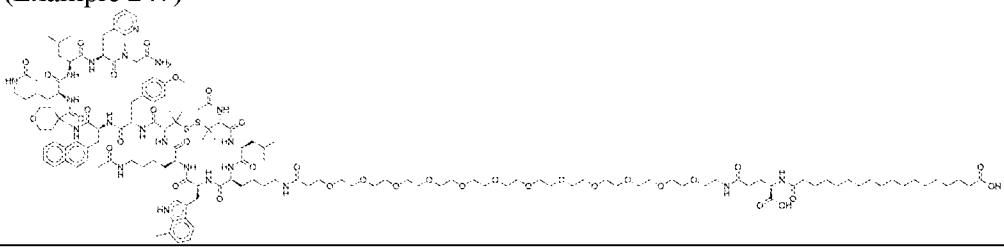
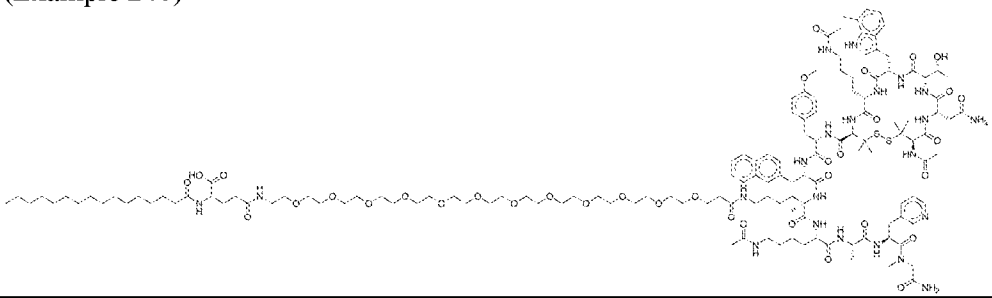
SEQ ID	Structure
168	Ac-[Pen]-A-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLys(PEG12_IsoGlu_Palm)]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2 (Example 226) 
169	Ac-[Pen]-A-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLys(PEG12_IsoGlu_C18_Diacid)]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2 (Example 227) 
170	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-(1PEG2_1PEG2_IsoGlu_Palm)aminoethoxy))]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2 (Example 228)

SEQ ID	Structure
	
171	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-(1PEG2_1PEG2_IsoGlu_C18_Diacid)aminoethoxy))]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2 (Example 229) 
172	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-(PEG4_PEG4_IsoGlu_Palm)aminoethoxy))]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2 (Example 230) 
173	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-(PEG12_IsoGlu_Palm)aminoethoxy))]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2 (Example 231)

SEQ ID	Structure
	
174	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[Lys(PEG12_IsoGlu_Palm)]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2 (Example 232) 
175	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-F-[2Nal]-[Spiral_Pip_PEG12_IsoGlu_Palm]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2 (Example 233) 
176	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-F-[2Nal]-[aMeLys(PEG12_IsoGlu_Palm)]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2 (Example 234) 
177	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLys(PEG12_IsoGlu_C18_Diacid)]-A-A-[3Pal]-[Sarc]-NH2 (Example 235) 

SEQ ID	Structure
178	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[(D)Lys(PEG12_C18_Diacid)]-NH2 (Example 236) 
179	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[(D)Lys(PEG12_IsoGlu_Palm)]-NH2 (Example 237) 
180	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[(D)Lys(PEG12_IsoGlu_C18_Diacid)]-NH2 (Example 238) 
181	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-[Lys(PEG12_C18_Diacid)]-[3Pal]-[Sarc]-NH2 (Example 239) 

SEQ ID	Structure
182	Ac-[Pen]-A-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLys(PEG12_IsoGlu_Palm)]-[Lys(Ac)]-A-[3Pal]-[Sarc]-NH2 (Example 240) 
183	Ac-[Pen]-A-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLys(PEG12_IsoGlu_C18_Diacid)]-[Lys(Ac)]-A-[3Pal]-[Sarc]-NH2 (Example 241) 
184	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(PEG12_C18_Diacid)]-L-[3Pal]-[Sarc]-NH2 (Example 242) 
185	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(PEG12_IsoGlu_Palm)]-L-[3Pal]-[Sarc]-NH2 (Example 243) 
186	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(PEG12_IsoGlu_C18_Diacid)]-L-[3Pal]-[Sarc]-NH2

SEQ ID	Structure
	(Example 244) 
187	Ac-[Pen]-L-[Lys(PEG12_C18_Diacid)]-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2 (Example 245) 
188	Ac-[Pen]-L-[Lys(PEG12_IsoGlu_Palm)]-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2 (Example 246) 
189	Ac-[Pen]-L-[Lys(PEG12_IsoGlu_C18_Diacid)]-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2 (Example 247) 
190	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLys(PEG12_IsoGlu_Palm)]-[Lys(Ac)]-A-[3Pal]-[Sarc]-NH2 (Example 248) 
191	Ac-[Pen]-[Lys(PEG12_IsoGlu_Palm)]-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2

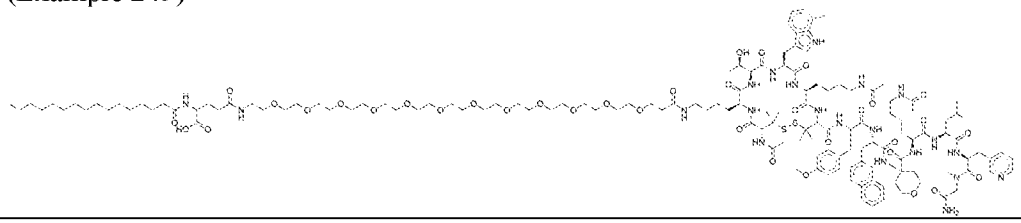
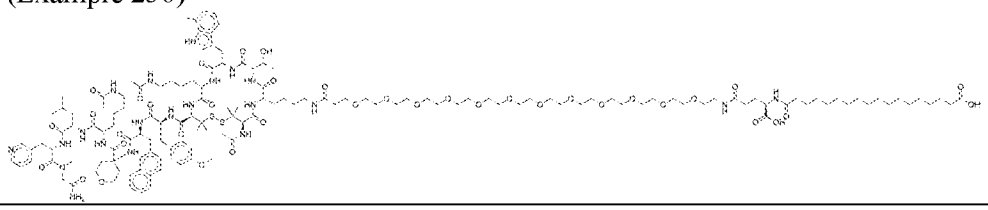
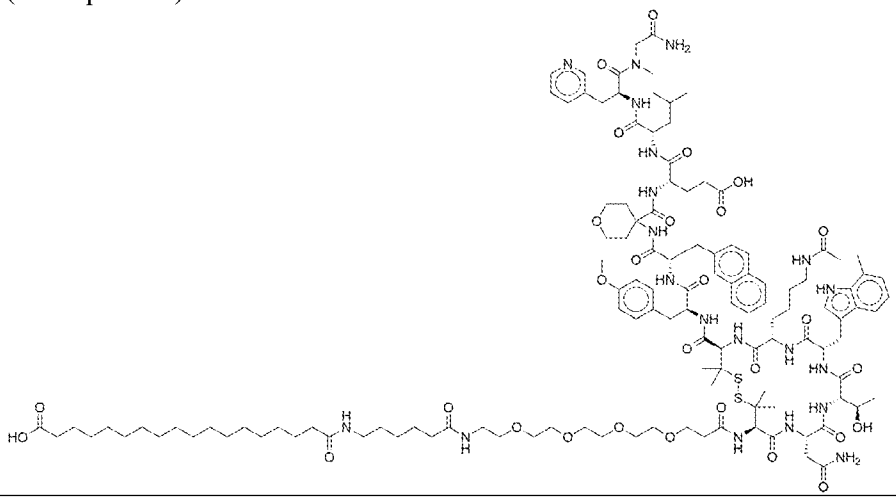
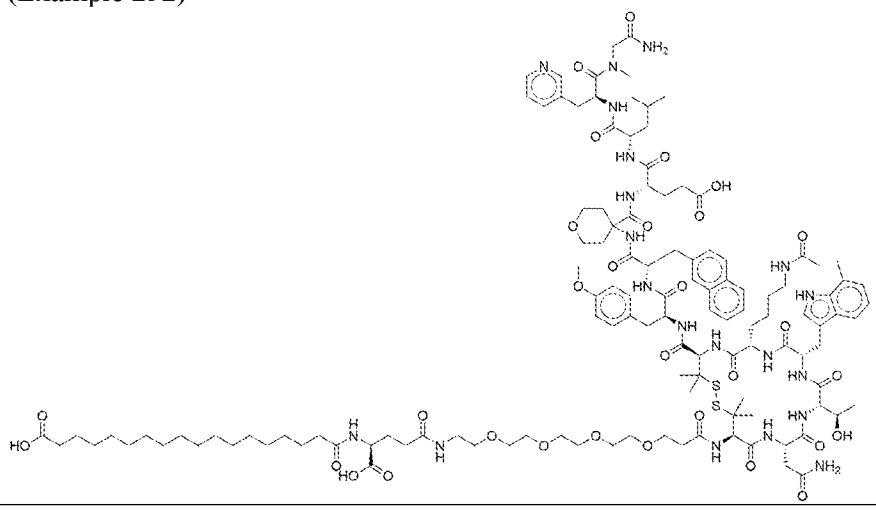
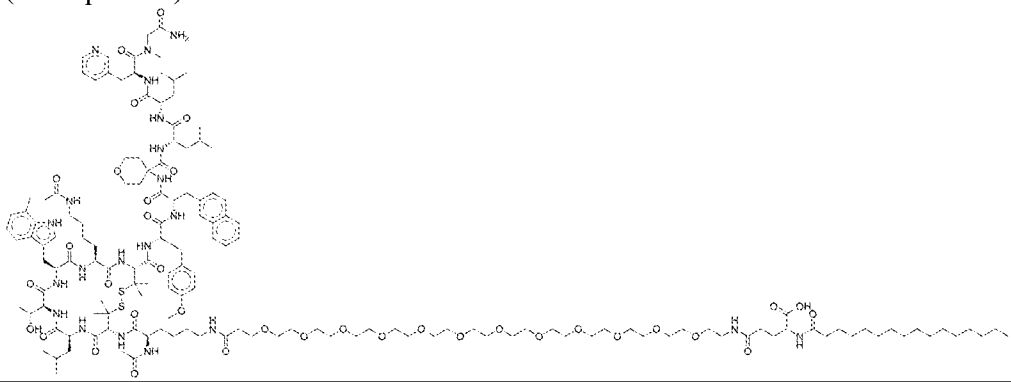
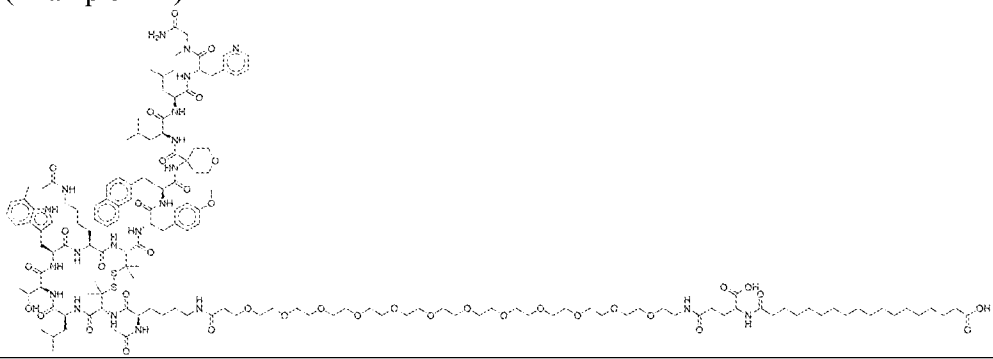
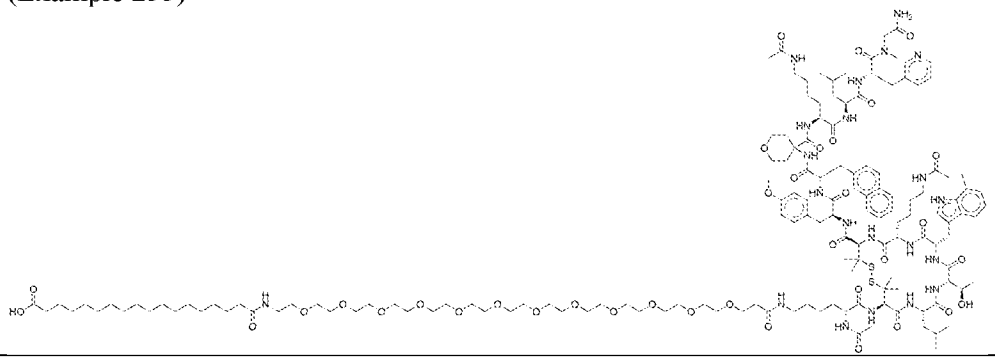
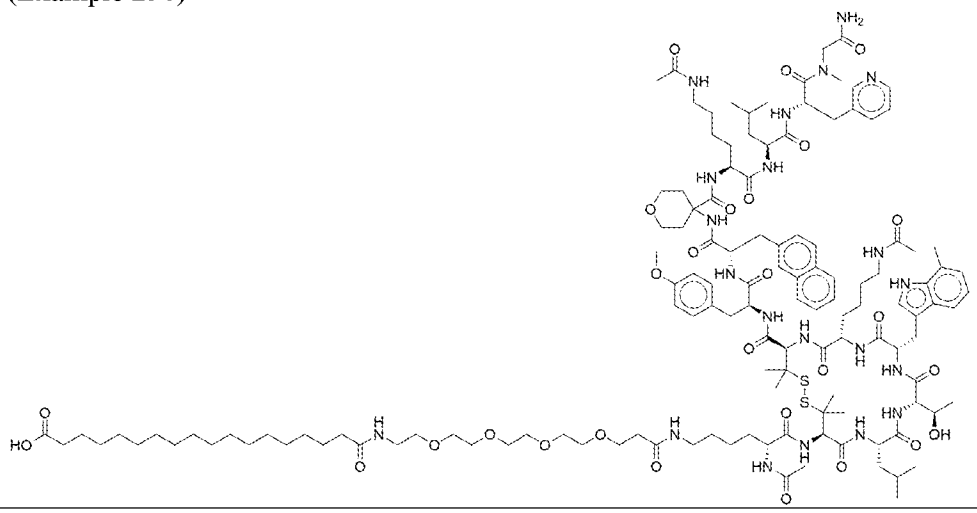
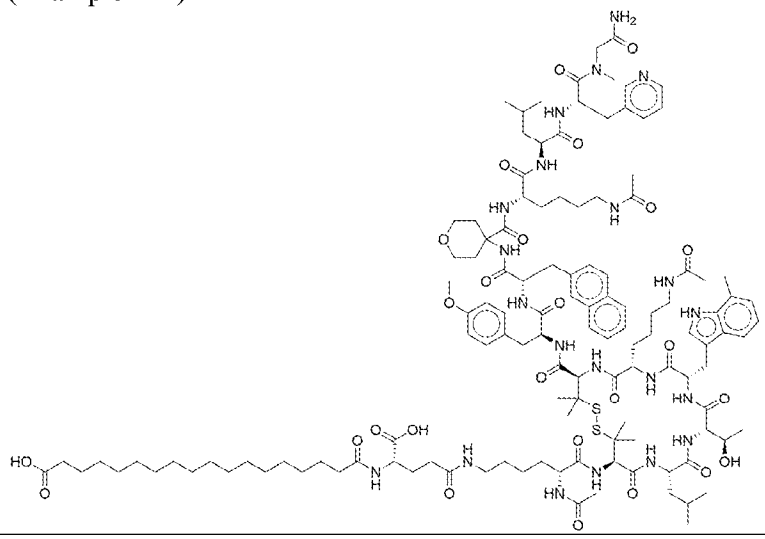
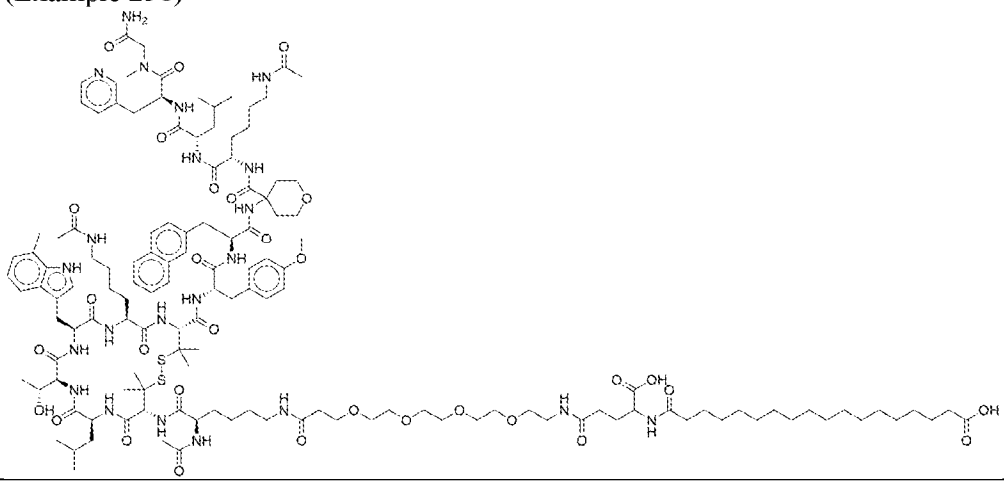
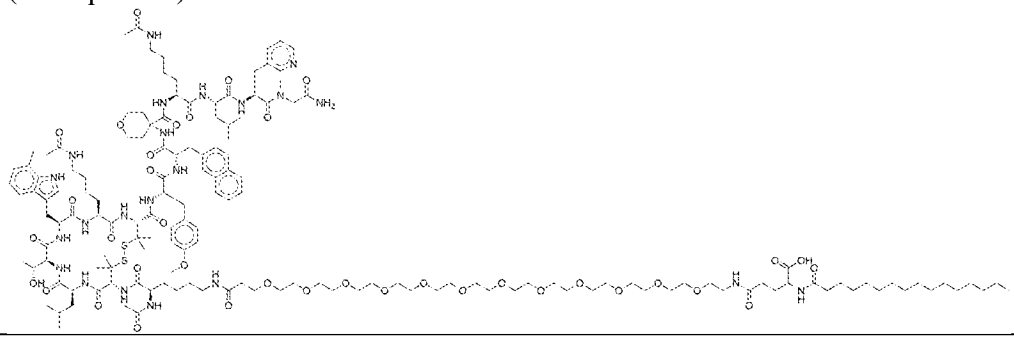
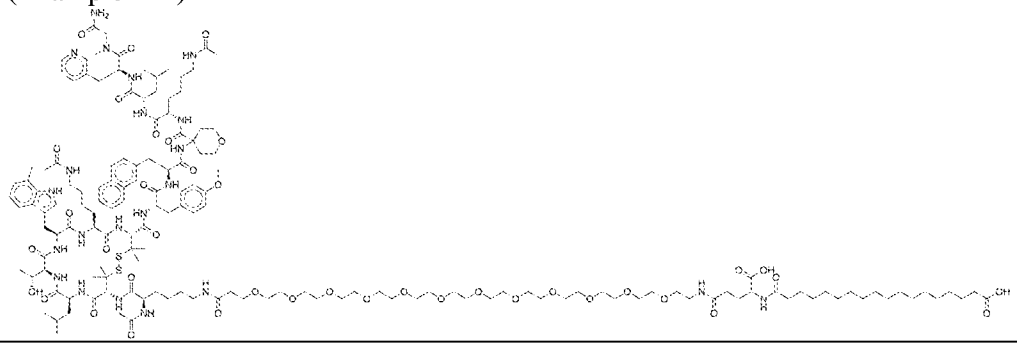
SEQ ID	Structure
	(Example 249) 
192	Ac-[Pen]-[Lys(PEG12_IsoGlu_C18_Diacid)]-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2 (Example 250) 

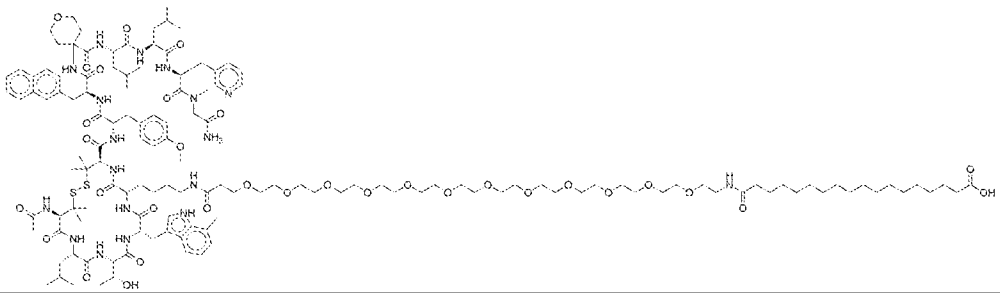
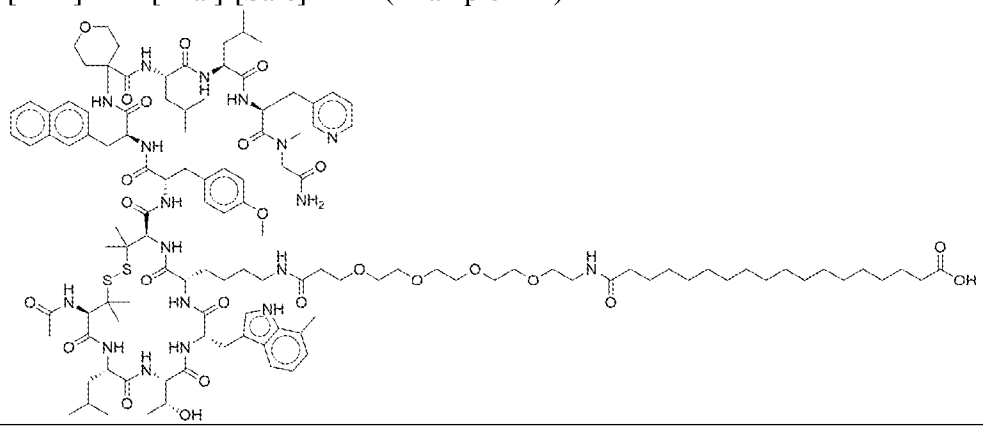
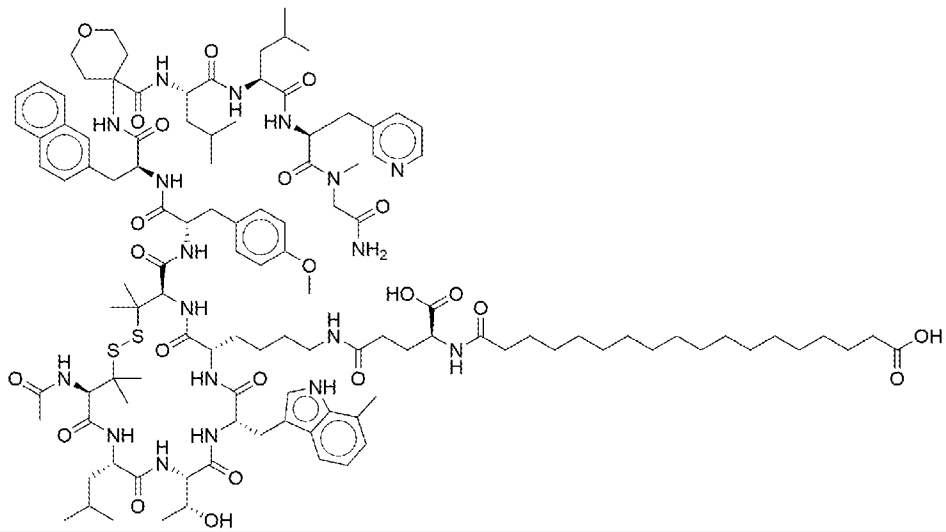
Table 1I. Compounds.

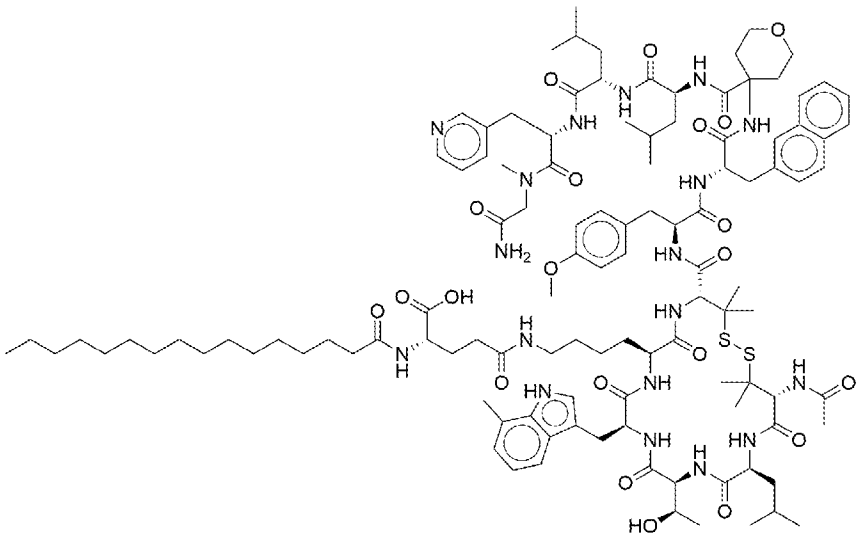
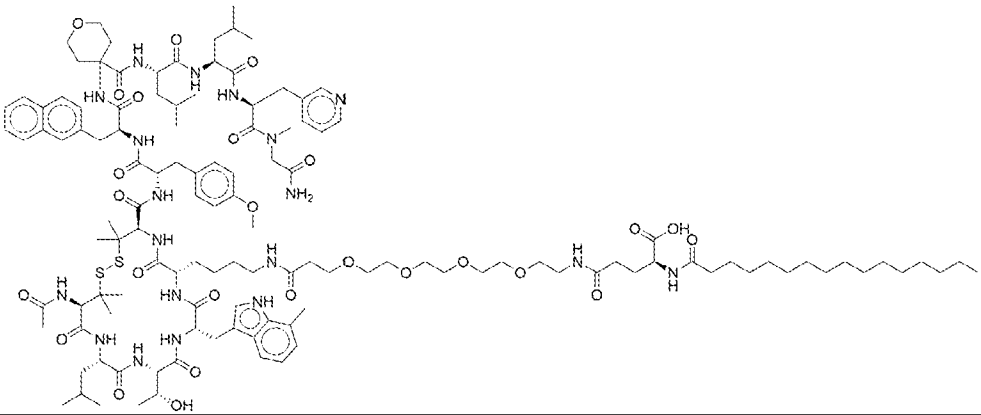
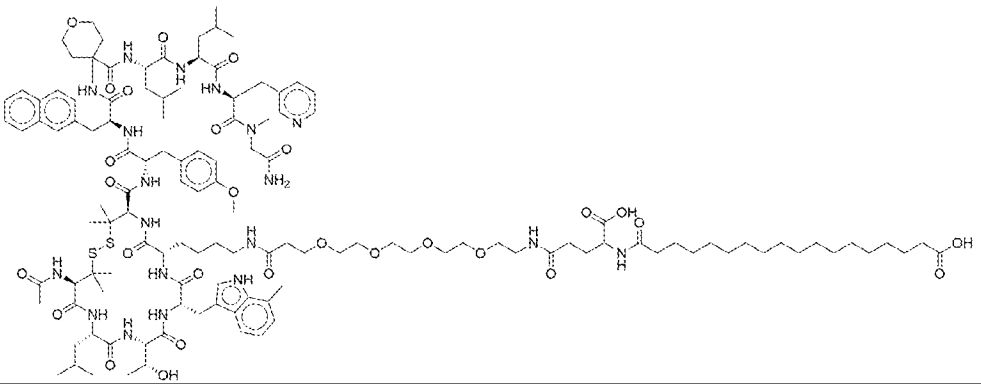
SEQ ID.	Structure
193	[Pen(PEG4_Ahx_C18_Diacid)]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-E-L-[3Pal]-[Sarc]-NH2 (Example 251) 
194	[Pen(PEG4_IsoGlu_C18_Diacid)]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-E-L-[3Pal]-[Sarc]-NH2

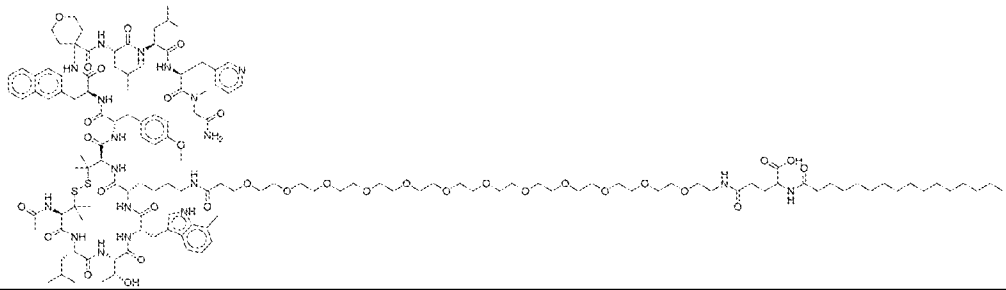
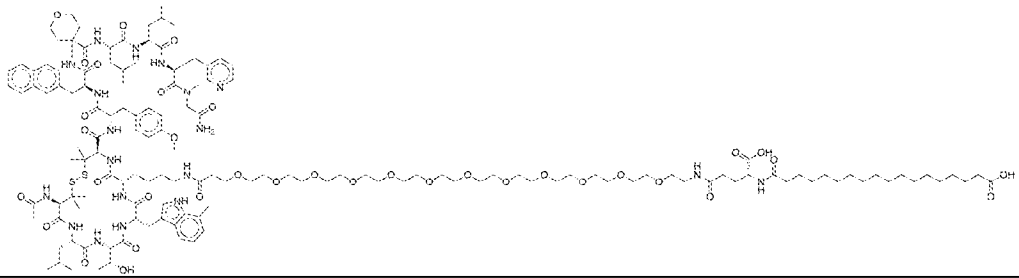
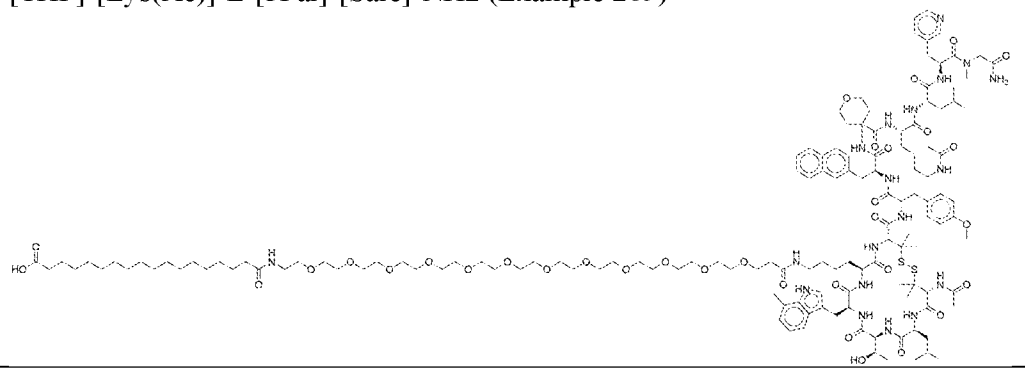
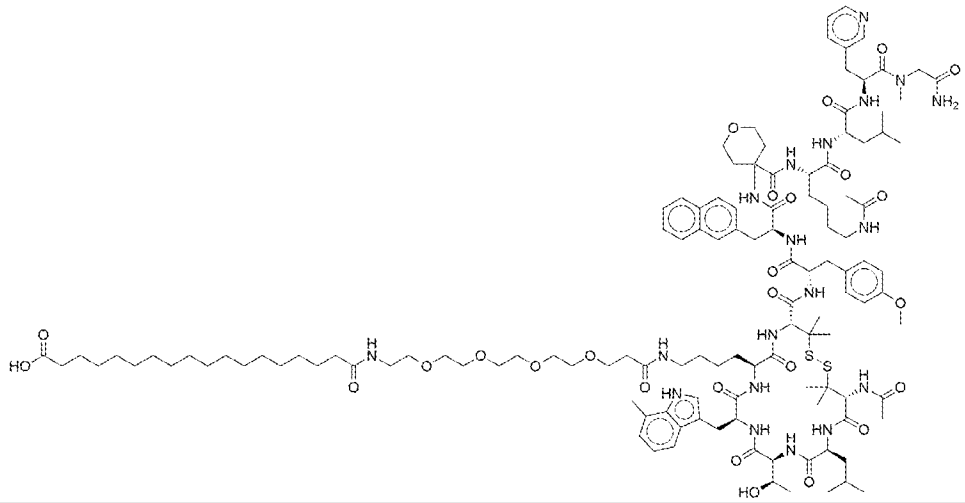
SEQ ID.	Structure
	(Example 252) 
195	Ac-[(D)Lys(PEG12_IsoGlu_Palm)]-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-L-[3Pal]-[Sarc]-NH2 (Example 253) 
196	Ac-[(D)Lys(PEG12_IsoGlu_C18_Diacid)]-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-L-[3Pal]-[Sarc]-NH2 (Example 254) 
197	Ac-[(D)Lys(PEG12_C18_Diacid)]-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2

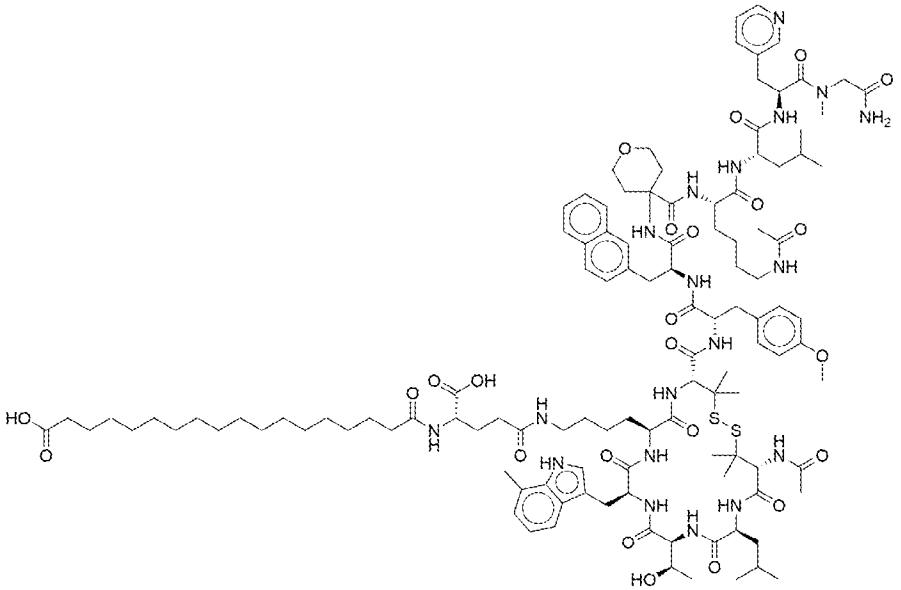
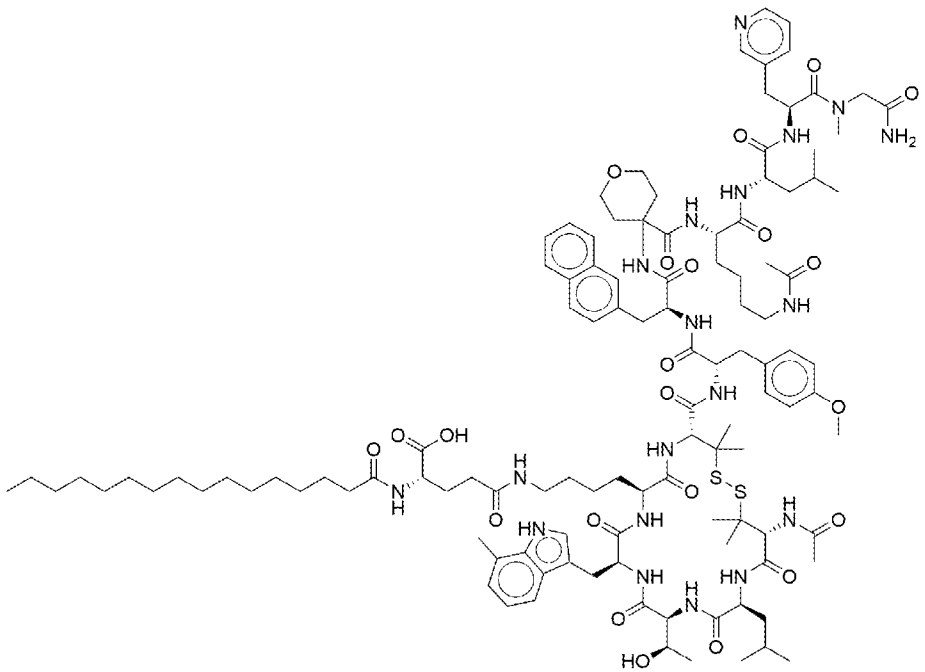
SEQ ID.	Structure
	(Example 255) 
198	Ac-[(D)Lys(Peg4_C18_Diacid)]-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2 (Example 256) 
199	Ac-[(D)Lys(IsoGlu_C18_Diacid)]-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2 (Example 257) 
200	Ac-[(D)Lys(Peg4_IsoGlu_C18_Diacid)]-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2

SEQ ID.	Structure
	(Example 258) 
201	Ac-[(D)Lys(PEG12_IsoGlu_Palm)]-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2 (Example 259) 
202	Ac-[(D)Lys(PEG12_IsoGlu_C18_Diacid)]-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2 (Example 260) 
203	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG12_C18_Diacid)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-L-[3Pal]-[Sarc]-NH2 (Example 261)

SEQ ID.	Structure
	
204	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG4_C18_Diacid)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-L-[3Pal]-[Sarc]-NH2 (Example 262) 
205	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(IsoGlu_C18_Diacid)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-L-[3Pal]-[Sarc]-NH2 (Example 263) 
206	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(IsoGlu_Palm)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-L-[3Pal]-[Sarc]-NH2 (Example 264)

SEQ ID.	Structure
	
207	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG4_IsoGlu_Palm)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-L-[3Pal]-[Sarc]-NH2 (Example 265) 
208	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG4_IsoGlu_C18_Diacid)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-L-[3Pal]-[Sarc]-NH2 (Example 266) 
209	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG12_IsoGlu_Palm)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-L-[3Pal]-[Sarc]-NH2 (Example 267)

SEQ ID.	Structure
	
210	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG12_IsoGlu_C18_Diacid)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-L-[3Pal]-[Sarc]-NH2 (Example 268) 
211	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG12_C18_Diacid)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2 (Example 269) 
212	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG4_C18_Diacid)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2 (Example 270) 

SEQ ID.	Structure
213	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(IsoGlu_C18_Diacid)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2 (Example 271) 
214	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(IsoGlu_Palm)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2 (Example 272) 
215	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG4_IsoGlu_Palm)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2 (Example 273)

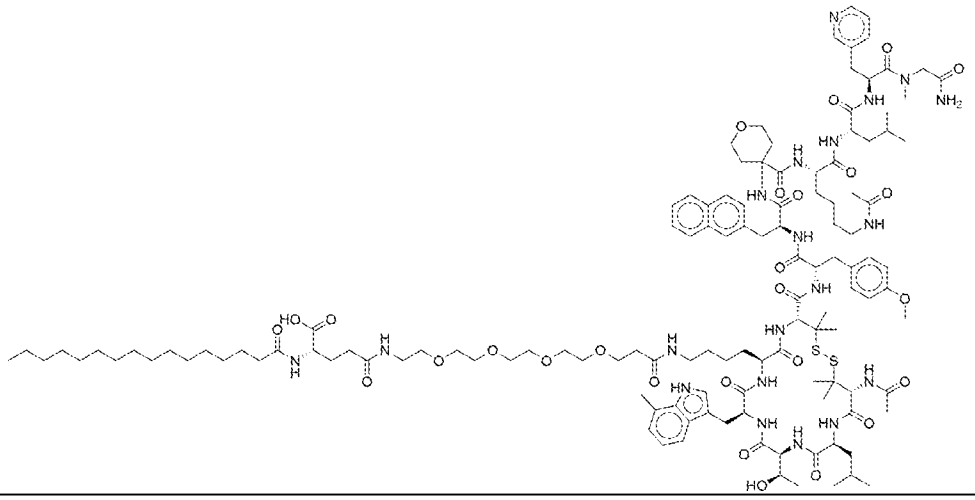
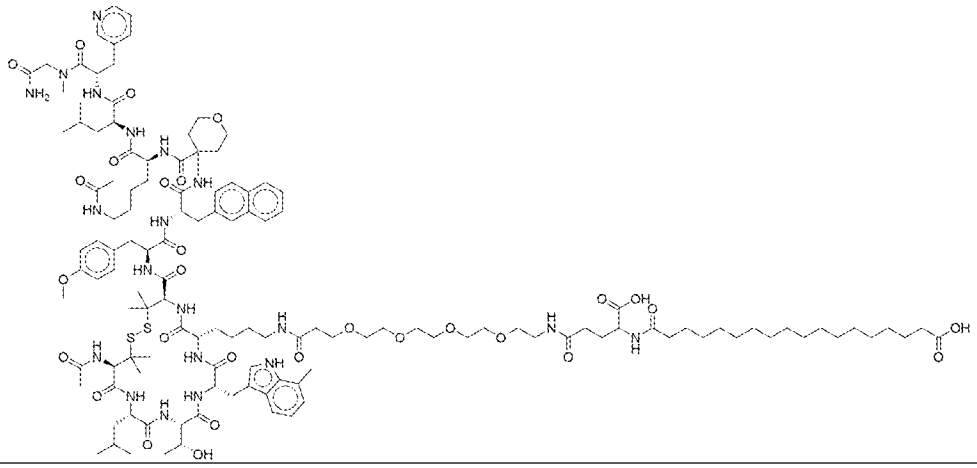
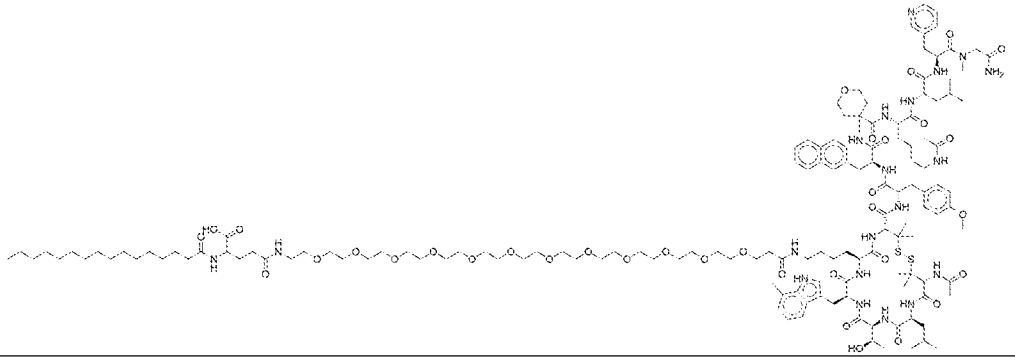
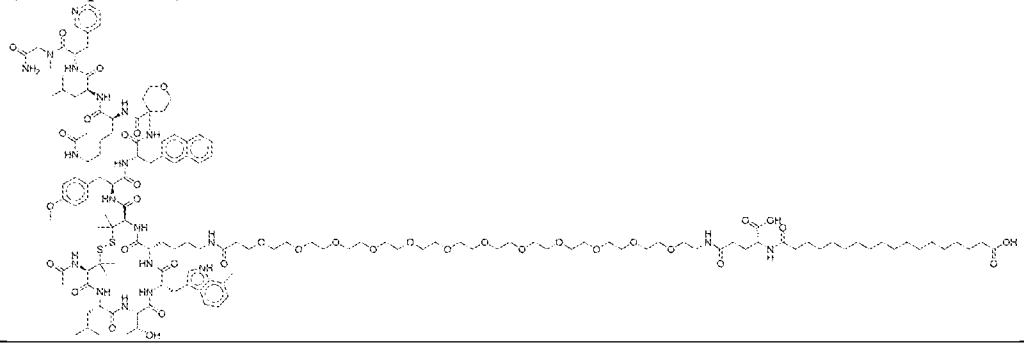
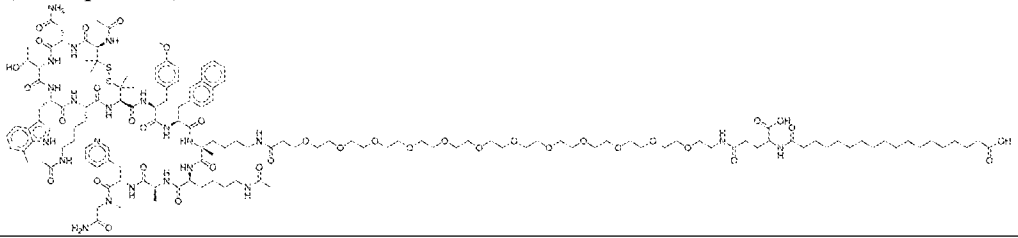
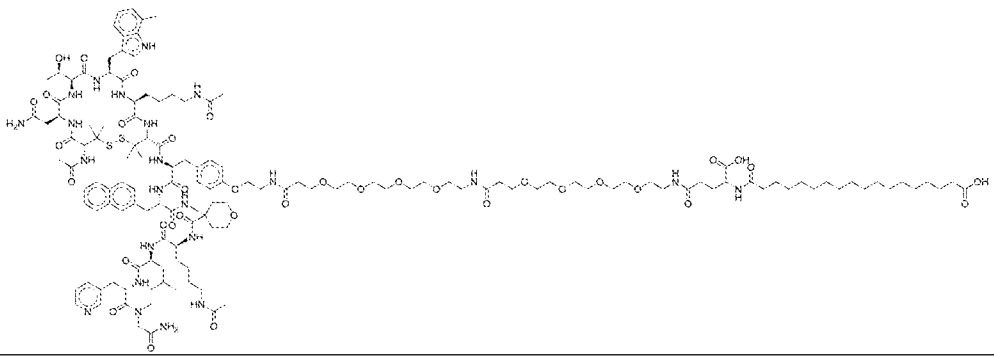
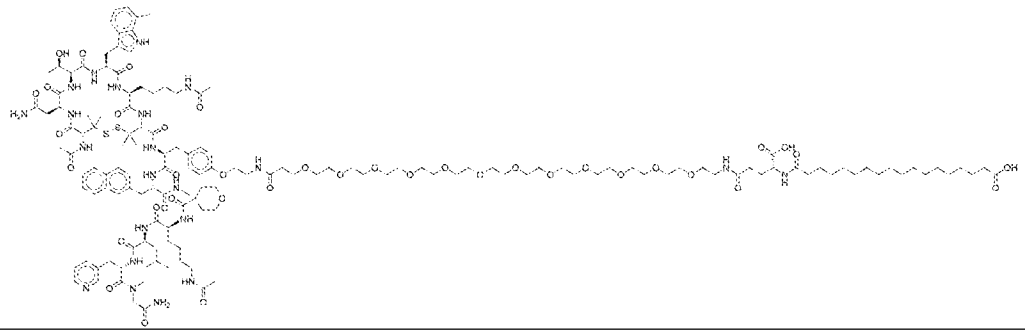
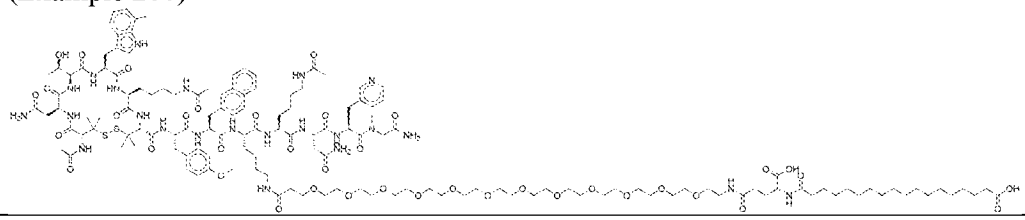
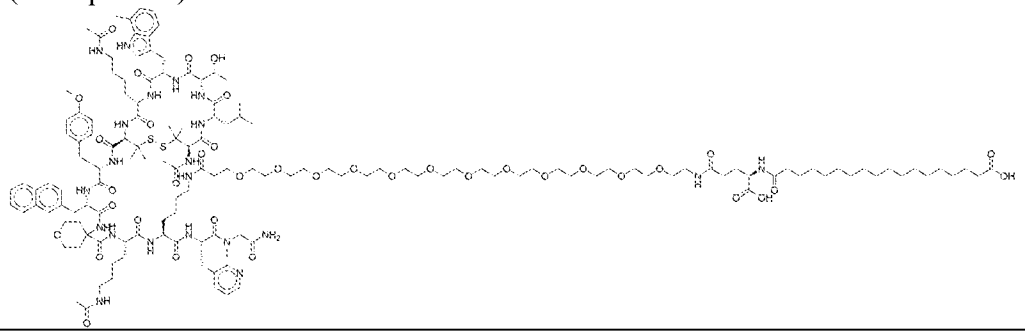
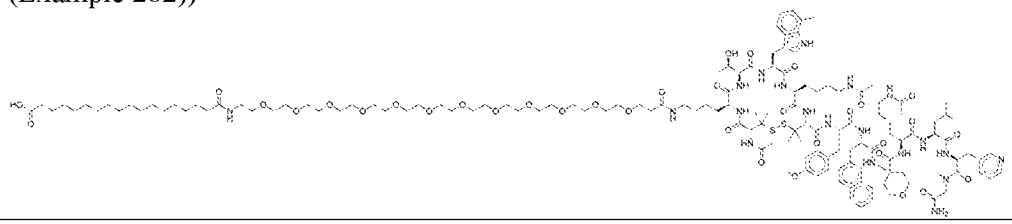
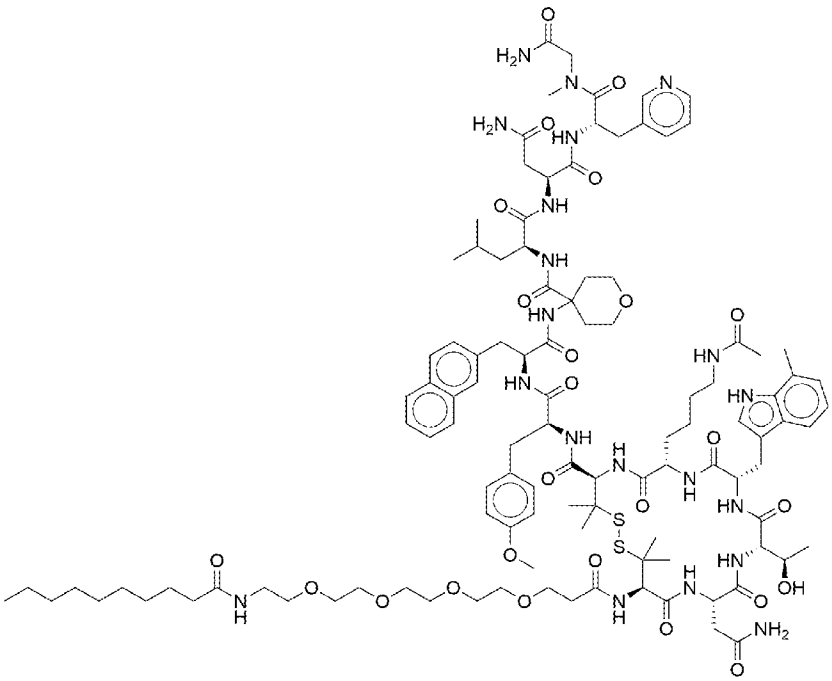
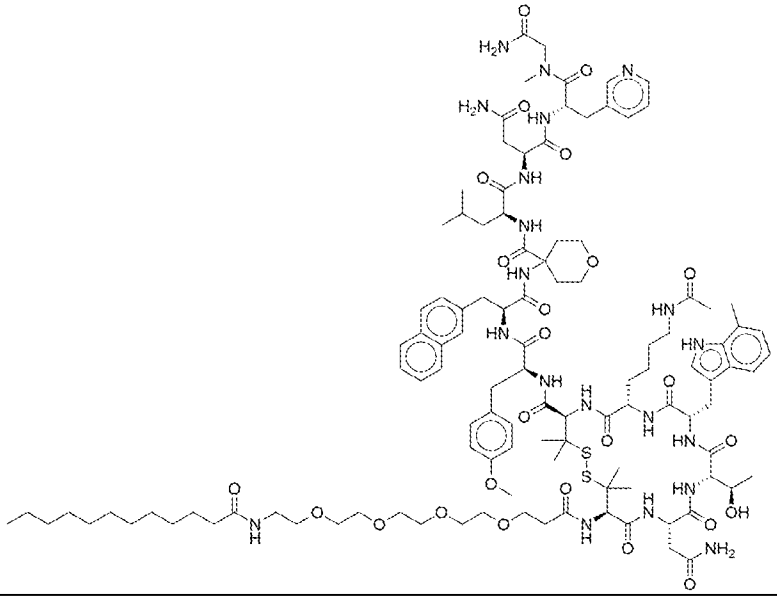
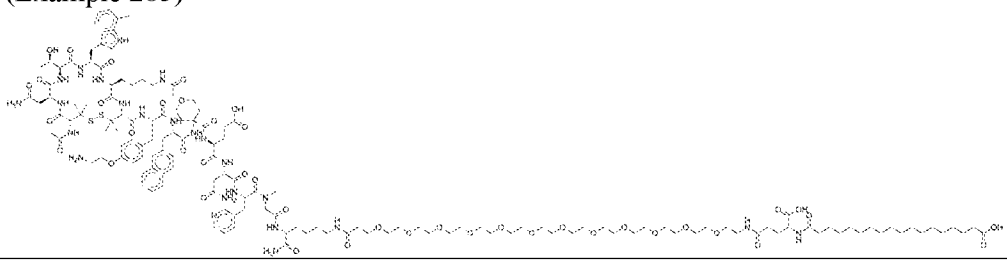
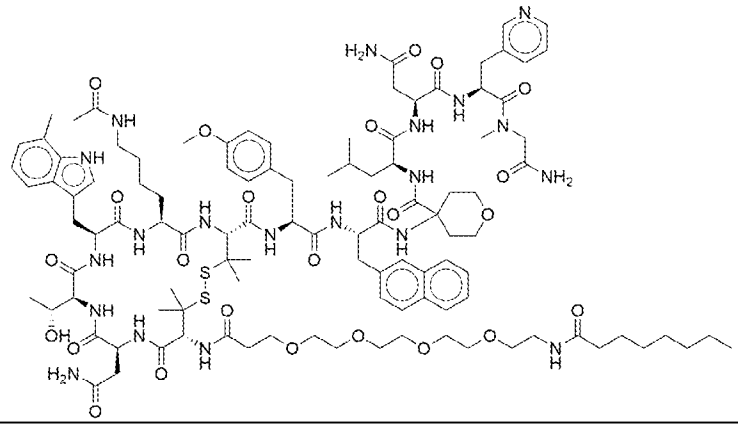
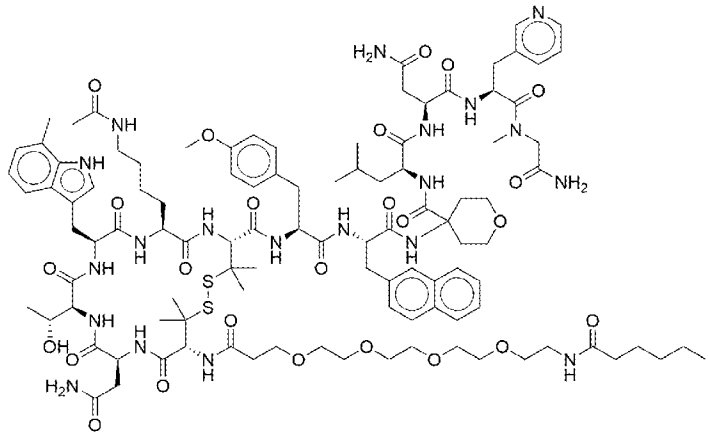
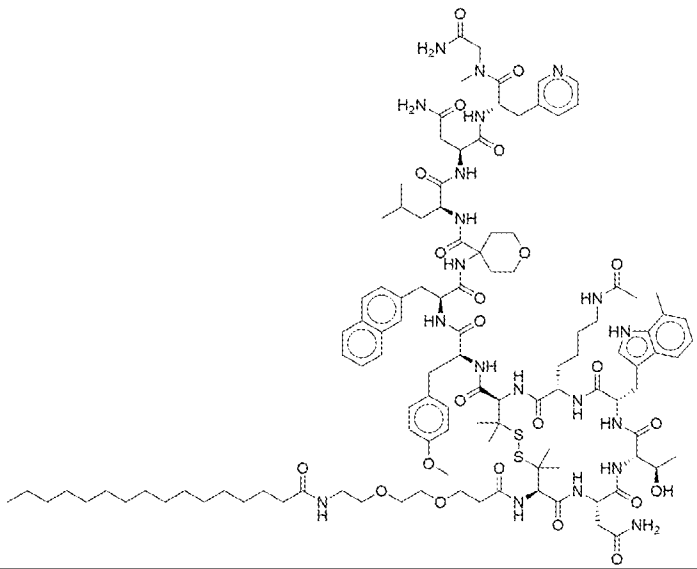
SEQ ID.	Structure
	
216	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG4_IsoGlu_C18_Diacid)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2 (Example 274) 
217	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG12_IsoGlu_Palm)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2 (Example 275) 

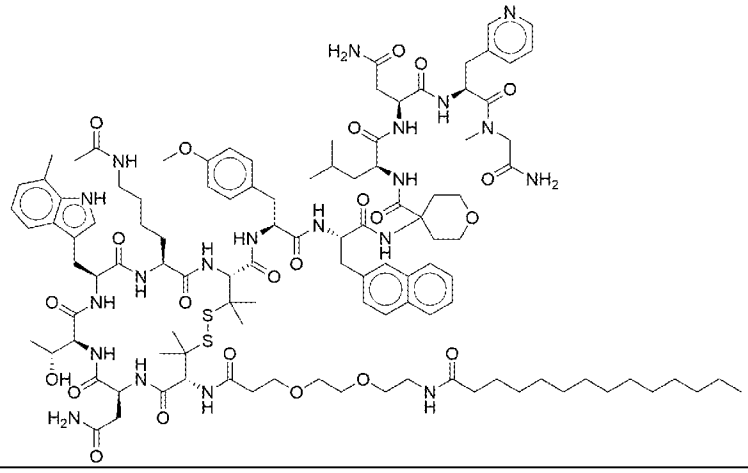
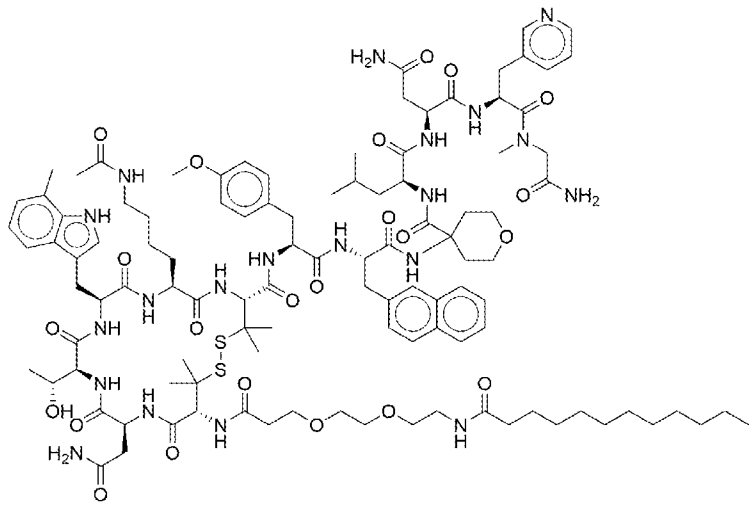
Table 1J. Compounds.

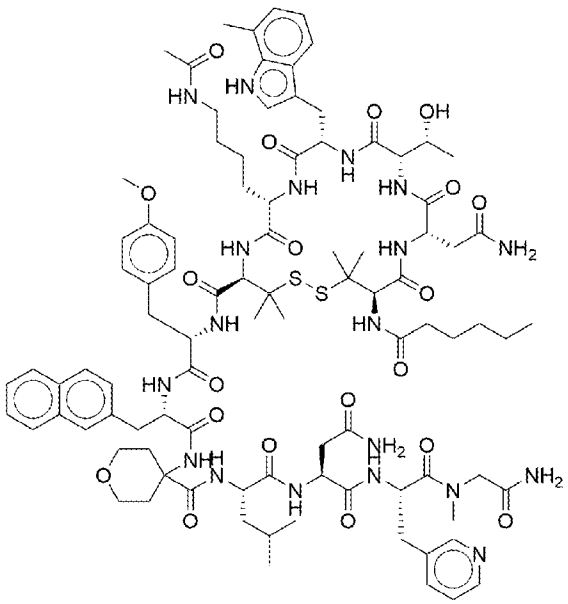
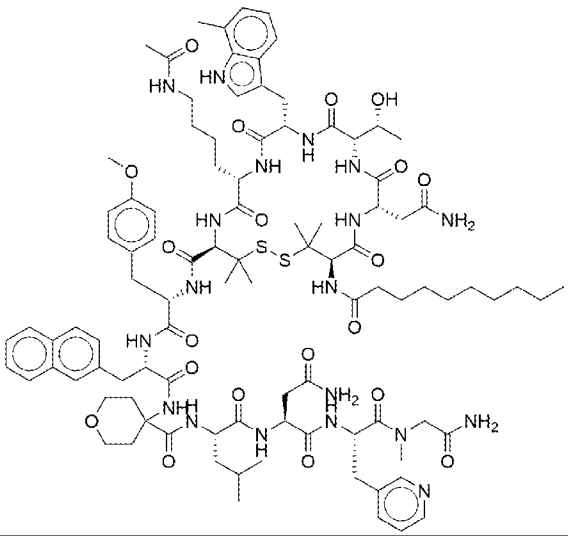
SEQ ID.	Structure
218	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG12_IsoGlu_C18_Diacid)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2 (Example 276) 
219	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLys(PEG12_IsoGlu_C18_Diacid)]-[Lys(Ac)]-A-[3Pal]-[Sarc]-NH2 (Example 277) 
220	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-(PEG4_PEG4_IsoGlu_C18_Diacid)aminoethoxy))]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2 (Example 278) 
221	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-(PEG12_IsoGlu_C18_Diacid)aminoethoxy))]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2 (Example 279)

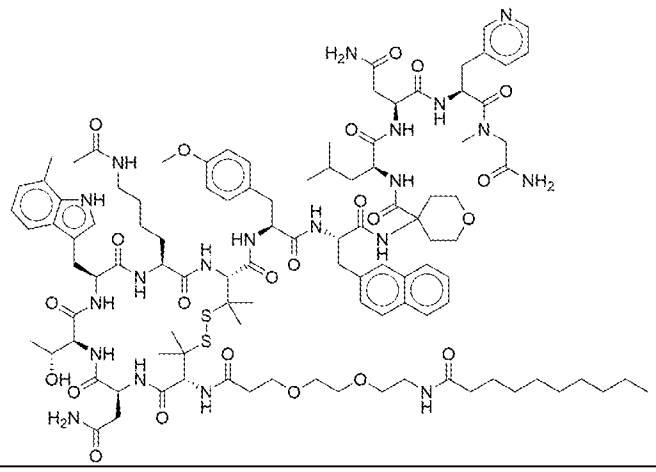
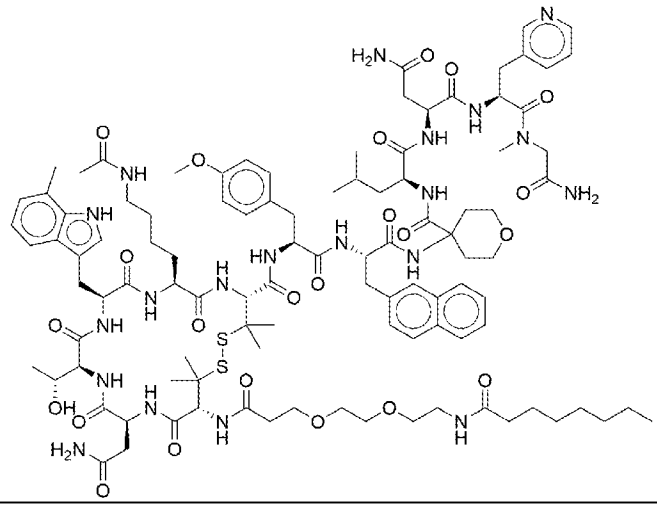
SEQ ID.	Structure
	
222	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[Lys(PEG12_IsoGlu_C18_Diacid)]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2 (Example 280) 
223	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-[Lys(PEG12_IsoGlu_C18_Diacid)]-[3Pal]-[Sarc]-NH2 (Example 281) 
224	Ac-[Pen]-[Lys(PEG12_C18_Diacid)]-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2 (Example 282)) 
225	[PEG4_Decyl]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-N-[3Pal]-[Sarc]-NH2 (Example 283)

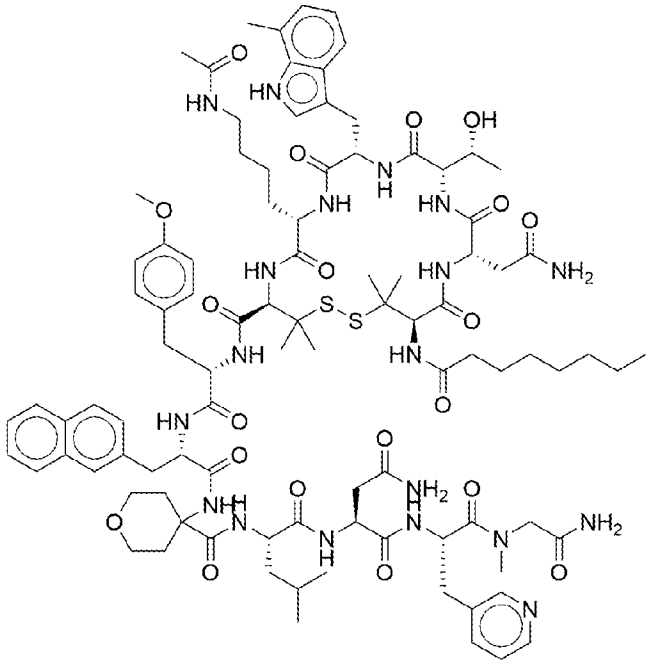
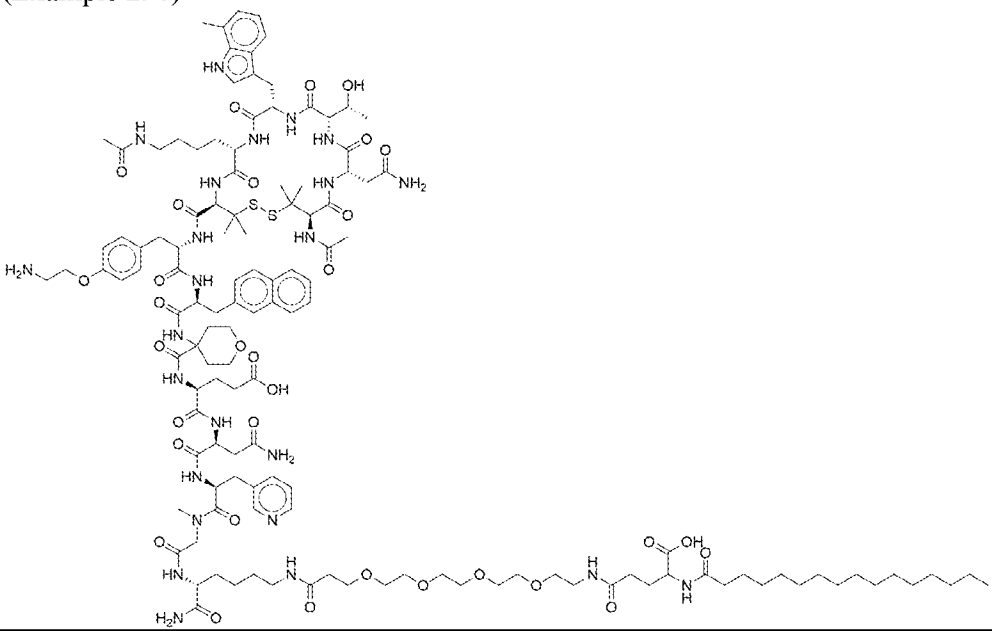
SEQ ID.	Structure
	
226	[PEG4_Lauryl]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-N-[3Pal]-[Sarc]-NH2 (Example 284) 
227	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-[(D)Lys(PEG12_IsoGlu_C18_Diacid)]-NH2 (Example 285) 

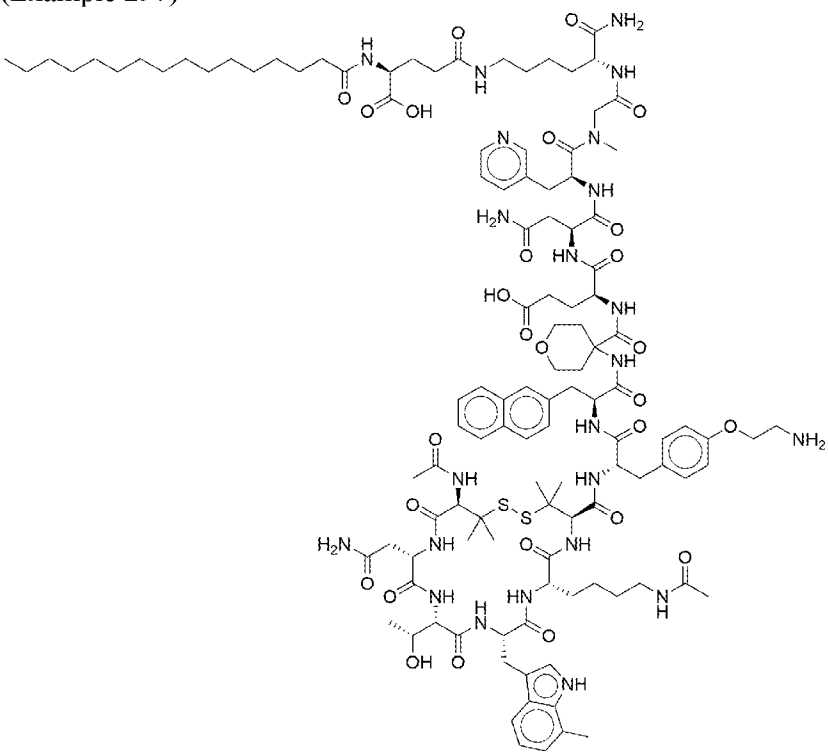
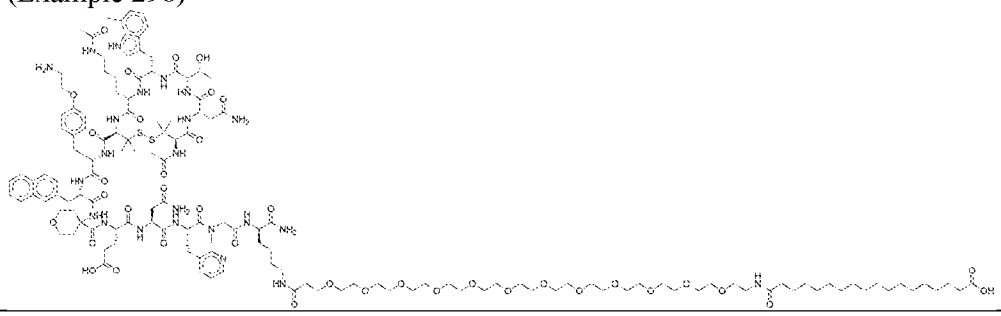
SEQ ID.	Structure
228	[PEG4_Capryl]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-N-[3Pal]-[Sarc]-NH2 (Example 286) 
229	[PEG4_Hexyl]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-N-[3Pal]-[Sarc]-NH2 (Example 287) 
230	[PEG2_Palm]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-N-[3Pal]-[Sarc]-NH2 (Example 288) 

SEQ ID.	Structure
231	[PEG2_Myristyl]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-N-[3Pal]-[Sarc]-NH2 (Example 289) 
232	[PEG2_Lauryl]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-N-[3Pal]-[Sarc]-NH2 (Example 290) 
233	[Hexyl]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-N-[3Pal]-[Sarc]-NH2 (Example 291)

SEQ ID.	Structure
	
234	[Decyl]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-N-[3Pal]-[Sarc]-NH2 (Example 292) 
235	[PEG2_Decyl]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-N-[3Pal]-[Sarc]-NH2 (Example 293)

SEQ ID.	Structure
	
236	[PEG2_Capryl]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-N-[3Pal]-[Sarc]-NH2 (Example 294) 

SEQ ID.	Structure
237	[Oct]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-N-[3Pal]-[Sarc]-NH2 (Example 295) 
238	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-[(D)Lys(Peg4_IsoGlu_Palm)]-NH2 (Example 296) 
239	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-[(D)Lys(IsoGlu_Palm)]-NH2

SEQ ID.	Structure
	(Example 297) 
240	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-[(D)Lys(PEG12_C18_Diacid)]-NH2 (Example 298) 
241	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2Nal]-[aMeLys(Peg4_IsoGlu_C18_Diacid)]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2

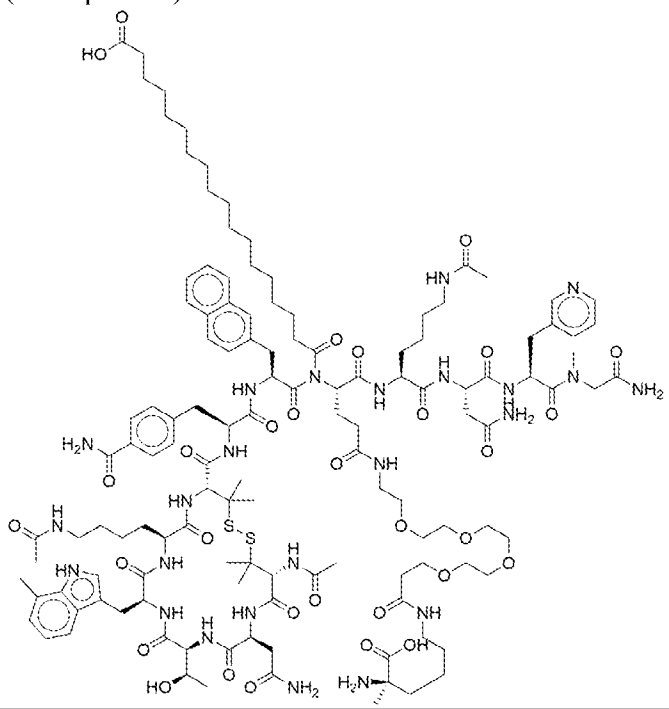
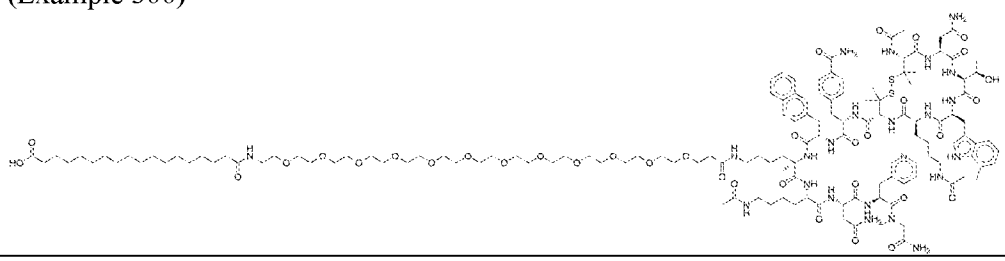
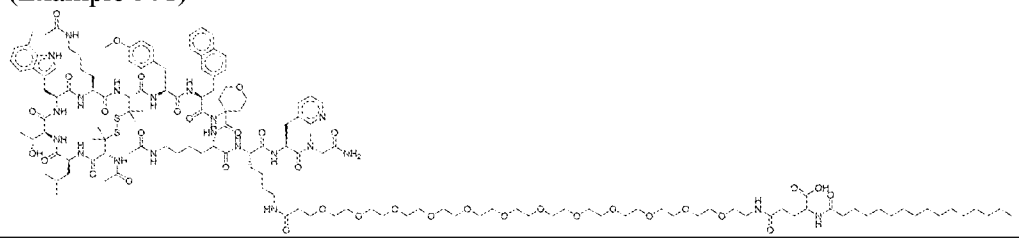
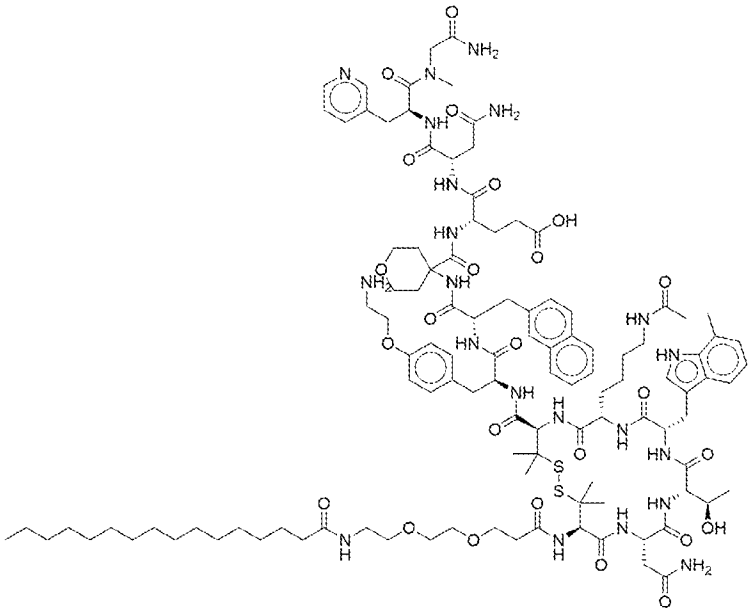
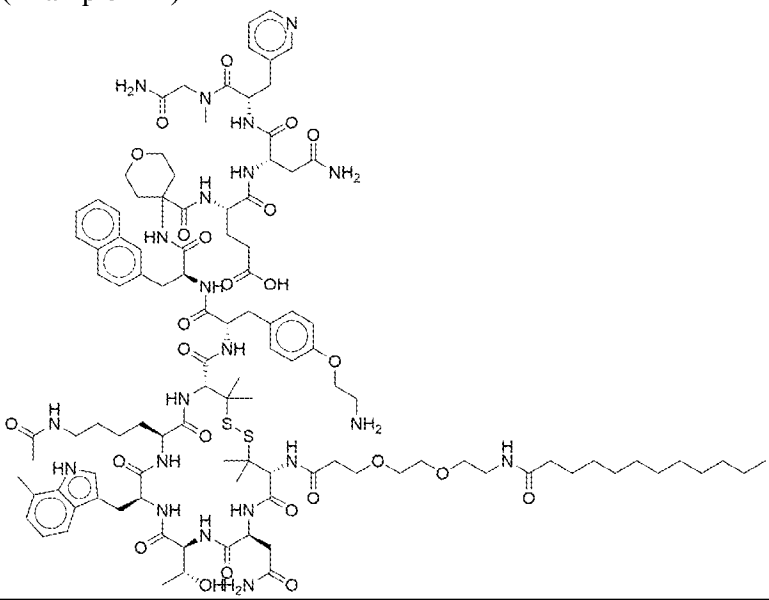
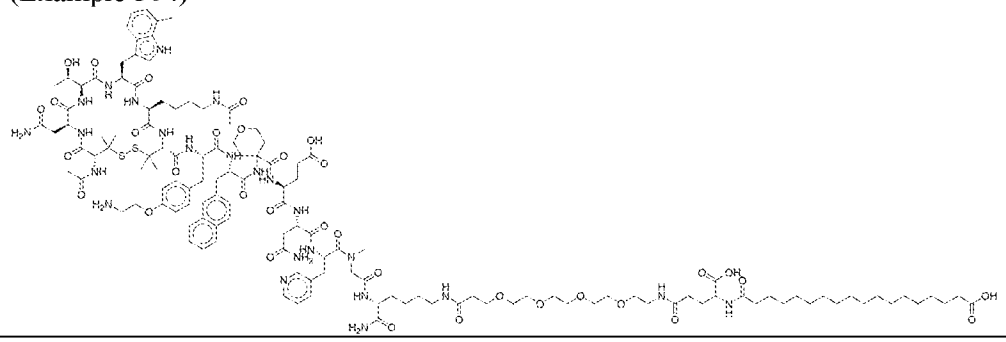
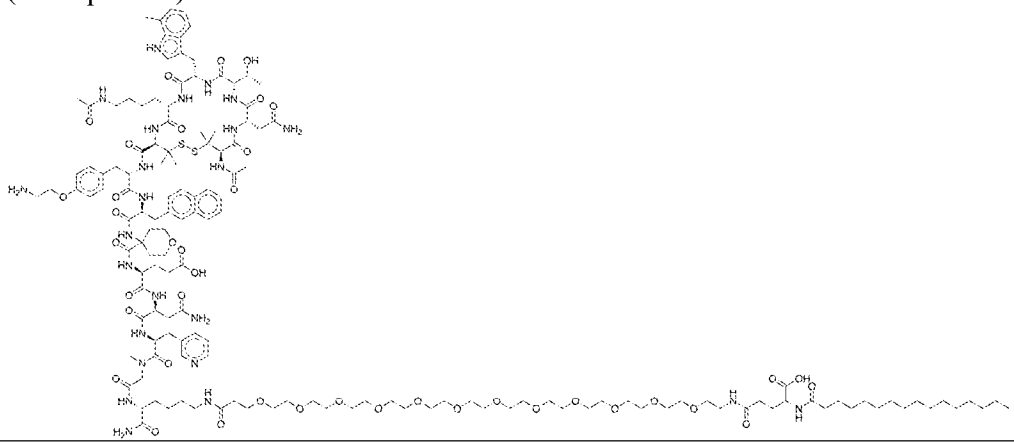
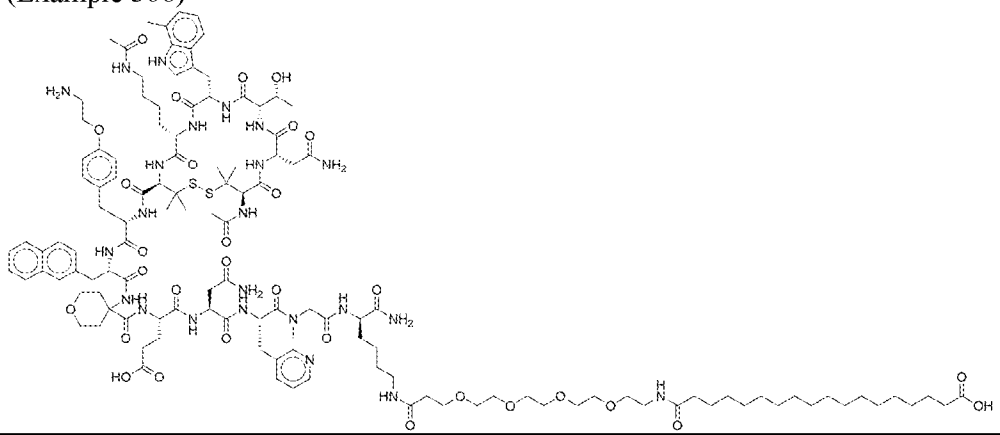
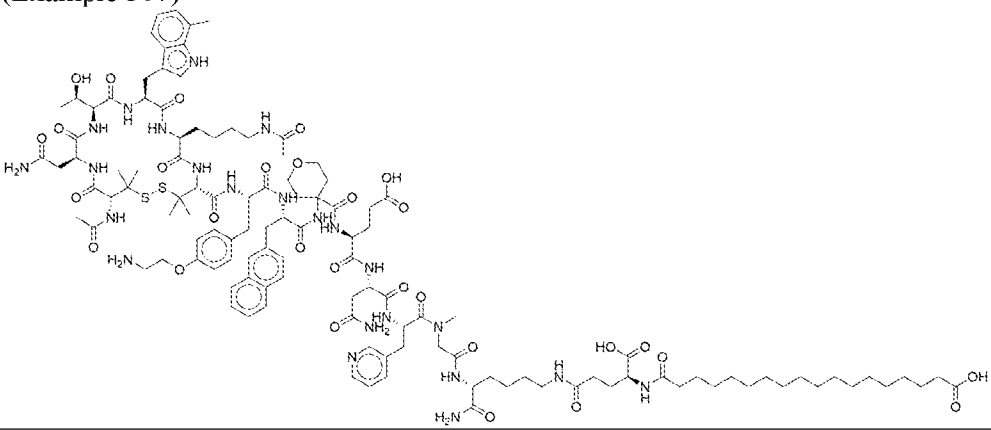
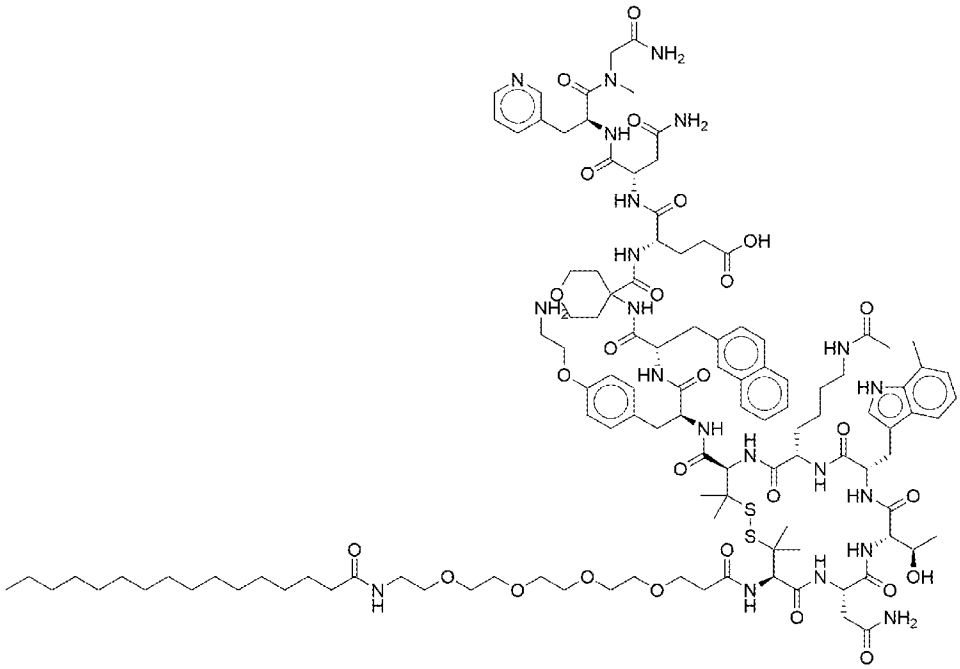
SEQ ID.	Structure
	(Example 299) 
242	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2Nal]-[aMeLys(PEG12_C18_Diacid)]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2 (Example 300) 

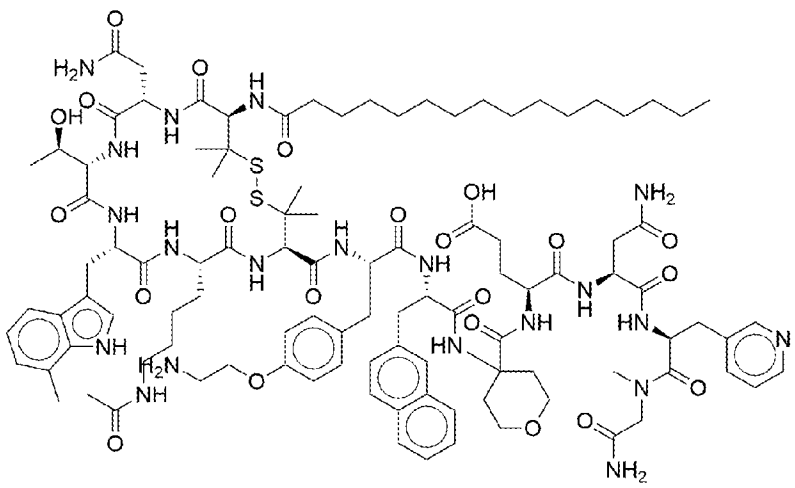
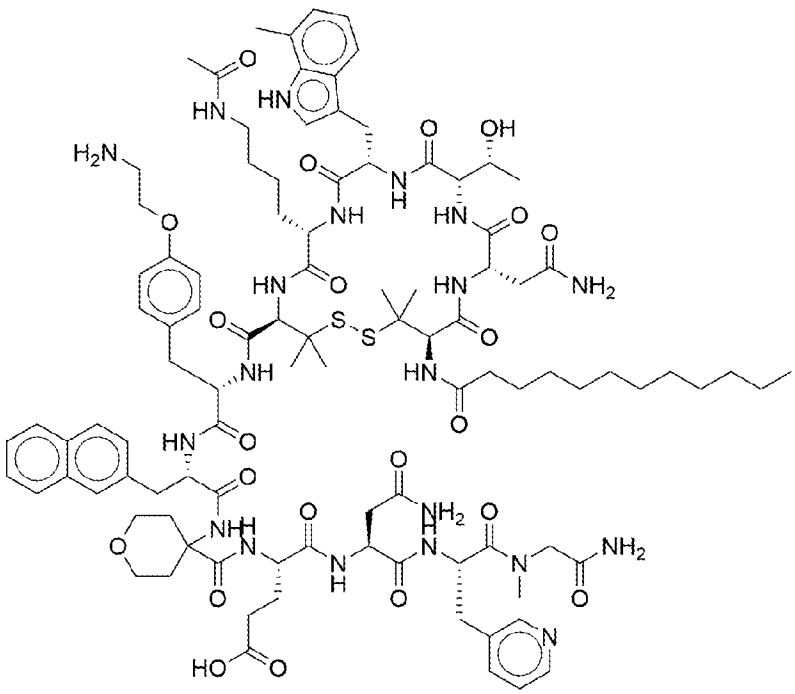
Table 1K. Compounds.

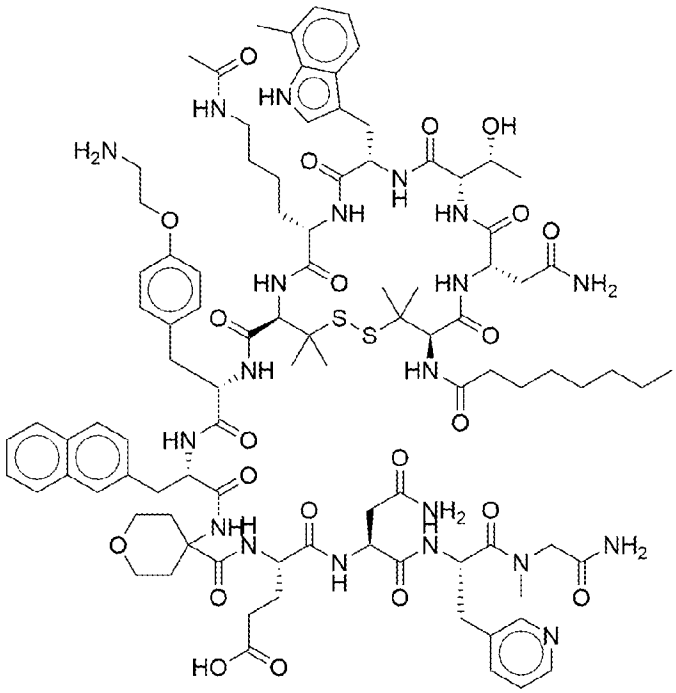
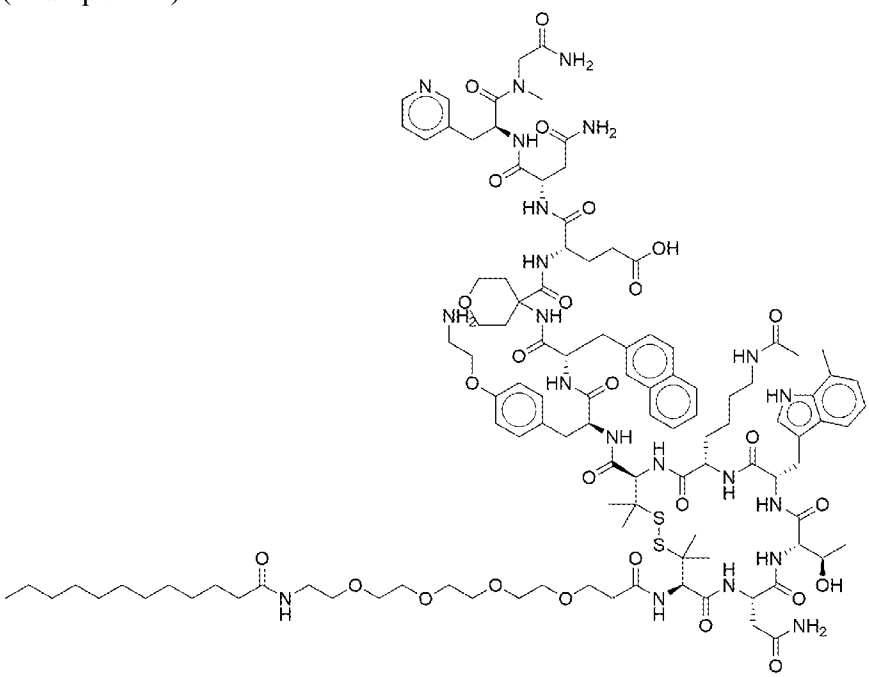
SEQ ID.	Structure
243	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-[Lys(PEG12_IsoGlu_Palm)]-[3Pal]-[Sarc]-NH2 (Example 301) 

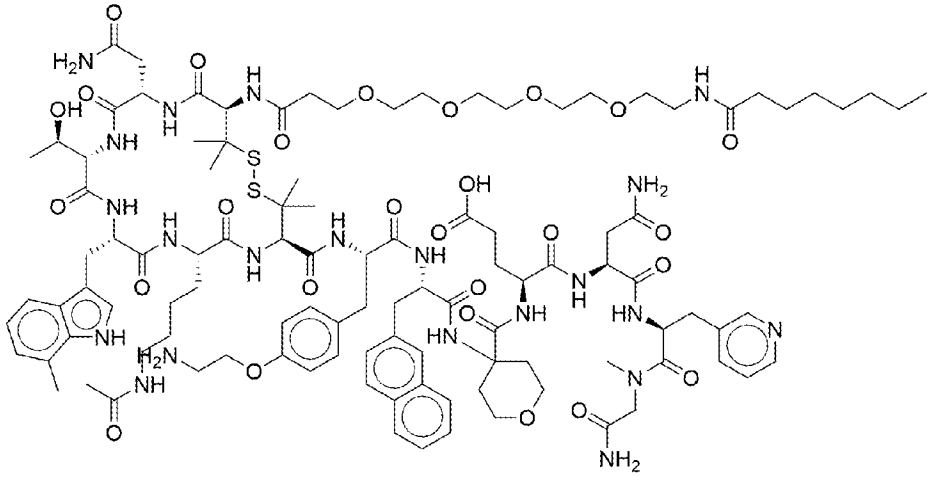
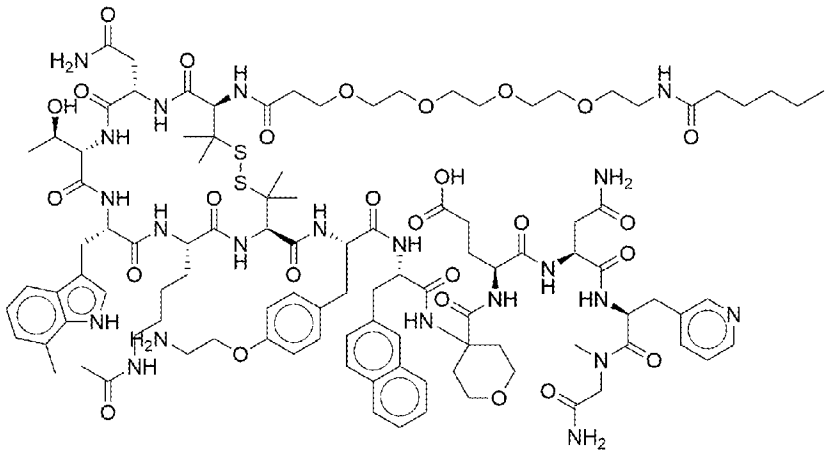
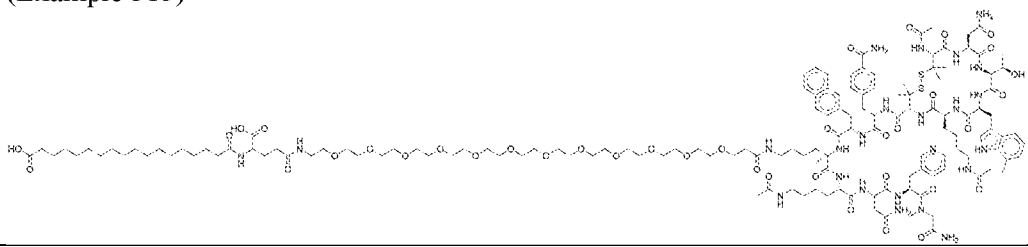
SEQ ID.	Structure
244	[PEG2_Palm]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (Example 302) 
245	[PEG2_Lauryl]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (Example 303) 
246	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-[(D)Lys(Peg4_IsoGlu_C18_Diacid)]-NH2

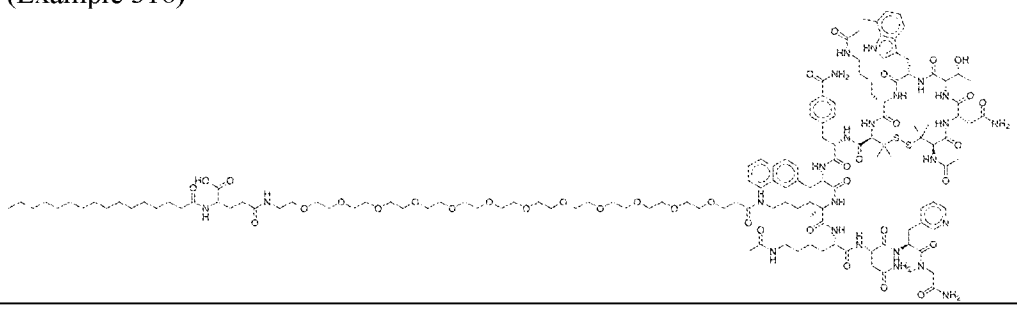
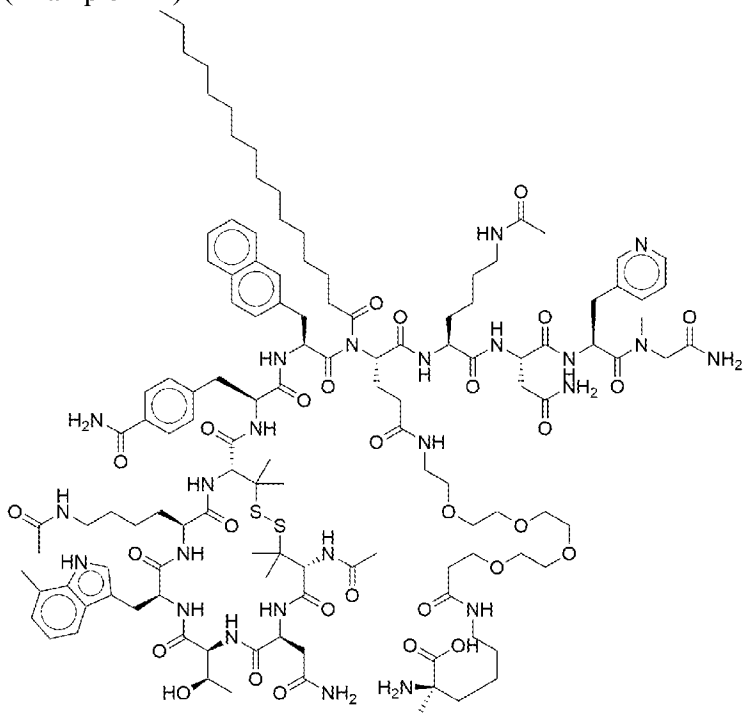
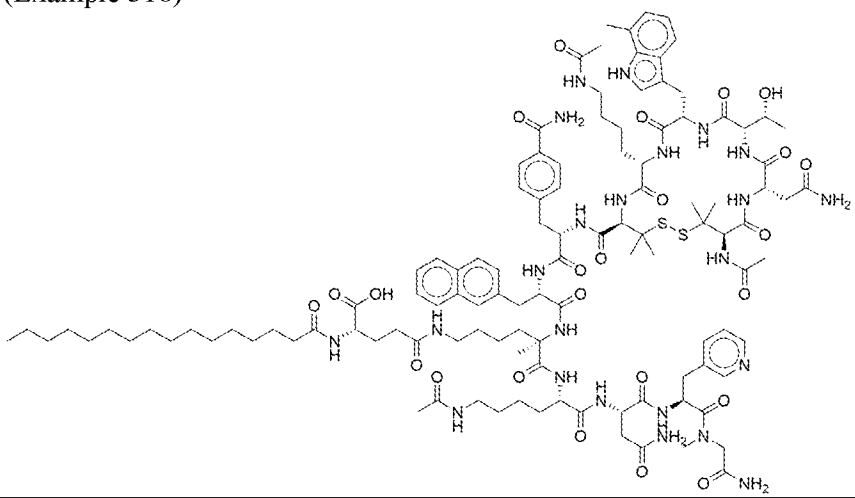
SEQ ID.	Structure
	(Example 304) 
247	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-[(D)Lys(PEG12_IsoGlu_Palm)]-NH2 (Example 305) 
248	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-[(D)Lys(Peg4_C18_Diacid)]-NH2 (Example 306) 
249	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-[(D)Lys(IsoGlu_C18_Diacid)]-NH2

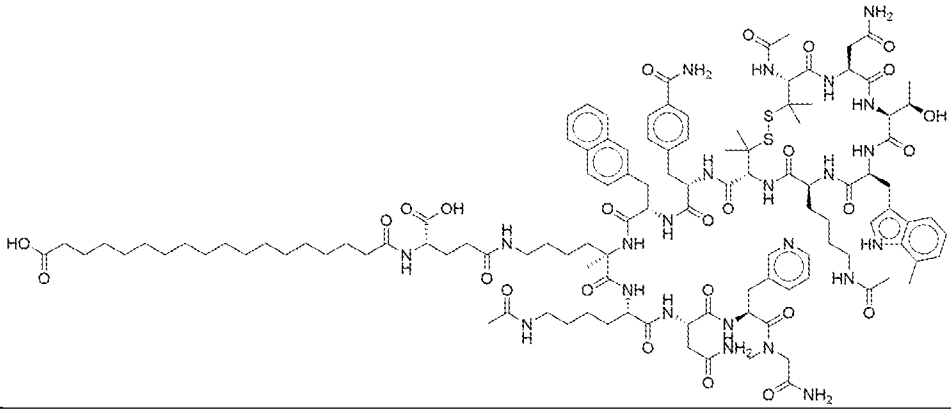
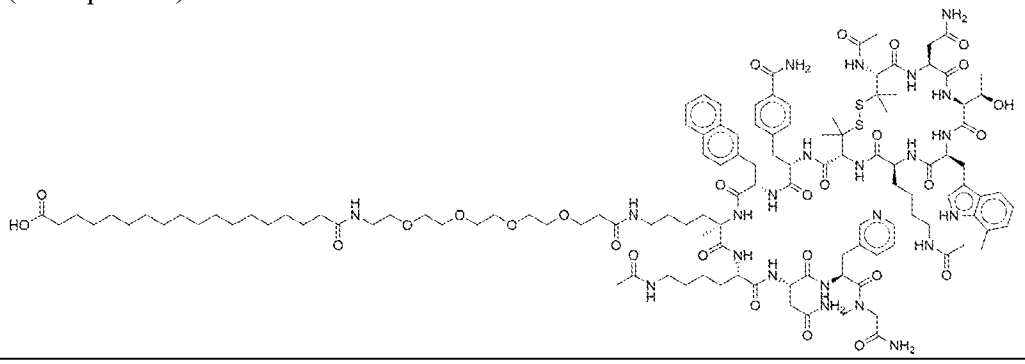
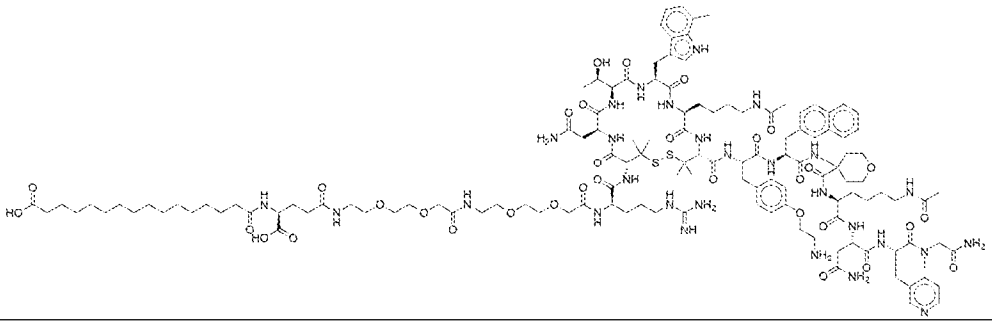
SEQ ID.	Structure
	(Example 307) 
250	[PEG4_Palm]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (Example 308) 
251	[Palm]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (Example 309)

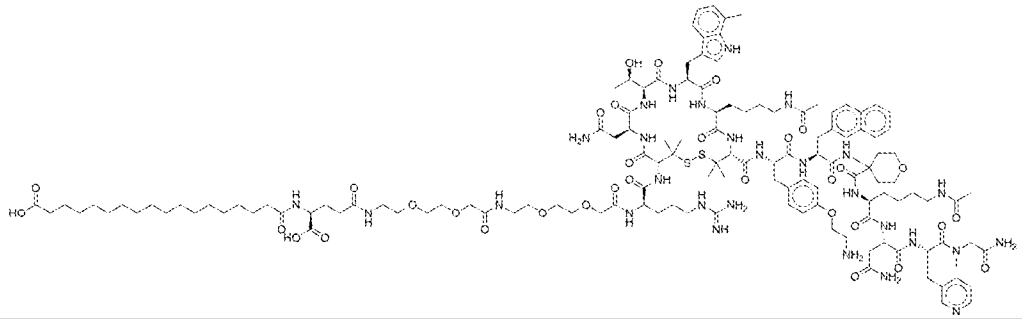
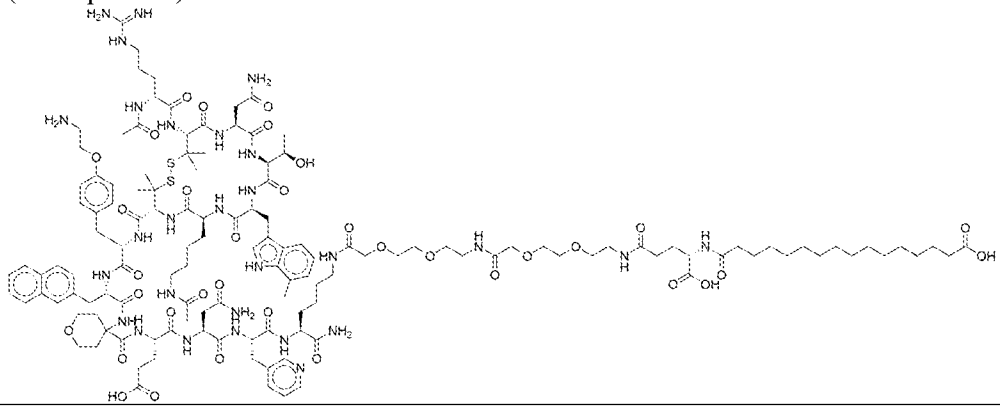
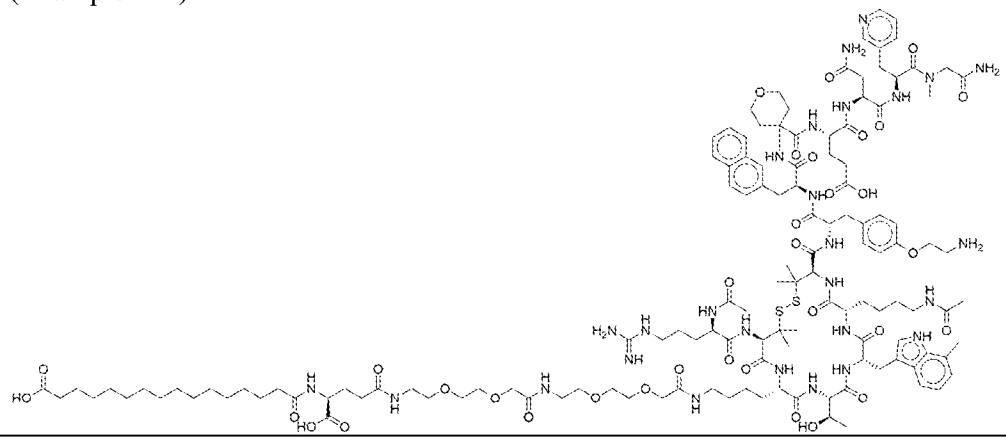
SEQ ID.	Structure
	
252	[Lauryl]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (Example 310) 
253	[Oct]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (Example 311)

SEQ ID.	Structure
	
254	[PEG4_Lauryl]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (Example 312) 
255	[PEG4_Capryl]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2

SEQ ID.	Structure
	(Example 313) 
256	[PEG4_Hexyl]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (Example 314) 
257	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2Nal]-[aMeLys(PEG12_IsoGlu_C18_Diacid)]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2 (Example 315) 
258	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2Nal]-[aMeLys(PEG12_IsoGlu_Palm)]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2

SEQ ID.	Structure
	(Example 316) 
259	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2Nal]-[aMeLys(Peg4_IsoGlu_Palm)]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2 (Example 317) 
260	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2Nal]-[aMeLys(IsoGlu_Palm)]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2 (Example 318) 

SEQ ID.	Structure
261	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2Nal]-[aMeLys(IsoGlu_C18_Diacid)]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2 (Example 319) 
262	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2Nal]-[aMeLys(Peg4_C18_Diacid)]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2 (Example 320) 
24	[1PEG2_1PEG2_IsoGlu_C16_Diacid]-[(D)Arg]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2 (Example 321) 
11	[1PEG2_1PEG2_IsoGlu_C18_Diacid]-[(D)Arg]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2 (Example 322)

SEQ ID.	Structure
	
23	Ac-[(D)Arg]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Lys(1PEG2_1PEG2_IsoGlu_C16_Diacid)]-NH2 (Example 323) 
21	Ac-[(D)Arg]-[Pen]-[Lys(1PEG2_1PEG2_IsoGlu_C16_Diacid)]-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2 (Example 324) 
20	Ac-[(D)Arg]-[Pen]-[Lys(1PEG2_1PEG2_IsoGlu_C18_Diacid)]-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2

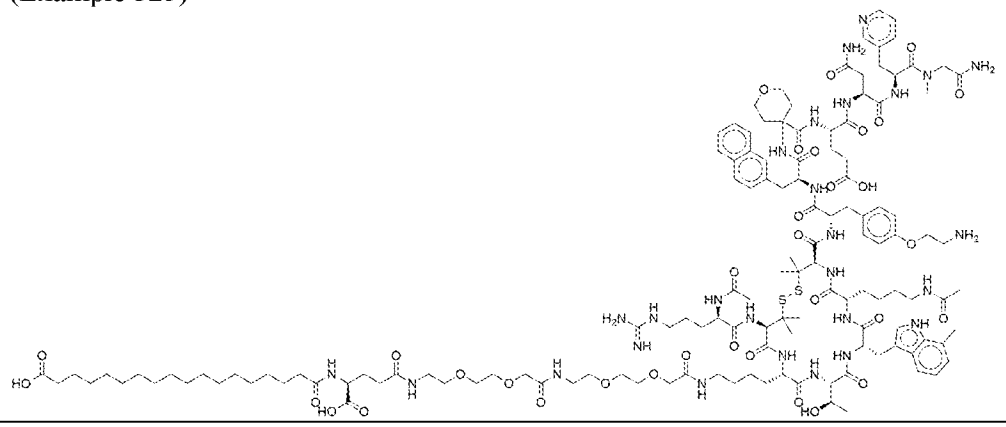
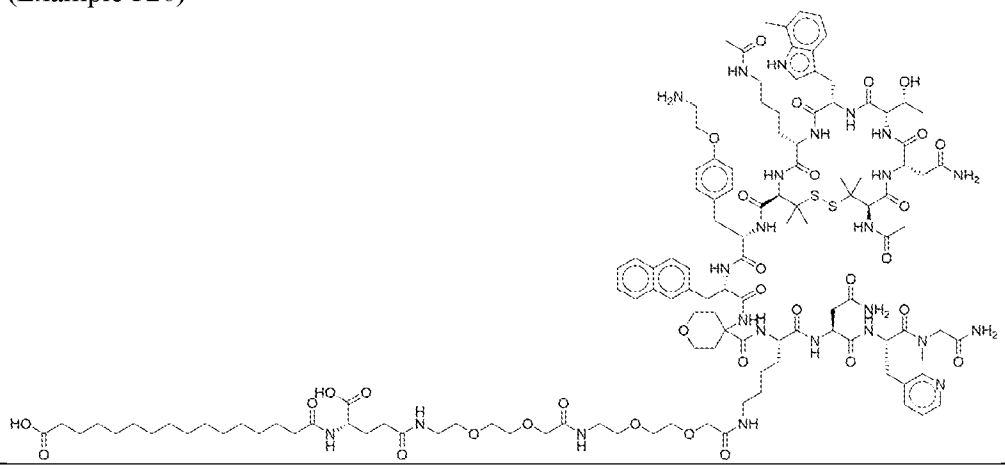
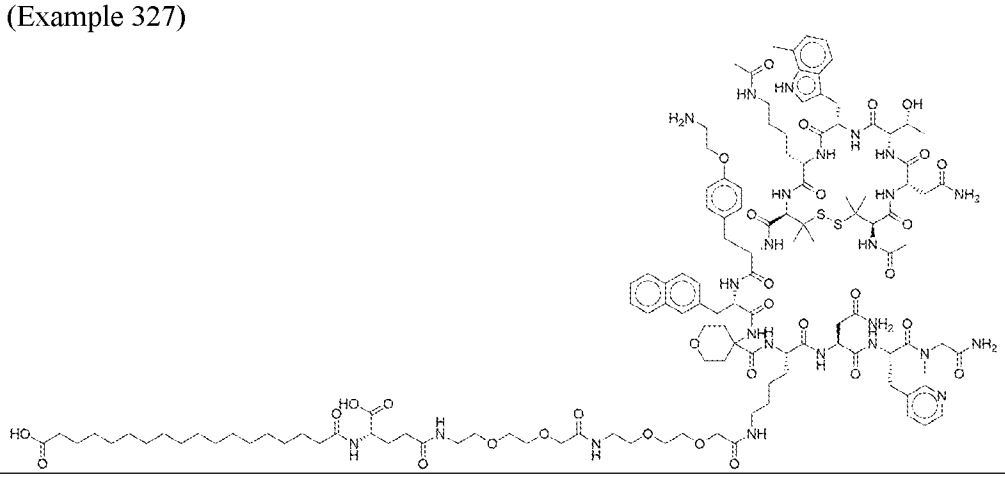
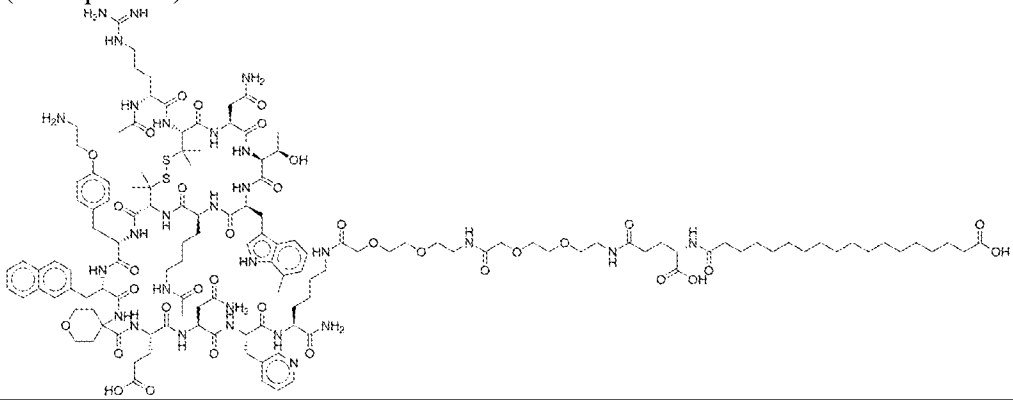
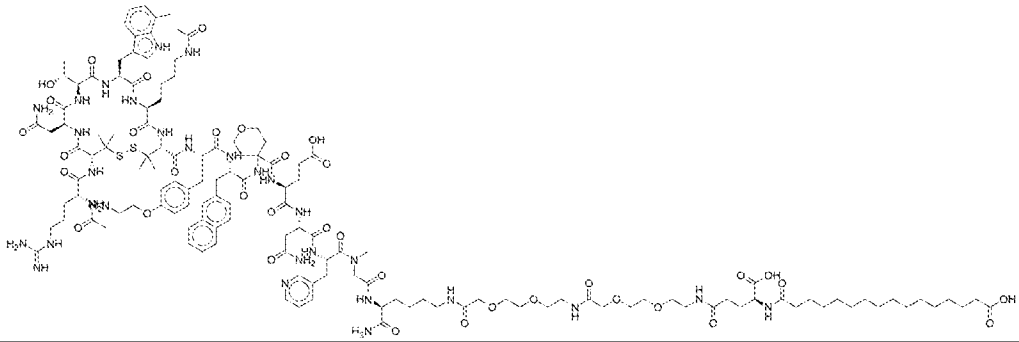
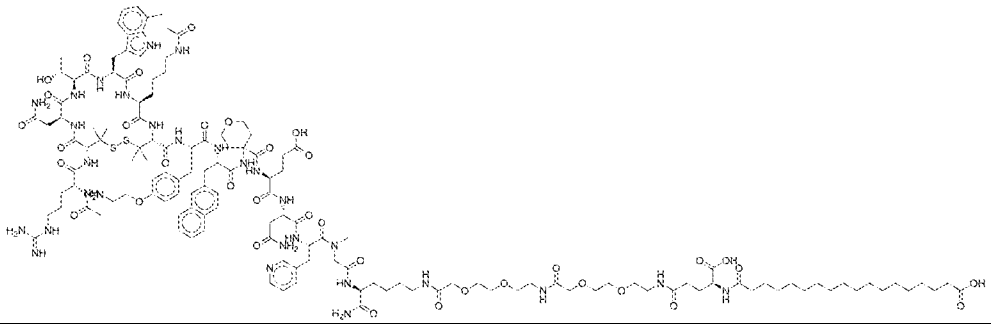
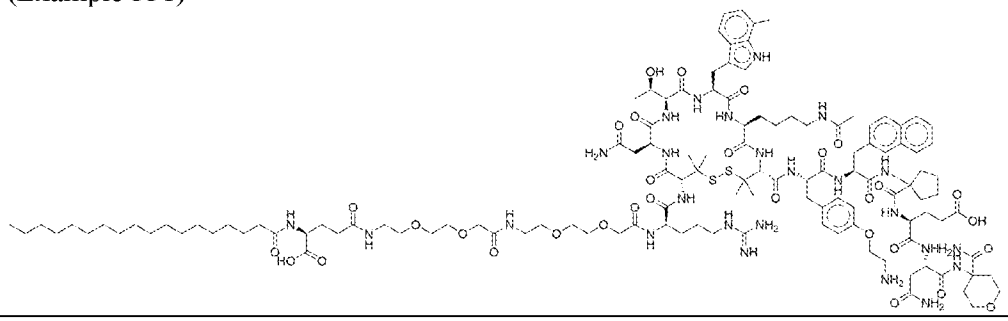
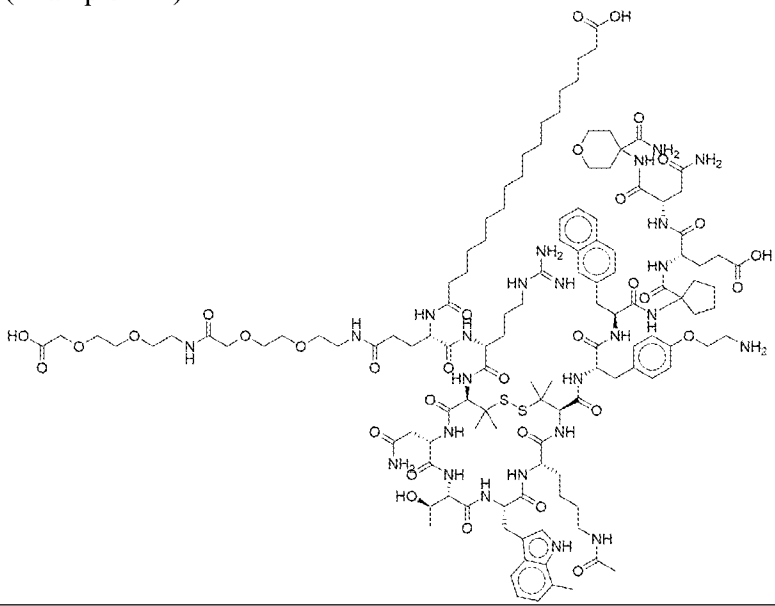
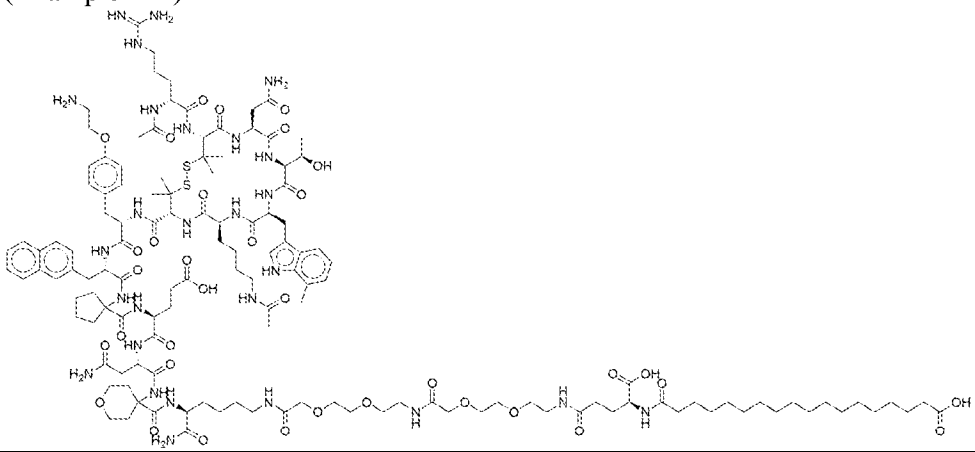
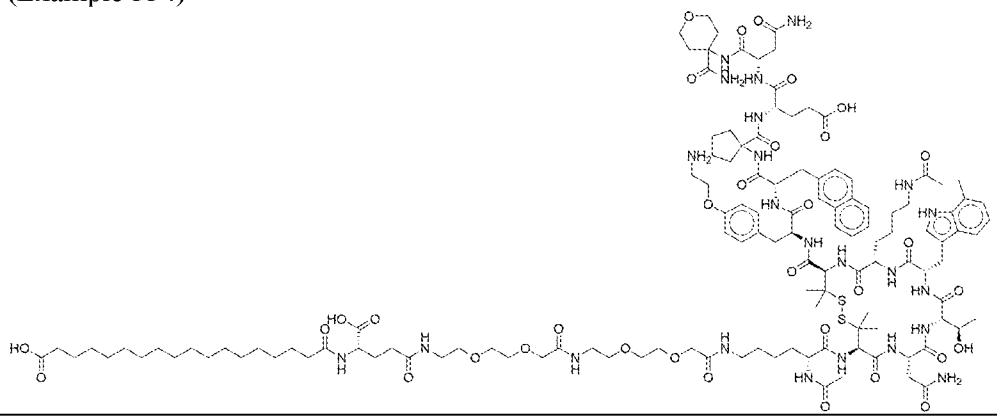
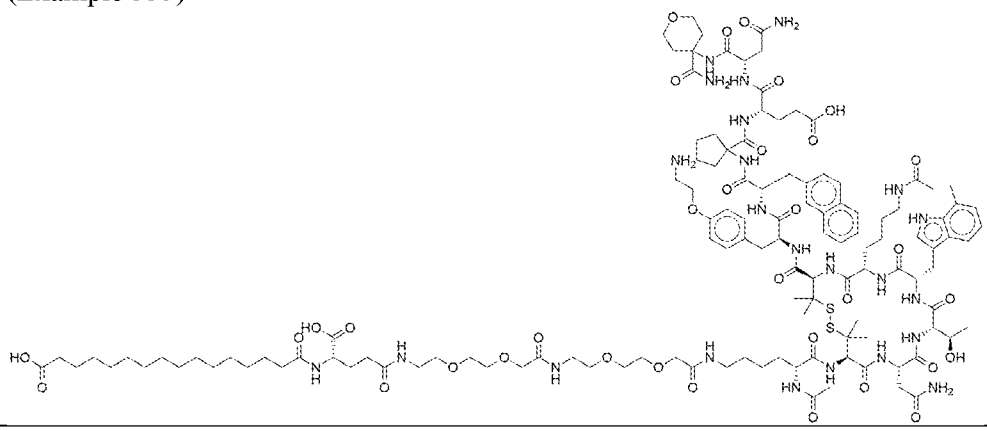
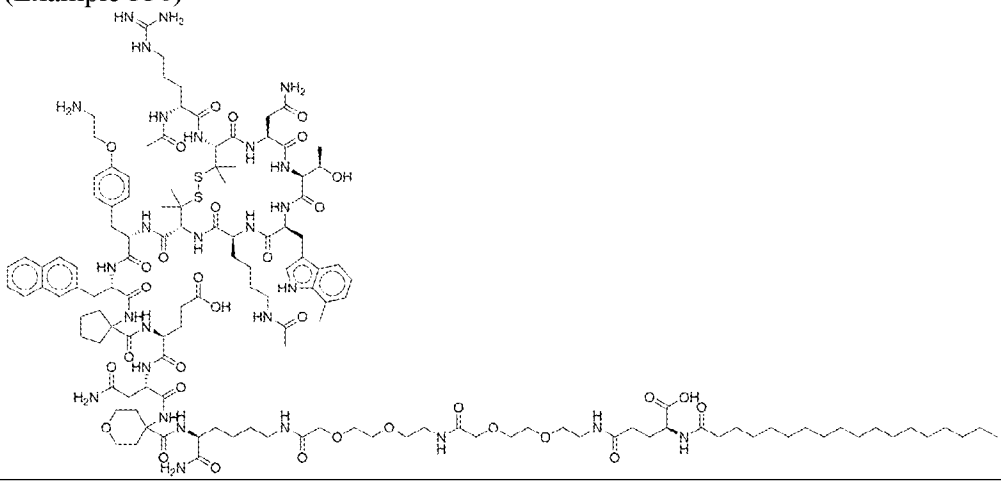
SEQ ID.	Structure
	(Example 325) 

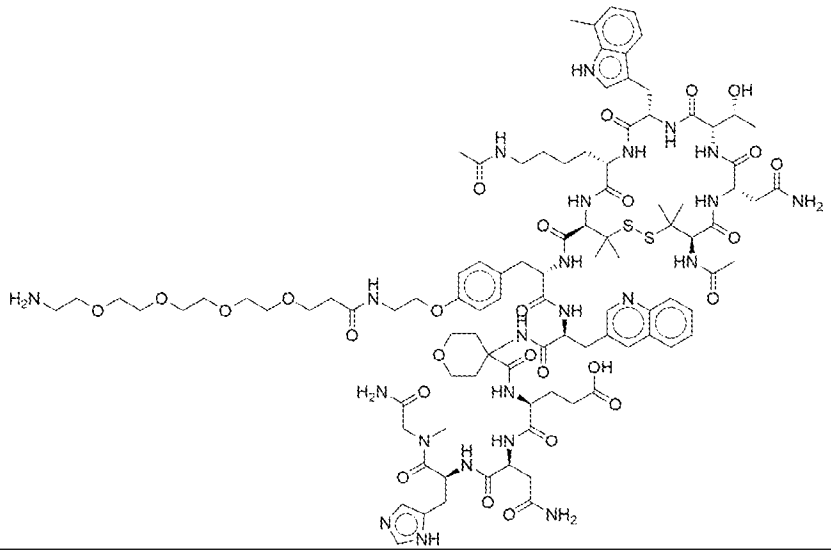
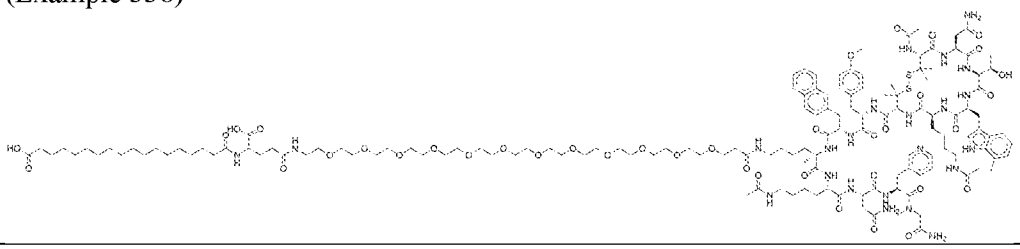
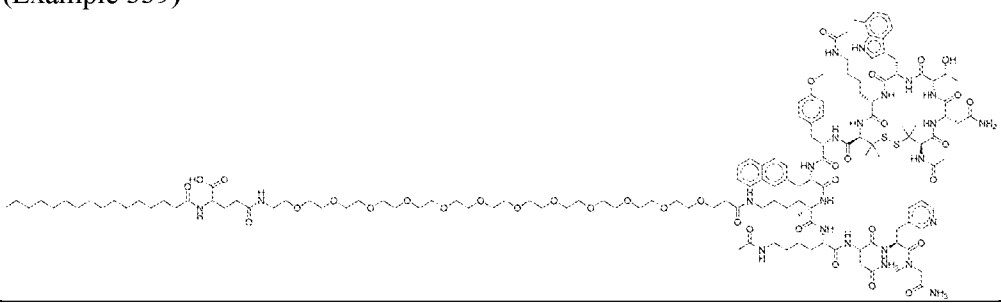
Table 1L. Compounds.

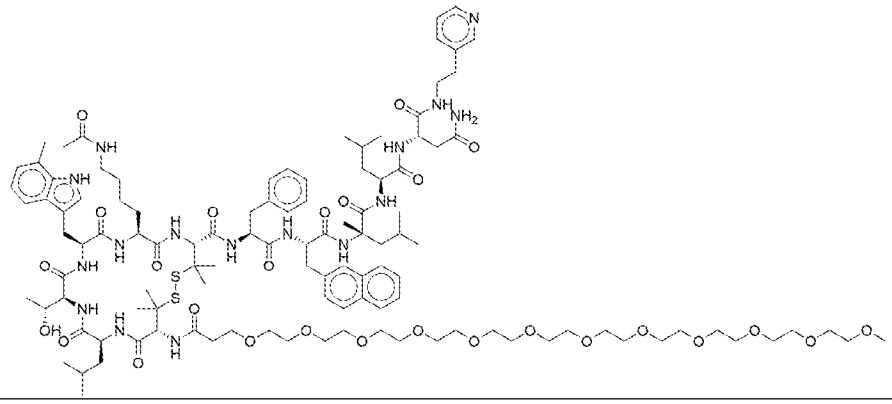
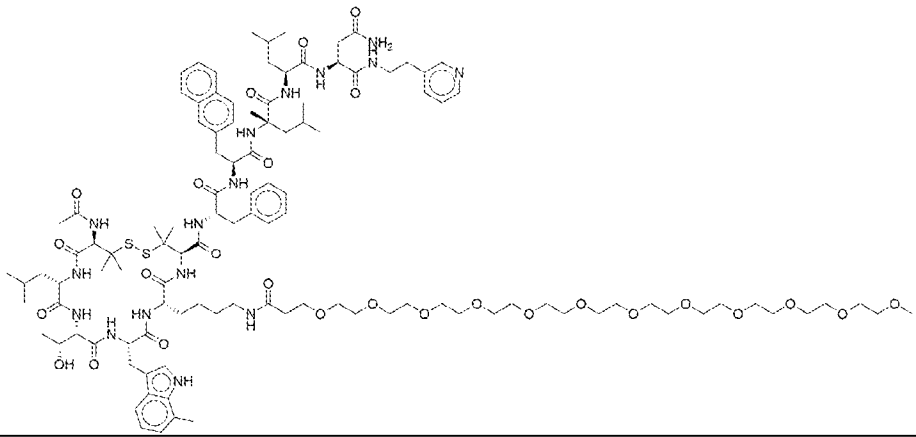
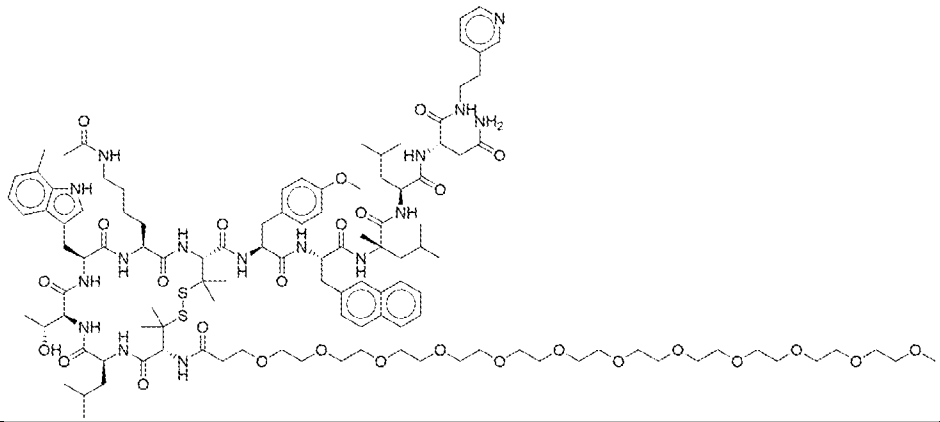
SEQ ID.	Structure
19	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-[Lys(1PEG2_1PEG2_IsoGlu_C16_Diacid)]-N-[3Pal]-[Sarc]-NH2 (Example 326) 
4	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-[Lys(1PEG2_1PEG2_IsoGlu_C18_Diacid)]-N-[3Pal]-[Sarc]-NH2 (Example 327) 

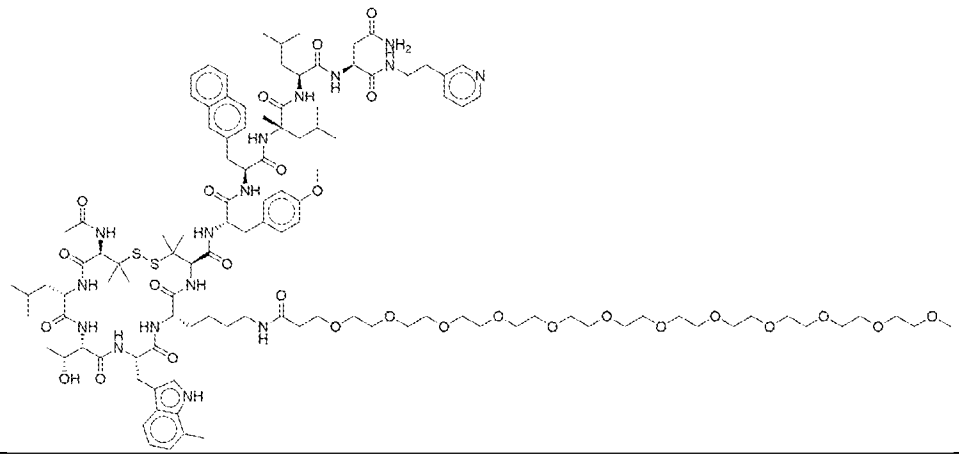
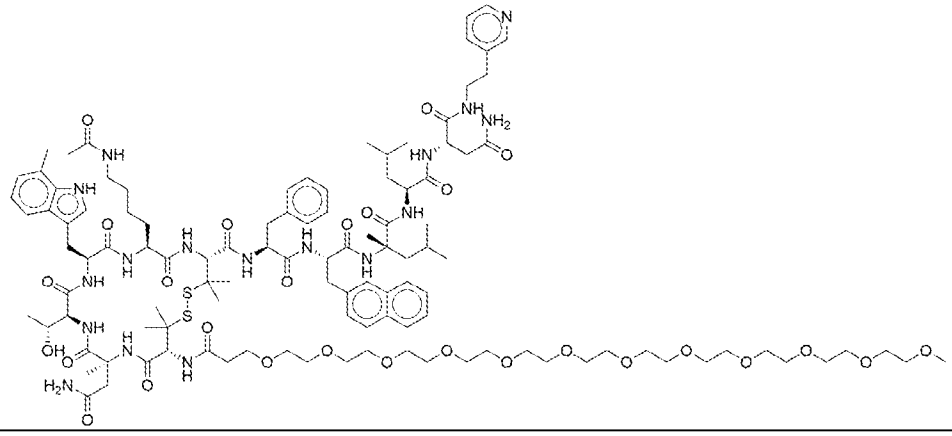
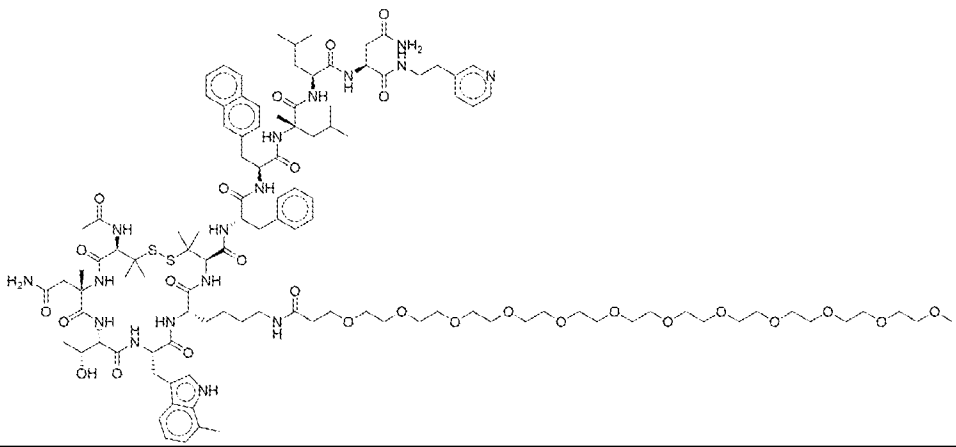
SEQ ID.	Structure
18	Ac-[(D)Arg]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Lys(1PEG2_1PEG2_IsoGlu_C18_Diacid)]-NH2 (Example 328) 
17	Ac-[(D)Arg]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-[Lys(1PEG2_1PEG2_IsoGlu_C16_Diacid)]-NH2 (Example 329) 
2	Ac-[(D)Arg]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-[Lys(1PEG2_1PEG2_IsoGlu_C18_Diacid)]-NH2 (Example 330) 
263	[1PEG2_1PEG2_IsoGlu_C18]-[(D)Arg]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[Acvc]-E-N-[THP]-NH2

SEQ ID.	Structure
	(Example 331) 
264	[1PEG2_1PEG2_IsoGlu_C18_Diacid]-[(D)Arg]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[Acvc]-E-N-[THP]-NH2 (Example 332) 
265	Ac-[(D)Arg]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[Acvc]-E-N-[THP]-[Lys(1PEG2_1PEG2_IsoGlu_C18_Diacid)]-NH2 (Example 333) 
266	Ac-[(D)Lys(1PEG2_1PEG2_IsoGlu_C18_Diacid)]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[Acvc]-E-N-[THP]-NH2

SEQ ID.	Structure
	(Example 334) 
267	Ac-[(D)Lys(1PEG2_1PEG2_IsoGlu_C16_Diacid)]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[Acvc]-E-N-[THP]-NH2 (Example 335) 
268	Ac-[(D)Arg]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[Acvc]-E-N-[THP]-[Lys(1PEG2_1PEG2_IsoGlu_C18)]-NH2 (Example 336) 
269	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[3Quin]-[THP]-E-N-H-[Sarc]-NH2-[PEG4] (Example 337)

SEQ ID.	Structure
	
270	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLys(PEG12_IsoGlu_C18_Diacid)]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2 (Example 338) 
271	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLys(PEG12_IsoGlu_Palm)]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2 (Example 339) 
272	[PEG12_OMe]-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-F-[Nal]-[aMeLeu]-L-N-[NH(2-(pyridin-3-yl)ethyl)] (Example 340)

SEQ ID.	Structure
	
273	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG12_OMe)]-[Pen]-F-[Nal]-[aMeLeu]-L-N-[NH(2-(pyridin-3-yl)ethyl)] (Example 341) 
274	[PEG12_OMe]-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[Nal]-[aMeLeu]-L-N-[NH(2-(pyridin-3-yl)ethyl)] (Example 342) 
275	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG12_OMe)]-[Pen]-[Phe(4-OMe)]-[Nal]-[aMeLeu]-L-N-[NH(2-(pyridin-3-yl)ethyl)] (Example 343)

SEQ ID.	Structure
	
276	[PEG12_OMe]-[Pen]-[aMeAsn]-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-F-[Nal]-[aMeLeu]-L-N-[NH(2-(pyridin-3-yl)ethyl)] (Example 344) 
277	Ac-[Pen]-[aMeAsn]-T-[Trp(7-Me)]-[Lys(PEG12_OMe)]-[Pen]-F-[Nal]-[aMeLeu]-L-N-[NH(2-(pyridin-3-yl)ethyl)] (Example 345) 
278	[PEG12_OMe]-[Pen]-[aMeAsn]-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[Nal]-[aMeLeu]-L-N-[NH(2-(pyridin-3-yl)ethyl)] (Example 346)

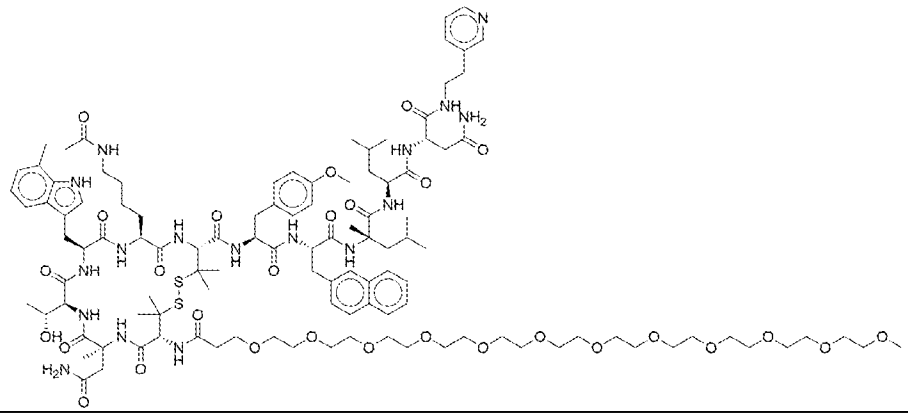
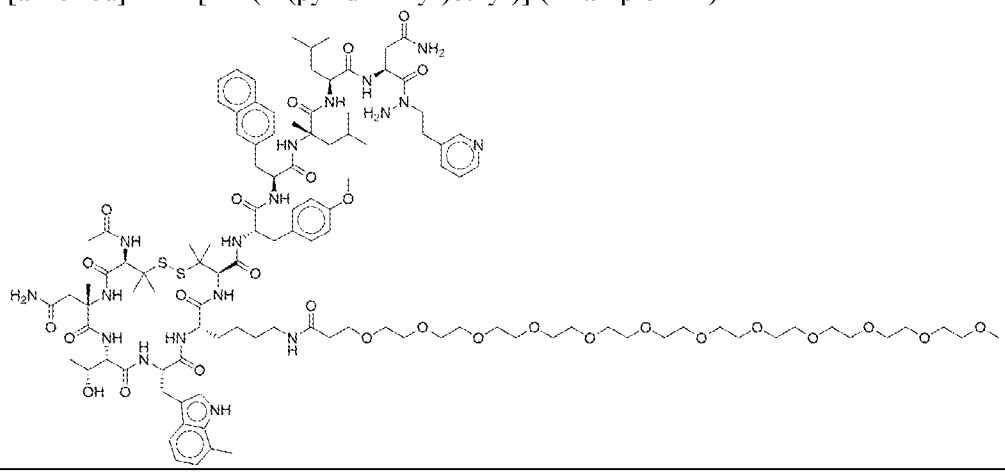
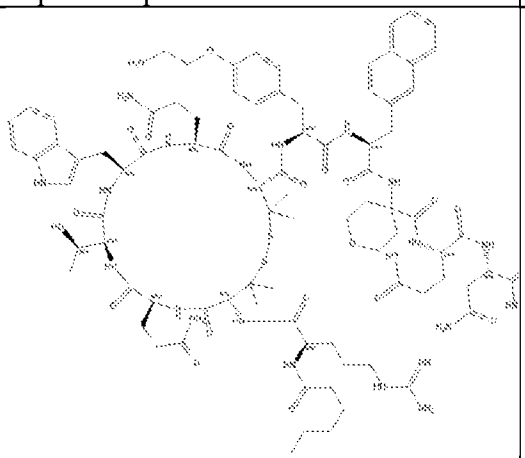
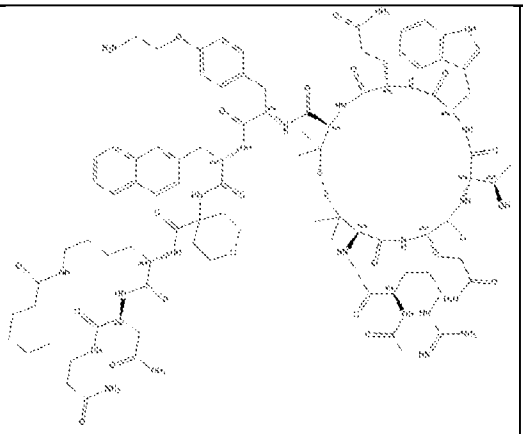
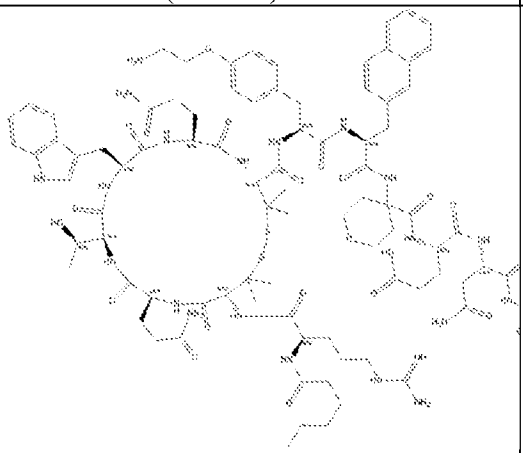
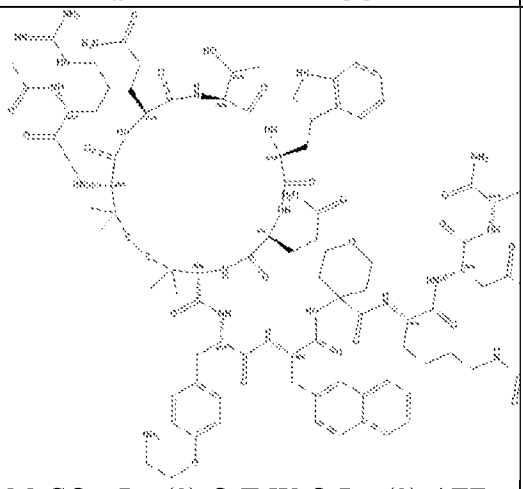
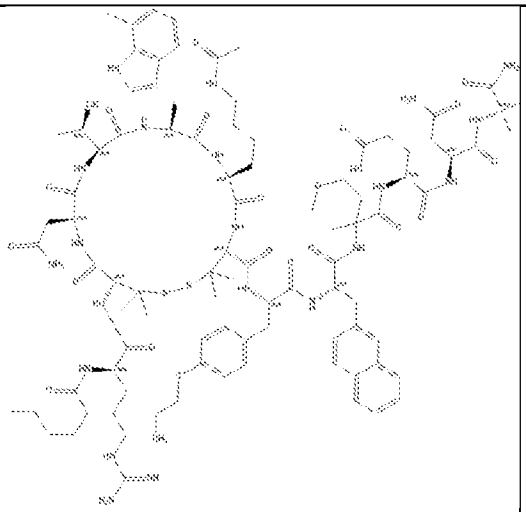
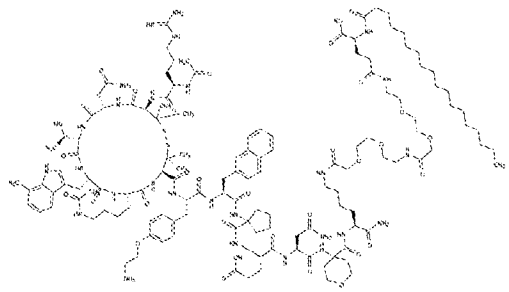
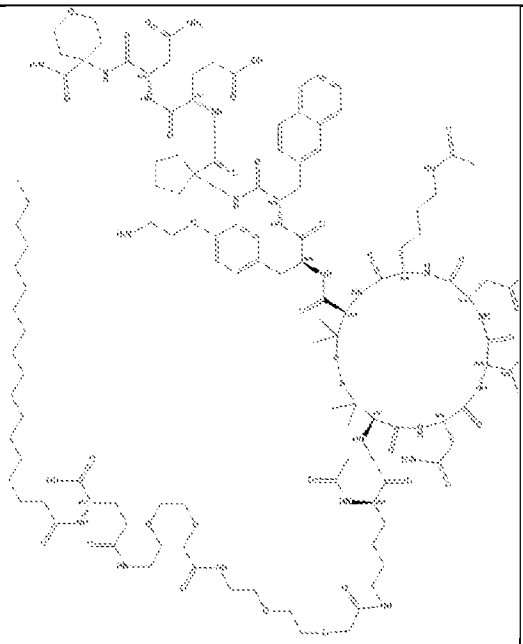
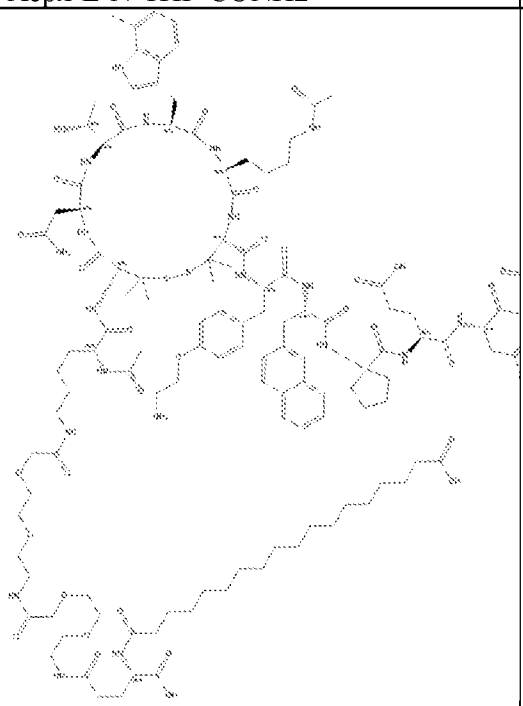
SEQ ID.	Structure
	
279	Ac-[Pen]-[aMeAsn]-T-[Trp(7-Me)]-[Lys(PEG12_OMe)]-[Pen]-[Phe(4-OMe)]-[Nal]-[aMeLeu]-L-N-[NH(2-(pyridin-3-yl)ethyl)] (Example 347) 

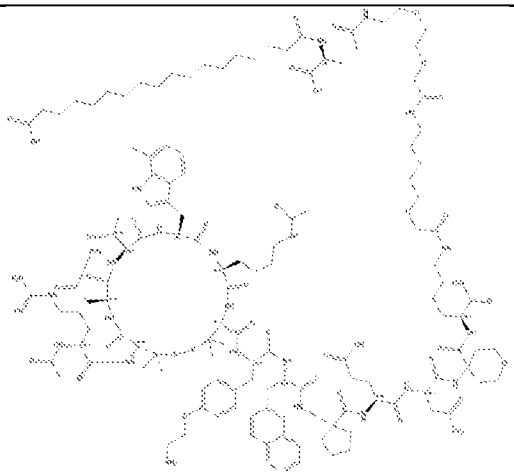
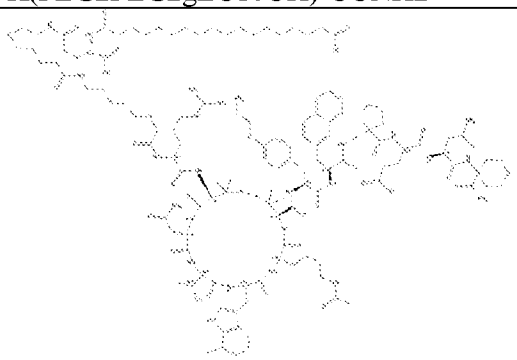
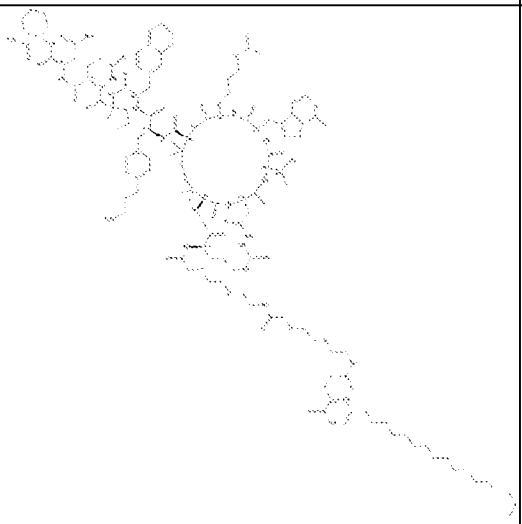
Table 1M. Compounds.

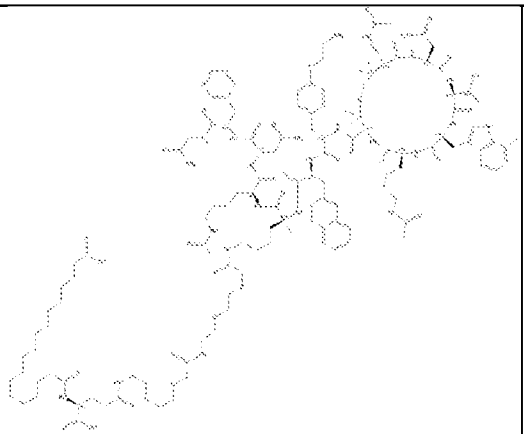
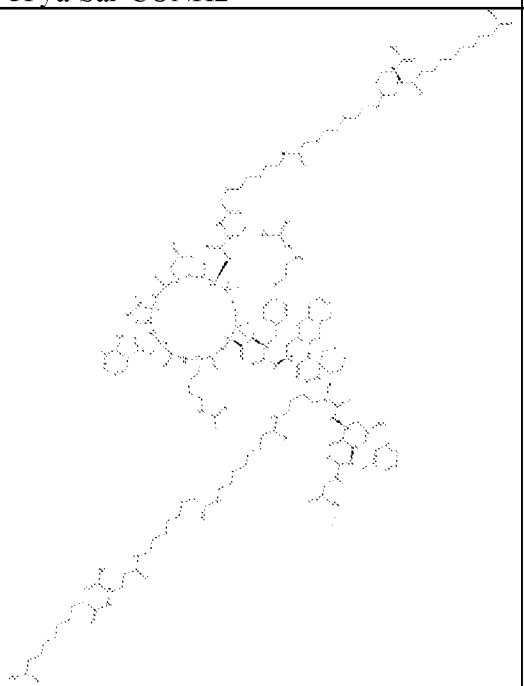
SEQ ID	Structure Peptide Sequence	Smiles
280	 PentCO-r-Pen(3)-Q-T-W-Q-Pen(3)-AEF-2Nal-THP-E-N-bAla-CONH2	CCCCCC(N[C@H](CCCNC(N)=N)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](Cc(cc1)ccc1OCCN)C(N[C@@H](Cc1cc2ccccc2cc1)C(NC1(CCOCC1)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(NCCC(N)=O)=O)=O)=O)=O)=O)=O)NC([C@H](CCC(N)=O)NC([C@H](Cc1c[nH]c2c1cccc2)NC([C@H]([C@@H](C)O)NC([C@H](CCC(N)=O)N1)=O)=O)=O)=O)C1=O)=O)=O

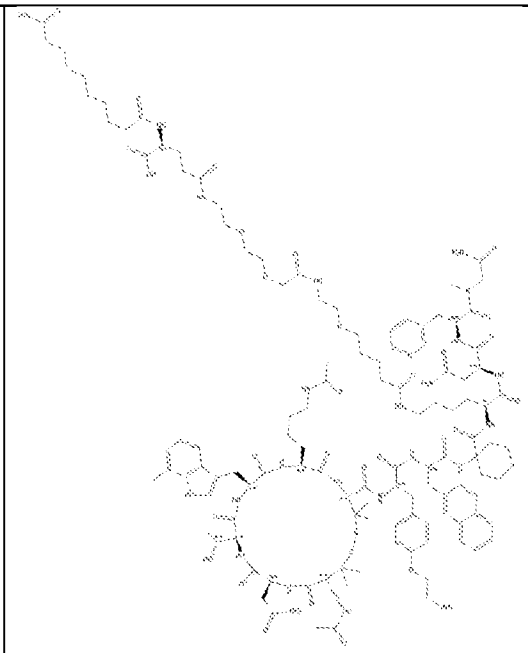
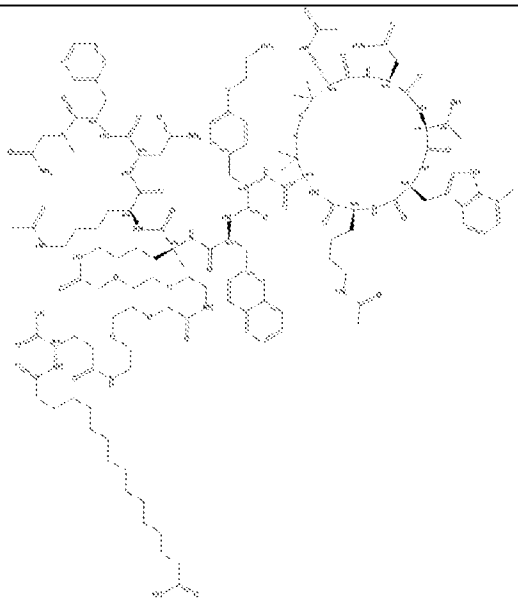
281	 MeCO-r-Pen(3)-Q-T-W-Q-Pen(3)-AEF-2Nal-THP-K(COPent)-N-bAla-CONH2	CCCCCC(NCCCC[C@@H](C(N[C@@H](CC(N)=O)C(NCCC(N)=O)=O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CCC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2)C(N[C@H]1CCC(N)=O)=O)=O)=O)=O)NC([C@@H](CCCNC(N)=N)NC(C)=O)=O)NC1=O)=O)=O)=O)=O)=O
282	 PentCO-r-Pen(3)-Q-T-W-Q-Pen(3)-AEF-2Nal-THP-E-N-THP-CONH2	CCCCCC(N[C@H](CCCNC(N)=N)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](Cc(cc1)ccc1OCCN)C(N[C@@H](Cc1cc2ccccc2cc1)C(NC1(CCOCC1)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(NC1(CCOCC1)C(N)=O)=O)=O)=O)=O)=O)=O)NC([C@H](CCC(N)=O)NC([C@H](Cc1c[nH]c2c1cccc2)NC([C@H]([C@@H](C)O)NC([C@H](CCC(N)=O)N1)=O)=O)=O)=O)C1=O)=O)=O
283	 MeCO-r-Pen(3)-Q-T-W-Q-Pen(3)-AEF-2Nal-THP-K(COPent)-N-F-CONH2	CCCCCC(NCCCC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1ccccc1)C(N)=O)=O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CCC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2)C(N[C@H]1CCC(N)=O)=O)=O)=O)=O)NC([C@@H](CCCNC(N)=N)NC(C)=O)=O)NC1=O)=O)=O)=O)=O)=O

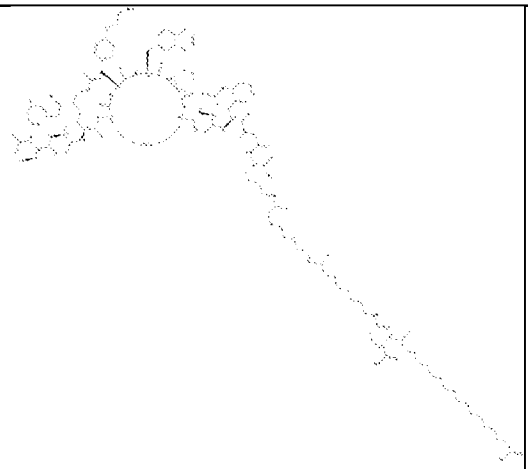
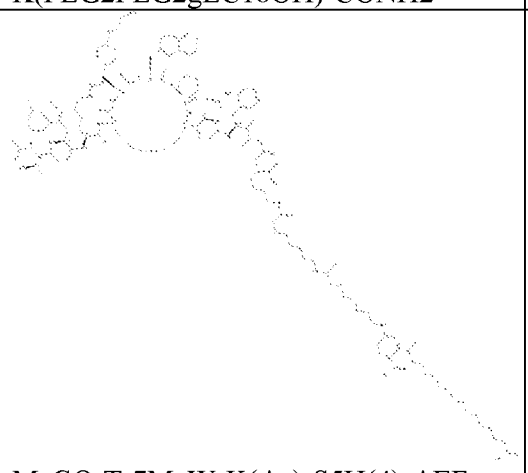
284	 PentCO-r-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-aMePhe-CONH2	CCCCCC(N[C@H](CCCNC(N)=N)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](Cc(cc1)ccc1OCCN)C(N[C@@H](Cc1cc2ccccc2cc1)C(NC1(CCOCC1)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@](C)(Cc1ccccc1)C(N)=O)=O)=O)=O)=O)=O)=O)NC([C@H](CCCCNC(C)=O)NC([C@H](Cc1c[nH]c2c1cccc2C)NC([C@H]([C@@H](C)O)NC([C@H](CC(N)=O)N1)=O)=O)=O)=O)C1=O)=O)=O
285	 MeCO-r-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-Acpx-E-N-THP-K(PEG2PEG2gEC18)-CONH2	CCCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N)=O)NC(C1(CCOCC1)NC([C@H](CC(N)=O)NC([C@H](CCC(O)=O)NC(C1(CCCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)=O)NC([C@@H](CCCNC(N)=N)NC(C)=O)=O)NC1=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)C(O)=O)=O

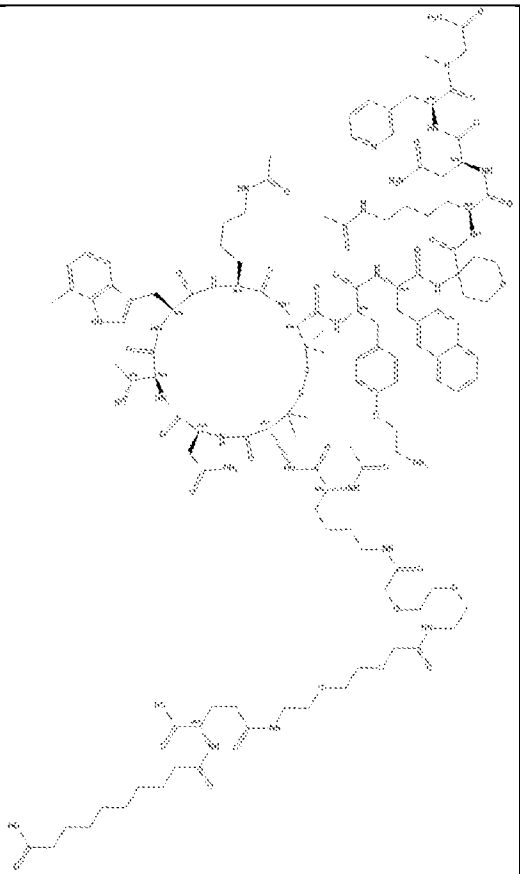
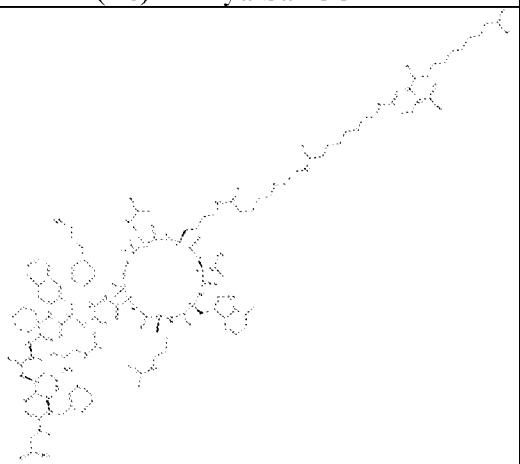
286	 MeCO-k(PEG2PEG2gEC18)-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-Acpx-E-N-THP-CONH2	CCCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](Cc(cc1)ccc1OCCN)C(N[C@@H](Cc1cc2ccccc2cc1)C(NC1(CCCC1)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(NC1(CCOCC1)C(N)=O)=O)=O)=O)=O)=O)NC([C@H](CCCCNC(C)=O)NC([C@H](Cc1c[nH]c2c1cccc2C)NC([C@H]([C@@H](C)O)NC([C@H](CC(N)=O)N1)=O)=O)=O)=O)C1=O)=O)NC(C)=O)=O)=O)=O)C(O)=O)=O
287	 MeCO-k(PEG2PEG2gEC18OH)-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-Acpx-E-N-THP-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(NC2(CCOCC2)C(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O

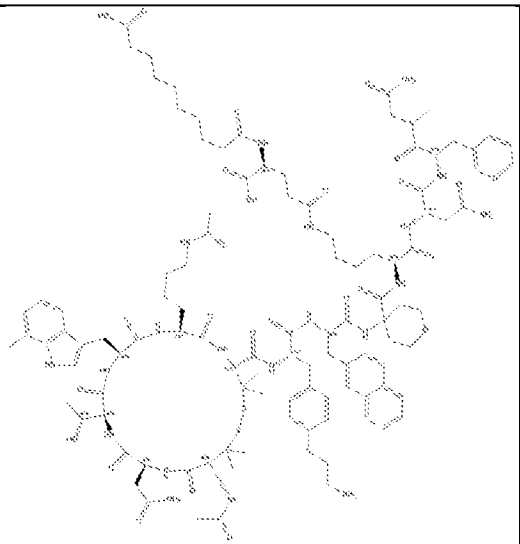
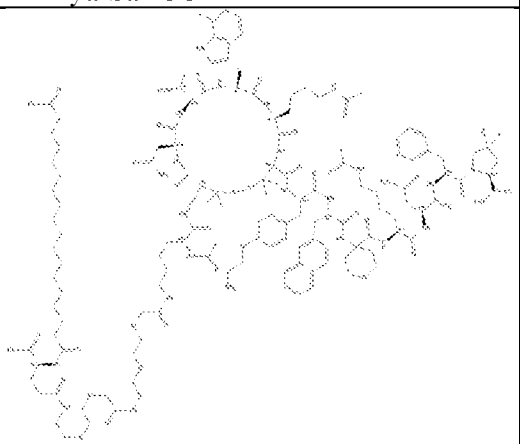
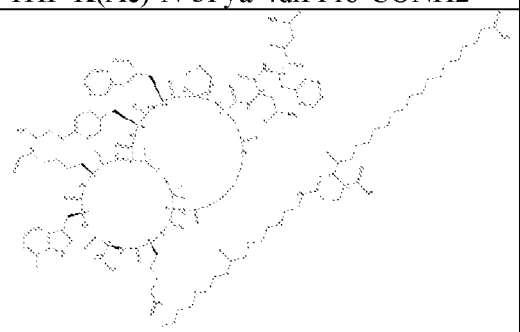
288	 MeCO-r-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-Acpx-E-N-THP-K(PEG2PEG2gEC18OH)-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCNC(N)=N)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(NC2(CCOCC2)C(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)C(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O
289	 HOC18gEPEG2PEG2CO-r-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-Acpx-E-N-THP-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCNC(N)=N)NC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(NC2(CCOCC2)C(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O
290	 C18gEPEG2PEG2CO-r-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-Acpx-E-N-THP-CONH2	CCCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(N[C@H](CCCNC(N)=N)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](Cc(cc1)ccc1OCCN)C(N[C@@H](Cc1cc2ccccc2cc1)C(NC1(CCCC1)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(NC1(CCOCC1)C(N)=O)=O)=O)=O)=O)=O)=O)NC([C@H](CCCCNC(C)=O)NC([C@H](Cc1c[nH]c2c1cccc2C)NC([C@H]([C@@H](C)O)NC([C@H](CC(N)=O)N1)=O)=O)=O)=O)C1=O)=O)=O)=O)=O)C(O)=O)=O

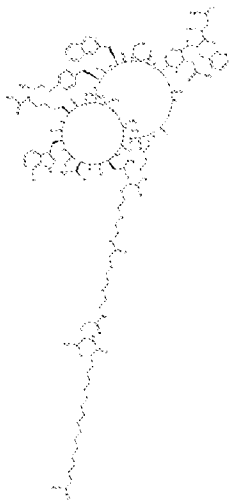
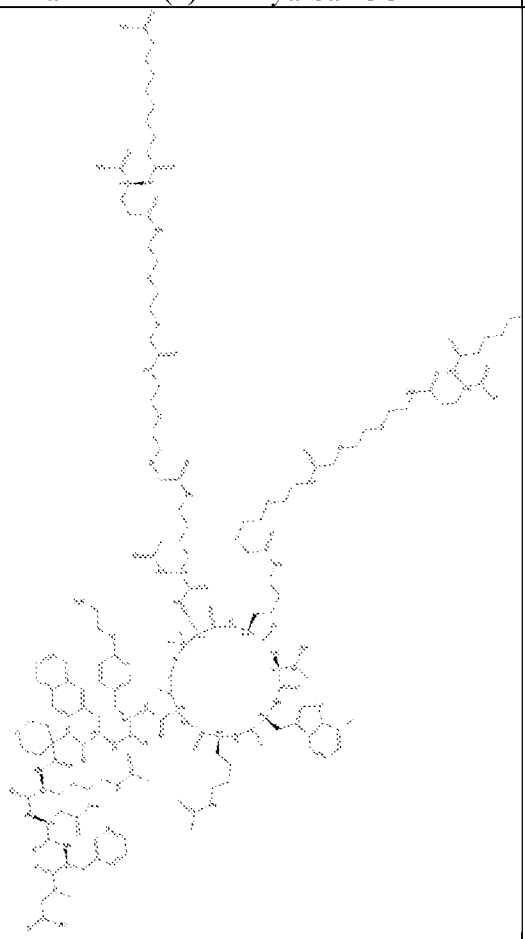
291	 MeCO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-aMeK(PEG2PEG2gEC18OH)-K(Ac)-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC(C)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(N[C@@](C)(CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O
292	 HOC10gEPEG2PEG2CO-r-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-K(PEG2PEG2gEC10OH)-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCNC(N)=N)NC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCC(O)=O)=O)=O)=O)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCC(O)=O)=O)=O)=O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O

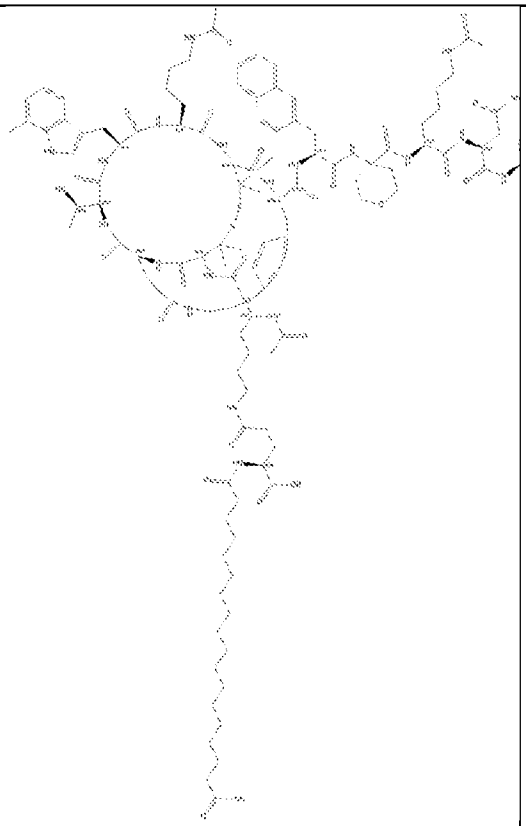
293	 MeCO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-K(PEG2PEG2gEC10OH)-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC(C)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCC(O)=O)=O)=O)=O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O
294	 MeCO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-aMeK(PEG2PEG2gEC16OH)-K(Ac)-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC(C)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(N[C@@](C)(CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O

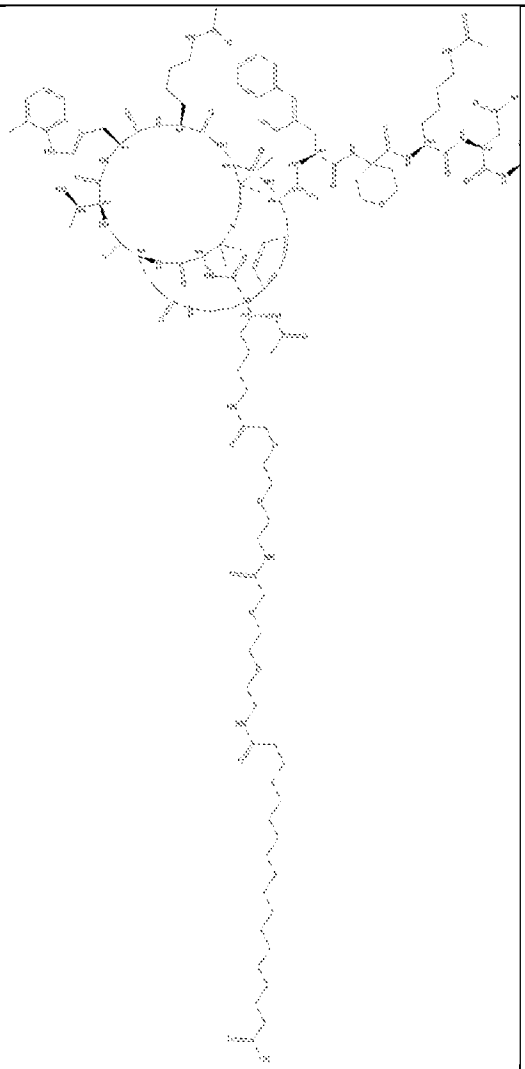
295	 MeCO-T-7MeW-K(Ac)-S5H(4)-AEF-2Nal-THP-S5H(4)-N-3Pya-Sar-K(PEG2PEG2gEC18OH)-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](CCC/C=C\CCC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)C(N)=O)=O)=O)=O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)N1)=O)=O)=O)C1=O)=O)=O)=O)NC(C)=O)O
296	 MeCO-T-7MeW-K(Ac)-S5H(4)-AEF-2Nal-THP-S5H(4)-N-3Pya-Sar-K(PEG2PEG2gEC16OH)-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](CCC/C=C\CCC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)C(N)=O)=O)=O)=O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)N1)=O)=O)=O)C1=O)=O)=O)=O)NC(C)=O)O

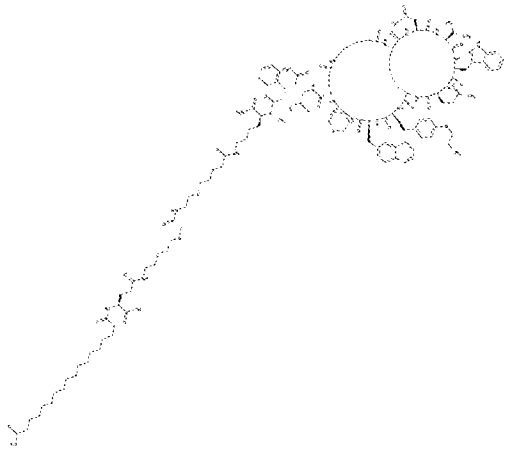
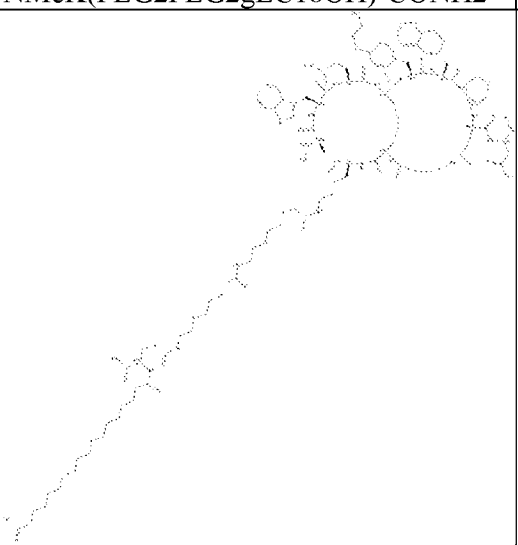
297	 MeCO-k(PEG2PEG2gEC10OH)-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCC(O)=O)=O)=O)=O)=O)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O
298	 MeCO-Pen(3)-K(PEG2PEG2gEC10OH)-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCC(O)=O)=O)=O)=O)=O)=O)NC(C)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O

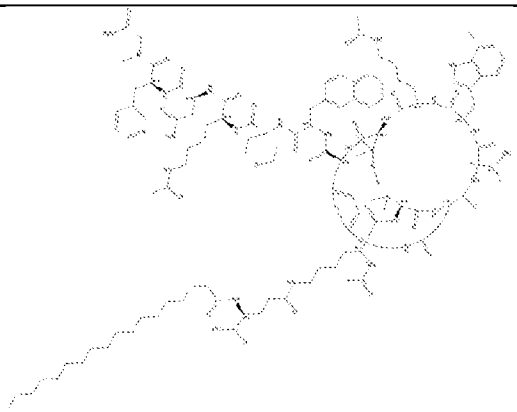
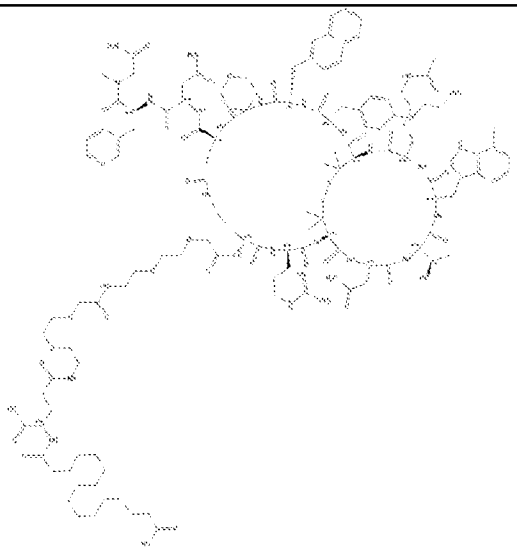
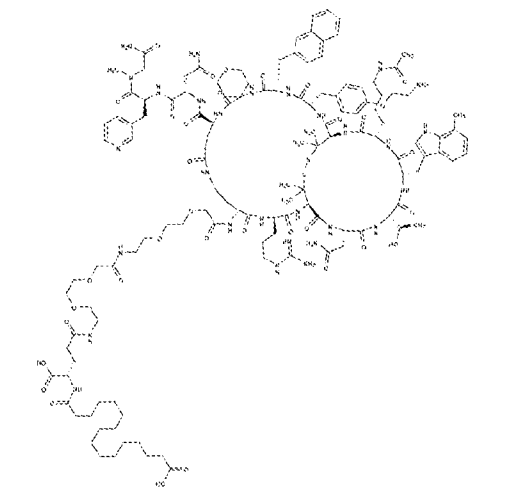
299	 MeCO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-K(gEC10OH)-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC(C)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCC(O)=O)=O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O
300	 MeCO-k(PEG2PEG2gEC18OH)-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-K(Ac)-N-3Pya-4diFPro-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(CC(C2)(F)F)[C@@H]2C(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O
301	 7Ahp(2)-Pen(3)-K(PEG2PEG2gEC18OH)-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E(2)-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)=O)NC(CCCCCCNC(CC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)NC(C2(CCOCC2)NC([C@H](Cc2cc3ccccc3cc2)NC([C@H](Cc(cc2)ccc2OCCN)N2)=O)=O)=O)=O)=O)C2=O)=O)=O)=O)NC1=O)O

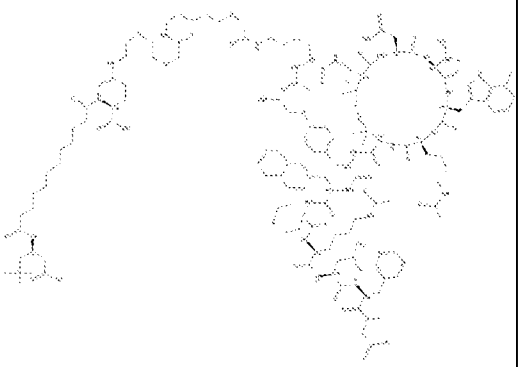
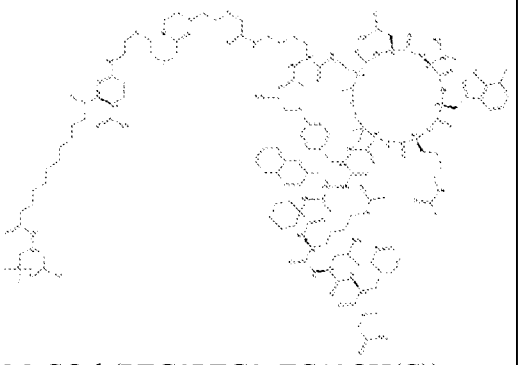
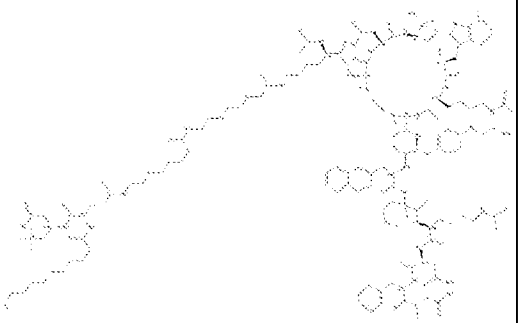
302	 GABA(2)-k(PEG2PEG2gEC18OH)-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E(2)-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)NC(CCCNC(CC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)NC(C2(CCOCC2)NC([C@H](Cc2cc3ccccc3cc2)NC([C@H](Cc(cc2)ccc2OCCN)N2)=O)=O)=O)=O)=O)=O)C2=O)=O)=O)=O)NC1=O)O
303	 MeCO-k(PEG2PEG2gEC10OH)-Pen(3)-K(PEG2PEG2gEC10OH)-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)NC([C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O

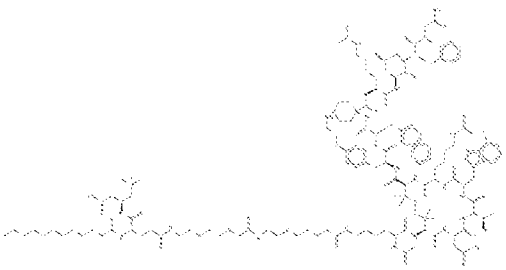
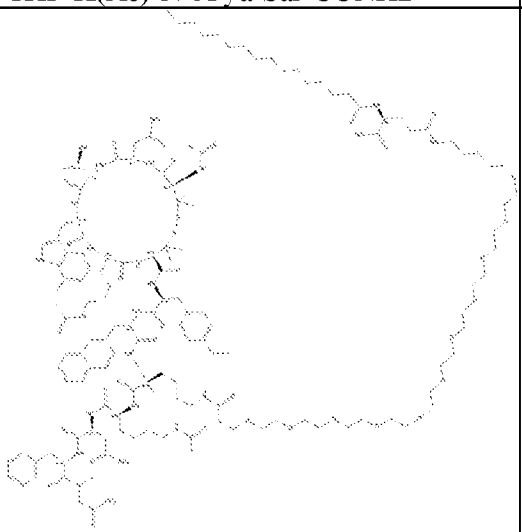
304	 MeCO-k(PEG2PEG2gEC18OH)-Pen(3)-E(2)-T-7MeW-K(Ac)-Pen(3)-AEF(2)-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CCC(NCCOc2ccc(C[C@@H](C(N[C@@H](Cc3cc4ccccc4cc3)C(NC3(CCOCC3)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc3cnccc3)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)N3)cc2)=O)=O)NC([C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)NC(C)=O)=O)C3=O)=O)=O)=O)NC1=O)O

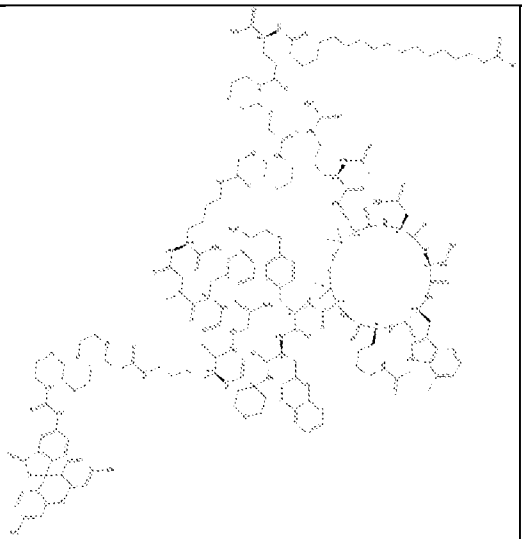
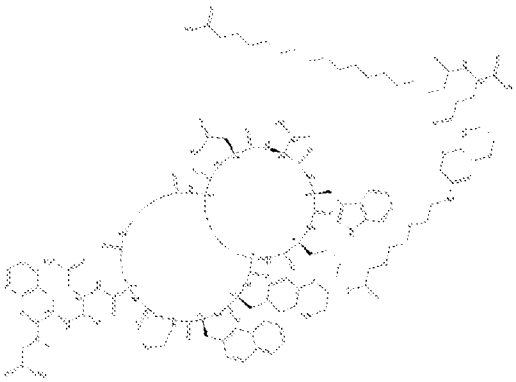
305	 MeCO-k(gEC18OH)-Pen(3)-E(2)-T-7MeW-K(Ac)-Pen(3)-AEF(2)-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CCC(NCCOc2ccc(C[C@@H](C(N[C@@H](Cc3cc4ccccc4cc3)C(NC3(CCOCC3)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc3cnccc3)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)N3)cc2)=O)=O)NC([C@@H](CCCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)NC(C)=O)=O)C3=O)=O)=O)=O)NC1=O)O
306	 MeCO-k(PEG2PEG2C18OH)-Pen(3)-E(2)-T-7MeW-K(Ac)-Pen(3)-AEF(2)-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CCC(NCCOc2ccc(C[C@@H](C(N[C@@H](Cc3cc4ccccc4cc3)C(NC3(CCOCC3)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc3cnccc3)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)N3)cc2)=O)=O)NC([C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)NC(C)=O)=O)C3=O)=O)=O)=O)NC1=O)O

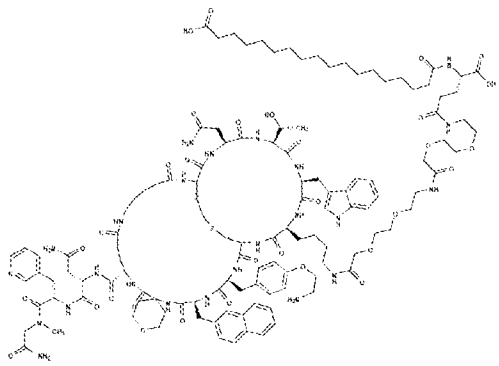
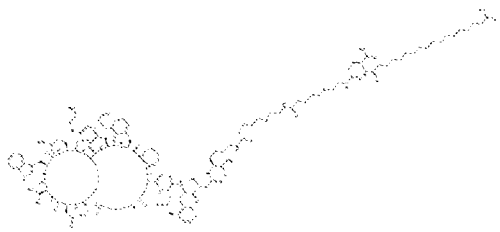
307	 6Ahx(2)-Abu(1)-N-T-W-Q-C(1)-AEF-2Nal-THP-E(2)-N-3Pya-NMeK(PEG2PEG2gEC18OH)-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2)C(N[C@@H](CCC(N)=O)C(N[C@@H](CSCC[C@@H](C(N[C@H]1CC(N)=O)=O)NC(CCCCCNC(CC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)C(N)=O)=O)=O)=O)NC(C2(CCOCC2)NC([C@H](Cc2cc3ccccc3cc2)NC([C@H](Cc(cc2)ccc2OCCN)N2)=O)=O)=O)=O)=O)C2=O)=O)=O)=O)NC1=O)O
308	 6Ahx(2)-Abu(1)-K(PEG2PEG2gEC18OH)-T-W-Q-C(1)-AEF-2Nal-THP-E(2)-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2)C(N[C@@H](CCC(N)=O)C(N[C@@H](CSCC[C@@H](C(N[C@H]1CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)=O)NC(CCCCCNC(CC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)NC(C2(CCOCC2)NC([C@H](Cc2cc3ccccc3cc2)NC([C@H](Cc(cc2)ccc2OCCN)N2)=O)=O)=O)=O)=O)C2=O)=O)=O)=O)NC1=O)O

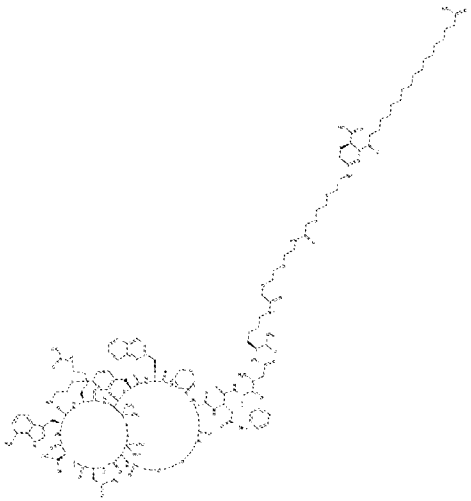
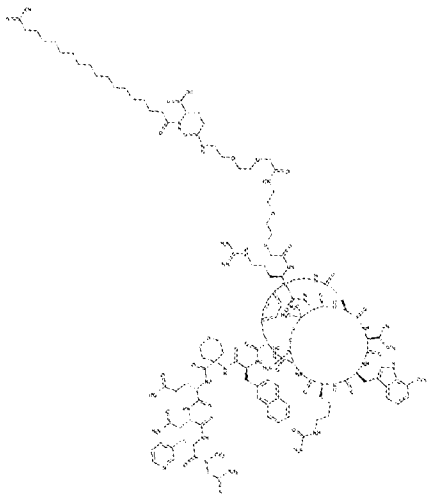
309	 5Ava(2)-Abu(1)-K(PEG2PEG2gEC18OH)-T-W-Q-C(1)-AEF-2Nal-THP-E(2)-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2)C(N[C@@H](CCC(N)=O)C(N[C@@H](CSCC[C@@H](C(N[C@H]1CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)=O)NC(CCCCNC(CC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cncccc2)C(N(C)CC(N)=O)=O)=O)=O)NC(C2(CCOCC2)NC([C@H](Cc2cc3ccccc3cc2)NC([C@H](Cc(cc2)ccc2OCCN)N2)=O)=O)=O)=O)=O)C2=O)=O)=O)=O)NC1=O)O
310	 MeCO-k(gEC18)-Pen(3)-E(2)-T-7MeW-K(Ac)-Pen(3)-AEF(2)-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH2	CCCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCCC[C@H](C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](Cc(cc1)ccc1OCCNC(CC[C@@H](C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)N2)=O)C(N[C@@H](Cc3cc4ccccc4cc3)C(NC3(CCOCC3)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc3cnccc3)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)C2=O)=O)NC(C)=O)=O)C(O)=O)=O
311	 HOC16gEPEG2PEG2orn(2)-r-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E(2)-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCNC(N)=N)NC([C@@H](CCCNC(CC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cncccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)NC(C2(CCOCC2)NC([C@H](Cc2cc3ccccc3cc2)NC([C@H](Cc(cc2)ccc2OCCN)N2)=O)=O)=O)=O)=O)NC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)C2=O)=O)=O)=O)NC1=O)O

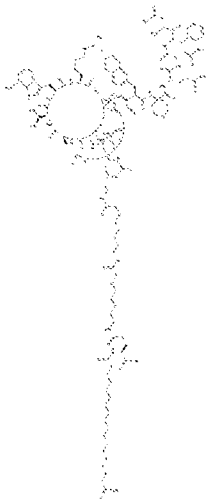
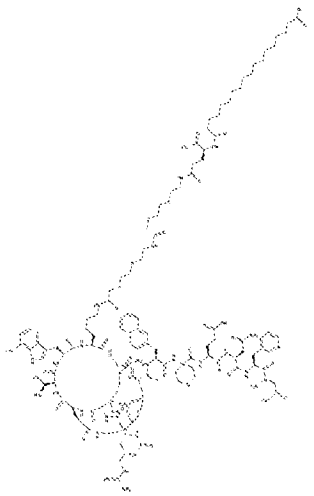
312	 CF3CO-k(PEG2PEG2gEC18OH)-Pen(3)-E(2)-T-7MeW-K(Me)3-Pen(3)-AEF(2)-2Nal-THP-K(Me)3-N-3Pya-Sar-CON(Me)2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCC[N+](C)(C)C)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CCC(NCCOc2ccc(C[C@@H](C(N[C@@H](Cc3cc4ccccc4cc3)C(NC3(CCOCC3)C(N[C@@H](CCCC[N+](C)(C)C)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc3cnccc3)C(N(C)CC(N(C)C)=O)=O)=O)=O)=O)=O)=O)N3)cc2)=O)=O)NC([C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)NC(C(F)(F)F)=O)=O)C3=O)=O)=O)=O)NC1=O)O
313	 MeCO-k(PEG2PEG2gEC12OH(C))-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCC(N[C@@H](CC(O)=O)C[N+](C)(C)C)=O)=O)=O)=O)=O)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O
314	 MeCO-k(PEG2PEG2gEC12OH(c))-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCC(N[C@H](CC(O)=O)C[N+](C)(C)C)=O)=O)=O)=O)=O)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O

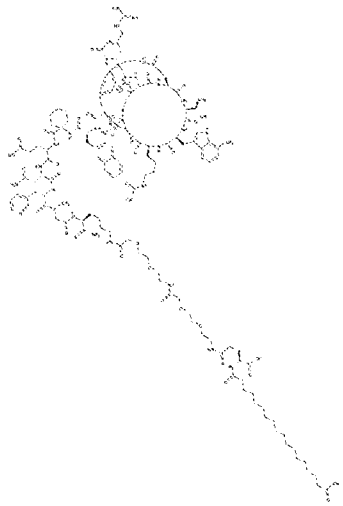
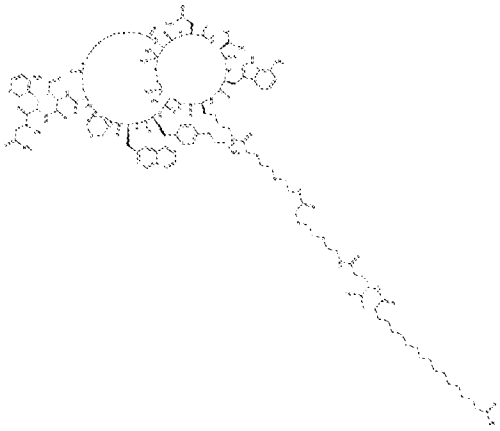
315	 MeCO-k(PEG2PEG2gE(C)C12)-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH2	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](Cc(cc1)ccc1OCCN)C(N[C@@H](Cc1cc2ccccc2cc1)C(NC1(CCOCC1)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)NC([C@H](CCCCNC(C)=O)NC([C@H](Cc1c[nH]c2c1cccc2C)NC([C@H]([C@@H](C)O)NC([C@H](CC(N)=O)N1)=O)=O)=O)=O)C1=O)=O)NC(C)=O)=O)=O)=O)C(N[C@@H](CC(O)=O)C[N+](C)(C)C)=O)=O
316	 MeCO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-4AmF-2Nal-aMeK(PEG12gEC16)-K(Ac)-N-3Pya-Sar-CONH2	CCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCC(NCCCC[C@@](C)(C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N)=O)=O)=O)=O)=O)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1C(N)=O)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)=O)NC(C)=O)NC1=O)=O)=O)=O)=O)=O)C(O)=O)=O

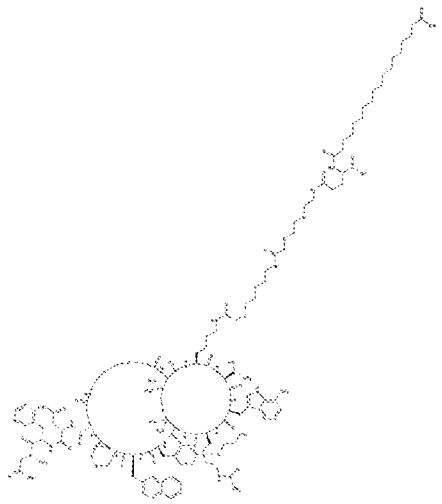
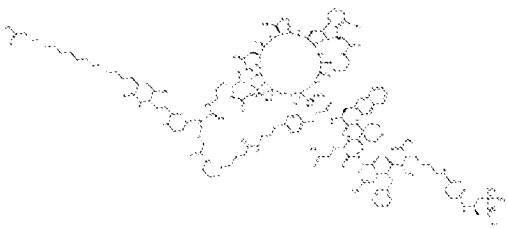
317	 MeCO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-4OMeF-2Nal-aMeK(PEG12gEC16)-K(Ac)-N-3Pya-Sar-CONH2	CCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCC(NCCCC[C@@](C)(C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N)=O)=O)=O)=O)=O)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OC)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)=O)NC(C)=O)NC1=O)=O)=O)=O)=O)=O)C(O)=O)=O
318	 MeCO-r-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-K(FITCPEG4)-N-3Pya-Sar-K(PEG2PEG2gEC18OH)-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCNC(N)=N)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCCCNC(CCOCCOCCOCCOCCNC(Nc2cc(C(OC34c(ccc(O)c5)c5Oc5c3ccc(O)c5)=O)c4cc2)=S)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)C(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O

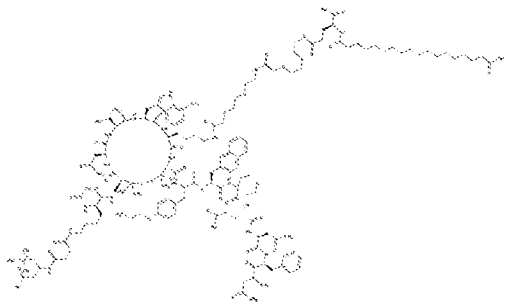
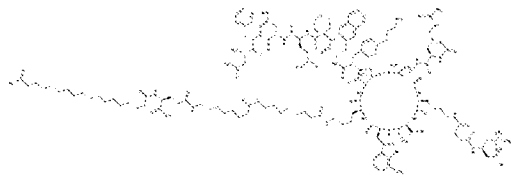
319	 5Ava(2)-Abu(1)-N-T-W-K(PEG2PEG2gEC18OH)-C(1)-AEF-2Nal-THP-E(2)-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2)C(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)C(N[C@@H](CSCC[C@@H](C(N[C@H]1CC(N)=O)=O)NC(CCCCNC(CC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)NC(C2(CCOCC2)NC([C@H](Cc2cc3ccccc3cc2)NC([C@H](Cc(cc2)ccc2OCCN)N2)=O)=O)=O)=O)=O)C2=O)=O)=O)=O)NC1=O)O
320	 5Ava(2)-Abu(1)-N-T-W-Q-C(1)-AEF-2Nal-THP-E(2)-N-3Pya-Sar-K(PEG2PEG2gEC18OH)-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2)C(N[C@@H](CCC(N)=O)C(N[C@@H](CSCC[C@@H](C(N[C@H]1CC(N)=O)=O)NC(CCCCNC(CC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)C(N)=O)=O)=O)=O)=O)NC(C2(CCOCC2)NC([C@H](Cc2cc3ccccc3cc2)NC([C@H](Cc(cc2)ccc2OCCN)N2)=O)=O)=O)=O)=O)C2=O)=O)=O)=O)NC1=O)O

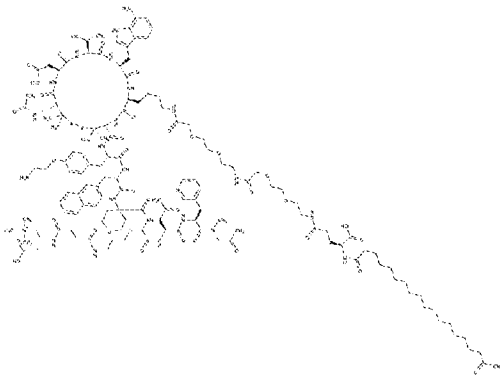
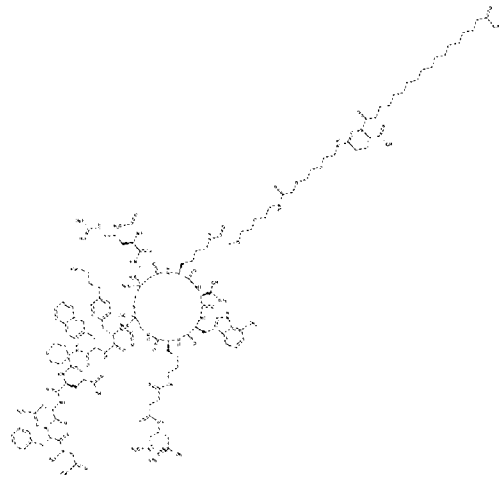
321	 AEEP(2)-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E(2)-N-3Pya-Sar-K(PEG2PEG2gEC18OH)-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC(CCOCCOCCNC(CC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)C(N)=O)=O)=O)=O)=O)NC(C2(CCOCC2)NC([C@H](Cc2cc3ccccc3cc2)NC([C@H](Cc(cc2)ccc2OCCN)N2)=O)=O)=O)=O)=O)C2=O)=O)=O)=O)NC1=O)O
322	 HOC18gEPEG2PEG2CO-r-Pen(3)-E(2)-T-7MeW-K(Ac)-Pen(3)-AEF(2)-2Nal-THP-E-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CCC(NCCOc2ccc(C[C@@H](C(N[C@@H](Cc3cc4ccccc4cc3)C(NC3(CCOCC3)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc3cnccc3)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)N3)cc2)=O)=O)NC([C@@H](CCCNC(N)=N)NC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)=O)C3=O)=O)=O)=O)NC1=O)O

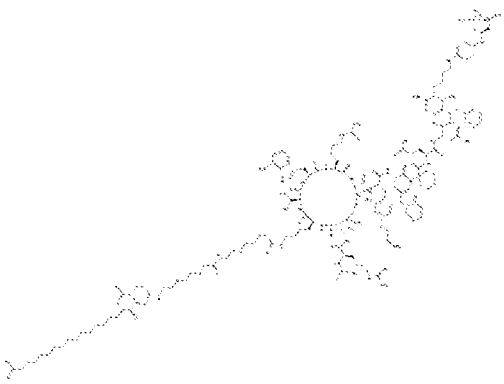
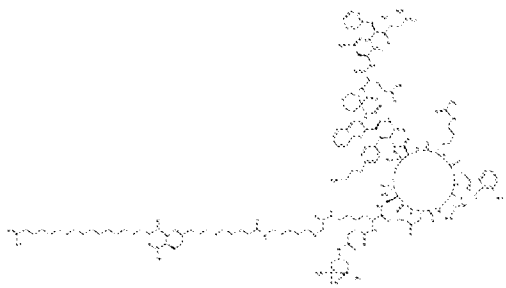
323	 MeCO-k(PEG2PEG2gEC18OH)-Pen(3)-E(2)-T-7MeW-K(Ac)-Pen(3)-AEF(2)-2Nal-THP-E-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CCC(NCCOc2ccc(C[C@@H](C(N[C@@H](Cc3cc4ccccc4cc3)C(NC3(CCOCC3)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc3cnccc3)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)N3)cc2)=O)=O)NC([C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)NC(C)=O)=O)C3=O)=O)=O)NC1=O)O
324	 MeCO-r-Pen(3)-E(2)-T-7MeW-K(PEG2PEG2gEC18OH)-Pen(3)-AEF(2)-2Nal-THP-E-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CCC(NCCOc2ccc(C[C@@H](C(N[C@@H](Cc3cc4ccccc4cc3)C(NC3(CCOCC3)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc3cnccc3)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)N3)cc2)=O)=O)NC([C@@H](CCCNC(N)=N)NC(C)=O)=O)C3=O)=O)=O)=O)NC1=O)O

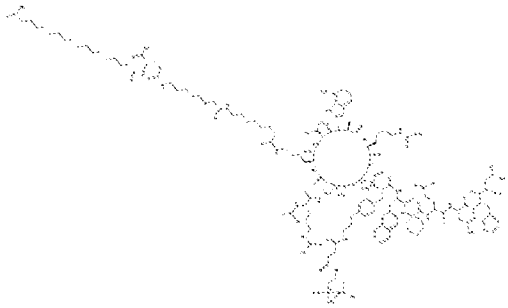
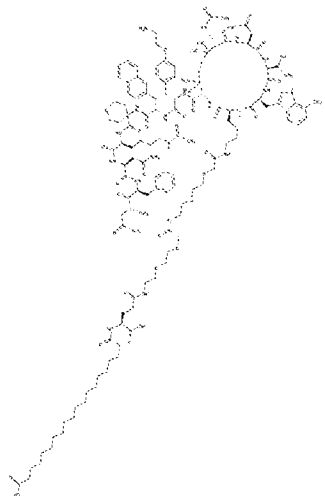
325	 MeCO-r-Pen(3)-E(2)-T-7MeW-K(Ac)-Pen(3)-AEF(2)-2Nal-THP-E-N-3Pya-Sar-K(PEG2PEG2gEC18OH)-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CCC(NCCOc2ccc(C[C@@H](C(N[C@@H](Cc3cc4ccccc4cc3)C(NC3(CCOCC3)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc3cnccc3)C(N(C)CC(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)C(N)=O)=O)=O)=O)=O)=O)=O)N3)cc2)=O)=O)NC([C@@H](CCCNC(N)=N)NC(C)=O)=O)C3=O)=O)=O)=O)NC1=O)O
326	 AEEP(2)-Pen(3)-N-T-7MeW-K(PEG2PEG2gEC18OH)-Pen(3)-AEF-2Nal-THP-E(2)-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC(CCOCCOCCNC(CC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)NC(C2(CCOCC2)NC([C@H](Cc2cc3ccccc3cc2)NC([C@H](Cc(cc2)ccc2OCCN)N2)=O)=O)=O)=O)=O)C2=O)=O)=O)=O)NC1=O)O

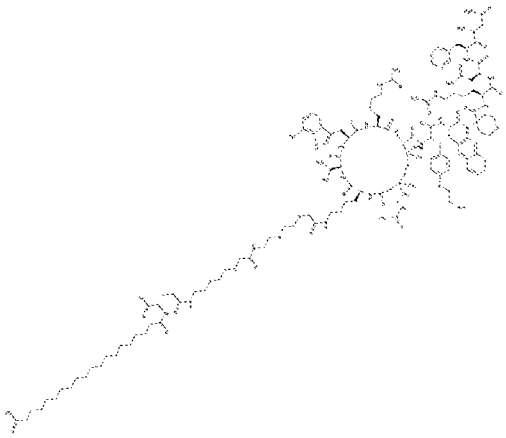
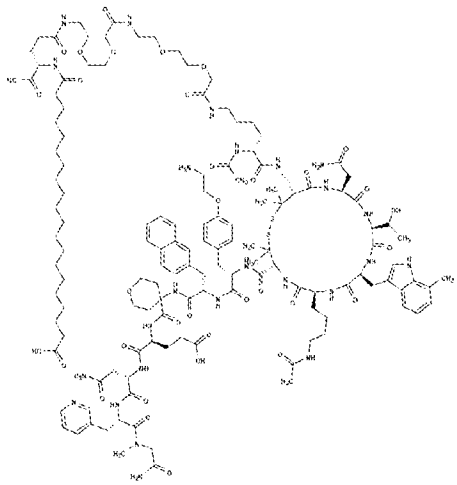
327	 AEEP(2)-Pen(3)-K(PEG2PEG2gEC18OH)-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E(2)-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)NC(CCOCCOCCNC(CC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)NC(C2(CCOCC2)NC([C@H](Cc2cc3ccccc3cc2)NC([C@H](Cc(cc2)ccc2OCCN)N2)=O)=O)=O)=O)=O)C2=O)=O)=O)=O)NC1=O)O
328	 MeCO-r-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF(PEG2PEG2gEC18OH)-2Nal-THP-E-N-3Pya-NMeK(d)-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCNC(N)=N)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)[C@@H](CCCCNC(CCC(N[C@H](CC(O)=O)C[N+](C)(C)C)=O)=O)C(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O

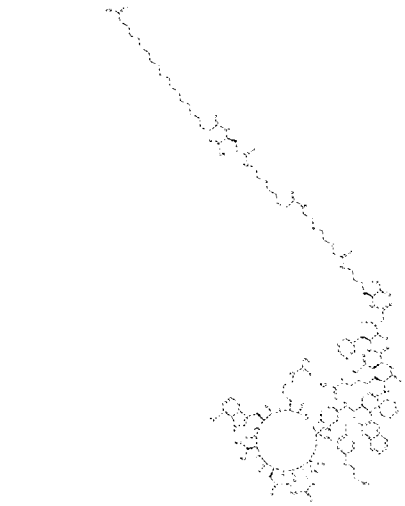
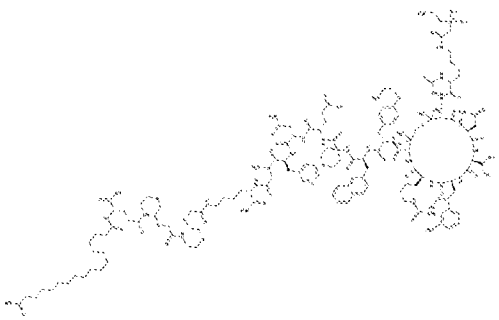
329	 MeCO-k(d)-Pen(3)-N-T-7MeW-K(PEG2PEG2gEC18OH)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCCNC(CCC(N[C@H](CC(O)=O)C[N+](C)(C)C)=O)=O)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O
330	 MeCO-r-Pen(3)-K(d)-T-7MeW-K(PEG2PEG2gEC18OH)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CCCCNC(CCC(N[C@H](CC(O)=O)C[N+](C)(C)C)=O)=O)=O)NC([C@@H](CCCNC(N)=N)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O

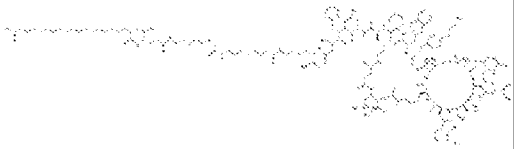
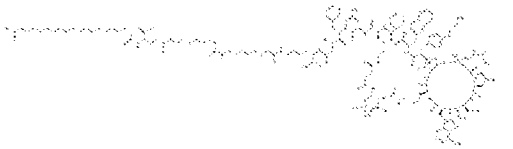
331	 MeCO-Pen(3)-N-T-7MeW-K(PEG2PEG2gEC18OH)-Pen(3)-AEF-2Nal-THP-K(d)-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC(C)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCCCNC(CCC(N[C@H](CC(O)=O)C[N+](C)(C)C)=O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O
332	 MeCO-r-Pen(3)-K(PEG2PEG2gEC18OH)-T-7MeW-K(d)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(CCC(N[C@H](CC(O)=O)C[N+](C)(C)C)=O)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)=O)NC([C@@H](CCCNC(N)=N)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O

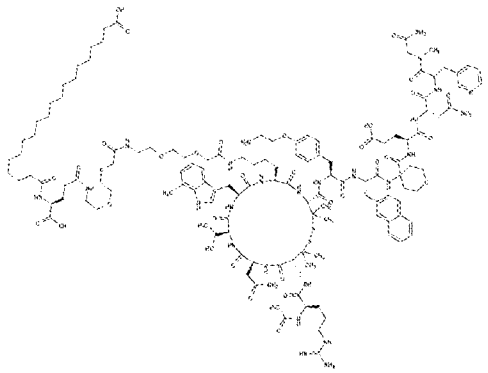
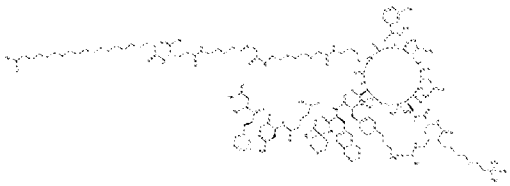
333	 MeCO-r-Pen(3)-K(PEG2PEG2gEC18OH)-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-NMeK(d)-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)NC([C@@H](CCCNC(N)=N)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)[C@@H](CCCCNC(CCC(N[C@H](CC(O)=O)C[N+](C)(C)C)=O)=O)C(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O
334	 succiniccarn-k(PEG2PEG2gEC18OH)-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)NC(CCC(N[C@H](CC(O)=O)C[N+](C)(C)C)=O)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O

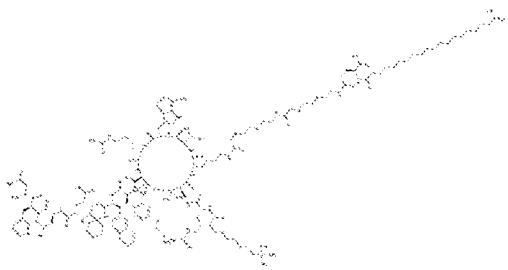
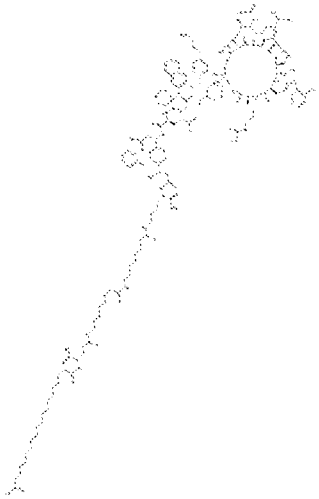
335	 MeCO-r-Pen(3)-K(PEG2PEG2gEC18OH)-T-7MeW-K(Ac)-Pen(3)-AEF(d)-2Nal-THP-E-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)NC([C@H](CCCNC(N)=N)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCNC(CCC(N[C@H](CC(O)=O)C[N+](C)(C)C)=O)=O)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O
336	 MeCO-Pen(3)-N-T-W-K(PEG2PEG2gEC20OH)-Pen(3)-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC(C)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O

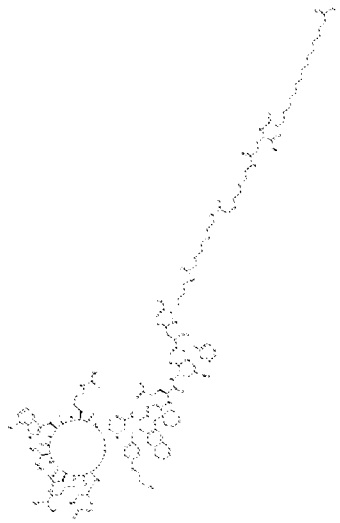
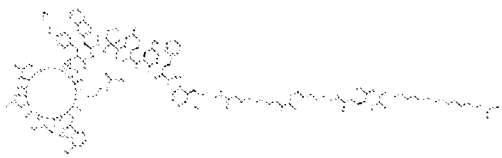
337	 MeCO-Pen(3)-K(PEG2PEG2gEC20OH)-T-W-K(Ac)-Pen(3)-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)=O)NC(C)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O
338	 MeCO-K(PEG2PEG2gEC20OH)-Pen(3)-N-T-W-K(Ac)-Pen(3)-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)=O)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O

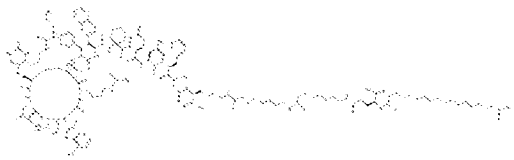
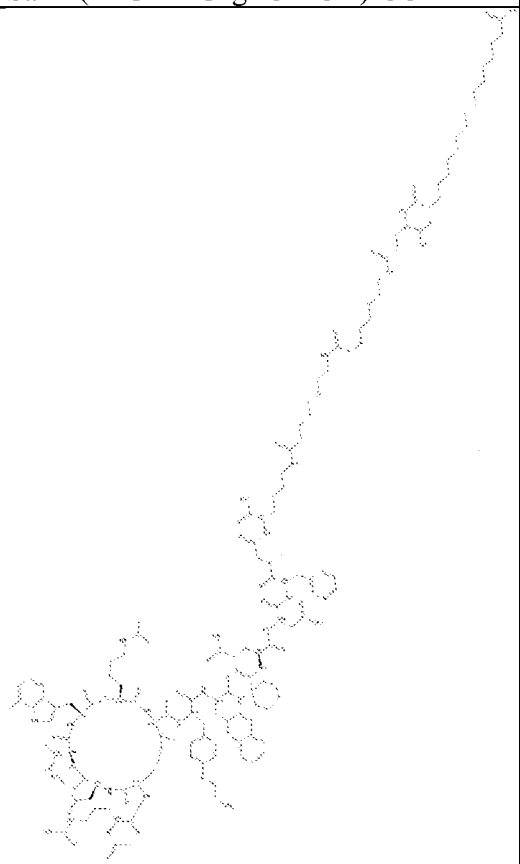
339	 MeCO-Pen(3)-N-T-W-K(Ac)-Pen(3)-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-K(PEG2PEG2gEC20OH)-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC(C)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)C(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O
340	 MeCO-k(SP6)-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2PEG2gEC18OH)-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCCNC(C[N+](C)(C)CCN)=O)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)C(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O

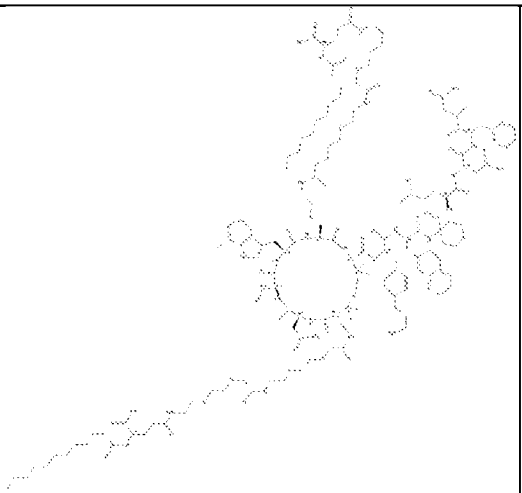
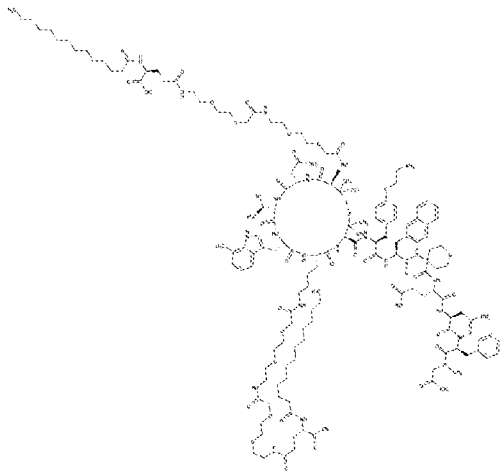
341	 MeCO-r-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-K(d)-N-3Pya-Sar-K(PEG2PEG2gEC20OH)-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCNC(N)=N)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCCCNC(CCC(N[C@H](CC(O)=O)C[N+](C)(C)C)=O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)C(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O
342	 MeCO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-K(d)-N-3Pya-Sar-K(PEG2PEG2gEC20OH)-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC(C)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCCCNC(CCC(N[C@H](CC(O)=O)C[N+](C)(C)C)=O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)C(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O

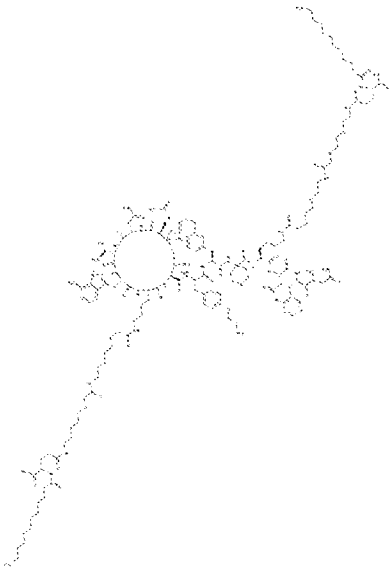
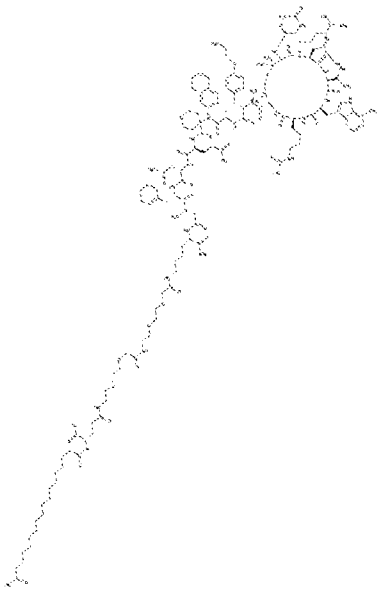
343	 MeCO-r-Pen(3)-N-T-7MeW-K(PEG2PEG2gEC20OH)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCNC(N)=N)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O
344	 cPEG3aCO-r-Pen(3)-N-T-7MeW-K(PEG2PEG2gEC20OH)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCNC(N)=N)NC(CCOCCOCC[N+](C)(C)C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O

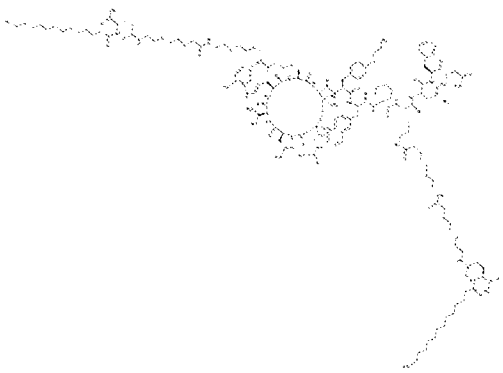
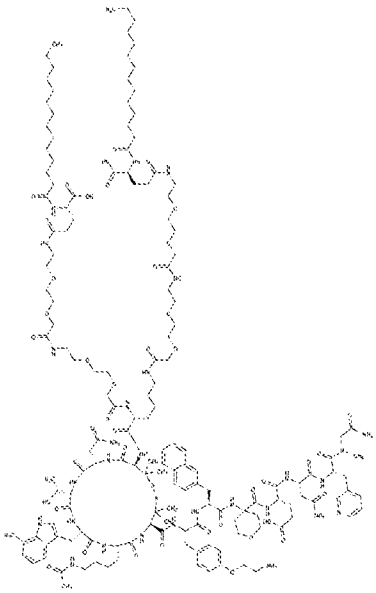
345	 cPEG3aCO-r-Pen(3)-K(PEG2PEG2gEC20OH)-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)NC([C@@H](CCCNC(N)=N)NC(CCOCCOCC[N+](C)(C)C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O
346	 MeCO-r-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2PEG2gEC20OH)-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCNC(N)=N)NC(C)=O)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)C(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O

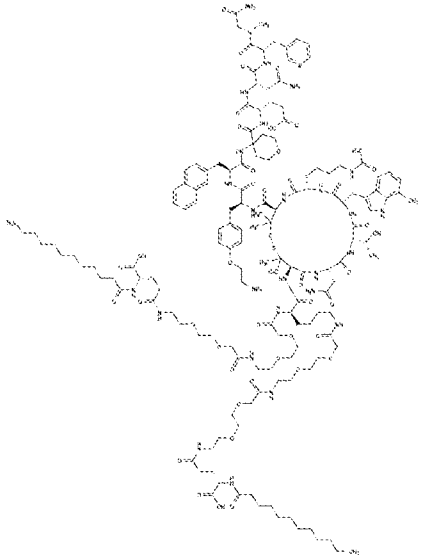
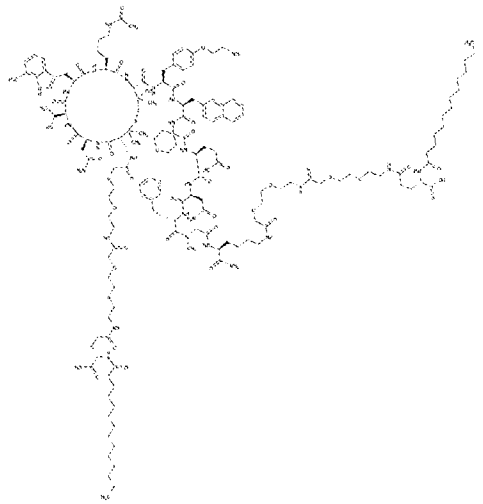
347	 MeCO-r-Abu(1)-N-T-7MeW-K(Ac)-C(1)-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2PEG2gEC18OH)-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](CSCC[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCNC(N)=N)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)C(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O
348	 MeCO-C(3)-N-T-7MeW-K(Ac)-aMeC(3)-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2PEG2gEC18OH)-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@a](C)(CSSC[C@@H](C(N[C@H]1CC(N)=O)=O)NC(C)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O

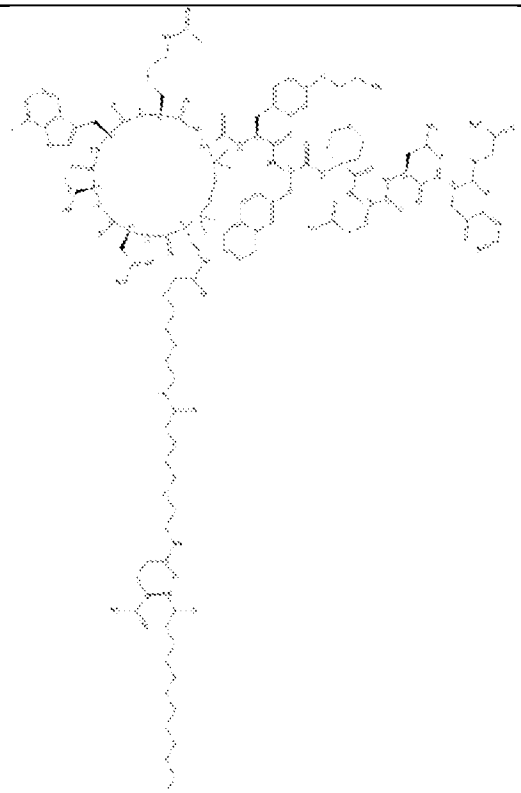
349	 MeCO-r-Abu(1)-N-T-7MeW-K(Ac)-aMeC(1)-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2PEG2gEC18OH)-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@](C)(CSCC[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCNC(N)=N)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)C(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O
350	 MeCO-r-Abu(1)-N-T-7MeW-K(Ac)-Pen(1)-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2PEG2gEC18OH)-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SCC[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCNC(N)=N)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)C(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O

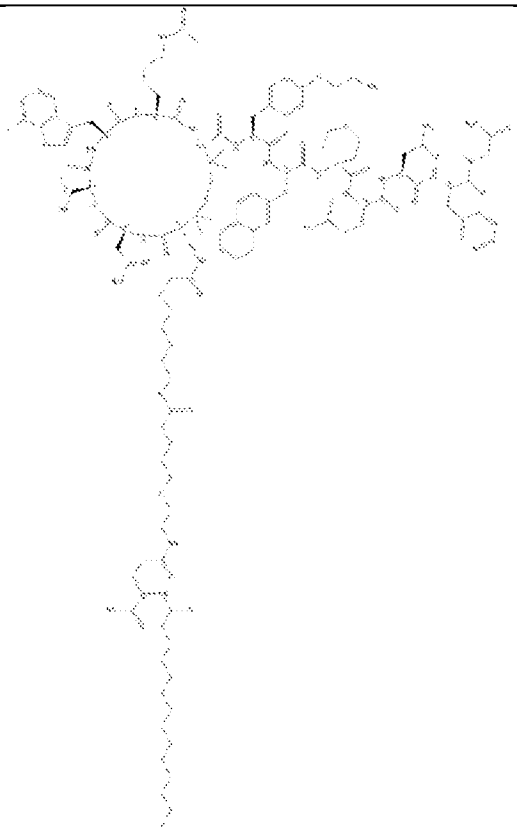
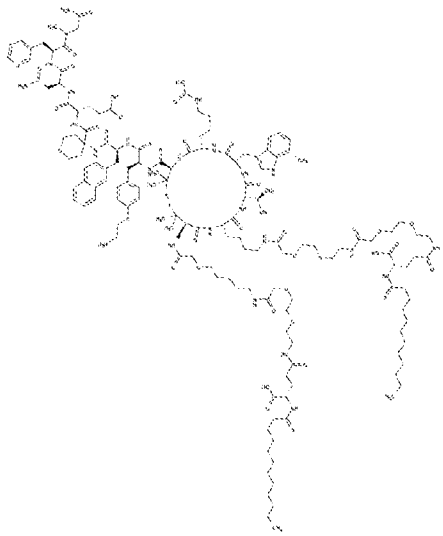
351	 C12gEPEG2PEG2CO-Pen(3)-N-T-7MeW-K(PEG2PEG2gEC12)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@H]1Cc2c[nH]c3c2cccc3C)=O)=O)=O)NC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCC)=O)=O)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)=O)=O)C(O)=O)=O
352	 C14gEPEG2PEG2CO-Pen(3)-N-T-7MeW-K(PEG2PEG2gEC14)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2	CCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@H]1Cc2c[nH]c3c2cccc3C)=O)=O)=O)NC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCC)=O)=O)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)=O)=O)C(O)=O)=O

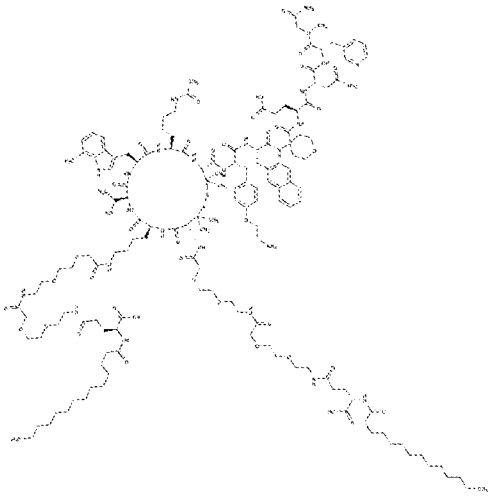
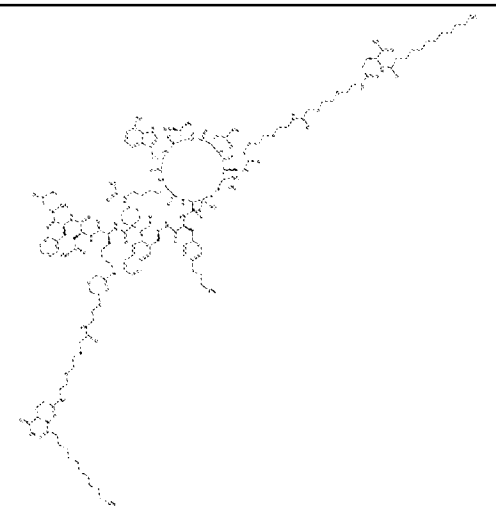
353	 MeCO-Pen(3)-N-T-7MeW-K(PEG2PEG2gEC12)-Pen(3)-AEF-2Nal-THP-K(PEG2PEG2gEC12)-N-3Pya-Sar-CONH2	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N)=O)=O)=O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCC)=O)=O)=O)=O)=O)=O)=O)=O)NC(C)=O)NC1=O)=O)=O)=O)=O)=O)=O)C(O)=O)=O
354	 MeCO-r-Pen(3)-N15-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N15-3Pya-Sar-K(PEG2PEG2gEC18OH)-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C([15NH][13C@H]1[13CH2][13C]([15NH2])=O)=O)NC([C@@H](CCCNC(N)=N)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C([15NH][13C@@H]([13CH2][13C]([15NH2])=O)[13C](N[C@@H](Cc2cnccc2)C(N(C)CC(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)C(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)N[13C]1=O)O

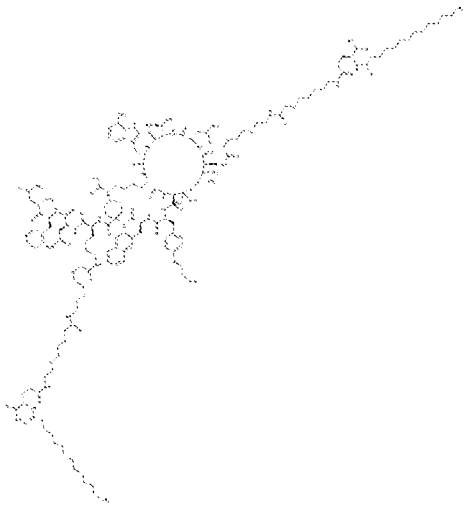
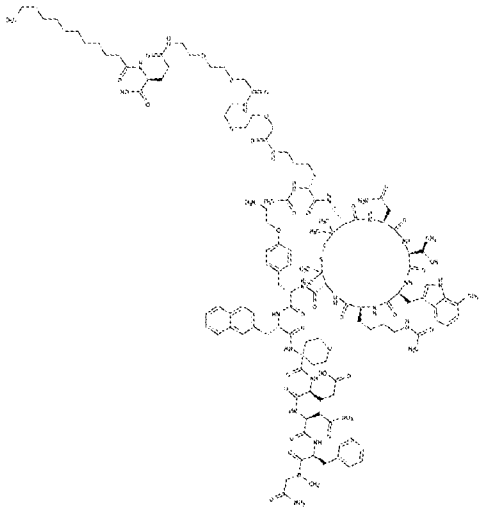
355	 MeCO-Pen(3)-N-T-7MeW-K(PEG2PEG2gEC14)-Pen(3)-AEF-2Nal-THP-K(PEG2PEG2gEC14)-N-3Pya-Sar-CONH2	CCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N)=O)=O)=O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCC)=O)=O)=O)=O)=O)=O)=O)NC(C)=O)NC1=O)=O)=O)=O)=O)=O)=O)C(O)=O)=O
356	 C14gEPEG2PEG2CO-k(PEG2PEG2gEC14)-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2	CCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C(N[C@@H](C(C)(C)C)SSC(C)(C)[C@@H](C(N[C@@H](Cc(cc1)ccc1OCCN)C(N[C@@H](Cc1cc2ccccc2cc1)C(NC1(CCOCC1)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC([C@H](CCCCNC(C)=O)NC([C@H](Cc1c[nH]c2c1cccc2C)NC([C@H]([C@@H](C)O)NC([C@H](CC(N)=O)N1)=O)=O)=O)=O)C1=O)=O)NC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCC)=O)=O)=O)=O)=O)=O)=O)C(O)=O)=O

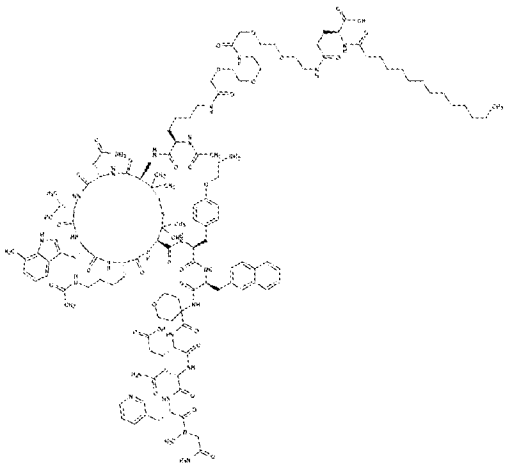
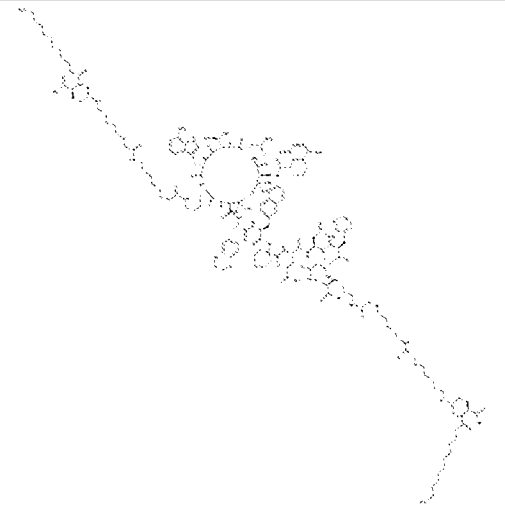
357	 C12gEPEG2PEG2CO-k(PEG2PEG2gEC12)-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](Cc(cc1)ccc1OCCN)C(N[C@@H](Cc1cc2ccccc2cc1)C(NC1(CCOCC1)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)NC([C@H](CCCCNC(C)=O)NC([C@H](Cc1c[nH]c2c1cccc2C)NC([C@H]([C@@H](C)O)NC([C@H](CC(N)=O)N1)=O)=O)=O)=O)C1=O)=O)NC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCC)=O)=O)=O)=O)=O)=O)=O)C(O)=O)=O
358	 C14gEPEG2PEG2CO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2PEG2gEC14)-CONH2	CCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N)=O)NC(CN(C)C([C@H](Cc1cnccc1)NC([C@H](CC(N)=O)NC([C@H](CCC(O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)=O)NC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCC)=O)=O)=O)=O)NC1=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)C(O)=O)=O

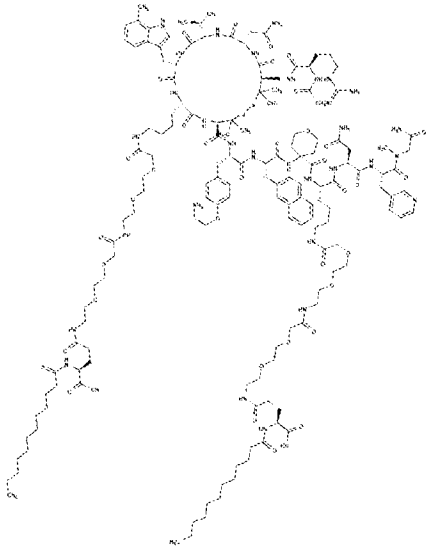
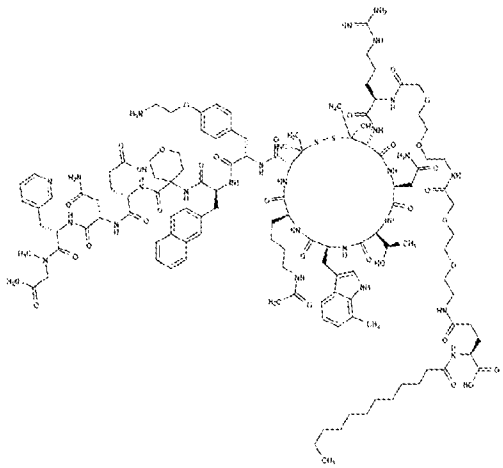
359	 C12gEPEG2PEG2CO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](Cc(cc1)ccc1OCCN)C(N[C@@H](Cc1cc2ccccc2cc1)C(NC1(CCOCC1)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)NC([C@H](CCCCNC(C)=O)NC([C@H](Cc1c[nH]c2c1cccc2C)NC([C@H]([C@@H](C)O)NC([C@H](CC(N)=O)N1)=O)=O)=O)=O)C1=O)=O)=O)C(O)=O)=O

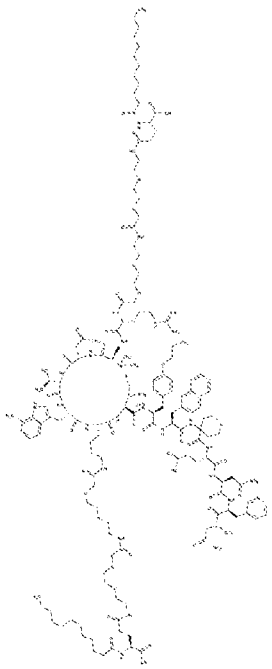
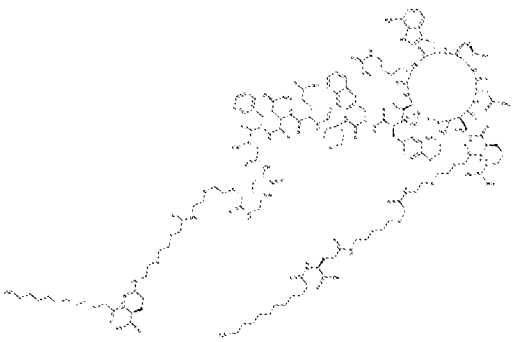
360	 C14gEPEG2PEG2CO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2	CCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](Cc(cc1)ccc1OCCN)C(N[C@@H](Cc1cc2ccccc2cc1)C(NC1(CCOCC1)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)NC([C@H](CCCCNC(C)=O)NC([C@H](Cc1c[nH]c2c1cccc2C)NC([C@H]([C@@H](C)O)NC([C@H](CC(N)=O)N1)=O)=O)=O)=O)C1=O)=O)=O)=O)C(O)=O)=O
361	 C12gEPEG2PEG2CO-Pen(3)-K(PEG2PEG2gEC12)-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H]1NC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCC)=O)=O)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)=O)=O)=O)C(O)=O)=O

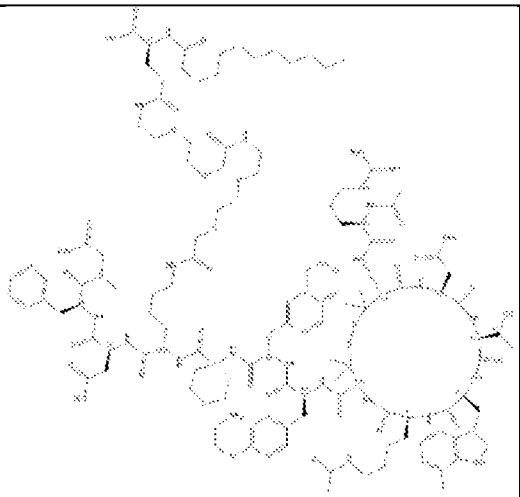
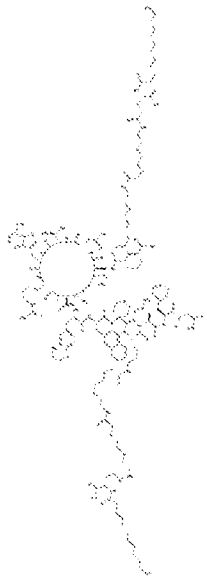
362	 C14gEPEG2PEG2CO-Pen(3)-K(PEG2PEG2gEC14)-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2	CCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H]1NC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCC)=O)=O)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)=O)=O)C(O)=O)=O
363	 C12gEPEG2PEG2CO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-K(PEG2PEG2gEC12)-N-3Pya-Sar-CONH2	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N)=O)=O)=O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)=O)NC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCC)=O)=O)=O)=O)NC1=O)=O)=O)=O)=O)=O)=O)C(O)=O)=O

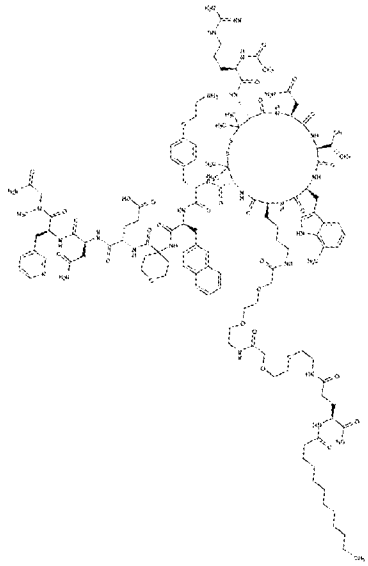
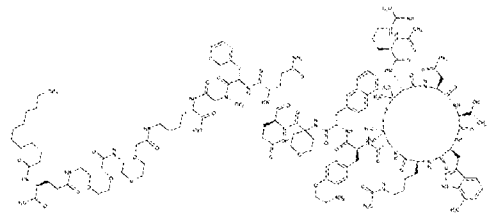
364	 C14gEPEG2PEG2CO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-K(PEG2PEG2gEC14)-N-3Pya-Sar-CONH2	CCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N)=O)=O)=O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)=O)NC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCC)=O)=O)=O)=O)NC1=O)=O)=O)=O)=O)=O)=O)C(O)=O)=O
365	 MeCO-k(PEG2PEG2gEC12)-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](Cc(cc1)ccc1OCCN)C(N[C@@H](Cc1cc2ccccc2cc1)C(NC1(CCOCC1)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)NC([C@H](CCCCNC(C)=O)NC([C@H](Cc1c[nH]c2c1cccc2C)NC([C@H]([C@@H](C)O)NC([C@H](CC(N)=O)N1)=O)=O)=O)=O)C1=O)=O)NC(C)=O)=O)=O)=O)C(O)=O)=O

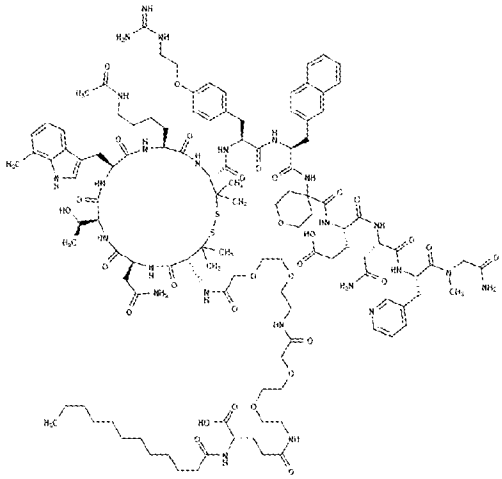
366	 MeCO-k(PEG2PEG2gEC14)-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2	CCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](Cc(cc1)ccc1OCCN)C(N[C@@H](Cc1cc2ccccc2cc1)C(NC1(CCOCC1)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC([C@H](CCCCNC(C)=O)NC([C@H](Cc1c[nH]c2c1cccc2C)NC([C@H]([C@@H](C)O)NC([C@H](CC(N)=O)N1)=O)=O)=O)=O)C1=O)=O)NC(C)=O)=O)=O)=O)C(O)=O)=O
367	 MeCO-r-Pen(3)-N-T-7MeW-K(PEG2PEG2gEC12)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2PEG2gEC12)-CONH2	CCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N)=O)NC(CN(C)C([C@H](Cc1cnccc1)NC([C@H](CC(N)=O)NC([C@H](CCC(O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCC)=O)=O)=O)=O)=O)=O)=O)NC([C@@H](CCCNC(N)=N)NC(C)=O)=O)NC1=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)C(O)=O)=O

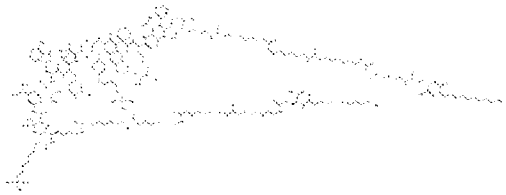
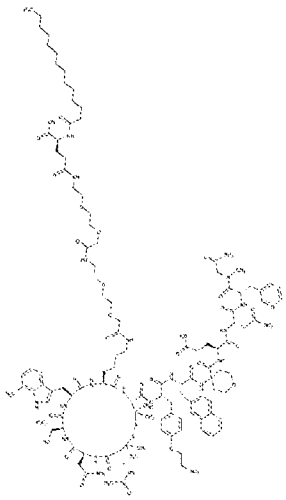
368	 MeCO-r-Pen(3)-N-T-7MeW-K(PEG2PEG2gEC12)-Pen(3)-AEF-2Nal-THP-K(PEG2PEG2gEC12)-N-3Pya-Sar-CONH2	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N)=O)=O)=O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCC)=O)=O)=O)=O)=O)=O)=O)=O)NC([C@@H](CCCNC(N)=N)NC(C)=O)=O)NC1=O)=O)=O)=O)=O)=O)=O)=O)C(O)=O)=O
369	 C12gEPEG2PEG2CO-r-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(N[C@H](CCCNC(N)=N)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](Cc(cc1)ccc1OCCN)C(N[C@@H](Cc1cc2ccccc2cc1)C(NC1(CCOCC1)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC([C@H](CCCCNC(C)=O)NC([C@H](Cc1c[nH]c2c1cccc2C)NC([C@H]([C@@H](C)O)NC([C@H](CC(N)=O)N1)=O)=O)=O)=O)C1=O)=O)=O)=O)=O)C(O)=O)=O

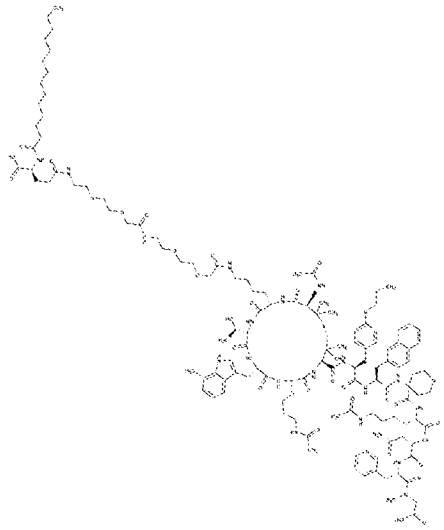
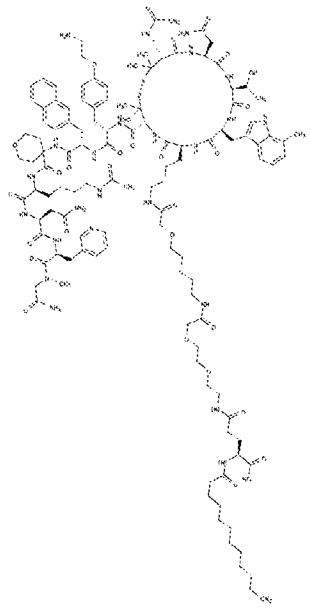
370	 C12gEPEG2PEG2CO-r-Pen(3)-N-T-7MeW-K(PEG2PEG2gEC12)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@H]1Cc2c[nH]c3c2cccc3C)=O)=O)=O)NC([C@@H](CCCNC(N)=N)NC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCC)=O)=O)=O)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)=O)=O)=O)C(O)=O)=O
371	 C12gEPEG2PEG2CO-r-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2PEG2gEC12)-CONH2	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N)=O)NC(CN(C)C([C@H](Cc1cnccc1)NC([C@H](CC(N)=O)NC([C@H](CCC(O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)=O)NC([C@@H](CCCNC(N)=N)NC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCC)=O)=O)=O)=O)NC1=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)C(O)=O)=O

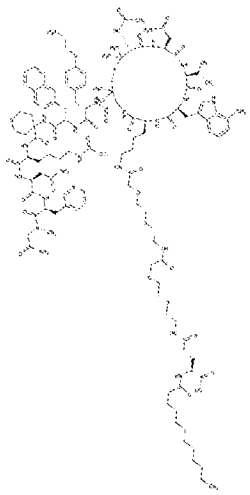
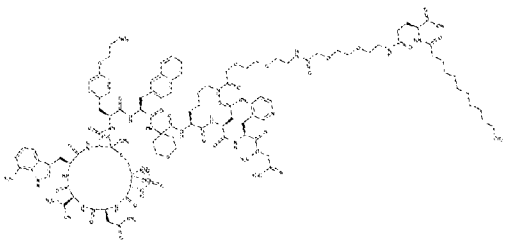
372	 MeCO-r-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-K(PEG2PEG2gEC12)-N-3Pya-Sar-CONH2	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N)=O)=O)=O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)=O)NC([C@@H](CCCNC(N)=N)NC(C)=O)=O)NC1=O)=O)=O)=O)=O)=O)=O)=O)C(O)=O)=O
373	 C12gEPEG2PEG2CO-r-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-K(PEG2PEG2gEC12)-N-3Pya-Sar-CONH2	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N)=O)=O)=O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)=O)NC([C@@H](CCCNC(N)=N)NC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCC)=O)=O)=O)=O)=O)NC1=O)=O)=O)=O)=O)=O)=O)=O)C(O)=O)=O

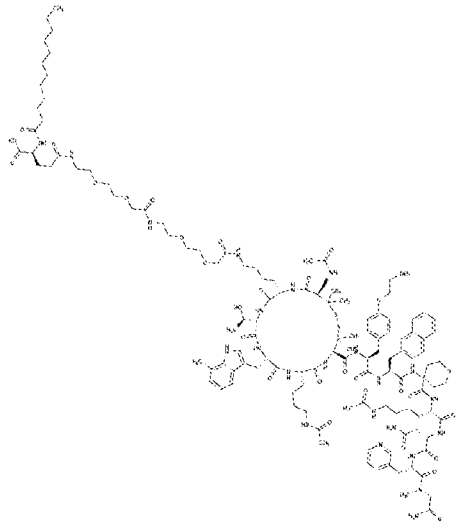
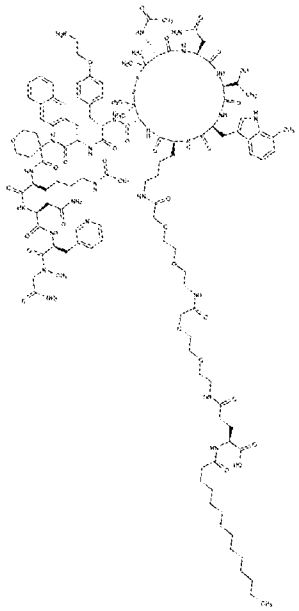
374	 MeCO-r-Pen(3)-N-T-7MeW-K(PEG2PEG2gEC12)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@H]1Cc2c[nH]c3c2cccc3C)=O)=O)=O)NC([C@@H](CCCNC(N)=N)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)=O)=O)=O)C(O)=O)=O
375	 MeCO-r-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2PEG2gEC12)-CONH2	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N)=O)NC(CN(C)C([C@H](Cc1cnccc1)NC([C@H](CCC(N)=O)NC([C@H](CCC(O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)=O)NC([C@@H](CCCNC(N)=N)NC(C)=O)=O)NC1=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)C(O)=O)=O

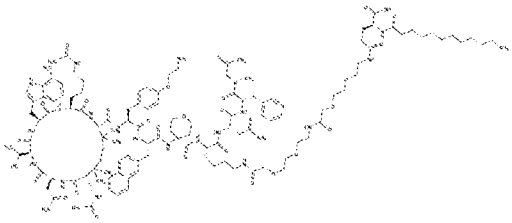
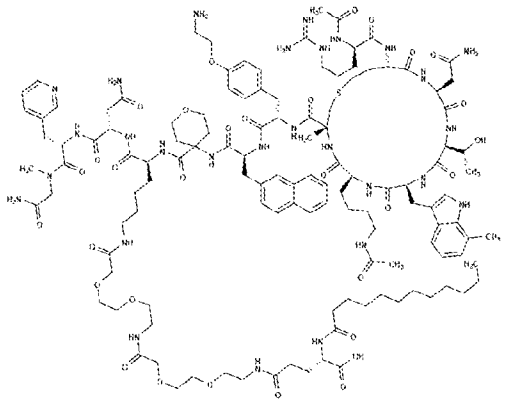
376	 C12gEPEG2PEG2CO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF(G)-2Nal-THP-E-N-3Pya-Sar-CONH2	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](Cc(cc1)ccc1OCCNC(N)=N)C(N[C@@H](Cc1cc2ccccc2cc1)C(NC1(CCOCC1)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)NC([C@H](CCCCNC(C)=O)NC([C@H](Cc1c[nH]c2c1cccc2C)NC([C@H]([C@@H](C)O)NC([C@H](CC(N)=O)N1)=O)=O)=O)=O)C1=O)=O)=O)=O)C(O)=O)=O
377	C12gEPEG2PEG2CO-r-Pen(3)-N-T-7MeW-K(PEG2PEG2gEC12)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH-resin	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N[C@@H](C(C)(C)S)C(N[C@@H](Cc(cc1)ccc1OCCN)C(N[C@@H](Cc1cc2ccccc2cc1)C(NC1(CCOCC1)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N[Pol])=O)=O)=O)=O)=O)=O)=O)=O)NC([C@H](Cc1c[nH]c2c1cccc2C)NC([C@H]([C@@H](C)O)NC([C@H](CC(N)=O)NC([C@H](C(C)(C)S)NC([C@@H](CCCNC(N)=N)NC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCC)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)C(O)=O)=O

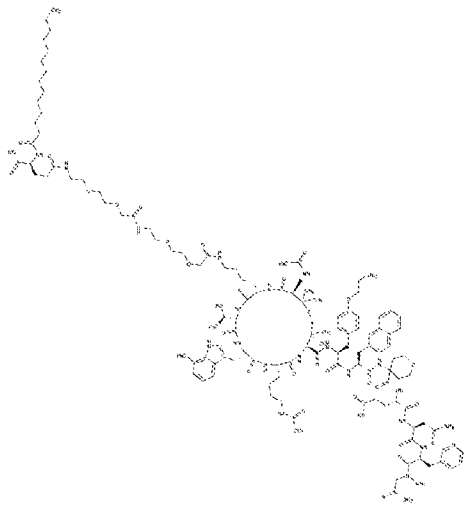
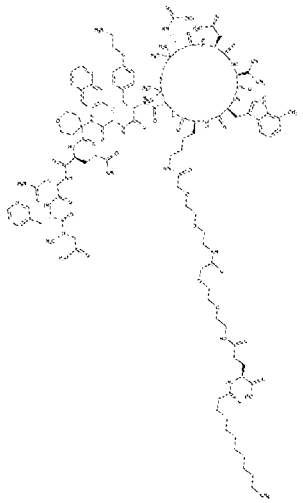
378	 cPEG3aCO-k(PEG2PEG2PEG2PEG2gEC12)-Pen(3)-N(N(Me)2)-T-7MeW-K(Ac)-Pen(3)-TMAPF-2Nal-THP-K(NMeAc)-N-3Pya-NMeK(PEG2PEG2PEG2PEG2gEC12)-CONH2	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C(N[C@@H](C(C)(C)S)C(N[C@@H](CC(N(C)C)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)S)C(N[C@@H](Cc(cc1)ccc1OCCCCC[N+](C)(C)C)C(N[C@@H](Cc1cc2ccccc2cc1)C(NC1(CCOCC1)C(N[C@@H](CCCCN(C)C(C)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCC)=O)=O)=O)=O)=O)=O)C(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC(CCOCCOCC[N+](C)(C)C)=O)=O)=O)=O)=O)C(O)=O)=O
379	 MeCO-Pen(3)-N-T-7MeW-K(PEG2PEG2gEC14)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2	CCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@H]1Cc2c[nH]c3c2cccc3C)=O)=O)=O)NC(C)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)=O)=O)C(O)=O)=O

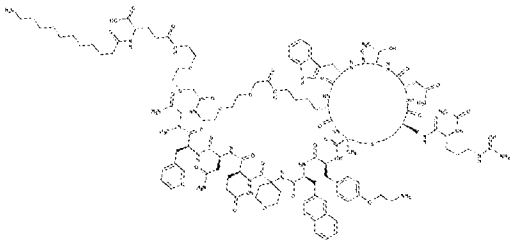
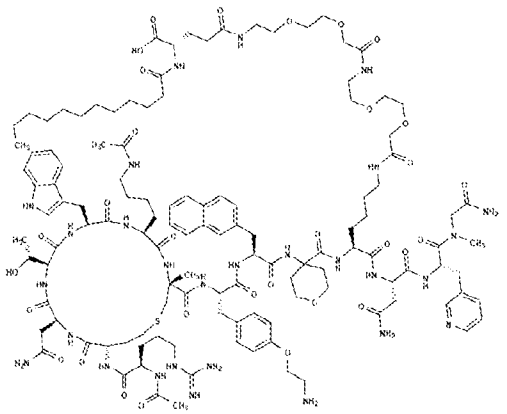
380	 MeCO-Pen(3)-K(PEG2PEG2gEC14)-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH2	CCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H]1NC(C)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)=O)=O)C(O)=O)=O
381	 MeCO-Pen(3)-N-T-7MeW-K(PEG2PEG2gEC12)-Pen(3)-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH2	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@H]1Cc2c[nH]c3c2cccc3C)=O)=O)=O)NC(C)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)=O)=O)C(O)=O)=O

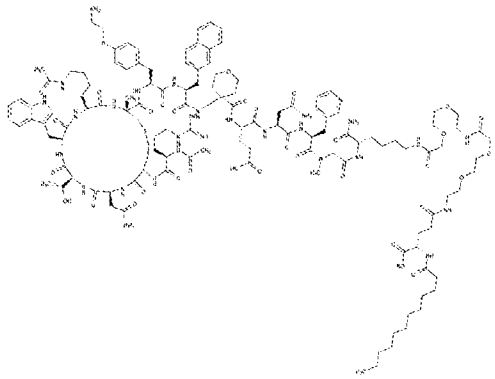
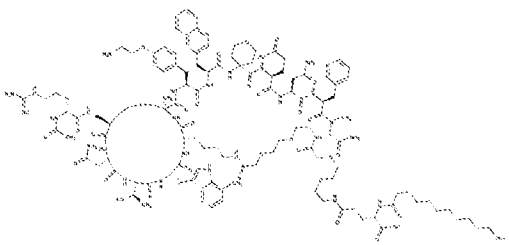
382	 MeCO-Pen(3)-N-T-7MeW-Pen(3)-AEF-2Nal-THP-K(PEG2PEG2gEC12)-N-3Pya-Sar-CONH2	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N)=O)=O)=O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@H]1Cc2c[nH]c3c2cccc3C)=O)=O)=O)NC(C)=O)NC1=O)=O)=O)=O)=O)=O)=O)C(O)=O)=O
383	 MeCO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-K(PEG2PEG2gEC14)-N-3Pya-Sar-CONH2	CCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N)=O)=O)=O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)=O)NC(C)=O)NC1=O)=O)=O)=O)=O)=O)=O)C(O)=O)=O

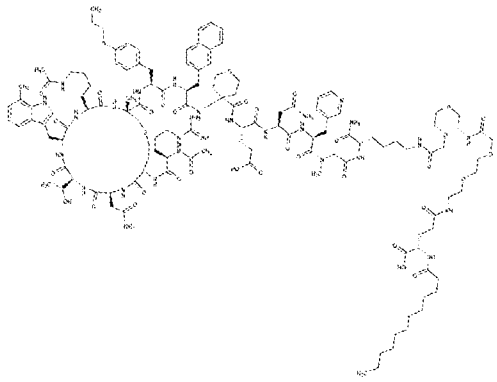
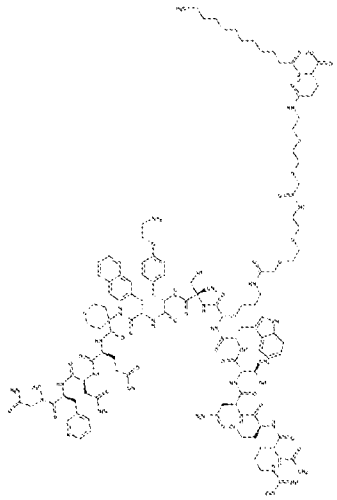
384	 MeCO-Pen(3)-K(PEG2PEG2gEC12)-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH2	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H]1NC(C)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)=O)=O)C(O)=O)=O
385	 MeCO-Pen(3)-N-T-7MeW-K(PEG2PEG2gEC14)-Pen(3)-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH2	CCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@H]1Cc2c[nH]c3c2cccc3C)=O)=O)=O)NC(C)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)=O)=O)C(O)=O)=O

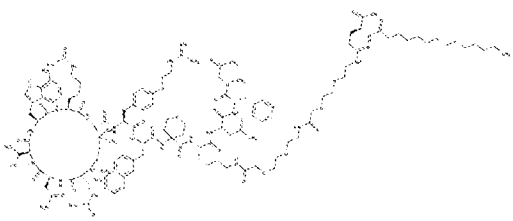
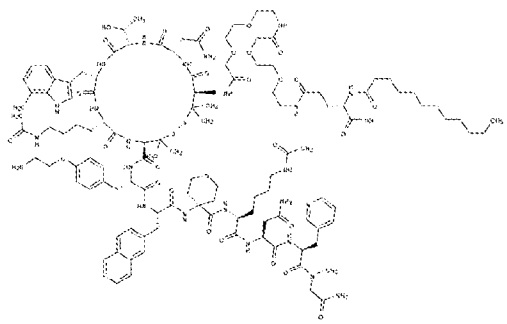
386	 MeCO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-K(PEG2PEG2gEC12)-N-3Pya-Sar-CONH2	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N)=O)=O)=O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)=O)NC(C)=O)NC1=O)=O)=O)=O)=O)=O)=O)C(O)=O)=O
387	 MeCO-r-Abu(1)-N-T-7MeW-K(Ac)-aMeC(1)-AEF-2Nal-THP-K(PEG2PEG2gEC12)-N-3Pya-Sar-CONH2	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N)=O)=O)=O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@](C)(CSCC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)=O)NC([C@@H](CCCNC(N)=N)NC(C)=O)=O)NC1=O)=O)=O)=O)=O)=O)=O)=O)C(O)=O)=O

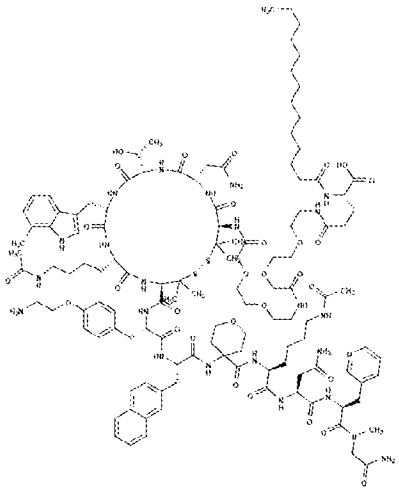
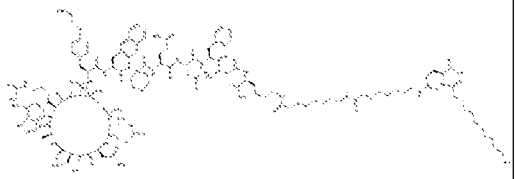
388	 MeCO-Pen(3)-K(PEG2PEG2gEC14)-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2	CCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H]1NC(C)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)=O)=O)=O)C(O)=O)=O
389	 MeCO-Pen(3)-N-T-7MeW-K(PEG2PEG2gEC12)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2	CCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@H]1Cc2c[nH]c3c2cccc3C)=O)=O)=O)NC(C)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)=O)=O)=O)C(O)=O)=O

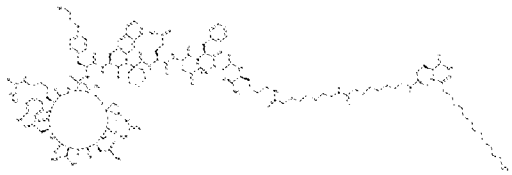
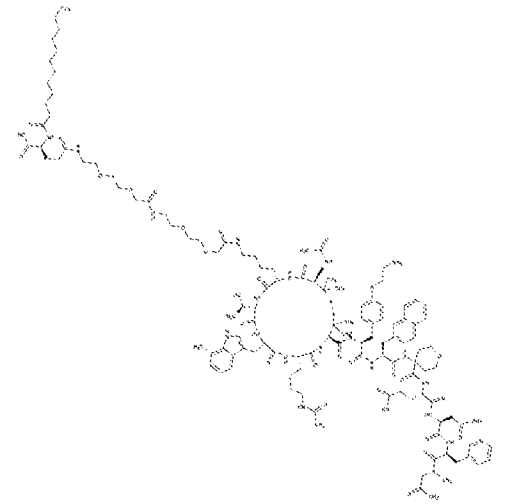
390	 MeCO-r-Abu(1)-N-T-W-K(PEG2PEG2gEC12)-aMeC(1)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N[C@@](C)(CSCC[C@@H](C(N[C@@H](CC(N)=O)C(NC([C@H](C)O)C(N[C@H]1Cc2c[nH]c3c2cccc3)=O)=O)=O)NC([C@@H](CCCNC(N)=N)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)=O)=O)C(O)=O)=O
391	 MeCO-r-Abu(1)-N-T-W-K(Ac)-aMeC(1)-AEF-2Nal-THP-K(PEG2PEG2gEC12)-N-3Pya-Sar-CONH2	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N)=O)=O)=O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@](C)(CSCC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)=O)NC([C@@H](CCCNC(N)=N)NC(C)=O)=O)NC1=O)=O)=O)=O)=O)=O)=O)C(O)=O)=O

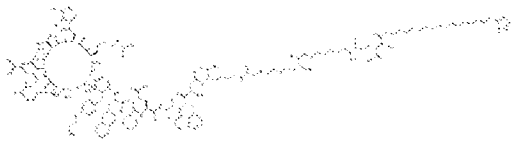
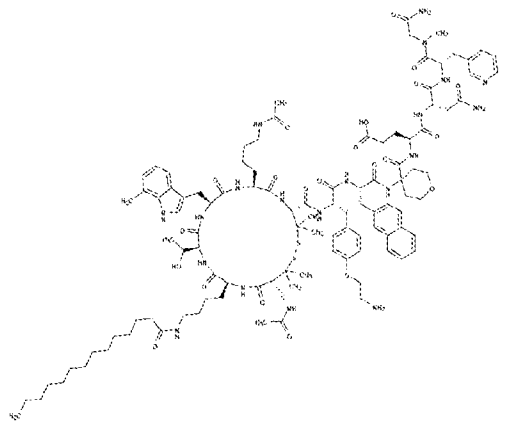
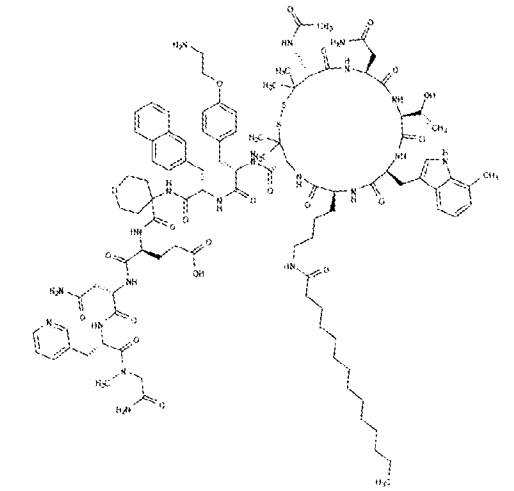
392	 MeCO-r-Abu(1)-N-T-W-K(Ac)-aMeC(1)-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2PEG2gEC12)-CONH2	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N)=O)NC(CN(C)C([C@H](Cc1cnccc1)NC([C@H](CC(N)=O)NC([C@H](CCC(O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@](C)(CSCC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)=O)NC([C@@H](CCCNC(N)=N)NC(C)=O)=O)NC1=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)C(O)=O)=O
393	 MeCO-r-Abu(1)-N-T-7MeW-K(PEG2PEG2gEC12)-aMeC(1)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N[C@@]](C)(CSCC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@H]1Cc2c[nH]c3c2cccc3C)=O)=O)=O)NC([C@@H](CCCNC(N)=N)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)=O)=O)C(O)=O)=O

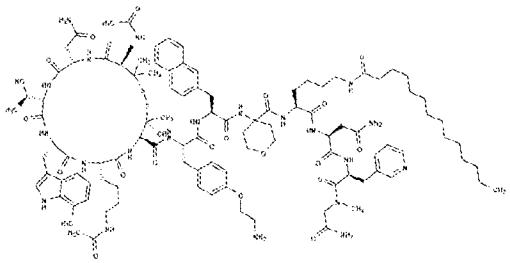
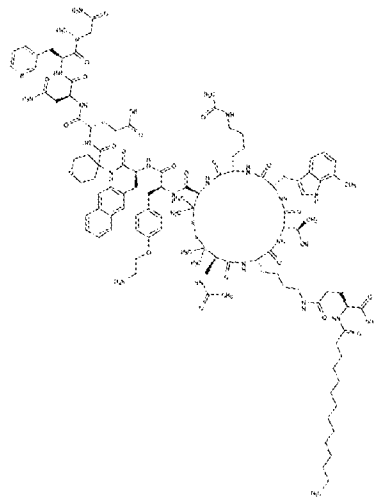
394	 MeCO-r-Abu(1)-N-T-7MeW-K(Ac)-aMeC(1)-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2PEG2gEC12)-CONH2	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N)=O)NC(CN(C)C([C@H](Cc1cnccc1)NC([C@H](CC(N)=O)NC([C@H](CCC(O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@](C)(CSCC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)=O)NC([C@@H](CCCNC(N)=N)NC(C)=O)=O)NC1=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)C(O)=O)=O
395	 MeCO-r-Abu-N-T-W-K(PEG2PEG2gEC12)-aMeC-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N[C@@](C)(CS)C(N[C@@H](Cc(cc1)ccc1OCCN)C(N[C@@H](Cc1cc2ccccc2cc1)C(NC1(CCOCC1)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC([C@H](Cc1c[nH]c2c1cccc2)NC([C@H]([C@@H](C)O)NC([C@H](CC(N)=O)NC([C@H](CCCl)NC([C@@H](CCCNC(N)=N)NC(C)=O)=O)=O)=O)=O)=O)=O)=O)C(O)=O)=O

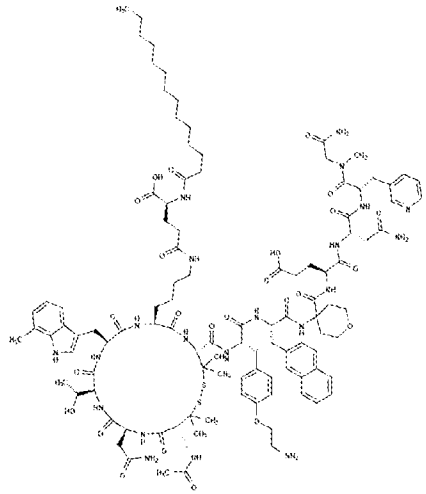
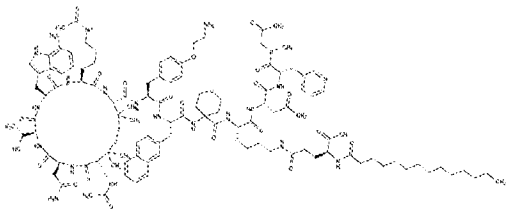
396	 MeCO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF(G)-2Nal-THP-K(PEG2PEG2gEC14)-N-3Pya-Sar-CONH2	CCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N)=O)=O)=O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCNC(N)=N)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)=O)NC(C)=O)NC1=O)=O)=O)=O)=O)=O)=O)=O)C(O)=O)=O
397	 C12gEPEG2PEG2CO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH2	CCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](Cc(cc1)ccc1OCCN)C(N[C@@H](Cc1cc2ccccc2cc1)C(NC1(CCOCC1)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC([C@H](CCCCNC(C)=O)NC([C@H](Cc1c[nH]c2c1cccc2C)NC([C@H]([C@@H](C)O)NC([C@H](CC(N)=O)N1)=O)=O)=O)=O)C1=O)=O)=O)=O)C(O)=O)=O

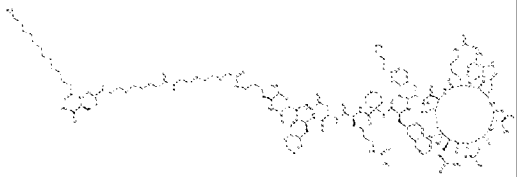
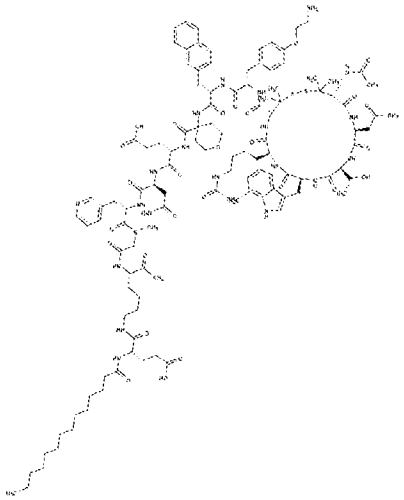
398	 C14gEPEG2PEG2CO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH2	CCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](Cc(cc1)ccc1OCCN)C(N[C@@H](Cc1cc2ccccc2cc1)C(NC1(CCOCC1)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)NC([C@H](CCCCNC(C)=O)NC([C@H](Cc1c[nH]c2c1cccc2C)NC([C@H]([C@@H](C)O)NC([C@H](CC(N)=O)N1)=O)=O)=O)=O)C1=O)=O)=O)=O)C(O)=O)=O
399	 MeCO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2PEG2gEC12)-CONH2	CCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N)=O)NC(CN(C)C([C@H](Cc1cnccc1)NC([C@H](CC(N)=O)NC([C@H](CCC(O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)C(O)=O)=O

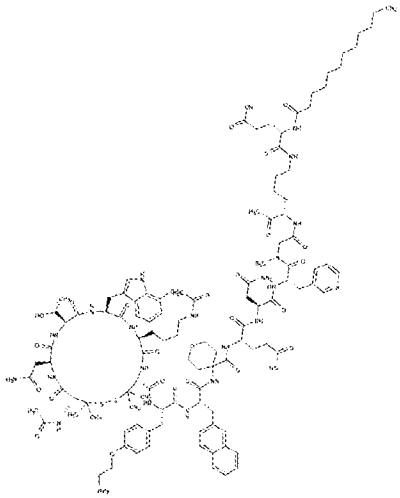
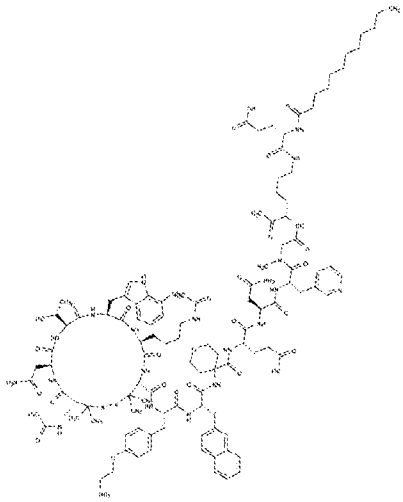
400	 MeCO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2PEG2gEC14)-CONH2	CCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N)=O)NC(CN(C)C([C@H](Cc1cnccc1)NC([C@H](CC(N)=O)NC([C@H](CCC(O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)=O)NC(C)=O)NC1=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)C(O)=O)=O
401	 MeCO-Pen(3)-K(PEG2PEG2gEC12)-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2	CCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H]1NC(C)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)=O)=O)=O)C(O)=O)=O

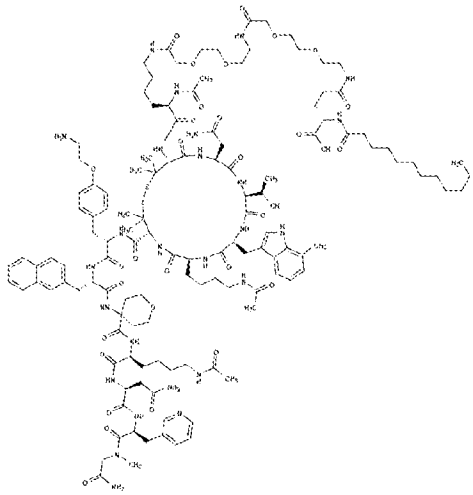
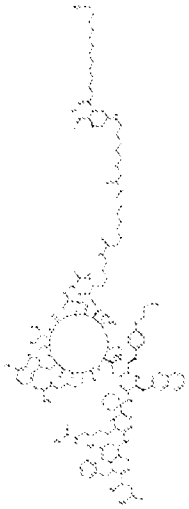
402	 MeCO-r-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2NMePEG2NMegENMeC18Tetrazole)-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCNC(N)=N)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N[C@@H](CCCCNC(COCCOCCN(C)C(COCCOCCN(C)C(CC[C@@H](C(O)=O)N(C)C(CCCCCCCCCCCCCCCCc2nnn[nH]2)=O)=O)=O)=O)C(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O
403	 MeCO-Pen(3)-K(C14)-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar	CCCCCCCCCCCCCC(NCCCC[C@@H](C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H]1NC(C)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)=O
404	 MeCO-Pen(3)-N-T-7MeW-K(C14)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar	CCCCCCCCCCCCCC(NCCCC[C@@H](C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@H]1Cc2c[nH]c3c2cccc3C)=O)=O)=O)NC(C)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)=O

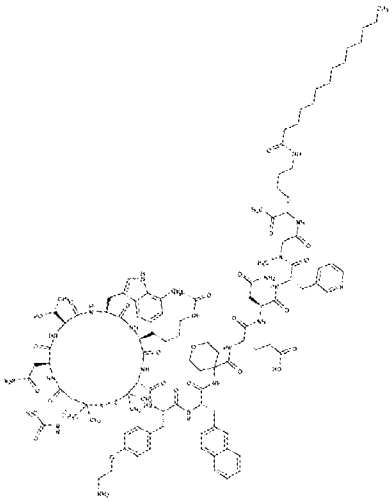
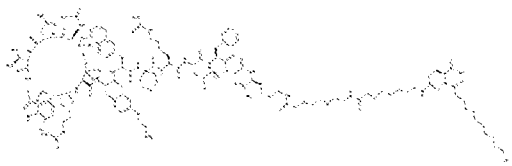
405	 MeCO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-K(C14)-N-3Pya-Sar	CCCCCCCCCCCCCC(NCCCC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N)=O)=O)=O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)=O)NC(C)=O)NC1=O)=O)=O)=O)=O
406	 MeCO-Pen(3)-K(gEC14)-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar	CCCCCCCCCCCCCC(N[C@@H](CCC(NCCCC[C@@H](C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H]1NC(C)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)=O)C(O)=O)=O

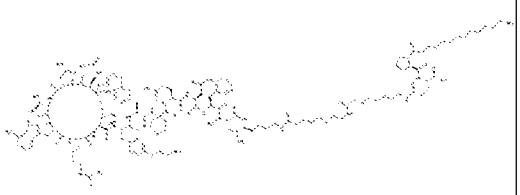
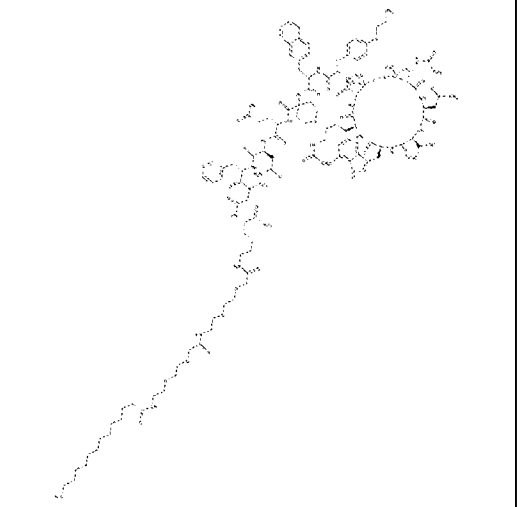
407	 MeCO-Pen(3)-N-T-7MeW-K(gEC14)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar	CCCCCCCCCCCCCC(N[C@@H](CCC(NCCCC[C@@H](C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@H]1Cc2c[nH]c3c2cccc3C)=O)=O)=O)NC(C)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)=O)C(O)=O)=O
408	 MeCO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-K(gEC14)-N-3Pya-Sar	CCCCCCCCCCCCCC(N[C@@H](CCC(NCCCC[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N)=O)=O)=O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)=O)NC(C)=O)NC1=O)=O)=O)=O)=O)=O)C(O)=O)=O

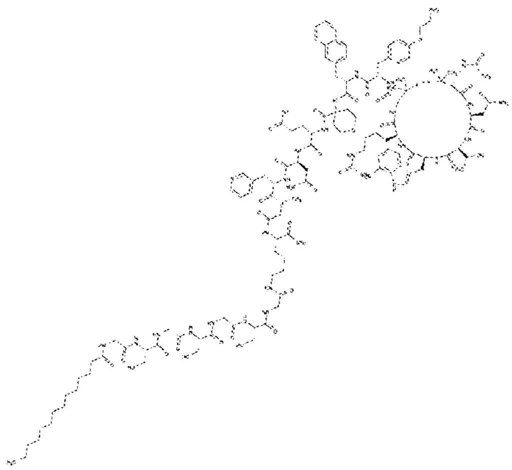
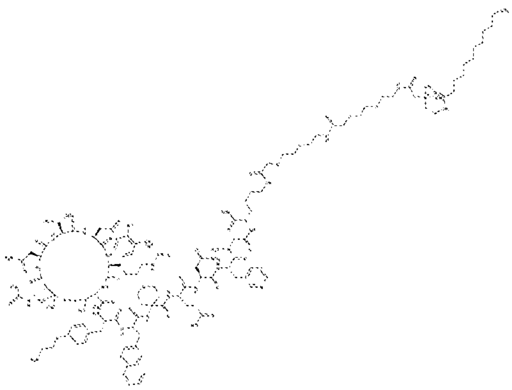
409	 MeCO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-K(PEG2PEG2gEC14)-CONH2	CCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N)=O)NC(CN(C)C([C@H](Cc1cnccc1)NC([C@H](CC(N)=O)NC([C@H](CCCCNC(C)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)NC(C)=O)NC1=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)C(O)=O)=O
410	 MeCO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-K(gEC14)-CONH2	CCCCCCCCCCCCCC(N[C@@H](CCC(O)=O)C(NCCCC[C@@H](C(C)=O)NC(CN(C)C([C@H](Cc1cnccc1)NC([C@H](CC(N)=O)NC([C@H](CCC(O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)NC(C)=O)NC1=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O

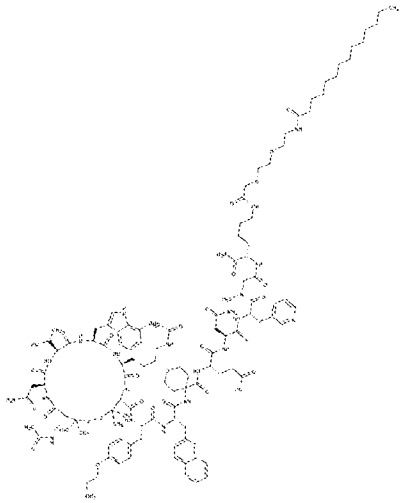
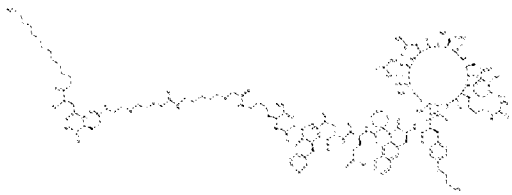
411	 MeCO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-K(C14)-CONH2	CCCCCCCCCCCC(NCCCC[C@@H](C(C)=O)NC(CN(C)C([C@H](Cc1cnccc1)NC([C@H](CC(N)=O)NC([C@H](CCC(O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)=O)NC(C)=O)NC1=O)=O)=O)=O)=O)=O)=O)=O)=O)=O
412	 MeCO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-K(gEC12)-CONH2	CCCCCCCCCCCC(N[C@@H](CCC(O)=O)C(NCCCC[C@@H](C(C)=O)NC(CN(C)C([C@H](Cc1cnccc1)NC([C@H](CC(N)=O)NC([C@H](CCC(O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)=O)NC(C)=O)NC1=O)=O)=O)=O)=O)=O)=O)=O)=O

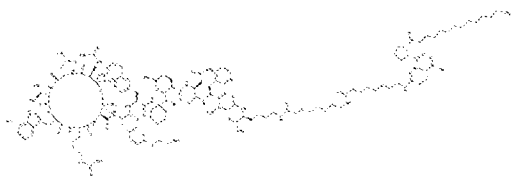
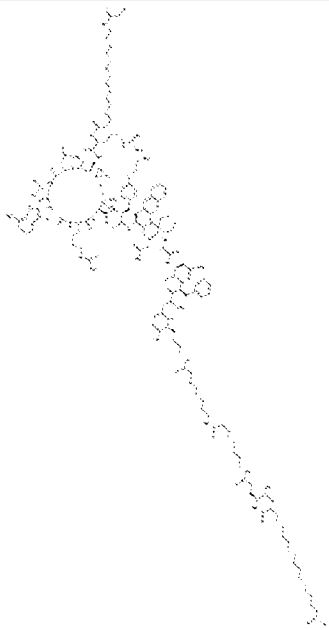
413	 MeCO-k(PEG2PEG2gEC12)-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH2	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](Cc(cc1)ccc1OCCN)C(N[C@@H](Cc1cc2ccccc2cc1)C(NC1(CCOCC1)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)NC([C@H](CCCCNC(C)=O)NC([C@H](Cc1c[nH]c2c1cccc2C)NC([C@H]([C@@H](C)O)NC([C@H](CC(N)=O)N1)=O)=O)=O)=O)C1=O)=O)NC(C)=O)=O)=O)=O)C(O)=O)=O
414	 MeCO-k(PEG2PEG2gEC14)-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH2	CCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](Cc(cc1)ccc1OCCN)C(N[C@@H](Cc1cc2ccccc2cc1)C(NC1(CCOCC1)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc1cnccc1)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)NC([C@H](CCCCNC(C)=O)NC([C@H](Cc1c[nH]c2c1cccc2C)NC([C@H]([C@@H](C)O)NC([C@H](CC(N)=O)N1)=O)=O)=O)=O)C1=O)=O)NC(C)=O)=O)=O)=O)C(O)=O)=O

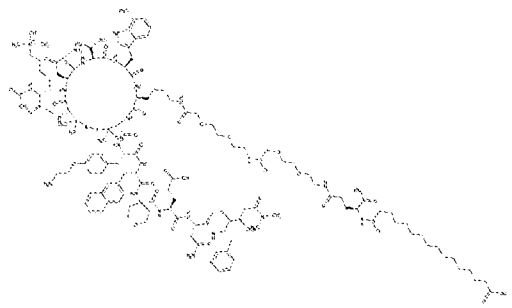
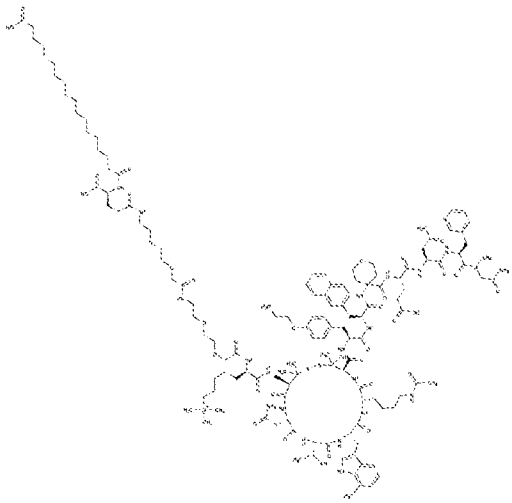
415	 MeCO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-K(C14)-CONH2	CCCCCCCCCCCCCC(NCCCC[C@@H](C(C)=O)NC(CN(C)C([C@H](Cc1cnccc1)NC([C@H](CC(N)=O)NC([C@H](CCC(O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)=O)NC(C)=O)NC1=O)=O)=O)=O)=O)=O)=O)=O
416	 MeCO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-K(PEG2PEG2gEC12)-CONH2	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N)=O)NC(CN(C)C([C@H](Cc1cnccc1)NC([C@H](CC(N)=O)NC([C@H](CCCCNC(C)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)=O)NC(C)=O)NC1=O)=O)=O)=O)=O)=O)=O)=O)C(O)=O)=O

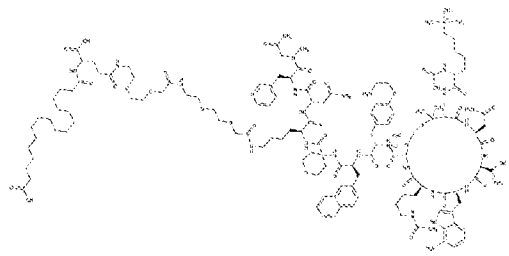
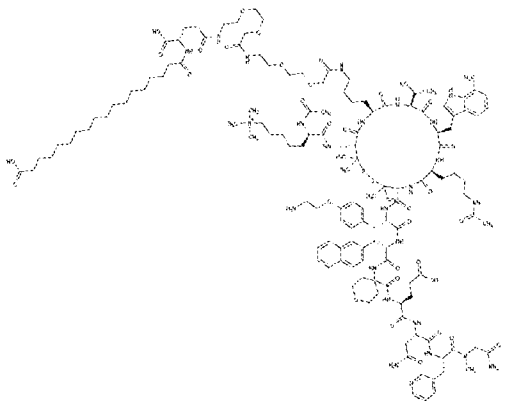
417	 MeCO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2PEG2gEDProC14)-CONH2	CCCCCCCCCCCCCC(N(CCC1)[C@H]1C(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N)=O)NC(CN(C)C([C@H](Cc1cnccc1)NC([C@H](CC(N)=O)NC([C@H](CCC(O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)=O)NC(C)=O)NC1=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)C(O)=O)=O)=O
418	 MeCO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2PEG2C14)-CONH2	CCCCCCCCCCCCCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N)=O)NC(CN(C)C([C@H](Cc1cnccc1)NC([C@H](CC(N)=O)NC([C@H](CCC(O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)=O)NC(C)=O)NC1=O)=O)=O)=O)=O)=O)=O)=O)=O)=O

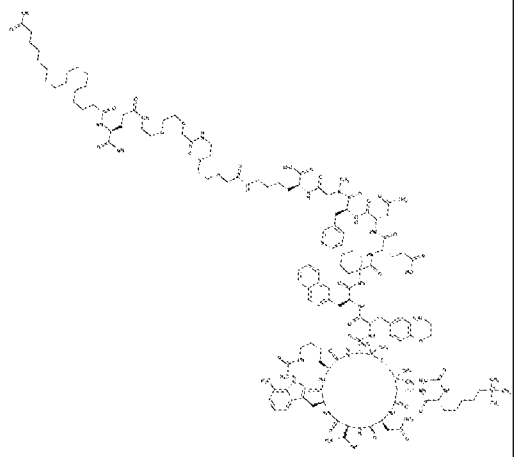
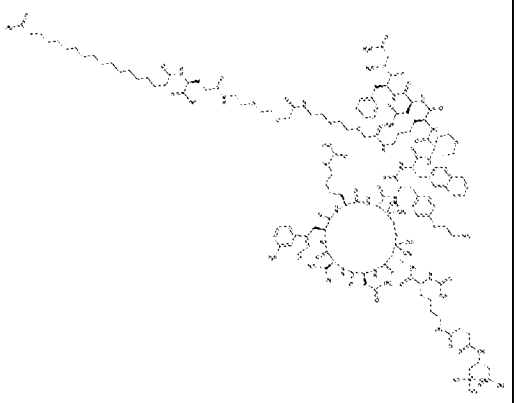
419	 MeCO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-K(GSGSGSGC14)-CONH2	CCCCCCCCCCCCCC(NCC(N[C@@H](CO)C(NCC(N[C@@H](CO)C(NCC(N[C@@H](CO)C(NCC(NCCCC[C@@H](C(N)=O)NC(CN(C)C([C@H](Cc1cnccc1)NC([C@H](CC(N)=O)NC([C@H](CCC(O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)=O)NC(C)=O)NC1=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O
420	 MeCO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2PEG2SP6C14)-CONH2	CCCCCCCCCCCC(NCC[N+](C)(C)CC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N)=O)NC(CN(C)C([C@H](Cc1cnccc1)NC([C@H](CC(N)=O)NC([C@H](CCC(O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)=O)NC(C)=O)NC1=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O

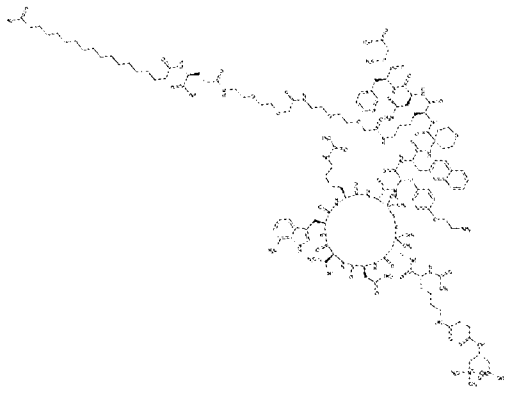
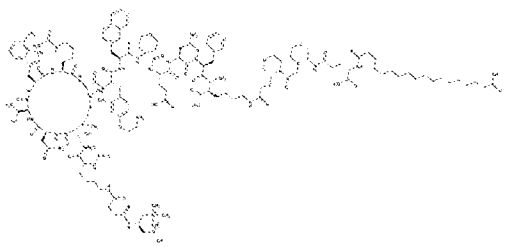
421	 MeCO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2C14)-CONH2	CCCCCCCCCCCCCC(NCCOCCOCC(NCCCC[C@@H](C(N)=O)NC(CN(C)C([C@H](Cc1cnccc1)NC([C@H](CC(N)=O)NC([C@H](CCC(O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1ccc c2C)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)=O)NC(C)=O)NC1=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O
422	 MeCO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2PEG2gESarC14)-CONH2	CCCCCCCCCCCCCC(N(C)CC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N)=O)NC(CN(C)C([C@H](Cc1cnccc1)NC([C@H](CC(N)=O)NC([C@H](CCC(O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1ccc c2C)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)=O)NC(C)=O)NC1=O)=O)=O)=O)=O)=O)=O)=O)=O)C(O)=O)=O)=O

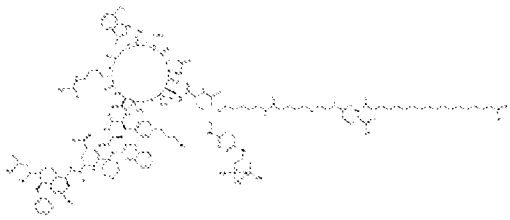
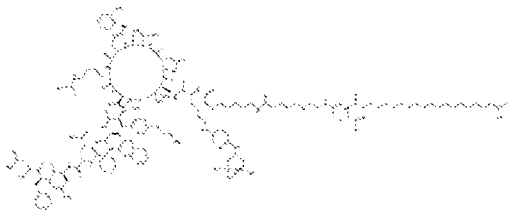
423	 MeCO-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2PEG2gEProC14)-CONH2	CCCCCCCCCCCCCC(N(CCC1)[C@@H]1C(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(N)=O)NC(CN(C)C([C@H](Cc1cnccc1)NC([C@H](CC(N)=O)NC([C@H](CCC(O)=O)NC(C1(CCOCC1)NC([C@H](Cc1cc2ccccc2cc1)NC([C@H](Cc(cc1)ccc1OCCN)NC([C@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@@H](CC(N)=O)C(N[C@@H]([C@@H](C)O)C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@H]1CCCCNC(C)=O)=O)=O)=O)=O)NC(C)=O)NC1=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)C(O)=O)=O)=O
424	 ClAcPEG4CO-r-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2PEG2gEC18OH)-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCNC(N)=N)NC(CCOCCOCCOCCOCCNC(CCl)=O)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)C(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O

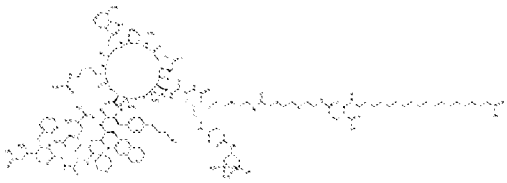
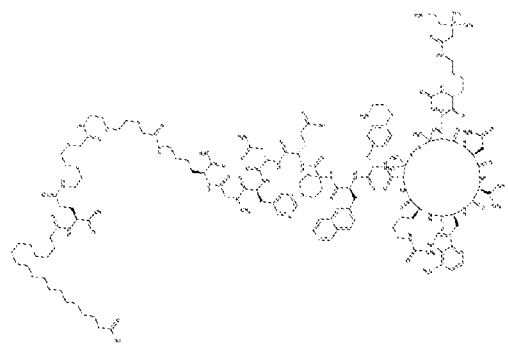
425	 MeCO-hk(Me)3-Pen(3)-N-T-7MeW-K(PEG2PEG2gEC18OH)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCCC[N+](C)(C)C)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N(C)C)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O
426	 HOC18gEPEG2PEG2CO-hk(Me)3-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCCC[N+](C)(C)C)NC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O

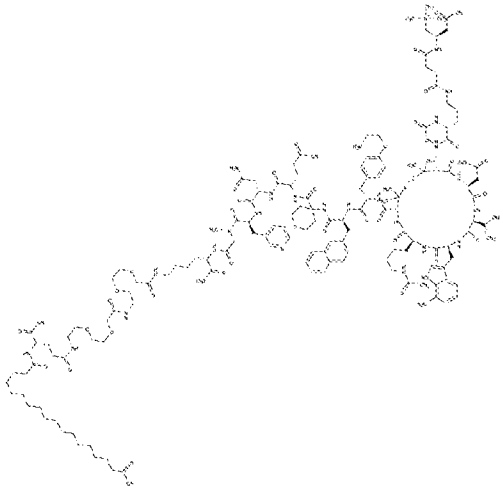
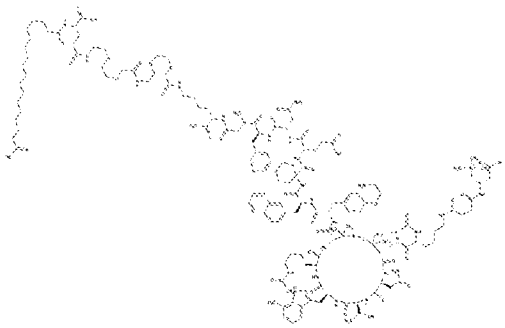
427	 MeCO-hk(Me)3-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-K(PEG2PEG2gEC18OH)-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCCC[N+](C)(C)C)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O
428	 MeCO-hk(Me)3-Pen(3)-K(PEG2PEG2gEC18OH)-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2Â	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)NC([C@@H](CCCCC[N+](C)(C)C)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O

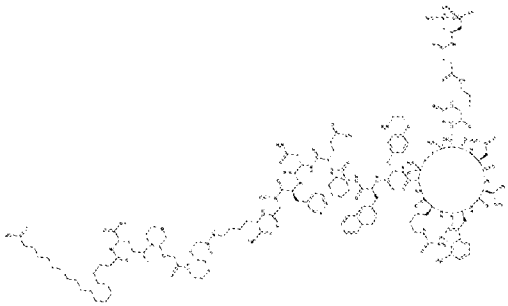
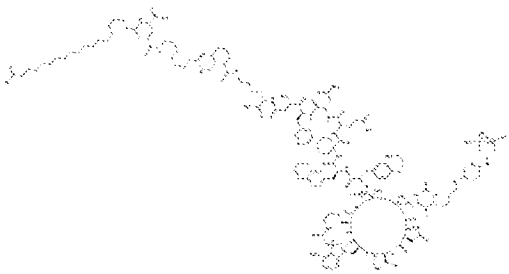
429	 MeCO-hk(Me)3-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2PEG2C18OH)-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCCC[N+](C)(C)C)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)C(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O
430	 MeCO-k(d)-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2PEG2gEC18OH)-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCCNC(CCC(N[C@H](CC(O)=O)C[N+](C)(C)C)=O)=O)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)C(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O

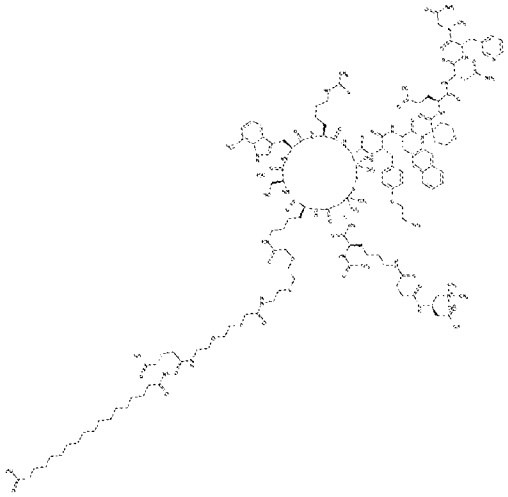
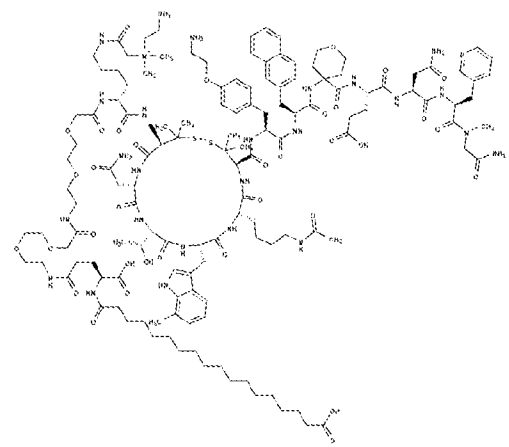
431	 MeCO-k(d)-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-K(PEG2PEG2gEC18OH)-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCCNC(CCC(N[C@H](CC(O)=O)C[N+](C)(C)C)=O)=O)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O
432	 MeCO-k(d)-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-NMeK(PEG2PEG2gEC18OH)-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCCNC(CCC(N[C@H](CC(O)=O)C[N+](C)(C)C)=O)=O)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)C(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O

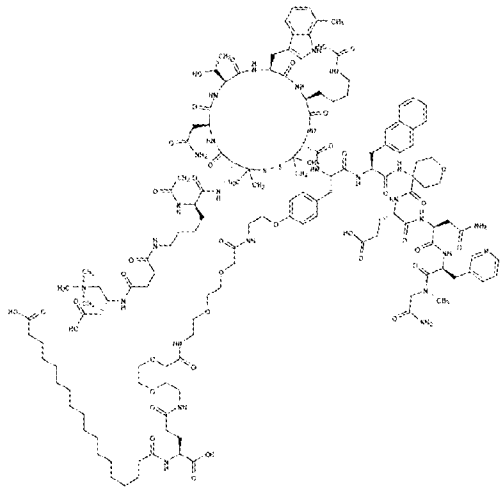
433	 HOC18gEPEG2PEG2CO-k(d)-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCCNC(CCC(N[C@H](CC(O)=O)C[N+](C)(C)C)=O)=O)NC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O
434	 HOC20gEPEG2PEG2CO-k(d)-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCCNC(CCC(N[C@H](CC(O)=O)C[N+](C)(C)C)=O)=O)NC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O

435	 HOC20gEPEG2PEG2CO-k(d)-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-K(NMeAc)-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCCNC(CCC(N[C@H](CC(O)=O)C[N+](C)(C)C)=O)=O)NC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCCCN(C)C(C)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O
436	 MeCO-k(SP6)-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2PEG2gEC20OH)-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCCNC(C[N+](C)(C)CCN)=O)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)C(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O

437	 MeCO-orn(d)-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2PEG2gEC18OH)-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCNC(CCC(N[C@H](CC(O)=O)C[N+](C)(C)C)=O)=O)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)C(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O
438	 MeCO-k(D)-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2PEG2gEC18OH)-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCCNC(CCC(N[C@@H](CC(O)=O)C[N+](C)(C)C)=O)=O)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)C(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O

439	 MeCO-orn(d)-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2PEG2gEC20OH)-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCNC(CCC(N[C@H](CC(O)=O)C[N+](C)(C)C)=O)=O)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)C(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O
440	 MeCO-k(D)-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2PEG2gEC20OH)-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCCNC(CCC(N[C@@H](CC(O)=O)C[N+](C)(C)C)=O)=O)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)C(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O

441	 MeCO-k(d)-Pen(3)-K(PEG2PEG2gEC18OH)-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)NC([C@@H](CCCCNC(CCC(N[C@H](CC(O)=O)C[N+](C)(C)C)=O)=O)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O
442	 HOC18gEPEG2PEG2CO-k(SP6)-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF-2Nal-THP-E-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCCNC(C[N+](C)(C)C)CN)=O)NC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCN)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O

443	 MeCO-k(d)-Pen(3)-N-T-7MeW-K(Ac)-Pen(3)-AEF(PEG2PEG2gEC18OH)-2Nal-THP-E-N-3Pya-Sar-CONH2	C[C@H]([C@@H](C(N[C@@H](Cc1c[nH]c2c1cccc2C)C(N[C@@H](CCCCNC(C)=O)C(N[C@@H](C(C)(C)SSC(C)(C)[C@@H](C(N[C@H]1CC(N)=O)=O)NC([C@@H](CCCCNC(CCC(N[C@H](CC(O)=O)C[N+](C)(C)C)=O)=O)NC(C)=O)=O)C(N[C@@H](Cc(cc2)ccc2OCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)C(N[C@@H](Cc2cc3ccccc3cc2)C(NC2(CCOCC2)C(N[C@@H](CCC(O)=O)C(N[C@@H](CC(N)=O)C(N[C@@H](Cc2cnccc2)C(N(C)CC(N)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)=O)NC1=O)O

SYNTHESIS

[00095] The compounds described herein may be synthesized by many techniques that are known to those skilled in the art. In certain aspects, monomer subunits are synthesized and purified using the techniques described in the accompanying Examples.

[00096] In some aspects, the present invention provides a method of producing a compound (or monomer subunit thereof) of the invention, comprising chemically synthesizing a peptide having an amino acid sequence described herein, including but not limited to any of the amino acid sequences set forth in the compounds of Formual (I) to Formula (X) ,Table 1A, Table 1B, Table 1C, Table 1D, Table 1E, Table 1F, Table 1G, Table 1H, Table 1I, Table 1J, Table 1K, Table 1L, and Table 1M herein. In some aspects, a portion of the peptide is recombinantly synthesized, instead of being chemically synthesized. In some aspects, methods of producing a compound further include cyclizing the compound precursor after the constituent subunits have been attached. In particular aspects, cyclization is accomplished via any of the various methods described herein.

[00097] The present invention may include, but is not limited to, polynucleotides and vectors (e.g., expression vectors) that encode a portion of the amino acid sequence of a compound described herein, for instance, in the accompanying Examples, Table 1A, Table 1B, Table 1C, Table 1D, Table 1E, Table 1F, Table 1G, Table 1H, Table 1I, Table 1J, Table 1K, or Table 1L.

[00098] The present invention further describes synthesis of lipidated compounds described herein, such as the compounds of Formual (I) to Formula (X), and the compounds of Table 1A, Table 1B, Table 1C, Table 1D, Table 1E, Table 1F, Table 1G, Table 1H, Table 1I, Table 1J, Table 1K, Table 1L, and Table 1M .

[00099] In some aspects, one or more of the amino acid residues or amino acid monomers are lipidated and then covalently attached to one another to form a compound of the invention.

[000100] In some aspects, one or more of the amino acid residues or amino acid monomers are covalently attached to one another and lipidated at an intermediate oligomer stage before attaching additional amino acids and cyclization to form a compound of the invention.

[000101] In some aspects, a cyclic peptide is synthesized and then lipidated to form a compound of the invention. Illustrative synthetic methods are described in the Examples.

[000102] The present invention further describes synthesis of compounds described herein, such as the compounds of Formulas (I) to (X) and the compounds of Table 1A, Table 1B, Table 1C, Table 1D, Table 1E, Table 1F, Table 1G, Table 1H, Table 1I, Table 1J, Table 1K, Table 1L, and Table 1M. Illustrative synthetic methods are described in the Examples.

IV. PHARMACEUTICAL COMPOSITIONS

[000103] The present invention relates to pharmaceutical composition which comprises an IL-23R inhibitor of the present invention.

[000104] The present invention includes pharmaceutical compositions comprising one or more inhibitors of the present invention and a pharmaceutically acceptable carrier, diluent or excipient.

[000105] The pharmaceutically acceptable carrier, diluent or excipient may be a 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.

[000106] The pharmaceutical compositions may be 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. A pharmaceutical composition may be formulated for and administered orally. A pharmaceutical composition may be formulated for and administered parenterally.

[000107] In particular aspects, an IL-23R inhibitor of the present invention, 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).

[000108] The IL-23R inhibitors of the present invention may be prepared and/or formulated as pharmaceutically acceptable salts or when appropriate in neutral form. Pharmaceutically acceptable salts are non-toxic salts of a neutral form of a compound that possess the desired pharmacological activity of the neutral form. These salts may be derived from inorganic or organic acids or bases. For example, a compound that contains a basic nitrogen may be prepared as a pharmaceutically acceptable salt by contacting the compound with an inorganic or organic acid. Non-limiting examples of pharmaceutically acceptable salts include sulfates, pyrosulfates, bisulfates, sulfites, bisulfites, phosphates, monohydrogen-phosphates, dihydrogenphosphates, metaphosphates, pyrophosphates, chlorides, bromides, iodides, acetates, propionates, decanoates, caprylates, acrylates, formates, isobutyrates, caproates, heptanoates, propiolates, oxalates, malonates, succinates, suberates, sebacates, fumarates, maleates, butyne-1,4-dioates, hexyne-1,6-dioates, benzoates, chlorobenzoates, methylbenzoates, dinitrobenzoates, hydroxybenzoates, methoxybenzoates, phthalates, sulfonates, methylsulfonates, propylsulfonates, besylates, xylenesulfonates, naphthalene-1-sulfonates, naphthalene-2-sulfonates, phenylacetates, phenylpropionates, phenylbutyrates, citrates, lactates, γ-hydroxybutyrates, glycolates, tartrates, and mandelates. Lists of other suitable pharmaceutically acceptable salts are found in Remington: The Science and Practice of Pharmacy, 21st Edition, Lippincott Wiliams and Wilkins, Philadelphia, Pa., 2006.

[000109] Examples of "pharmaceutically acceptable salts" of the compounds disclosed herein also include salts derived from an appropriate base, such as an alkali metal (for example, sodium, potassium), an alkaline earth metal (for example, magnesium), ammonium and NX_4^+ (wherein X is C_1–C_4 alkyl). Also included are base addition salts, such as sodium or potassium salts.

[000110] The present invention relates to pharmaceutial compositions comprisng an IL-23R inhibitor of the present invention or pharmaceutically acceptable salts, isomers, or a mixture thereof, in which from 1 to n hydrogen atoms attached to a carbon atom may be replaced by a deuterium atom or D, in which n is the number of hydrogen atoms in the molecule. As known in

the art, the deuterium atom is a non-radioactive isotope of the hydrogen atom. Such compounds may increase resistance to metabolism, and thus may be useful for increasing the half-life of the compounds described herein or pharmaceutically acceptable salts, isomer, or a mixture thereof when administered to a mammal. *See,* e.g., Foster, "Deuterium Isotope Effects in Studies of Drug Metabolism," Trends Pharmacol. Sci., 5(12):524-527 (1984). Such compounds are synthesized by means well known in the art, for example by employing starting materials in which one or more hydrogen atoms have been replaced by deuterium.

[000111] Examples of isotopes that can be incorporated into the disclosed compounds also include isotopes of hydrogen, carbon, nitrogen, oxygen, phosphorous, fluorine, chlorine, and iodine, such as ^{2}H, ^{3}H, ^{11}C, ^{13}C, ^{14}C, ^{13}N, ^{15}N, ^{15}O, ^{17}O, ^{18}O, ^{31}P, ^{32}P, ^{35}S, ^{18}F, ^{36}Cl, ^{123}I, and ^{125}I, respectively. Substitution with positron emitting isotopes, such as ^{11}C, ^{18}F, ^{15}O and ^{13}N, can be useful in Positron Emission Topography (PET) studies for examining substrate receptor occupancy. Isotopically labeled compounds of Formula (I), can generally be prepared by conventional techniques known to those skilled in the art or by processes analogous to those described in the Examples as set out below using an appropriate isotopically labeled reagent in place of the non-labeled reagent previously employed.

[000112] In some aspects, 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. These 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.

[000113] Injectable depot forms include those made by forming microencapsulated 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.

[000114] 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.

[000115] 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 ingredient may 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.

[000116] Alternatively, a pharmaceutical composition of the present invention 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 be 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.

[000117] A further form of topical administration is to the eye. A peptide inhibitor of the present discloure 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 humor.

[000118] Compositions for rectal or vaginal administration include suppositories which may be prepared by mixing the peptide inhibitors 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.

[000119] 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.

[000120] Pharmaceutical compositions suitable for parenteral administration in a method or use described herein may comprise sterile aqueous solutions and/or suspensions of the IL:-23R inhibitors made isotonic with the blood of the recipient, generally using sodium chloride, glycerin, glucose, mannitol, sorbitol, and the like.

[000121] The present invention provides a pharmaceutical composition for oral delivery. Compositions and peptide inhibitors of the present 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.

[000122] 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 formulations for oral administration 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.

[000123] In particular aspects, 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.

[000124] Tablets may contain excipients, glidants, fillers, binders and the like. Aqueous compositions are prepared in sterile form, and when intended for delivery by other than oral administration generally will be isotonic. Compositions may optionally contain excipients such as those set forth in the "Handbook of Pharmaceutical Excipients" (1986). Excipients include ascorbic acid and other antioxidants, chelating agents such as EDTA, carbohydrates such as dextran, hydroxyalkylcellulose, hydroxyalkylmethylcellulose, stearic acid and the like. The pH of the compositions ranges from, for example, about 3 to about 11. The pH of the compositions may, for example, range from about 5 to about 7 or from about 7 to about 10.

[000125] An oral pharmaceutical composition of the present invention may comprise an IL-23R inhibitor of the present invention may comprise an enteric coating that is designed to delay release of the IL-23R inhibitor in the small intestine. The present invention relates to a pharmaceutical composition that comprises an IL-23R inhibitor of the present invention and a protease inhibitor, such as aprotinin, in a delayed release pharmaceutical formulation. Pharmaceutical compositions (e.g., oral pharmaceutical compositions) may comprise an enteric coat that is soluble in gastric juice at a pH of about 5.0 or higher. Such enteric coatings may comprise 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.

[000126] An oral pharmaceutical composition comprising an IL-23R inhibitor of the present invention that comprises an IL-23R inhibitor whichmay comprise an enteric coating that is 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 an IL-23R 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.

[000127] To overcome peptide degradation of an IL-23R inhibitor of the present invention in the small intestine, the pharmaceutical compositions may comprise a hydrogel polymer carrier system in which a peptide inhibitor of the present invention is contained, whereby the hydrogel polymer protects the IL-23R inhibitor from proteolysis in the small intestine and/or colon. An

IL-23R inhibitor 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.

[000128] Various bioresponsive systems may also be combined with one or more an IL-23R inhibitors of the present invention to provide a pharmaceutical agent for oral delivery. For example, an IL-23R inhibitor of the present invention may be 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.

[000129] In certain aspects , pharmaceutical composition and formulations may include an IL-23R inhibitor of the present invention and one or more absorption enhancers, enzyme inhibitors, or mucoso adhesive polymers. In an embodiment, the absorption enhancer may be an intestinal permeation enhancer.

[000130] IL-23R inhibitors of the present invention may be formulated in a formulation vehicle, such as, e.g., emulsions, liposomes, microsphere or nanoparticles.

[000131] The present invention provides for a method for treating a subject with an IL-23R 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 IL-23R 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 IL-23R 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 IL-23R 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 IL-23R inhibitor contains one or more chemical modifications to increase serum half-life.

[000132] 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.

[000133] The total daily usage of the IL-23R inhibitor 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.

[000134] In particlar embodiments, the total daily dose of an IL-23R inhibitor of the present 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.

[000135] The compositions may conveniently be presented in unit dosage form and can be prepared by any of the methods well known in the art of pharmacy. Techniques and compositions generally are found in Remington's Pharmaceutical Sciences (Mack Publishing Co., Easton, PA). Such methods include the step of bringing into association the active ingredient with the carrier which constitutes one or more accessory ingredients. In general, the compositions are prepared by uniformly and intimately bringing into association the active ingredient with liquid carriers or finely divided solid carriers or both, and then, if necessary, shaping the product.

[000136] Compositions suitable for oral administration can be presented as discrete units such as capsules, cachets or tablets each containing a predetermined amount of the active ingredient; as a powder or granules; as a solution or a suspension in an aqueous or non-aqueous liquid; or as an oil-in-water liquid emulsion or a water-in-oil liquid emulsion. The active ingredient may also be administered as a bolus, electuary or paste. The active ingredient may also be administered as a buccal or sublingual formulation. Buccal or sublingal formulations may comprise an active ingredient in a matrix that releases the active ingredient for transport across the buccal and/or sublingual membranes. The buccal or sublingual formulation may further include a rate controlling matrix that releases the active compounds at a a predetermined rate for transport across the buccal and/or sublingual membranes. The buccal or sublingual formulation may further include one or more compounds selected from the group consisting of (i) taste masking agents, (ii) enhancers, (iii) complexing agents, and mixtures thereof; and (iv) other pharmaceutically acceptable carriers and/or excipients. The enhancer may be a permeation enhancer.

[000137] A tablet is made by compression or molding, optionally with one or more accessory ingredients. Compressed tablets can be prepared by compressing in a suitable machine the active ingredient in a free-flowing form such as a powder or granules, optionally mixed with a binder, lubricant, inert diluent, preservative, surface active or dispersing agent. Molded tablets may be

made by molding in a suitable machine a mixture of the powdered active ingredient moistened with an inert liquid diluent. The tablets can optionally be coated or scored and optionally are formulated so as to provide slow or controlled release of the active ingredient therefrom.

V. NON-INVASIVE DETECTION OF INTESTINAL INFLAMMATION

[000138] The IL-23R inhibitors of the present 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 non-invasive diagnostic procedure. In certain embodiments, an IL-23R inhibitor of the present invention is conjugated with a bifunctional chelator. In certain embodiments, an IL-23R inhibitor of the present invention is radiolabeled. The labeled an IL-23R inhibitor is then administered to a subject orally or rectally. In certain embodiments, an IL-23R inhibitor is included in drinking water. Following uptake of an IL-23R inhibitor, microPET imaging may be used to visualize inflammation throughout the subject's bowels and digestive track.

VI. METHODS OF TREATMENTS AND/OR USES

[000139] The present invention relates to relates to methods for treating a subject afflicted with a condition or indication associated with IL-23 or IL-23R (e.g., activation of the IL-23/IL-23R signaling pathway), where the method comprises administering to the subject an IL-23R inhibitor disclosed herein. In one aspect, the present invention relates to a method 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 an IL-23R in the subject. The inhibition of IL-23 binding to IL-23R may occur 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.

[000140] The present invention relates to methods comprising providing a peptide inhibitor described herein to a subject in need thereof. The subject in need thereof may be a subject that has been diagnosed with or has been determined to be at risk of developing a disease or disorder associated with IL-23/IL-23R. The subject may be a mammal. The subject may be, in particular, a human.

[000141] The disease or disorder to be treated by treatment with an IL-23R inhibitor of the present invention may be 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, the disease or disorder may be 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.

[000142] The present invention relates to a method or use of an IL-23R inhibitor for treating an inflammatory disease in a subject that includes administering to the subject a therapeutically effective amount of an IL-23R inhibitor of the present invention or pharmaceutically acceptable solvate or salt thereof, or a composition disclosed herein comprising an IL-23 inhibitor of the present invention. In some aspects, the present invention provides a method of treating an inflammatory disease in a subject that includes administering to the subject a therapeutically effective amount of an IL-23R inhibitor of the present invention or pharmaceutically acceptable solvate or salt thereof, or a composition of the present invention. Suitable inflammatory diseases for treatment with a compound or pharmaceutically acceptable salt thereof, or a composition of the present invention, may include, but are not limited to inflammatory bowel disease (IBD), Crohn's disease (CD), ulcerative colitis (UC), psoriasis (PsO), or psoriatic arthritis (PsA) and the like. The inflammatory disease to be treated may be inflammatory bowel disease (IBD), Crohn's disease, or ulcerative colitis. The inflammatory disease to be treated may be selected from psoriasis, or psoriatic arthritis. The inflammatory disease to be treated may be psoriasis The inflammatory disease to be treated may be psoriatic arthritis. The inflammatory disease to be treated may be IBD.

[000143] The present invention relates to methods for treating an inflammatory disease in a subject in need thereof, comprising administering to the subject an IL-23R inhibitor disclosed herein (e.g., a peptide inhibitor or the IL-23R of Formula (I) to Formula (X) or any of Tables 1A to 1M. The inflammatory disease may be IBD, Crohn's disease, or ulcerative colitis. In aspect, the IBD may be ulcerative colitis. In an aspect, the IBD may be Crohn's disease. In an aspect, the inflammatory disease may be psoriasis (PsO), or psoriatic arthritis (PsA).

[000144] The present invention relates to methods for treating an inflammatory disease in a subject in need thereof, comprising administering to the subject an IL-23R inhibitor of Formula (I). The inflammatory disease may be IBD, Crohn's disease, or ulcerative colitis. In aspect, the IBD may be ulcerative colitis. In an aspect, the IBD may be Crohn's disease. In an aspect, the inflammatory disease may be psoriasis (PsO), or psoriatic arthritis (PsA).

[000145] The present invention relates to methods for treating an inflammatory disease in a subject in need thereof, comprising administering to the subject an IL-23R inhibitor of Formula (X). The inflammatory disease may be IBD, Crohn's disease, or ulcerative colitis. In aspect, the IBD may be ulcerative colitis. In an aspect, the IBD may be Crohn's disease. In an aspect, the inflammatory disease may be psoriasis (PsO), or psoriatic arthritis (PsA).

[000146] The present invention relates tomethods for treating an inflammatory bowel disease (IBD) in a subject in need thereof, comprising administering to the subject an IL-23R inhibitor of: Example 2 (Compound 2, SEQ ID NO:2); Example (SEQ ID NO:4); Example 11 (SEQ ID NO:11); Example 17 (SEQ ID NO:17); Example 18 (SEQ ID NO:18); Example 19 (SEQ ID NO:19); Example 20 SEQ ID NO:20); Example 21 SEQ ID NO:21); Example 23 (SEQ ID NO:23); or Example 24 (SEQ ID NO:24). The inflammatory disease may be IBD, Crohn's disease, or ulcerative colitis. The IBD may be ulcerative colitis. The IBD may be Crohn's disease. The inflammatory disease may be psoriasis (PsO), or psoriatic arthritis (PsA).

[000147] The present invention relates to methods of inhibiting IL-23 binding to an IL-23R on a cell, comprising contacting the IL-23R with a peptide inhibitor of the receptor disclosed herein. The cell may be a mammalian cell. The method may be 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.

[000148] The present invention relates to a method of selectively inhibiting IL-23 or IL-23R signaling (or the binding of IL-23 to IL-23R) in a subject (e.g., in a subject in need thereof), comprising providing to the subject a peptide inhibitor of the IL-23R described herein. The present invention includes and provides 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 (e.g., a subject in need thereof), comprising providing to the subject a peptide inhibitor of the IL-23R of the present invention by oral administration. The exposure of GI tissues (e.g., small intestine or colon) to the administered peptide inhibitor may be at least 10-fold, at least 20-fold, at least 50-fold, or at least 100-fold greater than the exposure (level) 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 (e.g., 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 (e.g., 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.

[000149] The present invention relates tomethods of inhibiting IL-23 signaling by a cell, comprising contacting the IL-23R with a peptide inhibitor described herein. 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 signaling may be determined by measuring changes in phospho-STAT3 levels in the cell.

[000150] In any of the foregoing methods, IL-23R inhibitor administration to a subject may be conducted orally, but other routes of administration are not excluded. Other routes of administration include, but are not limited to, parenteral, subcutaneous, intravenous, intramuscular, intraperitoneal, transdermal, topical, buccal or ocular routes. Dosages of a peptide inhibitor or the IL-23R described herein (e.g., a compound of Formula (I) to Formula (X) or any of Tables 1A to 1M), or salt or solvate thereof to be administered to a subject may be determined by a person of skill in the art taking into account the the disease or condition being treated including its severity, and factors including the age weight, sex, and the like. Exemplary dose ranges include, but are not limited to, from about 1 mg to about 1000 mg, or from about 1 mg to about 500 mg, from about 1 mg to about 100 mg, from about 10 mg to about 50 mg, from about 20 mg to about 40 mg, or from about 20 mg to about 30 mg. A dose range of a peptide inhibitor or the IL-23R described herein may be from about 600 mg to about 1000 mg. A dose range of a peptide inhibitor or the IL-23R described herein may be from about 300 mg to about 600 mg. A dose range of a peptide inhibitor or the IL-23R described herein may be from about 5 mg to about 300 mg. A dose range of a peptide inhibitor or the IL-23R described hereinmay be from about 25 mg to about 150 mg. A dose range of a peptide inhibitor or the IL-23R described herein may be from about 25 mg to about 100 mg. A dose range of a peptide inhibitor or the IL-

23R described herein may be present in a dose range of from about 1 mg to about 100 mg. A dose range of a peptide inhibitor or the IL-23R described herein may be present in a dose range of from about 20 mg to about 40 mg. A dose range of a peptide inhibitor or the IL-23R described herein may be present in a dose range of from about 20 mg to about 30 mg.

VII. CERTAIN ASPECTS

[000151] The following aspects illustrate the invention. These aspects are not intended to limit the scope of the present invention, but rather to provide guidance to the skilled artisan to prepare and use the compounds, compositions, and methods of the present invention. While particular aspects of the present invention are described, the skilled artisan will appreciate that various changes and modifications can be made without departing from the spirit and scope of the invention.

Formula I

1. An interleukin-23 receptor inhibitor which comprises an amino acid sequence of Formula I

R1-X3-X4-X5-T-X7-X8-X9-X10-X11-THP-X13-N-X15-X16-R2 (I)

wherein:

R1 is hydrogen, C_1 to C_4 alkyl C(O)-, or C_1 to C_4 alkyl C(O)- substituted with Cl, F, or cyano, or cPEG3aCO;

X3 is dR, R, K, dK, or absent;

X4 is Pen, Abu, aMeC, or C;

X5 is K-Z or dK-Z;

X7 is 7MeW, W, 3Pya, 7(2ClPh)W, 7(3(1NMepip)pyraz)W, 7(3(6AzaInd1Me))W, 7(3CF3TAZP)W, 7(3NAcPh)W, 7(3NPyrazPh)W, 7(3NpyrlonePh)W, 7(3UrPh)W, 7(4(CpCNPh))W, 7(4CF3Ph)W, 7(4NAcPh)W, 7(4OCF3Ph)W, 7(4OMePh)W, 7(4Paz)W, 7(5(2(4OMePh)Pyr))W, 7(5(Ina7Pyr))W, 7(6(1)7dMeNDAZ))W, 7(6(2MeNDAZ))W, 7(7(124TAZP))W, 7(7Imzpy)W, 7BrW, 7EtW, 7PhW, 7PyrW, A, DT, or D7MeW;

X8 is KAc, dK(Ac), K or dK;

X9 is Pen, Abu, aMeC,or C;

X10 is AEF or dAEF;

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;X15 is 3Pya, 3MeH, H, F, hF, Y, dY, Y(CHF2), PAF, oAMPhe, F(CF3), dPaf, D3Pya, ACIPA(SR), 6OH3Pya, 5PyrimidAla, 5MePyridinAla, 5MeH, 5AmPyridinAla, 4TriazolAla, 4PyridinAla, 4Pya, 3QuinolAla, 3OHPhe, 3AmPyrazolAla, 2AmTyr, or 1MeH;

X13 is K(Ac), d(KAc), E, or dE;

X15 is absent, 3pya, 3MeH, H, F, hF, Y, dY, Y(CHF2), PAF, oAMPhe, F(CF3), dPaf, D3Pya, ACIPA(SR), 6OH3Pya, 5PyrimidAla, 5MePyridinAla, 5MeH, 5AmPyridinAla, 4TriazolAla, 4PyridinAla, 4Pya, 3QuinolAla, 3OHPhe, 3AmPyrazolAla, 2AmTyr, or 1MeH;

X16 is meG, 4(R)HydroxyPro, 4(S)AminoPro, 4diFPro, 5(R)diMePro, aMeP, N(3AmBenzyl)Gly, N(Cyclohexyl)Gly, N(Isobutyl)Gly, P,or dP;

R2 is –OH, -NH2, -NH(C1 to C4 alkyl), -NH(C1-C4 alkyl), or -N(C1 to C4 alkyl)$_2$, each alkyl optionally substituted with Cl, F, or cyano; and

Z is group comprising a lipid moiety; and

wherein the IL-23R inhibitor is cyclized by a disulfide or thioether first bond between X4 and X9.

2. The IL-23R inhibitor of aspect 1, wherein

X7 is 7MeW or W;

X11 is 2Nal.

X15 is 3Pya; and

X16 is meGly or dmeGly.

3. The IL-23R inhibitor of aspect 1 or aspect 2, wherein.

X4 is Pen; and X5 is Pen.

4. The IL-23R inhibitor of aspect of any of aspects 1-3, wherein X5 is dK(gEC16), k(gEC18), dK(PEG2PEG2gEC10OH), dK(PEG2PEG2-gEC16OH), dK(PEG2PEG2-gEC18OH), dK(PEG2PEG2-gEC20OH), dK(1PEG2_1PEG2_IsoGlu_C16_Diacid), K(1PEG2_1PEG2_IsoGlu_C18_Diacid), K(gEC16), K(gEC18), K(gEC18OH), K(PEG2gE C18OH), K(PEG2PEG2-C18OH), K(PEG2PEG2gEC18OH), K(PEG2-PEG2gE-C18OH), K(PEG2PEG2gEC20OH), K(PEG2PEG2pgEC18OH), K(PEG2PEG2PgEC18OH), K(PEG2PEG2-pppgE-C18OH), K(PEG2PEG2-PPPgE-C18OH), K(PEG2PEG6 gE C18OH), or K(PEG6gEC18OH.

Formula II

5. An interleukin-23 receptor inhibitor which comprises an amino acid sequence of Formula II

R1-X3-X4-X5-T-X7-X8-X9-X10-X11-X12-X13-X14-X15-X16-X17-R2 (II)

wherein:

R1 is hydrogen, C1 to C4 alkyl C(O)-, or C1 to C4 alkyl C(O)- substituted with Cl, F, or cyano, 5Ava, AEEP, cPEG3aCO, C12gEPEG2PEG2CO, C14gEPEG2PEG2CO or Z;

X3 is dR, dK, dK(d), or absent;

X4 is Pen, Abu, aMeC, or C;

X5 is L, N, aMeN, dK, dK(d), E, or K;

X7 is 7MeW, W, 3Pya, 7(2ClPh)W, 7(3(1NMepip)pyraz)W, 7(3(6AzaInd1Me))W, 7(3CF3TAZP)W, 7(3NAcPh)W, 7(3NPyrazPh)W, 7(3NpyrlonePh)W, 7(3UrPh)W, 7(4(CpCNPh))W, 7(4CF3Ph)W, 7(4NAcPh)W, 7(4OCF3Ph)W, 7(4OMePh)W, 7(4Paz)W, 7(5(2(4OMePh)Pyr))W, 7(5(Ina7Pyr))W, 7(6(1)7dMeNDAZ))W, 7(6(2MeNDAZ))W, 7(7(124TAZP))W, 7(7Imzpy)W, 7BrW, 7EtW, 7PhW, 7PyrW, A, DT, or D7MeW;

X8 is K dK, K-Z, or dK-Z;

X9 is Pen, C, aMeC, Abu;

X10 is AEF, F, or F4OMe;

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 THP or aMeL;

X13 is E, L, KAc, dK, K, dL, dKAc, or dE;

X14 is N, L, dN, or dL;

X15 is 3Pya, 3MeH, H, F, hF, Y, dY, Y(CHF2), PAF, oAMPhe, F(CF3), dPaf, D3Pya, ACIPA(SR), 6OH3Pya, 5PyrimidAla, 5MePyridinAla, 5MeH, 5AmPyridinAla, 4TriazolAla, 4PyridinAla, 4Pya, 3QuinolAla, 3OHPhe, 3AmPyrazolAla, 2AmTyr, 1MeH or NH(2-(pyridine-3-yl)ethyl);

X16 is meG, 4(R)HydroxyPro, 4(S)AminoPro, 4diFPro, 5(R)diMePro, aMeP, N(3AmBenzyl)Gly, N(Cyclohexyl)Gly, N(Isobutyl)Gly, P,or dP, or absent;

X17 is absent or (PEG2PEG2PEG2PEG2gEC12), K(PEG2PEG2gEC12); and

R2 is -OH -NH2, -NH(C1 to C4 alkyl), -H(C1-C4 alkyl), -N(C1 to C4 alkyl)$_2$, each alkyl optionally substituted with Cl, F, or cyano or K(PEG2PEG2gEC12); and

Z is group comprising a lipid moiety; and

wherein the IL-23R inhibitor is cyclized by a disulfide or thioether first bond between X4 and X9, and an amide second bond when X5 is E and X10 is AEF.

6. The IL-23R inhibitor of aspect 5, wherein:

X3 is absent;

X4 is Pen, Abu, aMeC, or C;

X5 is L, N, aMeN, dK, dK(d), E, or K;

X7 is W or 7MeW;

X8 is K dK, K-Z, or dK-Z;

X9 is Pen, C, aMeC, Abu;

X10 is AEF, F, or F4OMe;

X11 is 2Nal;

X12 is THP or aMeL;

X13 is E, L, KAc, dK, or K;

X14 is N, L, dN, or dL;

X15 is 3Pya or NH(2-(pyridin-3-yl)ethyl);

X16 is Sarc or absent;

X17 is absent or K(PEG2PEG2gEC12).

7. The IL-23R inhibitor of aspect 5 or 6, wherein:

X4 is Pen, aMeC, or C;

X9 is Pen, C, or aMeC; and

the IL-23R inhibitor is cyclized by a disulfide or thioether first bond between X4 and X9.

8. The IL-23R inhibitor of aspect **Error! Reference source not found.**, wherein X8 is K(PEG12_C18_Diacid, K(PEG4_C18_Diacid, K(IsoGlu_C18_Diacid, K(IsoGlu_Palm), K(PEG4_IsoGlu_Palm), K(PEG4_IsoGlu_C18_Diacid, K(PEG12_IsoGlu_Palm), K(PEG12_IsoGlu_C18_Diacid, K(PEG12_OMe), K(PEG2PEG2gEC18OH), K(PEG2PEG2gEC20OH), K(PEG2PEG2gEC12), K(PEG2PEG2gEC14), or K(C14), K(gEC14).

9. The IL-23R inhibitor of any of aspects **Error! Reference source not found.**, further comprising a second bond between 5Ava or AEEP at R1 and E at position X13.

Formula III

10. An interleukin-23 receptor inhibitor which comprises an amino acid sequence of Formula III

R1-X3-X4-X5-T-X7-X8-X9-X10-X11-THP-X13-X14-X15-X16-R2 (III)

wherein:

R1 is hydrogen, C1 to C4 alkyl C(O)-, or C1 to C4 alkyl C(O)- substituted with Cl, F, or cyano, or

X3 is dR or absent;

X4 is Pen, Abu, aMeC, C;

X5 is N or dN;

X7 is 7MeW, W, 3Pya, 7(2ClPh)W, 7(3(1NMepip)pyraz)W, 7(3(6AzaInd1Me))W, 7(3CF3TAZP)W, 7(3NAcPh)W, 7(3NPyrazPh)W, 7(3NpyrlonePh)W, 7(3UrPh)W, 7(4(CpCNPh))W, 7(4CF3Ph)W, 7(4NAcPh)W, 7(4OCF3Ph)W, 7(4OMePh)W,

7(4Paz)W, 7(5(2(4OMePh)Pyr))W, 7(5(Ina7Pyr))W, 7(6(1)7dMeNDAZ))W, 7(6(2MeNDAZ))W, 7(7(124TAZP))W, 7(7Imzpy)W, 7BrW, 7EtW, 7PhW, 7PyrW, A, DT, or D7MeW;

X8 is KAc;

X9 is Pen, Abu, aMeC, C;

X10 is F-Z or AEF-Z;

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;

X13 is K(Ac) dK(Ac). dE, or E;

X14 is L or N;

X15 is 3Pya, 3MeH, H, F, hF, Y, dY, Y(CHF2), PAF, oAMPhe, F(CF3), dPaf, D3Pya, ACIPA(SR), 6OH3Pya, 5PyrimidAla, 5MePyridinAla, 5MeH, 5AmPyridinAla, 4TriazolAla, 4PyridinAla, 4Pya, 3QuinolAla, 3OHPhe, 3AmPyrazolAla, 2AmTyr, or 1MeH;

X16 is meG, 4(R)HydroxyPro, 4(S)AminoPro, 4diFPro, 5(R)diMePro, aMeP, N(3AmBenzyl)Gly, N(Cyclohexyl)Gly, N(Isobutyl)Gly, P,or dP; and

Z is group comprising a lipid moiety; and

R2 is –OH, -NH2, -NH(C1 to C4 alkyl), -NH(C1-C4 alkyl), or -N(C1 to C4 alkyl)$_2$, each alkyl optionally substituted with Cl, F, or cyano; and

wherein the IL-23R inhibitor is cyclized by a disulfide or thioether first bond between X4 and X9.

11. The IL-23R inhibitor of aspect 10, wherein:

X7 is 7MeW or W;

X11 is 2Nal;

X15 is 3Pya; and

X16 is Sarc or NmeKdCar (N-methyl D-carnitine).

12. The IL-23R inhibitor of aspect 10 or 11, wherein:

X4 is Pen, aMeC, or C; and

X9 is Pen, C, or aMeC;and

the IL-23R inhibitor is cyclized by a disulfide or thioether first bond between X4 and X9.

13. The IL-23R inhibitor of any of aspects 10-12, wherein X10 (PEG2PEG2gEC18OH), AEF(PEG2PEG2-gEC16OH), AEF(PEG2PEG2gEC18OH), F(4-(2-(1PEG2_1PEG2_IsoGlu_Palm)aminoethoxy)), F(4-(2-(1PEG2_1PEG2_IsoGlu_C18_Diacid)aminoethoxy)), F(4-(2-

(PEG4_PEG4_IsoGlu_Palm)aminoethoxy)), F(4-(2-(PEG12_IsoGlu_Palm)aminoethoxy)), F(4-(2-(PEG4_PEG4_IsoGlu_C18_Diacid)aminoethoxy)), or F(4-(2-(PEG12_IsoGlu_C18_Diacid)aminoethoxy)).

Formula IV

14. An interleukin-23 receptor inhibitor which comprises an amino acid sequence of Formula IV

R1-X3-X4-X5-T-X7-KAc-X9-X10-X11-X12-X13-X14-X15-X16-R2 (IV)

wherein:

R1 is hydrogen, C1 to C4 alkyl C(O)-, or C1 to C4 alkyl C(O)- substituted with Cl, F, or cyano, or;

X3 is dR or absent;

X4 is Pen, aMeC, Abu, C;

X5 is N, A, dN, dA;

X7 is 7MeW, W, 3Pya, 7(2ClPh)W, 7(3(1NMepip)pyraz)W, 7(3(6AzaInd1Me))W, 7(3CF3TAZP)W, 7(3NAcPh)W, 7(3NPyrazPh)W, 7(3NpyrlonePh)W, 7(3UrPh)W, 7(4(CpCNPh))W, 7(4CF3Ph)W, 7(4NAcPh)W, 7(4OCF3Ph)W, 7(4OMePh)W, 7(4Paz)W, 7(5(2(4OMePh)Pyr))W, 7(5(Ina7Pyr))W, 7(6(1)7dMeNDAZ))W, 7(6(2MeNDAZ))W, 7(7(124TAZP))W, 7(7Imzpy)W, 7BrW, 7EtW, 7PhW, 7PyrW, A, DT, or D7MeW;

X9 is Pen, Abu, aMeC, or C;

X10 is F4OMe, F4CONH2, F, 2Nal, AEF, 4AmF, or 4OMeF;

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 aMeK-Z, Spiral_Pip, or K-Z;

X13 is KAc, E, A, L, dK, dKAc, dE, or dA;

X14 is N, L, A, dN, dL, or dA;

X15 is 3Pya, 3MeH, H, F, hF, Y, dY, Y(CHF2), PAF, oAMPhe, F(CF3), dPaf, D3Pya, ACIPA(SR), 6OH3Pya, 5PyrimidAla, 5MePyridinAla, 5MeH, 5AmPyridinAla, 4TriazolAla, 4PyridinAla, 4Pya, 3QuinolAla, 3OHPhe, 3AmPyrazolAla, 2AmTyr, or 1MeH;

X16 is meG, 4(R)HydroxyPro, 4(S)AminoPro, 4diFPro, 5(R)diMePro, aMeP, N(3AmBenzyl)Gly, N(Cyclohexyl)Gly, N(Isobutyl)Gly, P,or dP;and

R2 is –OH, -NH2, NH(C1 to C4 alkyl), -NH(C1-C4 alkyl), -N(C1 to C4 alkyl)$_2$, each alkyl optionally substituted with Cl, F, or cyano; and

Z is group comprising a lipid moiety; and

wherein the IL-23R inhibitor is cyclized by a disulfide or thioether first bond between X4 and X9.

15. The IL-23R inhibitor of aspect 14, wherein:

R1 is C1 to C4 alkyl C(O)-;

X3 is absent;

X5 is N or A;

X7 is 7MeW or W;

X11 is 2Nal;

X15 is 3Pya; and

X16 is Sarc.

16. The IL-23R inhibitor of aspect 14 or 15, wherein:

X4 is Pen, aMeC, or C;

X9 is Pen, C, or aMeC; and

the IL-23R inhibitor is cyclized by a disulfide first bond between X4 and X9 .

17. The IL-23R inhibitor of any of aspects 14-16, wherein X12 is dKaMeK(PEG12IsoGluPalm), aMeK(PEG12IsoGluC18Diacid), K(PEG12IsoGluPalm), SpiralPipPEG12IsoGluPalm, K(PEG12IsoGluC18Diacid, aMeK(Peg4IsoGluC18Diacid), aMeK(PEG12C18Diacid), aMeK(Peg4IsoGluPalm), aMeK(IsoGluPalm), aMeK(IsoGluC18Diacid), aMeK(Peg4C18Diacid), aMeK(PEG2PEG2gEC18OH), aMeK(PEG2PEG2gEC16OH), or aMeK(PEG12gEC16).

Formula V

18. An interleukin-23 receptor inhibitor which comprises an amino acid sequence of Formula V

R1-X3-X4-X5-T-X7-X8-X9-X10-X11-THP-X13-X14-X15-X16-X17-R2 (V)

wherein:

R1 is hydrogen, C_1 to C_4 alkyl C(O)-, C_1 to C_4 alkyl C(O)- substituted with Cl, F, or cyano;

X3 is dR, dK, or absent;

X4 is Pen, Abu, or C;

X5 is N, K, Q, L, dN, dK, dL,or dQ;

X7 is 7MeW, W, 3Pya, 7(2ClPh)W, 7(3(1NMepip)pyraz)W, 7(3(6AzaInd1Me))W, 7(3CF3TAZP)W, 7(3NAcPh)W, 7(3NPyrazPh)W, 7(3NpyrlonePh)W, 7(3UrPh)W, 7(4(CpCNPh))W, 7(4CF3Ph)W, 7(4NAcPh)W, 7(4OCF3Ph)W, 7(4OMePh)W, 7(4Paz)W, 7(5(2(4OMePh)Pyr))W, 7(5(Ina7Pyr))W, 7(6(1)7dMeNDAZ))W,

7(6(2MeNDAZ))W, 7(7(124TAZP))W, 7(7Imzpy)W, 7BrW, 7EtW, 7PhW, 7PyrW, A, DT, or D7MeW;

X8 is KAc, Q, K, dKAc, or dQ;

X9 is Pen, aMeC, Abu, or C;

X10 is AEF, AEF(G) or F4OMe;

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;

X13 is K-Z, or dK-Z;

X14 is N, L, dN, or dL;

X15 is 3Pya, 3MeH, H, F, bAla, hF, Y, dY, Y(CHF2), PAF, oAMPhe, F(CF3), dPaf, D3Pya, ACIPA(SR), 6OH3Pya, 5PyrimidAla, 5MePyridinAla, 5MeH, 5AmPyridinAla, 4TriazolAla, 4PyridinAla, 4Pya, 3QuinolAla, 3OHPhe, 3AmPyrazolAla, 2AmTyr, or 1MeH;

X16 is meG, 4(R)HydroxyPro, 4(S)AminoPro, 4diFPro, 5(R)diMePro, aMeP, N(3AmBenzyl)Gly, N(Cyclohexyl)Gly, N(Isobutyl)Gly, P, dP or absent;

X17 is absent, or K-Z;

R2 is –OH, -NH2, -NH(C1 to C4 alkyl), -NH(C1-C4 alkyl), or -N(C1 to C4 alkyl)$_2$, each alkyl optionally substituted with Cl, F, or cyano; and

Z is group comprising a lipid moiety; and

wherein the IL-23R inhibitor is cyclized by a disulfide or thioether first bond between X4 and X9.

19. The IL-23R inhibitor of aspect 18 wherein:

X3 is absent;

X5 is N or A;

X7 is 7MeW or W;

X11 is 2Nal;

X13 is K-Z;

X15 is 3Pya, bAla, or F; and

X16 is Sarc or absent.

20. The IL-23R inhibitor of aspect 18 or 19: wherein:

(i) R1 further comprises a Z group;

(ii) either the K or dK group of X5 is subsituted by a Z group to give K-Z or dK-Z; and/or

(iii) X17 is K(PEG2PEG2gEC16OH) or K(PEG2PEG2gEC18OH).

21. The IL-23R inhibitor of any of aspects 18 to 20, wherein:

X4 is Pen, aMeC, or C;

X9 is Pen, C, or aMeC; and

the IL-23R inhibitor is cyclized by a disulfide first bond between X4 and X9 .

22. The IL-23R inhibitor of any of aspects 18-21, wherein X13 is K(1PEG2_1PEG2_IsoGlu_C16_Diacid), K(1PEG2_1PEG2_IsoGlu_C18_Diacid), K(COPent), K(COPent), K(PEG2PEG2gEC10OH), K(PEG2PEG2gEC10OH), K(gEC10OH), K(FITCPEG4), K(PEG2PEG2gEC12), K(PEG2PEG2gEC14), K(PEG2PEG2gEC12), K(PEG2PEG2gEC12), K(PEG2PEG2gEC12), K(PEG2PEG2gEC14), K(PEG2PEG2gEC12), K(PEG2PEG2gEC12), K(PEG2PEG2gEC12), K(PEG2PEG2gEC14), K(C14), or K(gEC14).

Formula VI

23. An interleukin-23 receptor inhibitor which comprises an amino acid sequence of Formula VI

R1-X3-X4-X5-T-X7-X8-X9-X10-X11-X12-X13-X14-X15-X16-X17-R2 (VI)

wherein

R1 is hydrogen, C1 to C4 alkyl C(O)-, or C1 to C4 alkyl C(O)- substituted with Cl, F, or cyano, cPEG3aCO, or 6Ahx;

X3 is dR, R, K, dK, dK-Z, K-Z, or absent;

X4 is Pen, Abu, aMeC or C;

X5 is N, or L;

X7 is 7MeW, W, 3Pya, 7(2ClPh)W, 7(3(1NMepip)pyraz)W, 7(3(6AzaInd1Me))W, 7(3CF3TAZP)W, 7(3NAcPh)W, 7(3NPyrazPh)W, 7(3NpyrlonePh)W, 7(3UrPh)W, 7(4(CpCNPh))W, 7(4CF3Ph)W, 7(4NAcPh)W, 7(4OCF3Ph)W, 7(4OMePh)W, 7(4Paz)W, 7(5(2(4OMePh)Pyr))W, 7(5(Ina7Pyr))W, 7(6(1)7dMeNDAZ))W, 7(6(2MeNDAZ))W, 7(7(124TAZP))W, 7(7Imzpy)W, 7BrW, 7EtW, 7PhW, 7PyrW, A, DT, or D7MeW;

X8 is KAc, Q, dKAc, or dQ;

X9 is Pen, C, aMeC, or Abu;

X10 is AEF, F4OMe, or TMAPF;

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 THP or Acvc, or Acpx;

X13 is KAc, dKAc, dE or E;

X14 is N or L;

X15 is 3Pya, 3MeH, H, F, hF, Y, dY, Y(CHF2), PAF, oAMPhe, F(CF3), dPaf, D3Pya, ACIPA(SR), 6OH3Pya, 5PyrimidAla, 5MePyridinAla, 5MeH, 5AmPyridinAla, 4TriazolAla, 4PyridinAla, 4Pya, 3QuinolAla, 3OHPhe, 3AmPyrazolAla, 2AmTyr, THP, or 1MeH;

X16 is K-Z, nMeK-Z, N-Z, Sarc-Z, dK-Z;

X17 is absent or K-Z;and

R2 is –OH, -NH2, -NH(C1 to C4 alkyl), -NH(C1-C4 alkyl), or -N(C1 to C4 alkyl)$_2$, each alkyl optionally substituted with Cl, F, or cyano; and

Z is group comprising a lipid moiety; and

wherein the IL-23R inhibitor is cyclized by a disulfide or thioether first bond between X4 and X9, and an amide second bond between R1 and X13 when R1 is 6Ahx and X13 is E.

24. The IL-23R inhibitor of aspect 23, wherein:

X3 is dR, dK-Z, or absent;

X5 is N or A;

X7 is 7MeW or W;

X8 is KAc, or Q;

X11 is 2Nal;

X13 is KAc or E; and

X15 is 3Pya or THP.

25. The IL-23R inhibitor of any of aspects 23 to 24, wherein:

X4 is Pen, aMeC, or C;

X9 is Pen, C, or aMeC; and

the IL-23R inhibitor is cyclized by a disulfide first bond between X4 and X9.

26. The IL-23R inhibitor of any of aspects 23-25, wherein X16 is N(4Am-Benzyl)-Gly, N(4AmBenzyl)Gly, 4diFPro, NMeK(PEG2PEG2PEG2PEG2gEC12), NMeK(PEG2PEG2gEC18OH), K(PEG2PEG2gEC18OH)Gly, K(PEG2PEG2-gEC18OH), NMeK(PEG2PEG2-gEC16OH), K(PEG2PEG2-gEC16OH), NMeK(PEG2PEG2-gEC18OH), dK(PEG12C18Diacid), dK(PEG12IsoGluPalm), dK(PEG12IsoGluC18Diacid), K(1PEG21PEG2IsoGluC18Diacid), K(1PEG21PEG2IsoGluC18), K(PEG2PEG2gEC18), K(PEG2PEG2gEC18OH).

27. The IL-23R inhibitor of any of aspects 23 to 26, wherein X3 is dK(gEC18OH), dK(PEG2gEC18OH), dK(PEG2PEG2gEC18OH), dK(PEG2PEG2gEC18OH), or dK(PEG2PEG2PEG2PEG2gEC12)

28. The IL-23R inhibitor of any of aspects 22 to 26, wherein X3 is absent or dR.

Formula VII

29. An interleukin-23 receptor inhibitor which comprises an amino acid sequence of Formula VII

R1-X3-X4-X5-T-X7-X8-X9-X10-X11-X12-X13-X14-X15-X16-R2 (VII)

wherein:

R1 is hydrogen, C1 to C4 alkyl C(O)-, or C1 to C4 alkyl C(O)- substituted with Cl, F, or cyano, GABA, CF3CO, succiniccarnitine, or cPEG3aCO,

X3 is dK, K, dK-Z, or K-Z;

X4 is Pen, aMeC, or C;

X5 is N, L, or E;

X7 is 7MeW, W, 3Pya, 7(2ClPh)W, 7(3(1NMepip)pyraz)W, 7(3(6AzaInd1Me))W, 7(3CF3TAZP)W, 7(3NAcPh)W, 7(3NPyrazPh)W, 7(3NpyrlonePh)W, 7(3UrPh)W, 7(4(CpCNPh))W, 7(4CF3Ph)W, 7(4NAcPh)W, 7(4OCF3Ph)W, 7(4OMePh)W, 7(4Paz)W, 7(5(2(4OMePh)Pyr))W, 7(5(Ina7Pyr))W, 7(6(1)7dMeNDAZ))W, 7(6(2MeNDAZ))W, 7(7(124TAZP))W, 7(7Imzpy)W, 7BrW, 7EtW, 7PhW, 7PyrW, A, DT, or D7MeW;

X8 is KAc, K, K(Me)3, dKAc, or dK;

X9 is Pen, aMeC, or C;

X10 is AEF, F, F(4-OMe), or TMAPF;

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 THP, aMeL, Acvc, or Acpx;

X13 is KAc, dKAc, L, E, dE, K(NMeAc), dK(Me)3, or K(Me)3;

X14 is N or L;

X15 is 3Pya, THP, 3MeH, H, F, hF, Y, dY, Y(CHF2), PAF, oAMPhe, F(CF3), dPaf, D3Pya, ACIPA(SR), 6OH3Pya, 5PyrimidAla, 5MePyridinAla, 5MeH, 5AmPyridinAla, 4TriazolAla, 4PyridinAla, 4Pya, 3QuinolAla, 3OHPhe, 3AmPyrazolAla, 2AmTyr, or 1MeH;

X16 is meG, 4(R)HydroxyPro, 4(S)AminoPro, 4diFPro, 5(R)diMePro, aMeP, N(3AmBenzyl)Gly, N(Cyclohexyl)Gly, N(Isobutyl)Gly, P, dP, Sarc, or absent;

R2 is –OH, -NH2, -NH(C1 to C4 alkyl), -NH(C1-C4 alkyl), or -N(C1 to C4 alkyl)$_2$, each alkyl optionally substituted with Cl, F, or cyano; and

Z is group comprising a lipid moiety; and

wherein the IL-23R inhibitor is cyclized by a disulfide first bond between X4 and X9.

30. The IL-23R inhibitor of aspect 29, wherein:
X7 is 7MeW or W;
X8 is KAc, K, or $K(Me)_3$;
X11 is 2Nal;
X15 is 3Pya or THP; and
X16 is Sarc, or absent.

31. The IL-23R inhibitor of any of aspects aspect 29 to 30, wherein:
R1 further comprises a Z group.

32. The IL-23R inhibitor of aspect 31, wherein the Z group is C12gEPEG2PEG2CO, or C14gEPEG2PEG2CO.

33. The IL-23R inhibitor of any of aspects aspect 29 to 32, wherein:
when X5 is E and X10 is AEF, the IL-23R inhibitor further comprises an amide second bond cyclizing the inhibitor.

34. The IL-23R inhibitor of any of aspects aspect 29 to 32, wherein:
when R1 comprises GABA and X13 is E, the IL-23R inhibitor further comprises an amide second bond cyclizing the inhibitor.

35. The IL-23R inhibitor of any of aspects **Error! Reference source not found.**-34, wherein X3 is dK(1PEG21PEG2IsoGluC16Diacid), dK(1PEG21PEG2IsoGluC18Diacid), dK(DAP(C16OH)2), dK(gEC16), dK(gEC16), dK(gEC18), dK(gEC18), dK(gEC18OH), dK(GolAC16), dK(GolAC16OH), dK(GolAC18OH), dK(IsoGluC18Diacid), dK(PEG12C18Diacid), dK(PEG12IsoGluC18Diacid), dK(PEG12IsoGluPalm), K(PEG12OMe), dK(PEG2 Sp6 PEG2 gE C18OH), dK(PEG2gEC18OH), dK(PEG2PEG2 C18OH), dK(PEG2PEG2 gE C18OH (c), dK(PEG2PEG2 gE C18OH (C), dK(PEG2PEG2 gE Sp6 C18OH), dK(PEG2PEG2 gE(C) C18OH, dK(PEG2PEG2GolAC18OH), dK(PEG2PEG2-C18GolB), dK(PEG2PEG2C18OH), dK(PEG2PEG2gE(C)C12), dK(PEG2PEG2gE(c)C18OH), dK(PEG2PEG2gEC10OH), dK(PEG2PEG2-gEC10OH), dK(PEG2PEG2gEC12), dK(PEG2PEG2gEC12OH(C)), dK(PEG2PEG2gEC12OH(c)), dK(PEG2PEG2gEC14), dK(PEG2PEG2-gEC16), dK(PEG2PEG2gEC16OH), dK(PEG2PEG2-gEC16OH), dK(PEG2PEG2gEC18), dK(PEG2PEG2-gEC18), dK(PEG2PEG2gEC18OH), dK(PEG2PEG2-gEC18OH), K(PEG2PEG2gEC20OH), dK(PEG2PEG2gEDab(mXOH)2), K(PEG2PEG2-gEDAP(pXOH)2), dK(PEG2PEG2gEmXOH), dK(PEG2PEG2-gEmXOH), dK(PEG2PEG2-gEpXOH), dK(PEG2PEG2-gETrxC18OH), dK(PEG2PEG2-gETrxC20OH), dK(PEG2PEG2-PEG2PEG2gEC12), dK(PEG2PEG2-PgEC18OH), dK(PEG2PEG2-pgEC18OH), dK(PEG2PEG2-PPPgEC18OH), dK(PEG2PEG2-pppgEC18OH),

dK(PEG2PEG2SP6gEC18OH), dK(PEG2PEG2-TrxgEC18OH), dK(PEG2PEG6-gEC18OH), K(PEG4), dK(Peg4C18Diacid, dK(Peg4IsoGluC18Diacid), dK(PEG6 gE C18OH), dK(Sp6 PEG2PEG2gE C18OH), or dKPEG2PEG2-gEDAP(C16OH)$_2$.

Formula VIII

36. An interleukin-23 receptor inhibitor which comprises an amino acid sequence of VIII

R1-X3-X4-X5-T-X7-X8-X9-AEF-X11-THP-X13-N-X15-X16-X17-R2 (VIII)

wherein:

R1 is hydrogen, C1 to C4 alkyl C(O)-, or C1 to C4 alkyl C(O)- substituted with Cl, F, or cyano, C12gEPEG2PEG2CO, ClAcPEG4CO;

X3 is dR , R, dK(SP6), K(SP6), K, or dK;

X4 is Pen, Abu, aMeC or C;

X5 is N or E;

X7 is 7MeW, W, 3Pya, 7(2ClPh)W, 7(3(1NMepip)pyraz)W, 7(3(6AzaInd1Me))W, 7(3CF3TAZP)W, 7(3NAcPh)W, 7(3NPyrazPh)W, 7(3NpyrlonePh)W, 7(3UrPh)W, 7(4(CpCNPh))W, 7(4CF3Ph)W, 7(4NAcPh)W, 7(4OCF3Ph)W, 7(4OMePh)W, 7(4Paz)W, 7(5(2(4OMePh)Pyr))W, 7(5(Ina7Pyr))W, 7(6(1)7dMeNDAZ))W, 7(6(2MeNDAZ))W, 7(7(124TAZP))W, 7(7Imzpy)W, 7BrW, 7EtW, 7PhW, 7PyrW, A, DT, or D7MeW;

X8 is Kac;

X9 is Pen, C, aMeC, or Abu;

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;

X13 is E, dE, K, or dK;

X15 is 3Pya, 3MeH, H, F, hF, Y, dY, Y(CHF2), PAF, oAMPhe, F(CF3), dPaf, D3Pya, ACIPA(SR), 6OH3Pya, 5PyrimidAla, 5MePyridinAla, 5MeH, 5AmPyridinAla, 4TriazolAla, 4PyridinAla, 4Pya, 3QuinolAla, 3OHPhe, 3AmPyrazolAla, 2AmTyr, or 1MeH;

X16 is meG, 4(R)HydroxyPro, 4(S)AminoPro, 4diFPro, 5(R)diMePro, aMeP, N(3AmBenzyl)Gly, N(Cyclohexyl)Gly, N(Isobutyl)Gly, P, dP, or absent;

X17 is K-Z or dK-Z; or

R2 is –OH, -NH2, -NH(C1 to C4 alkyl), -NH(C1-C4 alkyl), or -N(C1 to C4 alkyl)$_2$, each alkyl optionally substituted with Cl, F, or cyano; and

Z is group comprising a lipid moiety; and

wherein the IL-23R inhibitor is cyclized by a disulfide or thioether first bond between X4 and X9, and an amide second bond when X5 is E and X10 is AEF.

37. The IL-23R inhibitor of aspect 36, wherein:

X7 is 7MeW or W;

X11 is 2Nal;

X15 is 3Pya; and

X16 is sarc or absent.

38. The IL-23R inhibitor of any of aspects 36 to 37, wherein:

X4 is Pen, aMeC, or C;

X9 is Pen, C, or aMeC; and

the IL-23R inhibitor is cyclized by a disulfide first bond between X4 and X9

39. The IL-23R inhibitor of any of aspects 36 to 38, wherein X17 is K(PEG2PEG2gEC18OH), K(PEG2PEG2-gEC16OH), K(1PEG21PEG2IsoGluC16Diacid), K(1PEG21PEG2IsoGluC18Diacid), K(PEG2PEG2gEC20OH), K(PEG2PEG2gEC12), or K(PEG2NMePEG2NMegENMeC18Tetrazole).

Formula IX

40. An interleukin-23 receptor inhibitor which comprises an amino acid sequence of Formula IX

R1-X4-X5-T-X7-X8-X9-AEF-X11-THP-X13-N-X15-X16-X17-R2 (IX)

wherein:

R1 is hydrogen, C1 to C4 alkyl C(O)-, or C1 to C4 alkyl C(O)- substituted with Cl, F, or cyano, 5Ava, AEEP or C14gEPEG2PEG2CO;

X4 is Pen, Abu, C, aMeC, or absent;

X5 is N or absent;

X7 is 7MeW, W, 3Pya, 7(2ClPh)W, 7(3(1NMepip)pyraz)W, 7(3(6AzaInd1Me))W, 7(3CF3TAZP)W, 7(3NAcPh)W, 7(3NPyrazPh)W, 7(3NpyrlonePh)W, 7(3UrPh)W, 7(4(CpCNPh))W, 7(4CF3Ph)W, 7(4NAcPh)W, 7(4OCF3Ph)W, 7(4OMePh)W, 7(4Paz)W, 7(5(2(4OMePh)Pyr))W, 7(5(Ina7Pyr))W, 7(6(1)7dMeNDAZ))W, 7(6(2MeNDAZ))W, 7(7(124TAZP))W, 7(7Imzpy)W, 7BrW, 7EtW, 7PhW, 7PyrW, A, DT, or D7MeW;

X8 is KAc, dK, dQ, or Q;

X9 is Pen, S5H, C, or aMeC;

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;

X13 is E, KAc, dK(d), S5H, dE, dK(Ac), dK, or R5H;

X15 is 3Pya, 3MeH, H, F, hF, Y, dY, Y(CHF2), PAF, oAMPhe, F(CF3), dPaf, D3Pya, ACIPA(SR), 6OH3Pya, 5PyrimidAla, 5MePyridinAla, 5MeH, 5AmPyridinAla, 4TriazolAla, 4PyridinAla, 4Pya, 3QuinolAla, 3OHPhe, 3AmPyrazolAla, 2AmTyr, or 1MeH;

X16 is Sarc, 4(R)HydroxyPro, 4(S)AminoPro, 4diFPro, 5(R)diMePro, aMeP, N(3AmBenzyl)Gly, N(Cyclohexyl)Gly, N(Isobutyl)Gly, P,or dP;

X17 is K-Z;

R2 is –OH, -NH2, -NH(C1 to C4 alkyl), -NH(C1-C4 alkyl), or -N(C1 to C4 alkyl)$_2$, each alkyl optionally substituted with Cl, F, or cyano; and

Z is group comprising a lipid moiety; and

wherein the IL-23R inhibitor is cyclized by a disulfide or thioether first bond between X4 and X9 or an aliphatic bond (generated from a Ring Closing Metathesis "RCM" reaction) between X9 and X13 when both residues are S5H.

41. The IL-23R inhibitor of aspect 40, wherein:

X7 is 7MeW or W;

X11 is 2Nal;

X15 is 3Pya; and

X16 is Sarc.

42. The IL-23R inhibitor of any of aspects 40 to 41, wherein:

the IL-23R inhibitor comprises a second amide bond between R1 and X13 when R1 is 5Ava or AEEP and X13 is E.

43. The IL-23R inhibitor of any of aspects 40 to 42, wherein:

R1 further comprises a Z group.

44. The IL-23R inhibitor of any of aspects **Error! Reference source not found.**, wherein X17 is K(PEG2PEG2gEC18OH), K(PEG2PEG2gEC16OH), K(PEG2PEG2gEC20OH), K(PEG2PEG2gEC14), K(PEG2PEG2gEC12), K(gEC14), K(C14), K(gEC12), K(PEG2PEG2gEDProC14), K(PEG2PEG2C14), K(GSGSGSGC14), K(PEG2PEG2SP6C14), K(PEG2C14), K(PEG2PEG2gESarC14), or K(PEG2PEG2gEProC14.

45. The IL-23R inhibitor of any of aspects **Error! Reference source not found.**, wherein X17 is K(PEG2PEG2gEC18OH), K(PEG2PEG2gEC16OH), K(PEG2PEG2gEC20OH), K(PEG2PEG2gEC14), K(PEG2PEG2gEC12), K(C14), K(gEC12), K(PEG2PEG2gEDProC14), K(PEG2PEG2C14), K(GSGSGSGC14),

K(PEG2PEG2SP6C14), K(PEG2C14), K(PEG2PEG2gESarC14), or K(PEG2PEG2gEProC14).

Formula X

46. An interleukin-23 receptor inhibitor which comprises an amino acid sequence of Formula X

R1- X3-X4-X5-T-X7-X8-X9-X10-X11-X12-X13-X14-X15-X16-X17-R2 (X)

wherein:

R1 is hydrogen, C1 to C4 alkyl C(O)-, or C1 to C4 alkyl C(O)- substituted with Cl, F, or cyano, 7Ahp, 6Ahx, 5Ava, 6Ava, AEEP, GABA, succinylcarnitine. cPEG3aCO, ClAcPEG4CO, 1PEG2_1PEG2_IsoGlu_C18, 1PEG2_1PEG2_IsoGlu_C18_Diacid, PentCO, PEG12_OMe, HOC18gEPEG2PEG2, PEG2PEG2gEC16OH, PEG4_Decyl, PEG4_Lauryl, PEG4_Capryl, PEG4_Hexyl, PEG2_Palm, PEG2_Myristyl, PEG2_Lauryl, Hexyl, Decyl, PEG2_Decyl, PEG2_Capryl, Oct, PEG4_Palm, Palm, Lauryl, 1PEG2_1PEG2_IsoGlu_C16_Diacid, HOC16gEPEG2PEG2orn, or Z;

X3 is dR, dK, dK-Z, or absent;

X4 is Pen, aMeC, Abu, or C;

X5 is N, L, Q, K, E, aMeN, dN, dL, dQ, dK, dE, K-Z, or dK-Z;

X7 is 7MeW, W, 3Pya, 7(2ClPh)W, 7(3(1NMepip)pyraz)W, 7(3(6AzaInd1Me))W, 7(3CF3TAZP)W, 7(3NAcPh)W, 7(3NPyrazPh)W, 7(3NpyrlonePh)W, 7(3UrPh)W, 7(4(CpCNPh))W, 7(4CF3Ph)W, 7(4NAcPh)W, 7(4OCF3Ph)W, 7(4OMePh)W, 7(4Paz)W, 7(5(2(4OMePh)Pyr))W, 7(5(Ina7Pyr))W, 7(6(1)7dMeNDAZ))W, 7(6(2MeNDAZ))W, 7(7(124TAZP))W, 7(7Imzpy)W, 7BrW, 7EtW, 7PhW, 7PyrW, A, DT, or D7MeW;

X8 is KAc, dK(Ac), dQ, or Q;

X9 is Pen, C, aMeC, or Abu;

X10 is AEF, F4OMe, F(4-CONH2), TMAPF, AEF(G), or F;

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 THP, aMeL, Acvc, Acpx, aMeK, or aMeK-Z;

X13 is K(Ac), dK(Ac), E, dE, L, dL, dK-Z, or K-Z;

X14 is N, K, or K-Z;

X15 is 3Pya, 3MeH, H, F, hF, Y, dY, Y(CHF2), PAF, oAMPhe, F(CF3), dPaf, D3Pya, ACIPA(SR), 6OH3Pya, 5PyrimidAla, 5MePyridinAla, 5MeH, 5AmPyridinAla,

4TriazolAla, 4PyridinAla, 4Pya, 3QuinolAla, 3OHPhe, 3AmPyrazolAla, 2AmTyr, THP, NH(2-(pyridin-3-yl)ethyl), bAla, THP, aMeF, or 1MeH;

X16 is Sarc, K-Z, NMeK-Z, or absent;

X17 is K-Z, dK-Z, or absent;

R2 is –OH, -NH2, -NH(C1 to C4 alkyl), -NH(C1-C4 alkyl), or -N(C1 to C4 alkyl)$_2$, each alkyl optionally substituted with Cl, F, cyano or Z;

Z is group comprising a lipid moiety; and

wherein the IL-23R inhibitor is cyclized by a disulfide or thioether first bond between X4 and X9, and an amide second bond (i) between X5 and X10 when X5 is E and X10 is AEF, or (ii) between X13 and R1 when X13 is E and R1 is 7Ahp, 6Ahx, 5Ava, 6Ava, AEEP, or GABA.

47. The IL-23R inhibitor of aspect 46, wherein:

R1 is hydrogen, C1 to C4 alkyl C(O)-, or C1 to C4 alkyl C(O)- substituted with Cl, F, or cyano;

X3 is dR, or dK-Z;

X4 is Pen, aMeC, or C;

X5 is N, L, Q, or K;

X7 is 7MeW, W, 3Pya, 7(2ClPh)W, 7(3(1NMepip)pyraz)W, 7(3(6AzaInd1Me))W, 7(3CF3TAZP)W, 7(3NAcPh)W, 7(3NPyrazPh)W, 7(3NpyrlonePh)W, 7(3UrPh)W, 7(4(CpCNPh))W, 7(4CF3Ph)W, 7(4NAcPh)W, 7(4OCF3Ph)W, 7(4OMePh)W, 7(4Paz)W, 7(5(2(4OMePh)Pyr))W, 7(5(Ina7Pyr))W, 7(6(1)7dMeNDAZ))W, 7(6(2MeNDAZ))W, 7(7(124TAZP))W, 7(7Imzpy)W, 7BrW, 7EtW, 7PhW, 7PyrW, A, DT, or D7MeW;X8 is KAc, or Q;

X9 is Pen, C, or aMeC;

X10 is AEF, F4OMe, F(4-CONH2), or F;

X11 is 2-Nal, Phe(2-Me), Phe(3-Me), Phe(4-Me), Phe(3,4-dimethoxy), 2Quin, 3Quin, or 1-Nal;

X12 is THP;

X13 is KAc, E, or L;

X14 is N, or K;

X15 is 3Pya, 3MeH, H, F, hF, Y, dY, Y(CHF2), PAF, oAMPhe, F(CF3), dPaf, D3Pya, ACIPA(SR), 6OH3Pya, 5PyrimidAla, 5MePyridinAla, 5MeH, 5AmPyridinAla, 4TriazolAla, 4PyridinAla, 4Pya, 3QuinolAla, 3OHPhe, 3AmPyrazolAla, 2AmTyr, THP, NH(2-(pyridin-3-yl)ethyl), bAla, or aMeF, or 1MeH;

X16 is Sarc or absent;

X17 is K-Z, or dK-Z;

R2 is –OH, -NH2, -NH(C1 to C4 alkyl), -NH(C1-C4 alkyl), or -N(C1 to C4 alkyl)$_2$, each alkyl optionally substituted with Cl, F, or cyano

Z is group comprising a lipid moiety; and

wherein the IL-23R inhibitor is cyclized by a disulfide or thioether first bond between X4 and X9.

48. The IL-23R inhibitor of any of aspects 46 to 47, wherein:

X7 is 7MeW or W;

X11 is 2Nal or 3Quin;

X15 is 3Pya, THP, H, NH(2-(pyridin-3-yl)ethyl), bAla, F, or aMeF; and

X16 is Sarc; and

R2 is -OH -NH2, -N(H)C1-C4 alkyl.

49. The IL-23R inhibitor of any of aspects 46 to 47, wherein X7 is 7MeW or W.

50. The IL-23R inhibitor of any of aspects 46 to 47, wherein X11 is 2Nal or 3Quin.

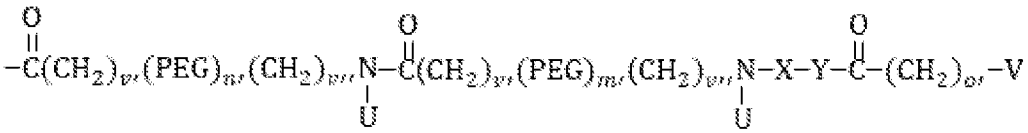
51. The IL-23R inhibitor of any of aspects 46 to 47, wherein X11 is 2Nal or 3Quin.

52. The IL-23R inhibitor of any of aspects 46 to 51, wherein the Z group of X17 is selected from the group consistsing of PEG2, PEG2PEG2gEC18OH, PEG2PEG2eKC18OH, PEG2PEG2gDabC18OH, dK(PEG12IsoGluC18Diacid), dK(Peg4IsoGluPalm), dK(IsoGluPalm), dK(PEG12C18Diacid), dK(Peg4IsoGluC18Diacid), and dK(PEG12IsoGluPalm), dK(Peg4C18Diacid, dK(IsoGluC18Diacid).

53. The IL-23R inhibitor of any of aspects 46 to 52, wherein the Z group of X17 is selected from the group consistsing of PEG2PEG2gEC18OH, PEG2PEG2eKC18OH, PEG2PEG2gDabC18OH, dK(PEG12IsoGluC18Diacid), and dK(Peg4IsoGluPalm).

54. An IL-23R inhibitor of any of aspects 1-50, wherein each Z is selected independently from a Z1 to Z5 group:

Z1 is



wherein:

PEG is –OCH$_2$CH$_2$-;

n'= 0 or 2-24, when *n'* is 0 the group is absent and replaced by a bond;

m'= 0 or 2-24, when *m'* is 0 the group is absent and replaced by a bond;

v' is independently selected from the range of 1-4 for each occurrence;

v'' is independently selected from the range of 0-4 for each occurrence, when *v*'' is 0 the group is replaced by a bond;

X = gE, dgE, 4SB, p, P, ppp, PPP, gE-(c), gE-(C), sp6, gDab, eK, Trx, or absent;

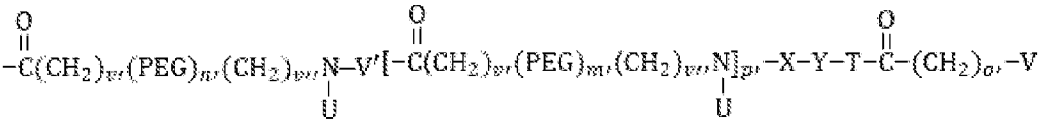
o' = 6-18;

Y = gE, sp6, GolA, Pro, D-Pro, meG, Dab, Trx, or absent;

U is hydrogen or methyl;

V = -COOH, tetrazole, GolB, mXOH, pXOH, OPhenyl, carnitine, d-carnitine, or hydrogen.

Z2 is



wherein:

PEG is $–OCH_2CH_2-$;

n' = 0 or 2-24, when *n'* is 0 the group is absent and replaced by a bond;

m' is independently selected from 0 or the range of 2-24 for each occurrence, when *m'* is 0 the group is replaced by a bond;

v' is independently selected from the range of 1-4 for each occurrence;

v'' is independently selected from the range of 0-4 for each occurrence, when *v*'' is 0 the group is replaced by a bond;

p' is 1-3;

V' is sp6, gEgE

X = gE, dgE, 4SB, p, P, ppp, PPP, gE-(c), gE-(C), sp6, gDab, eK, Trx, or absent;

Y = gE, sp6, GolA, Pro, D-Pro, meG, Dab, Trx, or absent;

X = Trx;

U is hydrogen or methyl;

o' = 6-18;

V = -COOH, tetrazole, GolB, mXOH, pXOH, OPhenyl, carnitine, d-carnitine, or hydrogen;

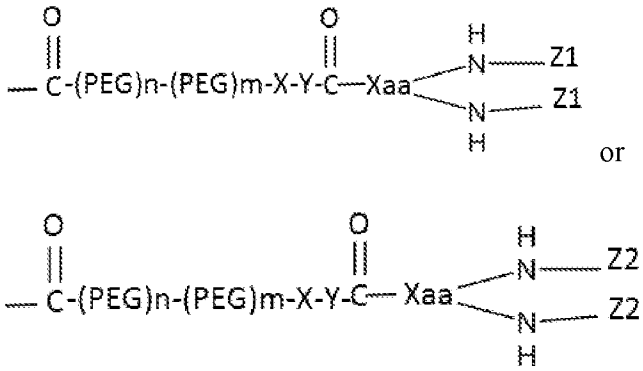
Z3 is

-gE-$C(O)(CH_2)_{6\text{-}10}CH_3$, or -gE-$C(O)(CH_2)_{11\text{-}18}CH_3$;

Z4 is

$-C(O)(CH_2)_{6\text{-}18}COOH$ or $-C(O)(CH_2)_{6\text{-}18}COO$(C1-4 alkyl);

Z5 is:



wherein:

n and m are independently selected from the range of 0 to 24;

X is absent or is selected from the group consising of E, dgE, 4SB, gE-(c), gE-(C), sp6, gDab, eK, or Trx;

Y is absent or is selected from the group consising of E, dgE, 4SB, gE-(c), gE-(C), sp6, gDab, eK, or Trx;

Xaa is a diamino-carboxylic acid; and

Z1 an Z2 are defined above.

55. An IL-23R inhibitor of any of aspects 1-50, wherein at least one Z is selected from Z1, Z2, Z3, and Z4.

56. A IL-23R inhibitor of any of aspects 1-50, wherein at least one Z is a Z5.

57. An IL-23R inhibitor selected from Table 1A, Table 1B, Table 1C, Table 1D, Table 1E, Table 1F, Table 1G, Table 1H, Table 1I, Table 1J, Table 1K, Table 1L, or Table 1M respectively.

58. An IL-23R inhibitor selected from the group consisting of: Example 2 (compound 2 SEQ ID NO:2); Example (SEQ ID NO:4); Example 11 (SEQ ID NO:11); Example 17 (SEQ ID NO:17); Example 18 (SEQ ID NO:18); Example 19 (SEQ ID NO:19); Example 20 SEQ ID NO:20); Example 21 SEQ ID NO:21); Example 23 (SEQ ID NO:23); and Example 24 (SEQ ID NO:24).

59. The IL-23R inhibitor of any preceding aspect wherein the interleukin-23 receptor is a human interleukin receptor.

60. A pharmaceutically acceptable salt, solvate, or form thereof of an IL-23R inhibitor of any of aspects 1-59.

61. A pharmaceutical composition which comprises:

(i) peptide inhibitor of an interleukin-23 receptor or pharmaceutically acceptable salt, solvate, or form thereof according to any one of aspects 1-56, and

(ii) a pharmaceutically acceptable carrier, excipient, or diluent.

62. A pharmaceutical composition which comprises:
(i) peptide inhibitor of an interleukin-23 receptor or pharmaceutically acceptable salt, solvate, or form thereof according to any one of aspect 57, and
(ii) a pharmaceutically acceptable carrier, excipient, or diluent.

63. A pharmaceutical composition which comprises:
(i) peptide inhibitor of an interleukin-23 receptor or pharmaceutically acceptable salt, solvate, or form thereof according to aspect 58: and
(ii) a pharmaceutically acceptable carrier, excipient, or diluent.

64. The use of a peptide inhibitor of an interleukin-23 receptor according to any of aspects 1-59 for the preparation of a medicament.

65. The use of a peptide inhibitor of an interleukin-23 receptor according to any of aspects 1-59, or a pharmaceutical composition according to any of aspects 60-63, for the preparation of a medicament for the treatment of an inflammatory disorder or autoimmune inflammatory disorder.

66. The use of a peptide inhibitor of an interleukin-23 receptor according to any of aspects 1-59, or a pharmaceutical composition according to any of aspects 60-63, for the preparation of a medicament for the treatment of autoimmune inflammation and related diseases and disorders including, but not limited to: multiple sclerosis, asthma, rheumatoid arthritis, inflammation of the gut, inflammatory bowel diseases (IBDs), juvenile IBD, adolescent IBD, Crohn's disease, ulcerative colitis, Celiac disease (nontropical Sprue), 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, sarcoidosis, Systemic Lupus Erythematosus, ankylosing spondylitis (axial spondyloarthritis), psoriatic arthritis, psoriasis (e.g., plaque psoriasis, guttate psoriasis, inverse psoriasis, pustular psoriasis, Palmo-Plantar Pustulosis, psoriasis vulgaris, or erythrodermic psoriasis), atopic dermatitis, acne ectopica, enteropathy associated with seronegative arthropathies, 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.

67. The use of aspect 66, wherein the diseases or disorders are selected from Inflammatory Bowel Disease (IBD), Ulcerative colitis (UC), Crohn's Disease (CD), psoriasis (PsO) or psoriatic arthritis (PsA).

68. A method for treating a disease or disorder associated with Interleukin 23 (IL-23) or the Interleukin 23 Receptor (IL-23R), which comprises administering:
(i) an effective amount of a peptide inhibitor of an interleukin-23 receptor, or a pharmaceutically acceptable salt, solvate, or form thereof according to any one of aspects 1-59; or
(ii) a pharmaceutical composition according to any one of aspects 60-63, respectively to a patient in need thereof.

69. The method of aspect 68, wherein the disease or disorder is associated with autoimmune inflammation.

70. The method of aspect 68, wherein the disease or disorder is associated with multiple sclerosis, asthma, rheumatoid arthritis, inflammation of the gut, inflammatory bowel diseases (IBDs), juvenile IBD, adolescent IBD, Crohn's disease, ulcerative colitis, Celiac disease (nontropical Sprue), 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, sarcoidosis, Systemic Lupus Erythematosus, ankylosing spondylitis (axial spondyloarthritis), psoriatic arthritis, psoriasis (e.g., plaque psoriasis, guttate psoriasis, inverse psoriasis, pustular psoriasis, Palmo-Plantar Pustulosis, psoriasis vulgaris, or erythrodermic psoriasis), atopic dermatitis, acne ectopica, enteropathy associated with seronegative arthropathies, 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.

71. The method of aspect 68, wherein the disease or disorder is associated with Ulcerative colitis (UC), Crohn's Disease (CD), psoriasis (PsO), or psoriatic arthritis (PsA).

72. The method of aspect 68, wherein the disease or disorder is Ulcerative colitis (UC).

73. The method of aspect 68, wherein the disease or disorder is Crohn's Disease (CD).

74. The method of aspect 68, wherein the disease or disorder is psoriasis (PsO).

75. The method of aspect 68, wherein the disease or disorder is psoriatic arthritis (PsA).

76. A kit which comprises a peptide inhibitor of an interleukin-23 receptor of any of aspects 1-59, or a pharmaceutical composition according to any of aspects 60 to 63, and instructions for the use of the inhibitor of an interleukin-23 receptor or pharmaceutical composition.
77. The kit of aspect 76, wherein the instructions are directed to the treatment of an inflammatory disease or disorder.
78. The kit of aspect 77, wherein the disease is inflammatory bowel disease (IBD), Crohn's disease (CD), ulcerative colitis (UC), psoriasis (PsO), and psoriatic arthritis (PsA).

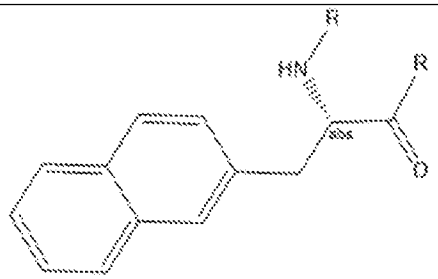
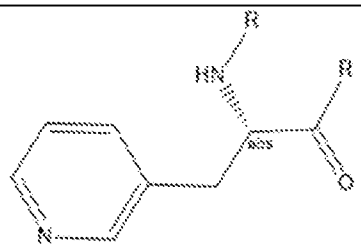
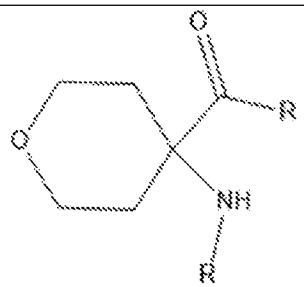
[000152] The IL-23R inhibitors of aspects 1-60 may comprise amino aids of the D-isomer configuration at one or more positions. The IL-23R inhibitors of aspects 1-60, may comprise D-isomer only at: (i) one or more of positions X3, X5, X6, X8 and X13, and optionally one of positions X1-X2, X4, X7, X9 to X12, X14-X18 present in the inhibitor; or (ii) one or more of positions X3, X8 and X13, and optionally at one of positions X1-X2, X4-X7, X9 to X12, X14-X18 present in the inhibitor. The IL-23R inhibitors of aspects 1-60, may comprise D-isomer only at (i) X3, and optionally at one of positions X1-X2, X4 -X18 present in the inhibitor; or (ii) one of positions X3, and X8, and optionally one of positions X1-X2, X4-X7, X9-X18 present in the inhibitor. The IL-23R inhibitors of aspects 1-60, may comprise D-isomer only at one or two of positions X1 to X18 appearing in the IL-23R inhibitors set forth herein. The IL-23R inhibitors of aspects 1-60, may comprise D-isomer only at only three or four of positions X1 to X18 appearing in the IL-23R inhibitors set forth herein. The IL-23R inhibitors of aspects 1-60, may comprise D-isomer at only five or six of positions X1 to X18 appearing in the IL-23R inhibitors set forth herein. IL-23R inhibitors with amino aids of the D-isomer confiuration may be used in any of the pharmaceutical formulations, methods or uses of aspects 61-78.

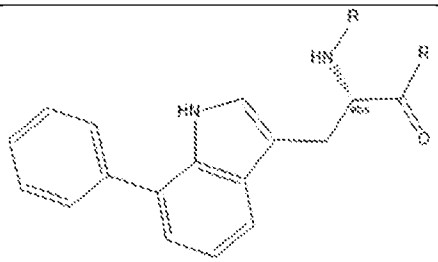
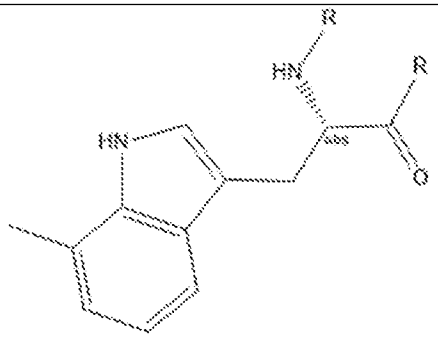
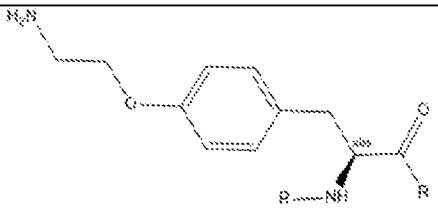
VIII. EXAMPLES

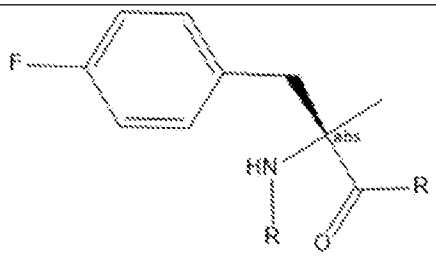
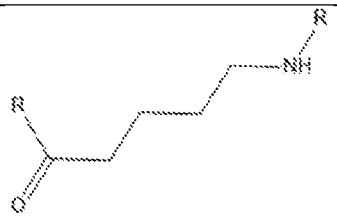
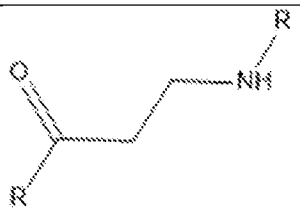
[000153] The following examples illustrate the invention. These examples are not intended to limit the scope of the present invention, but rather to provide guidance to the skilled artisan to prepare and use the compounds, compositions, and methods of the present invention. While particular aspects of the present invention are described, the skilled artisan will appreciate that various changes and modifications can be made without departing from the spirit and scope of the invention.

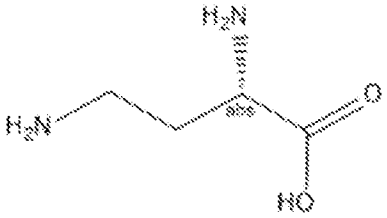
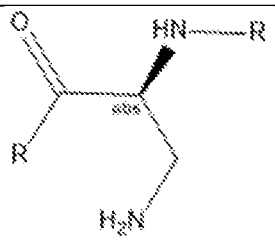
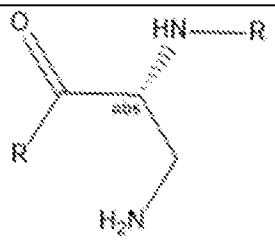
[000154] Some abbreviations useful in describing the invention are defined below in the following Table 2A and Table 2B.

Table 2A. Amino Acid Abbreviations

Abbreviation	Definition	Smiles
dR, arg, or r	D-Arginine	
dK, (D)Lys, (D)-Lys, lys, or k	D-lysine	
5Apa	5AminoPentanoicAcid	
2-Nal or 2Nal	 $C_{13}H_{11}NOR_2$	O=C([C@H](Cc1cc2ccccc2cc1)N[R])[R]
3MeH	3-methyl-L-histidine	Cn1cncc1C[C@H](N[R])C([R])=O
3Pya, 3Pal, 3-(2-pyridyl)-alanine		O=C([C@H](Cc1cnccc1)N[R])[R]
THP, 4-aminotetrahydro-2H-pyran-4-carboxylic acid	 4-amino-4-carboxy-tetrahydropyran	O=C(C1(CCOCC1)N[R])[R]

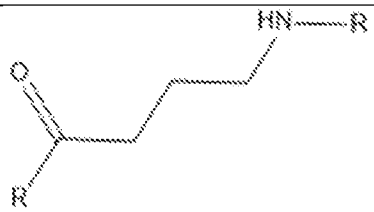
7PhW, 7PhTrp or W(7-Ph)	 7-phenyl-L-tryptophan	O=C([C@H](Cc1c[nH]c2c1cccc2-c1ccccc1)N[R])[R]
7MeW, 7(MeW), 7MeTrp, 7-methyl-L-tryptophan	 7-methyl-L-tryptophan	Cc1cccc2c1[nH]cc2C[C@@H](C([R])=O)N[R]
Abu	2-aminobutyric acid	C[C@@H](C=O)N
AEF, Phe(4-(2-aminoethoxy)), or F(4-2ae)	 4-(2-aminoethoxy)-L-phenylalanine	NCCOc1ccc(C[C@@H](C([R])=O)N[R])cc1
Ahp, 7Ahp, 7AHP, or 7AHP(2)	7-aminoheptanoic acid	O=C([R])CCCCCCN[R]

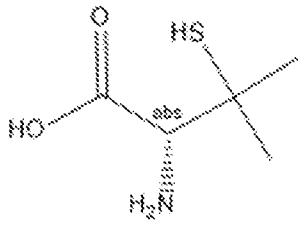
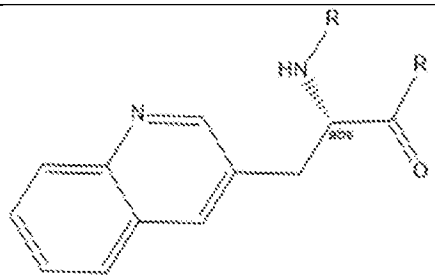
Ahx or 6Ahx, 6Ahx, 6Ahx(2), 6-aminohexanoic acid	6-aminohexanoic acid	O=C(CCCCCN[R])[R]
aMeF, aMePhe, or aMe-Phe	 alpha-methyl L-phenylalanine	C[C@](Cc(cc1)ccc1F)(C([R])=O)N[R]
aMeK, aMeLys, or aMe-Lys	alpha-methyl L-lysine	
Arg or R	L-arginine	
dR, arg, r or (D)Arg	D-arginine	
Asn or N	L-asparagine	
Ava, 5Ava(2), or 5Ava	 5-Aminovaleric Acid	O=C(CCCCN[R])[R]
bAla, b-ALA, beta-Alanine, bA	 beta-alanine	O=C(CCN[R])[R]
Bis-amino-PEG2	1,2-bis(2-aminoethoxy)ethane	
Cys or C	L-cysteine	

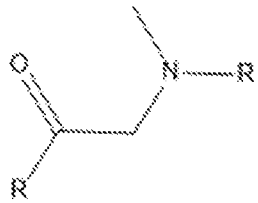
Dbu, Dab, (*S*)-2,4-diaminobutanoic acid, or DAB	 L-2,4-diaminobutyric acid	NCC[C@@H](C(O)=O)N
Dap, Dap, DAP, Dpr or (S)-2,3-diaminopropanoic acid	 L-2,3-diaminopropionic acid	NC[C@@H](C([R])=O)N[R]
dDab, D(Dab), dDpr, (R)-2,3-diaminopropanoic acid	D-2,4-diaminobutyric acid	NC[C@H](C([R])=O)N[R]
dDap, D(Dap), dDap, dap, dDbu, (R)-2,3-diaminopropanoic acid	 D-2,3-diaminopropionic acid	NC[C@H](C([R])=O)N[R]
Fmoc-2Nal	2-((((9H-fluoren-9-yl)methoxy)carbonyl)amino)-3-(naphthalen-2-yl)propanoic acid	
Fmoc-3Pya	(S)-2-((((9H-fluoren-9-yl)methoxy)carbonyl)amino)-4-(pyridin-3-yl)butanoic acid	
Fmoc-7MeW	(S)-2-((((9H-fluoren-9-yl)methoxy)carbonyl)amino)-3-(7-methyl-1H-indol-3-yl)propanoic acid	

Fmoc-AEF	(S)-2-((((9H-fluoren-9-yl)methoxy)carbonyl)amino)-3-(4-(2-((tert-butoxycarbonyl)amino)ethoxy)phenyl)propanoic acid	
Fmoc-aMePhe	(((9H-fluoren-9-yl)methoxy)carbonyl)-alphamethyl-L-phenylalanine	
Fmoc-arg or Fmoc-r	N-alpha-(9-fluorenylmethyloxycarbonyl)-N'-2,2,4,6,7-pentamethyldihydrobenzofuran-5-sulfonyl-D-arginine	
Fmoc-Asn or Fmoc-N	N^2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N4-trityl-L-asparagine	
Fmoc-Dap(DDe)	N2-(Fmoc)-N6-(1-(4,4-dimethyl-3,5-dioxocyclohexylidene)ethyl)-L-Dap	
Fmoc-DDe-Lys(Fmoc)-OH	N6-(((9H-fluoren-9-yl)methoxy)carbonyl)-N2-(1-(4,4-dimethyl-3,5-dioxocyclohexylidene)ethyl)-L-lysine	
Fmoc-Glu or Fmoc-E	(S)-2-((((9H-fluoren-9-yl)methoxy)carbonyl)amino)-5-(tert-butoxy)-2-methyl-5-oxopentanoic acid	
Fmoc-Lys(Ac) or Fmoc-K(Ac)	N2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N6-acetyl-L-lysine	

Fmoc-Lys(DDe) or Fmoc-K(DDe)	N2-(Fmoc)-N6-(1-(4,4-dimethyl-3,5-dioxocyclohexylidene)ethyl)-L-lysine	
Fmoc-Lys(NMeAc) or Fmoc-K(NMeAc)	N2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N6-acetyl-N6-methyl-L-lysine	
Fmoc-NMeLys(DDe) or Fmoc-NMeK(DDe)	(9H-fluoren-9-yl)methyl (1-amino-6-((1-(4,4-dimethyl-3,5-dioxocyclohexylidene)ethyl)amino)-1-oxohexan-2-yl)(methyl)carbamate	
Fmoc-Pen-Trt	(R)-2-((((9H-fluoren-9-yl)methoxy)carbonyl)amino)-3-methyl-3-(tritylthio)butanoic acid	
Fmoc-Pro or Fmoc-P	Fmoc-proline-OH	
Fmoc-pro or Fmoc-p	Fmoc-D-proline-OH	
Fmoc-R5H	(R)-2-((((9H-fluoren-9-yl)methoxy)carbonyl)amino)hept-6-enoic acid	
Fmoc-Sar or Fmoc-Sarc	N-(((9H-fluoren-9-yl)methoxy)carbonyl)-N-methylglycine	
Fmoc-THP	4-((((9H-fluoren-9-yl)methoxy)carbonyl)amino)tetrahydro-2H-pyran-4-carboxylic acid	
Fmoc-Thr or Fmoc-T	N-(((9H-fluoren-9-yl)methoxy)carbonyl)-O-(tert-butyl)-L-threonine	

GABA, Gaba, Gaba(2), Gaba2, or 4Abu	 4-aminobutyric acid	O=C(CCCN[R])[R]
Glu or E	L-glutamic acid	
glu or e or D(Glu)	D-glutamic acid	
His or H	L-histidine	
Lys or K	L-lysine	
lys or k or (D)Lys	D-lysine	
hCys, hC	L-Homocysteine	C(CS)[C@@H](C(=O)O)N
KAc, Lys(Ac), K(Ac), K(COMe), or K-Ac	N-ε-acetyl-L-Lysine N6-Acetyl-L-lysine	CC(NCCCC[C@@H](C([R])=O)N[R])=O
MeK, N-MeLys, NMeLys, NMeK, or MeLys	N-methyl-Lysine (2S)-2-amino-6-(methylamino)hexanoic acid	

Pen	 L-penicillamine, 3-Mercapto-L-valine (R)-2-Amino-3-mercapto-3-methylbutanoic acid	CC(C)([C@@H](C(O)=O)N)S
F4CONH2, Phe(4-$CONH_2$) or Phe(4-CONH2) or Phe(Cmd) or Phe_4Ad	4-carbamoyl-L-phenylalanine (S)-2-amino-3-(4-carbamoylphenyl)propanoic acid	N[C@H](C([R])=O)Cc1ccc(C(N[R])=O)cc1
F4OMe, Phe(4-OMe), or Phe_4OMe	4-methoxy-L-phenylalanine	N[C@@H](CC1=CC=C(OC)C=C1)C(O)=O
Quin, 3Quin, 3-Quin, 3QuinolAla, or 3QuinA	 (S)-2-amino-3-(quinolin-3-yl)propanoic acid	O=C([C@H](Cc1cc2ccccc2nc1)N[R])[R]
R5H,	(R)-2-aminopentanoic acid 5-diyl	

R6H, (R,E)-2-amino-8-hydroxyoct-7-enoic acid	(R)-2-aminohexanoic acid 6-diyl	C=CCCCC[C@H](C([R])=O)N[R]
R7H, (R,E)-2-amino-9-hydroxynon-8-enoic acid	(R)-2-aminoheptanoic acid 7-diyl	C=CCCCCC[C@H](C([R])=O)N[R]
S5H	(S)-2-aminopentanoic acid 5-diyl	C=CCCC[C@H](N[R])C([R])=O
meG, Sarc, MeGly, Sar, Sarc, MeGly, Sarcosine, Methylamino-Acetic Acid, N-methylglycine	 sarcosine or N-methylglycine	CN(CC([R])=O)[R]
Thr or T	L-threonine	
nFEtOH, Phe(4-OCH2COOH, or 2-amino-2-[4-(carboxymethoxy) phenyl]acetic acid,	Fc1c(F)c([H])c(F)c(F)c1NC[C@@H](C([R])=O)N[R] (R)-2-amino-2-(4-(carboxymethoxy)phenyl)acetic acid	N[C@@H](C=O)c(cc1)ccc1OCC=O

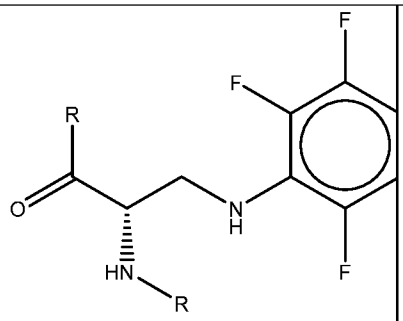
DappF6 Dap(pF(6))	 tetra-fluoro-phenylalanine	Fc1c(F)c([H])c(F)c(F)c1NC[C@@H](C([R])=O)N[R]

Table 2B. Abbreviations for Substituents, Reagents, and Solvents

Abbreviation	Definition	Smiles
Ac or MeCO	acetyl	
ACN	acetonitrile	
Boc	tert-butoxy-carbonyl	
$CONH_2$	carboxamide	
COOH	carboxylic Acid	
DCM	dichloromethane	
Dde	N-(1-(4,4-dimethyl-2,6-dioxocyclohexylidene)ethyl	
DIC	N,N′-diisopropylcarbodiimide	
DMF	N,N-dimethylformamide	
Et_2O	di-ethylether	
FMOC or Fmoc	((9H-fluoren-9-yl)methoxy)carbonyl	
HOAT or HOAt	1-hydroxy-7-azabenzotriazole	

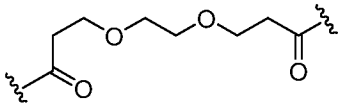
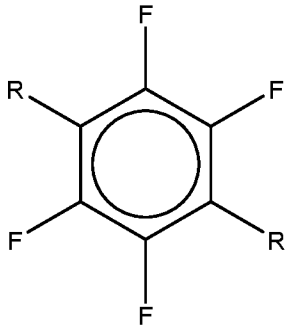
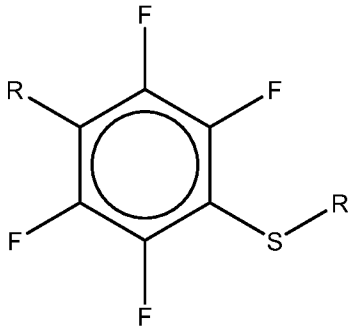
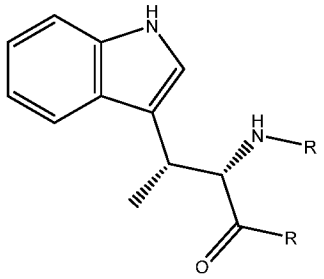
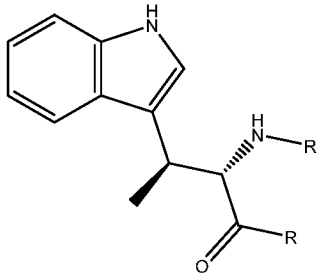
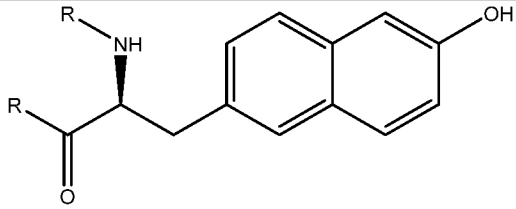
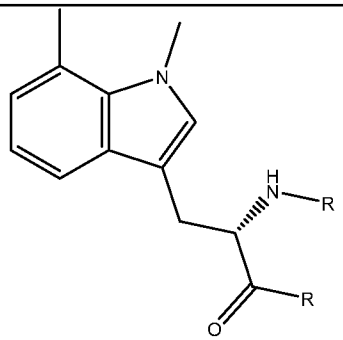
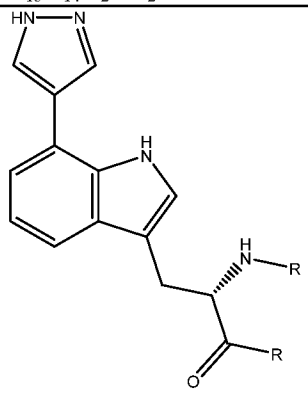
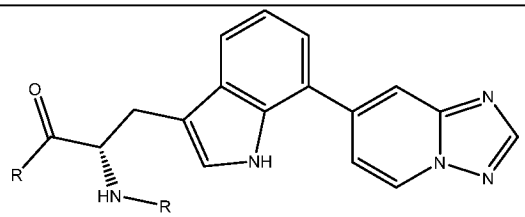
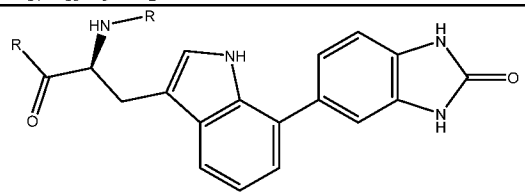
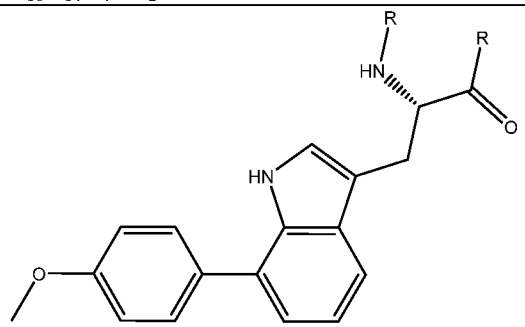
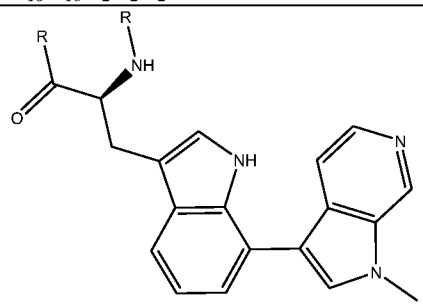
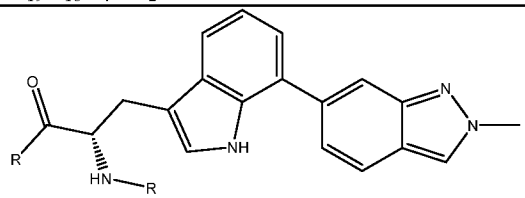
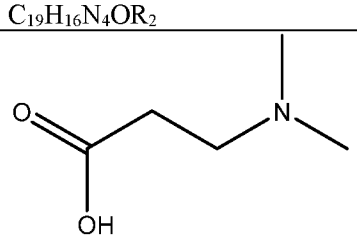
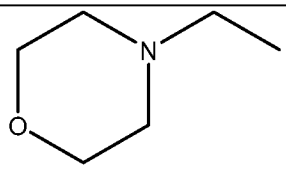
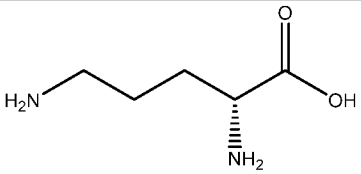
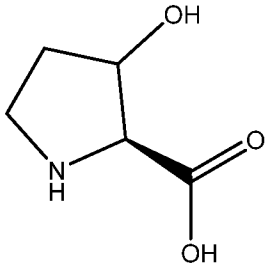
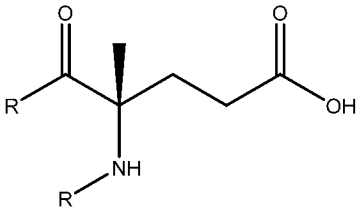
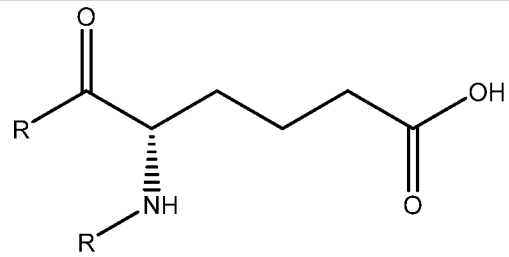
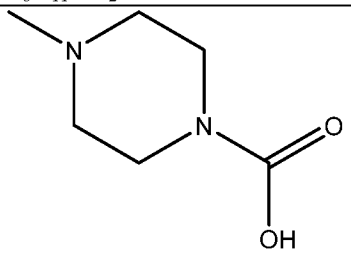
Abbreviation	Definition	Smiles
MeOH	methanol	
MTBE	methyl tert-butyl ether	
MW	microwave	
Oxyma	ethyl cyanohydroxyiminoacetate	
PEG2_DiAcid or PEG2DA	 3,3'-(ethane-1,2-diylbis(oxy))dipropanecarbonyl	
pF	 2,3,5,6-tetrafluorophen-1,4-diyl linker	Fc1c(F)c([R])c(F)c(F)c1[R]
pFS	 2,3,5,6-tetrafluoro-4-mercaptophenol	Fc(c(S[R])c(c(F)c1[R])F)c1F
RT	room temperature	
TFA	trifluoroacetic acid	
TIPS	triisopropylsilane	

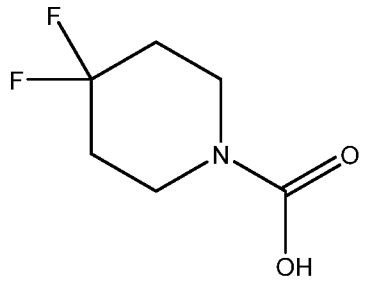
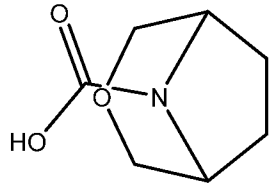
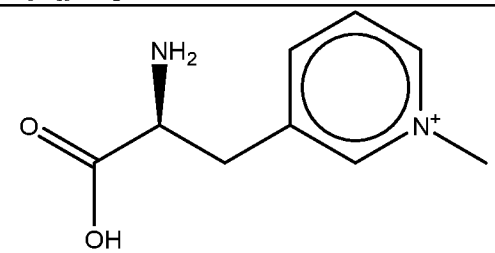
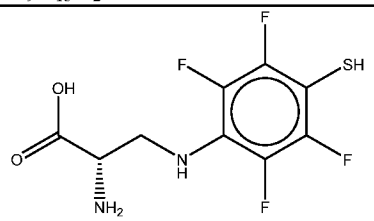
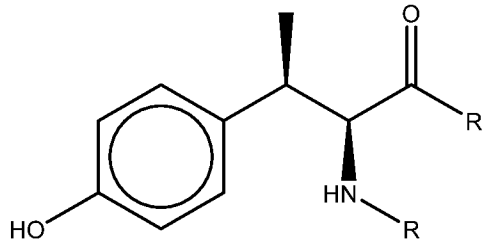
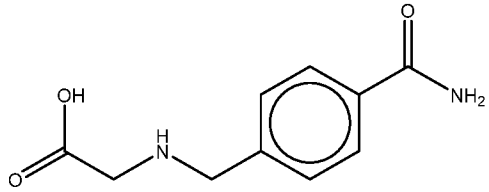
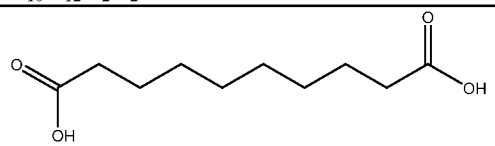
Table 2C. Monomers

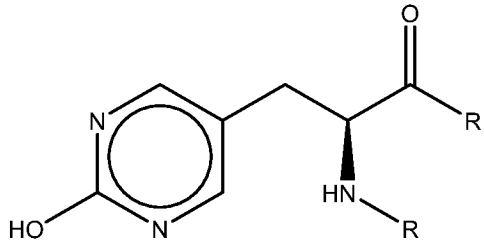
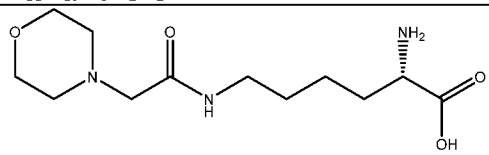
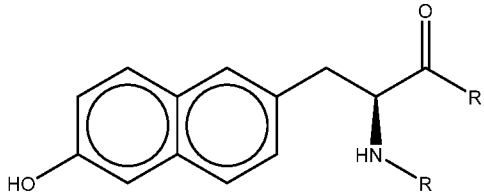
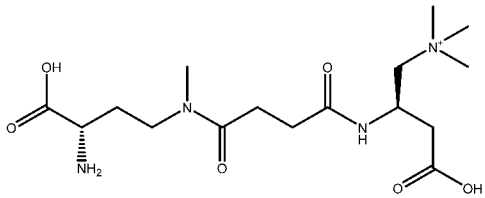
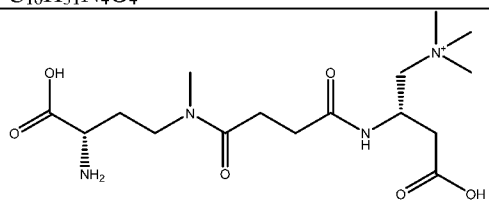
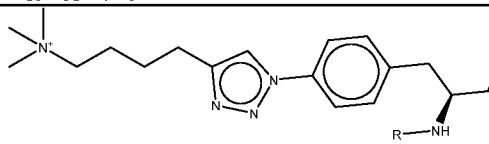
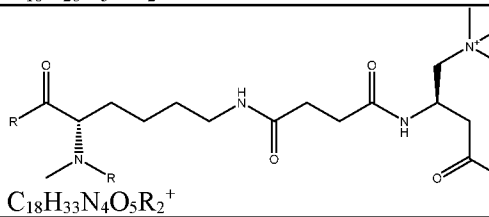
#	Symbol/Name	Structure	Smiles

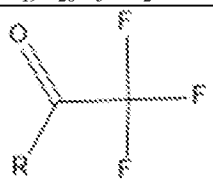
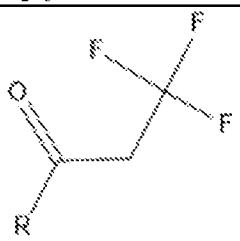
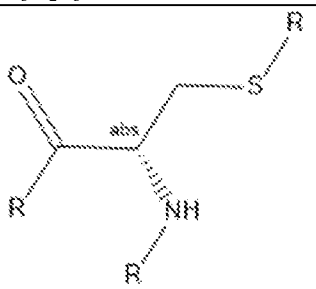
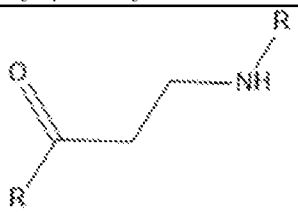
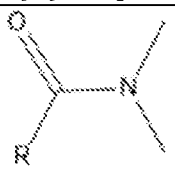
1	bMeW(2S3R) bMeW(2S,3R)	 $C_{12}H_{12}N_2OR_2$	C[C@H](C1=CNC2=C1C=CC=C2)[C@H](N[R])C([R])=O
2	bMeW(2S3S), bMeW(2S,3S)	 $C_{12}H_{12}N_2OR_2$	C[C@@H](C1=CNC2=C1C=CC=C2)[C@H](N[R])C([R])=O
3	6OH2Nal	 (*S*)-2-amino-3-(6-hydroxynaphthalen-2-yl)propanoic acid	[R]C([C@H](CC1=CC=C(C=C(O)C=C2)C2=C1)N[R])=O
4	NMe7MeW	 $C_{13}H_{14}N_2OR_2$	[R]C([C@@H](N[R])CC1=CN(C)C2=C1C=CC=C2C)=O
5	7(4Paz)W	 $C_{14}H_{12}N_4OR_2$	[R]C([C@@H](N[R])CC1=CNC2=C1C=CC=C2C3=CNN=C3)=O

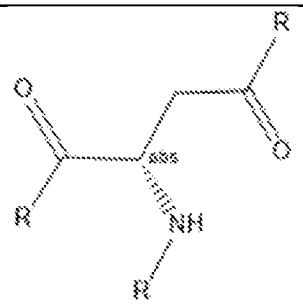
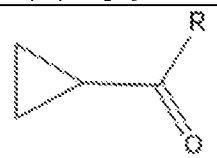
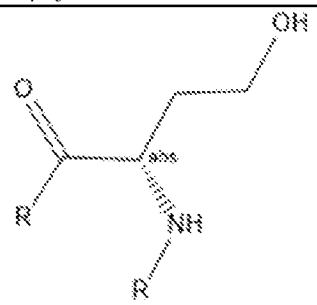
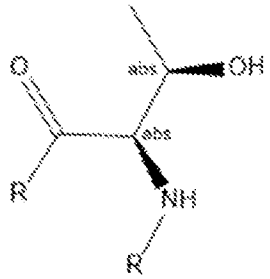
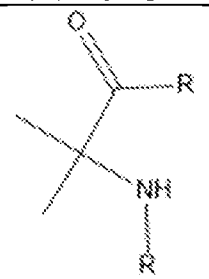
6	7(7(124TAZP))W	 $C_{17}H_{13}N_5OR_2$	[R]C([C@@H](N[R])CC1=CNC2=C1C=CC=C2C3=CC4=NC=NN4C=C3)=O
7	7(3UrPh)W	 $C_{18}H_{14}N_4O_2R_2$	[R]C([C@@H](N[R])CC1=CNC2=C1C=CC=C2C3=CC(NC(N4)=O)=C4C=C3)=O
8	7(7Imzpy)W	$C_{18}H_{14}N_4OR_2$	[R]C([C@@H](N[R])CC1=CNC2=C1C=CC=C2C3=CC4=NC=CN4C=C3)=O]
9	7(4OMePh)W	 $C_{18}H_{16}N_2O_2R_2$	[R]C([C@@H](N[R])CC1=CNC2=C1C=CC=C2C3=CC=C(OC)C=C3)=O
10	7(3(6AzaInd1Me))W	 $C_{19}H_{16}N_4OR_2$	[R]C([C@@H](N[R])CC1=CNC2=C1C=CC=C2C3=CN(C)C4=C3C=CN=C4)=O
11	7(6(2MeNDAZ))W	 $C_{19}H_{16}N_4OR_2$	[R]C([C@@H](N[R])CC1=CNC2=C1C=CC=C2C3=CC4=NN(C)C=C4C=C3)=O
12	NMebAla	 $C_5H_{11}NO$	CN(C)CCC=O

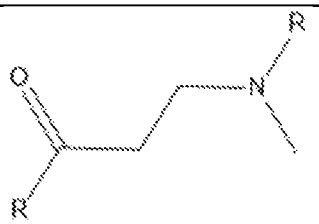
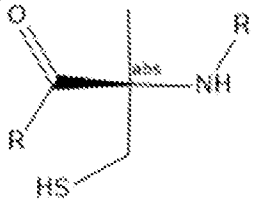
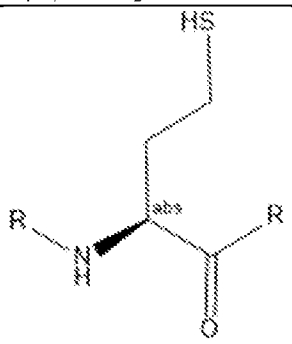
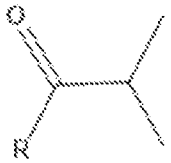
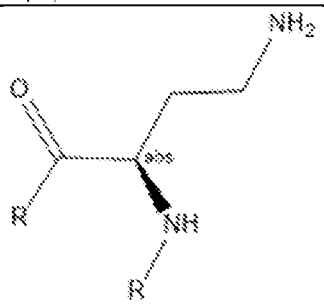
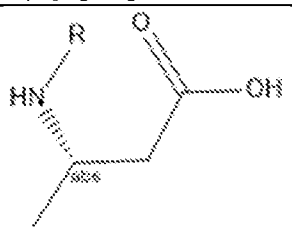
13	AcMorp, Ethyl-morpholino	 $C_5H_{11}NO$	CN1CCOCC1
14	dOrn, D-Orn D-Ornithine	 $C_5H_{12}N_2O$	NCCC[C@H](C(O)=O)N
15	3Hyp, 3-Hydroxy-L-proline	 $C_5H_9NO_2$	OC1[C@@H](C=O)NCC1
16	aMeE aMeGlu, alpha-methyl glutamic acid	 $C_6H_{11}NO_2$	C[C@](CCC(O)=O)(C([R])=O)N[R] C[C@](CCC(O)=O)(C=O)N
17	hGlu, (S)-2-aminohexanedioic acid	 $C_6H_{11}NO_2$	N[C@@H](CCCC=O)C=O OC(CCC[C@@H](C([R])=O)N[R])=O
18	CON(NMePip)	 $C_6H_{12}N_2O$	CN(CC1)CCN1C=O

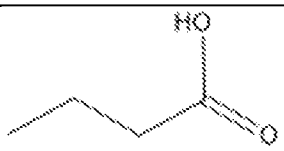
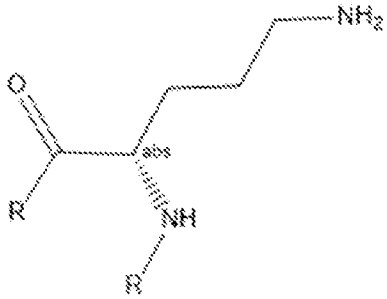
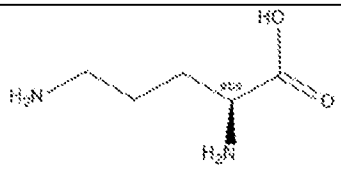
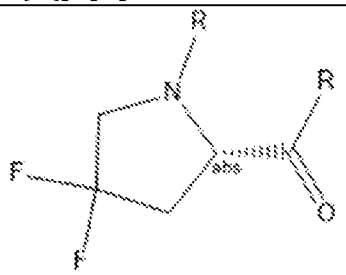
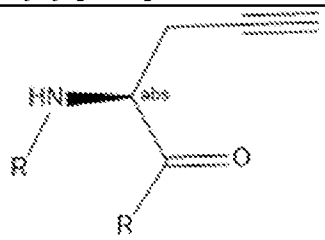
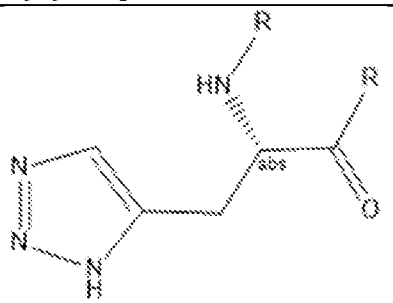
19	-CODiFPip, CO(DiFPip)	 $C_6H_9F_2NO$	O=CN(CC1)CCC1(F)F
20	CO(OAZBO)	 $C_8H_{13}NO_2$	CC(N1C2COCC1CC2)=O
21	Me1Pya, (S)-3-(2-amino-2-carboxyethyl)-1-methylpyridin-1-ium	 $C_9H_{13}N_2O^+$	C[n+]1cccc(C[C@@H](C=O)N)c1
22	DappF6, tetra-fluoro-phenylalanine	 $C_9H_8F_4N_2O$	N[C@@H](CNc(c(F)c(c(S)c1F)F)c1F)C=O
23	bMePhe(2S,3R) bMePhe(SR), bMePhe(2S,3R)	 $C_{10}H_{11}NOR_2$	C[C@@H]([C@@H](C([R])=O)N[R])c1ccccc1
24	N4AmBenzylGly, N(4AmBenzyl)Gly	 $C_{10}H_{12}N_2O_2$	NC(c1ccc(CNCC=O)cc1)=O
25	-Dec, 1,10-Decanedioic Acid	 $C_{10}H_{18}O_3$	OC(CCCCCCCCC=O)=O

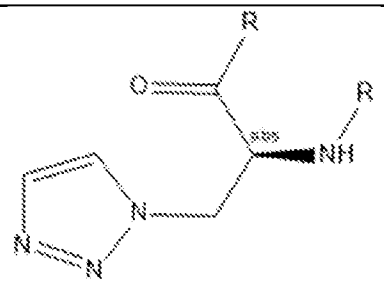
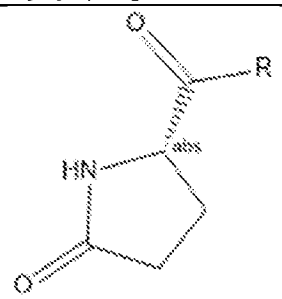
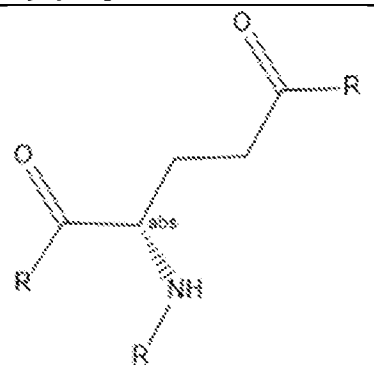
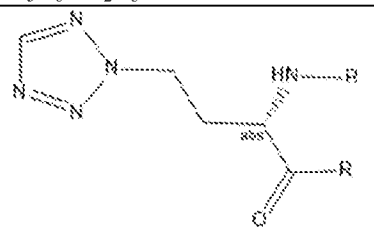
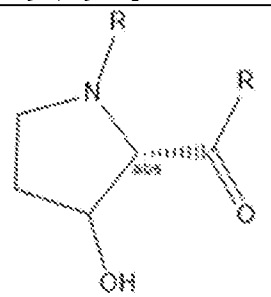
26	2OH3Pyrimid5Ala	 $C_{11}H_{15}N_3O_2R_2$	CC(C)(C)Oc1ncc(C[C@@H](C([R])=O)N[R])cn1
27	KacMorph, K(AcMorph), KAcMorph, L-Lysine(ac-Morpholino	 $C_{12}H_{23}N_3O_3$	N[C@@H](CCCCNC(CN1CCOCC1)=O)C=O
28	6OH2Nal	 $C_{13}H_{13}NO$	N[C@@H](Cc1cc2ccccc2cc1)C=O N[C@@H](Cc1cc2ccccc2cc1)C=O Oc1ccc(cc(C[C@@H](C([R])=O)N[R])cc2)c2c1
29	DabNMecarn, Dab(NMecarn)	 $C_{16}H_{31}N_4O_4^+$	CN(CC[C@@H](C=O)N)C(CCC(N[C@H](CC=O)C[N+](C)(C)C)=O)=O CN(CC[C@@H](C=O)N)C(CCC(N[C@H](CC=O)C[N+](C)(C)C)=O)=O CN(CC[C@@H](C=O)N)C(CCC(N[C@H](CC(O)=O)C[N+](C)(C)C)=O)=O
30	DabNMeCarn, Dab(NMeCarn)	 $C_{16}H_{31}N_4O_5^+$	CN(CC[C@@H](C=O)N)C(CCC(N[C@@H](CC(O)=O)C[N+](C)(C)C)=O)=O
31	F(4TzlTMA4)	 $C_{18}H_{26}N_5OR_2^+$	C[N+](C)(C)CCCCc1cn(-c2ccc(C[C@@H](C([R])=O)N[R])cc2)nn1
32	NMeK(d), NMeKdCar	 $C_{18}H_{33}N_4O_5R_2^+$	CN([C@@H](CCCCNC(CCC(N[C@H](CC(O)=O)C[N+](C)(C)C)=O)=O)C([R])=O)[R]

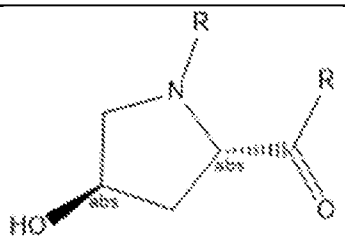
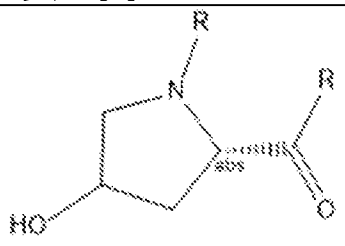
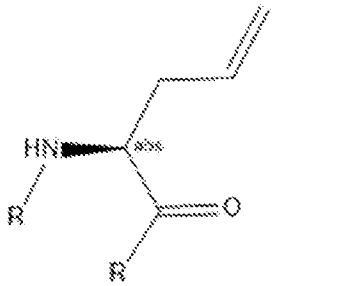
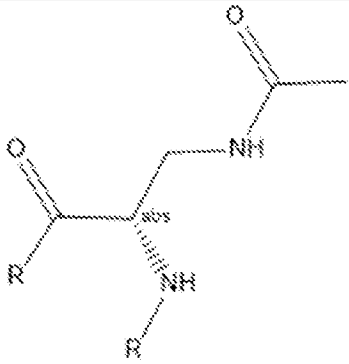
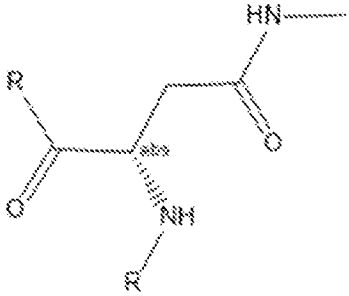
33	7(5(Ina7Pyr))W	$C_{19}H_{18}N_4OR_2$	[R]C([C@@H](N[R])CC1=CNC2=C1C=CC=C2C3=CN=C(N(C)CC4)C4=C3)=O
34	F(4TzlTMA5)	$C_{19}H_{28}N_5OR_2^+$	C[N+](C)(C)CCCCCc1cn(-c2ccc(C[C@@H](C([R])=O)N[R])cc2)nn1
35	CF3CO F3CO	 C_2F_3OR	O=C(C(F)(F)F)[R]
36	CF3Propylamide	 $C_3H_2F_3OR$	O=C(CC(F)(F)F)[R]
37	C(1*) (*pure but configuration unknown)	 $C_3H_4NOSR_3$	O=C([C@H](CS[R])N[R])[R]
38	bAla, b-ALA, beta-Alanine, bA	 $C_3H_5NOR_2$	O=C(CCN[R])[R]
39	CON(Me)2	 C_3H_6NOR	CN(C)C([R])=O

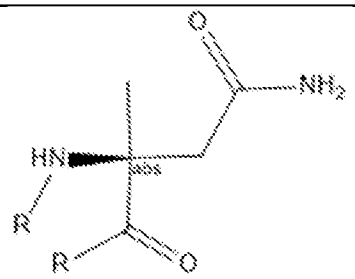
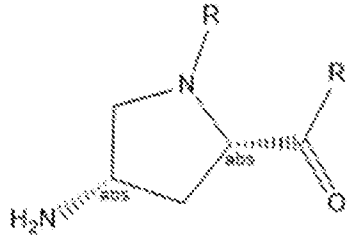
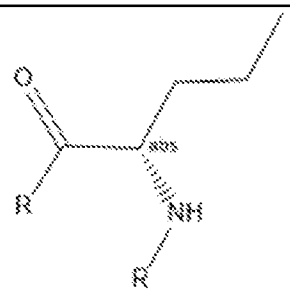
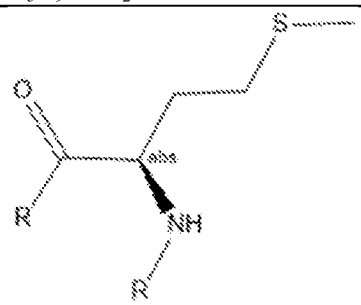
40	D(2)	 $C_4H_4NO_2R_3$	O=C(C[C@@H](C([R])=O)N[R])[R]
41	cPrCO	 C_4H_5OR	O=C(C1CC1)[R]
42	hS, hS, , Hse, L-homoserine, homoS, or homoSer	 $C_4H_7NO_2R_2$	OCC[C@@H](C([R])=O)N[R]
43	T, dThr, dT	 $C_4H_7NO_2R_2$	C[C@H]([C@H](C([R])=O)N[R])O
44	4sb, 4SB	 $C_4H_7NO_3SR_2$	O=C([R])CCCS(=O)(N[R])=O
45	Aib, AIB, 2-Aminoisobutyric acid, Alpha-aminoisobutyric acid ,(2-aminoalanine)	 $C_4H_7NOR_2$	CC(C)(C([R])=O)N[R]
46			

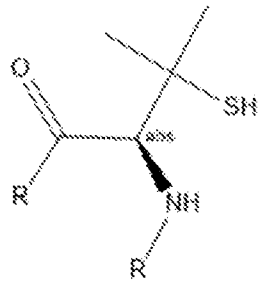
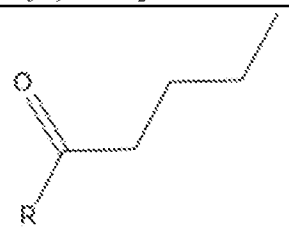
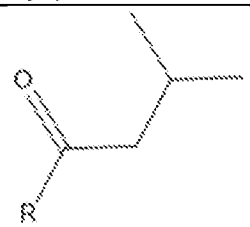
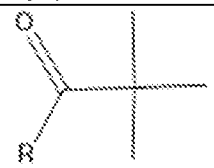
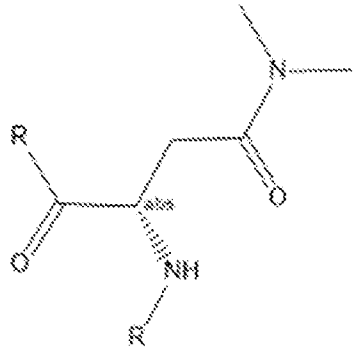
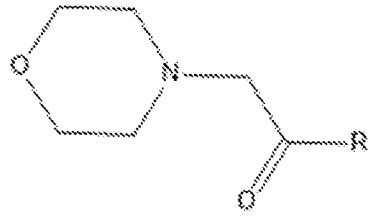
47	NMebAla	 $C_4H_7NOR_2$	CN(CCC([R])=O)[R]
48	aMeC	 $C_4H_7NOSR_2$	C[C@](CS)(C([R])=O)N[R] C[C@](CS)(C=O)N
49	hC, hCys, homoC, or homoCys	 $C_4H_7NOSR_2$	O=C([C@H](CCS)N[R])[R]
50	iPrCO	 C_4H_7OR	CC(C)C([R])=O
51	dDab, dab, (R)-2,4-diaminobutanoic acid	 $C_4H_8N_2OR_2$	NCC[C@H](C([R])=O)N[R]
52	homobAla	 $C_4H_8NO_2R$	C[C@@H](CC(O)=O)N[R]

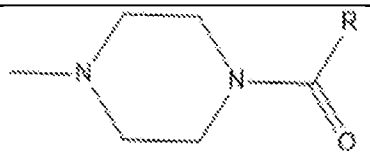
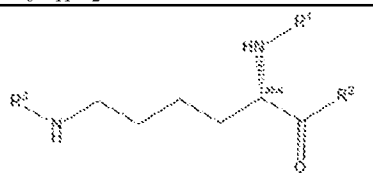
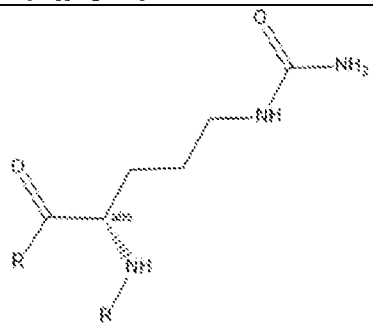
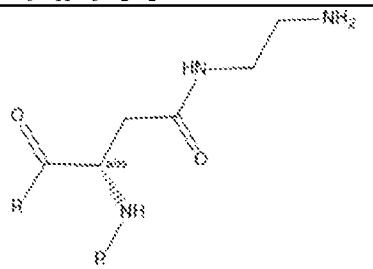
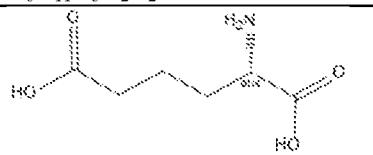
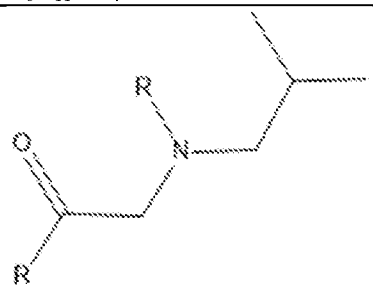
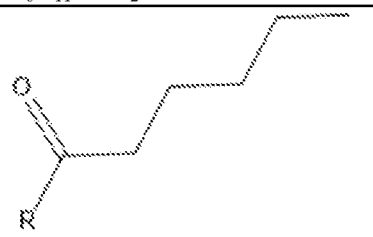
53	Bua, Butanoic acid	 $C_4H_8O_2$	CCCC(O)=O
54	Orn, ORN, Ornithine	 $C_5H_{10}N_2OR_2$	NCCC[C@@H](C([R])=O)N[R]
55			
56	Orn, L-ornithine	 $C_5H_{12}N_2O_2$	NCCC[C@@H](C(O)=O)N
57	4diFPro	 $C_5H_5F_2NOR_2$	O=C([C@H](CC(C1)(F)F)N1[R])[R] O=C[C@H](C1)NCC1(F)F
58	prG, prG, Fmoc-L-propargyl-Gly-OH, Pra	 $C_5H_5NOR_2$	C#CC[C@@H](C([R])=O)N[R]
59	4TriazolAla	 $C_5H_6N_4OR_2$	O=C([C@H](Cc1cnn[nH]1)N[R])[R]

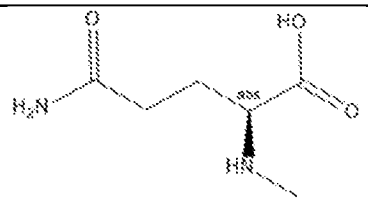
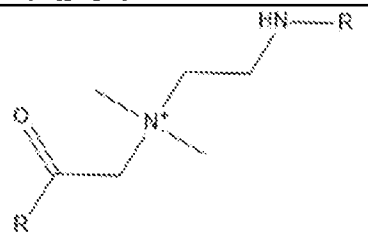
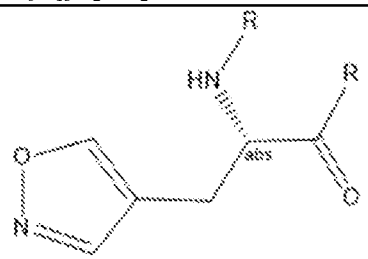
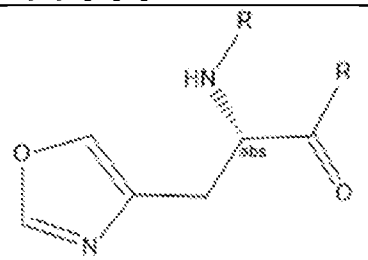
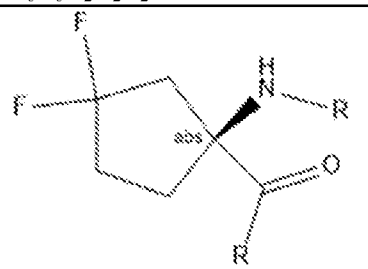
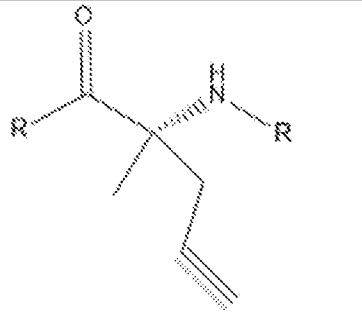
60	Tzl	 $C_5H_6N_4OR_2$	O=C([C@H](Cn1nncc1)N[R])[R]
61	PyE, PyE (S)-5-oxopyrrolidine-2-carboxylic acid	 $C_5H_6NO_2R$	O=C([C@H](CC1)NC1=O)[R] O=C[C@H](CC1)NC1=O
62	E(2)	 $C_5H_6NO_2R_3$	O=C(CC[C@@H](C([R])=O)N[R])[R]
63	Tetrazole	 $C_5H_7N_5OR_2$	O=C([C@H](CCn1nncn1)N[R])[R] N[C@@H](CCn1ncn1)C=O
64	3OHPro	 $C_5H_7NO_2R_2$	OC(CC1)[C@@H](C([R])=O)N1[R]

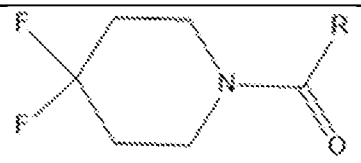
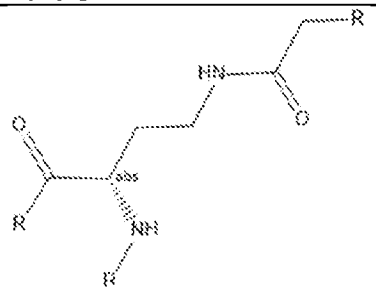
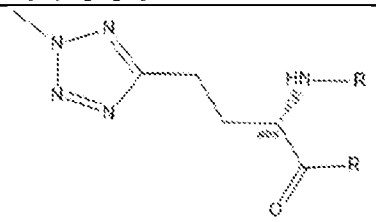
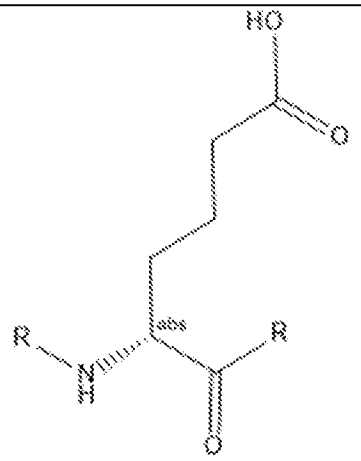
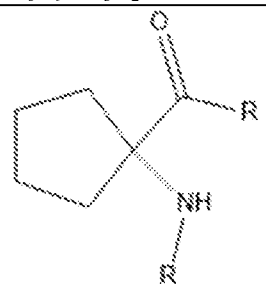
65	4(R)HydroxyPro	 $C_5H_7NO_2R_2$	O[C@H](C[C@H]1C([R])=O)CN1[R]
66	Hyp	 $C_5H_7NO_2R_2$	OC(C[C@H]1C([R])=O)CN1[R]
67	AllylGly	 $C_5H_7NOR_2$	C=CC[C@@H](C([R])=O)N[R]
68	Dap(Ac)	 $C_5H_8N_2O_2R_2$	CC(NC[C@@H](C([R])=O)N[R])=O
69	N(NMe), NNMe, NMeAsn	 $C_5H_8N_2O_2R_2$	CNC(C[C@@H](C([R])=O)N[R])=O

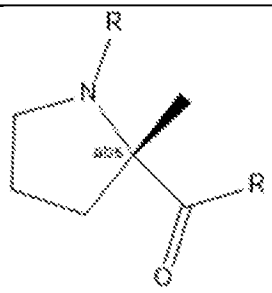
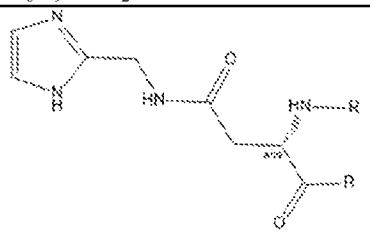
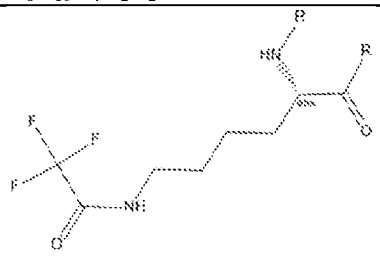
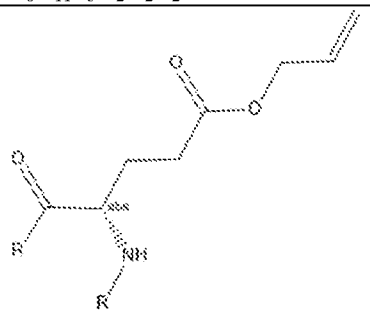
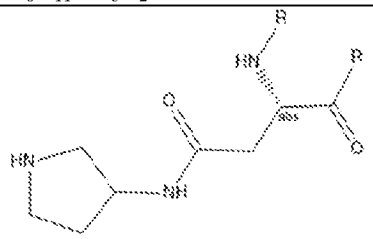
70	aMeN. aMeAsn	 $C_5H_8N_2O_2R_2$	C[C@](CC(N)=O)(C([R])=O)N[R]
71	4(S)AminoPro	 $C_5H_8N_2OR_2$	N[C@@H](C[C@H]1C([R])=O)CN1[R]
72	CO(Morph)	 $C_5H_8NO_2R$	O=C(N1CCOCC1)[R]
73	-COMorph, CO(Morph)	 $C_5H_9NO_2$	O=CN1CCOCC1
74			
75	Nva	 $C_5H_9NOR_2$	CCC[C@@H](C([R])=O)N[R]
76	dM, dMet, D-Methionine	 $C_5H_9NOSR_2$	CSCC[C@H](C([R])=O)N[R]

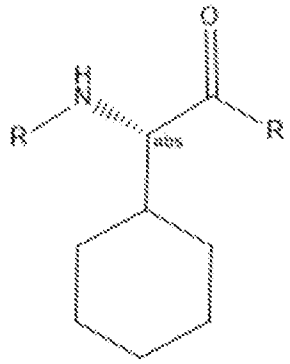
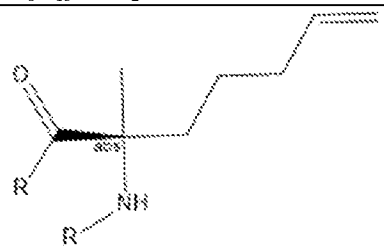
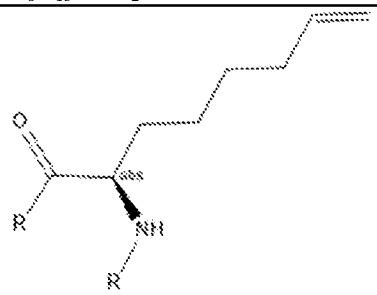
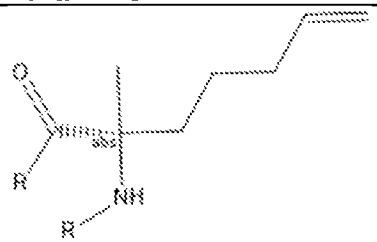
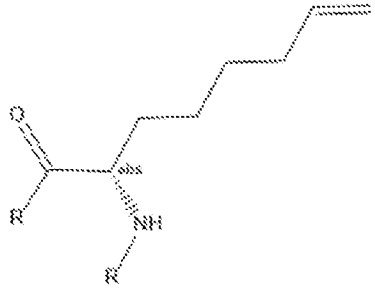
77	dPen, pen	 $C_5H_9NOSR_2$	CC(C)([C@H](C([R])=O)N[R])S
78	BuCO	 C_5H_9OR	CCCCC([R])=O
79	iBuCO	 C_5H_9OR	CC(C)CC([R])=O CC[C@H](C)C([R])=O
80	tBuCO	 C_5H_9OR	CC(C)(C)C([R])=O
81	N(N(Me)2), NNMe2	 $C_6H_{10}N_2O_2R_2$	CN(C)C(C[C@@H](C([R])=O)N[R])=O
82	MorphCO, 2-morpholinoacetic acid	 $C_6H_{10}NO_2R$	O=C(CN1CCOCC1)[R]

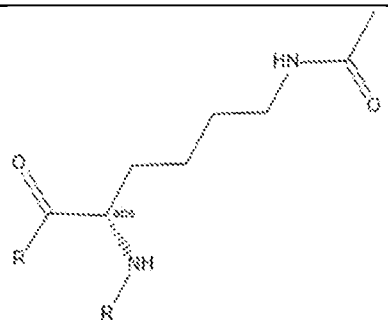
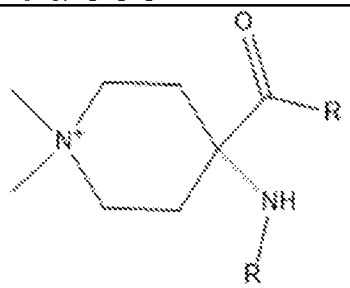
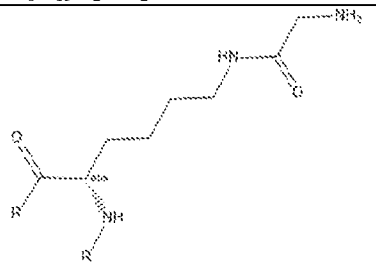
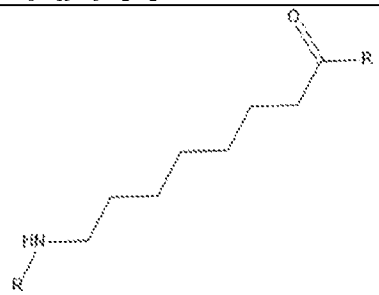
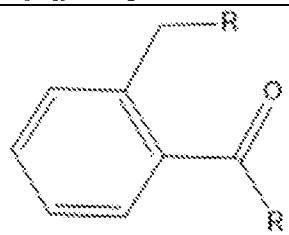
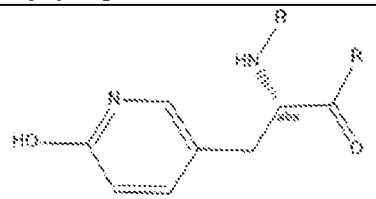
83	CON(NMePip)	 $C_6H_{11}N_2OR$	CN(CC1)CCN1C([R])=O
84	eK	 $C_6H_{11}N_2OR_3$	O=C(O)[C@@H](N[R])CCCCN[R]
85	Cit, Citrulline	 $C_6H_{11}N_3O_2R_2$	NC(NCCC[C@@H](C([R])=O)N[R])=O N[C@@H](CCCNC(N)=O)C(O)=O
86	D(NEtNH2)	 $C_6H_{11}N_3O_2R_2$	NCCNC(C[C@@H](C([R])=O)N[R])=O
87	Aad, 2-Aminoadipic acid	 $C_6H_{11}NO_4$	N[C@@H](CCCC(O)=O)C(O)=O
88	N(Isobutyl)Gly	 $C_6H_{11}NOR_2$	CC(C)CN(CC([R])=O)[R]
89	PentCO	 $C_6H_{11}OR$	CCCCCC([R])=O

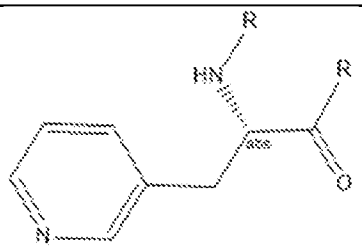
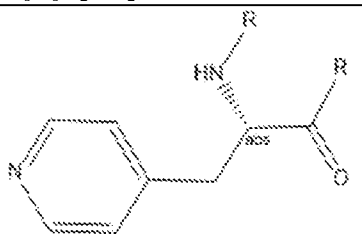
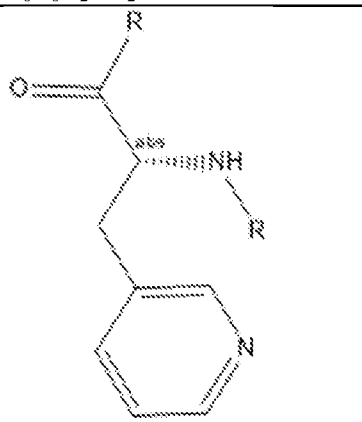
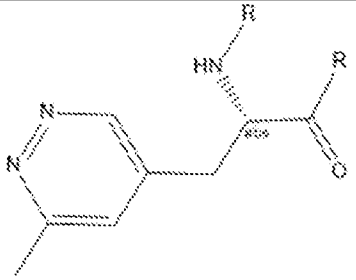
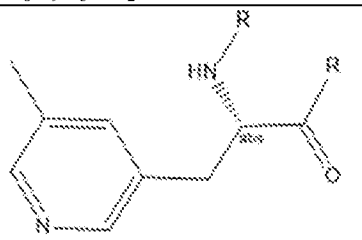
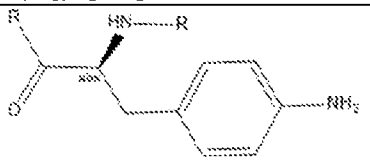
90	NMeQ, NMeGln, N-Methyl-Glutamine	 $C_6H_{12}N_2O_3$	CN[C@@H](CCC(N)=O)C(O)=O
91	SP6	 $C_6H_{13}N_2OR_2^+$	C[N+](C)(CCN[R])CC([R])=O C[N+](C)(CCN)CC=O
92	3IOxa4Ala	 $C_6H_6N_2O_2R_2$	O=C([C@H](Cc1conc1)N[R])[R]
93	3Oxa4Ala	 $C_6H_6N_2O_2R_2$	O=C([C@H](Cc1cocn1)N[R])[R]
94	diFCpx	 $C_6H_7F_2NOR_2$	O=C([C@](CC1)(CC1(F)F)N[R])[R]
95	aMePra	 $C_6H_7NOR_2$	C[C@](CC#C)(C([R])=O)N[R]

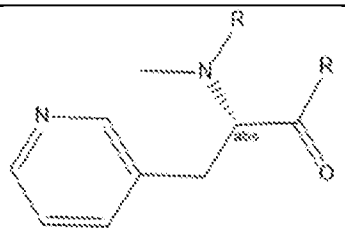
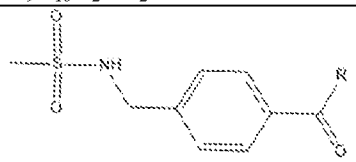
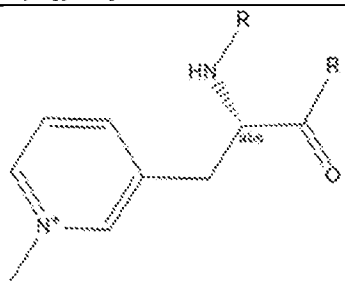
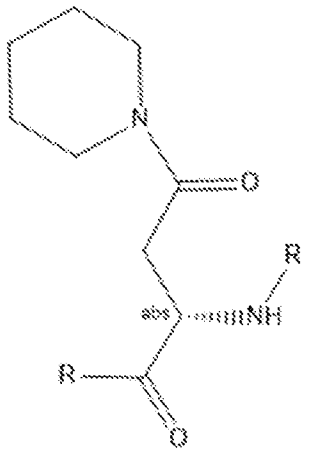
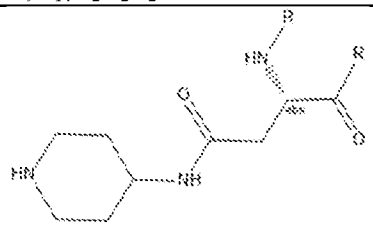
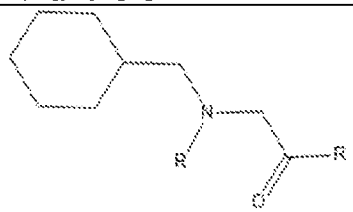
96	CO(DiFPip)	 $C_6H_8F_2NOR$	O=C(N(CC1)CCC1(F)F)[R]
97	dab(COCH2(1*)) dab(COCH2)(1*)	 $C_6H_9N_2O_2R_3$	O=C(C[R])NCC[C@@H](C([R])=O)N[R]
98	Tetrazole(NMe)	 $C_6H_9N_5OR_2$	Cn1nnc(CC[C@@H](C([R])=O)N[R])n1
99			
100	dhE	 $C_6H_9NO_3R_2$	OC(CCC[C@H](C([R])=O)N[R])=O
101	Acpx	 $C_6H_9NOR_2$	O=C(C1(CCCC1)N[R])[R] NC1(CCCC1)C=O

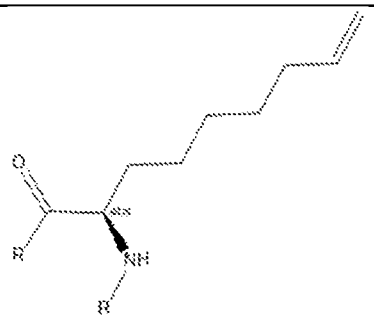
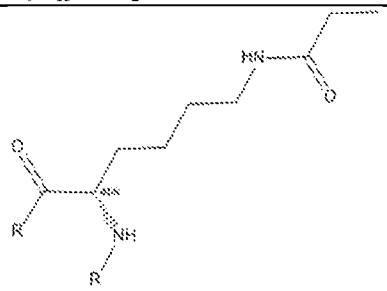
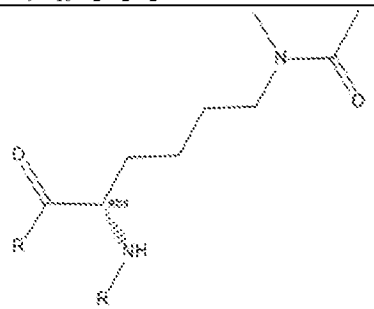
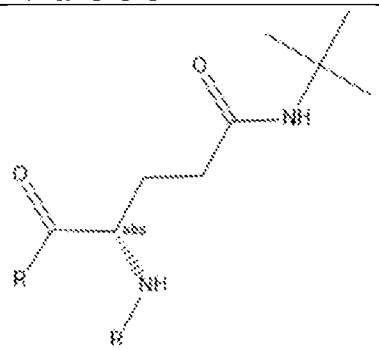
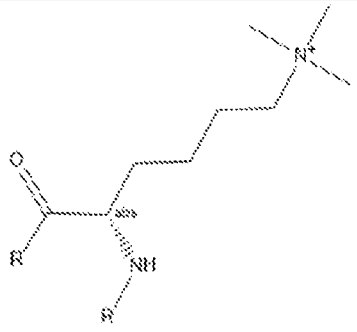
102	aMeP, aMePro	 $C_6H_9NOR_2$	C[C@](CCC1)(C([R])=O)N1[R]
103	D(N2AmIm)	 $C_8H_{10}N_4O_2R_2$	O=C(C[C@@H](C([R])=O)N[R])NCc1ncc[nH]1
104	KTfa, K(Tfa), L-Lys(Tfa)	 $C_8H_{11}F_3N_2O_2R_2$	O=C([C@H](CCCCNC(C(F)(F)F)=O)N[R])[R]
105	E(OAll)	 $C_8H_{11}NO_3R_2$	C=CCOC(CC[C@@H](C([R])=O)N[R])=O
106	D(NPyr)	 $C_8H_{13}N_3O_2R_2$	O=C(C[C@@H](C([R])=O)N[R])NC1CNCC1

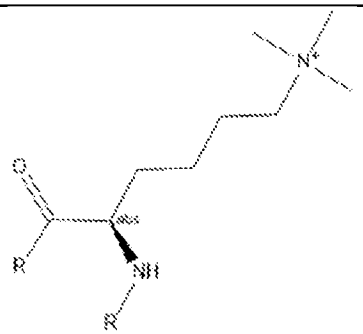
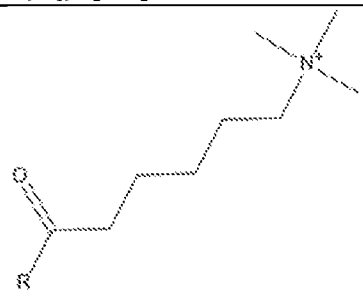
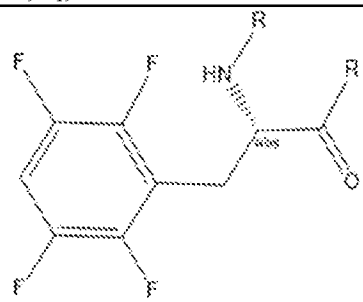
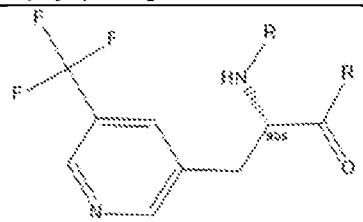
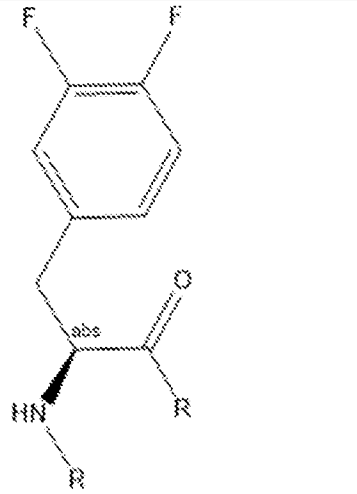
107	Chg	 $C_8H_{13}NOR_2$	O=C([C@H](C1C CCCC1)N[R])[R]
108	R5Me, aMeR5H	 $C_8H_{13}NOR_2$	C[C@@](CCCC=C)(C([R])=O)N[R]
109	R6H, (R,E)-2-amino-8-hydroxyoct-7-enoic acid	 $C_8H_{13}NOR_2$	C=CCCCC[C@H](C([R])=O)N[R] C=CCCCC[C@H](C=O)N
110	S5Me aMeS5H	 $C_8H_{13}NOR_2$	C[C@](CCCC=C)(C([R])=O)N[R]
111	S6H	 $C_8H_{13}NOR_2$	C=CCCCC[C@@ H](C([R])=O)N[R]

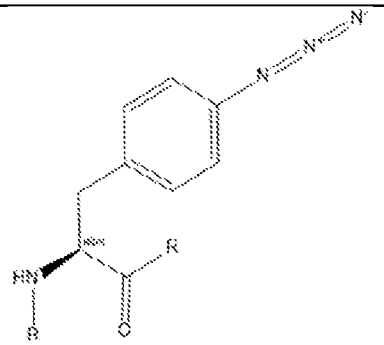
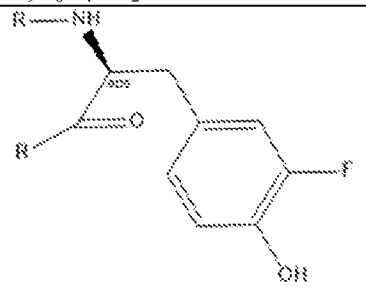
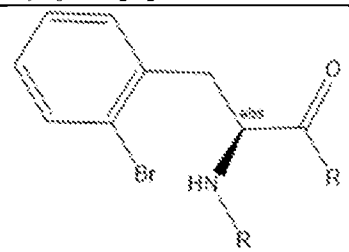
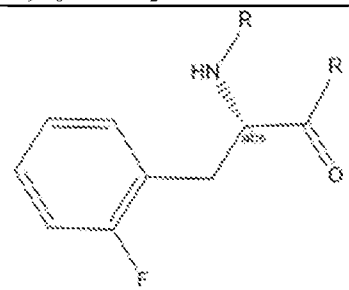
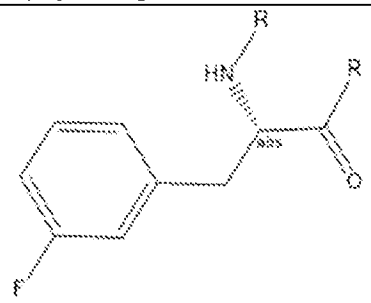
112	KAc, K(Ac), K(COMe), K-Ac, N6-acetyl-L-Lysine	 $C_8H_{14}N_2O_2R_2$	CC(NCCCC[C@@H](C([R])=O)N[R])=O
113	Pip(NMe2)	 $C_8H_{15}N_2OR_2^+$	C[N+](C)(CC1)CCC1(C([R])=O)N[R]
114	K(Gly)	 $C_8H_{15}N_3O_2R_2$	NCC(NCCCC[C@@H](C([R])=O)N[R])=O
115	8Aoc, 8Aoc(2)	 $C_8H_{15}NOR_2$	O=C(CCCCCCCN[R])[R]
116	2Benzyl	 $C_8H_6OR_2$	O=C(c1c(C[R])cccc1)[R]
117	6OH3Pya	 $C_8H_8N_2O_2R_2$	Oc1ncc(C[C@@H](C([R])=O)N[R])cc1

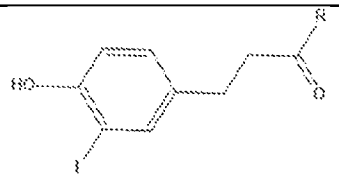
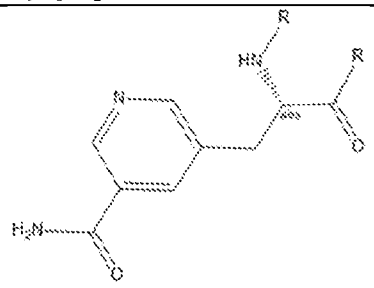
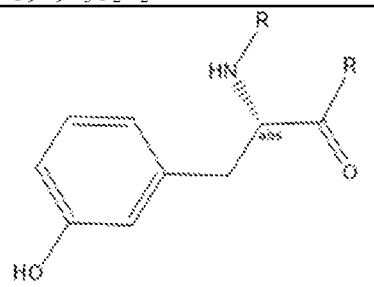
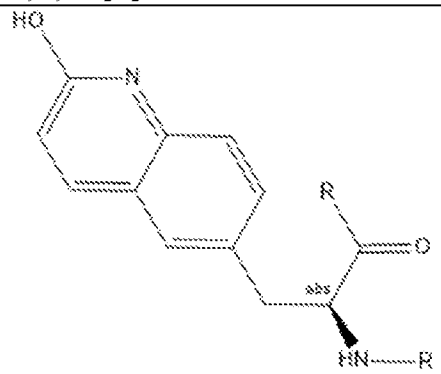
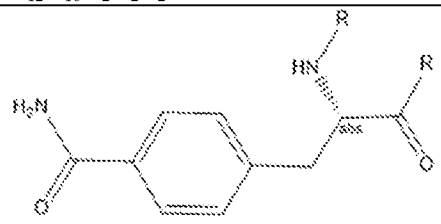
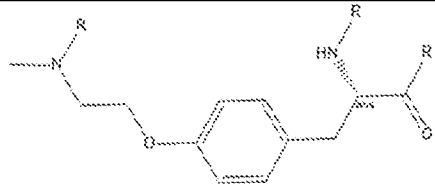
118	3Pya, 3Pal, 3-(2-pyridyl)-alanine	 $C_8H_8N_2OR_2$	O=C([C@H](Cc1cnccc1)N[R])[R]
119	4Pya, 4Pya, 4Pal, (S)-2-amino-3-(pyridin-4-yl)propanoic acid 4PyridinAla	 $C_8H_8N_2OR_2$	O=C([C@H](Cc1ccncc1)N[R])[R]
120	dPal, dpal, d3Pya, 3pya, 3-pyridylalanine, (R)-2-amino-3-(pyridin-3-yl)propanoic acid	 $C_8H_8N_2OR_2$	O=C([C@@H](Cc1cnccc1)N[R])[R]
121	6MePyridazAla	 $C_8H_9N_3OR_2$	Cc1cc(C[C@@H](C([R])=O)N[R])cnn1
122	5MePyridinAla	 $C_9H_{10}N_2OR_2$	Cc1cc(C[C@@H](C([R])=O)N[R])cnc1
123	J, Aph, 4-aminophenylalanine	 $C_9H_{10}N_2OR_2$	Nc1ccc(C[C@@H](C([R])=O)N[R])cc1

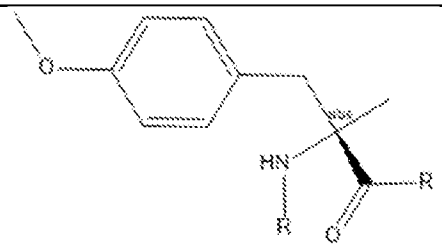
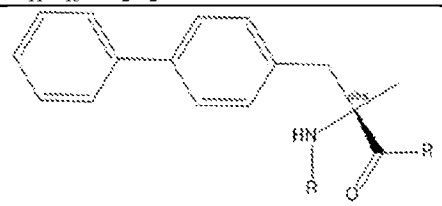
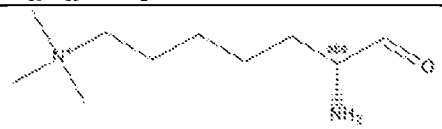
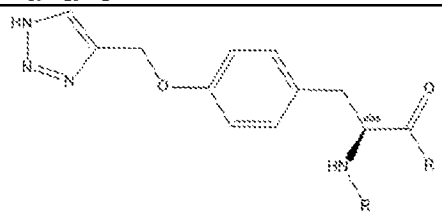
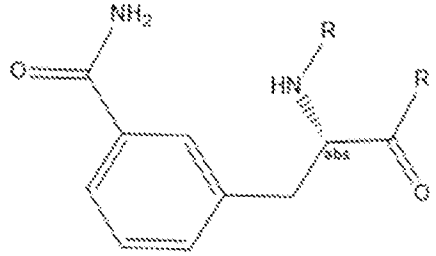
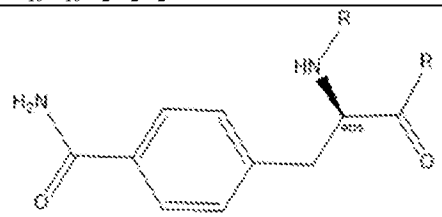
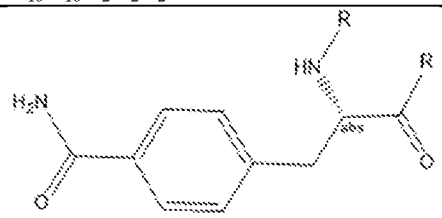
124	NMe3Pya	 $C_9H_{10}N_2OR_2$	CN([C@@H](Cc1cnccc1)C([R])=O)[R] CN[C@@H](Cc1cnccc1)C=O
125	SMSBCO	 $C_9H_{10}NO_3SR$	CS(NCc(cc1)ccc1C([R])=O)(=O)=O
126	Me3Pya	 $C_9H_{11}N_2OR_2^+$	C[n+]1cccc(C[C@@H](C([R])=O)N[R])c1
127	D(Pip), (S)-2-amino-4-oxo-4-(piperidin-1-yl)butanoic acid	 $C_9H_{14}N_2O_2R_2$	O=C(C[C@@H](C([R])=O)N[R])N1CCCCC1
128	D(NPip)	 $C_9H_{15}N_3O_2R_2$	O=C(C[C@@H](C([R])=O)N[R])NC1CCNCC1
129	N(Cyclohexyl)Gly	 $C_9H_{15}NOR_2$	O=C(CN(CC1CCCCC1)[R])[R]

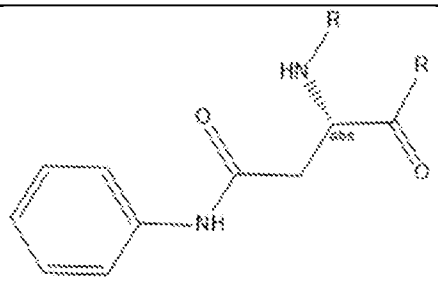
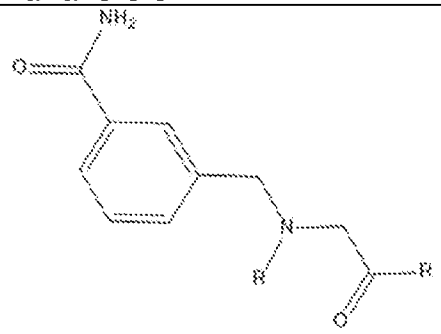
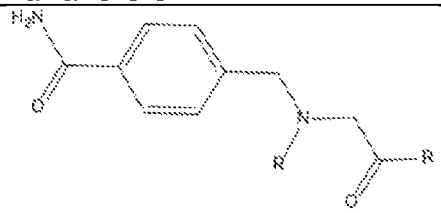
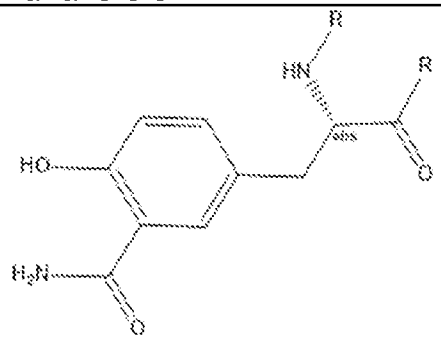
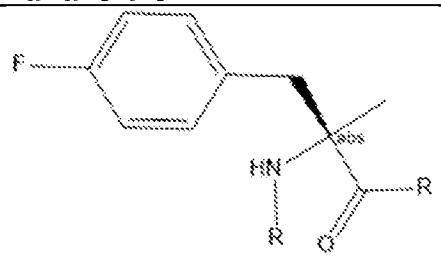
130	R7H, (R,E)-2-amino-9-hydroxynon-8-enoic acid	 $C_9H_{15}NOR_2$	C=CCCCCC[C@H](C([R])=O)N[R] C=CCCCCC[C@H](C=O)N
131	K(COEt)	 $C_9H_{16}N_2O_2R_2$	CCC(NCCCC[C@@H](C([R])=O)N[R])=O
132	K(NMeAc), KNMeAc	 $C_9H_{16}N_2O_2R_2$	CC(N(C)CCCC[C@@H](C([R])=O)N[R])=O
133	Q(NHtBu)	 $C_9H_{16}N_2O_2R_2$	CC(C)(C)NC(CC[C@@H](C([R])=O)N[R])=O
134	K(Me)3	 $C_9H_{19}N_2OR_2^+$	C[N+](C)(C)CCCC[C@@H](C([R])=O)N[R]

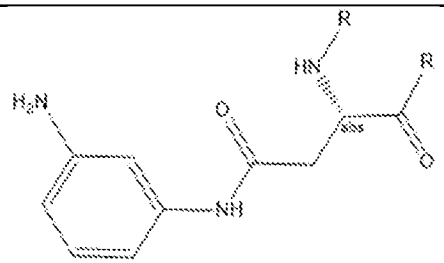
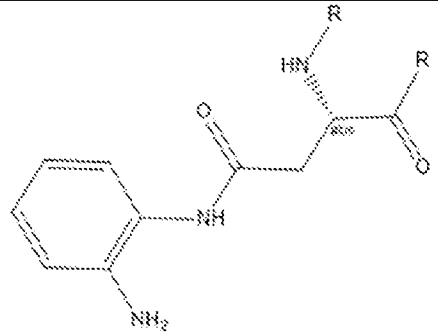
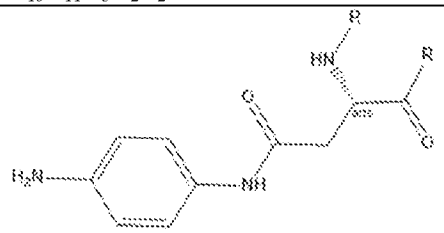
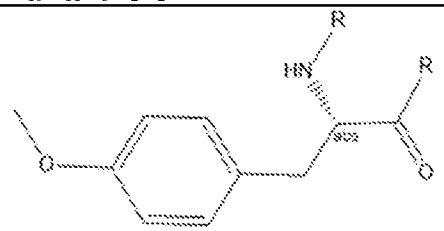
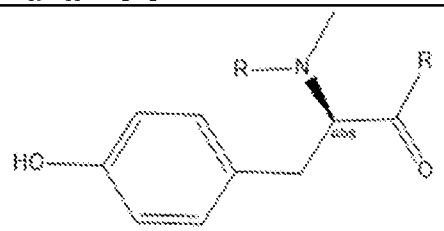
135	dK(Me)3, k(Me)3	 $C_9H_{19}N_2OR_2^+$	C[N+](C)(C)CCCC[C@H](C([R])=O)N[R]
136	5cpaCO	 $C_9H_{19}NOR^+$	C[N+](C)(C)CCCCCC([R])=O
137	tetraFPhe	 $C_9H_5F_4NOR_2$	O=C([C@H](Cc(c(F)c(cc1F)F)c1F)N[R])[R]
138	5CF33Pya	 $C_9H_7F_3N_2OR_2$	O=C([C@H](Cc1cncc(C(F)(F)F)c1)N[R])[R] N[C@@H](Cc1cc(C(F)(F)F)cnc1)C=O
139	3,4diFPhe, 4diFPhe	 $C_9H_7F_2NOR_2$	O=C([C@H](Cc(cc1)cc(F)c1F)N[R])[R]

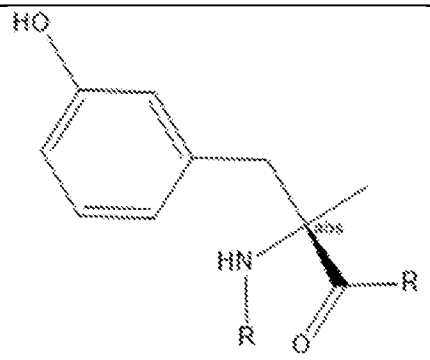
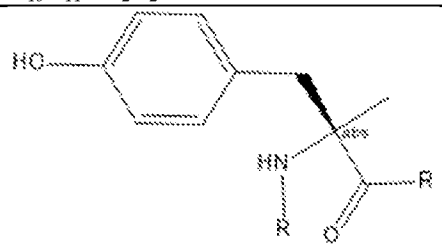
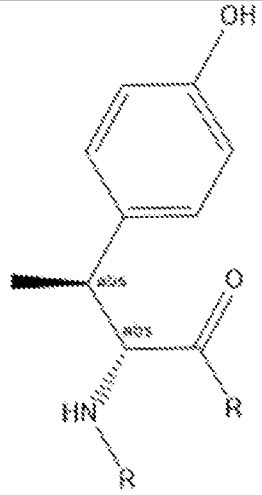
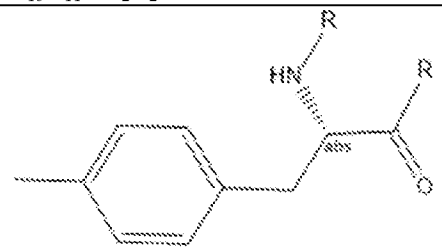
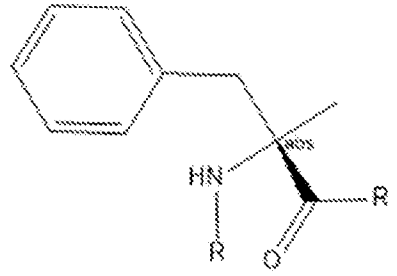
140	F(4N3)	 $C_9H_8N_4OR_2$	[N-]=[N+]=Nc1ccc(C[C@@H](C([R])=O)N[R])cc1
141	3FTyr	 $C_9H_8FNO_2R_2$	Oc(ccc(C[C@@H](C([R])=O)N[R])c1)c1F
142	2BrPhe, 2BrF	 $C_9H_8BrNOR_2$	O=C([C@H](Cc(ccc1)c1Br)N[R])[R]
143	2FPHE, 2FPhe	 $C_9H_8FNOR_2$	O=C([C@H](Cc(ccc1)c1F)N[R])[R]
144	3FPHE, 3FPhe	 $C_9H_8FNOR_2$	O=C([C@H](Cc1cc(F)ccc1)N[R])[R]

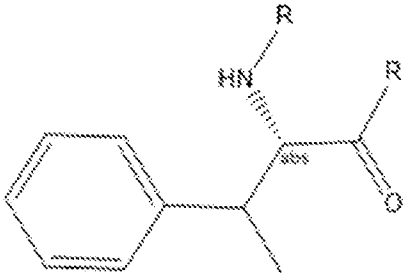
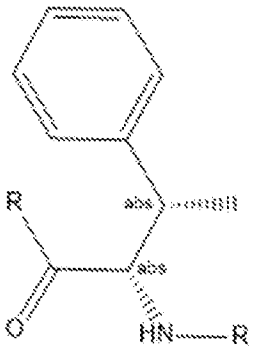
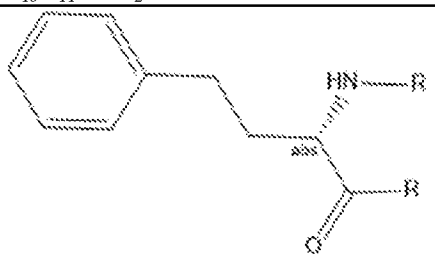
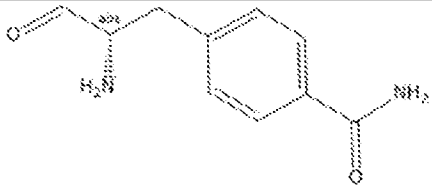
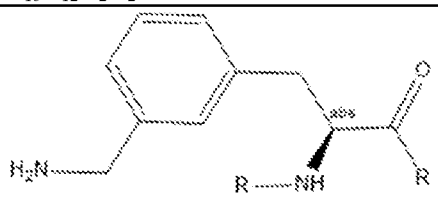
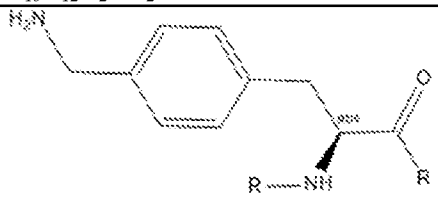
145	BHCO	 $C_9H_8IO_2R$	Oc(ccc(CCC([R])=O)c1)c1I
146	5AmPyridinAla	 $C_9H_9N_3O_2R_2$	NC(c1cc(C[C@@H](C([R])=O)N[R])cnc1)=O
147	mTYR, mY, mTyr	 $C_9H_9NO_2R_2$	Oc1cccc(C[C@@H](C([R])=O)N[R])c1
148	6OHQuin	 $C_{12}H_{10}N_2O_2R_2$	Oc1ccc(cc(C[C@@H](C([R])=O)N[R])cc2)c2n1
149	4AmF, 4AmPhe	 $C_{10}H_{10}N_2O_2R_2$	NC(c1ccc(C[C@@H](C([R])=O)N[R])cc1)=O N[C@@H](Cc(cc1)ccc1C(N)=O)C=O
150	AEF(NMe(2))	 $C_{12}H_{15}N_2O_2R_3$	CN(CCOc1ccc(C[C@@H](C([R])=O)N[R])cc1)[R]

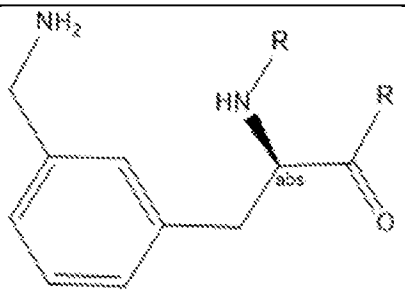
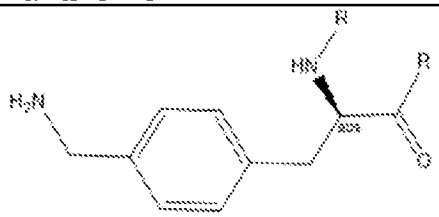
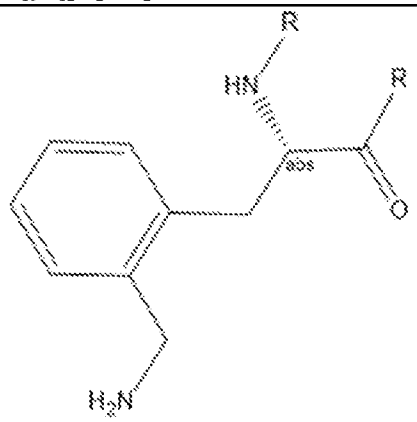
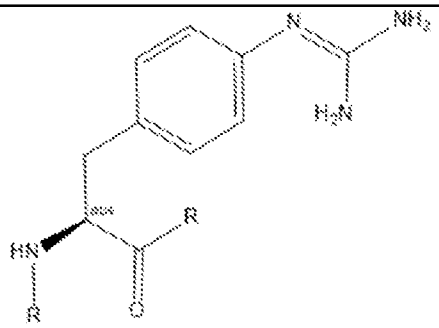
151	aMeY01	 $C_{11}H_{13}NO_2R_2$	C[C@](Cc(cc1)ccc1OC)(C([R])=O)N[R]
152	BiF	 $C_{16}H_{15}NOR_2$	C[C@](Cc(cc1)ccc1-c1ccccc1)(C([R])=O)N[R]
153	hdKMe3, hk(Me)3	 $C_{10}H_{23}N_2O^+$	C[N+](C)(C)CCCCC[C@H](C=O)N
154	Y(OTzl)	 $C_{12}H_{12}N_4O_2R_2$	O=C([C@H](Cc(cc1)ccc1OCc1c[nH]nn1)N[R])[R]
155	3CONH2F	 $C_{10}H_{10}N_2O_2R_2$	NC(c1cccc(C[C@@H](C([R])=O)N[R])c1)=O
156	4AmDF, 4AmDPhe	 $C_{10}H_{10}N_2O_2R_2$	NC(c1ccc(C[C@H](C([R])=O)N[R])cc1)=O
157	4AmF, 4AmPhe	 $C_{10}H_{10}N_2O_2R_2$	NC(c1ccc(C[C@@H](C([R])=O)N[R])cc1)=O N[C@@H](Cc(cc1)ccc1C(N)=O)C=O

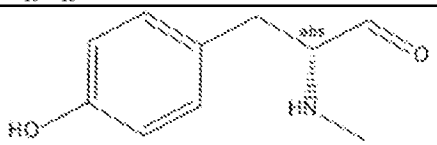
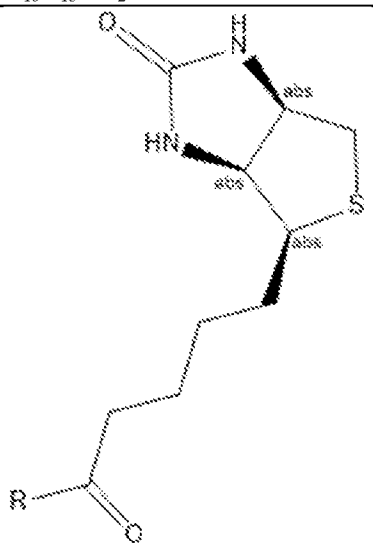
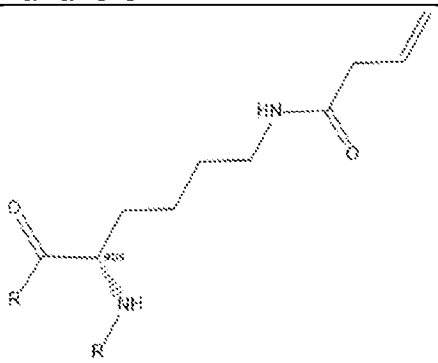
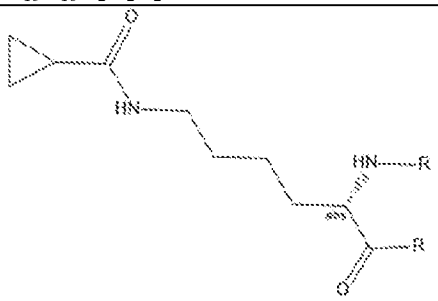
158	D(NPh)	 $C_{10}H_{10}N_2O_2R_2$	O=C(C[C@@H](C([R])=O)N[R])Nc1ccccc1
159	N(3AmBenzyl)Gly	 $C_{10}H_{10}N_2O_2R_2$	NC(c1cccc(CN(CC([R])=O)[R])c1)=O
160	N(4AmBenzyl)Gly	 $C_{10}H_{10}N_2O_2R_2$	NC(c1ccc(CN(CC([R])=O)[R])cc1)=O
161	2AmTyr	 $C_{10}H_{10}N_2O_3R_2$	NC(c(cc(C[C@@H](C([R])=O)N[R])cc1)c1O)=O
162	aMeFPhe	 $C_{10}H_{10}FNOR_2$	C[C@](Cc(cc1)ccc1F)(C([R])=O)N[R]

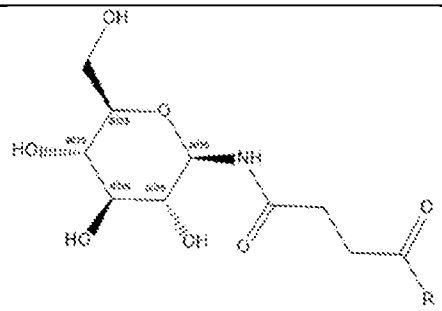
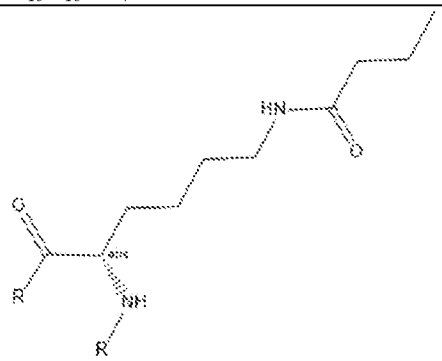
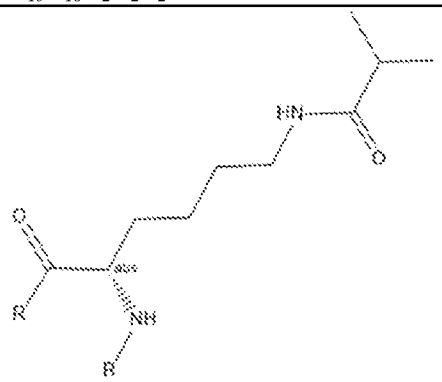
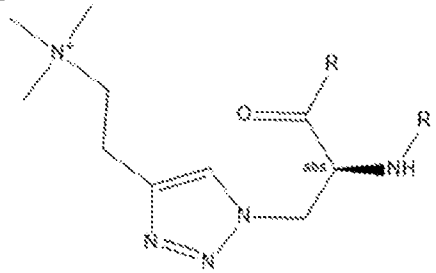
163	D(NmAn)	 $C_{10}H_{11}N_3O_2R_2$	Nc1cccc(NC(C[C@@H](C([R])=O)N[R])=O)c1
164	D(NoAn)	 $C_{10}H_{11}N_3O_2R_2$	Nc(cccc1)c1NC(C[C@@H](C([R])=O)N[R])=O
165	D(NpAn)	 $C_{10}H_{11}N_3O_2R_2$	Nc(cc1)ccc1NC(C[C@@H](C([R])=O)N[R])=O
166	4MeOF	 $C_{10}H_{11}NO_2R_2$	COc1ccc(C[C@@H](C([R])=O)N[R])cc1 COc1ccc(C[C@@H](C=O)N)cc1
167	NMeDTyr, NMeDY, NMedTyr, NMedY, N-Methyl-D-tyrosine, dNMeTyr dNMeY	 $C_{10}H_{11}NO_2R_2$	CN([C@H](Cc(cc1)ccc1O)C([R])=O)[R]

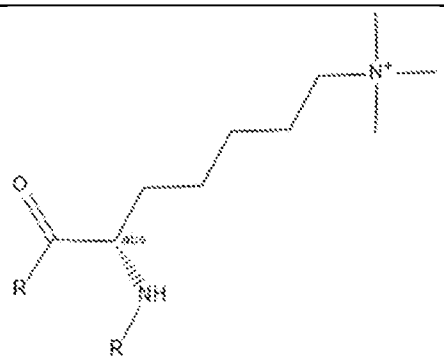
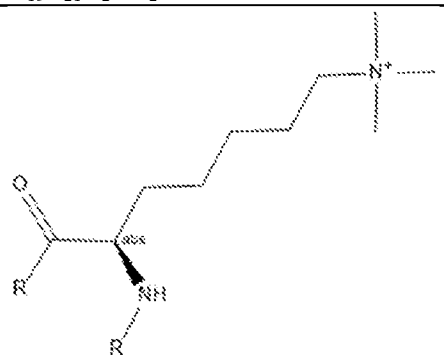
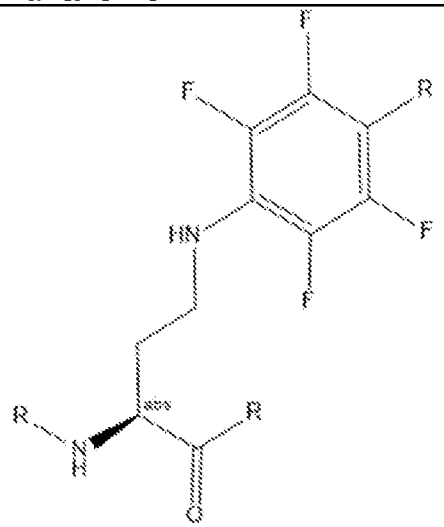
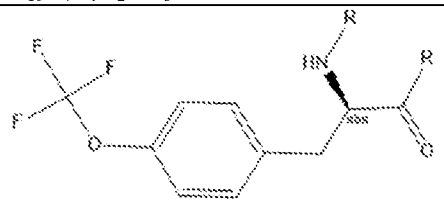
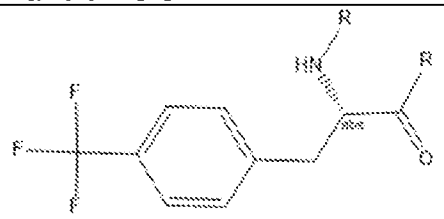
168	aMe3OHPhe	 $C_{10}H_{11}NO_2R_2$	C[C@](Cc1cc(O)ccc1)(C([R])=O)N[R]
169	aMeY, aMeTyr	 $C_{10}H_{11}NO_2R_2$	C[C@](Cc(cc1)ccc1O)(C([R])=O)N[R]
170	bMeDTyr(2R3S) bMeDTyr(2R,3S)	 $C_{10}H_{11}NO_2R_2$	C[C@H]([C@H](C([R])=O)N[R])c(cc1)ccc1O
171	4MeF	 $C_{10}H_{11}NOR_2$	Cc1ccc(C[C@@H](C([R])=O)N[R])cc1
172	aMeF, aMeF alpha-methyl phenylalanine	 $C_{10}H_{11}NOR_2$	C[C@](Cc1ccccc1)(C([R])=O)N[R] C[C@](Cc1ccccc1)(C=O)N

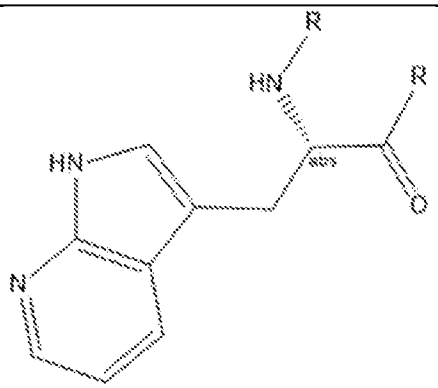
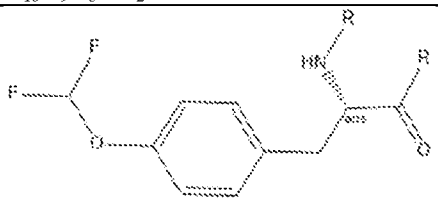
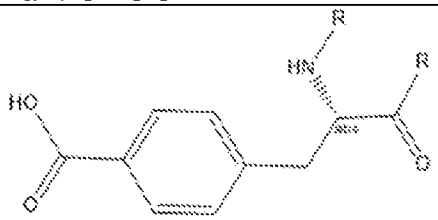
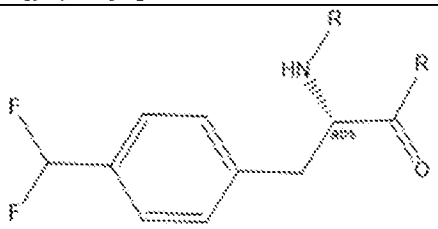
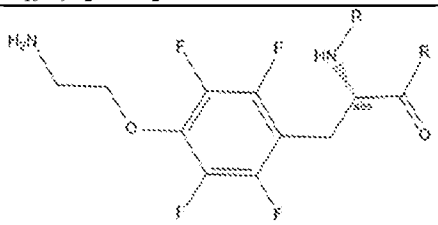
173	bMePhe	 $C_{10}H_{11}NOR_2$	CC([C@@H](C([R])=O)N[R])c1ccccc1
174	bMePhe(2S3S) bMePhe(2S,3S)	 $C_{10}H_{11}NOR_2$	C[C@H]([C@@H](C([R])=O)N[R])c1ccccc1
175	hF, hPhe, homoF, homoPhe	 $C_{10}H_{11}NOR_2$	O=C([C@H](CCc1ccccc1)N[R])[R]
176	F4CONH2, 4-carbamoyl-L-phenylalanine	 $C_{10}H_{12}N_2O_2$	N[C@@H](Cc(cc1)ccc1C(N)=O)C=O
177	Maf	 $C_{10}H_{12}N_2OR_2$	NCc1cccc(C[C@@H](C([R])=O)N[R])c1
178	Paf	 $C_{10}H_{12}N_2OR_2$	NCc1ccc(C[C@@H](C([R])=O)N[R])cc1 NCc1ccc(C[C@@H](C=O)N)cc1

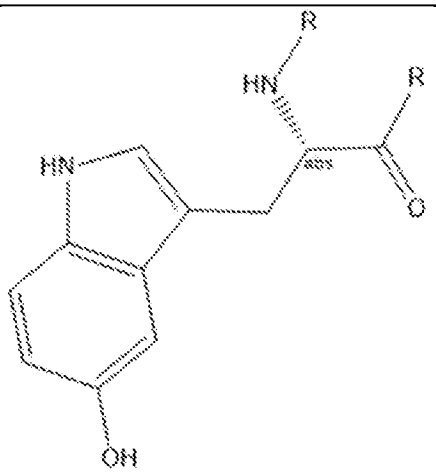
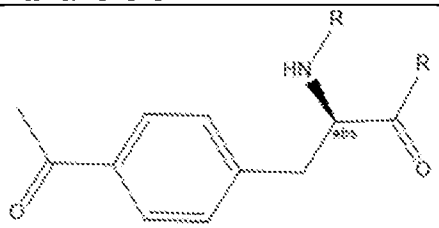
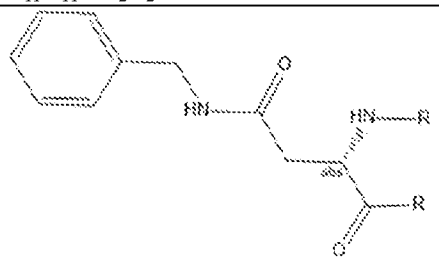
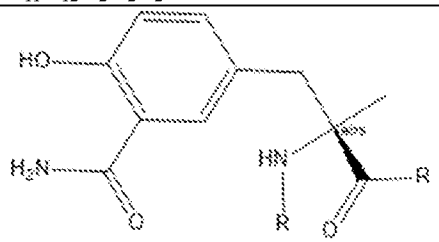
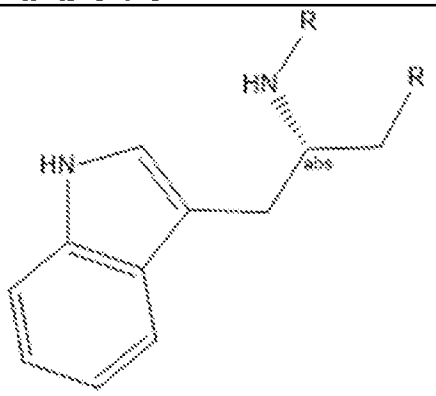
179	dMaf, maf	 $C_{10}H_{12}N_2OR_2$	NCc1cccc(C[C@H](C([R])=O)N[R])c1
180	dPaf	 $C_{10}H_{12}N_2OR_2$	NCc1ccc(C[C@H](C([R])=O)N[R])cc1
181	oAMPhe	 $C_{10}H_{12}N_2OR_2$	NCc1c(C[C@@H](C([R])=O)N[R])cccc1
	F(G)		OC([C@@H](N[H])CC1=CC=C(C=C1)NC(N)=N)=O
182	F(4G)	 $C_{10}H_{12}N_4OR_2$	NC(N)=Nc1ccc(C[C@@H](C([R])=O)N[R])cc1

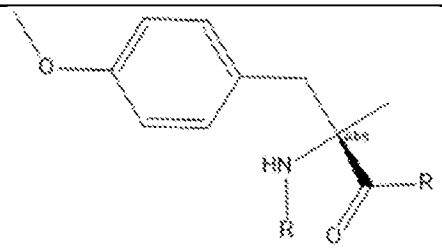
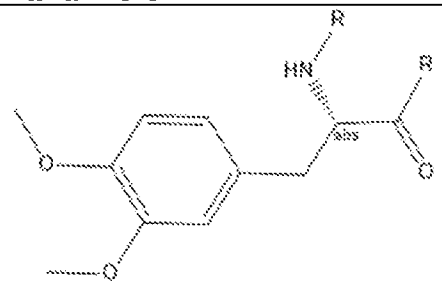
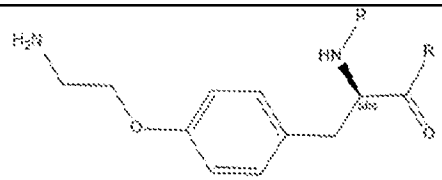
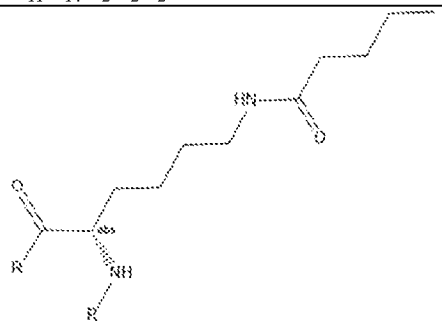
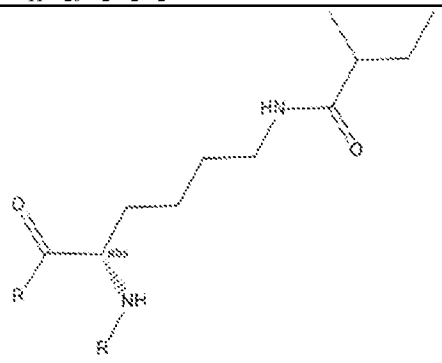
183	NMeDTyr	 $C_{10}H_{13}NO$	CN[C@H](Cc1ccccc1)C=O
184	dNMeTyr dNMeY, D-N-methyl tyrosine N-Methyl-D-tyrosine	 $C_{10}H_{13}NO_2$	CN[C@H](Cc(cc1)ccc1O)C=O
185	biotin	 $C_{10}H_{15}N_2O_2SR$	O=C(CCCC[C@@H]([C@H]1N2)SC[C@@H]1NC2=O)[R]
186	K(CO2allyl)	 $C_{10}H_{16}N_2O_2R_2$	C=CCC(NCCCC[C@@H](C([R])=O)N[R])=O C=CCOC(NCCCC[C@@H](C([R])=O)N[R])=O
187	K(COcPr)	 $C_{10}H_{16}N_2O_2R_2$	O=C([C@H](CCCCNC(C1CC1)=O)N[R])[R]

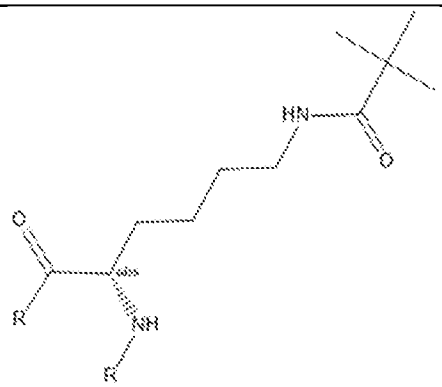
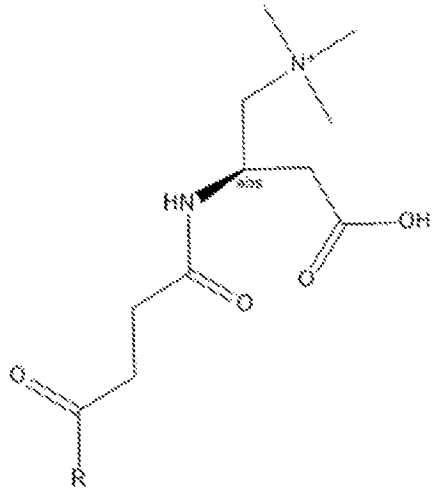
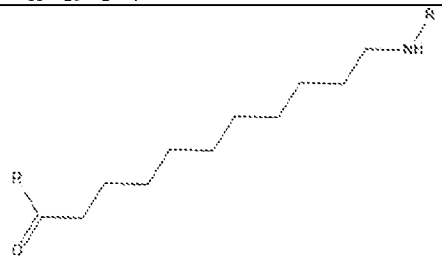
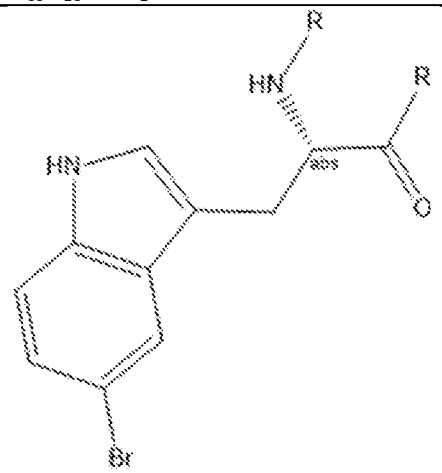
188	DAGSuc	 $C_{10}H_{16}NO_7R$	OC[C@H]([C@H]([C@@H]([C@H]1O)O)O)O[C@H]1NC(CCC([R])=O)=O
189	K(COPr)	 $C_{10}H_{18}N_2O_2R_2$	CCCC(NCCCC[C@@H](C([R])=O)N[R])=O
190	K(COiPr)	 $C_{10}H_{18}N_2O_2R_2$	CC(C)C(NCCCC[C@@H](C([R])=O)N[R])=O
191	Tzl(Ch)	 $C_{10}H_{18}N_5OR_2^+$	C[N+](C)(C)CCc1cn(C[C@@H](C([R])=O)N[R])nn1

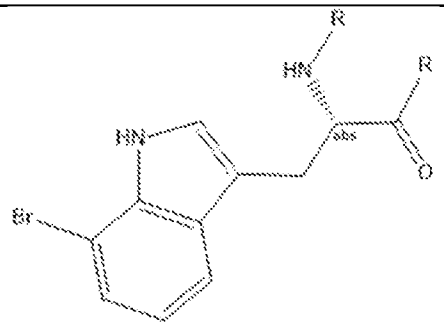
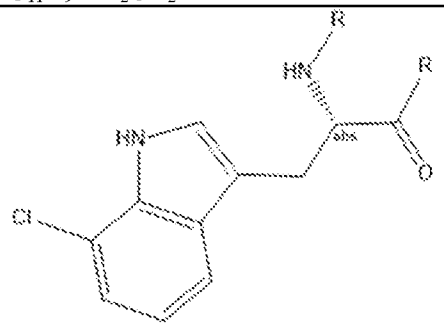
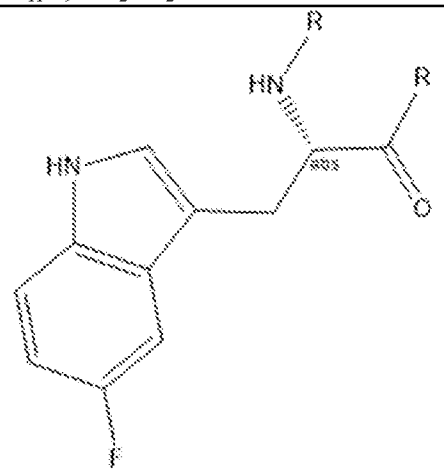
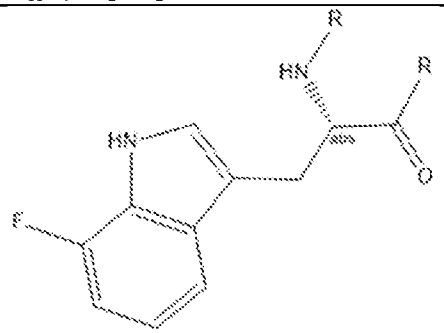
192	hK(Me)3, hKMe3	 $C_{10}H_{21}N_2OR_2^+$	C[N+](C)(C)CCCCC[C@@H](C([R])=O)N[R]
193	hdK(Me)3, hk(Me)3, hdKMe3	 $C_{10}H_{21}N_2OR_2^+$	C[N+](C)(C)CCCCC[C@H](C([R])=O)N[R]
194	Dap(pF(6))	 $C_{10}H_7F_4N_2OR_3$	O=C([C@H](CCNc(c(F)c(c([R])c1F)F)c1F)N[R])[R]
195	4OCF3DPhe	 $C_{10}H_8F_3NO_2R_2$	O=C([C@@H](Cc(cc1)ccc1OC(F)(F)F)N[R])[R]
196	CF3F	 $C_{10}H_8F_3NOR_2$	O=C([C@H](Cc1ccc(C(F)(F)F)cc1)N[R])[R]

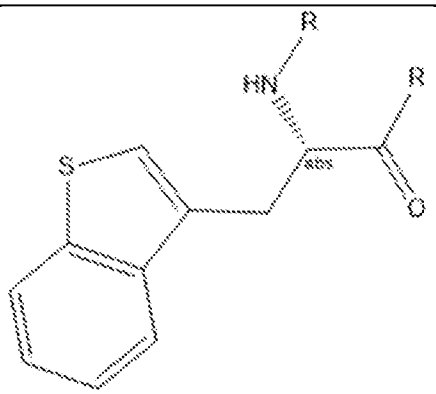
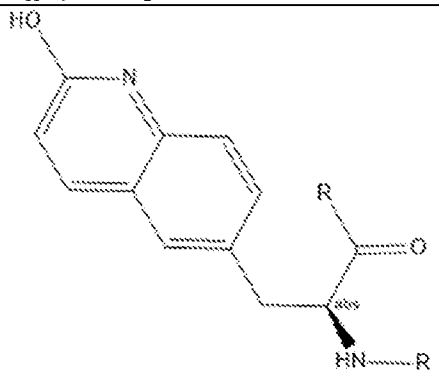
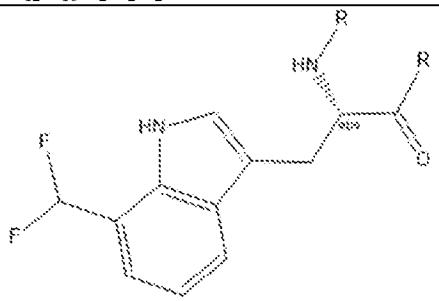
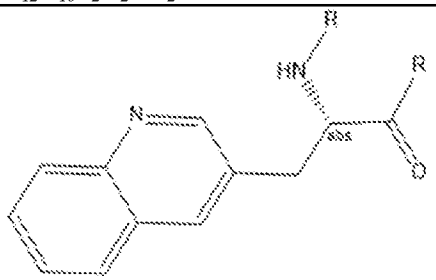
197	7AzaW	 $C_{10}H_9N_3OR_2$	O=C([C@H](Cc1c[nH]c2c1cccn2)N[R])[R]
198	Y(CHF2)	 $C_{10}H_9F_2NO_2R_2$	O=C([C@H](Cc(cc1)ccc1OC(F)F)N[R])[R]
199	CXF	 $C_{10}H_9NO_3R_2$	OC(c1ccc(C[C@@H](C([R])=O)N[R])cc1)=O
200	CHF2Phe	 $C_{10}H_9F_2NOR_2$	O=C([C@H](Cc1ccc(C(F)F)cc1)N[R])[R]
201	TetraFAEF	 $C_{11}H_{10}F_4N_2O_2R_2$	NCCOc(c(F)c(c(C[C@@H](C([R])=O)N[R])c1F)F)c1F

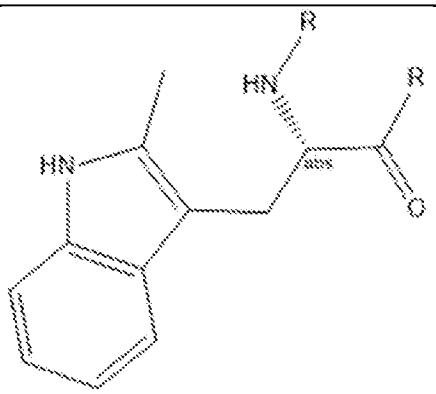
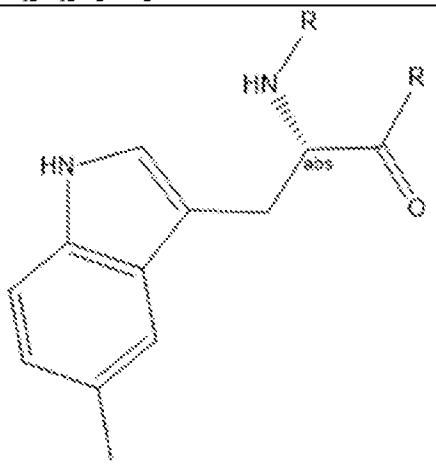
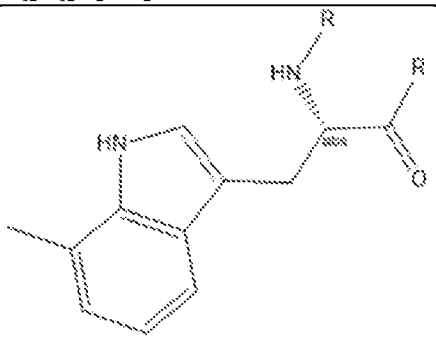
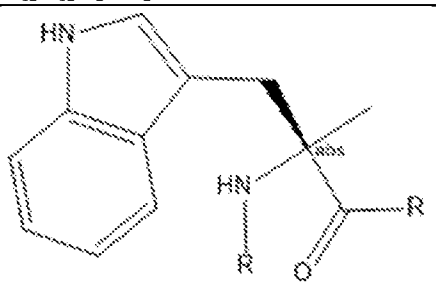
202	5OHW	 $C_{11}H_{10}N_2O_2R_2$	Oc(cc1)cc2c1[nH]cc2C[C@@H](C([R])=O)N[R]
203	4AcDPhe	 $C_{11}H_{11}NO_2R_2$	CC(c1ccc(C[C@H](C([R])=O)N[R])cc1)=O
204	D(NBzl)	 $C_{11}H_{12}N_2O_2R_2$	O=C(C[C@@H](C([R])=O)N[R])NCc1ccccc1
205	aMe2AmTyr	 $C_{11}H_{12}N_2O_3R_2$	C[C@](Cc(cc1)cc(C(N)=O)c1O)(C([R])=O)N[R]
206	psiW	 $C_{11}H_{12}N_2R_2$	[R]C[C@H](Cc1c[nH]c2c1cccc2)N[R]

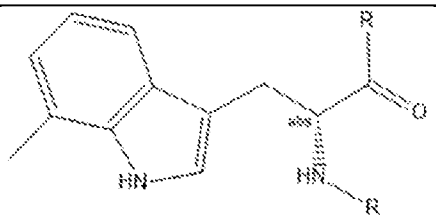
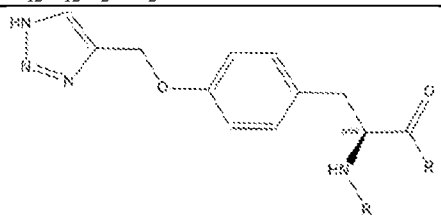
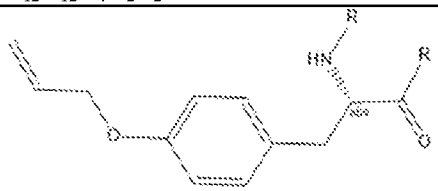
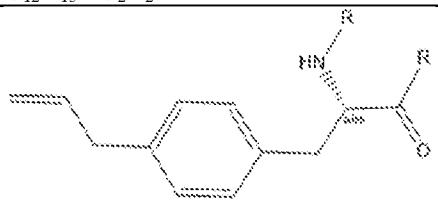
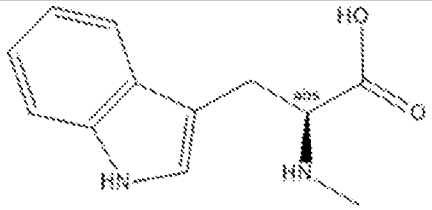
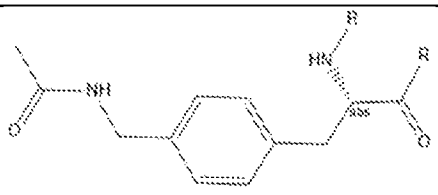
207	aMeY01	 $C_{11}H_{13}NO_2R_2$	C[C@](Cc(cc1)ccc1OC)(C([R])=O)N[R]
208	3OMeY01	 $C_{11}H_{13}NO_3R_2$	COc(ccc(C[C@@H](C([R])=O)N[R])c1)c1OC
209			
210	dAEF	 $C_{11}H_{14}N_2O_2R_2$	NCCOc1ccc(C[C@H](C([R])=O)N[R])cc1
211	K(COBu)	 $C_{11}H_{20}N_2O_2R_2$	CCCCC(NCCCC[C@@H](C([R])=O)N[R])=O
212	K(COiBu)	 $C_{11}H_{20}N_2O_2R_2$	CCC(C)C(NCCCC[C@@H](C([R])=O)N[R])=O CC(C)CC(NCCCC[C@@H](C([R])=O)N[R])=O

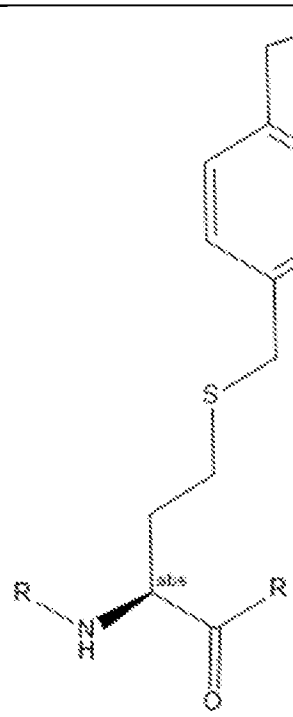
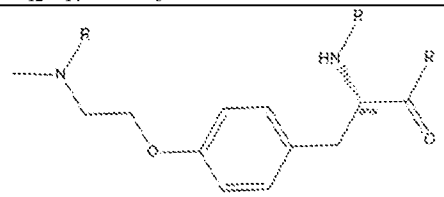
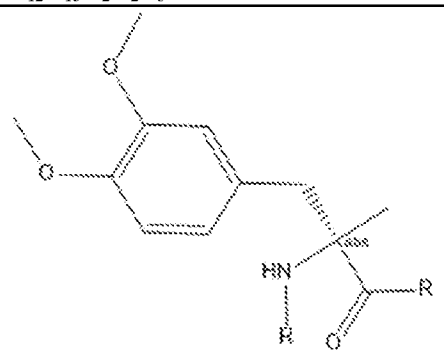
213	K(COtBu)	 $C_{11}H_{20}N_2O_2R_2$	CC(C)(C)C(NCCCC[C@@H](C([R])=O)N[R])=O
214	succiniccarn	 $C_{11}H_{20}N_2O_4R^+$	C[N+](C)(C)C[C@@H](CC(O)=O)NC(CCC([R])=O)=O
215	Aun	 $C_{11}H_{21}NOR_2$	O=C(CCCCCCCCCCN[R])[R]
216	5BrW, 5BrTrp	 $C_{11}H_9BrN_2OR_2$	O=C([C@H](Cc1c[nH]c(cc2)c1cc2Br)N[R])[R]

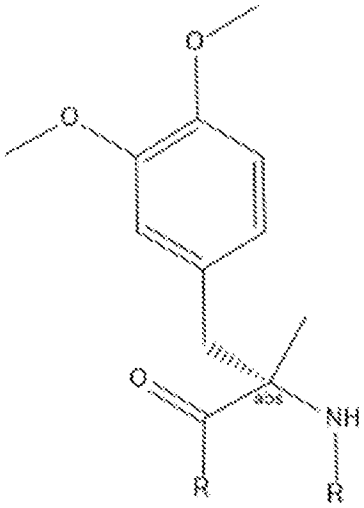
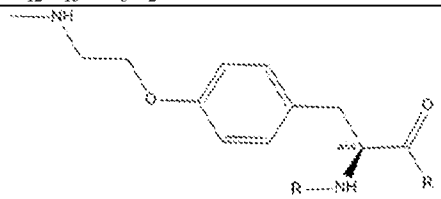
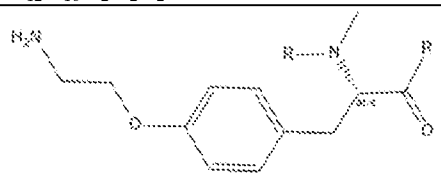
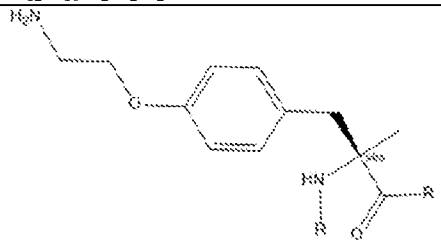
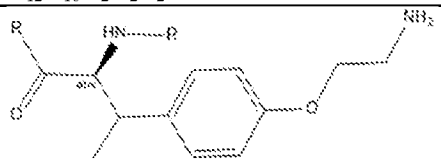
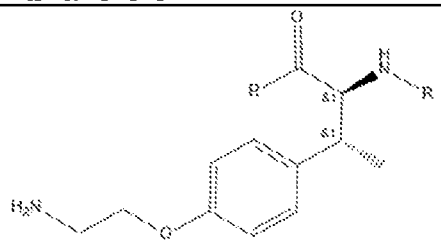
217	7BrTrp, 7BrW	 $C_{11}H_9BrN_2OR_2$	O=C([C@H](Cc1c[nH]c2c1cccc2Br)N[R])[R]
218	7ClW, 7ClTrp	 $C_{11}H_9ClN_2OR_2$	O=C([C@H](Cc1c[nH]c2c1cccc2Cl)N[R])[R]
219	5FW, 5FTrp	 $C_{11}H_9FN_2OR_2$	O=C([C@H](Cc1c[nH]c(cc2)c1cc2F)N[R])[R]
220	7FW, 7FTrp	 $C_{11}H_9FN_2OR_2$	O=C([C@H](Cc1c[nH]c2c1cccc2F)N[R])[R]

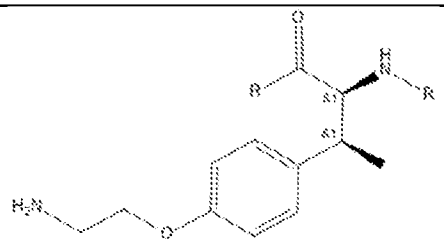
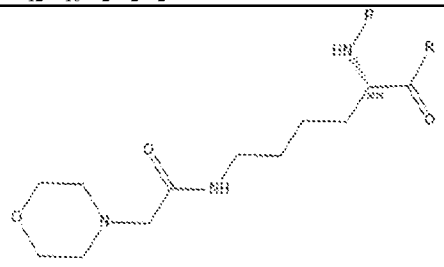
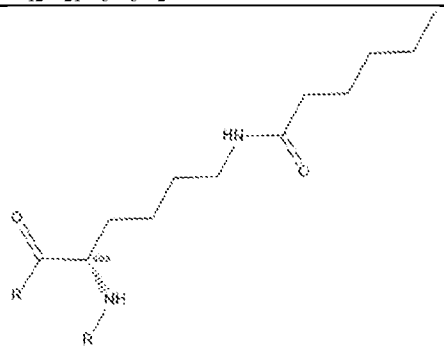
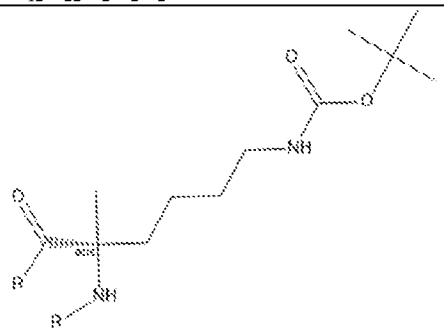
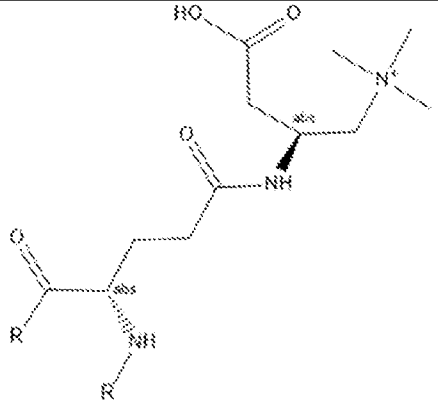
221	BT, L-3-Benzothienylalanine	 $C_{11}H_9NOSR_2$	O=C([C@H](Cc1csc2c1cccc2)N[R])[R]
222	2Quin 6OHQui	 $C_{12}H_{10}N_2O_2R_2$	Oc1ccc(cc(C[C@@H](C([R])=O)N[R])cc2)c2n1
223	7CF2H	 $C_{12}H_{10}F_2N_2OR_2$	O=C([C@H](Cc1c[nH]c2c1cccc2C(F)F)N[R])[R]
224	3QuinolAla	 $C_{12}H_{10}N_2OR_2$	O=C([C@H](Cc1cc2ccccc2nc1)N[R])[R]

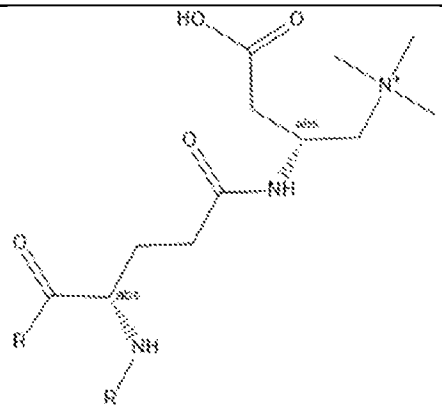
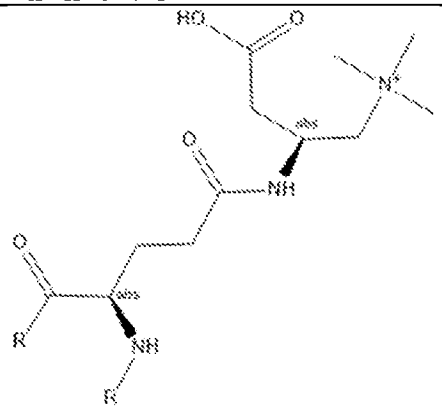
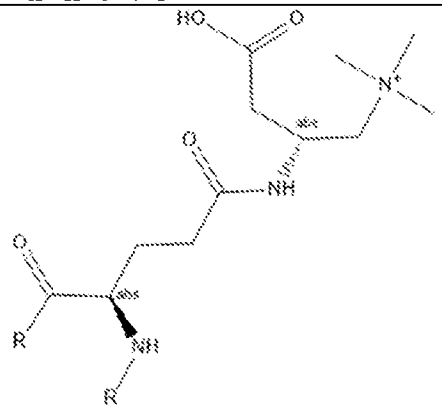
225	2MeTrp, 2MeW	 $C_{12}H_{12}N_2OR_2$	Cc1c(C[C@@H](C([R])=O)N[R])c(cccc2)c2[nH]1
226	5MeW, 5MeTrp	 $C_{12}H_{12}N_2OR_2$	Cc(cc1)cc2c1[nH]cc2C[C@@H](C([R])=O)N[R]
227	7MeW, 7(MeW), 7MeTrp	 $C_{12}H_{12}N_2OR_2$	Cc1cccc2c1[nH]cc2C[C@@H](C([R])=O)N[R] Cc1cccc2c1[nH]cc2C[C@@H](C=O)N
228	aMeW	 $C_{12}H_{12}N_2OR_2$	C[C@](Cc1c[nH]c2c1cccc2)(C([R])=O)N[R]

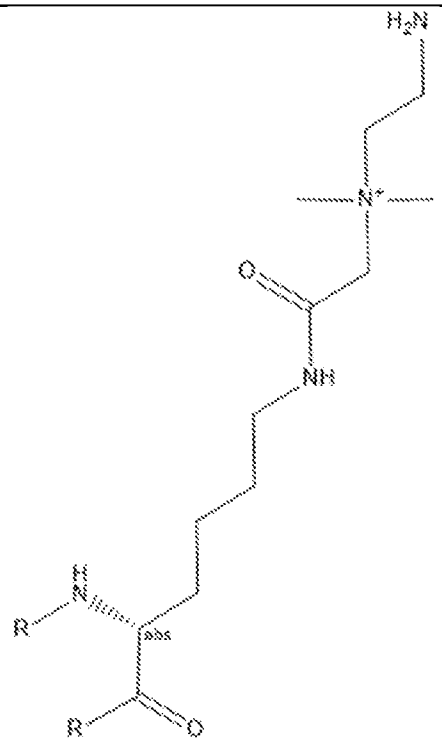
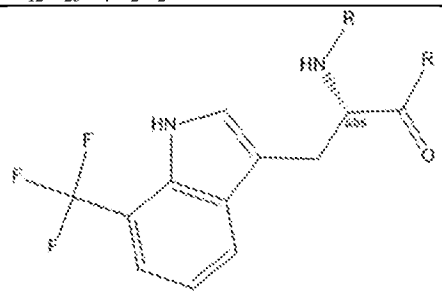
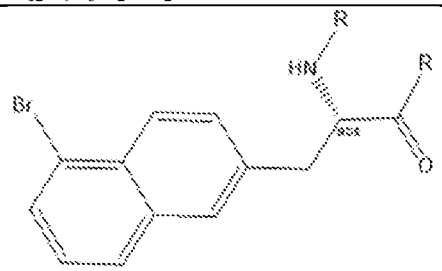
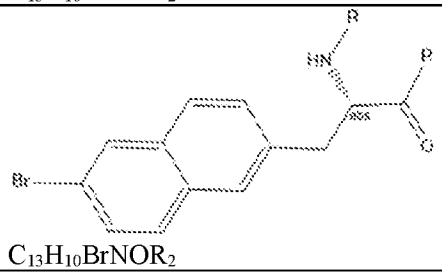
229	dW7Me, 7Mew, 7MedW	 $C_{12}H_{12}N_2OR_2$	Cc1cccc2c1[nH]cc2C[C@H](C([R])=O)N[R]
230	Y(OTzl)	 $C_{12}H_{12}N_4O_2R_2$	O=C([C@H](Cc(cc1)ccc1OCc1c[nH]nn1)N[R])[R]
231	4AllylY	 $C_{12}H_{13}NO_2R_2$	C=CCOc1ccc(C[C@@H](C([R])=O)N[R])cc1
232	4AllylF	 $C_{12}H_{13}NOR_2$	C=CCc1ccc(C[C@@H](C([R])=O)N[R])cc1
233	meW, NMeW, NMeTrp, N-Methyl-Tryptophan	 $C_{12}H_{14}N_2O_2$	CN[C@@H](Cc1c[nH]c2c1cccc2)C(O)=O
	AEF(G)		[R]C([C@H](CC1=CC=C(OCCNC(N)=N)C=C1)N[R])=O
234	AAMPhe	 $C_{12}H_{14}N_2O_2R_2$	CC(NCc1ccc(C[C@@H](C([R])=O)N[R])cc1)=O CC(NCc1ccc(C[C@@H](C=O)N)cc1)=O

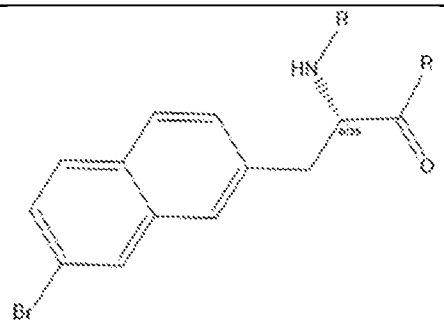
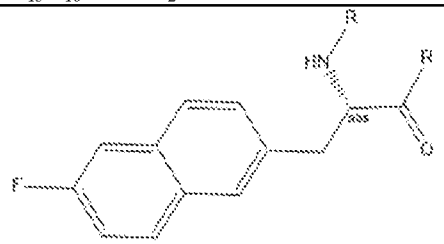
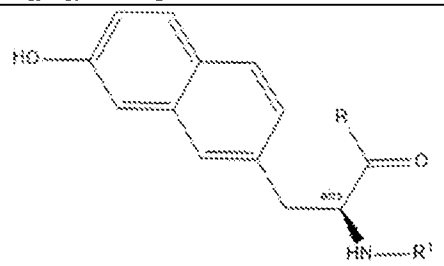
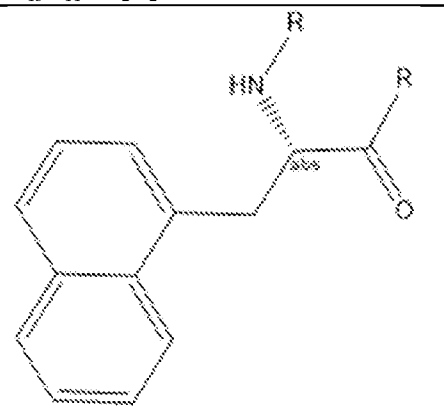
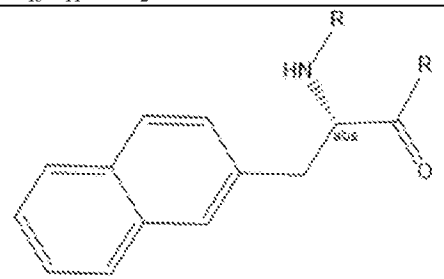
235	hC(pXyl)	 $C_{12}H_{14}NOSR_3$	O=C([C@H](CCS Cc1ccc(C[R])cc1) N[R])[R]
236	AEF(NMe(2))	 $C_{12}H_{15}N_2O_2R_3$	CN(CCOc1ccc(C[C@@H](C([R])= O)N[R])cc1)[R]
237	DY02	 $C_{12}H_{15}NO_3R_2$	C[C@@](Cc(cc1) cc(OC)c1OC)(C([R])=O)N[R]

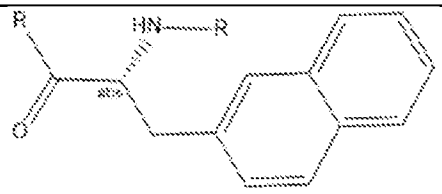
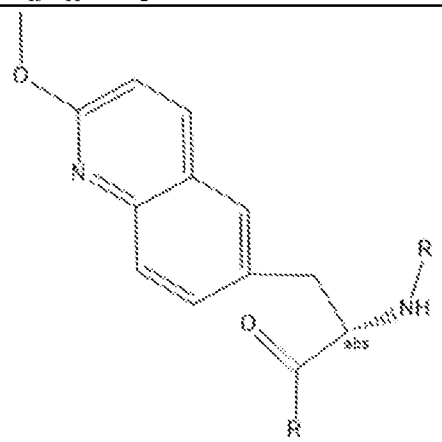
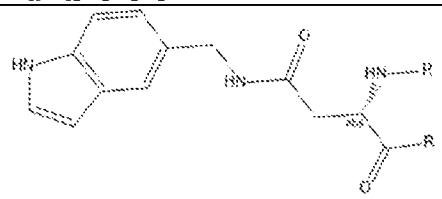
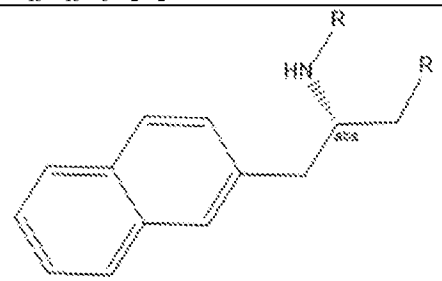
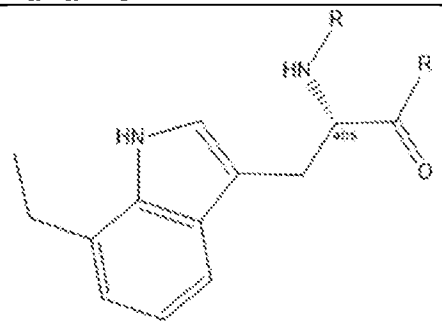
238	Y02	 $C_{12}H_{15}NO_3R_2$	C[C@](Cc(cc1)cc(OC)c1OC)(C([R])=O)N[R]
239	AEF(NMe)	 $C_{12}H_{16}N_2O_2R_2$	CNCCOc1ccc(C[C@@H](C([R])=O)N[R])cc1
240	NMeAEF	 $C_{12}H_{16}N_2O_2R_2$	CN([C@@H](Cc(cc1)ccc1OCCN)C([R])=O)[R] CN[C@@H](Cc(cc1)ccc1OCCN)C=O
241	aMeAEF	 $C_{12}H_{16}N_2O_2R_2$	C[C@](Cc(cc1)ccc1OCCN)(C([R])=O)N[R] CC(C)(C)OC(NCCOc1ccc(C[C@@](C)(C([R])=O)N[R])cc1)=O
242	bMeAEF	 $C_{12}H_{16}N_2O_2R_2$	CC([C@@H](C([R])=O)N[R])c(cc1)ccc1OCCN
243	bMeAEF(2S,3R*), bMeAEF(2S3R*) (*pure but configuration unknown)	 $C_{12}H_{16}N_2O_2R_2$	C[C@@H]([C@@H](C([R])=O)N[R])c(cc1)ccc1OCCN

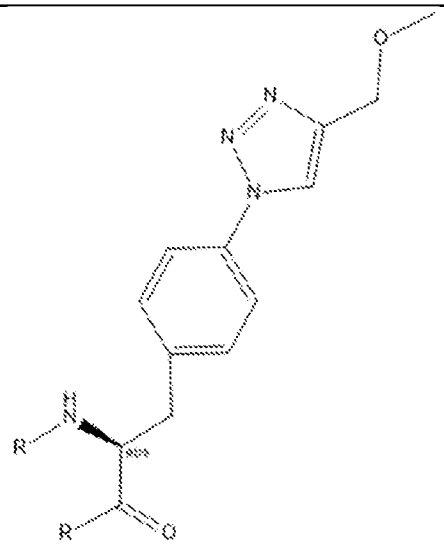
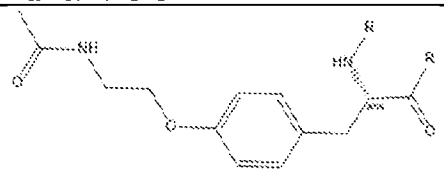
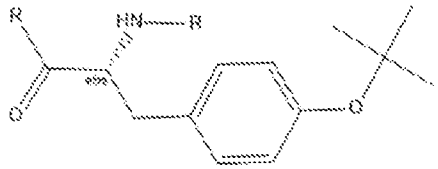
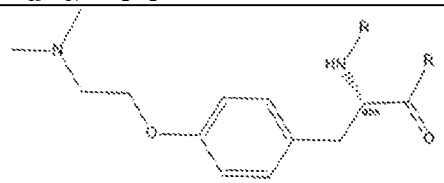
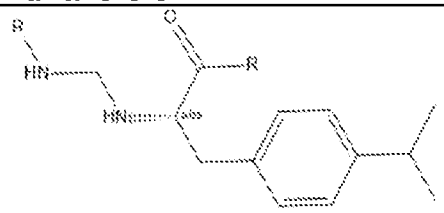
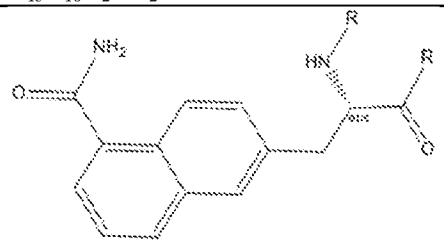
244	bMeAEF(2S3S*), bMeAEF(2S,3S*) (*pure but configuration unknown)	 $C_{12}H_{16}N_2O_2R_2$	C[C@H]([C@@H](C([R])=O)N[R])c(cc1)ccc1OCCN
245	K(Morph)	 $C_{12}H_{21}N_3O_3R_2$	O=C(CN1CCOCC1)NCCCC[C@@H](C([R])=O)N[R]
246	K(COPent)	 $C_{12}H_{22}N_2O_2R_2$	CCCCCC(NCCCC[C@@H](C([R])=O)N[R])=O
247	aMeK(Boc)	 $C_{12}H_{22}N_2O_3R_2$	CC(C)(C)OC(NCCCC[C@@](C)(C([R])=O)N[R])=O
248	E(C)	 $C_{12}H_{22}N_3O_4R_2^+$	C[N+](C)(C)C[C@H](CC(O)=O)NC(CC[C@@H](C([R])=O)N[R])=O

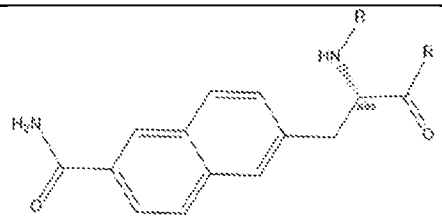
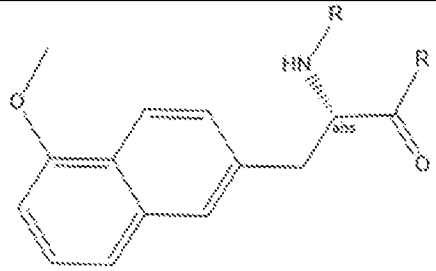
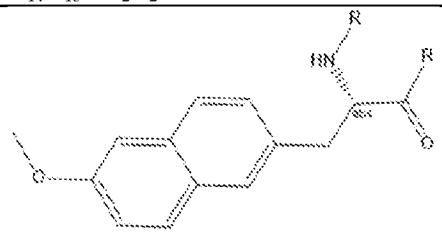
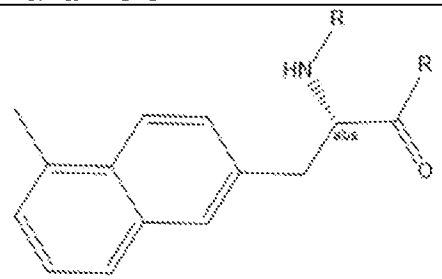
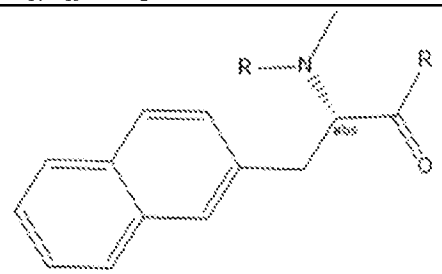
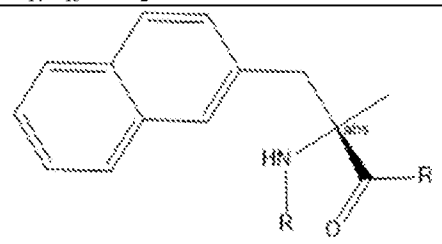
249	E(c) (R)-2-((R)-4-amino-4-carboxybutanamido)-3-carboxy-N,N,N-trimethylpropan-1-aminium, E(c)	 $C_{12}H_{22}N_3O_4R_2^+$	C[N+](C)(C)C[C@@H](CC(O)=O)NC(CC[C@@H](C([R])=O)N[R])=O
250	e(C), dE(C)	 $C_{12}H_{22}N_3O_4R_2^+$	C[N+](C)(C)C[C@H](CC(O)=O)NC(CC[C@H](C([R])=O)N[R])=O
251	e(c), dE(c)	 $C_{12}H_{22}N_3O_4R_2^+$	C[N+](C)(C)C[C@@H](CC(O)=O)NC(CC[C@H](C([R])=O)N[R])=O

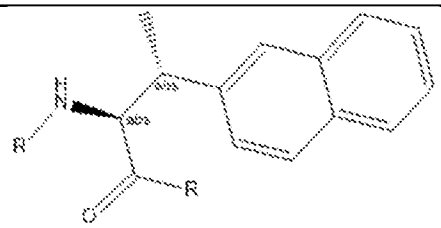
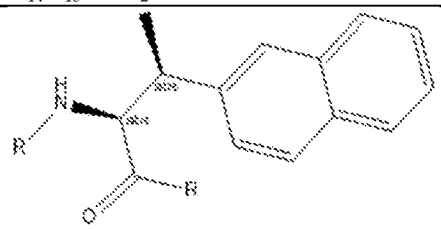
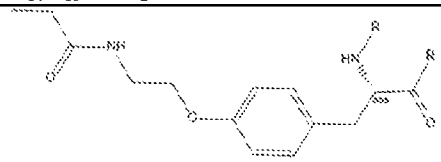
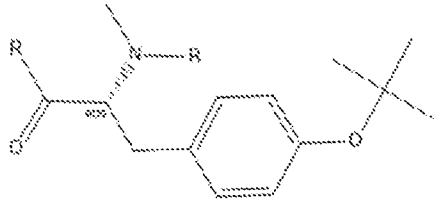
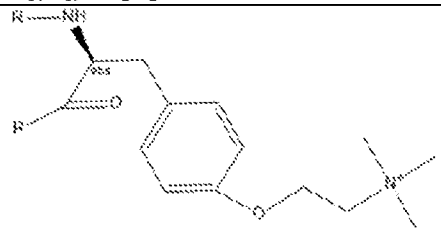
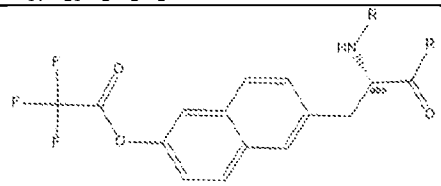
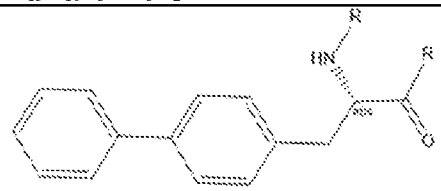
252	dK(SP6), k(SP6)	 $C_{12}H_{25}N_4O_2R_2^+$	C[N+](C)(CCN)CC(NCCCC[C@H](C([R])=O)N[R])=O
253	7CF3W, (S)-2-amino-3-(7-(trifluoromethyl)-1H-indol-3-yl)propanoic acid	 $C_{12}H_9F_3N_2OR_2$	O=C([C@H](Cc1c[nH]c2c1cccc2C(F)(F)F)N[R])[R] N[C@@H](Cc1c[nH]c2c(C(F)(F)F)cccc12)C=O N[C@@H](Cc1c[nH]c2c(C(F)(F)F)cccc12)C=O
254	5Br2Nal	 $C_{13}H_{10}BrNOR_2$	O=C([C@H](Cc1cc2cccc(Br)c2cc1)N[R])[R]
255	6Br2Nal	 $C_{13}H_{10}BrNOR_2$	O=C([C@H](Cc(ccc1c2)cc1ccc2Br)N[R])[R]

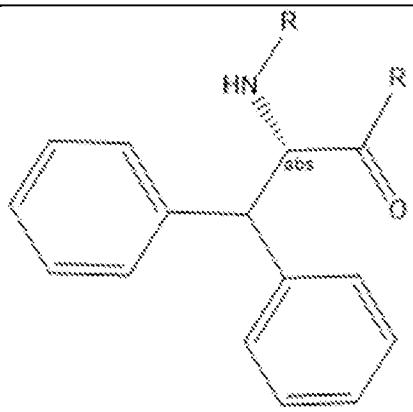
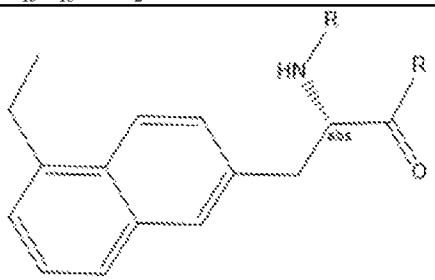
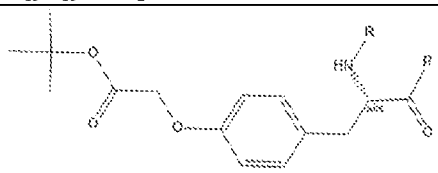
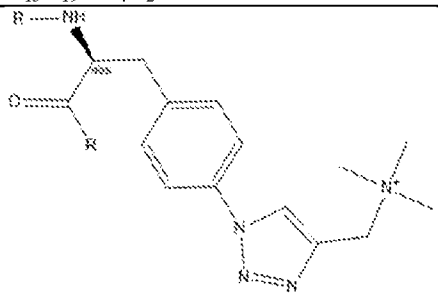
256	7Br2Nal	 $C_{13}H_{10}BrNOR_2$	O=C([C@H](Cc1cc2cc(Br)ccc2cc1)N[R])[R]
257	6F2Nal	 $C_{13}H_{10}FNOR_2$	O=C([C@H](Cc(ccc1c2)cc1ccc2F)N[R])[R] N[C@@H](Cc1ccc(cc(cc2)F)c2c1)C=O
258	7OH2Nal	 $C_{13}H_{11}NO_2R_2$	Oc1ccc(ccc(C[C@@H](C([R])=O)N[R1])c2)c2c1
259	1Nal, Nal,	 $C_{13}H_{11}NOR_2$	O=C([C@H](Cc1cccc2ccccc12)N[R])[R]
260	2Nal	 $C_{13}H_{11}NOR_2$	O=C([C@H](Cc1cc2ccccc2cc1)N[R])[R]

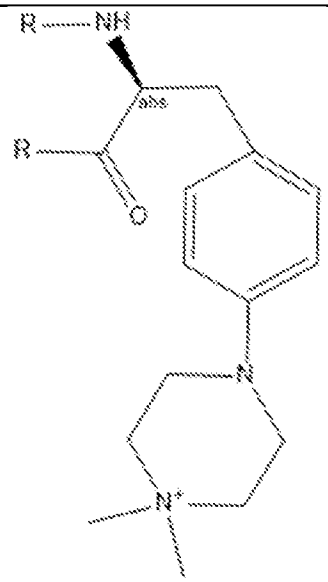
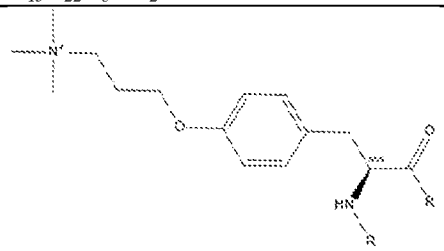
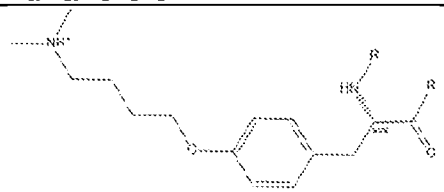
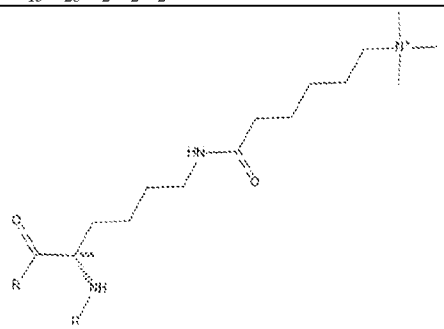
261	dNal, d2Nal	 $C_{13}H_{11}NOR_2$	O=C([C@@H](Cc1cc2ccccc2cc1)N[R])[R]
262	6MeQui	 $C_{13}H_{12}N_2O_2R_2$	COc1ccc(cc(C[C@@H](C([R])=O)N[R])cc2)c2n1
263	D(N5In)	 $C_{13}H_{13}N_3O_2R_2$	O=C(C[C@@H](C([R])=O)N[R])Nc(cc1)cc2c1[nH]cc2
264	psi2Nal	 $C_{13}H_{13}NR_2$	[R]C[C@H](Cc1cc2ccccc2cc1)N[R]
265	7EtW	 $C_{13}H_{14}N_2OR_2$	CCc1cccc2c1[nH]cc2C[C@@H](C([R])=O)N[R]

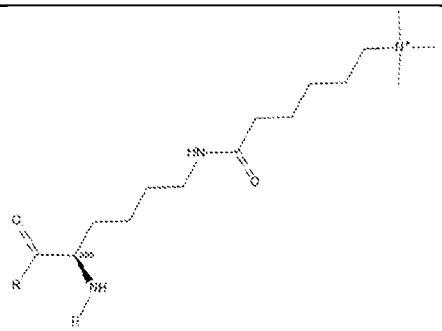
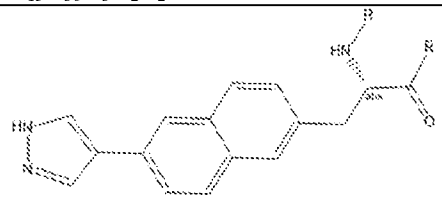
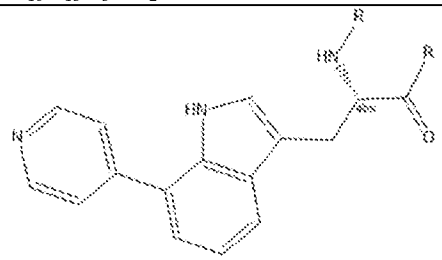
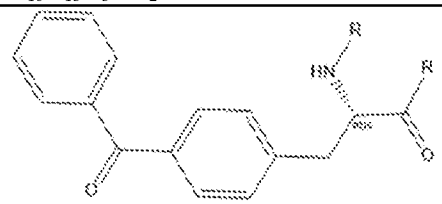
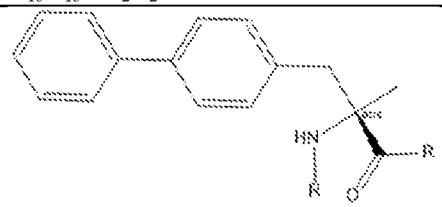
266	F(4TzlMME)	 $C_{13}H_{14}N_4O_2R_2$	COCc1cn(-c2ccc(C[C@@H](C([R])=O)N[R])cc2)nn1
267	AcAEF	 $C_{13}H_{16}N_2O_3R_2$	CC(NCCOc1ccc(C[C@@H](C([R])=O)N[R])cc1)=O
268	tButY, Y(tBu)	 $C_{13}H_{17}NO_2R_2$	CC(C)(C)Oc1ccc(C[C@H](C([R])=O)N[R])cc1
269	AEF(Me)2	 $C_{13}H_{18}N_2O_2R_2$	CN(C)CCOc1ccc(C[C@@H](C([R])=O)N[R])cc1
270	Z, Amp	 $C_{13}H_{18}N_2OR_2$	CC(C)c1ccc(C[C@@H](C([R])=O)NCN[R])cc1
271	5amido2Nal	 $C_{14}H_{12}N_2O_2R_2$	NC(c1c(ccc(C[C@@H](C([R])=O)N[R])c2)c2ccc1)=O

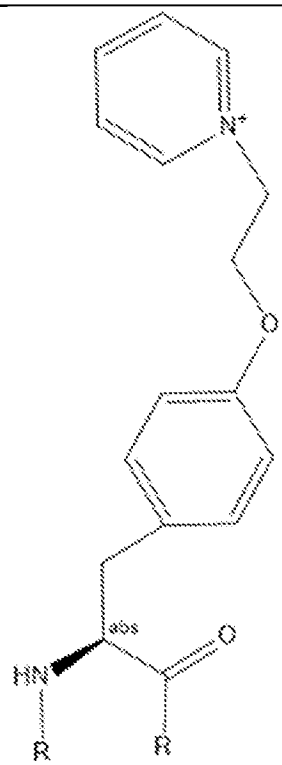
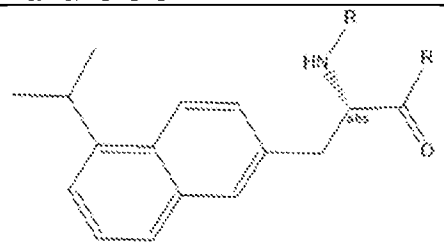
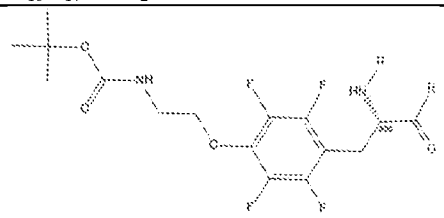
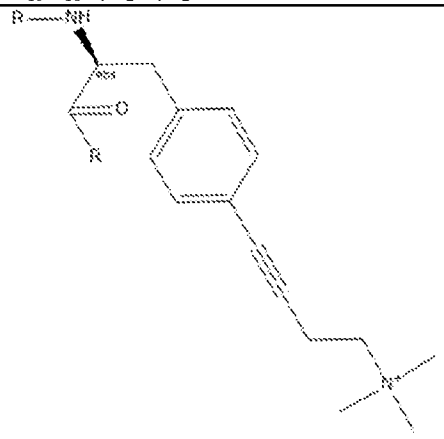
272	6amido2Nal	 $C_{14}H_{12}N_2O_2R_2$	NC(c1ccc(cc(C[C@@H](C([R])=O)N[R])cc2)c2c1)=O
273	5OMe2Nal	 $C_{14}H_{13}NO_2R_2$	COc1c(ccc(C[C@@H](C([R])=O)N[R])c2)c2ccc1
274	6OMe2Nal	 $C_{14}H_{13}NO_2R_2$	COc1ccc(cc(C[C@@H](C([R])=O)N[R])cc2)c2c1
275	5Me2Nal	 $C_{14}H_{13}NOR_2$	Cc1c(ccc(C[C@@H](C([R])=O)N[R])c2)c2ccc1
276	NMe2NAL	 $C_{14}H_{13}NOR_2$	CN([C@@H](Cc1cc2ccccc2cc1)C([R])=O)[R] CN[C@@H](Cc1cc2ccccc2cc1)C=O
277	aMe2Nal	 $C_{14}H_{13}NOR_2$	C[C@](Cc1cc2cccc2cc1)(C([R])=O)N[R]

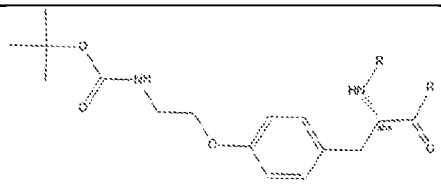
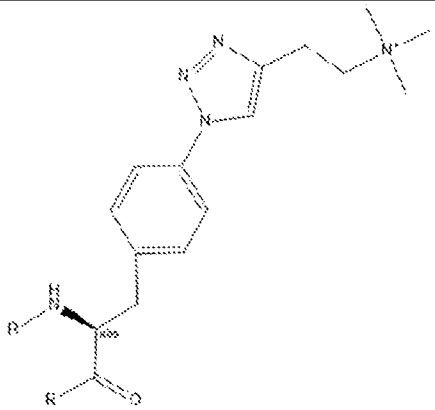
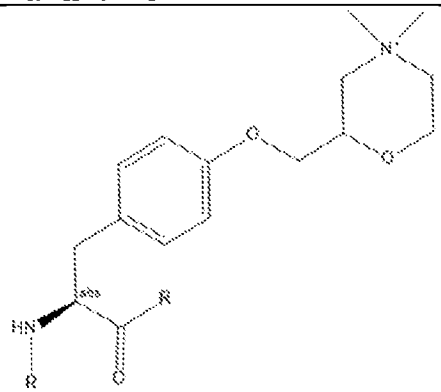
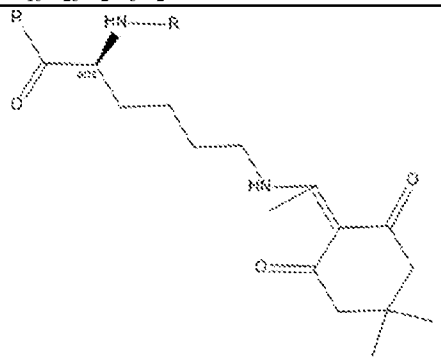
278	bMe2Nal(2S,3R), bMe2Nal(2S3R)	 $C_{14}H_{13}NOR_2$	C[C@@H]([C@@H](C([R])=O)N[R])c1cc2ccccc2cc1
279	bMe2Nal(2S3S), bMe2Nal(2S3R)	 $C_{14}H_{13}NOR_2$	C[C@H]([C@@H](C([R])=O)N[R])c1cc2ccccc2cc1
280	AEF(EtCO)	 $C_{14}H_{18}N_2O_3R_2$	CCC(NCCOc1ccc(C[C@@H](C([R])=O)N[R])cc1)=O
281	NMeY(tBu)	 $C_{14}H_{19}NO_2R_2$	CC(C)(C)Oc1ccc(C[C@H](C([R])=O)N(C)[R])cc1
282	AEF(NMe3)	 $C_{14}H_{21}N_2O_2R_2^+$	C[N+](C)(C)CCOc1ccc(C[C@@H](C([R])=O)N[R])cc1
283	6O(COCF3)2Nal	 $C_{15}H_{10}F_3NO_3R_2$	O=C([C@H](Cc(ccc1c2)cc1ccc2OC(C(F)(F)F)=O)N[R])[R]
284	BIF	 $C_{15}H_{13}NOR_2$	O=C([C@H](Cc(cc1)ccc1-c1ccccc1)N[R])[R]

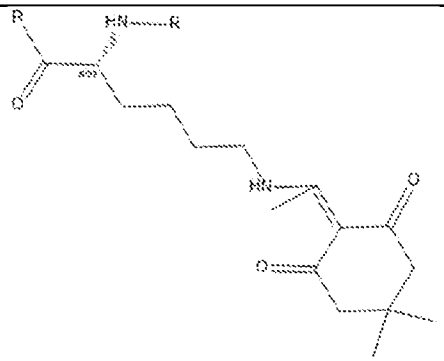
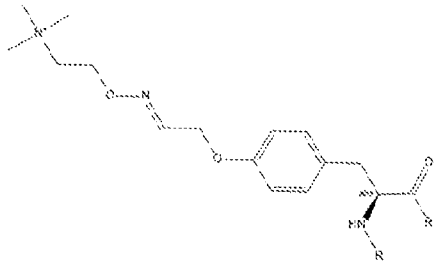
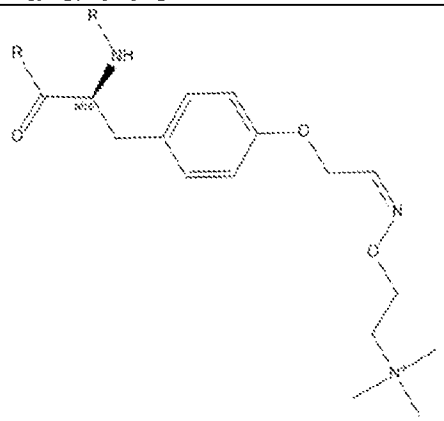
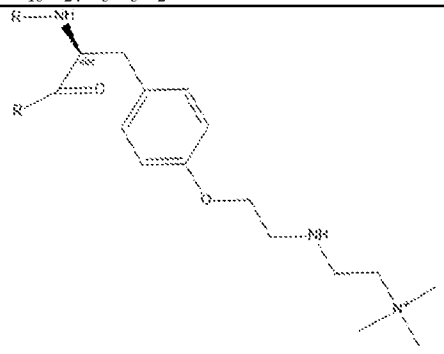
285	DiPhAla	 $C_{15}H_{13}NOR_2$	O=C([C@H](C(c1ccccc1)c1ccccc1)N[R])[R]
286	5Et2Nal	 $C_{15}H_{15}NOR_2$	CCc1c(ccc(C[C@@H](C([R])=O)N[R])c2)c2ccc1
287	CMF	 $C_{15}H_{19}NO_4R_2$	CC(C)(C)OC(COc1ccc(C[C@@H](C([R])=O)N[R])cc1)=O
288	F(4TzlTMA1)	 $C_{15}H_{20}N_5OR_2^+$	C[N+](C)(C)Cc1cn(-c2ccc(C[C@@H](C([R])=O)N[R])cc2)nn1

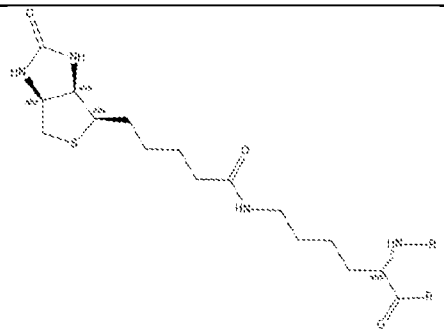
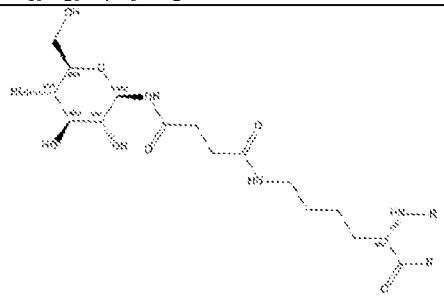
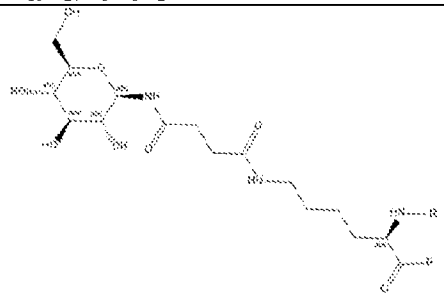
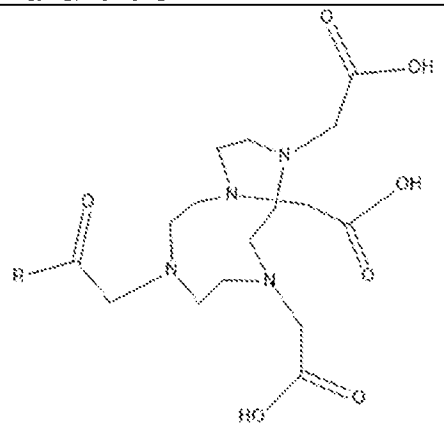
289	PiperazinequatF	 $C_{15}H_{22}N_3OR_2^+$	C[N+](C)(CC1)CCN1c1ccc(C[C@@H](C([R])=O)N[R])cc1
290	TMA3F	 $C_{15}H_{23}N_2O_2R_2^+$	C[N+](C)(C)CCCOc1ccc(C[C@@H](C([R])=O)N[R])cc1
291	TMA4F	 $C_{15}H_{23}N_2O_2R_2^+$	C[NH+](C)CCCCOc1ccc(C[C@@H](C([R])=O)N[R])cc1
292	K5cpa, K(5cpa), K(5cpaCO)	 $C_{15}H_{30}N_3O_2R_2^+$	C[N+](C)(C)CCCCCC(NCCCC[C@@H](C([R])=O)N[R])=O

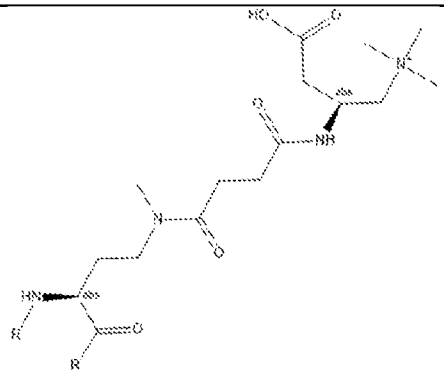
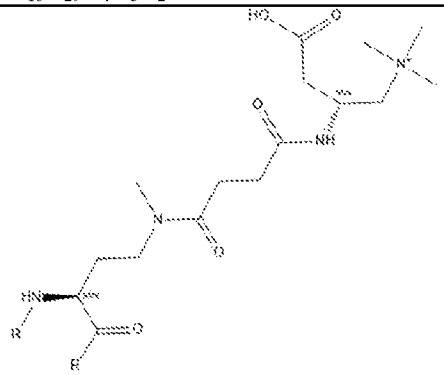
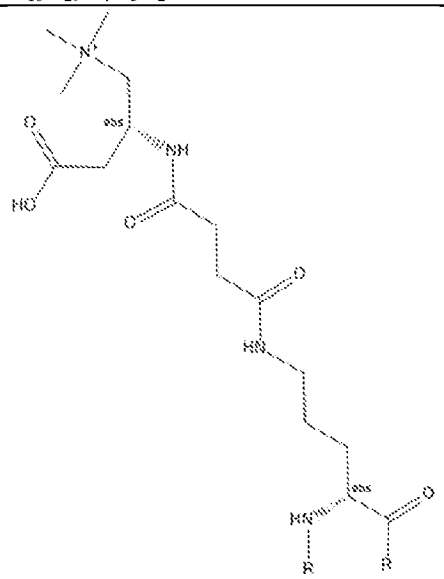
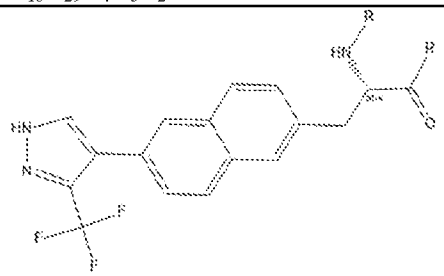
293	dK(5cpa), k(5cpa), k(5cpaCO)	 $C_{15}H_{30}N_3O_2R_2^+$	C[N+](C)(C)CCCCCC(NCCCC[C@H](C([R])=O)N[R])=O
294	2Nal6(3pyrazole)	 $C_{16}H_{13}N_3OR_2$	O=C([C@H](Cc(ccc1c2)cc1ccc2-c1c[nH]nc1)N[R])[R]
295	7PyrTrp	 $C_{16}H_{13}N_3OR_2$	O=C([C@H](Cc1c[nH]c2c1cccc2-c1ccncc1)N[R])[R]
296	4BzF	 $C_{16}H_{13}NO_2R_2$	O=C([C@H](Cc(cc1)ccc1C(c1ccccc1)=O)N[R])[R]
297	aMeBiF	 $C_{16}H_{15}NOR_2$	C[C@](Cc(cc1)ccc1-c1ccccc1)(C([R])=O)N[R]

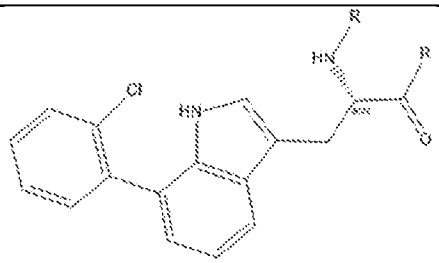
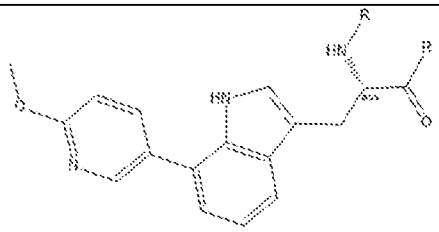
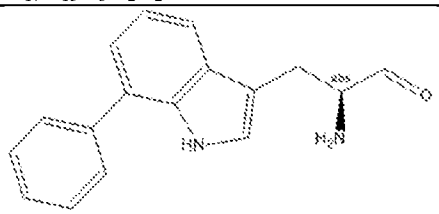
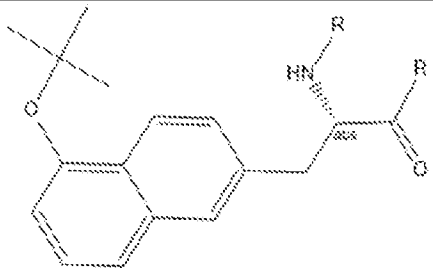
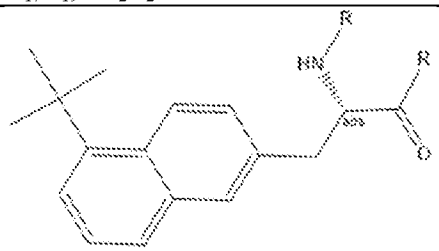
298	NPyEF	 $C_{16}H_{17}N_2O_2R_2^+$	O=C([C@H](Cc(cc1)ccc1OCC[n+]1ccccc1)N[R])[R]
299	5iPr2Nal	 $C_{16}H_{17}NOR_2$	CC(C)c1c(ccc(C[C@@H](C([R])=O)N[R])c2)c2ccc1
300	TetraFAEF(Boc)	 $C_{16}H_{18}F_4N_2O_4R_2$	CC(C)(C)OC(NCCOc(c(F)c(c(C[C@@H](C([R])=O)N[R])c1F)F)c1F)=O
301	4TMABYF	 $C_{16}H_{21}N_2OR_2^+$	C[N+](C)(C)CCC#Cc1ccc(C[C@@H](C([R])=O)N[R])cc1

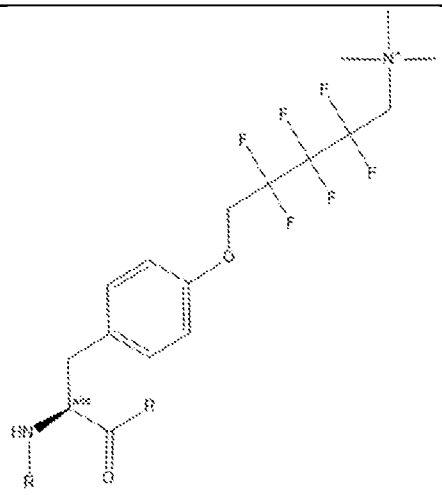
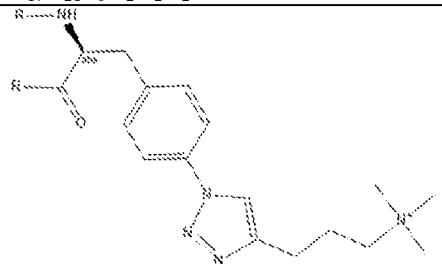
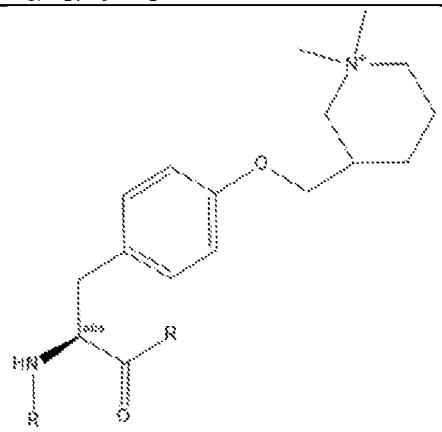
302	AEF(Boc)	 $C_{16}H_{22}N_2O_4R_2$	CC(C)(C)OC(NCCOc1ccc(C[C@@H](C([R])=O)N[R])cc1)=O
303	F(4TzlTMA2)	 $C_{16}H_{22}N_5OR_2^+$	C[N+](C)(C)CCc1cn(-c2ccc(C[C@@H](C([R])=O)N[R])cc2)nn1
304	DMPMF	 $C_{16}H_{23}N_2O_3R_2^+$	C[N+]1(C)CC(COc2ccc(C[C@@H](C([R])=O)N[R])cc2)OCC1
305	KDde, K(Dde)	 $C_{16}H_{24}N_2O_3R_2$	CC(C)(CC(C1=C(C)NCCCC[C@@H](C([R])=O)N[R])=O)CC1=O

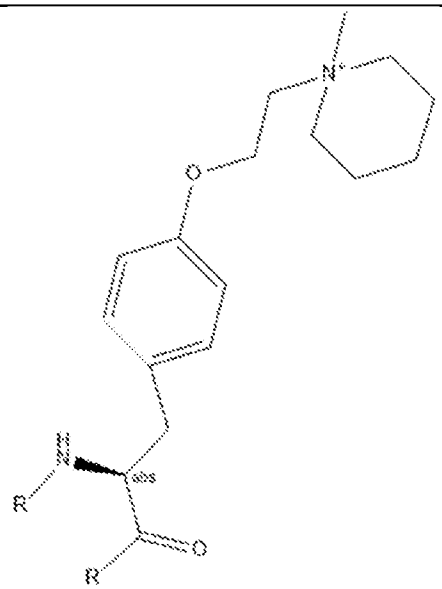
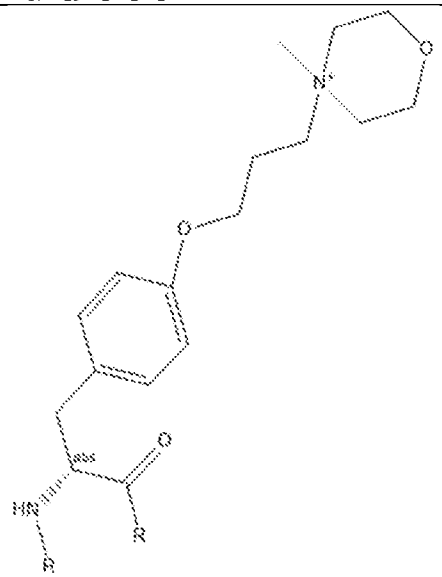
306	dKDde, k(Dde), dK(Dde)	 $C_{16}H_{24}N_2O_3R_2$	CC(C)(CC(C1=C(C)NCCCC[C@H](C([R])=O)N[R])=O)CC1=O
307	Y(OEOXIMECh)	 $C_{16}H_{24}N_3O_3R_2^+$	C[N+](C)(C)CCO/N=C/COc1ccc(C[C@@H](C([R])=O)N[R])cc1
308	Y(OZOXIMECh)	 $C_{16}H_{24}N_3O_3R_2^+$	C[N+](C)(C)CCO/N=C\COc1ccc(C[C@@H](C([R])=O)N[R])cc1
309	AEF(NHCh)	 $C_{16}H_{26}N_3O_2R_2^+$	C[N+](C)(C)CCNCCOc1ccc(C[C@@H](C([R])=O)N[R])cc1

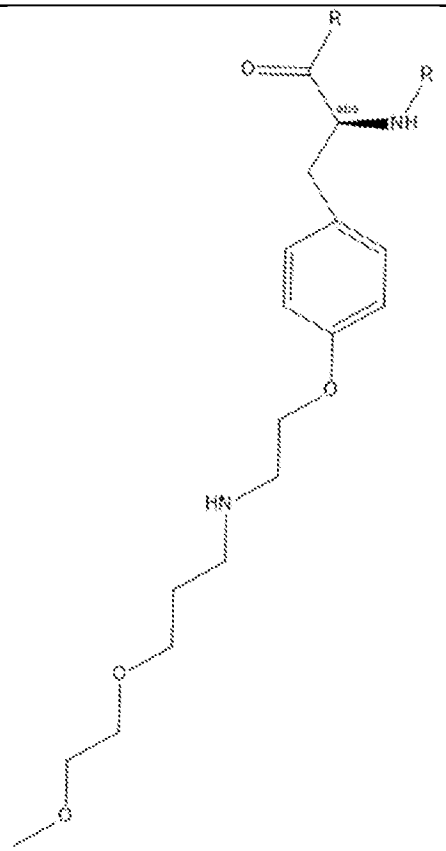
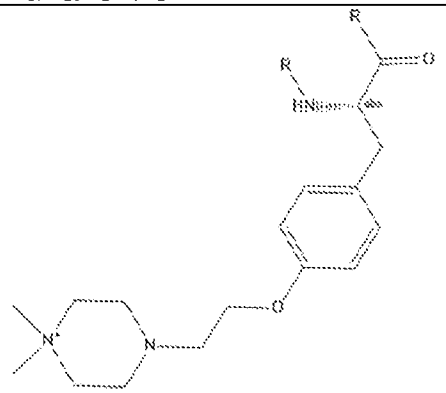
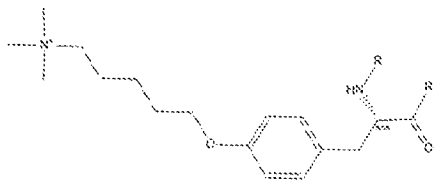
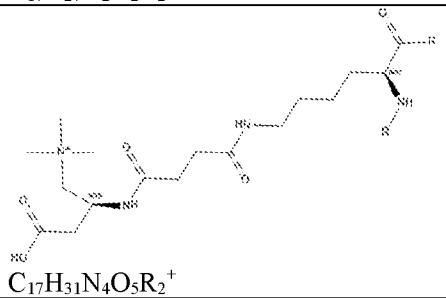
310	K(Biotina), K(Biotin)	 $C_{16}H_{26}N_4O_3SR_2$	O=C(CCCC[C@H]([C@@H]1N2)SC[C@H]1NC2=O)NCCCC[C@@H](C([R])=O)N[R]
311	K(DAGSuc)	 $C_{16}H_{27}N_3O_8R_2$	OC[C@H]([C@H]([C@@H]([C@H]1O)O)O)O[C@H]1NC(CCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O
312	k(DAGSuc), dK(DAGSuc)	 $C_{16}H_{27}N_3O_8R_2$	OC[C@H]([C@H]([C@@H]([C@H]1O)O)O)O[C@H]1NC(CCC(NCCCC[C@H](C([R])=O)N[R])=O)=O
313	DOTA	 $C_{16}H_{27}N_4O_7R$	OC(CN1CCN(CC(O)=O)CCN(CC([R])=O)CCN(CC(O)=O)CC1)=O

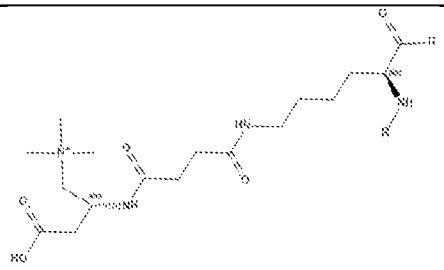
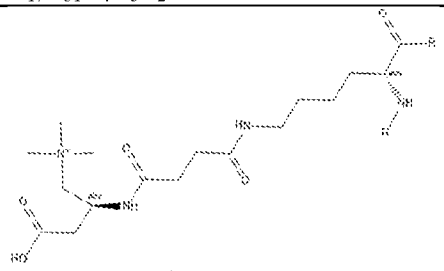
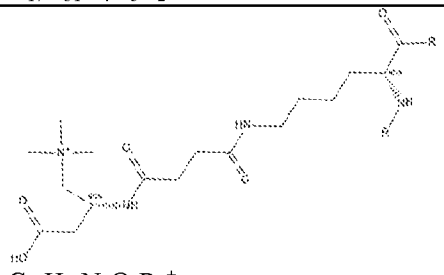
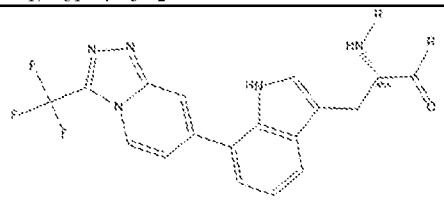
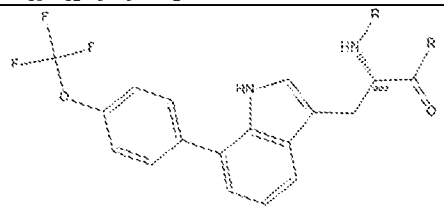
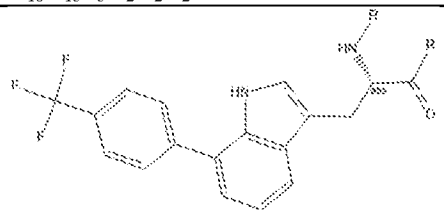
314	Dab(NMeCarn)	 $C_{16}H_{29}N_4O_5R_2^+$	CN(CC[C@@H](C([R])=O)N[R])C(CCC(N[C@@H](CC(O)=O)C[N+](C)(C)C)=O)=O
315	Dab(NMecarn)	 $C_{16}H_{29}N_4O_5R_2^+$	CN(CC[C@@H](C([R])=O)N[R])C(CCC(N[C@H](CC(O)=O)C[N+](C)(C)C)=O)=O
316	orn(d)	 $C_{16}H_{29}N_4O_5R_2^+$	C[N+](C)(C)C[C@@H](CC(O)=O)NC(CCC(NCCC[C@H](C([R])=O)N[R])=O)=O
317	2Nal6((5CF3)3pyrazole)	 $C_{17}H_{12}F_3N_3OR_2$	O=C([C@H](Cc(ccc1c2)cc1ccc2-c1c[nH]nc1C(F)(F)F)N[R])[R]

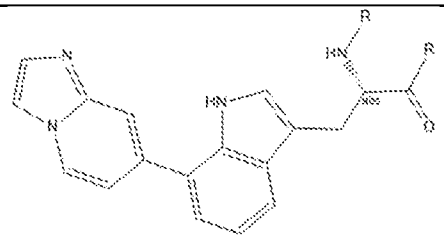
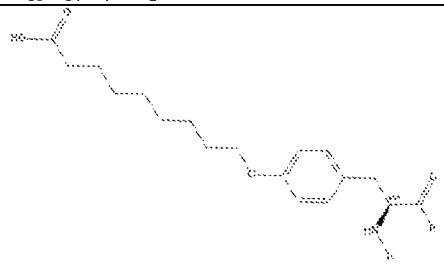
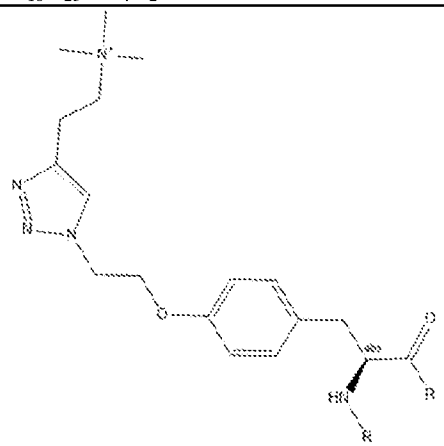
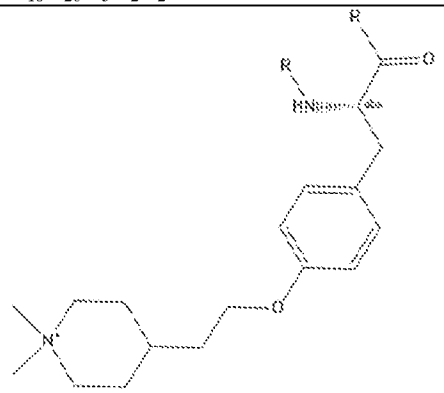
318	7(2ClPh)W	 $C_{17}H_{13}ClN_2OR_2$	O=C([C@H](Cc1c[nH]c2c1cccc2-c(cccc1)c1Cl)N[R])[R]
319	TMAPF		C[N+](C)(CCCCCOc1ccc(C[C@H](N[R])C([R])=O)cc1)C
320	7(2OMe5Pyr)W	 $C_{17}H_{15}N_3O_2R_2$	COc(cc1)ncc1-c1cccc2c1[nH]cc2C[C@@H](C([R])=O)N[R]
321	W-7Ph, 7-phenyl-L-tryptophan	 $C_{17}H_{16}N_2O$	N[C@@H](Cc1c[nH]c2c1cccc2-c1ccccc1)C=O
322	5OH2Nal	 $C_{17}H_{19}NO_2R_2$	CC(C)(C)Oc1c(ccc(C[C@@H](C([R])=O)N[R])c2)c2ccc1
323	5tBu2Nal	 $C_{17}H_{19}NOR_2$	CC(C)(C)c1c(ccc(C[C@@H](C([R])=O)N[R])c2)c2ccc1

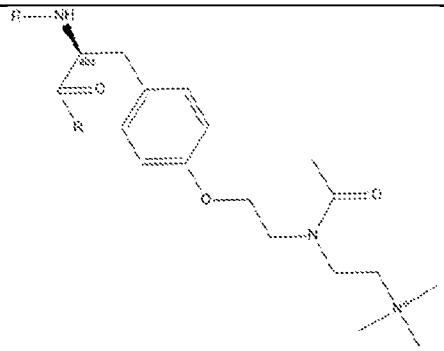
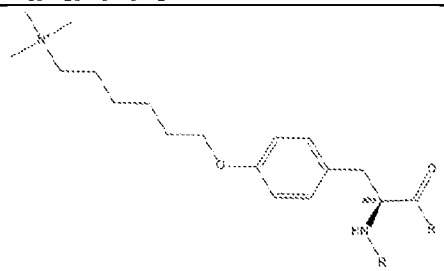
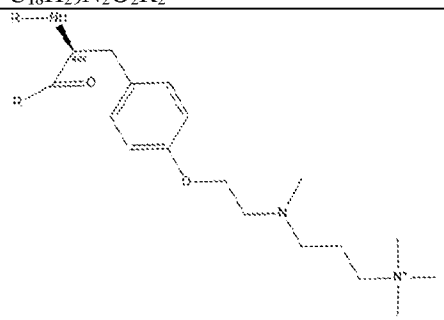
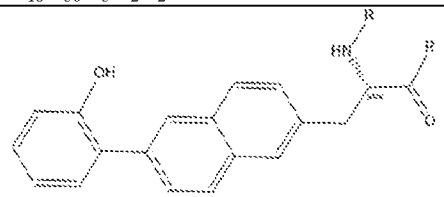
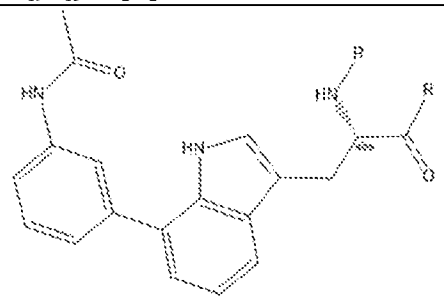
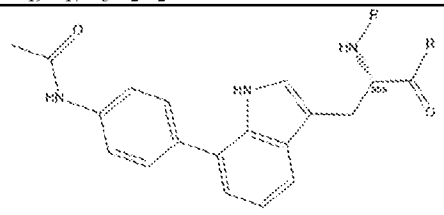
324	hFTMAPF	 $C_{17}H_{21}F_6N_2O_2R_2^+$	C[N+](C)(C)CC(C(C(COc1ccc(C[C@@H](C([R])=O)N[R])cc1)(F)F)(F)F)(F)F
325	F(4TzlTMA3)	 $C_{17}H_{24}N_5OR_2^+$	C[N+](C)(C)CCCc1cn(-c2ccc(C[C@@H](C([R])=O)N[R])cc2)nn1
326	DMMMF	 $C_{17}H_{25}N_2O_2R_2^+$	C[N+]1(C)CC(COc2ccc(C[C@@H](C([R])=O)N[R])cc2)CCC1

327	MMoEF	 $C_{17}H_{25}N_2O_2R_2^+$	C[N+]1(CCOc2ccc(C[C@@H](C([R])=O)N[R])cc2)CCCCC1
328	MMoPF	 $C_{17}H_{25}N_2O_3R_2^+$	C[N+]1(CCCOc2ccc(C[C@H](C([R])=O)N[R])cc2)CCOCC1

329	AEF(MEP)	 $C_{17}H_{26}N_2O_4R_2$	COCCOCCCNCCOc1ccc(C[C@@H](C([R])=O)N[R])cc1
330	4DMPzEF	 $C_{17}H_{26}N_3O_2R_2^+$	C[N+]1(C)CCN(CCOc2ccc(C[C@@H](C([R])=O)N[R])cc2)CC1 C[N+]1(C)CCN(CCOc2ccc(C[C@@H](C=O)N)cc2)CC1
331	TMAPF	 $C_{17}H_{27}N_2O_2R_2^+$	C[N+](C)(C)CCCCCOc1ccc(C[C@@H](C([R])=O)N[R])cc1 C[N+](C)(C)CCCCCOc1ccc(C[C@@H](C=O)N)cc1
332	K(D), KCar	 $C_{17}H_{31}N_4O_5R_2^+$	C[N+](C)(C)C[C@H](CC(O)=O)NC(CCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O

333	K(d), KdCar	 $C_{17}H_{31}N_4O_5R_2^+$	C[N+](C)(C)C[C@@H](CC(O)=O)NC(CCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O
334	k(D), dKCar	 $C_{17}H_{31}N_4O_5R_2^+$	C[N+](C)(C)C[C@H](CC(O)=O)NC(CCC(NCCCC[C@H](C([R])=O)N[R])=O)=O
335	k(d), dKdCar	 $C_{17}H_{31}N_4O_5R_2^+$	C[N+](C)(C)C[C@@H](CC(O)=O)NC(CCC(NCCCC[C@H](C([R])=O)N[R])=O)=O
336	7(3CF3TAZP)W	 $C_{18}H_{12}F_3N_5OR_2$	O=C([C@H](Cc1c[nH]c2c1cccc2-c1cc2nnc(C(F)(F)F)n2cc1)N[R])[R]
337	7(4OCF3Ph)W	 $C_{18}H_{13}F_3N_2O_2R_2$	O=C([C@H](Cc1c[nH]c2c1cccc2-c(cc1)ccc1OC(F)(F)F)N[R])[R]
338	7(4CF3Ph)W	 $C_{18}H_{13}F_3N_2OR_2$	O=C([C@H](Cc1c[nH]c2c1cccc2-c1ccc(C(F)(F)F)cc1)N[R])[R]

339	7(7ImidPyr)W	 $C_{18}H_{14}N_4OR_2$	O=C([C@H](Cc1c[nH]c2c1cccc2-c1cc2nccn2cc1)N[R])[R]
340	Y(C9OH)	 $C_{18}H_{25}NO_4R_2$	OC(CCCCCCCCOc1ccc(C[C@@H](C([R])=O)N[R])cc1)=O
341	Y(OTzlCh)	 $C_{18}H_{26}N_5O_2R_2^+$	C[N+](C)(C)CCc1cn(CCOc2ccc(C[C@@H](C([R])=O)N[R])cc2)nn1
342	4DMPEF	 $C_{18}H_{27}N_2O_2R_2^+$	C[N+]1(C)CCC(CCOc2ccc(C[C@@H](C([R])=O)N[R])cc2)CC1 C[N+]1(C)CCC(CCOc2ccc(C[C@@H](C=O)N)cc2)CC1

343	AEF(AcCh)	 $C_{18}H_{28}N_3O_3R_2^+$	CC(N(CC[N+](C)(C)C)CCOc1ccc(C[C@@H](C([R])=O)N[R])cc1)=O
344	TMA6F	 $C_{18}H_{29}N_2O_2R_2^+$	C[N+](C)(C)CCCCCCOc1ccc(C[C@@H](C([R])=O)N[R])cc1
345	AEF(MePrpa)	 $C_{18}H_{30}N_3O_2R_2^+$	CN(CCC[N+](C)(C)C)CCOc1ccc(C[C@@H](C([R])=O)N[R])cc1
346	2Nal6(Ph2OH)	 $C_{19}H_{15}NO_2R_2$	Oc(cccc1)c1-c1ccc(cc(C[C@@H](C([R])=O)N[R])cc2)c2c1
347	7(3NAcPh)W	 $C_{19}H_{17}N_3O_2R_2$	CC(Nc1cccc(-c2cccc3c2[nH]cc3C[C@@H](C([R])=O)N[R])c1)=O
348	7(4NAcPh)W	 $C_{19}H_{17}N_3O_2R_2$	CC(Nc(cc1)ccc1-c1cccc2c1[nH]cc2C[C@@H](C([R])=O)N[R])=O

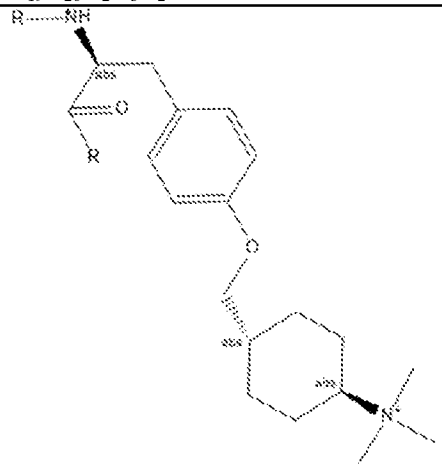
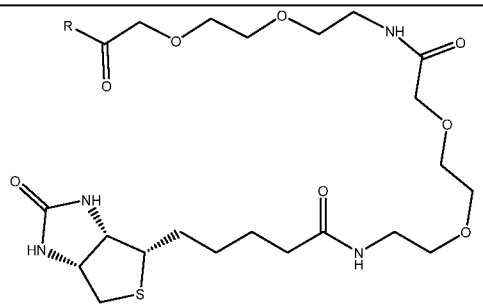
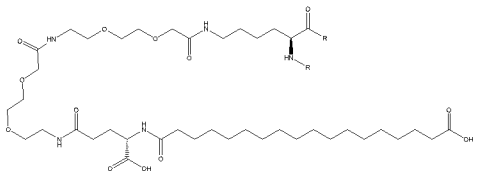
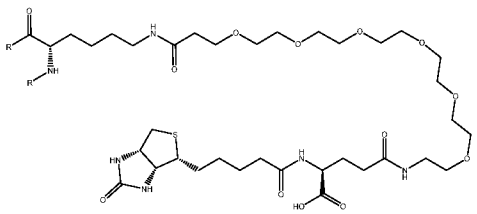
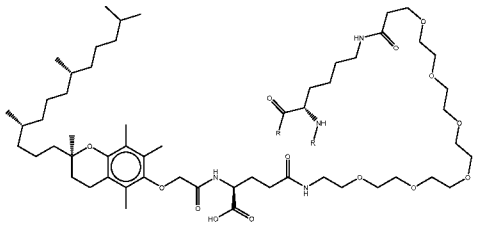
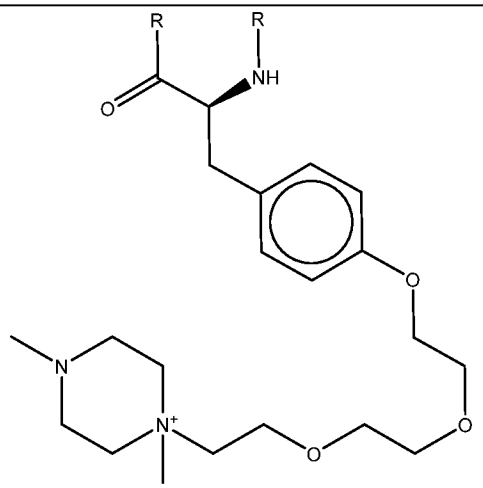
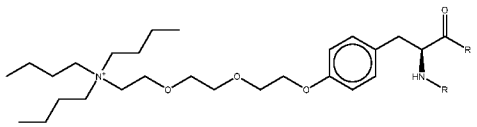
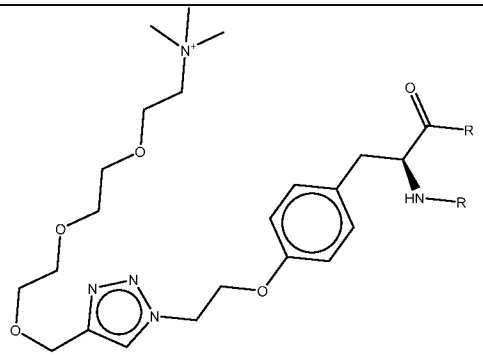
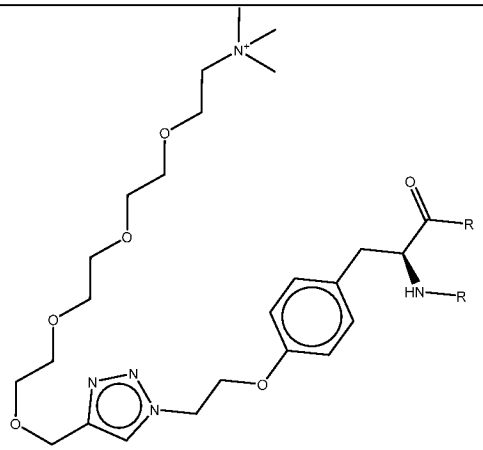
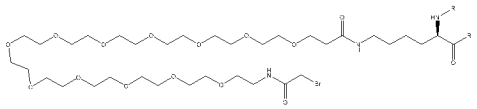
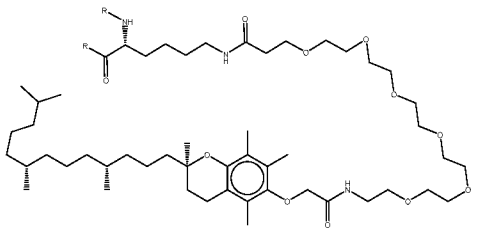
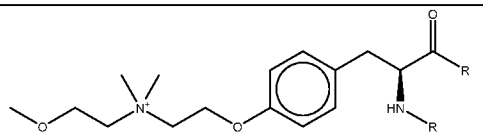
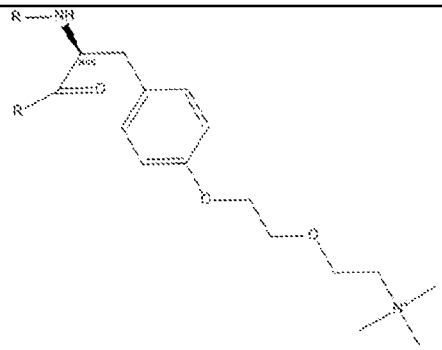
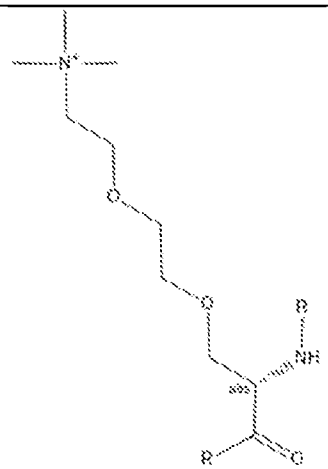
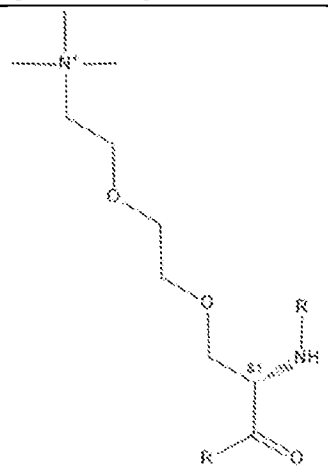
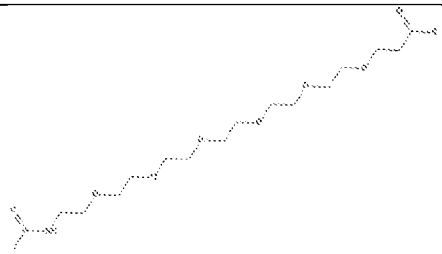
349	4PipPhe	$C_{19}H_{26}N_2O_3R_2$	CC(C)(C)OC(N(CC1)CCC1c1ccc(C[C@@H](C([R])=O)N[R])cc1)=O
350	a	 $C_{19}H_{29}N_2O_2R_2^+$	C[N+](C)(C)[C@H]1CC[C@H](COc2ccc(C[C@@H](C([R])=O)N[R])cc2)CC1

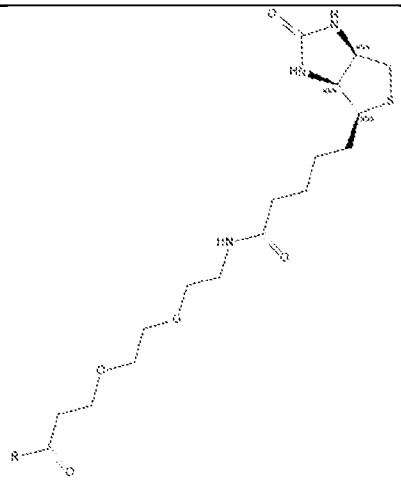
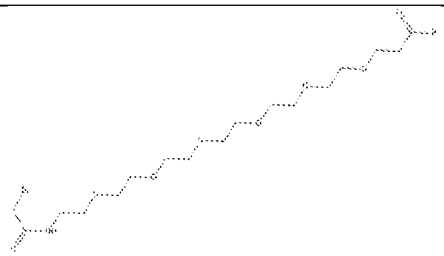
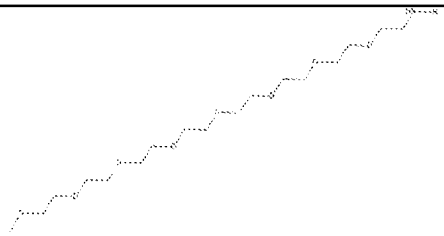
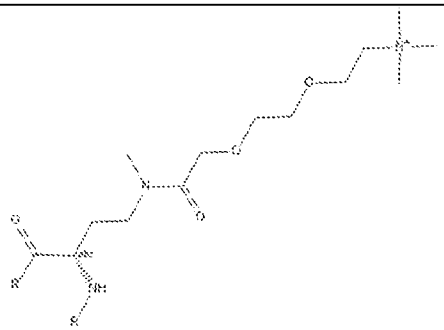
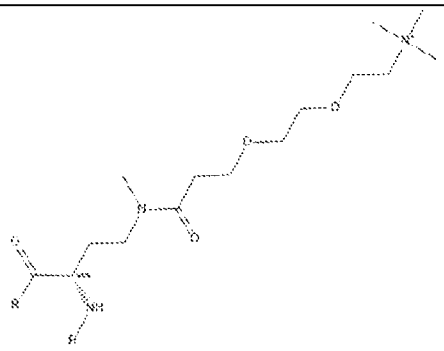
Table 2D. Peg Moeties and Peg Modified Monomers

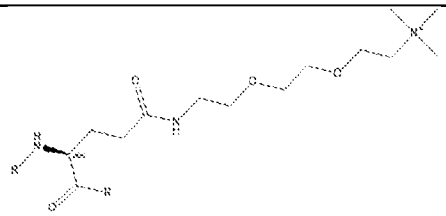
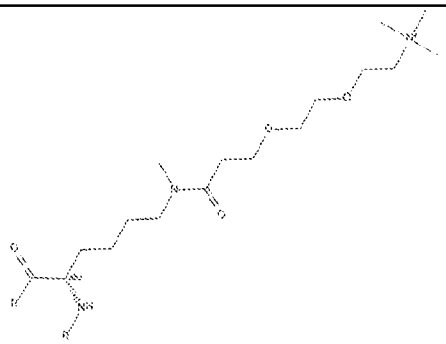
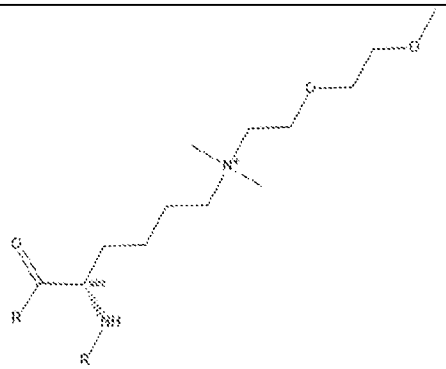
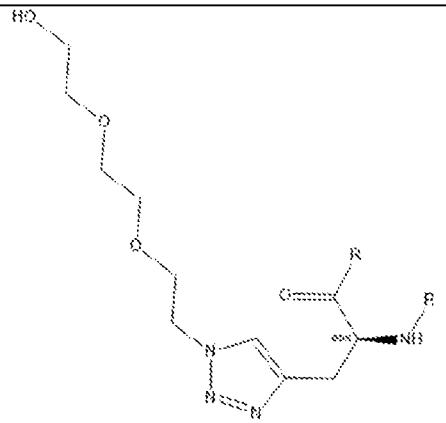
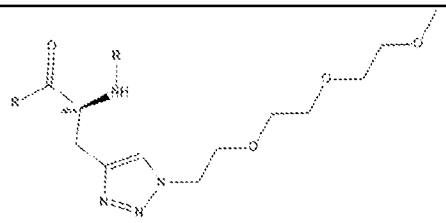
1	Structure	Names and Synonyms	Smiles Structure
2	C7H15NO3	CON(MePEG2)	CN(CCOCCOC)C=O
3	C7H14O4	mPEG3CO	COCCOCCOCC=O
4	C14H28O7	mPEG6CO	COCCOCCOCCOCCOCCOCCC=O
5	C21H36N3O5+	AEFNMePEG3a, AEF(NHcPEG3a)	C[N+](C)(C)CCOCCOCCC(NCCOc1ccc(C[C@@H](C=O)N)cc1)=O
6	C24H42N2O8	AEFNmPEG6, AEF(NmPEG6)	COCCOCCOCCOCCOCCOCCNCCOc1ccc(C[C@@H](C=O)N)cc1

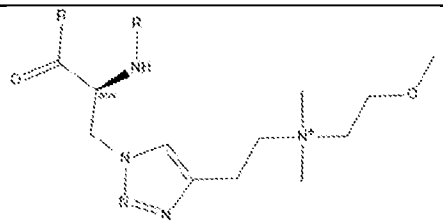
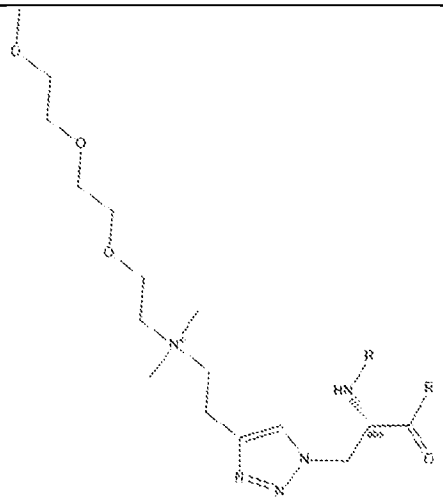
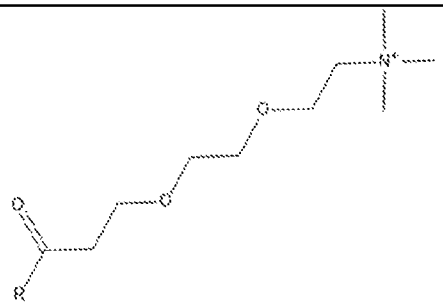
7	 C22H37N4O8SR	BiotinPEG2PEG2, Biotin(PEG2PEG2)	O=C(CCCC[C@@H]([C@H]1N2)SC[C@@H]1NC2=O)NCCOCCOCC(NCCOCCOCC([R])=O)=O
8	 C41H73N5O13R2	K(PEG2PEG2gEC18OH)	OC(CCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)C(O)=O)=O)=O
9	 C36H62N6O13SR2	K(PEG6gEBiotin)	OC([C@H](CCC(NCCOCCOCCOCCOCCOCCCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)NC(CCCC[C@H]([C@@H]1N2)SC[C@H]1NC2=O)=O)=O
10	 C57H98N4O14R2	K(PEG6gEVitE)	CC(C)CCC[C@@H](C)CCC[C@@H](C)CCC[C@](C)(CC1)Oc(c(C)c2C)c1c(C)c2OCC(N[C@@H](CCC(NCCOCCOCCOCCOCCOCCCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)C(O)=O)=O
11	 C21H34N3O4R2+	MPzPEG3F	CN1CC[N+](C)(CCOCCOCCOc2ccc(C[C@@H](C([R])=O)N[R])cc2)CC1

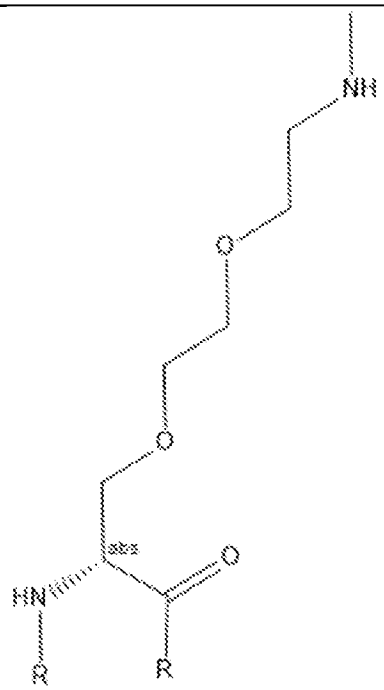
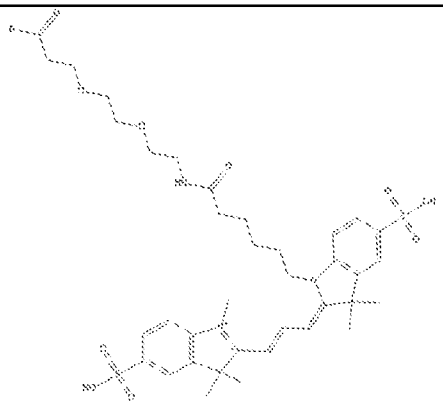
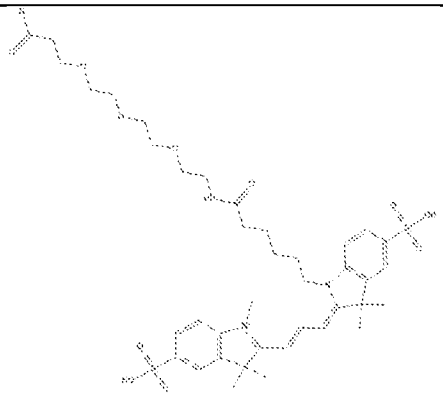
12	 C27H47N2O4R2+	TBAPEG3F	CCCC[N+](CCCC)(CCCC)CCOCCOCCOc1ccc(C[C@@H](C([R])=O)N[R])cc1
13	 C23H36N5O5R2+	Y(OTzlPEG3a)	C[N+](C)(C)CCOCCOCCOCOCc1cn(CCOc2ccc(C[C@@H](C([R])=O)N[R])cc2)nn1
14	 C25H40N5O6R2+	Y(OTzlPEG4a)	C[N+](C)(C)CCOCCOCCOCCOCCOCc1cn(CCOc2ccc(C[C@@H](C([R])=O)N[R])cc2)nn1
15	 C35H66BrN3O15R2	k(PEG6Biotin), dK(PEG6Biotin)	O=C(CCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCNC(CBr)=O)NCCCC[C@H](C([R])=O)N[R]
16	 C52H91N3O11R2	k(dPEG12Ac), dK(dPEG12Ac)	CC(C)CCC[C@@H](C)CCC[C@@H](C)CCC[C@](C)(CC1)Oc(c(C)c2C)c1c(C)c2OCC(NCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCC(NCCCC[C@H](C([R])=O)N[R])=O)=O
17	 C16H25N2O3R2+	mPEG2TMA2F	C[N+](C)(CCOC)CCOc1ccc(C[C@@H](C([R])=O)N[R])cc1

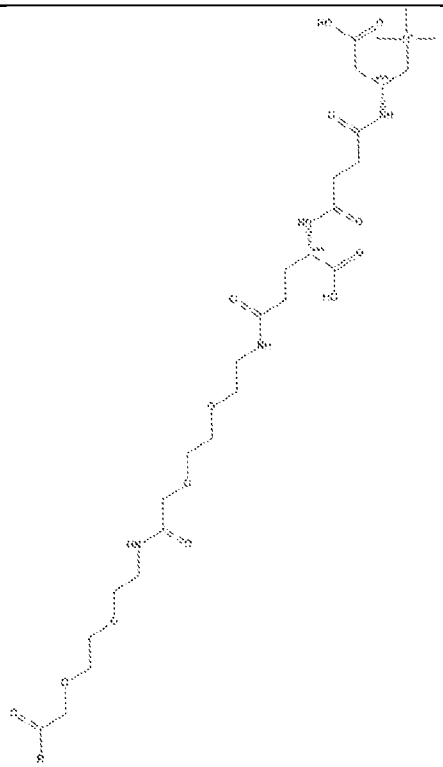
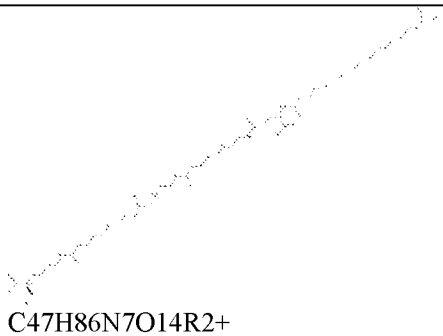
18	C20H33N2O4R2+	mPEG3TMA4F	C[N+](C)(CCCCOc1ccc(C[C@@H](C([R])=O)N[R])cc1)CCOCCOC
19	 C16H25N2O3R2+	C[N+](C)(C)CCOCCOc1ccc(C[C@@H](C([R])=O)N[R])cc1	
20	 C10H21N2O3R2+	C[N+](C)(C)CCOCCOC[C@@H](C([R])=O)N[R]	
21	 C10H21N2O3R2+	C[N+](C)(C)CCOCCOCC(C([R])=O)N[R]	
22	 C17H32NO8R	CC(NCCOCCOCCOCCOCCOCCOCCC([R])=O)=O	

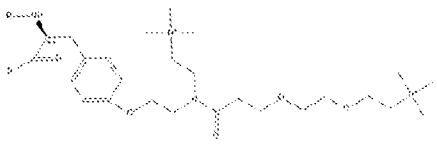
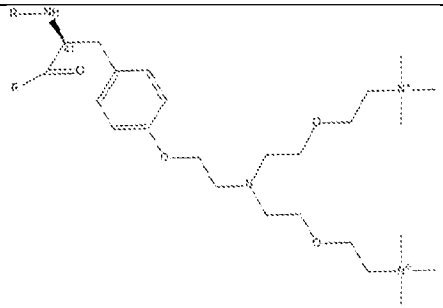
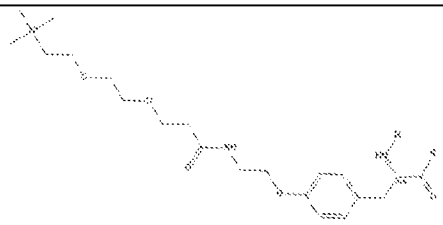
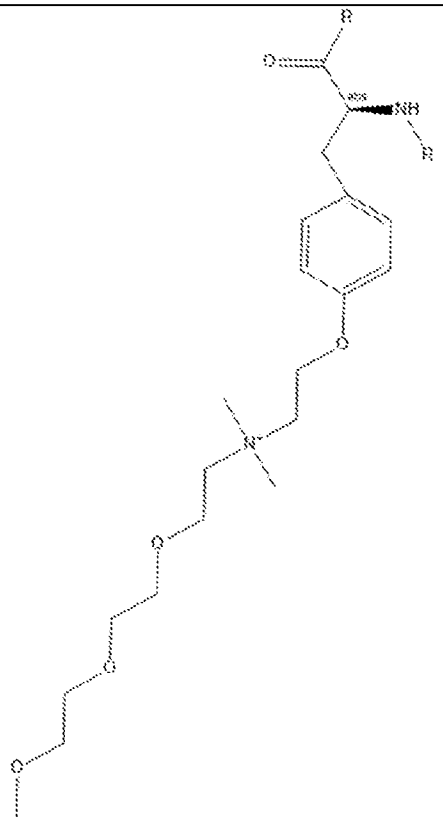
23	 C17H28N3O5SR	O=C(CCCC[C@@H]([C@H]1N2)SC[C@@H]1NC2=O)NCCOCCOCCC([R])=O
24	 C17H31BrNO8R	O=C(CBr)NCCOCCOCCOCCOCCOCCOCCC([R])=O
25	 C17H36NO8R	COCCOCCOCCOCCOCCOCCOCCOCCOCCN[R]
26	 C14H28N3O4R2+	CN(CC[C@@H](C([R])=O)N[R])C(COCCOCC[N+](C)(C)C)=O
27	 C15H30N3O4R2+	CN(CC[C@@H](C([R])=O)N[R])C(CCOCCOCC[N+](C)(C)C)=O

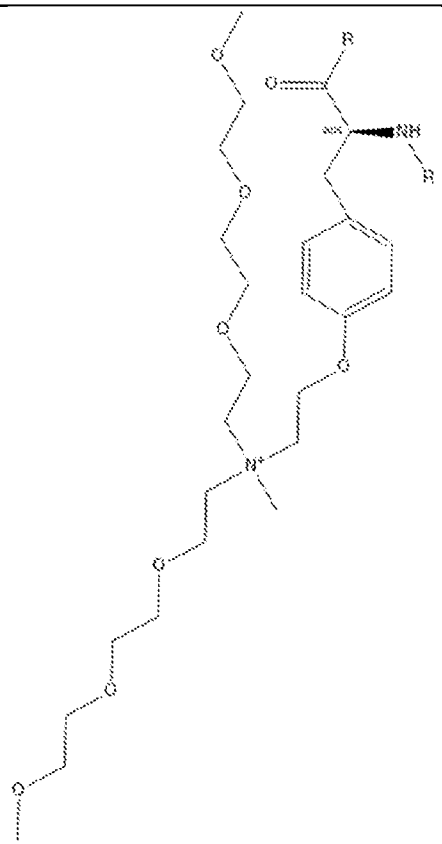
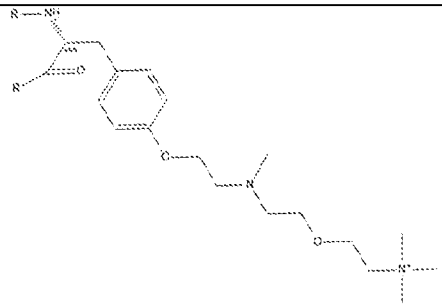
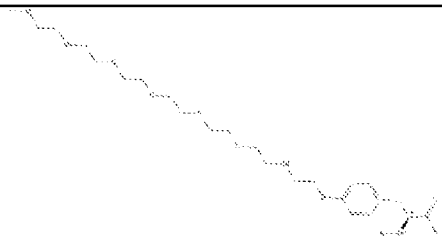
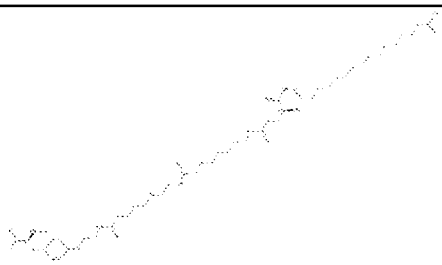
28	 C14H28N3O4R2+	C[N+](C)(C)CCOCCOCCNC(CC[C@@H](C([R])=O)N[R])=O
29	 C17H34N3O4R2+	CN(CCCC[C@@H](C([R])=O)N[R])C(CCOCCOCC[N+](C)(C)C)=O
30	 C13H27N2O3R2+	C[N+](C)(CCCC[C@@H](C([R])=O)N[R])CCOCCOC
31	 C11H18N4O4R2	OCCOCCOCCn1nnc(C[C@@H](C([R])=O)N[R])c1
32	 C12H20N4O4R2	COCCOCCOCCn1nnc(C[C@@H](C([R])=O)N[R])c1

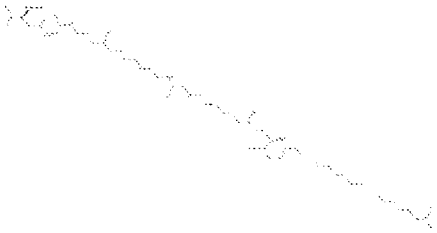
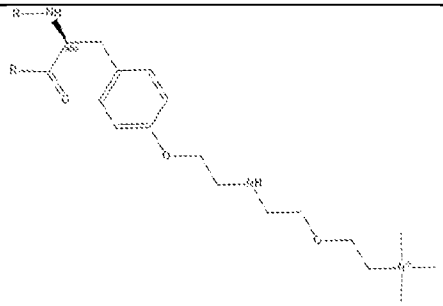
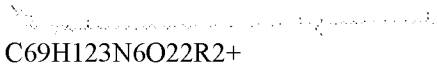
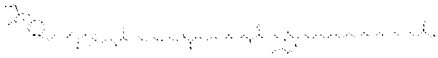
33	 C12H22N5O2R2+	C[N+](C)(CCc1cn(C[C@@H](C([R])=O)N[R])nn1)CCOC
34	 C16H30N5O4R2+	C[N+](C)(CCc1cn(C[C@@H](C([R])=O)N[R])nn1)CCOCCOCCOC
35	 C10H21NO3R+	C[N+](C)(C)CCOCCOCCC([R])=O

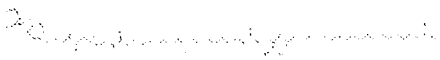
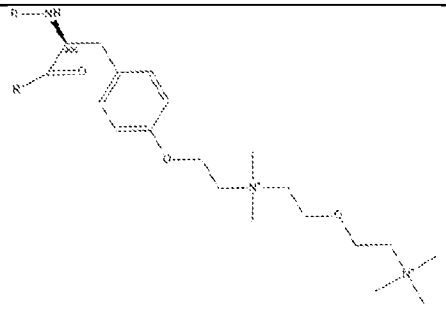
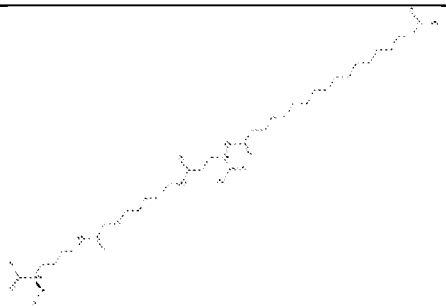
36	 C8H16N2O3R2	CNCCOCCOC[C@H](C([R])=O)N[R]	
37	 C37H49N3O10S2R+	(SulfoCy3dPEG2)	CC1(C)c(cc(cc2)S(O)(=O)=O)c2[N+](C)=C1/C=C/C=C(/C1(C)C)\N(CCCCCC(NCCOCCOCCC([R])=O)=O)c(cc2)c1cc2S(O)(=O)=O
38	 C39H53N3O11S2R+	(SulfoCy3dPEG3)	CC1(C)c(cc(cc2)S(O)(=O)=O)c2[N+](C)=C1/C=C/C=C(/C1(C)C)\N(CCCCCC(NCCOCCOCCOCCC([R])=O)=O)c(cc2)c1cc2S(O)(=O)=O

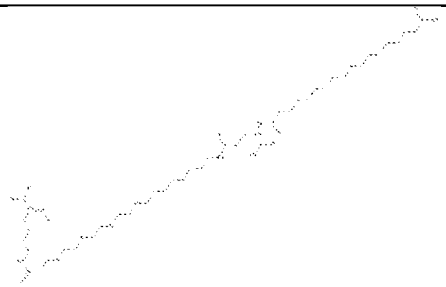
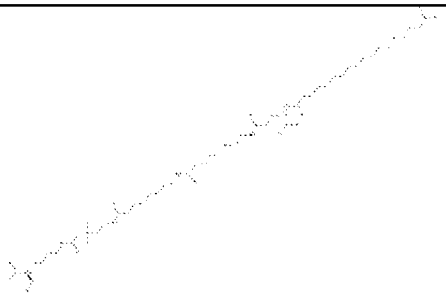
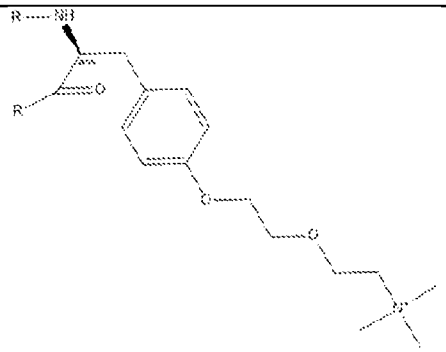
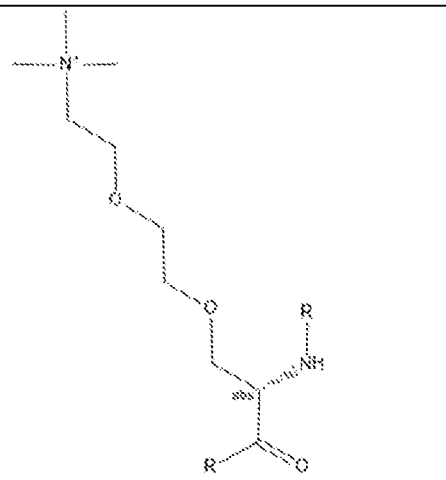
39	 C28H49N5O13R+	(d)gEPEG2PEG2	C[N+](C)(C)C[C@@H](CC(O)=O)NC(CCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC([R])=O)=O)=O)C(O)=O)=O)=O
40	 C29H57NO14	AcdPEG12CO	CC(NCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCC=O)=O
41	 C23H45NO11	AcdPEG9CO	CC(NCCOCCOCCOCCOCCOCCOCCOCCOCCOCCC=O)=O
42	 C42H75N4O15R	AEEP(PEG2PEG2gEC18OH)	OC(CCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCOCCOCCC([R])=O)=O)=O)=O)C(O)=O)=O)=O
43	 C47H86N7O14R2+	AEEPPEG2PEG2gEC18OH, k(PEG2Sp6PEG2gEC18OH), dK(PEG2Sp6PEG2gEC18OH)	C[N+](C)(CCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)CC(NCCOCCOCC(NCCCC[C@H](C([R])=O)N[R])=O)=O

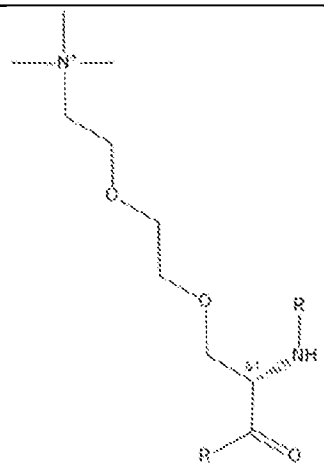
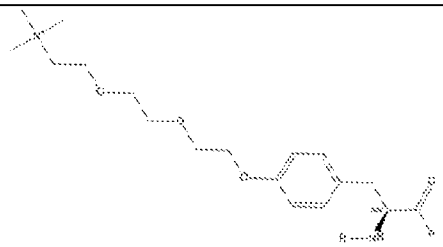
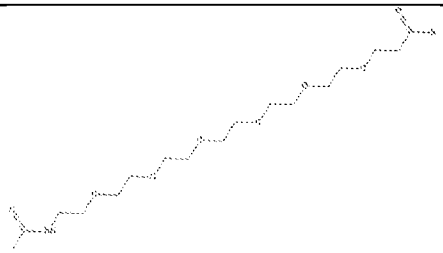
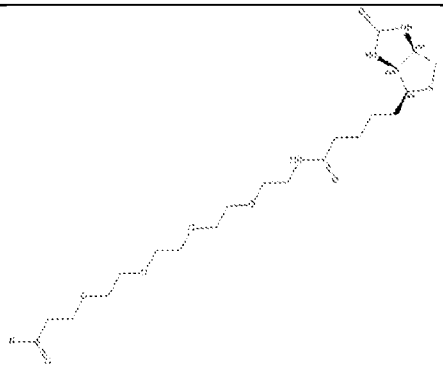
44	 C26H46N4O5R2+2	AEF((Ch)cPEG3a)	C[N+](C)(C)CCN(CCOc1ccc(C[C@@H](C([R])=O)N[R])cc1)C(CCOCCOCC[N+](C)(C)C)=O
45	 C25H46N4O4R2+2	AEF(BisPEG2a)(RS) AEF(BisPEG2a)(S*) (The RS and the S* indicates the stereochemistry)	C[N+](C)(C)CCOCCN(CCOCC[N+](C)(C)C)CCOc1ccc(CC(C([R])=O)N[R])cc1
46	 C21H34N3O5R2+	AEF(NMePEG3a), AEF(NMecPEG3aCO)	C[N+](C)(C)CCOCCOCCC(NCCOc1ccc(C[C@@H](C([R])=O)N[R])cc1)=O
47	 C20H33N2O5R2+	AEF(NMe2mPEG3)	C[N+](C)(CCOCCOCCOC)CCOc1ccc(C[C@@H](C([R])=O)N[R])cc1

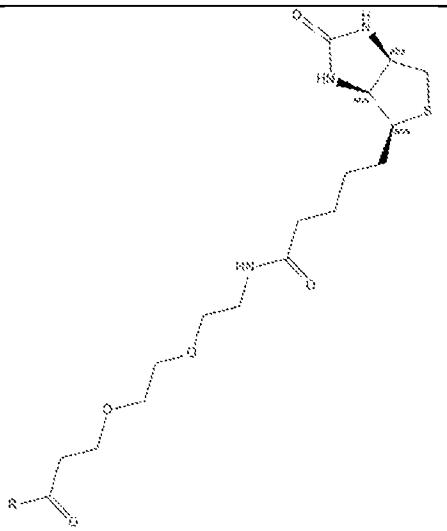
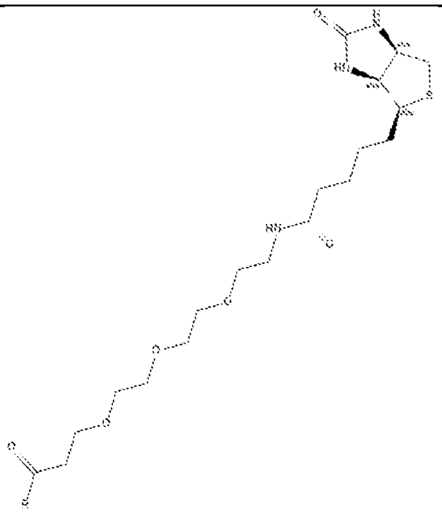
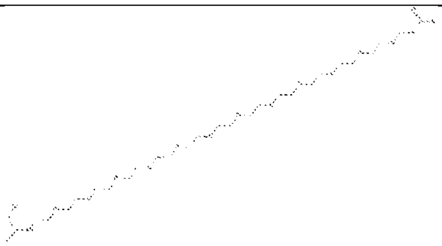
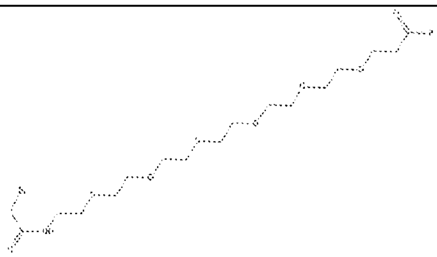
48	 C26H45N2O8R2+	AEF(NMeBismPEG3)	C[N+](CCOCCOCCOC)(CCOCCOCCOC)CCOc1ccc(C[C@@H](C([R])=O)N[R])cc1
49	 C19H32N3O3R2+	AEF(NMePEG2a)	CN(CCOCC[N+](C)(C)C)CCOc1ccc(C[C@H](C([R])=O)N[R])cc1
50	 C24H40N2O8R2	AEF(NmPEG6)	COCCOCCOCCOCCOCCOCCNCCOc1ccc(C[C@@H](C([R])=O)N[R])cc1
51	 C44H71N5O14R2	AEF(PEG2PEG2gEC16OH)	OC(CCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCOc1ccc(C[C@@H](C([R])=O)N[R])cc1)=O)=O)=O)C(O)=O)=O)=O

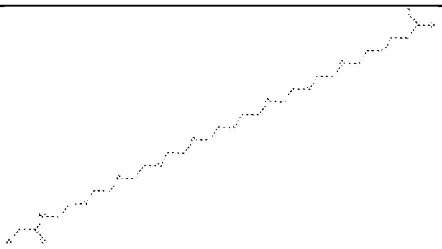
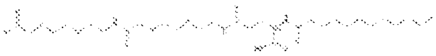
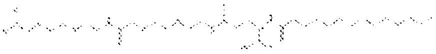
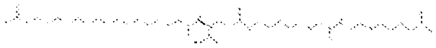
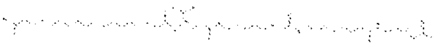
52	 C46H75N5O14R2	AEF(PEG2PEG2gEC18OH)	OC(CCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCCOC(NCCOCCOC(NCCOc1ccc(C[C@@H](C([R])=O)N[R])cc1)=O)=O)=O)C(O)=O)=O)=O
53	 C18H30N3O3R2+	AEF(Peg2a), AEF(PEG2a)	C[N+](C)(C)CCOCCNCCOc1ccc(C[C@@H](C([R])=O)N[R])cc1
54	 C67H119N6O22R2+	AEF(SP6PEG12gEC18OH)	C[N+](C)(CCNC(CCOCCOCCOCCOCCCOCCOCCCOCCOCCOCCOCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)CC(NCCOc1ccc(C[C@@H](C([R])=O)N[R])cc1)=O
55	 C69H123N6O22R2+	AEF(SP6PEG12gEC20OH)	C[N+](C)(CCNC(CCOCCOCCOCCOCCCOCCOCCCOCCOCCOCCOCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)CC(NCCOc1ccc(C[C@@H](C([R])=O)N[R])cc1)=O
56	 C52H88N7O15R2+	AEF(SP6PEG2PEG2gEC18OH)	C[N+](C)(CCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)CC(NCCOc1ccc(C[C@@H](C([R])=O)N[R])cc1)=O

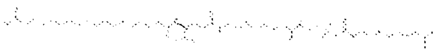
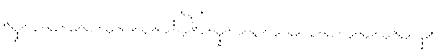
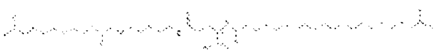
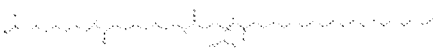
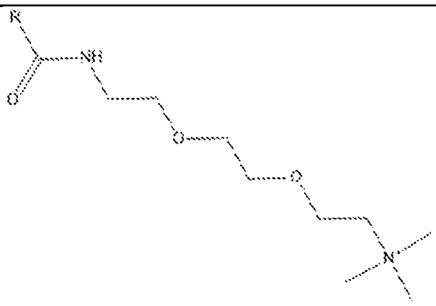
57	 C54H92N7O15R2+	AEF(SP6PEG2PEG2gEC20OH)	C[N+](C)(CCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)CC(NCCOc1ccc(C[C@@H](C([R])=O)N[R])cc1)=O
58	C55H95N6O16R2+	AEF(SP6PEG6gEC18OH)	C[N+](C)(CCNC(COCCOCCOCCOCCOCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)CC(NCCOc1ccc(C[C@@H](C([R])=O)N[R])cc1)=O
59	C57H99N6O16R2+	AEF(SP6PEG6gEC20OH)	C[N+](C)(CCNC(COCCOCCOCCOCCOCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)CC(NCCOc1ccc(C[C@@H](C([R])=O)N[R])cc1)=O
60	 C20H35N3O3R2+2	AEF(aPEG2a)	C[N+](C)(C)CCOCC[N+](C)(C)CCOc1ccc(C[C@@H](C([R])=O)N[R])cc1
61	 C35H62N4O10R2	k(PEG2gEC18OH), dK(PEG2gEC18OH)	OC(CCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)C(O)=O)=O)=O

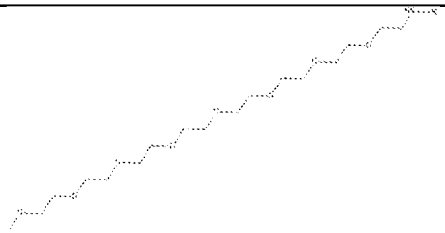
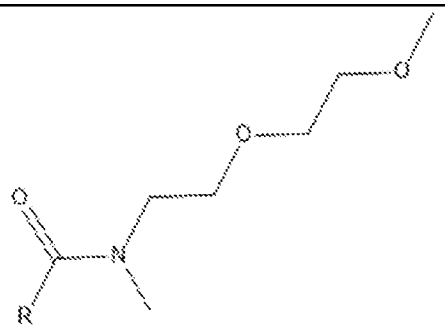
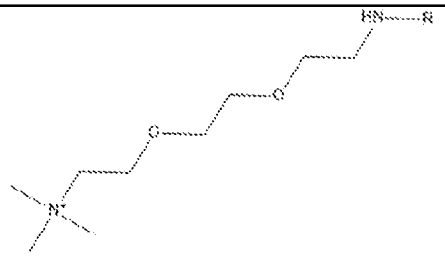
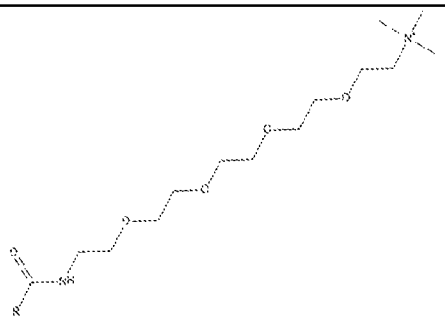
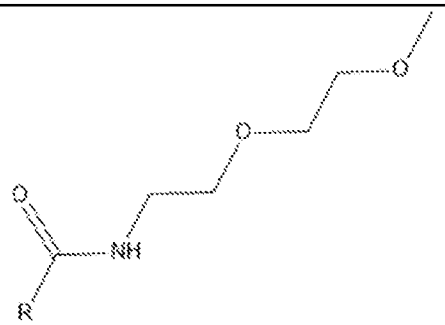
62	 C44H80N4O14R2	k(PEG6gEC18OH), dK(PEG6gEC18OH)	OC(CCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCCOCCOCCOCCCOCCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)C(O)=O)=O)=O
63	 C47H86N7O14R2+	, k(Sp6PEG2PEG2gEC18OH), dK(Sp6PEG2PEG2gEC18OH)	C[N+](C)(CCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)=O)CC(NCCC[C@H](C([R])=O)N[R])=O
64	 C16H25N2O3R2+	APEG2F	C[N+](C)(C)CCOCCOc1ccc(C[C@@H](C([R])=O)N[R])cc1
65	 C10H21N2O3R2+	APEG2ser	C[N+](C)(C)CCOCCOC[C@@H](C([R])=O)N[R]

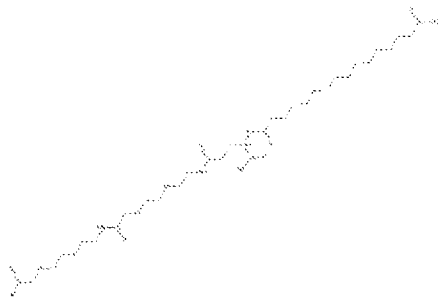
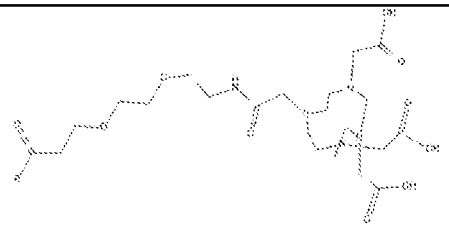
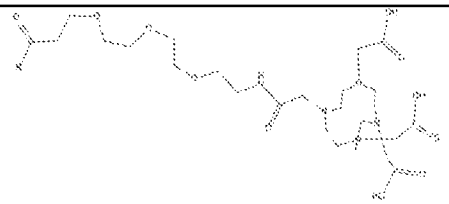
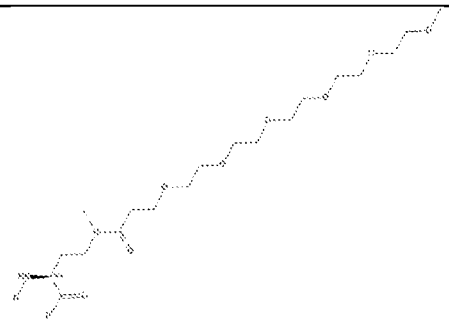
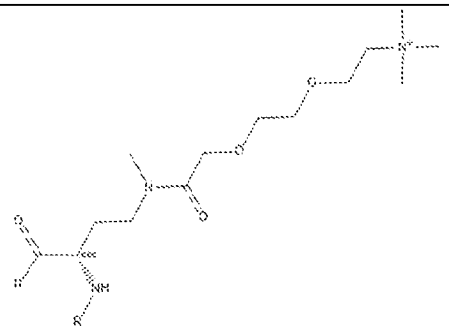
66	 C10H21N2O3R2+	APEG2Ser(R*) APEG2Ser(S*)	C[N+](C)(C)CCOCCOCC(C([R])=O)N[R]
67	 C18H29N2O4R2+	APEG3F	C[N+](C)(C)CCOCCOCCOc1ccc(C[C@@H](C([R])=O)N[R])cc1 C[N+](C)(C)CCOCCOCCOc1ccc(C[C@@H](C=O)N)cc1
68	 C17H32NO8R	AcdPEG6CO	CC(NCCOCCOCCOCCOCCOCCOCCC([R])=O)=O
69	 C21H36N3O7SR	BiotinPEG4CO, Biotin(PEG4CO), Biotin(PEG4)	O=C(CCCC[C@@H]([C@H]1N2)SC[C@@H]1NC2=O)NCCOCCOCCOCCOCCC([R])=O

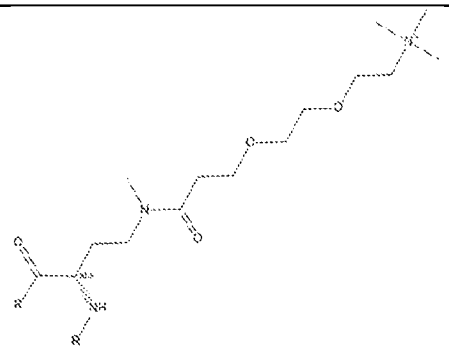
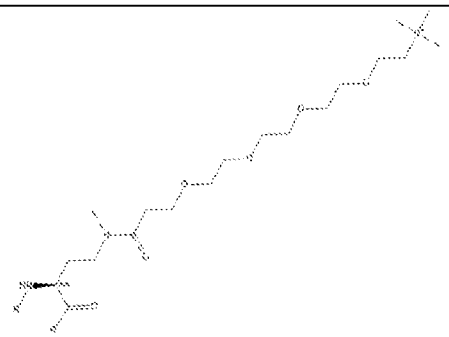
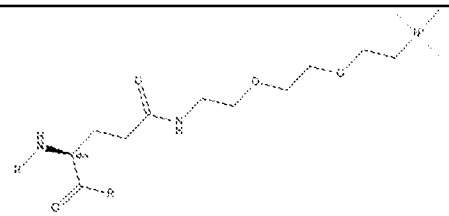
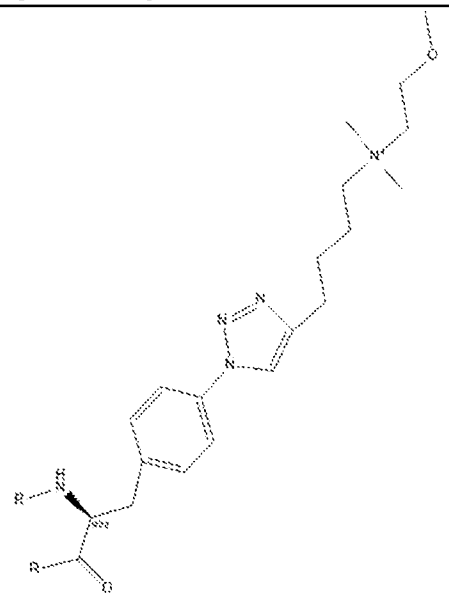
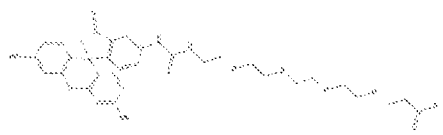
70	 C17H28N3O5SR	Biotinyl(dPEG2), Biotin(dPEG2)	O=C(CCCC[C@@H]([C@H]1N2)SC[C@@H]1NC2=O)NCCOCCOCCC([R])=O
71	 C19H32N3O6SR	Biotinyl(dPEG3), Biotin(dPEG3)	O=C(CCCC[C@@H]([C@H]1N2)SC[C@@H]1NC2=O)NCCOCCOCCOCCC([R])=O
72	 C29H55BrNO14R	BrAcdPEG12CO	O=C(CBr)NCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCC([R])=O
73	 C17H31BrNO8R	BrAcdPEG6CO	O=C(CBr)NCCOCCOCCOCCOCCOCCOCCC([R])=O

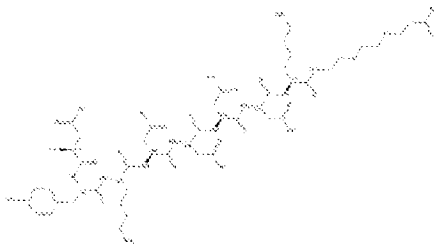
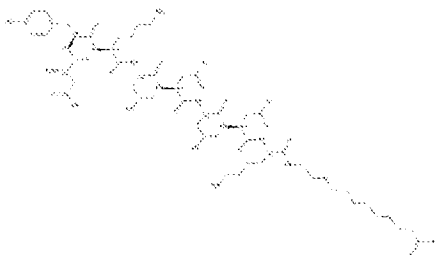
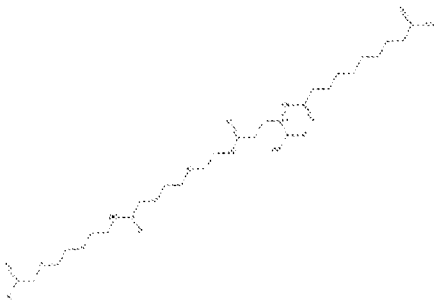
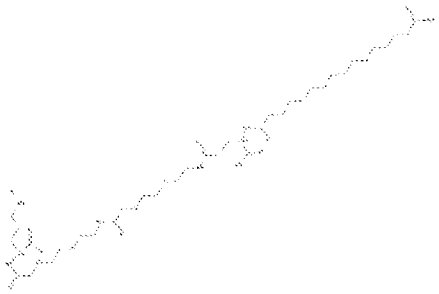
74	 C23H43BrNO11R	BrAcdPEG9CO	O=C(CBr)NCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCC([R])=O
75	 C29H52N3O10R	C12gEPEG2PEG2, C12gEPEG2PEG2CO	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC([R])=O)=O)=O)C(O)=O)=O
76	 C31H56N3O10R	C14gEPEG2PEG2, C14gEPEG2PEG2CO	CCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC([R])=O)=O)=O)C(O)=O)=O
77	 C50H93N2O19R	C18OHgEPEG12, HOC18gEPEG12	OC(CCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCC([R])=O)=O)C(O)=O)=O)=O
78	 C35H62N3O12R	C18OHgEPEG2PEG2, HOC18gEPEG2PEG2 PEG2PEG2gEC18OH	OC(CCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC([R])=O)=O)=O)C(O)=O)=O)=O OC(CCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC([R])=O)=O)=O)C(O)=O)=O)=O OC(CCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC=O)=O)=O)C(O)=O)=O)=O
79	 C41H75N5O13R+	C18OHgEPEG2PEG2SP6, HOC18gEPEG2PEG2SP6	C[N+](C)(CCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)CC([R])=O

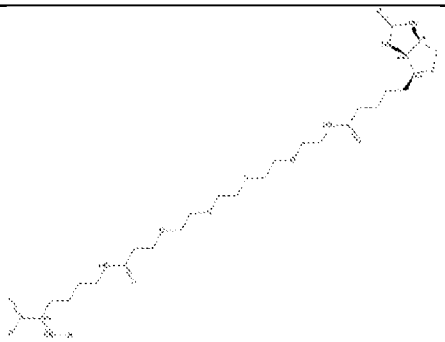
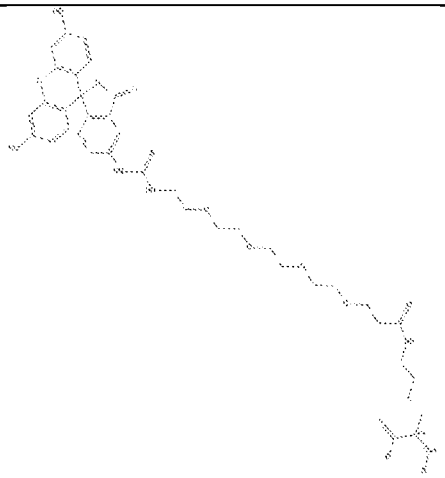
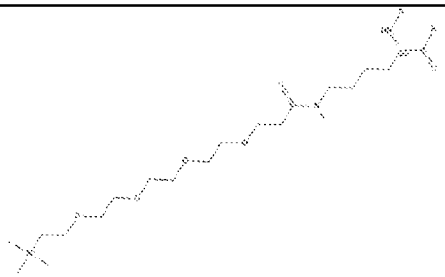
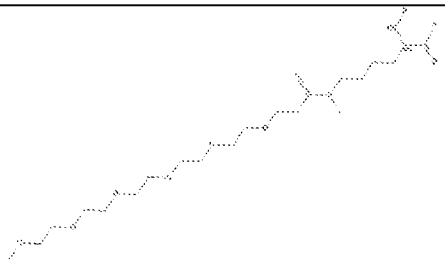
80	 C41H75N5O13R+	C18OHgEPEG2SP6PEG2, HOC18gEPEG2SP6PEG2	C[N+](C)(CCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)CC(NCCOCCOCC([R])=O)=O
81	 C38H69N2O13R	C18OHgEPEG6, HOC18gEPEG6	OC(CCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCCOCCOCCOCCOCCC([R])=O)=O)C(O)=O)=O)=O
82	 C37H66N3O12R	C20OHgEPEG2PEG2, HOC20gEPEG2PEG2	OC(CCCCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC([R])=O)=O)=O)C(O)=O)=O)=O
83	 C37H68N3O10R	C20gEPEG2PEG2	CCCCCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC([R])=O)=O)=O)C(O)=O)=O
84	 C10H22N2O3R+	CO(NHPEG3a) CON(PEG3a) CONHPEG3a	C[N+](C)(C)CCOCCOCCNC([R])=O
85	 C50H94N3O19R	CO(PEG12gEC18OH)	OC(CCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCNC([R])=O)=O)C(O)=O)=O)=O
86	 C36H65N4O12R	CO(PEG2PEG2gEC18OH)	OC(CCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCCNC([R])=O)=O)=O)C(O)=O)=O)=O

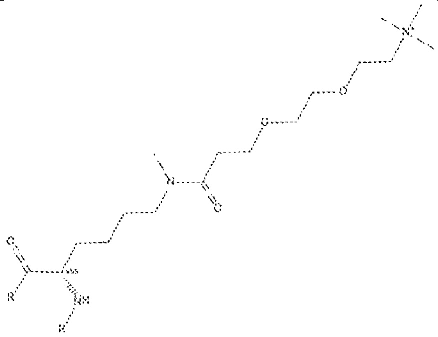
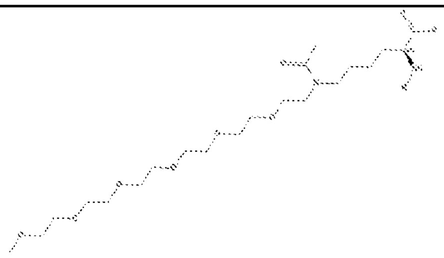
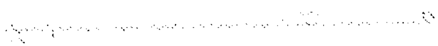
87	 C17H36NO8R	CO(mPEG8)	COCCOCCOCCOCCOCCOCCOCCOCCN[R]
88	 C7H14NO3R	CON(MePEG2)	CN(CCOCCOC)C([R])=O
89	 C9H22N2O2R+	CONH(PEG3a)	C[N+](C)(C)CCOCCOCCN[R]
90	 C14H30N2O5R+	CONH(PEG5a)	C[N+](C)(C)CCOCCOCCOCCOCCN C([R])=O
91	 C6H12NO3R	CONH(mPEG2)	COCCOCCNC([R])=O

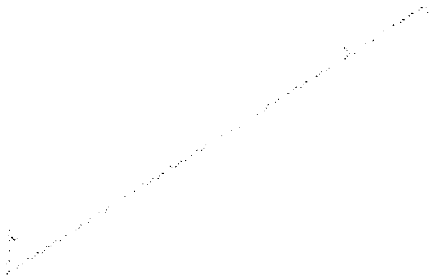
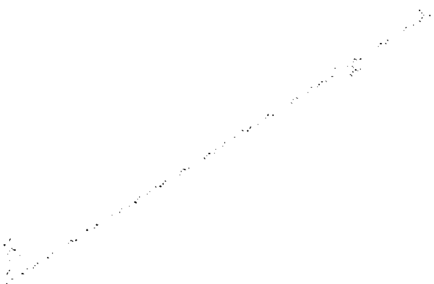
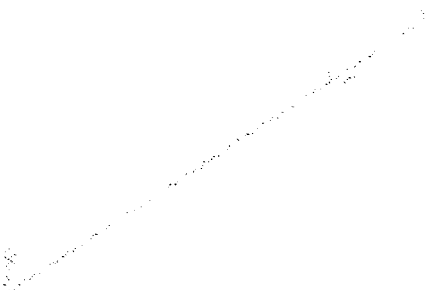
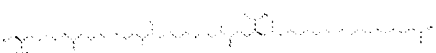
92	 C33H58N3O12R	PEG2PEG2gEC16OH	OC(CCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC([R])=O)=O)=O)C(O)=O)=O)=O OC(CCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC=O)=O)=O)C(O)=O)=O)=O
93	 C23H40N5O10R	DOTA(dPEG2)	OC(CN1CCN(CC(O)=O)CCN(CC(O)=O)CCN(CC(NCCOCCOCCC([R])=O)=O)CC1)=O
94	 C25H44N5O11R	DOTA(dPEG3)	OC(CN1CCN(CC(O)=O)CCN(CC(O)=O)CCN(CC(NCCOCCOCCOCCC([R])=O)=O)CC1)=O
95	 C19H36N2O8R2	Dab(NMeCOmPEG6)	CN(CC[C@@H](C([R])=O)N[R])C(COCCOCCOCCOCCOCCOC)=O
96	 C14H28N3O4R2+	Dab(NMecPEG2aCO), Dab(NMecPEG2a)	CN(CC[C@@H](C([R])=O)N[R])C(COCCOCC[N+](C)(C)C)=O

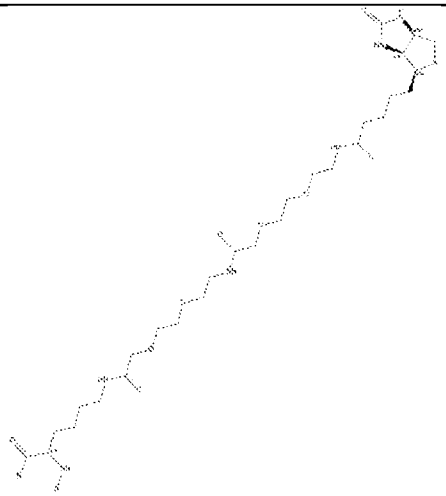
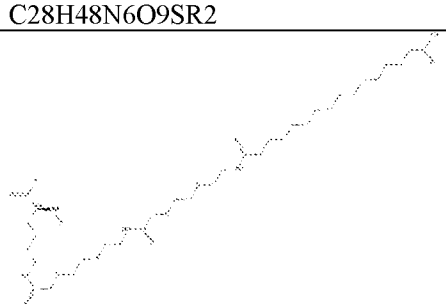
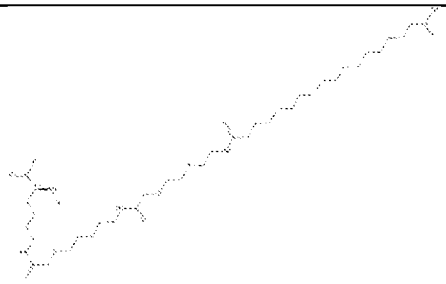
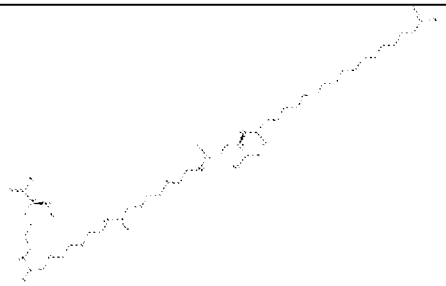
97	 C15H30N3O4R2+	Dab(NMecPEG3aCO), Dab(NMecPEG3a)	CN(CC[C@@H](C([R])=O)N[R])C(COCCOCC[N+](C)(C)C)=O
98	 C19H38N3O6R2+	Dab(NMecPEG5aCO), Dab(NMecPEG5a)	CN(CC[C@@H](C([R])=O)N[R])C(COCCOCCOCCOCCOCCOCC[N+](C)(C)C)=O
99	 C14H28N3O4R2+	E(COcPEG3a))	C[N+](C)(C)CCOCCOCCNC(CC[C@@H](C([R])=O)N[R])=O
100	 C20H30N5O2R2+	F(4TzlDMA4mPEG)	C[N+](C)(CCCCc1cn(-c2ccc(C[C@@H](C([R])=O)N[R])cc2)nn1)CCOC
101	 C32H33N2O10SR	FITCPEG4CO	Oc1cc(Oc2c(C3(c(cc4)c5cc4NC(NCCOCCOCCOCCOCCC([R])=O)=S)OC5=O)ccc(O)c2)c3cc1

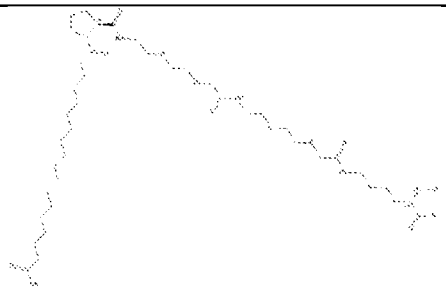
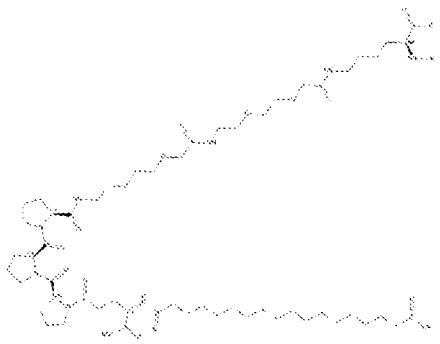
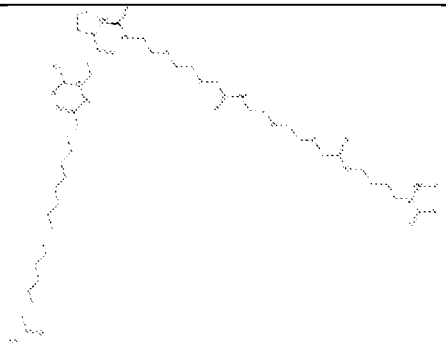
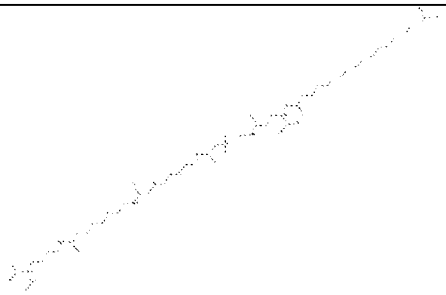
102	 C48H72N11O22R	FlagTag(dPEG2)	NCCCC[C@@H](C(NCCOCCOCCC([R])=O)=O)NC([C@H](CC(O)=O)NC([C@H](CC(O)=O)NC([C@H](CC(O)=O)NC([C@H](CC(O)=O)NC([C@H](CCCCN)NC([C@H](Cc(cc1)ccc1O)NC([C@H](CC(O)=O)N)=O)=O)=O)=O)=O)=O)=O
103	 C50H76N11O23R	FlagTag(dPEG3)	NCCCC[C@@H](C(NCCOCCOCCOCCC([R])=O)=O)NC([C@H](CC(O)=O)NC([C@H](CC(O)=O)NC([C@H](CC(O)=O)NC([C@H](CC(O)=O)NC([C@H](CCCCN)NC([C@H](Cc(cc1)ccc1O)NC([C@H](CC(O)=O)N)=O)=O)=O)=O)=O)=O)=O
104	 C27H46N3O12R	HOC10gEPEG2PEG2, HOC10gEPEG2PEG2CO	OC(CCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC([R])=O)=O)=O)C(O)=O)=O)=O OC(CCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC=O)=O)=O)C(O)=O)=O)=O
105	 C38H67N5O13R2	HOC16gEPEG2PEG2orn, HOC16OHgEPEG2PEG2orn(2)	OC(CCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(N[C@H](CCCN[R])C([R])=O)=O)=O)=O)C(O)=O)=O)=O NCCC[C@H](C=O)NC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O

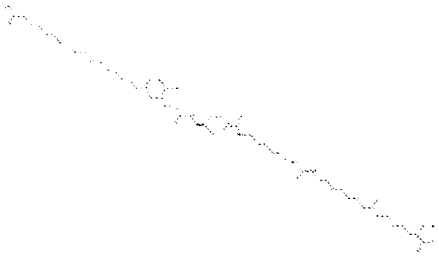
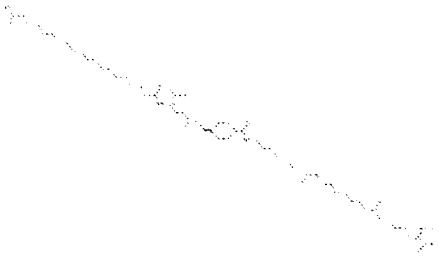
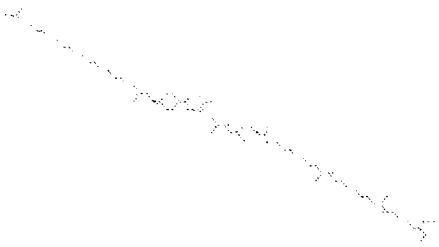
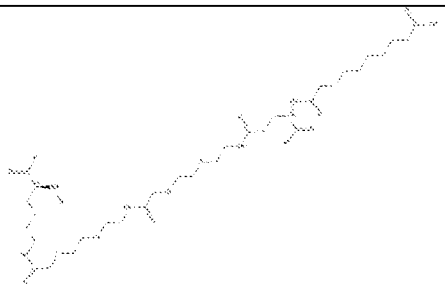
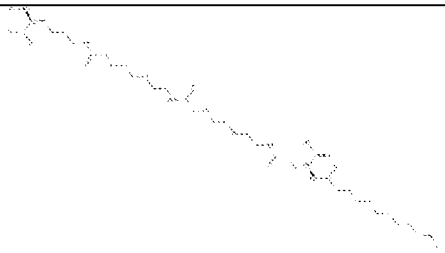
106	 C27H47N5O8SR2	K(BiotinPEG4)	O=C(CCCC[C@@H]([C@H]1N2)SC[C@@H]1NC2=O)NCCOCCOCCOCCOCCC(NCCCC[C@@H](C([R])=O)N[R])=O
107	 C38H44N4O11SR2	K(FITCPEG4)	Oc1cc(Oc2c(C3(c(cc4)c5cc4NC(NCCOCCOCCOCCOCCC(NCCCC[C@@H](C([R])=O)N[R])=O)=S)OC5=O)ccc(O)c2)c3cc1
108	 C21H42N3O6R2+	K(NMeCOPEG4N+Me3)	CN(CCCC[C@@H](C([R])=O)N[R])C(CCOCCOCCOCCOCC[N+](C)(C)C)=O
109	 C21H40N2O8R2	K(NMeCOmPEG6)	CN(CCCC[C@@H](C([R])=O)N[R])C(CCOCCOCCOCCOCCOC)=O

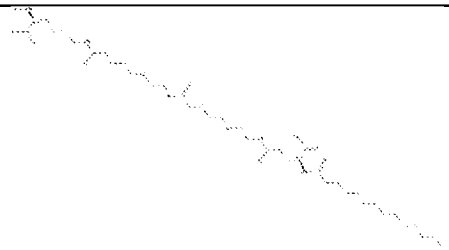
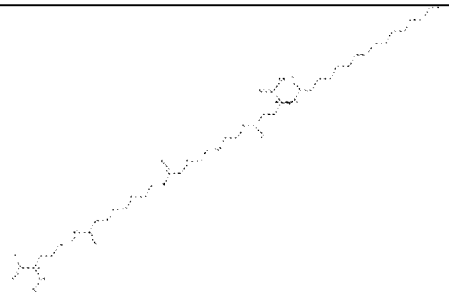
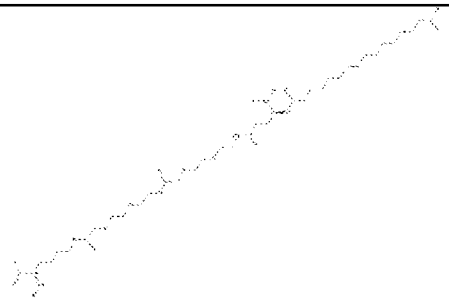
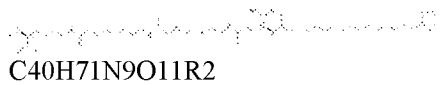
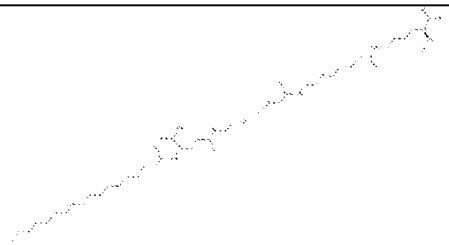
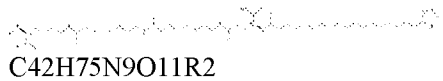
110	 C17H34N3O4R2+	K(NMePEG3a), K(NMecPEG3a), K(NMecPEG3aCO)	CN(CCCC[C@@H](C([R])=O)N[R])C(CCOCCOCC[N+](C)(C)C)=O
111	 C21H40N2O8R2	K(NmPEG6Ac)	CC(N(CCCC[C@@H](C([R])=O)N[R])CCOCCOCCOCCOCCOCCOC)=O
112	 C58H108N4O20R2	K(PEG12NMegENMeC18OH)	CN(CCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCC(NCCCC[C@@H](C([R])=O)N[R])=O)C(CC[C@@H](C(O)=O)N(C)C(CCCCCCCCCCCCCCCCC(O)=O)=O)=O
113	 C59H110N8O18R2	K(PEG12NMegENMeC18Tetrazole)	CN(CCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCC(NCCCC[C@@H](C([R])=O)N[R])=O)C(CC[C@@H](C(O)=O)N(C)C(CCCCCCCCCCCCCCCCCc1nnn[nH]1)=O)=O
114	 C56H104N4O20R2	K(PEG12gEC18OH)	OC(CCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)C(O)=O)=O)=O

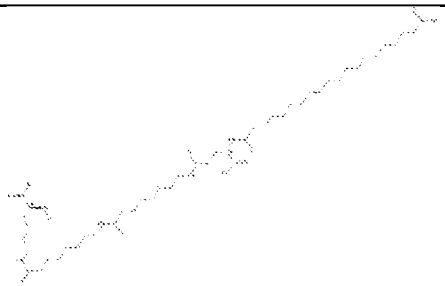
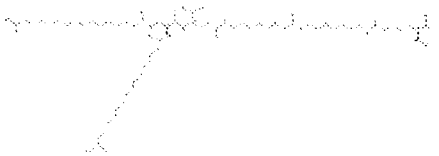
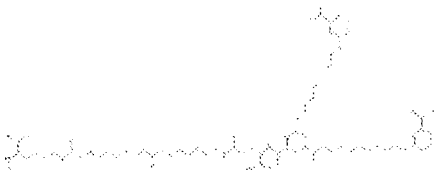
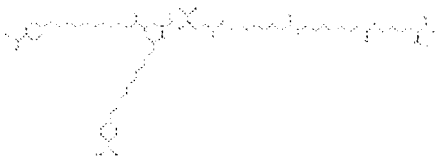
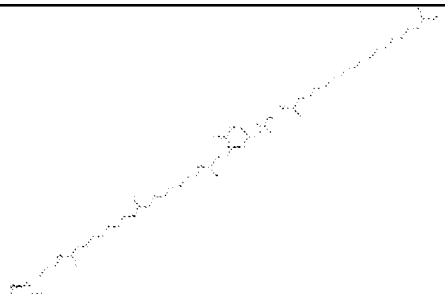
115	 $C_{58}H_{108}N_4O_{20}R_2$	K(PEG12gEC20OH)	OC(CCCCCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)C(O)=O)=O)=O
116	 $C_{75}H_{145}N_3O_{29}R_2$	K(PEG24C18OH)	OC(CCCCCCCCCCCCCCCCC(NCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O
117	 $C_{78}H_{148}N_4O_{32}R_2$	K(PEG24gEC16OH)	OC(CCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)C(O)=O)=O)=O
118	 $C_{81}H_{154}N_4O_{32}R_2$	K(PEG24gEC18OH)	C[C@](CCCCNC(CCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)(C([R])=O)N[R]
119	 $C_{44}H_{79}N_5O_{13}R_2$	K(PEG2NMePEG2NMegENMeC18OH)	CN(CCOCCOCC(N(C)CCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)C(CC[C@@H](C(O)=O)N(C)C(CCCCCCCCCCCCCCCCC(O)=O)=O)=O

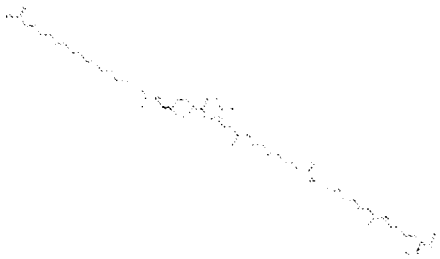
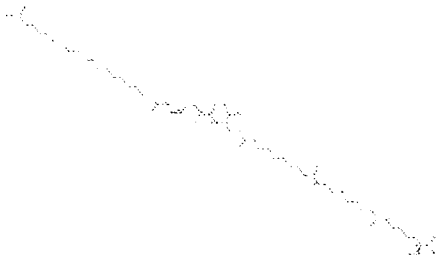
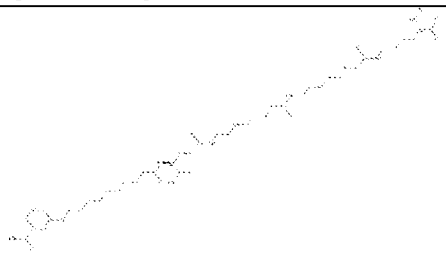
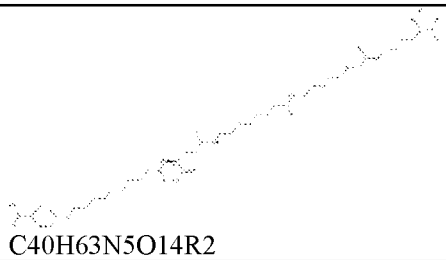
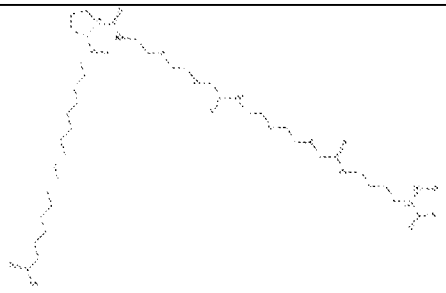
120	 C45H81N9O11R2	K(PEG2NMePEG2NMegENMeC18Tetrazole)	CN(CCOCCOCC(N(C)CCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)C(CC[C@@H](C(O)=O)N(C)C(CCCCCCCCCCCCCCCCCc1nnn[nH]1)=O)=O
121	 C28H48N6O9SR2	K(PEG2PEG2Biotin)	O=C(CCCC[C@@H]([C@H]1N2)SC[C@@H]1NC2=O)NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O
122	 C34H62N4O10R2	K(PEG2PEG2C16OH)	OC(CCCCCCCCCCCCCCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)=O
123	 C36H66N4O10R2	K(PEG2PEG2C18OH)	OC(CCCCCCCCCCCCCCCCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)=O
124	 C41H73N5O13R2	K(PEG2PEG2DgEC18OH)	OC(CCCCCCCCCCCCCCCCC(N[C@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)C(O)=O)=O)=O

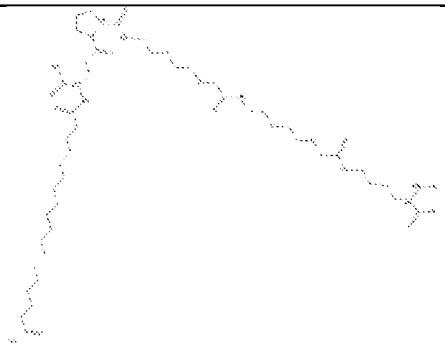
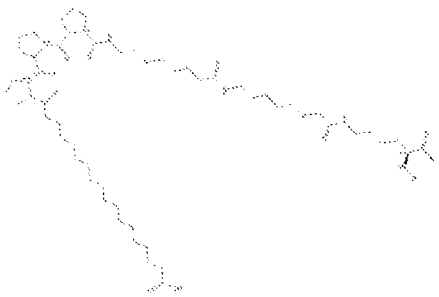
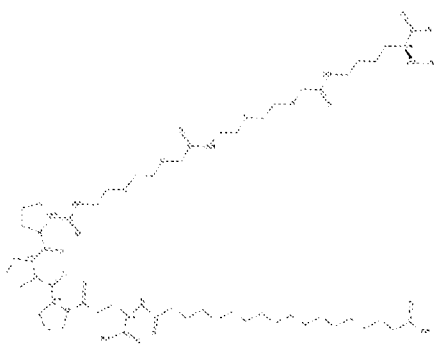
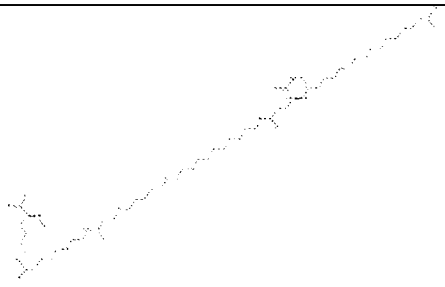
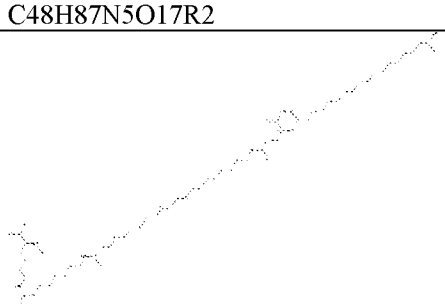
125	 C41H73N5O11R2	K(PEG2PEG2PC18OH)	OC(CCCCCCCCCCCCCCCCC(N(CCC1)[C@@H]1C(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)=O)=O
126	 C51H87N7O13R2	K(PEG2PEG2PPPC18OH)	OC(CCCCCCCCCCCCCCCCC(N(CCC1)[C@@H]1C(N(CCC1)[C@@H]1C(N(CCC1)[C@@H]1C(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)=O)=O)=O)=O
127	 C56H94N8O16R2	K(PEG2PEG2PPPgEC18OH)	OC(CCCCCCCCCCCCCCCCC(N[C@@H](CCC(N(CCC1)[C@@H]1C(N(CCC1)[C@@H]1C(N(CCC1)[C@@H]1C(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)=O)=O)=O)C(O)=O)=O)=O
128	 C46H80N6O14R2	K(PEG2PEG2PgEC18OH)	OC(CCCCCCCCCCCCCCCCC(N[C@@H](CCC(N(CCC1)[C@@H]1C(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)=O)C(O)=O)=O)=O
129	 C47H86N7O14R2+	K(PEG2PEG2Sp6gEC18OH)	C[N+](C)(CCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)CC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O

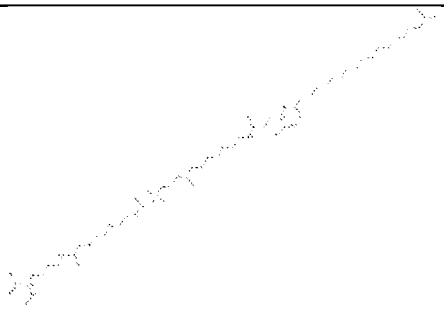
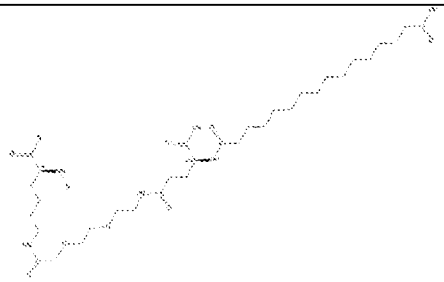
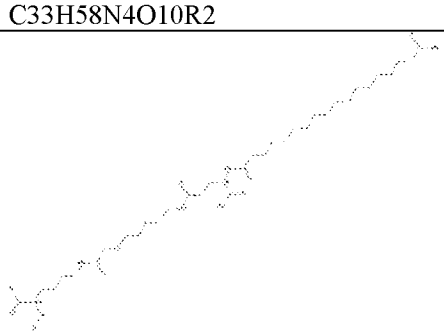
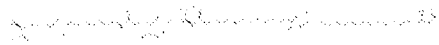
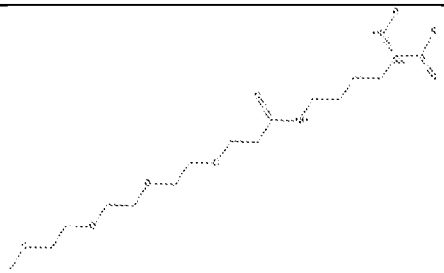
130	 C49H86N6O14R2	K(PEG2PEG2TrxgEC18OH)	OC(CCCCCCCCCCCCCCCCC(N[C@@H](CCC(NC[C@H](CC1)CC[C@@H]1C(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)=O)C(O)=O)=O)=O
131	 C51H90N6O14R2	K(PEG2PEG2TrxgEC20OH)	OC(CCCCCCCCCCCCCCCCCCC(N[C@@H](CCC(NC[C@H](CC1)CC[C@@H]1C(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)=O)C(O)=O)=O)=O
132	 C59H103N7O15R2	K(PEG2PEG2TrxgETrxC20OH)	OC(CCCCCCCCCCCCCCCCCCC(NC[C@H](CC1)CC[C@@H]1C(N[C@@H](CCC(NC[C@H](CC1)CC[C@H]1C(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)=O)C(O)=O)=O)=O
133	 C33H57N5O13R2	K(PEG2PEG2gEC10OH)	OC(CCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)C(O)=O)=O)=O
134	 C35H63N5O11R2	K(PEG2PEG2gEC12)	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)C(O)=O)=O

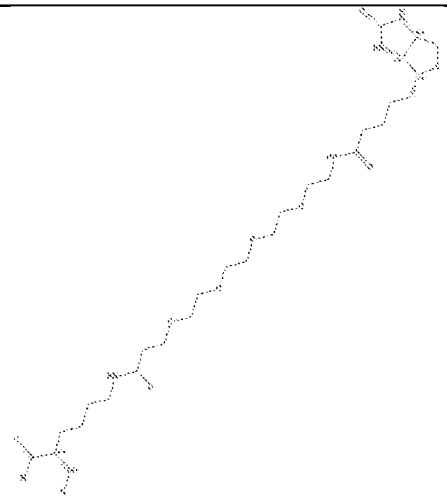
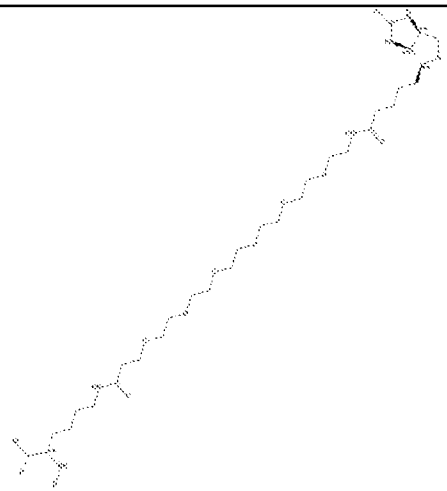
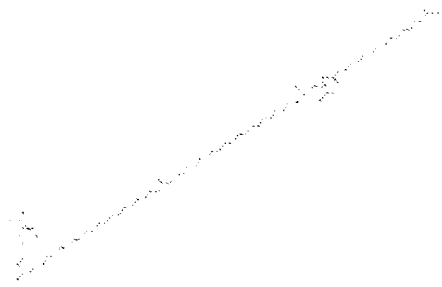
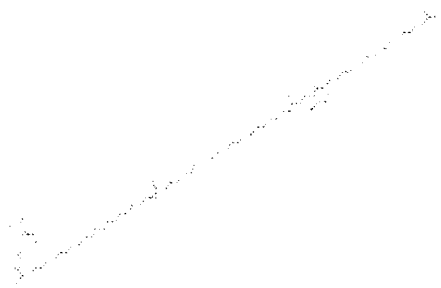
135	 C37H67N5O11R2	K(PEG2PEG2gEC14) NMeK(PEG2PEG2gEC14)	CCCCCCCCCCCCC(N[C@@H](CC(NCCOCCOCC(NCCOCCOCC(NCCC[C@@H](C([R])=O)N[R])=O)=O)=O)C(O)=O)=O
136	 C39H71N5O11R2	K(PEG2PEG2gEC16)	CCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)C(O)=O)=O
137	 C39H69N5O13R2	K(PEG2PEG2gEC16OH)	OC(CCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)C(O)=O)=O)=O
138	 C40H71N9O11R2	K(PEG2PEG2gEC16tetrazole)	OC([C@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)NC(CCCCCCCCCCCCCCc1nnn[nH]1)=O)=O
139	 C41H75N5O11R2	K(PEG2PEG2gEC18)	CCCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)C(O)=O)=O
140	 C42H75N9O11R2	K(PEG2PEG2gEC18tetrazole)	OC([C@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)NC(CCCCCCCCCCCCCCCCc1nnn[nH]1)=O)=O

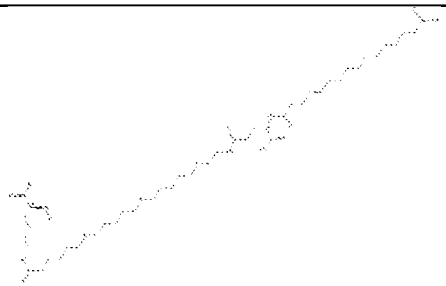
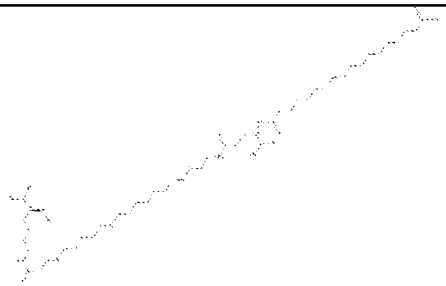
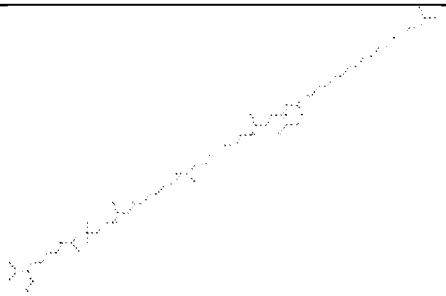
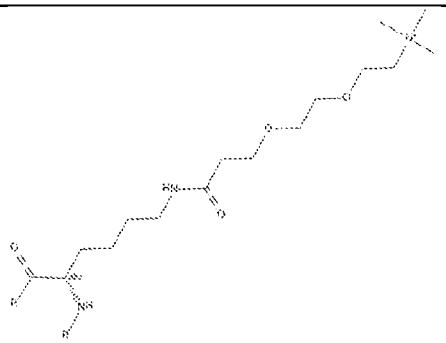
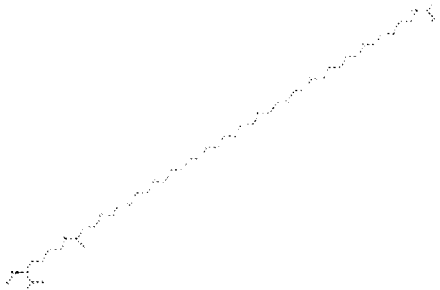
141	 C43H77N5O13R2	K(PEG2PEG2gEC20OH)	OC(CCCCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)C(O)=O)=O)=O
142	 C58H103N7O17R2	KPEG2PEG2gEDap(C16OH)2, K(PEG2PEG2gEDAP(C16OH)2)	OC(CCCCCCCCCCCCCCC(NC[C@@H](C(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)C(O)=O)=O)NC(CCCCCCCCCCCCCCC(O)=O)=O)=O)=O
143	 C60H91N7O19R2	K(PEG2PEG2gEDAP(mXOH)2) KPEG2PEG2gEDAP(mXOH)2	OC([C@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)NC([C@H](CNC(CCCCCCCCCCOc1cc(C(O)=O)ccc1)=O)NC(CCCCCCCCCOc1cc(C(O)=O)ccc1)=O)=O)=O
144	 C60H91N7O19R2	K(PEG2PEG2gEDAP(pXOH)2) KPEG2PEG2gEDAP(pXOH)2	OC([C@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)NC([C@H](CNC(CCCCCCCCCCOc(cc1)ccc1C(O)=O)=O)NC(CCCCCCCCCOc(cc1)ccc1C(O)=O)=O)=O)=O
145	 C47H86N7O14R2+	K(PEG2PEG2gESp6C18OH)	C[N+](C)(CCNC(CCCCCCCCCCCCCCCCCC(O)=O)=O)CC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)C(O)=O)=O

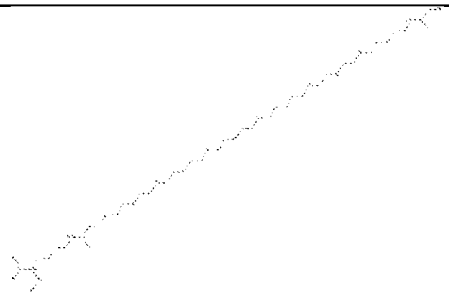
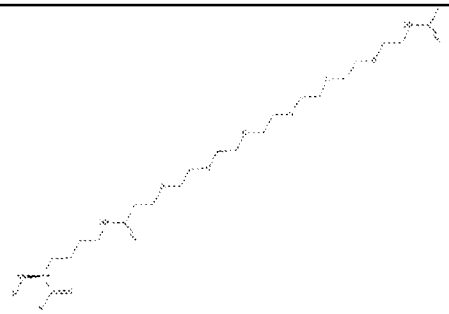
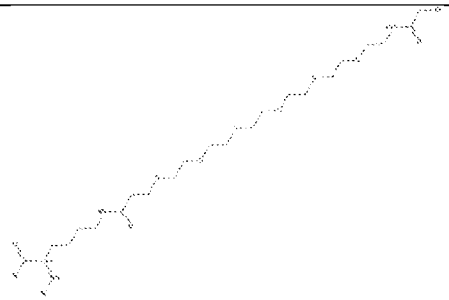
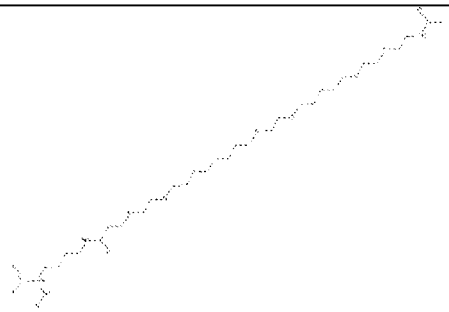
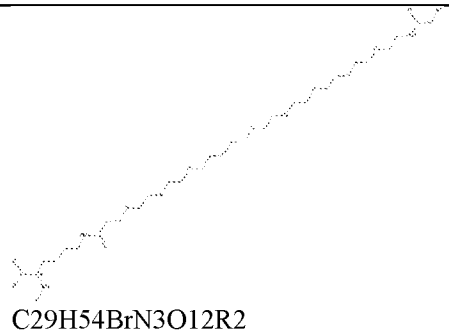
146	 C49H86N6O14R2	K(PEG2PEG2gETrxC18OH)	OC(CCCCCCCCCCCCCCCC(NC[C@H](CC1)CC[C@@H]1C(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)C(O)=O)=O)=O)=O
147	 C51H90N6O14R2	K(PEG2PEG2gETrxC20OH)	OC(CCCCCCCCCCCCCCCCCCC(NC[C@H](CC1)CC[C@@H]1C(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)C(O)=O)=O)=O)=O
148	 C40H63N5O14R2	K(PEG2PEG2gEmXOH)	OC([C@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)NC(CCCCCCCCCOc1cc(C(O)=O)ccc1)=O)=O
149	 C40H63N5O14R2	K(PEG2PEG2gEpXOH)	OC([C@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)NC(CCCCCCCCCOc(cc1)ccc1C(O)=O)=O)=O
150	 C41H73N5O11R2	K(PEG2PEG2pC18OH)	OC(CCCCCCCCCCCCCCCC(N(CCC1)[C@H]1C(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)=O)=O

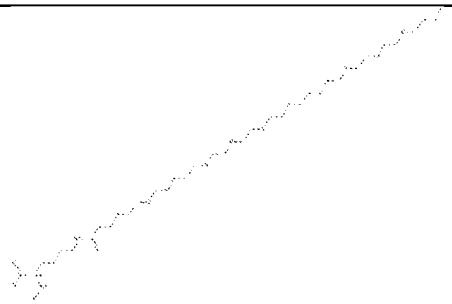
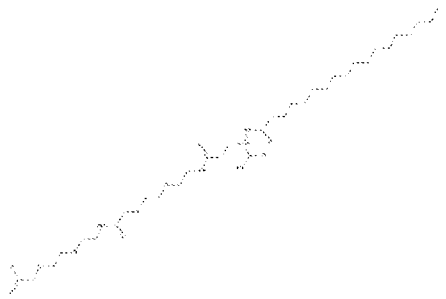
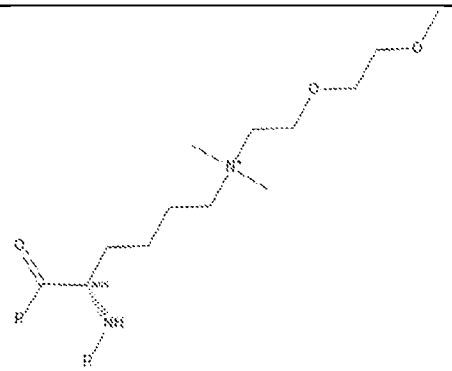
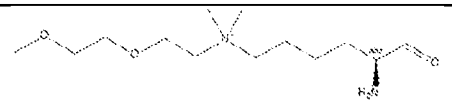
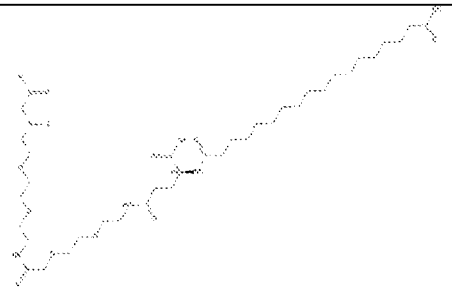
151	 C46H80N6O14R2	K(PEG2PEG2pgEC18OH)	OC(CCCCCCCCCCCCCCCCC(N[C@@H](CCC(N(CCC1)[C@H]1C(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)=O)C(O)=O)=O)=O
152	 C51H87N7O13R2	K(PEG2PEG2pppC18OH)	OC(CCCCCCCCCCCCCCCCC(N(CCC1)[C@H]1C(N(CCC1)[C@H]1C(N(CCC1)[C@H]1C(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)=O)=O)=O
153	 C56H94N8O16R2	K(PEG2PEG2ppgEC18OH)	OC(CCCCCCCCCCCCCCCCC(N[C@@H](CCC(N(CCC1)[C@H]1C(N(CCC1)[C@H]1C(N(CCC1)[C@H]1C(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)=O)=O)=O)C(O)=O)=O)=O
154	 C48H87N5O17R2	K(PEG2PEG6gEC16OH)	OC(CCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCCOCCOCCOCCOCCOCCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)C(O)=O)=O)=O
155	 C50H91N5O17R2	K(PEG2PEG6gEC18OH)	OC(CCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCCOCCOCCOCCOCCOCCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)C(O)=O)=O)=O

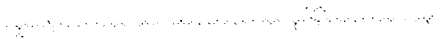
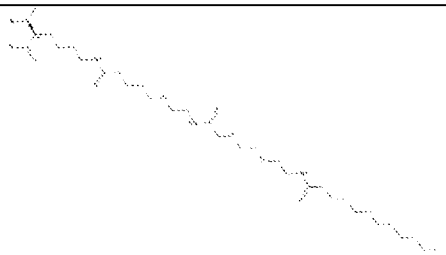
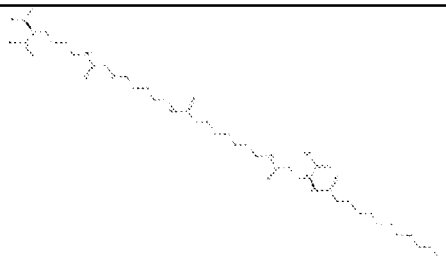
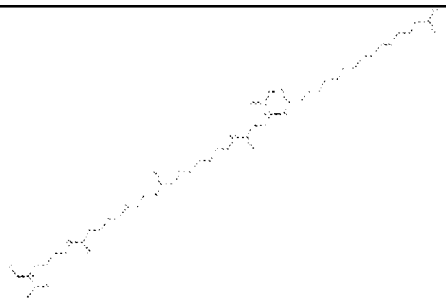
156	 C47H86N7O14R2+	K(PEG2Sp6PEG2gEC18OH)	C[N+](C)(CCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)CC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O
157	 C33H58N4O10R2	K(PEG2gEC16OH)	OC(CCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)C(O)=O)=O)=O
158	 C35H62N4O10R2	K(PEG2gEC18OH)	OC(CCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)C(O)=O)=O)=O
159	 C49H85N11O17SR2	K(PEG2gEgEPEG24SBC16Tetrazole)	OC([C@H](CCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)NC(CC[C@@H](C(O)=O)NC(COCCOCCNC(CCCS(NC(CCCCCCCCCCCCCCCCc1nnn[nH]1)=O)(=O)=O)=O)=O)=O)=O
160	 C16H30N2O6R2	K(PEG3OMe)K(mPEG4)	COCCOCCOCCOCCC(NCCCC[C@@H](C([R])=O)N[R])=O

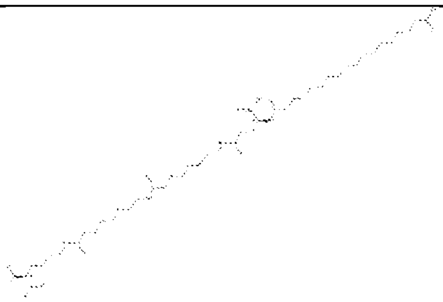
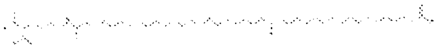
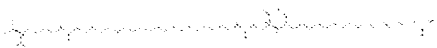
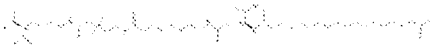
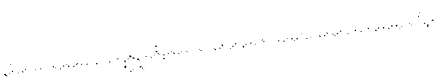
161	 C27H47N5O8SR2	K(PEG4Biotina), K(PEG4Biotin)	O=C(CCCC[C@H]([C@@H]1N2)SC[C@H]1NC2=O)NCCOCCOCCOCCOCCC(NCCCC[C@@H](C([R])=O)N[R])=O
162	 C31H55N5O10SR2	K(PEG6Biotin)	O=C(CCCC[C@@H]([C@H]1N2)SC[C@@H]1NC2=O)NCCOCCOCCOCCOCCOCCC(NCCCC[C@@H](C([R])=O)N[R])=O
163	 C57H105N5O21R2	K(PEG6PEG6gEC16OH)	OC(CCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCCOCCOCCOCCC(NCCOCCOCCOCCOCCOCCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)C(O)=O)=O)=O
164	 C59H109N5O21R2	K(PEG6PEG6gEC18OH)	OC(CCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCCOCCOCCOCCC(NCCOCCOCCOCCOCCOCCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)=O)C(O)=O)=O)=O

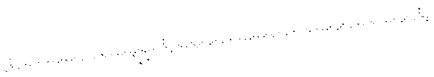
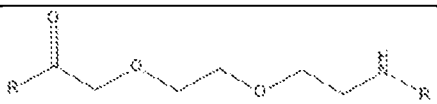
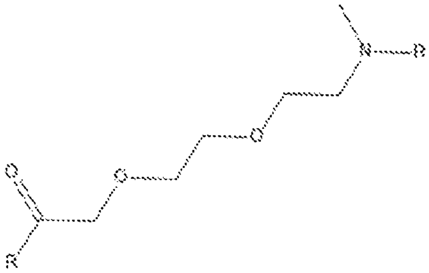
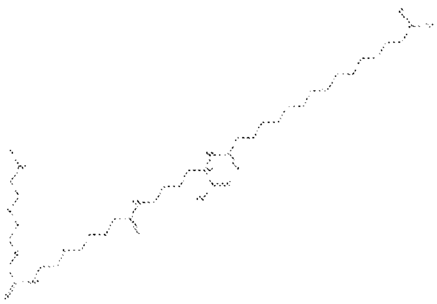
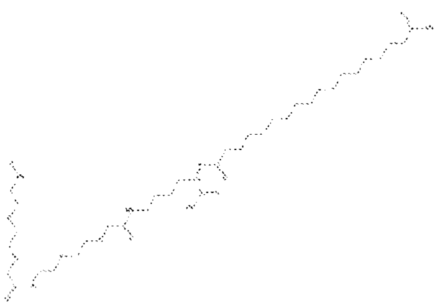
165	 C42H76N4O14R2	K(PEG6gEC16OH)	OC(CCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCCOCCOCCOCCOCCOCCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)C(O)=O)=O)=O
166	 C44H80N4O14R2	K(PEG6gEC18OH)	OC(CCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCCOCCOCCOCCOCCOCCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O)C(O)=O)=O)=O
167	 C47H86N7O14R2+	K(Sp6PEG2PEG2gEC18OH)	C[N+](C)(CCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)CC(NCCCC[C@@H](C([R])=O)N[R])=O
168	 C16H32N3O4R2+	K(cPEG3a), K(cPEG3aCO)	C[N+](C)(C)CCOCCOCCC(NCCCC[C@@H](C([R])=O)N[R])=O
169	 C35H67N3O15R2	K(dPEG12Ac)	CC(NCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCC(NCCC[C@@H](C([R])=O)N[R])=O)=O

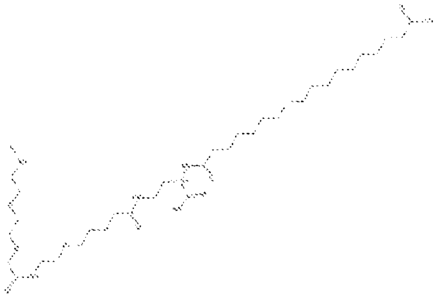
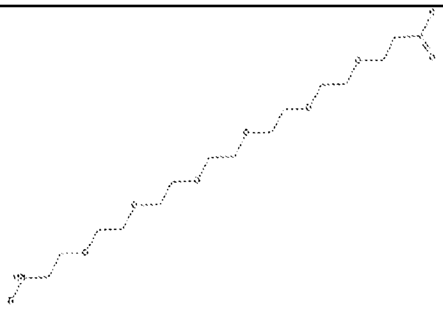
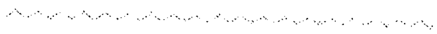
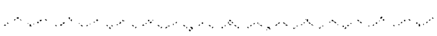
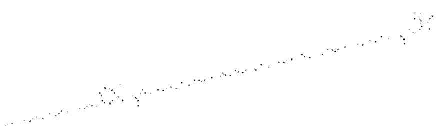
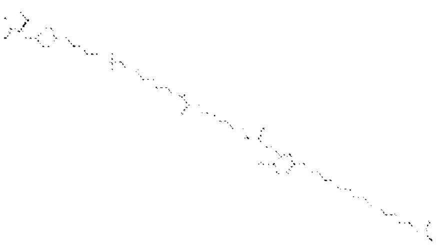
170	 C35H66BrN3O15R2	K(dPEG12AcBr)	O=C(CCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCNC(CBr)=O)NCCCC[C@@H](C([R])=O)N[R]
171	 C23H43N3O9R2	K(dPEG6Ac)	CC(NCCOCCOCCOCCOCCOCCOCCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O
172	 C23H42BrN3O9R2	K(dPEG6AcBr)	O=C(CCOCCOCCOCCOCCOCCOCCNC(CBr)=O)NCCCC[C@@H](C([R])=O)N[R]
173	 C29H55N3O12R2	K(dPEG9Ac)	CC(NCCOCCOCCOCCOCCOCCOCCOCCOCCC(NCCCC[C@@H](C([R])=O)N[R])=O)=O
174	 C29H54BrN3O12R2	K(dPEG9AcBr)	O=C(CCOCCOCCOCCOCCOCCOCCOCCOCCOCCNC(CBr)=O)NCCCC[C@@H](C([R])=O)N[R]

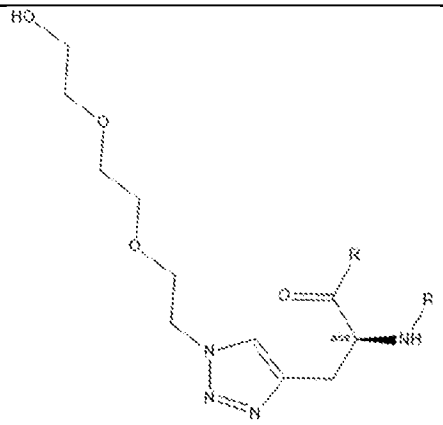
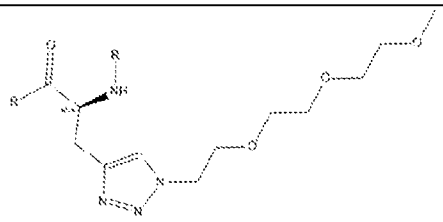
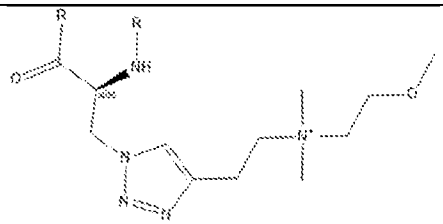
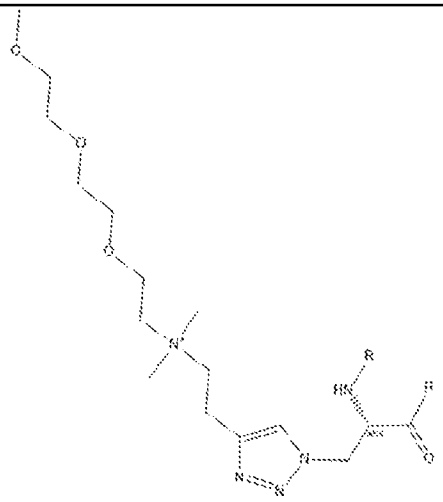
175	 C32H62N2O14R2	K(mPEG12)	COCCOCCOCCO CCOCCOCCOCC OCCOCCOCCOC COCCC(NCCCC[C@@H](C([R])=O)N[R])=O
176	 C35H64N3O10R	PEG2PEG2gEC18	CCCCCCCCCCCC CCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC([R])=O)=O)=O)C(O)=O)=O CCCCCCCCCCCC CCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC=O)=O)=O)C(O)=O)=O
177	 C13H27N2O3R2+	Lys(N+Me2mPEG3)	C[N+](C)(CCCC[C@@H](C([R])=O)N[R])CCOCCOC
178	 C13H29N2O3+	LysQuatMe2mPEG3, Lys(N+(Me)2mPEG3)	C[N+](C)(CCCC[C@@H](C=O)N)CCOCCOC
180	 C37H66N4O12R2	N(PEG2PEG2gEC18OH)Gly	OC(CCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCCN(CC([R])=O)[R])=O)=O)C(O)=O)=O)=O

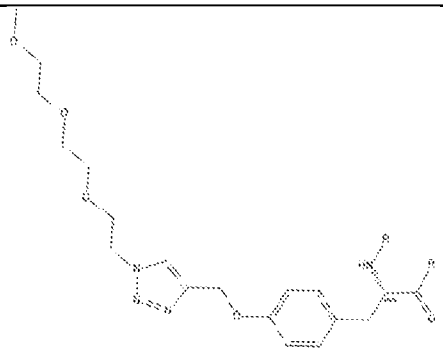
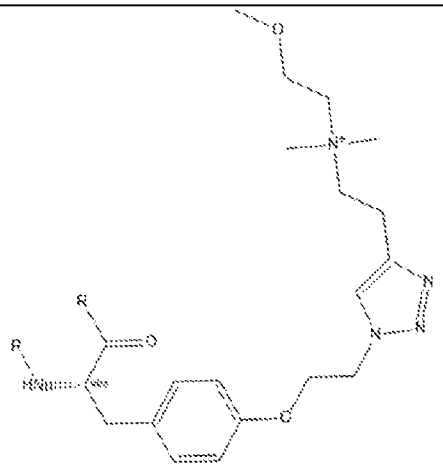
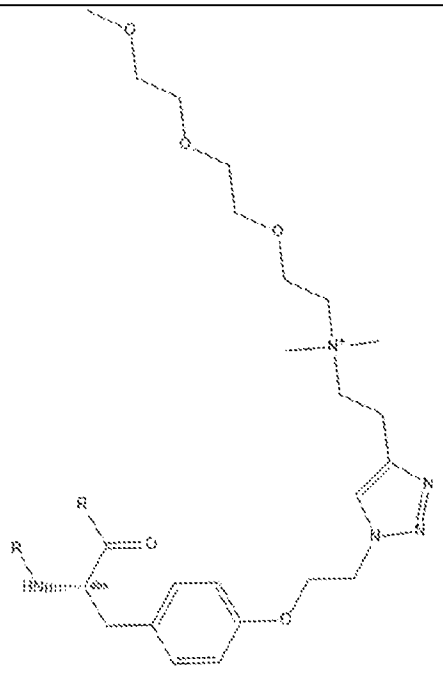
181	 C52H99N3O17R2	NMeK(PEG12C18OH)	CN([C@@H](CCCCNC(CCOCCOCCOCCOCCCOCCOCCCOCCCOCCCOCCCNC(CCCCCCCCCCCCCCCC(O)=O)=O)=O)C([R])=O)[R]
182	 C57H106N4O20R2	NMeK(PEG12gEC18OH)	CN([C@@H](CCCCNC(CCOCCOCCOCCOCCCOCCOCCCOCCCOCCCOCCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)C([R])=O)[R]
183	 C45H81N5O13R2	NMeK(PEG2NMePEG2NMegENMeC18OH)	CN(CCOCCOCC(N(C)CCOCCOCC(NCCCC[C@@H](C([R])=O)N(C)[R])=O)=O)C(CC[C@@H](C(O)=O)N(C)C(CCCCCCCCCCCCCCCC(O)=O)=O)=O
184	 C31H58N4O8R2	NMeK(PEG2PEG2C12)	CCCCCCCCCCCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N(C)[R])=O)=O)=O
185	 C36H65N5O11R2	NMeK(PEG2PEG2gEC12)	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C([R])=O)N(C)[R])=O)=O)=O)C(O)=O)=O
186	 C40H71N5O13R2	NMeK(PEG2PEG2gEC16OH)	CN([C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)C([R])=O)[R]

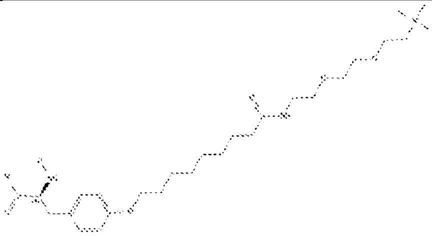
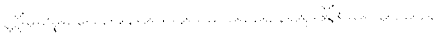
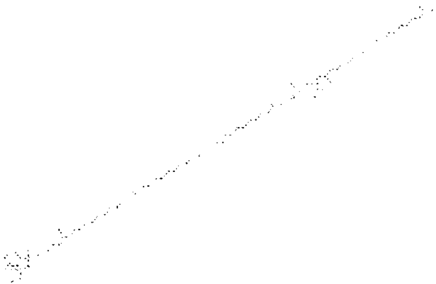
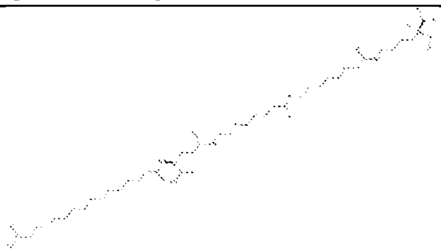
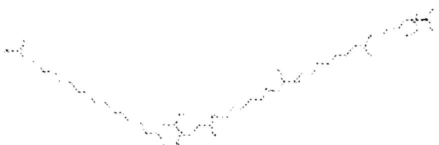
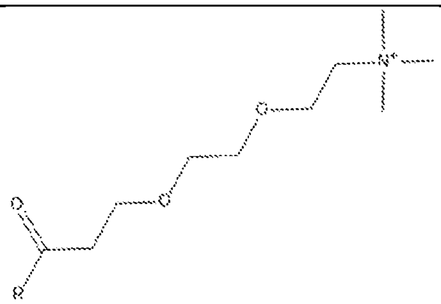
187	 C42H75N5O13R2	NMeK(PEG2PEG2gEC18OH)	CN([C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)C([R])=O)[R]
188	 C44H79N5O13R2	NMeK(PEG2PEG2gEC20OH)	CN([C@@H](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)C([R])=O)[R]
189	 C39H74N3O11R3	NMeK(PEG6C18OH)	CN([R])[R](CCCCNC(CCOCCOCCOCCOCCOCCOCCNC(CCCCCCCCCCCCCCCCC(O)=O)=O)=O)C([R])=O
190	 C45H82N4O14R2	NMeK(PEG6gEC18OH)	CN([C@@H](CCCCNC(CCOCCOCCOCCOCCOCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)C([R])=O)[R]
191	 C42H77N6O11R2+	NMeK(SP6PEG2gEC18OH)	CN([C@@H](CCCCNC(C[N+](C)(C)CCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)C([R])=O)[R]
192	 C50H94N3O19R	PEG12gEC18OH	OC(CCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCC(N[R])=O)=O)C(O)=O)=O)=O

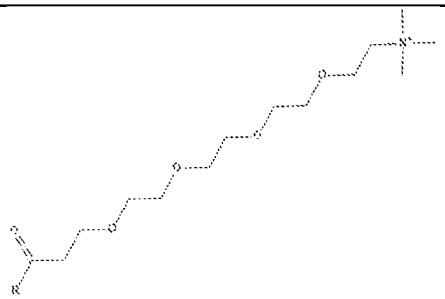
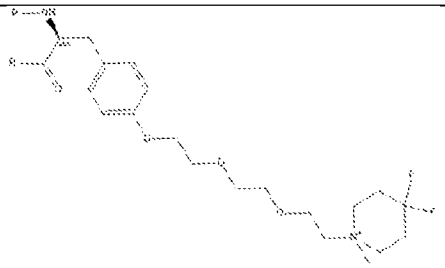
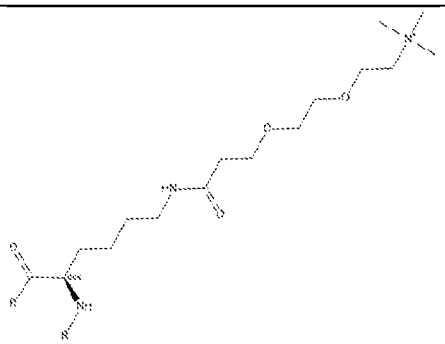
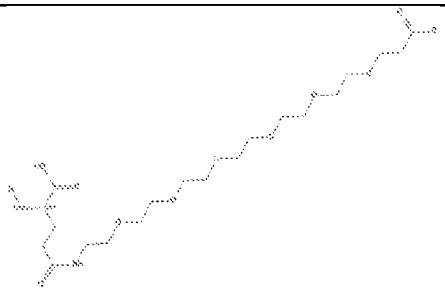
193	 C52H98N3O19R	PEG12gEC20OH	OC(CCCCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCC(N[R])=O)=O)C(O)=O)=O)=O
194	 C6H11NO3R2	PEG2, PEG2(2)	O=C(COCCOCCN[R])[R]
195	 C7H13NO3R2	PEG2(NMe(2)) PEG2NMe	CN(CCOCCOCC([R])=O)[R]
196	 C34H63N4O11R	PEG2PEG2eKC16OH	OC(CCCCCCCCCCCCCCC(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCN[R])=O)=O)C(O)=O)=O)=O NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCC(O)=O)=O)=O)=O
197	 C36H67N4O11R	PEG2PEG2eKC18OH	OC(CCCCCCCCCCCCCCCCC(N[C@@H](CCCCNC(COCCOCCNC(COCCOCCN[R])=O)=O)C(O)=O)=O)=O NCCOCCOCC(NCCOCCOCC(NCCCC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O

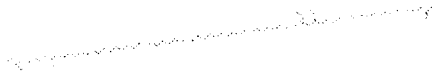
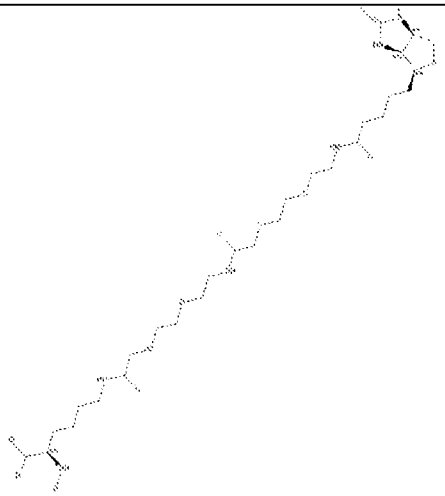
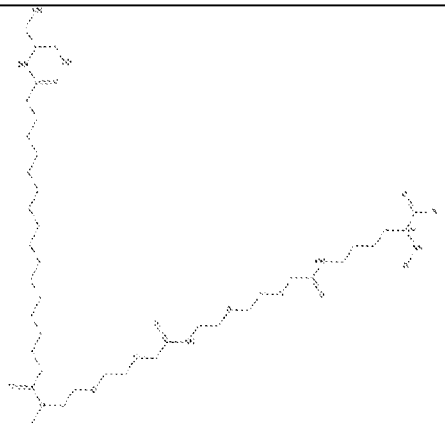
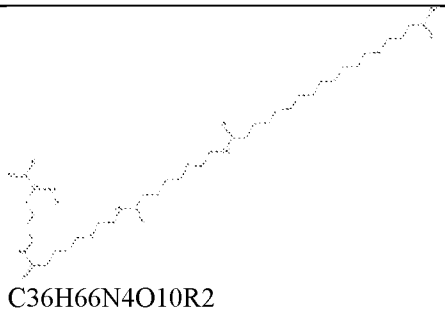
198	 C34H63N4O11R	PEG2PEG2gDabC18OH	OC(CCCCCCCCCCCCCCCCCC(N[C@@H](CCNC(COCCOCCNC(COCCOCCN[R])=O)=O)C(O)=O)=O)=O NCCOCCOCC(NCCOCCOCC(NCC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O
199	 C37H67N4O12R	PEG2PEG2gEC20OH	OC(CCCCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(N[R])=O)=O)=O)C(O)=O)=O)=O
200	 C15H29NO7R2	PEG6	O=C(CCOCCOCCOCCOCCOCCOCCN[R])[R]
201	 C26H52O13	Peg12-Ome Peg12OMe, Polyethylene12-O-Methyl Peg12-O methyl	COCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCC=O
202	 C23H48O11	Peg12OMe, Peg12-Omethyl	CCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOC
203	 C54H100N4O18R2	Pip(PEG12gEC16), Spiral_Pip_PEG12_IsoGlu_Palm	CCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCC(N(CC1)CCC1(C([R])=O)N[R])=O)=O)C(O)=O)=O
204	 C51H88N5O13R2+	TMAPF(PEG2PEG2gEC18OH)	C[N+](C)(CCCCCOc1ccc(C[C@@H](C([R])=O)N[R])cc1)CCOCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O

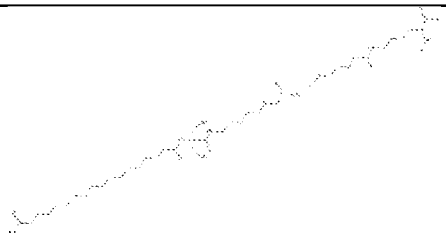
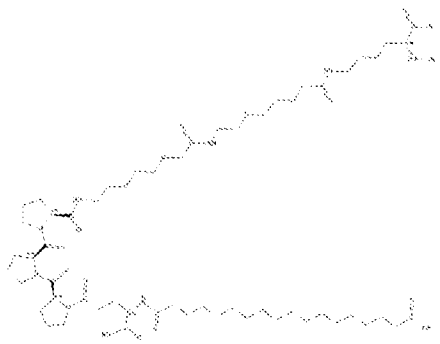
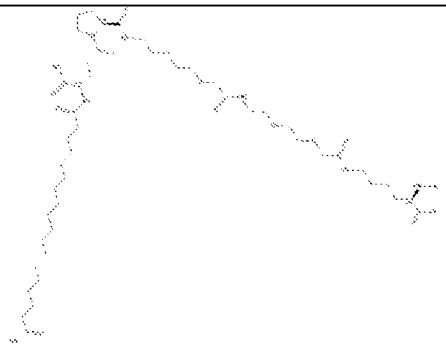
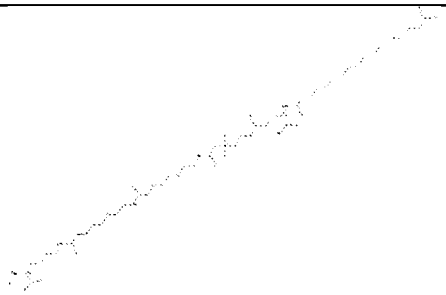
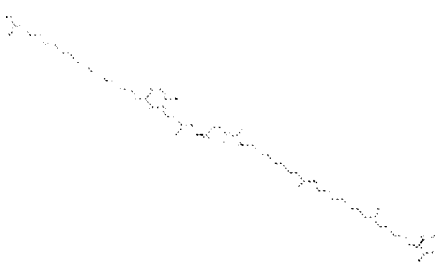
205	 C11H18N4O4R2	Tzl(PEG3OH)	OCCOCCOCCn1nnc(C[C@@H](C([R])=O)N[R])c1
206	 C12H20N4O4R2	Tzl(mPEG3)	COCCOCCOCCn1nnc(C[C@@H](C([R])=O)N[R])c1
207	 C12H22N5O2R2+	TzlChmPEG	C[N+](C)(CCc1cn(C[C@@H](C([R])=O)N[R])nn1)CCOC
208	 C16H30N5O4R2+	TzlChmPEG3	C[N+](C)(CCc1cn(C[C@@H](C([R])=O)N[R])nn1)CCOCCOCCOC

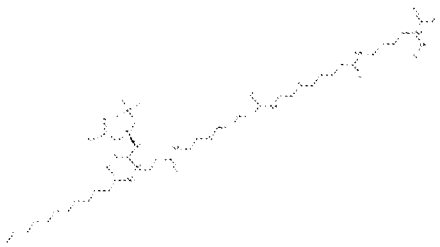
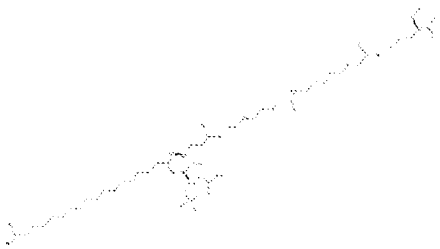
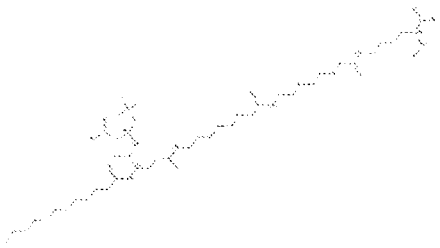
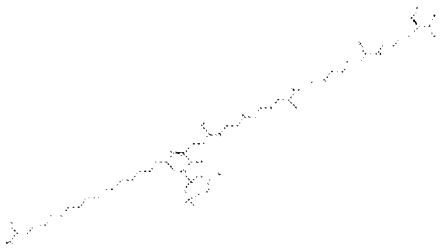
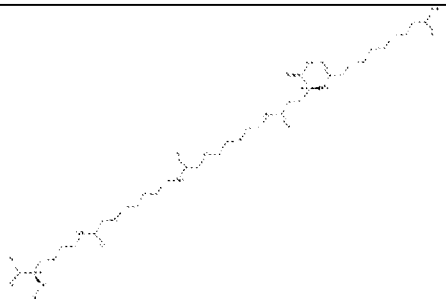
209	 C19H26N4O5R2	Y(OTzl(mPEG3))	COCCOCCOCCn1nnc(COc2ccc(C[C@@H](C([R])=O)N[R])cc2)c1
210	 C20H30N5O3R2+	Y(OTzlChmPEG)	C[N+](C)(CCc1cn(CCOc2ccc(C[C@@H](C([R])=O)N[R])cc2)nn1)CCOC
211	 C24H38N5O5R2+	Y(OTzlChmPEG3)	C[N+](C)(CCc1cn(CCOc2ccc(C[C@@H](C([R])=O)N[R])cc2)nn1)CCOCCOCCOC

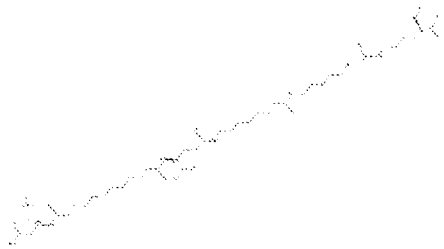
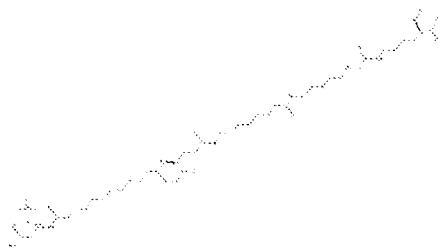
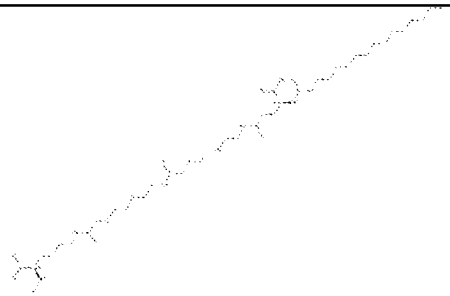
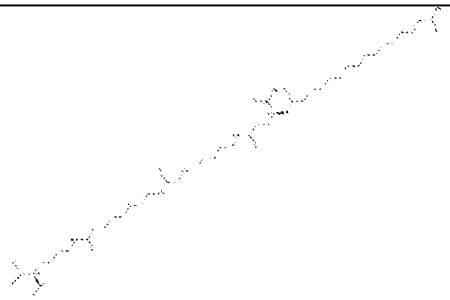
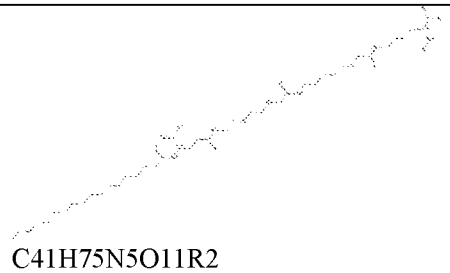
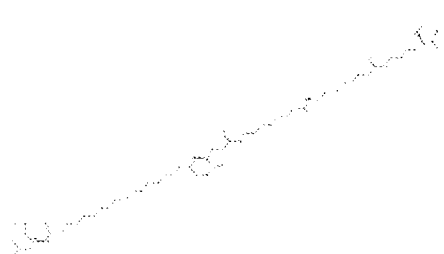
212	 C27H46N3O5R2+	YC8CO(NHPEG3a)	C[N+](C)(C)CCOCCOCCNC(CCCCCCCCOc1ccc(C[C@@H](C([R])=O)N[R])cc1)=O
213	 C55H104N4O18R2	aMeK(PEG12gEC16)	CCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCC(NCCCC[C@@](C)(C([R])=O)N[R])=O)=O)C(O)=O)=O
214	 C62H116N6O22	aMeK(PEG12gEC18OH)	C[C@@H](C=O)NC([C@](C)(CCCCNC(CCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)NC(CN)=O)=O
215	 C40H71N5O13R2	aMeK(PEG2PEG2gEC16OH)	C[C@](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)(C([R])=O)N[R]
216	 C42H75N5O13R2	aMeK(PEG2PEG2gEC18OH)	C[C@](CCCCNC(COCCOCCNC(COCCOCCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCC(O)=O)=O)=O)=O)=O)(C([R])=O)N[R]
217	 C10H21NO3R+	cPEG3aCO, cPEG3a	C[N+](C)(C)CCOCCOCCC([R])=O

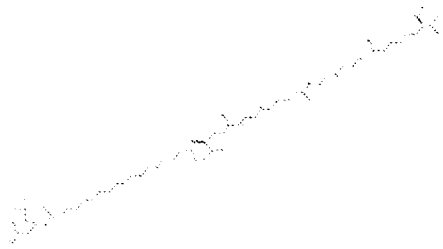
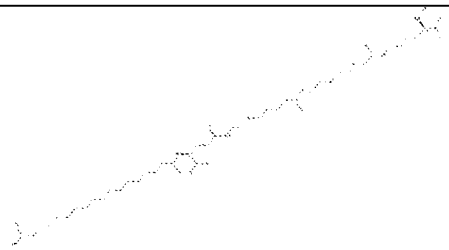
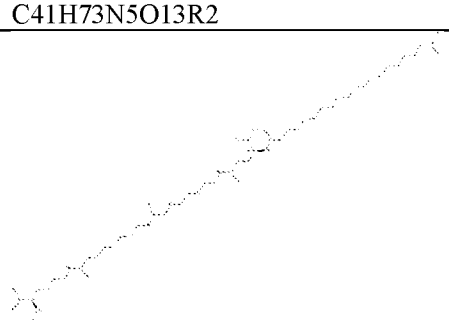
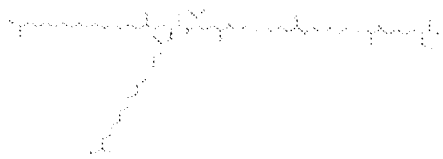
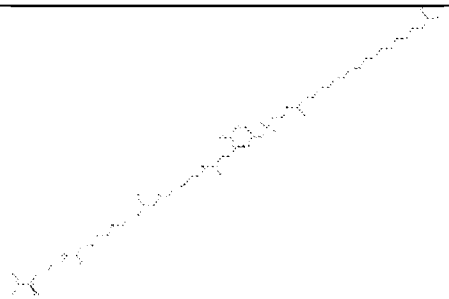
218	 C14H29NO5R+	cPEG5aCO, cPEG5a	C[N+](C)(C)CCOCCOCCOCCOCCC([R])=O
219	 C21H31F2N2O4R2+	dFPPEG3F	C[N+](CCOCCOCCOc1ccc(C[C@@H](C([R])=O)N[R])cc1)(CC1)CCC1(F)F
220	 C16H32N3O4R2+	dK(cPEG3a), k(cPEG3a), dK(cPEG3aCO), k(cPEG3aCO)	C[N+](C)(C)CCOCCOCCC(NCCCC[C@H](C([R])=O)N[R])=O
221	 C20H36N2O10R2	gEPEG6	OC([C@H](CCC(NCCOCCOCCOCCOCCOCCOCCC([R])=O)=O)N[R])=O
222	 C56H104N4O20R2	k(PEG12gEC18OH), dK(PEG12gEC18OH)	OC(CCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)C(O)=O)=O)=O

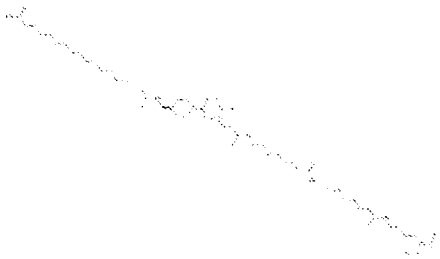
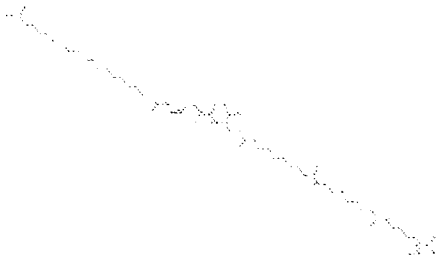
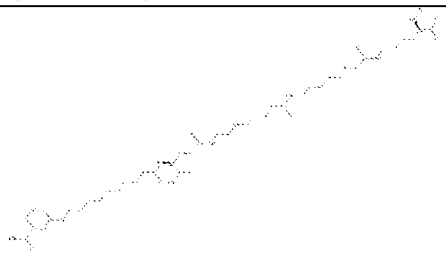
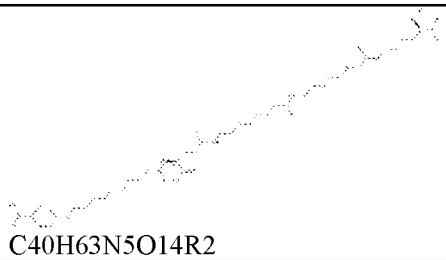
223	 C58H108N4O20R2	k(PEG12gEC20OH) dK(PEG12gEC20OH)	OC(CCCCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCOCCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)C(O)=O)=O)=O
224	 C28H48N6O9SR2	dK(PEG2PEG2Biotin), k(PEG2PEG2Biotin)	O=C(CCCC[C@@H]([C@H]1N2)SC[C@@H]1NC2=O)NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C([R])=O)N[R])=O)=O
225	 C40H75N5O11R2	k(PEG2PEG2C18GolB), dK(PEG2PEG2C18GolB)	CN(CCOCCOCC(NCCOCCOCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)C(CCCCCCCCCCCCCCCCC(NC(CO)CO)=O)=O
226	 C36H66N4O10R2	k(PEG2PEG2C18OH), dK(PEG2PEG2C18OH)	OC(CCCCCCCCCCCCCCCCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)=O)=O

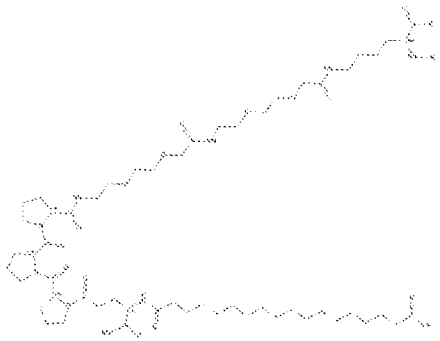
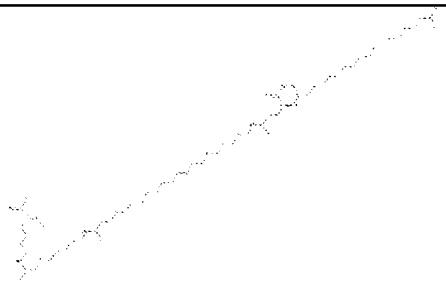
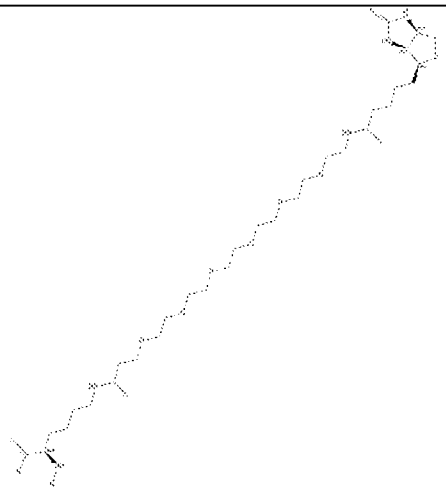
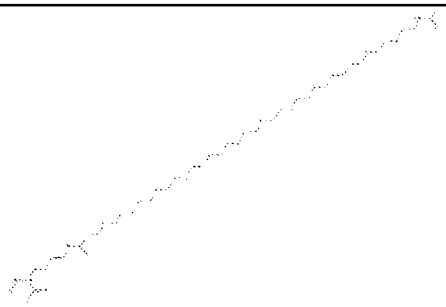
227	 C40H73N5O13R2	k(PEG2PEG2GolAC18OH), dK(PEG2PEG2GolAC18OH)	OCC(CO)(C(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)=O)NC(CCCCCCCCCCCCCCCCC(O)=O)=O
228	 C56H94N8O16R2	k(PEG2PEG2PPPgEC18OH) dK(PEG2PEG2PPPgEC18OH)	OC(CCCCCCCCCCCCCCCCC(N[C@@H](CCC(N(CCC1)[C@@H]1C(N(CCC1)[C@@H]1C(N(CCC1)[C@@H]1C(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)=O)=O)=O)C(O)=O)=O)=O
229	 C46H80N6O14R2	k(PEG2PEG2PgEC18OH), dK(PEG2PEG2PgEC18OH)	OC(CCCCCCCCCCCCCCCCC(N[C@@H](CCC(N(CCC1)[C@@H]1C(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)=O)=O)C(O)=O)=O)=O
230	 C47H86N7O14R2+	k(PEG2PEG2Sp6gEC18OH), dK(PEG2PEG2Sp6gEC18OH)	C[N+](C)(CCNC(CC[C@@H](C(O)=O)NC(CCCCCCCCCCCCCCCCC(O)=O)=O)=O)CC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)=O
231	 C49H86N6O14R2	k(PEG2PEG2TrxgEC18OH), dK(PEG2PEG2TrxgEC18OH)	OC(CCCCCCCCCCCCCCCCC(N[C@@H](CCC(NC[C@H](CC1)CC[C@@H]1C(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)=O)=O)C(O)=O)=O)=O

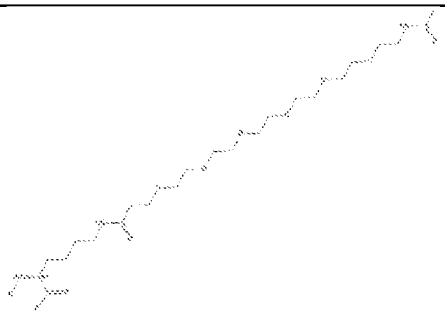
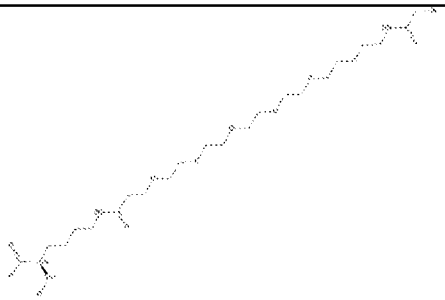
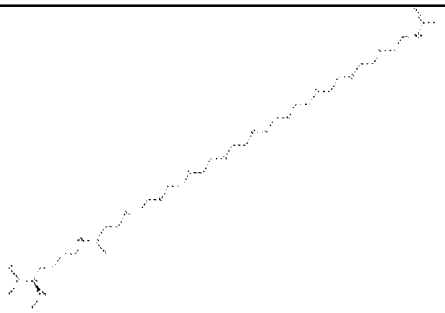
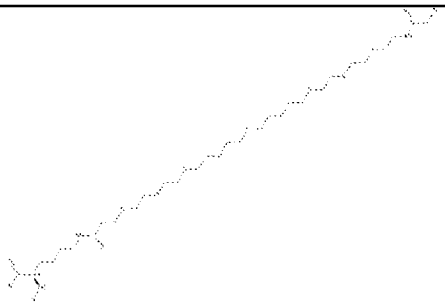
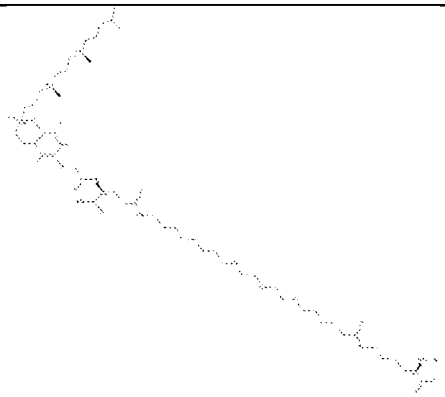
232	 C42H78N7O12R2+	k(PEG2PEG2gE(C)C12, dK(PEG2PEG2gE(C)C12	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)=O)C(N[C@@H](CC(O)=O)C[N+](C)(C)C)=O)=O
233	 C48H88N7O14R2+	k(PEG2PEG2gE(C)C18OH, dK(PEG2PEG2gE(C)C18OH	C[N+](C)(C)C[C@H](CC(O)=O)NC([C@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)=O)NC(CCCCCCCCCCCCCCCC(O)=O)=O)=O
234	 C42H78N7O12R2+	k(PEG2PEG2gE(c)C12, dK(PEG2PEG2gE(c)C12	CCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)=O)C(N[C@H](CC(O)=O)C[N+](C)(C)C)=O)=O
235	 C48H88N7O14R2+	k(PEG2PEG2gE(c)C18OH, dK(PEG2PEG2gE(c)C18OH	C[N+](C)(C)C[C@@H](CC(O)=O)NC([C@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)=O)NC(CCCCCCCCCCCCCCCC(O)=O)=O)=O
236	 C33H57N5O13R2	k(PEG2PEG2gEC10OH), dK(PEG2PEG2gEC10OH)	OC(CCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)=O)C(O)=O)=O)=O

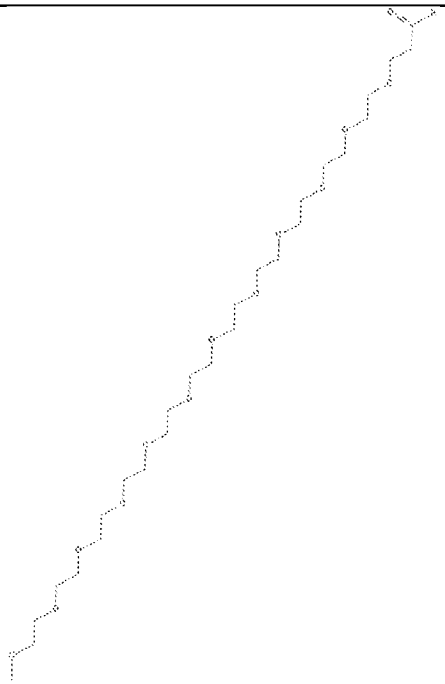
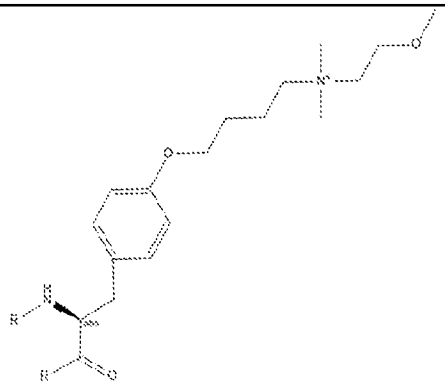
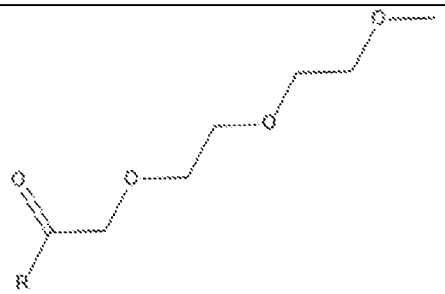
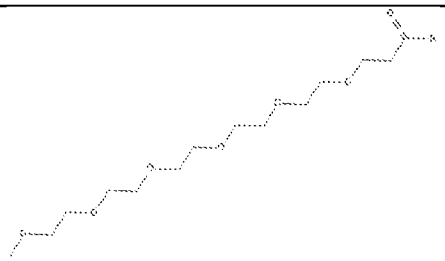
237	 C42H76N7O14R2+	k(PEG2PEG2gEC12OH(C), dK(PEG2PEG2gEC12OH(C)	C[N+](C)(C)C[C@H](CC(O)=O)NC(CCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)=O)C(O)=O)=O)=O
238	 C42H76N7O14R2+	k(PEG2PEG2gEC12OH(c), dK(PEG2PEG2gEC12OH(c)	C[N+](C)(C)C[C@@H](CC(O)=O)NC(CCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)=O)C(O)=O)=O)=O
239	 C39H71N5O11R2	k(PEG2PEG2gEC16), dK(PEG2PEG2gEC16)	CCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)=O)C(O)=O)=O
240	 C39H69N5O13R2	k(PEG2PEG2gEC16OH), dK(PEG2PEG2gEC16OH)	OC(CCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)=O)C(O)=O)=O)=O
241	 C41H75N5O11R2	k(PEG2PEG2gEC18), dK(PEG2PEG2gEC18)	CCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)=O)C(O)=O)=O
242	 C48H88N7O14R2+	k(PEG2PEG2gEC18OH(C), dK(PEG2PEG2gEC18OH(C)	C[N+](C)(C)C[C@H](CC(O)=O)NC(CCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)=O)C(O)=O)=O)=O

243	 C48H88N7O14R2+	k(PEG2PEG2gEC18OH(c), dK(PEG2PEG2gEC18OH(c)	C[N+](C)(C)C[C@@H](CC(O)=O)NC(CCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)=O)C(O)=O)=O)=O
244	 C41H73N5O13R2	k(PEG2PEG2gEC18OH), dK(PEG2PEG2gEC18OH)	OC(CCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)=O)C(O)=O)=O)=O
245	 C43H77N5O13R2	k(PEG2PEG2gEC20OH), dK(PEG2PEG2gEC20OH)	OC(CCCCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)=O)C(O)=O)=O)=O
246	 C58H103N7O17R2	k(PEG2PEG2gEDAP(C16OH)2), dK(PEG2PEG2gEDAP(C16OH)2)	OC(CCCCCCCCCCCCCCC(NC[C@@H](C(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)=O)C(O)=O)=O)NC(CCCCCCCCCCCCCCC(O)=O)=O)=O)=O
247	 C47H86N7O14R2+	kPEG2PEG2gEDAP(C16OH)2;kPEG2PEG2gEDap(C16OH)2, k(PEG2PEG2gEDAP(C16OH)2), dKPEG2PEG2gEDAP(C16OH)2;dKPEG2PEG2gEDap(C16OH)2, dK(PEG2PEG2gEDAP(C16OH)2)	C[N+](C)(CCNC(CCCCCCCCCCCCCCCCCC(O)=O)=O)CC(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)=O)C(O)=O)=O

248	 C49H86N6O14R2	kPEG2PEG2gEDAP(C16OH)2, dKPEG2PEG2gEDAP(C16OH)2	OC(CCCCCCCCCCCCCCCCC(NC[C@H](CC1)CC[C@@H]1C(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)=O)C(O)=O)=O)=O)=O
249	 C51H90N6O14R2	k(PEG2PEG2gESp6C18OH), dK(PEG2PEG2gESp6C18OH)	OC(CCCCCCCCCCCCCCCCCCC(NC[C@H](CC1)CC[C@@H]1C(N[C@@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)=O)C(O)=O)=O)=O)=O
250	 C40H63N5O14R2	k(PEG2PEG2gETrxC18OH), dK(PEG2PEG2gETrxC18OH)	OC([C@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)=O)NC(CCCCCCCCCOc1cc(C(O)=O)ccc1)=O)=O
251	 C40H63N5O14R2	k(PEG2PEG2gETrxC20OH), dK(PEG2PEG2gETrxC20OH)	OC([C@H](CCC(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)=O)NC(CCCCCCCCCOc(cc1)ccc1C(O)=O)=O)=O
252	 C46H80N6O14R2	k(PEG2PEG2gEmXOH), dK(PEG2PEG2gEmXOH)	OC(CCCCCCCCCCCCCCCCC(N[C@@H](CCC(N(CCC1)[C@H]1C(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)=O)=O)C(O)=O)=O)=O

253	 C56H94N8O16R2	k(PEG2PEG2gEpXOH), dK(PEG2PEG2gEpXOH)	OC(CCCCCCCCCCCCCCCCC(N[C@@H](CCC(N(CCC1)[C@H]1C(N(CCC1)[C@H]1C(N(CCC1)[C@H]1C(NCCOCCOCC(NCCOCCOCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)=O)=O)=O)=O)C(O)=O)=O)=O
254	 C50H91N5O17R2	k(PEG2PEG2pgEC18OH), dK(PEG2PEG2pgEC18OH)	OC(CCCCCCCCCCCCCCCCC(N[C@@H](CCC(NCCOCCOCCOCCOCCOCCCC(NCCOCCOCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)=O)C(O)=O)=O)=O
255	 C31H55N5O10SR2	k(PEG2PEG2pppgEC18OH), dK(PEG2PEG2pppgEC18OH)	O=C(CCCC[C@@H]([C@H]1N2)SC[C@@H]1NC2=O)NCCOCCOCCOCCOCCOCCCC(NCCCC[C@H](C([R])=O)N[R])=O
256	 C35H67N3O15R2	k(PEG2PEG6gEC18OH), dK(PEG2PEG6gEC18OH)	CC(NCCOCCOCCOCCOCCOCCOCCOCCOCCOCCCC[C@H](C([R])=O)N[R])=O)=O

257	 C23H43N3O9R2	k(dPEG12AcBr), dK(dPEG12AcBr)	CC(NCCOCCOCCOCCOCCOCCOCCC(NCCCC[C@H](C([R])=O)N[R])=O)=O
258	 C23H42BrN3O9R2	k(dPEG12AcVitE), dK(dPEG12AcVitE)	O=C(CCOCCOCCOCCOCCOCCOCCNC(CBr)=O)NCCCC[C@H](C([R])=O)N[R]
259	 C29H55N3O12R2	k(dPEG6Ac), dK(dPEG6Ac)	CC(NCCOCCOCCOCCOCCOCCOCCOCCOCCC(NCCCC[C@H](C([R])=O)N[R])=O)=O
260	 C29H54BrN3O12R2	k(dPEG6AcBr), dK(dPEG6AcBr)	O=C(CCOCCOCCOCCOCCOCCOCCOCCNC(CBr)=O)NCCCC[C@H](C([R])=O)N[R]
261	 C57H98N4O14R2	k(dPEG9Ac), dK(dPEG9Ac)	CC(C)CCC[C@@H](C)CCC[C@@H](C)CCC[C@](C)(CC1)Oc(c(C)c2C)c1c(C)c2OCC(N[C@@H](CCC(NCCOCCOCCOCCOCCOCCOCCC(NCCCC[C@H](C([R])=O)N[R])=O)=O)C(O)=O)=O

262	 C26H51O13R	mPEG12CO	COCCOCCOCCOCCOCCOCCCOCCOCCOCCOCCOCCOCCC([R])=O
263	 C18H29N2O3R2+	mPEG2TMA4F	C[N+](C)(CCCCOc1ccc(C[C@@H](C([R])=O)N[R])cc1)CCOC
264	 C7H13O4R	mPEG3CO	COCCOCCOCC([R])=O
265	 C14H27O7R	mPEG6CO	COCCOCCOCCOCCOCCOCCC([R])=O

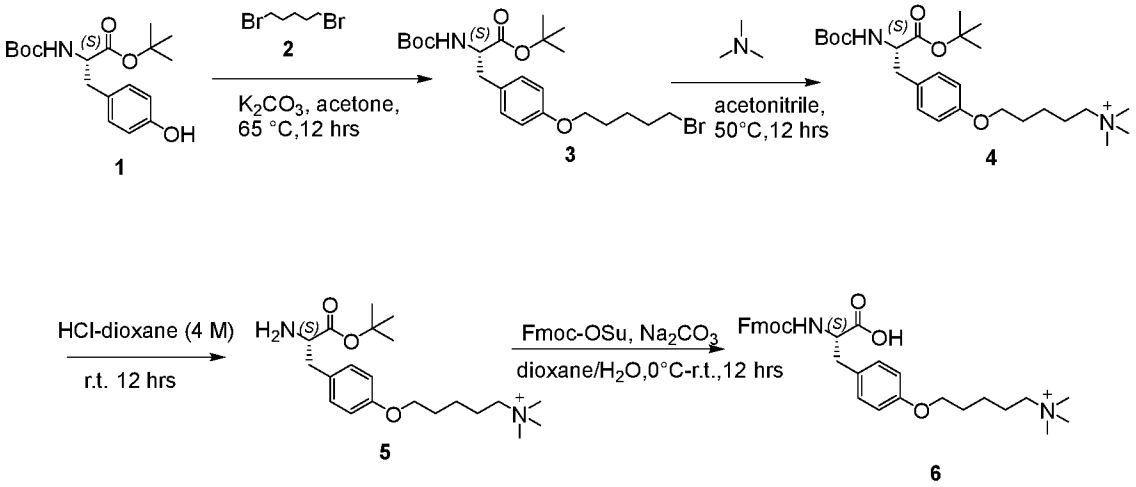
General Peptide Synthetic Procedure 1

[000155] IL-23R inhibitor compounds described herein were synthesized from amino acids monomers using 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.

Preparation of Certain Modified Amino Acids

[000156] Certain modified amino acids appear in the sequences of the IL-23R inhibitors described herein. Those modified amino acids, and their precursors suitable for synthesizing the inhibitors described herein may be obtained from commercial sources, syntesized as described in the art, or by any suitable route. For example, substituted tryptophans may be prepared by any suitable route. Preparation of certain substituted tryptophans including those substituted at the seven position, such as 7-alkyl-tryptophans (e.g., 7-ethyl-L-tryptophans), which along with other substituted tryptophans, are described in, for example WO 2021/146441 Al. The synthesis of certain additonal modified amino acids are described herein below.

a. Synthesis of (S)-5-(4-(2-((((9H-fluoren-9-yl)methoxy)carbonyl)amino)-2-carboxyethyl)phenoxy)-N,N,N-trimethylpentan-1-aminium (TMAPF)



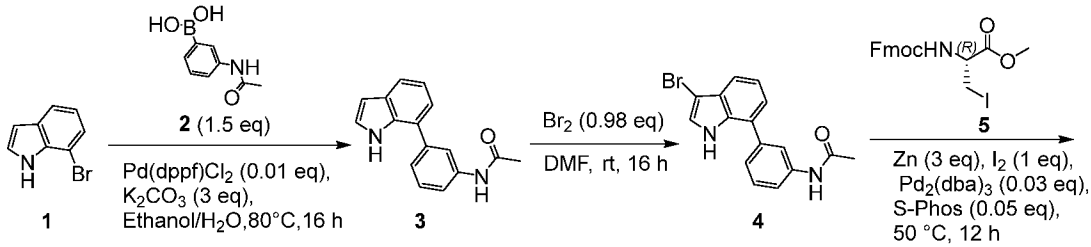
[000157] To a mixture of **1** (6.60 g, 19.7 mmol), K_2CO_3 (4.09 g, 29.6 mmol) and acetone (50 mL) was added **2** (4.99 g, 21.7 mmol). The reaction mixture was heated to refluxed and stirred for 12 hours. The reaction mixture was poured into water (500 mL) and extracted with ethyl acetate (500 mL x 3). The combined organic extracts were washed with brine (500 mL), dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure to afford the crude product, which was purified by FCC (eluent: petroleum ether: ethyl acetate = 1: 0 to 5: 1) to afford crude product **3** (5.26 g, yield: 54.8 %) as pale colourless oil. **MS (ESI):** mass calculated for $C_{23}H_{36}BrNO_5$, 486.44, m/z found 509.9 $[M+23]^+$. **^{1}H NMR** (400 MHz, $CDCl_3$): δ ppm 7.07 (d, *J*=8.4 Hz, 2 H), 6.81 (d, *J*=8.6 Hz, 2 H), 4.97 (br d, *J*=8.2 Hz, 1 H), 4.36 - 4.48 (m, 1 H), 3.95 (t, *J*=6.3 Hz, 2 H), 3.45 (t, *J*=6.8 Hz, 2 H), 3.00 (br d, *J*=3.7 Hz, 2 H), 1.87 - 2.01 (m, 2 H), 1.76 - 1.86 (m, 2 H), 1.62 - 1.69 (m, 2 H), 1.42 (d, *J*=2.8 Hz, 18 H).

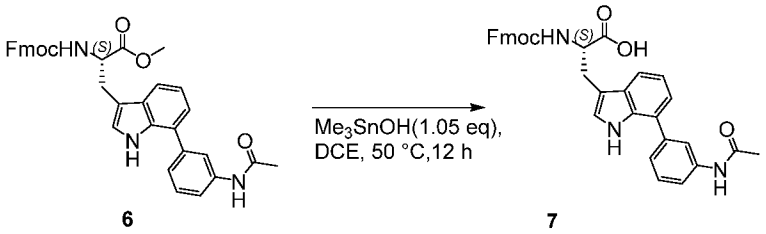
[000158] To a mixture of **3** (5.26 g, 10.8 mmol) in acetonitrile (50 mL) was added trimethylamine in acetonitrile (2 M, 8.11 mL). The reaction mixture was stirred for 12 hours at 50 ° C. The reaction mixture was concentrated under reduced pressure to obtain the product **4** (5.0 g, yield: 99.3 %) as pale-yellow solid.

[000159] **MS (ESI):** mass calculated for $C_{26}H_{45}N_2O_5$, 465.646, m/z found 465.2 $[M]^+$. The mixture of **4** (4.00 g, 8.59 mmol) in 4M HCl-dioxane (43.0 mL, 172 mmol) was stirred for 12 hours at room temperature. The solvent was removed under reduced pressure to obtain the product **5** (3.00 g, yield: crude) as a white solid, which was used to next step directly. **MS (ESI):** mass calculated For $C_{17}H_{29}N_2O_3$, 309.424, m/z found 309.1 $[M+H]^+$.

[000160] Compound **5** (3.00 g, 8.67 mmol) was dissolved in dioxane (20 mL) and water (20 mL) in a round-bottom flask. Na_2CO_3 (1.38 g, 13.0 mol) was added, and the solution cooled to 0 °C in an ice bath. Then Fmoc-OSu (3.22 g, 9.54 mol) was dissolved in dioxane (20 mL) and added in portions to the solution at 0° C. The reaction was stirred for 2 hours at 0 ° C. The reaction was allowed to warm to room temperature overnight. The reaction was acidized with 2N HCl (50 mL). The reaction mixture was purified by preparative HPLC using a Xtimate C18 150*40mm*5 um (eluent: 20 % to 50 % (v/v) CH_3CN and H_2O with 0.05% HCl) to afford product. The product was suspended in water (40 mL), the mixture frozen using dry ice/ethanol, and then lyophilized to dryness to afford the title compound **6** (TMAPF, 3.57 g, yield: 61.9 %, purity: 99.2 %) as pale-yellow solid. **MS (ESI):** mass calculated For $C_{32}H_{39}N_2O_5$, 531.662, m/z found 531.4 $[M+H]^+$. **^{1}H NMR** (400 MHz, DMSO-*d*$_6$) δ ppm 7.89 (d, *J*=7.6 Hz, 2 H), 7.73 (d, *J*=8.2 Hz, 1 H), 7.65 (t, J=7.2 Hz, 2 H), 7.39 - 7.43 (m, 2 H), 7.27 -7.34 (m, 2 H), 7.19 (d, *J*=8.2 Hz, 2 H), 6.78 - 6.89 (m, 2 H), 4.06 - 4.25 (m, 4 H), 3.84 - 3.99 (m, 2 H), 3.25 - 3.37 (m, 2 H), 3.05 (s, 9 H), 3.00 (d, *J*=4.0 Hz, 1 H), 2.70 - 2.84 (m, 1 H), 1.63 - 1.82 (m, 4 H), 1.30 - 1.46 (m, 2 H)

b. Synthesis of (S)-2-((((9H-fluoren-9-yl)methoxy)carbonyl)amino)-3-(7-(3-acetamidophenyl)-1H-indol-3-yl)propanoic acid (7-(3-Nacetyl-phenyl)-tryptophan or 7(3NAcPh)W)





[000161] To a solution of **1** (30.0 g, 153 mmol), compound **2** (41.1 g, 230 mmol) and K_3PO_4 (97.4 g, 459 mmol) in H_2O/ethanol (500 mL) and, $Pd(dppf)Cl_2$ (1.12 g, 1.53 mmol) was added under an N_2 atmosphere. The mixture was stirred at 80 °C for 16 h. The mixture was filtered. The mixture was concentrated, then extracted with ethyl acetate (500 mL x 2), dried with anhydrous Na_2SO_4. The organic layer was concentrated and purified by FCC (eluent: petroleum ether/ ethyl acetate=1:0 to 55:45) to give **3** (25.0 g, yield: 62.5%) as yellow oil **MS (ESI):** mass calculated for $C_{16}H_{14}N_2O$, 250.295, m/z found 251.0 [M+].

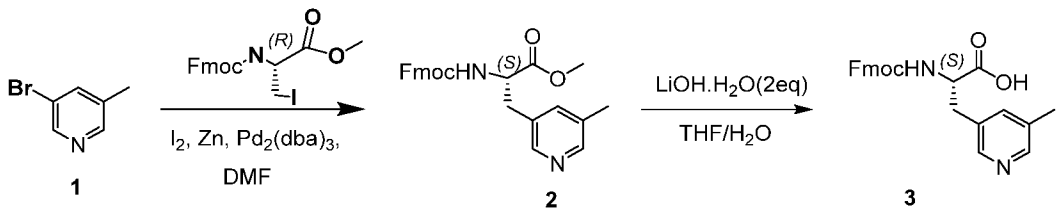
[000162] To a 1 L round-bottomed flask containing a solution of **3** (12.0 g, 47.9 mmol) in DMF (300 mL) bromine (Br_2, 2.422 mL, 47.0 mmol) was slowly added. The mixture was stirred at 25 °C for 16 hours. The solution was added to aqueous sodium sulfite (500 mL), the mixture was stirred at 25 °C for 2 hours. The mixture was filtered, the filter cake was mixed with H_2O (400 mL) and stirred at 25 °C for 1 h. The mixture was filtered, the solid was collected to give **4** as a crude product, which was purified by preparative high-performance liquid chromatography (Column: Phenomenex C18 250 x 50mm x 10 um, Condition: water (FA)-CAN (20 %- 60 %)). The mixture was concentrated, extracted with CH_2Cl_2 (1 L x 2), washed with brine, dried with anhydrous Na_2SO_4. The organic layers were filtered and concentrated to give **4** (9.70 g, yield: 60.8%) as a pale white. **MS (ESI):** mass calculated For $C_{16}H_{13}BrN_2O$, 329.191, m/z found 328.8 [M].

[000163] A 250 mL three neck round-bottomed flask was charged with activated Zn powder (5.84 g, 89.3 mmol), DMF (120 mL) and I_2 (382 mg, 1.50 mmol) was added under an N_2 atmosphere at room temperature. After stirring for 20 min, a solution of **5** (13.6 g, 30.1 mmol) in

DMF (30 mL) was added to the mixture. The reaction mixture was stirred for 30 min. at room temperature, after which **4** (9.70 g, 29.5 mmol), tris(dibenzylideneacetone)palladium (826 mg, 0.902 mmol), 2-dicyclohexylphosphino-2',6'-dimethoxybiphenyl (617 mg, 1.50 mmol) were added under an N_2 atmosphere. The reaction mixture was stirred at 50 °C for 12 hours, after which solvent was removed under reduced pressure to give crude product **6**. The crude product was extracted with ethyl acetate (1500 mL). The extract was washed with H_2O (500 mL x 2), followed by brine (500 mL), after which it was dried over anhydrous Na_2SO_4, filtered, and concentrated to dryness in vacuo to give crude intermediate 6, which was purified by silica gel chromatography (0-100% ethyl acetate/petroleum ether (EtOAc/PE)) to afford **6** (11.0 g, yield: 63.8 %) as a brown-yellow oil. **MS (ESI):** mass calculated for $C_{35}H_{31}N_3O_5$, 573.638, m/z found 574.1 [M+1].

[000164] Intermediate **6** (11.0 g, 19.2 mmol), a stir bar, Me_3SnOH (3.64 g, 20.1 mmol) and DCE (150 mL) were added to a 250 mL round-bottomed flask and stirred at 50 °C for 12 hours. To the reaction mixture 2 N HCl was added to adjust the to pH to 6. A second reaction series starting with a solution of **1** was prepared and the combined reaction mixtures were concentrated under reduced pressure to give the crude product **7**, which was purified by preparative HPLC using a Xtimate C18 150 x 40mm x 5um (eluent: 38 % to 68 % (v/v) CH_3CN and H_2O with 0.05 % HCl) to afford product **7**. The product was suspended in water (100 mL), the mixture frozen using dry ice/ethanol, and then lyophilized to dryness to afford **7** (7(3NAcPh)W, 11.8 g, yield: 66.8 %) as a white solid. **MS (ESI):** mass calculated For $C_{34}H_{29}N_3O_5$, 559.611, m/z found 560.0 [M+1]. **^{1}H NMR** DMSO-d_6 (400 MHz) δ 10.73 (s, 1 H), 10.10 (s, 1 H), 7.52 - 8.02 (m, 7 H), 6.96 - 7.52 (m, 9 H), 4.03 - 4.44 (m, 3 H), 3.25 (d, J = 13.2 Hz, 2 H), 3.01 - 3.15 (m, 1 H), 2.08 (s, 3 H).

c. Synthesis of (S)-2-((((9H-fluoren-9-yl)methoxy)carbonyl)amino)-3-(6-(tert-butoxy)naphthalen-2-yl)propanoic acid (5-methyl-pyridyl-alanine or 5MePyridinAla)

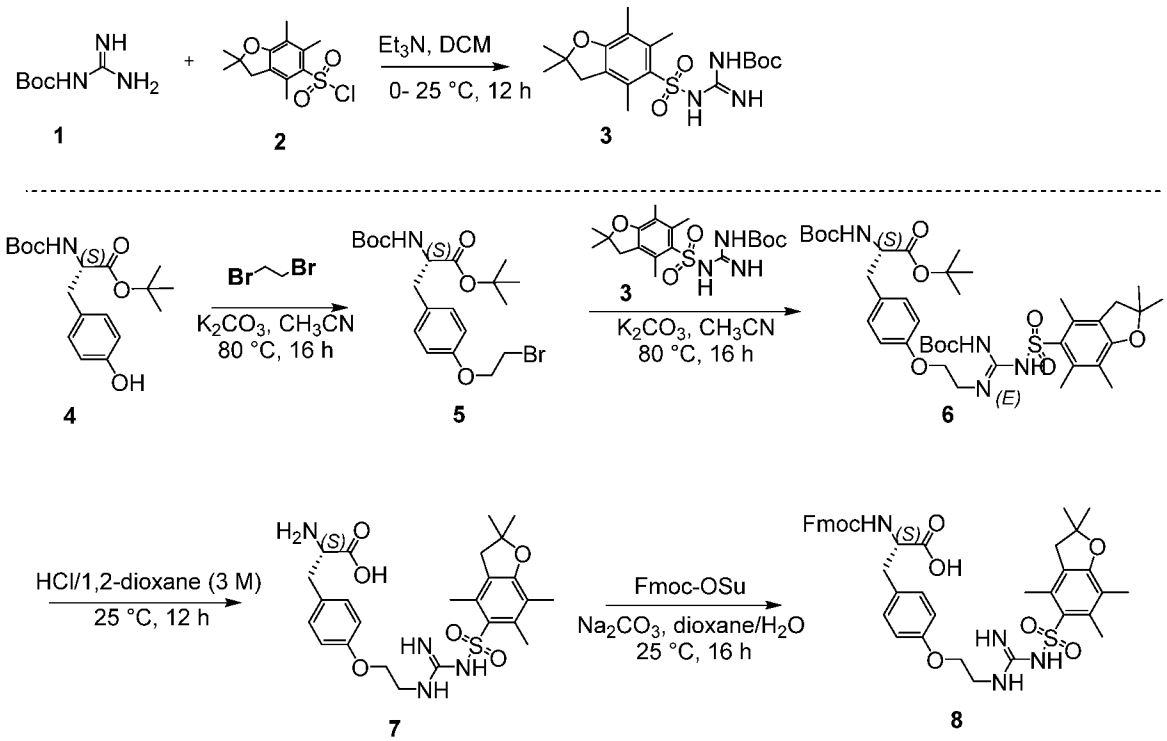


[000165] Activated Zn powder (8.18 g, 125 mmol), DMF (150 mL) and I_2 (0.534 g, 2.11 mmol) were stirred under an N_2 atmosphere at room temperature for 20 min, after which (R)-methyl 2-((((9H-fluoren-9-yl)methoxy)carbonyl)amino)-3-iodopropanoate (19.0 g, 42.1 mmol) in DMF (25 mL) was added. The reaction mixture was stirred for 30 min at room temperature, after which a mixture of **1** (7.97 g, 46.3 mmol), tris(dibenzylideneacetone)palladium (1.16 g, 1.26

mmol) and 2-dicyclohexylphosphino-2',6'-dimethoxybiphenyl (0.864 g, 2.11 mmol) in DMF (25 mL) was added under an N_2 atmosphere. The resulting reaction mixture was stirred at 50 °C for 12 h. The solvent was removed under reduced pressure to give the crude, which was purified by FCC (eluent: petroleum ether: ethyl acetate = 1: 0 to 0: 1 and ethyl acetate: methanol = 1: 0 to 2: 1) to afford the product **2** (10.00 g, 57.0 % yield) as pale-yellow liquid. **MS (ESI):** mass calculated for $C_{25}H_{24}N_2O_4$, 416.469, m/z found 417.1 $[M+H]^+$.

[000166] To a mixture of **2** (9.50 g, 22.8 mmol) in THF (100 mL) was added $LiOH.H_2O$ (1.91 g, 45.6 mmol) in H_2O (10 mL). The mixture was stirred for 1 h at 0 °C. TLC showed most SM were consumed. To the reaction mixture was added HCl (1 N) dropwise at ice bath to pH=5. The reaction mixture was concentrated under reduced pressure, then poured into water (200 mL) the mixture was extracted with THF (200 mL x3). The organic layers were combined, washed with brine (100 mL), dried over anhydrous Na_2SO_4. After filtering the organic layers were concentrated under reduced pressure to afford crude product **3**, which was purified by FCC (eluent: ethyl acetate : methanol =1:0 to 2:1) to obtain **3 (5MePyridinAla**, 6.716 g , yield: 72.3 %) as a white powder. **MS (ESI):** mass calculated For $C_{24}H_{22}N_2O_4$, 402.442, m/z found 403.1 $[M+H]^+$. **^{1}H NMR DMSO-d_6 (Bruker_400 MHz):** δ 8.18 (s, 2H), 7.88 (d, *J*=7.6 Hz, 2H), 7.63 (d, *J*=7.2 Hz, 2H), 7.45 - 7.26 (m, 5H), 6.81 (s, 1H), 4.33 - 4.21 (m, 1H), 4.20 - 4.09 (m, 2H), 3.95 (s, 1H), 3.06 -3.05 (m, 1H), 2.92 - 2.89 (m, 1H), 2.18 (s, 3H).

d. Synthesis of (S)-2-((((9H-fluoren-9-yl)methoxy)carbonyl)amino)-3-(4-(2-(3-((2,2,4,6,7-pentamethyl-2,3-dihydrobenzofuran-5-yl)sulfonyl)guanidino)ethoxy) phenyl)propanoic acid (AEF(G)



[000167] Starting material **1** (9.9 g, 62.2 mmol), a stir bar, Et_3N (14 mL, 101 mmol), and dichloromethane (DCM, 250 mL) were added to a 500 mL round-bottomed flask. The resulting mixture was treated with **2** (10 g, 34.6 mmol) in portions under ice-water bath. Then the reaction mixture was stirred at 25 °C for 12 hours. The reaction mixture was diluted with H_2O (800 mL), extracted with DCM (400 mL x 2). The organic phase extracts were combined, washed with brine (800 mL), and concentrated to give the crude intermediate **3** as a yellow solid. The crude intermediate was triturated with ethyl acetate (50 mL) and the suspension isolated via filtration. The filter cake was washed with ethyl acetate (20 mL x 3) before drying under reduced pressure to give the **3** (7.12 g, 49%) as a white solid. **MS (ESI):** mass calculated for $C_{19}H_{29}N_3O_5S_6$, 411.5, m/z found 412.1 $[M+H]^+$.

[000168] Starting material **4** (50.0 g, 148 mmol), a stir bar, DMF (300 mL), and K_2CO_3 (102 g, 739 mmol) were added to a nitrogen-purged 1000 mL round-bottomed flask. The flask was subsequently evacuated and refilled with nitrogen (x 3), after which 1,2-dibromoethane (154 mL, 1.78 mol) was added, and the resulting mixture was stirred at 80 °C for 16 h under a N_2 atmosphere. The reaction mixture was filtered and concentrated to dryness under reduced pressure to give the crude product, which was subjected to silica gel chromatography (eluent: EtOAc: pet ether = 0 - 60%) to give the **5** (64 g, 96%) as a light-yellow oil. **MS (ESI):** mass calculated for $C_{20}H_{30}BrNO_5$, 444.36, m/z found 466.1 $[M+Na]^+$.

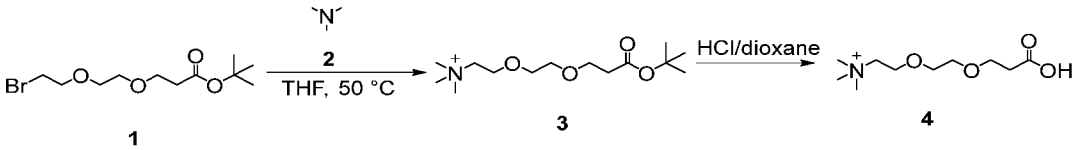
[000169] Intermediate **5** (6.1 g, 13.7 mmol), **3** (6.2 g, 15.1 mmol), K_2CO_3 (7.6 g, 55.0 mmol), a stir bar, and CH_3CN (100 mL) were charged into a 250 mL round-bottomed flask. The reaction

mixture was stirred at 80 °C for 16 h under a N_2 atmosphere. The reaction mixture was cooled to room temperature, diluted with H_2O (200 mL), extracted with ethyl acetate (100 mL x 2). The organic phases were combined and washed with brine (300 mL) and concentrated to give the crude intermediate **6**. The crude intermediate was purified by flash column chromatography (FCC, eluent: ethyl acetate / petroleum ether =0:1 to 2:1) to give the **6** (6.62 g, 44.2%) as a white solid. **MS (ESI):** mass calculated for $C_{39}H_{58}N_4O_{10}S$, 774.9, m/z found 775.5 $[M+H]^+$.

[000170] Intermediate **6** (6.6 g, 8.52 mmol), HCl/1, 4-dioxane (90 mL, 4M), a stir bar, and 1, 4 - dixoane (30 mL) were charged into a 250 mL round bottomed flask. The resulting mixture was stirred at 25°C for 12hr. The solvent was removed under reduced pressure to give intermediate **7** (7.8 g, crude product) as a colourless oil, which was directly used to next step. **MS (ESI):** mass calculated for $C_{25}H_{34}N_4O_6S$, 518.6, m/z found 519.2 $[M+H]^+$.

[000171] Intermediate 7 (7.80 g, 15.0 mmol), a stir bar, Na_2CO_3 (3.19 g, 30.1 mmol), Fmoc-OSu (5.58 g, 16.5 mmol), 1, 4 - dioxane (50 mL), and H_2O (50 mL) were added into a 250 mL round-bottomed flask at 25 °C. The reaction mixture was stirred at 25 °C for 16 hours, after which it was adjusted to pH = 5-6 with HCl (2M) and the resulting reaction mixture was extracted with EtOAc (150 mL x 3). The organic phases from the extraction were combined and washed with brine (200 mL) and concentrated to give the crude intermediate **7**. The crude intermediate was purified by preparative HPLC with a Column: Phenomenex C18 150 x 40mm x 5um, (eluent: 42% to 72% (v/v) CH_3CN and H_2O with 0.1% HCl) to afford pure product. The product was suspended in water (100 mL), the mixture frozen using dry ice/ethanol, and then lyophilized to dryness to afford desired product **8 (AEF(G),** 4 g, 36%) as a white solid. **MS (ESI):** mass calculated for $C_{40}H_{44}N_4O_8S$, 740.9, m/z found 741.3 $[M+H]^+$. **^{1}H NMR** (400 MHz, DMSO-d_6): 7.87 (d, J = 7.2 Hz, 2H), 7.71 - 7.62 (m, 2H), 7.39 (td, J = 4.0, 7.2 Hz, 2H), 7.29 (td, J = 7.6, 12.0 Hz, 2H), 7.14 (br d, J = 8.0 Hz, 2H), 6.99 - 6.85 (m, 1H), 6.77 (br d, J = 8.4 Hz, 2H), 6.59 - 6.50 (m, 1H), 4.21 - 4.06 (m, 4H), 3.88 (br s, 2H), 3.42 - 3.36 (m, 4H), 2.99 (br dd, J = 4.4, 14.0 Hz, 1H), 2.92 (s, 2H), 2.78 (br dd, J = 10.8, 13.6 Hz, 1H), 2.47 (br s, 3H), 2.41 (s, 3H), 1.97 (s, 3H), 1.38 (s, 6H).

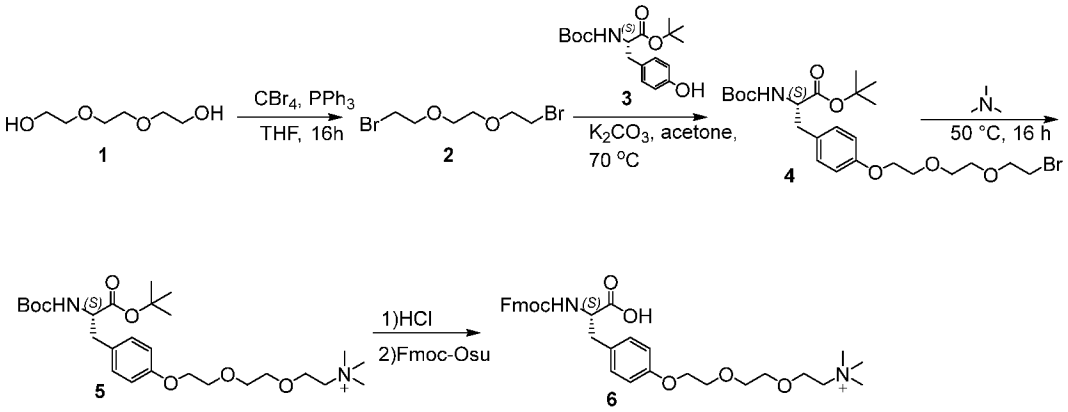
e. Synthesis of 2-(2-(2-carboxyethoxy)ethoxy)-N,N,N-trimethylethan-1-aminium (cPEG3a)



A mixture 1 (5.00 g, 16.8 mmol) and trimethylamine 2 (25 mL, 50 mmol, in THF) in dry THF (10 mL) was stirred for 16 hours at 50 °C under N2. The mixture was concentrated to give the product **3** (6.0 g, yield: 99.8%) as yellow oil. **^{1}H NMR** (DMSO-d_6, 400 MHz): δ3.88 - 3.79 (m,

2H), 3.64 - 3.48 (m, 8H), 3.12 (s, 9H), 2.42 (t, J = 6.4 Hz, 2H), 1.39 (s, 9H). A mixture of **3** (6.00 g, 16.8 mmol) and HCl/dioxane (60 mL, 240 mmol) was stirred for 16 hours at 25 °C under N_2. The mixture was concentrated to give the product **4** (**cPEG3a**, 4.3 g, yield: 99.8%) as yellow oil. **^{1}H NMR** (D_2O, 400 MHz): δ3.96 - 3.87 (m, 2H), 3.74 (t, J = 5.6 Hz, 2H), 3.64 (s, 4H), 3.57 - 3.49 (m, 2H), 3.12 (s, 9H), 2.60 (t, J = 5.6 Hz, 2H).

f. Synthesis of (S)-2-(2-(2-(4-(2-((((9H-fluoren-9-yl)methoxy)carbonyl) amino)-2-carboxyethyl)phenoxy)ethoxy)ethoxy)-N,N,N-trimethylethan-1-aminium (APEG3F)



[000172] To a mixture of 1 (50.0 g, 333 mmol) in THF (1.3 L) was added PPh3 (188 g, 716 mmol), after which CBr4 (243 g, 732 mmol) was very slowly added to the mixture at 0 °C. The mixture was stirred at room temperature overnight (16 h) and then concentrated under reduced pressure to give the crude intermediate 2. Petroleum ether (2.0 L) and ethyl acetate (200 mL) were added to the mixture and stirred at 25 °C for 0.5 h. The mixture was filtered, concentrated under reduced pressure, and purified by FCC (eluent: petroleum ether: ethyl acetate = 1: 0 to 1: 9) to give intermediate 2 (52 g, yield: 56.6 %) as colorless oil. **^{1}H NMR** (400 MHz, Chloroform-d): 3.91 - 3.81 (m, 4H), 3.75 - 3.68 (m, 4H), 3.55 - 3.46 (m, 4H).

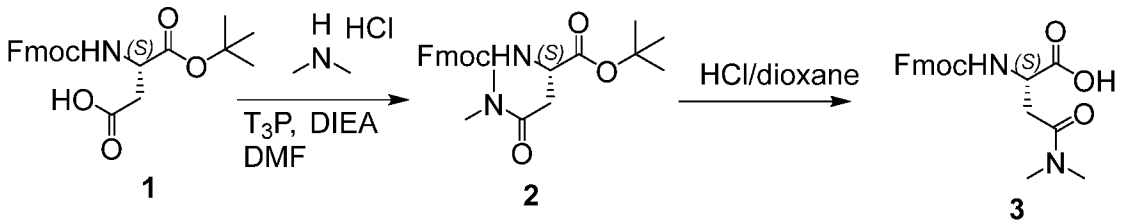
[000173] To a solution of 3 (45.9 g, 136 mmol) and K2CO3 (56.3 g, 408 mmol) in acetone (1 L) was added 2 (75.0 g, 272 mmol) under a nitrogen atmosphere. The mixture was stirred at 70 °C for 16 h. The mixture was filtered and evaporated, and the residue was purified by flash column chromatography FCC (eluent: petroleum ether: ethyl acetate = 1:0 to 1:9) to give the intermediate **4** (45 g, yield: 61.6 %) as a pale-yellow oil. **MS (ESI):** mass calculated for $C_{24}H_{38}BrNO_7$, 532.47, m/z found 433.8 $[M-100]^+$.

[000174] A solution of 4 (51 g, 96 mmol) in trimethylamine (239 mL, 2 M, in THF), was stirred at 50 °C for 16 h. The mixture was concentrated under reduced pressure to give the crude intermediate 5 (56 g, crude) as pale-yellow oil, which was used in the next step without purification. **MS (ESI)**: mass calculated for C27H47N2O7+, 511.67, m/z found 511.4 [M]+

[000175] A mixture of 5 (56.0 g, 94.7 mmol) in HCl/dioxane (592 mL, 4 M) was stirred at 25 °C for 16 h, after which it was concentrated under reduced pressure, dissolved in H_2O (200 mL),

and quenched with an aqueous solution of Na_2CO_3 at 0 °C to adjust pH = 7. Then Na_2CO_3 (15.0 g, 142 mmol) and Fmoc-OSu (31.9 g, 94.4 mmol) in acetone (150 mL) were added under a nitrogen atmosphere and stirred at 25 °C for 3 h. The mixture was acidified with 2 M HCl, adjusted to pH = 4 and concentrated under reduced pressure. The mixture was extracted with ethyl acetate (300 mL x2). The aqueous phase was concentrated under reduced pressure to give crude product **6** (H_2O solution), which was purified by preparative HPLC using a Phenomenex Gemini Xtimate C18 150*40mm*5um, 100A (eluent: 53% to 83% (v/v) water (0.225%FA)-ACN) to afford the title compound **6** (**APEG3F,** 43 g, yield: 78.8%) as an off-white solid. **MS (ESI):** mass calculated for $C_{18}H_{31}N_2O_5^+$, 355.45, m/z found 355.1 $[M]^+$. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 8.40 (s, 1H), 7.88 (d, J = 7.6 Hz, 2H), 7.66 (d, J = 7.2 Hz, 2H), 7.44 - 7.36 (m, 2H), 7.31 (q, J = 7.2 Hz, 2H), 7.18 - 7.04 (m, 3H), 6.77 (d, J = 8.4 Hz,2H), 4.24 - 4.13 (m, 3H), 4.00 (d, J = 3.6 Hz, 3H), 3.81 (s, 2H), 3.73 - 3.67 (m, 2H), 3.58 (s,4H), 3.54 - 3.48 (m, 2H), 3.07 (s, 9H), 3.05 - 2.98 (m, 1H), 2.85 - 2.76 (m, 1H).

f. Synthesis of N2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N4,N4-dimethyl-L-asparagine (N(N(Me)2)

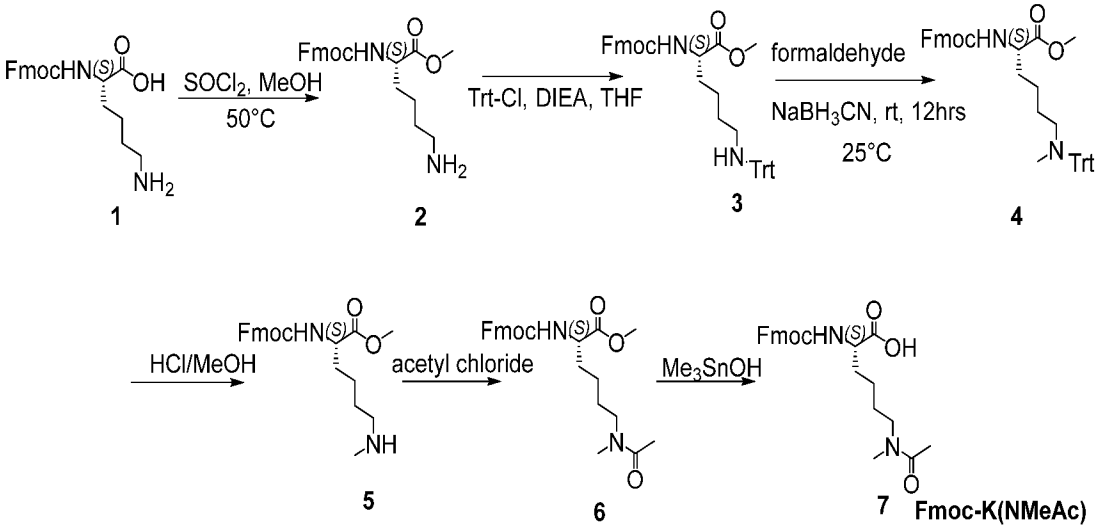


[000176] To a solution of starting material **1** (50 g, 122 mmol), dimethylamine (10.9 mg, 134 mmol), and diisopropyl ethyl amine (DIEA, 62.0 g, 365 mmol) in DMF (200 mL) at 0 °C was degassed with N_2 three times and propylphosphonic anhydride (T_3P®, 109 g, 182 mmol) was added via syringe. The mixture was stirred at 20 °C for 12 hours after which it was poured into ice water (500 mL) and extracted with ethyl acetate (500 mLx3). The combined organic extracts were washed with brine, dried over anhydrous Na_2SO_4, filtered, and concentrated under reduced pressure to afford the crude intermediate **2,** which was purified by fast column chromatography (FCC, eluent: petroleum ether: ethyl acetate = 1: 0 to 1: 2) to afford **2** (45 g, yield: 84.4 %) as pale-yellow solid. **MS (ESI):** mass calculated for $C_{25}H_{30}N_2O_5$, 438.52, m/z found 439.2 $[M+H]^+$.

[000177] Intermediate **2** (45 g, 103 mmol) was stirred in HCl/dioxane (1L, 4 M) at 20 °C for 16 h. The reaction mixture was filtered and concentrated. EtOAc (200 mL) was added to the concentrated material after which petroleum ether (200 mL) was added dropwise. The mixture was stirred at 20 °C for 3 h resulting in a solid that was filtered to afford **3** (**N(N(Me)2),** 25 g, yield: 62.3%) as white solid. **MS (ESI):** mass calculated for $C_{21}H_{22}N_2O_5$, 382.41, m/z found 383.1 $[M+H]^+$. **^{1}H NMR** (DMSO-d_6, 400 MHz): δ ppm 12.59 (s, 1H), 7.86 (d, J=7.6 Hz, 2H),

7.67 (d, *J*=7.2 Hz, 2H), 7.43 - 7.21 (m, 5H), 4.39 - 4.31 (m, 1H), 4.29 - 4.23 (m, 2H), 4.21 - 4.15 (m, 1H), 2.90 (s, 3H), 2.78 (s, 3H), 2.75 - 2.62 (m, 2H).

g. Synthesis of N2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N6-acetyl-N6-methyl-L-lysine (Lysine N-(MeAc) or K(NMeAc))



[000178] Starting material **1** (21 g, 57.0 mmol) and MeOH (300 mL) were combined in a flask under a N_2 atmosphere. Thionyl chloride (8.14 g, 68.4 mmol) was added to the flask dropwise over 15 minutes at a temperature of 25° C resulting in a pale-yellow mixture. The mixture was heated at reflux for 4 h. The resulting yellow solution was concentrated in vacuo. Ethyl acetate (50 mL) was added to the concentrated material and the mixture was stirred at 25° C for 1 h. The solid was filtered to afford crude intermediate **2** (23 g, crude) as white solid. **MS (ESI):** mass calculated for $C_{22}H_{26}N_2O_4$, 382.45, m/z found 383.5 $[M+H]^+$.

[000179] To a solution of **2** (6.1 g, 14.6 mmol) and TEA (4.41, 43.7 mmol) in 100 mL of anhydrous CH_2Cl_2/THF (100 mL) was added trityl chloride (Trt-Cl, 4.47 g, 16.0 mmol). The reaction mixture was stirred at 20 °C for 2 h. The reaction mixture was diluted with water (80 mL), extracted with ethyl acetate (100 mLx2), washed with brine (20 mL) and dried over Na_2SO_4. The combined organic extracts were filtered and concentrated under reduced pressure to afford the crude intermediate 3, which was purified by FCC (eluent: petroleum ether: ethyl acetate = 1: 0 to 1: 2) to afford **3** (7 g, yield: 76.7%) as pale-yellow solid. **MS (ESI)**: mass calculated for $C_{41}H_{40}N_2O_4$, 624.77, m/z found 647.3 [M+Na]+. **^{1}H NMR** (DMSO-d_6, 400 MHz): δ ppm 7.84 (d, *J*=7.5 Hz, 2H), 7.71 (d, *J*=7.7 Hz, 1H), 7.66 (d, *J*=6.8 Hz, 2H), 7.36 (d, *J*=7.3 Hz, 9H), 7.29 - 7.20 (m, 8H), 7.17 - 7.08 (m, 3H), 4.29 - 4.22 (m, 2H), 4.21 - 4.11 (m, 1H), 3.97 - 3.91 (m, 1H), 3.56 (s, 3H), 2.56 - 2.50 (m, 1H), 1.91 (d, *J*=6.2 Hz, 2H), 1.55 (m, 2H), 1.46 - 1.31 (m, 2H), 1.26 (d, *J*=7.5 Hz, 2H).

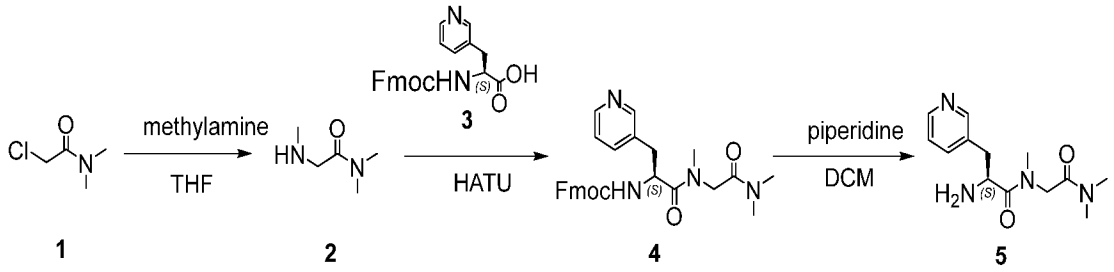
[000180] A solution of **3** (5.20 g, 8.32 mmol), formaldehyde (20.3 g, 250 mmol) and $NaBH_3CN$ (2.62 g, 41.6 mmol) in methanol (100 mL) was stirred at 25 °C for 16 hours. The mixture was quenched with water (100 mL), extracted with dichloromethane (200 mLx3), the organic layer was dried over anhydrous Na_2SO_4, filtered, and concentrated under reduced pressure. The residue was purified by flash chromatography (FCC, eluent: petroleum ether: ethyl acetate = 1: 0 to 1: 9) to afford **4** (2.7 g, yield: 41.2 %) as pale-yellow solid. **MS (ESI):** mass calculated For $C_{42}H_{42}N_2O_4$, 638.79, m/z found 661.1[M+Na]$^+$.

[000181] Intermediate **4** (80 g, 125 mmol) was dissolved in HCl/MeOH (800 mL) and stirred at 20°C for 1 h. The reaction mixture was concentrated under reduced pressure to afford the crude product. Ethyl acetate (100 mL) and petroleum ether (200 mL) were added, and the reaction mixture was stirred at 20°C for 4 h. The solid was filtered to afford intermediate **5** (60 g, crude) as pale-yellow solid. **MS (ESI):** mass calculated for $C_{23}H_{28}N_2O_4$, 396.48, m/z found 397.1 [M+H]$^+$.

[000182] To a solution of **5** (120 g, 277 mmol) in CH_2Cl_2 (1200 mL) was added TEA (107 g, 832 mmol) at 0 °C. Acetyl chloride (26.1 g, 333 mmol) was added, and the reaction mixture was stirred at 20 °C for 2 h. The reaction mixture was diluted with water (300 mL), extracted with CH_2Cl_2 (500 mLx2), washed with brine, and dried over Na_2SO_4. The combined organic extracts were filtered and concentrated under reduced pressure to afford crude intermediate **6**, which was purified by FCC (eluent: petroleum ether: ethyl acetate = 1: 0 to 1: 2) to afford **6** (67 g, yield: 38.0 %) as pale yellow oil.**MS (ESI):** mass calculated For $C_{25}H_{30}N_2O_5$, 438.52, m/z found 439.6 [M+H]$^+$.

[000183] To a solution 6 (2.6 g, 5.93 mmol) in DCE (50 mL) was added Me3SnOH(1.61 g, 8.90 mmol) and stirred at 20 °C for 16 h. 1 M HCl (5 mL) was added dropwise at 0 °C. The mixture was stirred at room temperature for 0.5 h, dried over Na_2SO_4, and filtered. The filtrate was concentrated, and the residue was purified by FCC (eluent: CH_2Cl_2: MeOH=1:0 to 95:5) to afford **7** (**K(NMeAc)**, 2.02 g, yield: 80.51%) as pale-yellow solid. **MS (ESI)**: mass calculated for $C_{24}H_{28}N_2O_5$, 424.49, m/z found 425.1 [M+H]+. **^{1}H NMR** (DMSO-d_6, 400 MHz): δ 7.89 (d, *J*=7.6 Hz, 2H), 7.73 (d, *J*=7.2 Hz, 2H), 7.62 (m, 1H), 7.46 - 7.38 (m, 2H), 7.36 - 7.28 (m, 2H), 4.33 - 4.16 (m, 3H), 3.89 (s, 1H), 3.22 (m, 2H), 2.93 - 2.73 (m, 3H), 1.94 (d, *J*=7.2 Hz, 3H), 1.77 - 1.55 (m, 2H), 1.55 - 1.36 (m, 2H), 1.28 (m, 2H).

h. Synthesis of (S)-2-amino-N-(2-(dimethylamino)-2-oxoethyl)-N-methyl-3-(pyridin-3-yl)propanamide (NH2-3Pya-Sar-CON(Me)2)

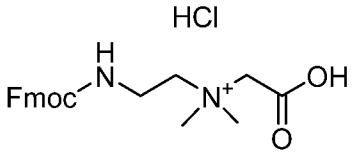


[000184] A 100-mL vial was charged with starting material **1** (10 g, 82.3 mmol) and a solution of methylamine (51.1 g, 494 mmol, 30% in ethanol) was added. The reaction mixture was stirred for 16 h at 25 °C, after which the mixture was concentrated to give crude intermediate 2. To the crude intermediate, petroleum ether (30 mL) was added and the mixture was stirred at 25 °C for 0.5 h to yield a solid. The resulting solid was filtered to give **2** (10 g, crude) as a light-yellow solid. **^{1}H NMR** (DMSO- d_6, 400 MHz): δ ppm 9.09 - 8.02 (m, 2H), 3.97 (s, 2H), 2.92 (s, 3H), 2.87 (s, 3H), 2.52 (s, 3H).

[000185] To a stirred solution of compound **3** (9 g, 23.2 mmol), intermediate **2** (3.23 g, 27.81 mmol), and DIEA (7.03 g, 69.5 mmol) was added in DMF (90 mL) HATU (10.6 g, 27.8 mmol). The reaction mixture was stirred at 25 °C for 2 h then poured into ice water (100 mL) and extracted with ethyl acetate (200 mL x4). The combined organic extracts were washed with brine (100 mL), dried over anhydrous Na_2SO_4, filtered, and concentrated under reduced pressure to afford the crude intermediate **4**, which was purified by FCC (eluent: CH_2Cl_2: MeOH = 1: 0 to 95: 5) to afford **4** (11 g, yield: 96.5%) as pale-yellow solid. **MS (ESI):** mass calculated for $C_{28}H_{30}N_4O_4$, 486.56, m/z found 487.2 $[M+H]^+$.

[000186] To a solution of **4** (10.5 g, 21.6 mmol) in DCM (400 mL) was added piperidine (5 mL, 50.5 mmol). The reaction mixture was stirred at room temperature for 16 h under a nitrogen atmosphere, and then it was concentrated under vacuum. The residue was purified by FCC (eluent: CH_2Cl_2: MeOH = 1: 0 to 95: 5) to afford crude product **5** (5.5 g, impure) as pale-yellow solid. Then crude product was purified by preparative HPLC using a Phenomenex Genimi NX C18 (150*40mm*5um) (eluent: 1% to 25% (v/v) water (0.04%NH_3H_2O+10mM NH_4HCO_3)-MeCN to afford pure product. The pure fractions were collected and lyophilized to dryness to give **5** (**NH2-3Pya-Sar-CON(Me)$_2$**, 3.6 g, yield: 62.7%) as a gummy liquid. **MS (ESI)**: mass calculated for $C_{13}H_{20}N_4O_2$, 264.32, m/z found 265.1 [M+H]+. **^{1}H NMR** (400MHz, D_2O) δ ppm 8.44 - 8.22 (m, 2H), 7.76 - 7.54 (m, 1H), 7.34 (m, 1H), 4.31 - 4.19 (m, 1H), 4.18 - 3.96 (m, 2H), 2.95 (m, 3H), 2.92 - 2.85 (m, 6H), 2.77 (m, 2H).

i. Synthesis of (2-((((9H-fluoren-9-yl)methoxy)carbonyl)amino)-N-(carboxymethyl)-N,N-dimethylethan-1-aminium) chloride (Fmoc-SP6)



Fmoc-SP6

[000187] Tert-butyl (2-((((9H-fluoren-9-yl)methoxy)carbonyl)amino)ethyl)glycinate was dissolved in H_2O/ACN and Na_2CO_3 (3Eq) was added, followed by CH_3I (10Eq). The mixture was stirred at RT. After 1h, ACN was evaporated in vacuum and the mixture was extracted with EtOAc, then washed with water and brine. The organic extracts were dried on Na_2SO_4, filtered, concentrated to dryness. The crude mixture was dissolved in HCl 6M in dioxane and stirred for 6hr at RT to remove the tButyl group. Solvent was evaporated, stripped several times with Et_2O and lyophilized to afford intermediate compound Fmoc-SP6 ((2-((((9H-fluoren-9-yl)methoxy)carbonyl)amino)-N-(carboxymethyl)-N,N-dimethylethan-1-aminium)) chloride: LCMS anal. calc. For $C_{21}H_{25}N_2O_4$+: 369.44; found: 369.4; **^{1}H NMR** (400 MHz, DMSO-d_6) δ 3.20 (s, 6 H) 3.39 - 3.48 (m, 2 H) 3.57 (s, 2 H) 4.20 - 4.27 (m, 1 H) 4.38 (s, 1 H) 4.33 (s, 2 H) 4.31 - 4.36 (m, 1 H) 7.30 - 7.38 (m, 2 H) 7.40 - 7.46 (m, 2 H) 7.59 - 7.64 (m, 1 H) 7.65 - 7.71 (m, 2 H) 7.90 (d, J=7.45 Hz, 2 H).

Assembly

[000188] 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.

Cleavage

[000189] 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.

[000190] 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 verified using electrospray ionization mass spectrometry (ESI-MS) (Micromass/Waters ZQ) before being purified.

Disulfide Bond Formation via Oxidation

[000191] 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.

[000192] The crude, cleaved peptide with psoitions X4 and X9, for example, 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.

Purification

[000193] 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 angstrom (Å) 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).

General Procedure 1A:

[000194] IL-23R inhibitor compounds described herein were synthesized from amino acids monomers using standard Fmoc solid phase synthesis techniques on a CEM Liberty Blue™ microwave peptide synthesizer. The peptides were assembled using Oxyma/DIC (ethyl cyanohydroxyiminoacetate/diisopropyl-carbodiimide) 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

[000195] 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.

Cleavage

[000196] 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/H2O/TIPS/DODT for 2 hrs. If more than one Arg(pbf) residue was present the cleavage was allowed to go for an additional hour.

[000197] 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

[000198] 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.

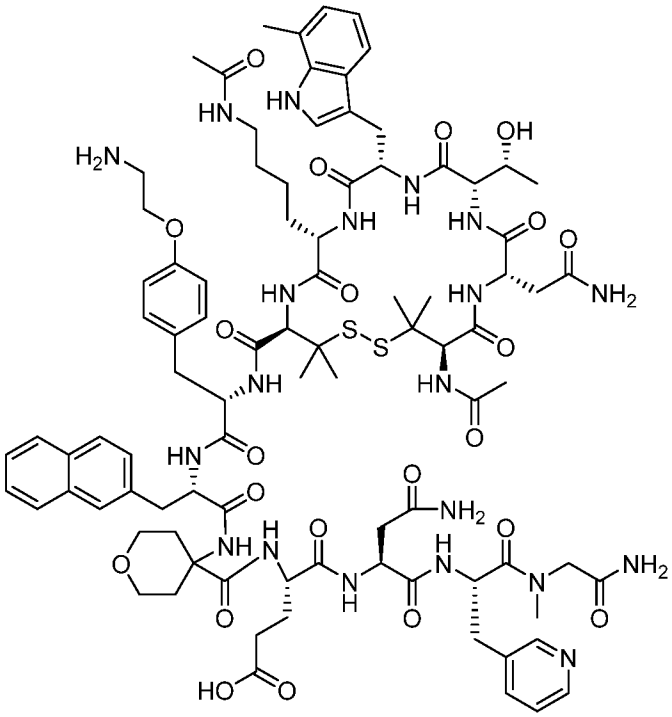
[000199] The crude cleaved peptide comprising two thiol containing amino acids selected independently from Cys, Pen, hCys, (D)Pen, (D)Cys and (D)hCys was dissolved ~2mg/ml in 50/50 acetonitrile/water. Saturated iodine in acetic acid was then added dropwise with stirring until yellow color persisted. 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.

Purification

[000200] 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 angstrom (Å) 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 1. Preparation of Peptide of SEQ ID NO.:1

[000201] 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.:1)



[000202] The synthesis of SEQ ID NO.:1 is prepared using FMOC solid phase peptide synthesis techniques.

[000203] The peptide 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 reverse phase HPLC (RP-HPLC) and counterion exchange. Lyophilization of pure fractions gives the final product.

[000204] 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.

[000205] 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.

[000206] 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.

[000207] 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.

[000208] Step 4: Coupling of FMOC-Glu(OtBu)-OH: The FMOC is removed from the N-terminus of the resin bound Asparagine 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.

[000209] 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.

[000210] 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.

[000211] 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.

[000212] 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.

[000213] 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.

[000214] 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.

[000215] 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. 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.

[000216] 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. 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.

[000217] 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. 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.

[000218] 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 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.

[000219] 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.

[000220] 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.

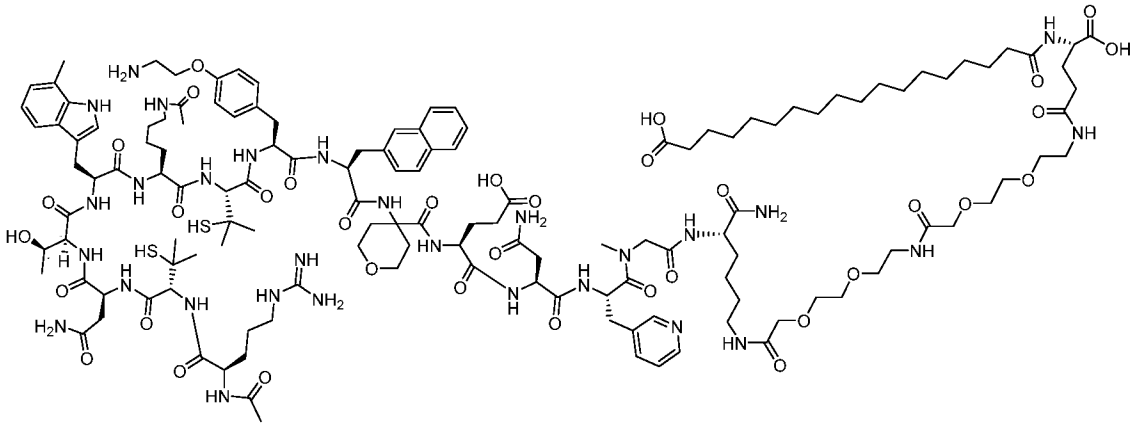
[000221] Step 17: RP-HPLC purification: The RP-HPLC purification is performed s immediately following each I_2 oxidation. A preparative purification column (Phenomenex, Luna, C18(2), 100Å, 250x50mm) is equilibrated at 70ml/min with 20% MPB in MPA (MPA = 0.1% TFA/water, MPB = 0.1% TFA in ACN). The 1 L 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 RP-HPLC. Pure fractions are combined from all four purifications and lyophilized to give purified TFA salt ready for counterion exchange.

[000222] 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 NH_4OAc 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 NH_4OAc from the system. Finally, the peptide is eluted with a gradient of 5-70% MPB in MPA over 60 minutes and collected in fractions.

[000223] 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 SEQ ID NO.:1 as a white powder with a purity >95 % as determined by RP-HPLC. Peptide identity is confirmed with LC/MS of the purified Peptide of SEQ ID

NO.:1, giving 2 charged states of the peptide, $M^+2/2$ of 950 amu and the molecular ion of 1899 amu.

Example 2. Synthesis of MeCO-r-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2PEG2gEC18OH)-CONH$_2$ (*Pen-Pen form disulfide bond)



Intermediate 2-1

Synthesis of Intermediate 2-1

[000224] Intermediate 2-1 was synthesized by standard Solid-phase Peptide Synthesis (SPPS) using Fmoc/t-Bu chemistry. The assembly was performed on a Rink-amide AM resin (110 μmol, 100-200Mesh; loading 0.33 mmol/g) on the Cem Liberty Blue microwave peptide synthesizer (CEM Inc.). During peptide assembly on solid phase, the side chain protecting groups were: tert-butyl for Thr and Glu; trityl for Pen and Asn; tert-butoxy-carbonyl for AEF, Pbf (2,2,4,6,7-pentamethyldihydrobenzofuran-5-sulfonyl) for Arg. The C-terminal Lys was protected by the orthogonal DDe protecting group.

[000225] All the amino acids were dissolved at a 0.4 M concentration in DMF. The acylation reactions were performed for 3 min at 90 °C under microwave (MW) irradiation with 5 folds excess of activated amino acids over the resin free amino groups. The amino acids were activated with equimolar amounts of 0.5M solution of DIC in DMF and Oxyma solution 1M in DMF. Double acylation reactions were performed for 3Pya and 2Nal. Fmoc deprotections were performed using 20% (V/V) piperidine in DMF. Capping of the free amino group was performed manually using 10eq of acetic anhydride in DMF. At the end of the peptide assembly on solid phase, the resin was treated with 100 ml of 3% hydrazine solution in DMF. The solution was drained, and the resin washed with DCM (3 × 5 mL). The deprotection step was repeated, and then the resin was washed with DCM (5 × 5 mL) and DMF (5 × 5 mL). Further side chain derivatization was performed on Cem Liberty Blue microwave peptide synthesizer using standard coupling conditions with 5 folds excess of activated building blocks (Fmoc-PEG2, Fmoc-PEG2 and the Fmoc-gE (Fmoc-Glu-OtBu) and equimolar amounts of 0.5M solution of

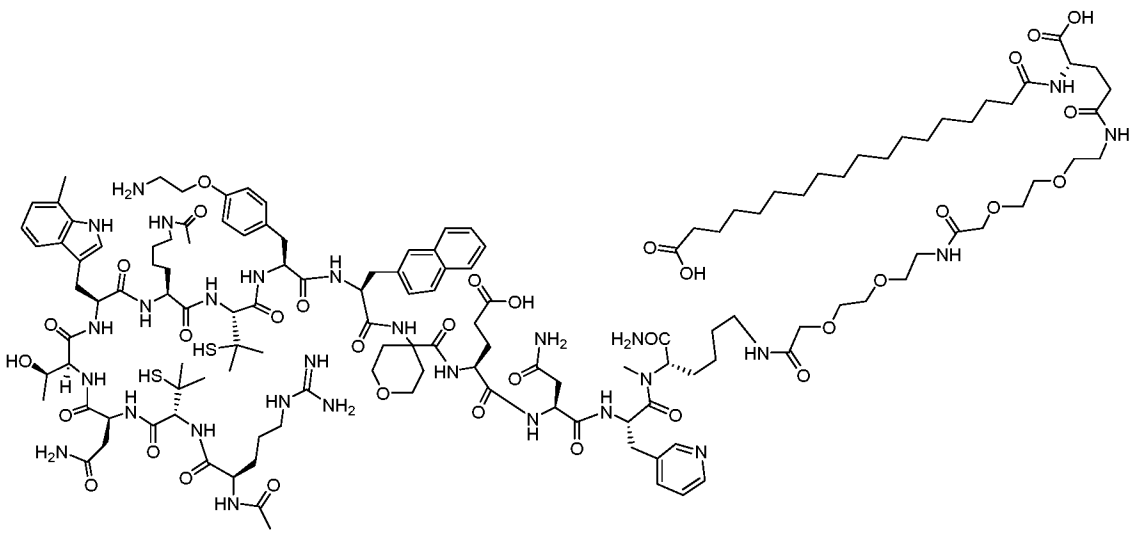
DIC in DMF and Oxyma solution 1M in DMF. C18OH (18-(tert-butoxy)-18-oxooctadecanoic acid) was coupled manually using DIC-HOAT (3Eq, 1:1:1) at room temperature and complete acylation was monitored by ninhydrin test.

[000226] At the end of the assembly the resin was washed with DMF, MeOH, DCM, and Et_2O. The peptide was cleaved from solid support using 15 ml of TFA solution (v/v) (87.5% TFA, 5% H_2O, 2.5% TIPS, 5% Phenol) for approximately 1.5 hours, at room temperature. The resin was then filtered and precipitated in cold MTBE (135mL). After centrifugation, the peptide pellets were washed with fresh cold diethyl-ether to remove the organic scavengers. The process was repeated twice. Final pellets were dried, re-suspended in H_2O and acetonitrile 1:1 + 0.1% TFA and stirred overnight. The mixture was then lyophilized to afford the desired Intermediate 2-1 (50% yield). LCMS anal. calc. for $C_{137}H_{207}N_{29}O_{36}S_2$: 2900.45; found: 967.8 $(M+3)^{3+}$.

Synthesis of MeCO-r-Pen-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2PEG2gEC18OH)-CONH$_2$ (*Pen-Pen form disulfide bond)*

[000227] Intermediate 2-1 was dissolved in ACN/H_2O (1mg/ml). Saturated iodine in acetic acid was then added dropwise under stirring until yellow color persisted. Reaction was completed in 30 min (monitored by UPLC-MS). Solid ascorbic acid was added until the solution became clear. After lyophilization the cyclized peptide was purified by reverse-phase HPLC using preparative Waters DeltaPak C4 (200x40mm, 300Å, 15µm). Mobile phase A: + 0.1% TFA, mobile phase B: Acetonitrile (ACN) + 0.1% TFA. The following gradient of eluent B was used: 25%B to 25%B over 5min, to 40%B over 25min, flow rate 80 mL/min, wavelength 214 nm. Collected fractions were lyophilized to afford the desired compound (5% yield). LCMS anal. calc. for $C_{137}H_{205}N_{29}O_{36}S_2$: 2898.4; found; 1450.0 $(M+2)^{2+}$.

Example 3. Synthesis of MeCO-r-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-E-N-3Pya-NMeK(PEG2PEG2gEC18OH)-CONH$_2$ (*Pen-Pen form disulfide bond)



Intermediate 3-1

Synthesis of Intermediate 3-1

[000228] The peptide was synthesized by standard Solid-phase Peptide Synthesis (SPPS) using Fmoc/t-Bu chemistry. The assembly was performed on a Rink-amide AM resin (110 μmol, 100-200Mesh; loading 0.33 mmol/g) on the Cem Liberty Blue microwave peptide synthesizer (CEM Inc.). During peptide assembly on solid phase, the side chain protecting groups were: tert-butyl for Thr and Glu; trityl for Pen and Asn; tert-butoxy-carbonyl for AEF, Pbf (2,2,4,6,7-pentamethyldihydrobenzofuran-5-sulfonyl) for Arg. The C-terminal NMeLys was protected by the orthogonal DDe protecting group. All the amino acids were dissolved at a 0.4 M concentration in DMF. The acylation reactions were performed for 3 min at 90°C under MW irradiation with 5 folds excess of activated amino acids over the resin free amino groups. The amino acids were activated with equimolar amounts of 0.5M solution of DIC in DMF and Oxyma solution 1M in DMF.

[000229] Double acylation reactions were performed for 3Pya and 2Nal. Fmoc deprotections were performed using 20%(V/V) piperidine in DMF. Capping of the free amino group was performed manually using 10eq of acetic anhydride in DMF. At the end of the peptide assembly on solid phase, the resin was treated with 100 ml of 3% hydrazine solution in DMF. The solution was drained, and the resin washed with DCM (3 × 5 mL). The deprotection step was repeated, and then the resin was washed with DCM (5 × 5 mL), DMF (5 × 5 mL). Further side chain derivatization was performed manually (PEG2, PEG2 and the gE (Fmoc-Glu-OtBu) residues) using DIC-HOAT (3Eq, 1:1:1) at room temperature. C18OH (18-(tert-butoxy)-18-oxooctadecanoic acid) was coupled using DIC-HOAT (3Eq, 1:1:1) at room temperature and complete acylation was monitored by ninhydrin test.

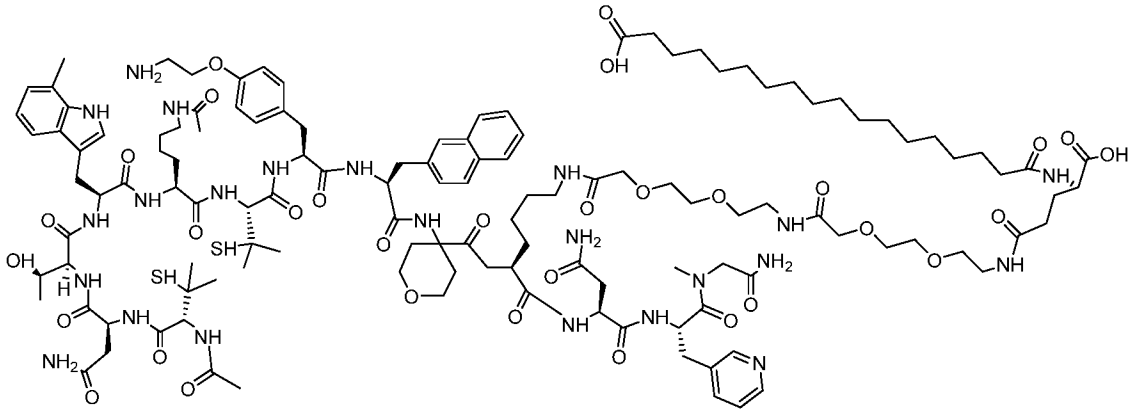
[000230] At the end of the assembly the resin was washed with DMF, MeOH, DCM, Et_2O. The peptide was cleaved from solid support using 15 ml of TFA solution (v/v) (87.5% TFA, 5% H_2O, 2.5% TIPS, 5%Phenol) for approximately 1.5 hours, at room temperature. The resin was then filtered and precipitated in cold MTBE (135mL). After centrifugation, the peptide pellets were washed with fresh cold diethyl-ether to remove the organic scavengers. The process was repeated twice. Final pellets were dried, re-suspended in H_2O and acetonitrile 1:1 + 0.1% TFA and stirred overnight. The mixture was then lyophilized to afford the desired Intermediate 3-1 (59.2% yield). LCMS anal. calc. For $C_{135}H_{204}N_{28}O_{35}S_2$: 2843.38; found: 948.8 $(M+3)^{3+}$.

Synthesis of MeCO-r-Pen-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-E-N-3Pya-NMeK(PEG2PEG2gEC18OH)-$CONH_2$ (*Pen-Pen form disulfide bond)*

[000231] Intermediate 3-1 was dissolved in ACN/H_2O (5mg/ml). Saturated iodine in acetic acid was then added dropwise under stirring until yellow color persisted. Reaction was completed in

30 min (monitored by UPLC-MS). Solid ascorbic acid was added until the solution became clear. After lyophilization the cyclized peptide was purified by reverse-phase HPLC using preparative Waters DeltaPak C4 (200x40mm, 300Å, 15μm). Mobile phase A: + 0.1% TFA, mobile phase B: Acetonitrile (ACN) + 0.1% TFA. The following gradient of eluent B was used: 25%B to 25%B over 5min, to 40%B over 25min, flow rate 80 mL/min, wavelength 214 nm. Collected fractions were lyophilized to afford the desired compound (11% yield). LCMS anal. calc. For $C_{135}H_{202}N_{28}O_{35}S_2$: 2841.38; found: 1421.7 $(M+2)^{2+}$.

Example 4. Synthesis of MeCO- Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2gEC18OH)-N-3Pya-Sar-$CONH_2$ (*Pen-Pen form disulfide bond)



Intermediate 4-1

Synthesis of Intermediate 4-1

[000232] The peptide was synthesized by standard Solid-phase Peptide Synthesis (SPPS) using Fmoc/t-Bu chemistry. The assembly was performed on a Rink-amide AM resin (110 μmol, 100-200Mesh; loading 0.33 mmol/g) on the Cem Liberty Blue microwave peptide synthesizer (CEM Inc.). During peptide assembly on solid phase, the side chain protecting groups were: tert-butyl for Thr; trityl for Pen and Asn; tert-butoxy-carbonyl for AEF. The Lys to be lipidated was protected by the orthogonal DDe protecting group.

[000233] All the amino acids were dissolved at a 0.4 M concentration in DMF. The acylation reactions were performed for 3 min at 90°C under MW irradiation with 5 folds excess of activated amino acids over the resin free amino groups. The amino acids were activated with equimolar amounts of 0.5M solution of DIC in DMF and Oxyma solution 1M in DMF. Double acylation reactions were performed for 3Pya and 2Nal. Fmoc deprotections were performed using 20%(V/V) piperidine in DMF. Capping of the free amino group was performed manually using 10eq of acetic anhydride in DMF. At the end of the peptide assembly on solid phase, the resin was treated with 100 ml of 3% hydrazine solution in DMF. The solution was drained, and the resin washed with DCM (3 × 5 mL). The deprotection step was repeated, and then the resin was washed with DCM (5 × 5 mL), DMF (5 × 5 mL). Further side chain derivatization was

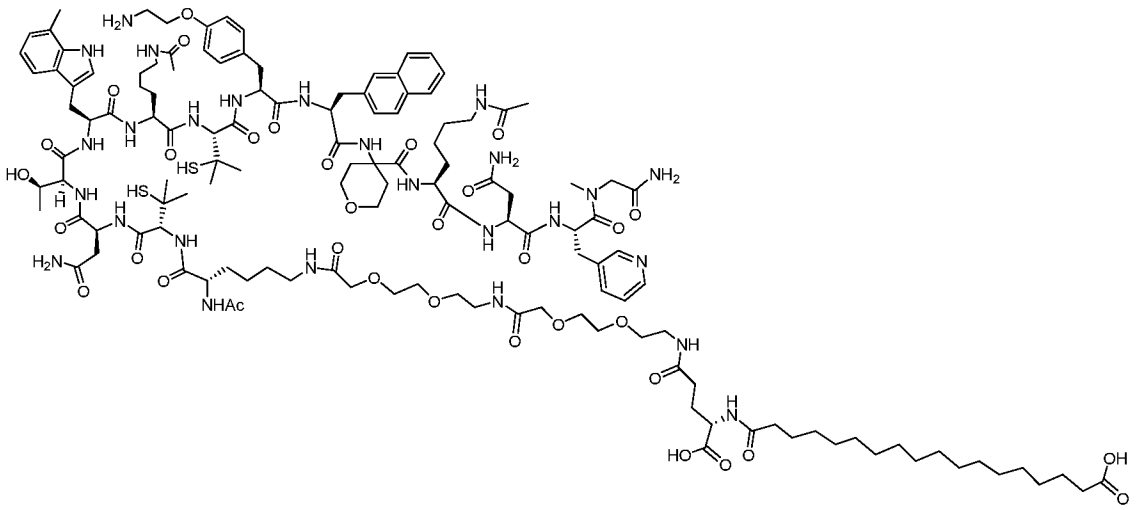
performed manually (PEG2, PEG2 and the gE (Fmoc-Glu-OtBu) residues) using DIC-HOAT (3Eq, 1:1:1) at room temperature. C18OH (18-(tert-butoxy)-18-oxooctadecanoic acid) was coupled using DIC-HOAT (6Eq, 1:1:1) at room temperature and complete acylation was monitored by ninhydrin test.

[000234] At the end of the assembly the resin was washed with DMF, MeOH, DCM, Et_2O. The peptide was cleaved from solid support using 15 ml of TFA solution (v/v) (87.5% TFA, 5% H_2O, 2.5% TIPS, 5%Phenol) for approximately 1.5 hours, at room temperature. The resin was then filtered and precipitated in cold MTBE (135mL). After centrifugation, the peptide pellets were washed with fresh cold diethyl-ether to remove the organic scavengers. The process was repeated twice. Final pellets were dried, re-suspended in H_2O and acetonitrile 1:1 + 0.1% TFA and stirred overnight. The mixture was then lyophilized to afford the desired Intermediate 4-1 (70% yield). LCMS anal. calc $C_{126}H_{188}N_{24}O_{32}S_2$: 2615.13; found: 1308.5 $(M+2)^{2+}$.

Synthesis of MeCO- Pen-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2gEC18OH)-N-3Pya-Sar-$CONH_2$ (*Pen-Pen form disulfide bond)*

[000235] Intermediate 4-1 was dissolved in ACN/H_2O (1mg/ml). Saturated iodine in acetic acid was then added dropwise under stirring until yellow color persisted. Reaction was completed in 30 min (monitored by UPLC-MS). Solid ascorbic acid was added until the solution became clear. After lyophilization the cyclized peptide was purified by reverse-phase HPLC using preparative Waters DeltaPak C4 (200x40mm, 300Å, 15µm). Mobile phase A: + 0.1% TFA, mobile phase B: Acetonitrile (ACN) + 0.1% TFA. The following gradient of eluent B was used: 25%B to 25%B over 5min, to 45%B over 25min, flow rate 80 mL/min, wavelength 214 nm. Collected fractions were lyophilized to afford the desired compound (24% yield): LCMS anal. calc. For $C_{126}H_{186}N_{24}O_{32}S_2$: 2613.13; found: 1307.4$(M+2)^{2+}$.

Example 5. Synthesis of MeCO-k(PEG2PEG2gEC18OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP- K(Ac)-N-3Pya-Sar-$CONH_2$ (*Pen-Pen form disulfide bond)



Intermediate 5-1

Synthesis of Intermediate 5-1

[000236] The peptide was synthesized by standard Solid-phase Peptide Synthesis (SPPS) using Fmoc/t-Bu chemistry. The assembly was performed on a Rink-amide AM resin (110 μmol, 100-200Mesh; loading 0.33 mmol/g) on the Cem Liberty Blue microwave peptide synthesizer (CEM Inc.). During peptide assembly on solid phase, the side chain protecting groups were: tert-butyl for Thr; trityl for Pen and Asn; tert-butoxy-carbonyl for AEF. The N-terminal D-Lys was protected by the orthogonal DDe protecting group.

[000237] All the amino acids were dissolved at a 0.4 M concentration in DMF. The acylation reactions were performed for 3 min at 90°C under MW irradiation with 5 folds excess of activated amino acids over the resin free amino groups. The amino acids were activated with equimolar amounts of 0.5M solution of DIC in DMF and Oxyma solution 1M in DMF. Double acylation reactions were performed for 3Pya and 2Nal. Fmoc deprotections were performed using 20%(V/V) piperidine in DMF. Capping of the free amino group was performed manually using 10eq of acetic anhydride in DMF. At the end of the peptide assembly on solid phase, the resin was treated with 100 ml of 3% hydrazine solution in DMF. The solution was drained, and the resin washed with DCM (3 × 5 mL). The deprotection step was repeated, and then the resin was washed with DCM (5 × 5 mL), DMF (5 × 5 mL). Further side chain derivatization was performed manually (PEG2, PEG2 and the gE (Fmoc-Glu-OtBu) residues) using DIC-HOAT (3Eq, 1:1:1) at room temperature. C18OH (18-(tert-butoxy)-18-oxooctadecanoic acid) was coupled using DIC-HOAT (6Eq, 1:1:1) at room temperature and complete acylation was monitored by ninhydrin test.

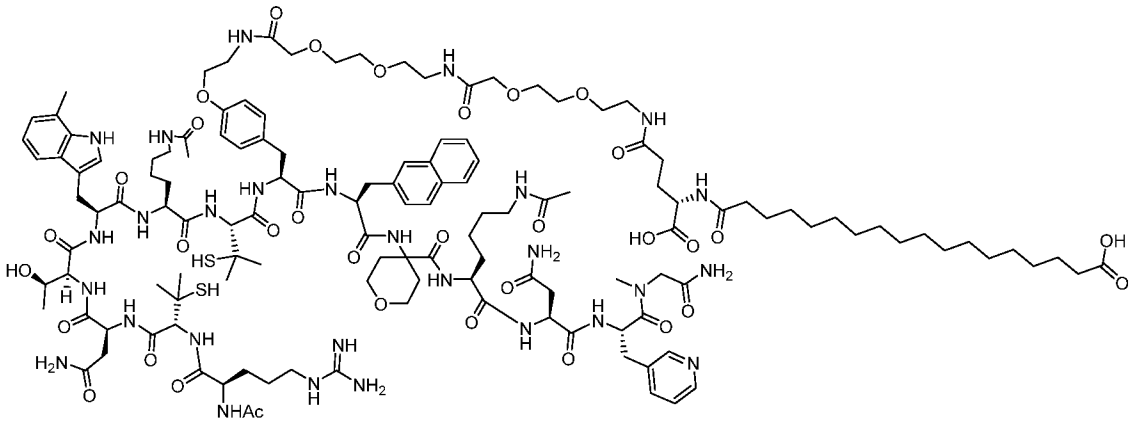
[000238] At the end of the assembly the resin was washed with DMF, MeOH, DCM, and Et_2O. The peptide was cleaved from solid support using 15 ml of TFA solution (v/v) (87.5% TFA, 5% H_2O, 2.5% TIPS, 5%Phenol) for approximately 1.5 hours, at room temperature. The resin was then filtered and precipitated in cold MTBE (135mL). After centrifugation, the peptide pellets were washed with fresh cold diethyl-ether to remove the organic scavengers. The process was repeated twice. Final pellets were dried, re-suspended in H_2O and acetonitrile 1:1 + 0.1% TFA and stirred overnight. The mixture was then lyophilized to afford the desired Intermediate 5-1 (89% yield). LCMS anal. calc. For $C_{134}H_{202}N_{26}O_{34}S_2$: 2785.3; found: 1393.4 $(M+2)^{2+}$.

Synthesis of MeCO-k(PEG2PEG2gEC18OH)-Pen-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH$_2$ (*Pen-Pen form disulfide bond)*

[000239] Intermediate 5-1 was dissolved in ACN/H_2O (5mg/ml). Saturated iodine in acetic acid was then added dropwise under stirring until yellow color persisted. Reaction was completed in 30 min (monitored by UPLC-MS). Solid ascorbic acid was added until the solution became

clear. After lyophilization the cyclized peptide was purified by reverse-phase HPLC using preparative Waters DeltaPak C4 (200x40mm, 300Å, 15μm). Mobile phase A: + 0.1% TFA, mobile phase B: Acetonitrile (ACN) + 0.1% TFA. The following gradient of eluent B was used: 25%B to 25%B over 5min, to 40%B over 25min, flow rate 80 mL/min, wavelength 214 nm. Collected fractions were lyophilized to afford desired compound (28% yield): LCMS anal. calc. For $C_{134}H_{200}N_{26}O_{34}S_2$: 2783.34; found: 1392.4 $(M+2)^{2+}$.

Example 6. Synthesis of MeCO-r-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF(PEG2PEG2gEC18OH)-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH$_2$ (*Pen-Pen form disulfide bond)



Intermediate 6-1

Synthesis of Intermediate 6-1

[000240] The peptide was synthesized by standard Solid-phase Peptide Synthesis (SPPS) using Fmoc/t-Bu chemistry. The assembly was performed on a Rink-amide AM resin (110 μmol, 100-200Mesh; loading 0.33 mmol/g) on the Cem Liberty Blue microwave peptide synthesizer (CEM Inc.). During peptide assembly on solid phase, the side chain protecting groups were: tert-butyl for Thr; trityl for Pen and Asn; Pbf (2,2,4,6,7-pentamethyldihydrobenzofuran-5-sulfonyl) for Arg. The AEF was protected by the orthogonal DDe protecting group. All the amino acids were dissolved at a 0.4 M concentration in DMF. The acylation reactions were performed for 3 min at 90°C under MW irradiation with 5 folds excess of activated amino acids over the resin free amino groups. The amino acids were activated with equimolar amounts of 0.5M solution of DIC in DMF and Oxyma solution 1M in DMF. Double acylation reactions were performed for 3Pya and 2Nal. Fmoc deprotections were performed using 20%(V/V) piperidine in DMF. Capping of the free amino group was performed manually using 10eq of acetic anhydride in DMF.

[000241] At the end of the peptide assembly on solid phase, the resin was treated with 100 ml of 3% hydrazine solution in DMF. The solution was drained, and the resin washed with DCM (3 × 5 mL) and DMF (5 × 5 mL). Further side chain derivatization was performed manually (PEG2,

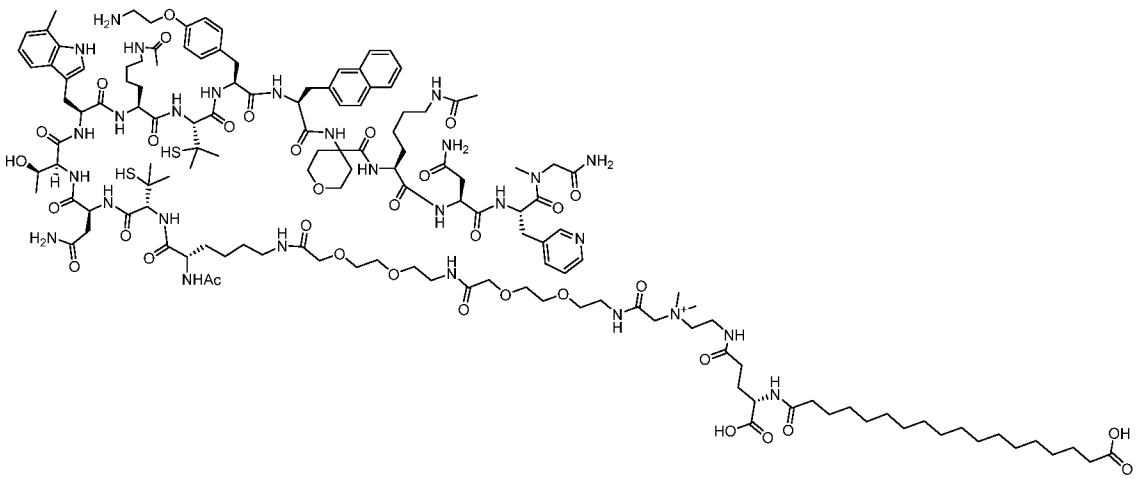
PEG2 and the gE (Fmoc-Glu-OtBu) residues) using DIC-HOAT (3Eq, 1:1:1) at room temperature. C18OH (18-(tert-butoxy)-18-oxooctadecanoic acid) was coupled using DIC-HOAT (6Eq, 1:1:1) in NMP at room temperature and complete acylation was monitored by ninhydrin test.

[000242] At the end of the assembly the resin was washed with DMF, MeOH, DCM, Et_2O. The peptide was cleaved from solid support using 15 ml of TFA solution (v/v) (87.5% TFA, 5% H_2O, 2.5% TIPS, 5%Phenol) for approximately 1.5 hours, at room temperature. The resin was then filtered and precipitated in cold MTBE (135mL). After centrifugation, the peptide pellets were washed with fresh cold diethyl-ether to remove the organic scavengers. The process was repeated twice. Final pellets were dried, re-suspended in H_2O and acetonitrile 1:1 + 0.1% TFA and stirred overnight. The mixture was then lyophilized to afford the desired Intermediate 6-1 (78.5% yield). LCMS anal. calc. For $C_{134}H_{202}N_{28}O_{34}S_2$: 2813.37; found: 938.5 $(M+3)^{3+}$.

Synthesis of MeCO-r-Pen-N-T-7MeW-K(Ac)-Pen*-AEF(PEG2PEG2gEC18OH)-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH$_2$ (*Pen-Pen form disulfide bond)*

[000243] Intermediate 6-1 was dissolved in ACN/H_2O (1mg/ml). Saturated iodine in acetic acid was then added dropwise under stirring until yellow color persisted. Reaction was completed in 30 min (monitored by UPLC-MS). Solid ascorbic acid was added until the solution became clear. After lyophilization the cyclized peptide was purified by reverse-phase HPLC using preparative Waters DeltaPak C4 (200x40mm, 300Å, 15µm). Mobile phase A: + 0.1% TFA, mobile phase B: Acetonitrile (ACN) + 0.1% TFA. The following gradient of eluent B was used: 25%B to 25%B over 5min, to 40%B over 25min, flow rate 80 mL/min, wavelength 214 nm. Collected fractions were lyophilized to afford the desired compound (10% yield): LCMS anal. calc. For C134H200N28O34S2: 2811.36; found: 1406.2 $(M+2)^{2+}$.

Example 7. Synthesis of MeCO-k(PEG2PEG2SP6gEC18OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH$_2$ (*Pen-Pen form disulfide bond)



Synthesis of Intermediate 7-1

[000244] The peptide was synthesized by standard Solid-phase Peptide Synthesis (SPPS) using Fmoc/t-Bu chemistry. The assembly was performed on a Rink-amide AM resin (110 μmol, 100-200Mesh; loading 0.34 mmol/g) on the Cem Liberty Blue microwave peptide synthesizer (CEM Inc.). During peptide assembly on solid phase, the side chain protecting groups were: tert-butyl for Thr and Glu; trityl for Pen and Asn; tert-butoxy-carbonyl for AEF. The N-terminal D-Lys was protected by the orthogonal DDe protecting group.

[000245] All the amino acids were dissolved at a 0.4 M concentration in DMF. The acylation reactions were performed for 3 min at 90°C under MW irradiation with 5 folds excess of activated amino acids over the resin free amino groups. The amino acids were activated with equimolar amounts of 0.5M solution of DIC in DMF and Oxyma solution 1M in DMF. Double acylation reactions were performed for 3Pya and 2Nal. Fmoc deprotections were performed using 20%(V/V) piperidine in DMF. Capping of the free amino group was performed manually using 10eq of acetic anhydride in DMF.

[000246] At the end of the peptide assembly on solid phase, the resin was treated with 100 ml of 3% hydrazine solution in DMF. The solution was drained, and the resin washed with DCM (3 × 5 mL) and DMF (5 × 5 mL). Further side chain derivatization was performed manually (PEG2, PEG2, Fmoc-SP6 ((2-((((9H-fluoren-9-yl)methoxy)carbonyl)amino)-N-(carboxymethyl)-N,N-dimethylethan-1-aminium) and the gE (Fmoc-Glu-OtBu) residues) using DIC-HOAT (3Eq, 1:1:1) at room temperature. C18OH (18-(tert-butoxy)-18-oxooctadecanoic acid) was coupled using DIC-HOAT (6Eq, 1:1:1) at room temperature and complete acylation was monitored by ninhydrin test.

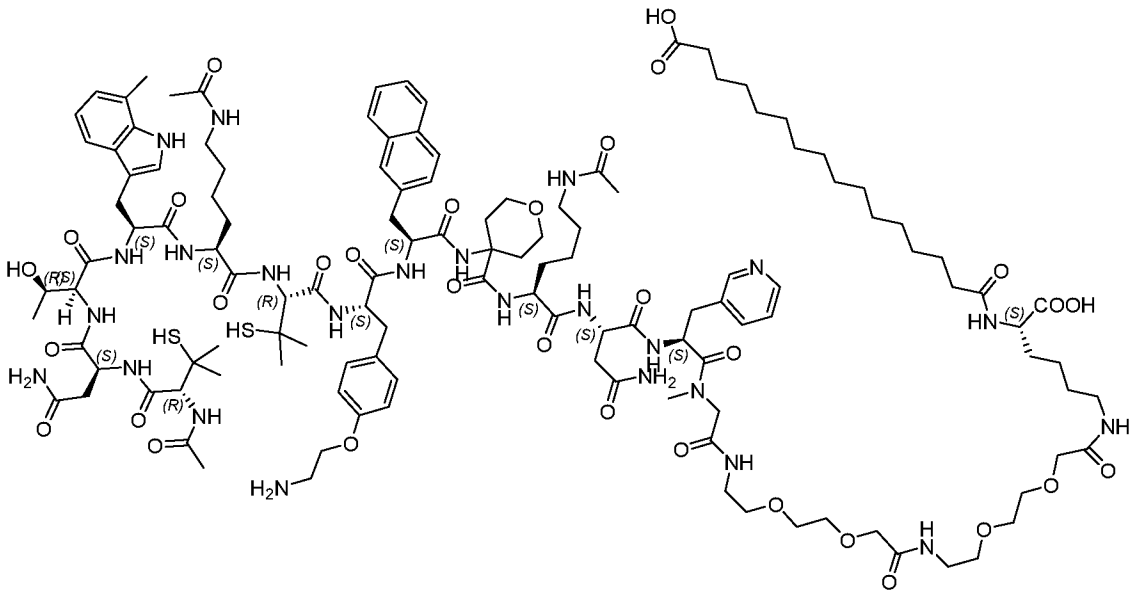
[000247] At the end of the assembly the resin was washed with DMF, MeOH, DCM, Et_2O. The peptide was cleaved from solid support using 15 ml of TFA solution (v/v) (87.5% TFA, 5% H_2O, 2.5% TIPS, 5%Phenol) for approximately 1.5 hours, at room temperature. The resin was

then filtered and precipitated in cold MTBE (135mL). After centrifugation, the peptide pellets were washed with fresh cold diethyl-ether to remove the organic scavengers. The process was repeated twice. Final pellets were dried, re-suspended in H_2O and acetonitrile 1:1 + 0.1% TFA and stirred overnight. The mixture was then lyophilized to afford the desired Intermediate 7-1 (80% yield). LCMS anal. calc. C140H215N28O35S2+: 2914.52; found: 972.5 $(M+3)^{3+}$.

Synthesis of MeCO-k(PEG2PEG2SP6gEC18OH)-Pen-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH2*

[000248] Intermediate 7-1 was dissolved in ACN/H_2O (1mg/ml). Saturated iodine in acetic acid was then added dropwise under stirring until yellow color persisted. Reaction was completed in 30 min (monitored by UPLC-MS). Solid ascorbic acid was added until the solution became clear. After lyophilization the cyclized peptide was purified by reverse-phase HPLC using preparative Waters DeltaPak C4 (200x40mm, 300Å, 15µm). Mobile phase A: + 0.1% TFA, mobile phase B: Acetonitrile (ACN) + 0.1% TFA. The following gradient of eluent B was used: 25%B to 25%B over 5min, to 40%B over 25min, flow rate 80 mL/min, wavelength 214 nm. Collected fractions were lyophilized to afford the desired compound (35% yield). LCMS anal. calc. For $C_{140}H_{213}N_{28}O_{35}S_2^+$: 2912.52; found: 1456.6$(M+2)^{2+}$.

Example 8. Synthesis of MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-PEG2-PEG2-eK(C16OH)-COOH (*Pen-Pen form disulfide bond)



Intermediate 8-1

Synthesis of Intermediate 8-1

[000249] The peptide was synthesized by standard Solid-phase Peptide Synthesis (SPPS) using Fmoc/t-Bu chemistry. The assembly was performed on a Wang resin (75 µmol, 100-200Mesh; loading 0.33 mmol/g). First amino acids were incorporated manually: Dde-Lys(Fmoc)-OH (10

eq) was dissolved in 7 ml of a solution of dry DCM/dry DMF (10:1) under N_2 and DIC (5 eq) was added at 0 °C, Reaction mixture was left under stirring at 0 °C for 20 min, then concentrated to dryness. The residue was dissolved in dry DMF and added to Wang resin (Novabiochem, 100-200 mesh, 0.83 mmol/g), under N_2 atmosphere. DMAP (4-Dimethylaminopyridine, 0.1 eq) was added. The mixture was stirred at RT for 1h, then the cycle was repeated. After Fmoc removal, assembly was continued on a CEM Liberty Blue microwave peptide synthesizer (CEM Inc.). During peptide synthesis on solid phase, the side chain protecting groups were: tert-butyl for Thr; trityl for Pen and Asn; tert-butoxy-carbonyl for AEF. Lys source was N6-(((9H-fluoren-9-yl)methoxy)carbonyl)-N2-(1-(4,4-dimethyl-3,5-dioxocyclohexylidene)ethyl)-L-lysine. All the amino acids and building blocks were dissolved at a 0.4 M concentration in DMF. The acylation reactions were performed for 3 min at 90 °C under MW irradiation with 5 folds excess of activated amino acids over the resin free amino groups. The amino acids were activated with equimolar amounts of 0.5 M solution of DIC in DMF and Oxyma solution 1 M in DMF. Double acylation reactions were performed for 3Pya and 2Nal. Fmoc deprotections were performed using 20% (V/V) piperidine in DMF. Capping of the free amino group was performed manually using 10 equiv. of acetic anhydride in DMF. At the end of the peptide assembly on solid phase, the resin was treated with 100 ml of 3% hydrazine solution in DMF. The solution was drained, and the resin washed with DCM (3 × 5 mL) and DMF (5 × 5 mL). Further side chain derivatization with C16OH (hexadecandioic acid) was performed manually using DIC-HOAT (6Eq, 1:1:1) at room temperature and complete acylation was monitored by ninhydrin test.

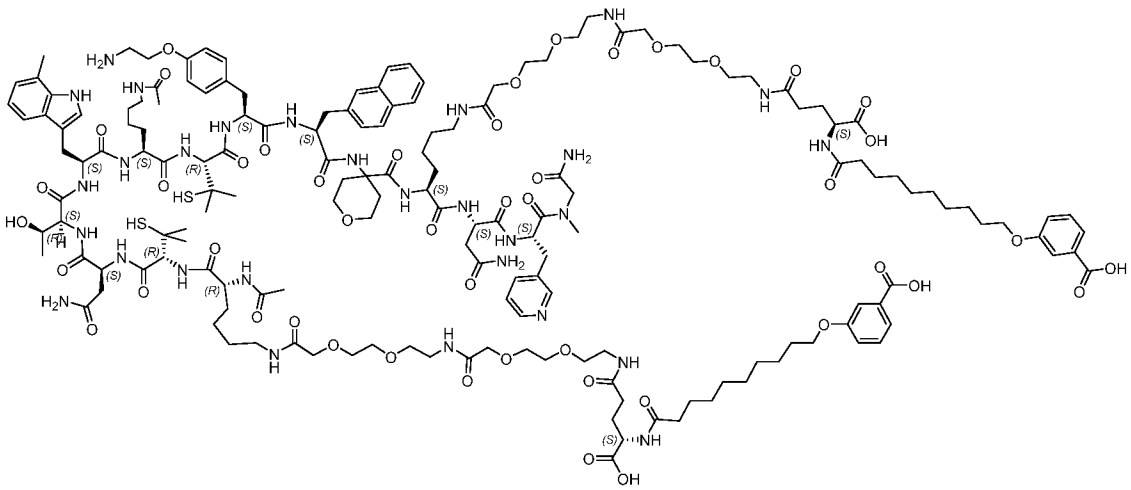
[000250] At the end of the assembly, the resin was washed with NMP, DMF, MeOH, DCM, and Et_2O. The peptide was cleaved from solid support using 15 ml of TFA solution (v/v) (87.5% TFA, 5% H_2O, 2.5% TIPS, 5% Phenol) for approximately 1.5 hours, at room temperature. The resin was then filtered and precipitated in cold MTBE (135mL). After centrifugation, the peptide pellets were washed with fresh cold diethyl-ether to remove the organic scavengers. The process was repeated twice. Final pellets were dried, re-suspended in H_2O and acetonitrile 1:1 + 0.1% TFA and stirred overnight. The mixture was then lyophilized to afford the desired Intermediate 8-1 (60% yield). LCMS anal. calc. For $C_{127}H_{190}N_{24}O_{32}S_2$ 2629.16; found: 1315.7 $(M+2)^{2+}$.

Synthesis of MeCO-Pen-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-PEG2-PEG2-eK(C16OH)-COOH*

[000251] Intermediate 8-1 was dissolved in ACN/H_2O (5mg/ml). Saturated iodine in acetic acid was then added dropwise under stirring until yellow color persisted. Reaction was completed in 30 min (monitored by UPLC-MS). Solid ascorbic acid was added until the solution became clear. After lyophilization the cyclized peptide was purified by reverse-phase HPLC using preparative Reprosyl C4 (200x40mm, 300Å, 15µm). Mobile phase A: + 0.1% TFA,

mobile phase B: Acetonitrile (ACN) + 0.1% TFA. The following gradient of eluent B was used: 25%B to 25%B over 5min, to 40%B over 25min, flow rate 60 mL/min, wavelength 214 nm. Collected fractions were lyophilized to afford the desired compound (7% yield): LCMS anal. calc. For $C_{127}H_{188}N_{24}O_{32}S_2$ 2627.16; found: 1314.7 $(M+2)^{2+}$.

Example 9. Synthesis of MeCO-k(PEG2PEG2gEmXOH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2gEmXOH)-N-3Pya-Sar-$CONH_2$ (*Pen-Pen form disulfide bond)



Intermediate 9-1

Synthesis of Intermediate 9-1

[000252] The peptide was synthesized by standard Solid-phase Peptide Synthesis (SPPS) using Fmoc/t-Bu chemistry. The assembly was performed on a Rink-amide AM resin (110 μmol, 100-200Mesh; loading 0.33 mmol/g) on the Cem Liberty Blue microwave peptide synthesizer (CEM Inc.). During peptide assembly on solid phase, the side chain protecting groups were: tert-butyl for Thr; trityl for Pen and Asn; tert-butoxy-carbonyl for AEF. The Lys to be attached to the THP and the N-terminal D-Lys were protected by the orthogonal DDe protecting group.

[000253] All the amino acids were dissolved at a 0.4 M concentration in DMF. The acylation reactions were performed for 3 min at 90°C under microwave (MW) irradiation with 5 folds excess of activated amino acids over the resin free amino groups. The amino acids were activated with equimolar amounts of 0.5M solution of DIC in DMF and Oxyma solution 1M in DMF. Double acylation reactions were performed for 3Pya and 2Nal. Fmoc deprotections were performed using 20%(V/V) piperidine in DMF. Capping of the free amino group was performed manually using 10eq of acetic anhydride in DMF. At the end of the peptide assembly on solid phase, the resin was treated with 100 ml of 3% hydrazine solution in DMF to remove the DDe protecting group from Lys/D-Lys. The solution was drained, and the resin washed with DCM (3 × 5 mL). The deprotection step was repeated, and then the resin was washed with DCM (5 × 5

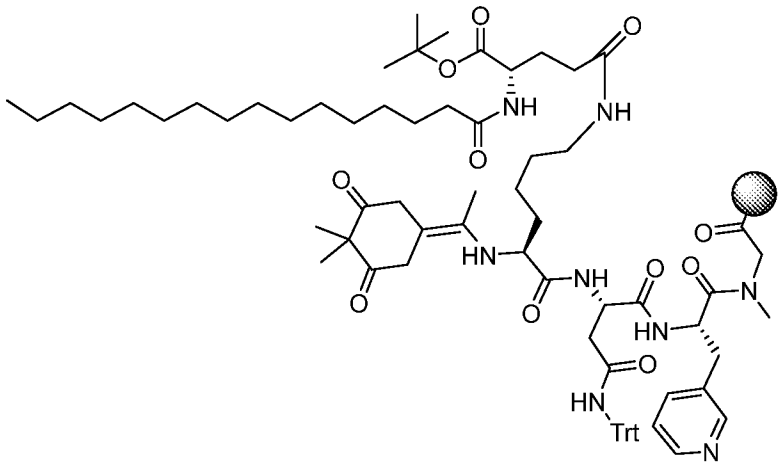
mL), DMF (5 × 5 mL). Further side chain derivatization was performed manually (PEG2, PEG2 and the gE (Fmoc-Glu-OtBu) residues) using DIC-HOAT (6Eq, 1:1:1) at room temperature. mXOH (10-(3-(tert-butoxycarbonyl)phenoxy)decanoic acid) was coupled using DIC-HOAT (4Eq, 1:1:1) at room temperature and complete acylation was monitored by ninhydrin test.

[000254] At the end of the assembly the resin was washed with DMF, MeOH, DCM, Et_2O. The peptide was cleaved from solid support using 15 ml of TFA solution (v/v) (87.5% TFA, 5% H_2O, 2.5% TIPS, 5%Phenol) for approximately 1.5 hours, at room temperature. The resin was then filtered and precipitated in cold MTBE (135mL). After centrifugation, the peptide pellets were washed with fresh cold diethyl-ether to remove the organic scavengers. The process was repeated twice. Final pellets were dried, re-suspended in H_2O and acetonitrile 1:1 + 0.1% TFA and stirred overnight. The mixture was then lyophilized to afford the desired Intermediate 9-1 (70% yield). LCMS anal. calc. For $C_{165}H_{241}N_{29}O_{47}S_2$ 3447; found: 1150 $(M+2)^{2+}$.

Synthesis of MeCO-k(PEG2PEG2gEmXOH)-Pen-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2gEmXOH)-N-3Pya-Sar-CONH$_2$*

[000255] Intermediate 9-1 was dissolved in ACN/H_2O (1 mg/ml). Saturated Iodine in acetic acid was then added dropwise under stirring until yellow color persisted. Reaction was completed in 30 min (monitored by UPLC-MS). Solid ascorbic acid was added until the solution became clear. After lyophilization the cyclized peptide was purified by reverse-phase HPLC using preparative Waters DeltaPak C4 (200x40mm, 300Å, 15µm). Mobile phase A: + 0.1% TFA, mobile phase B: Acetonitrile (ACN) + 0.1% TFA. The following gradient of eluent B was used: 25%B to 25%B over 5min, to 40%B over 25min, flow rate 80 mL/min, wavelength 214 nm. Collected fractions were lyophilized to afford the desired compound (13% yield): LCMS anal. calc. For $C_{165}H_{239}N_{29}O_{47}S_2$ 3445; found: 1149.1 $(M+3)^{3+}$.

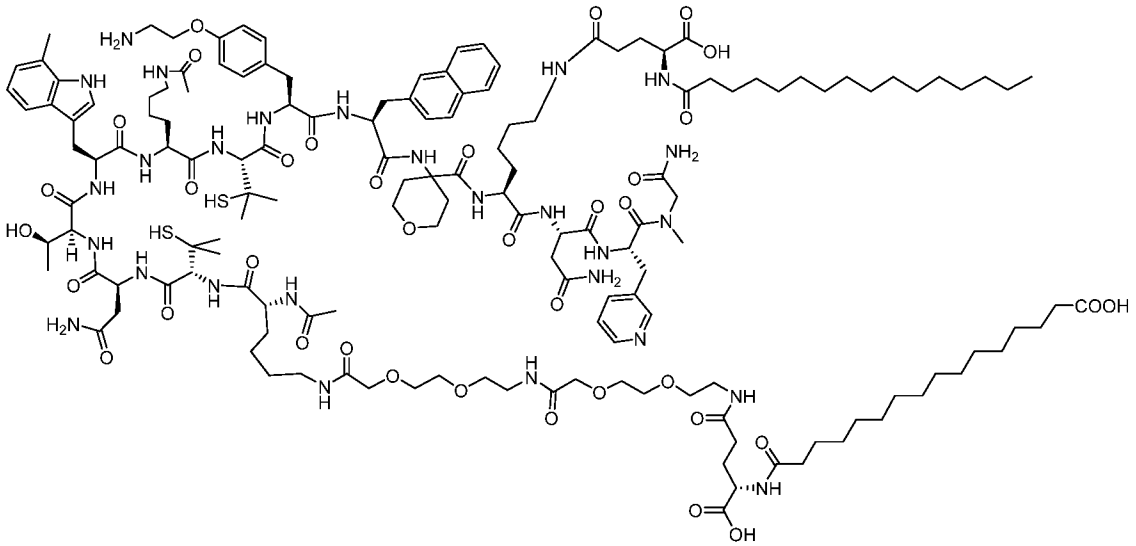
Example 10. Synthesis of MeCO-k(PEG2PEG2gEC16OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(gEC16)-N-3Pya-Sar-CONH$_2$ (*Pen-Pen form disulfide bond)



Intermediate 10-1

Synthesis of Intermediate 10-1

[000256] The peptide was synthesized by standard Solid-phase Peptide Synthesis (SPPS) using Fmoc/t-Bu chemistry. The assembly was performed on a Rink-amide AM resin (75 μmol, 100-200Mesh; loading 0.33 mmol/g) on the Cem Liberty Blue microwave peptide synthesizer (CEM Inc.). During peptide assembly on solid phase, the side chain protecting groups were: tert-butyl for gE; trityl for Asn. Lys starting material was DDe-Lys(Fmoc)-OH. All the amino acids were dissolved at a 0.4 M concentration in DMF. The acylation reactions were performed for 3 min at 90°C under MW irradiation with 5 folds excess of activated amino acids over the resin free amino groups. Double acylation reactions were performed for 3Pya15. The amino acids were activated with equimolar amounts of 0.5M solution of DIC in DMF and Oxyma solution 1M in DMF.



Intermediate 10-2

Synthesis of Intermediate 10-2

[000257] Intermediate 10-1 was treated with 100 ml of 3% hydrazine solution in DMF to remove the Dde protecting group from Lys. The solution was drained, and the resin washed with DCM (3 × 5 mL) and DMF (5 × 5 mL). Assembly was then continued on the Cem Liberty Blue microwave peptide synthesizer using standard coupling conditions. The side chain protecting groups were: tert-butyl for Thr, trityl for Pen and Asn; tert-butoxy-carbonyl for AEF. N-terminal D-Lys residue was protected by the orthogonal DDe protecting group. Double acylation reactions were performed for 2Nal. Fmoc deprotections were performed using 20%(V/V) piperidine in DMF. Capping of the free amino group was performed manually using 10eq of acetic anhydride in DMF. At the end of the peptide assembly on solid phase, the resin was treated with 100 ml of 3% hydrazine solution in DMF to remove the Dde protecting group from

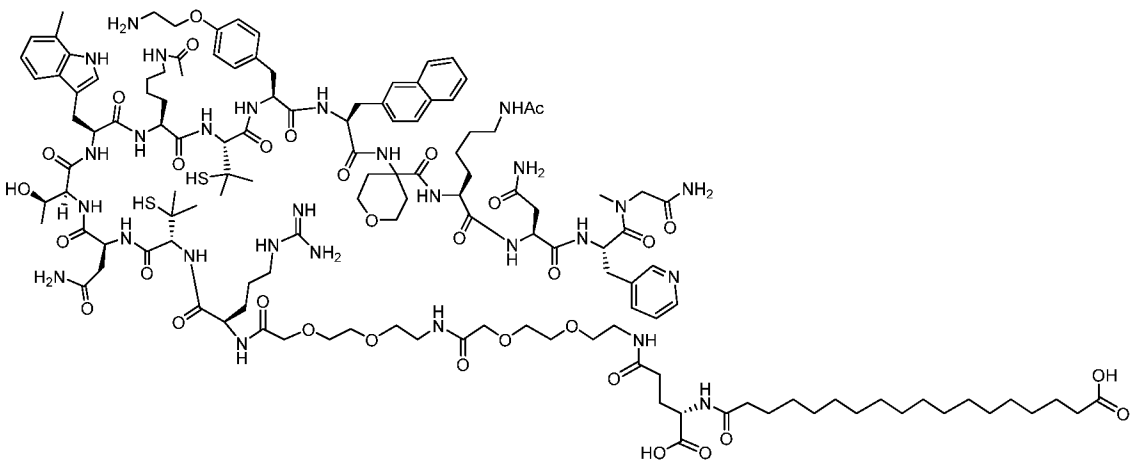
D-Lys. The solution was drained, and the resin washed with DCM (3 × 5 mL). The deprotection step was repeated, and then the resin was washed with DCM (5 × 5 mL), DMF (5 × 5 mL). Further side chain derivatization was performed manually (PEG2, PEG2 and the gE (Fmoc-Glu-OtBu) residues) using DIC-HOAT (6Eq, 1:1:1) at room temperature. C16OH (Hexadecandioic acid) was coupled using DIC-HOAT (10Eq, 1:1:1) at room temperature and complete acylation was monitored by ninhydrin test.

[000258] At the end of the assembly the resin was washed with DMF, MeOH, DCM, Et_2O. The peptide was cleaved from solid support using 15 ml of TFA solution (v/v) (87.5% TFA, 5% H_2O, 2.5% TIPS, 5%Phenol) for approximately 1.5 hours, at room temperature. The resin was then filtered and precipitated in cold MTBE (135mL). After centrifugation, the peptide pellets were washed with fresh cold diethyl-ether to remove the organic scavengers. The process was repeated twice. Final pellets were dried, re-suspended in H_2O and acetonitrile 1:1 + 0.1% TFA and stirred overnight. Then lyophilized to afford the Intermediate 109-2 (94% yield). LCMS anal. calc. For $C_{151}H_{233}N_{27}O_{37}S_2$ 3082.80; found: 1542.2 $(M+2)^{2+}$

Synthesis of MeCO-k(PEG2PEG2gEC16OH)-Pen-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(gEC16)-N-3Pya-Sar-CONH$_2$*

[000259] Intermediate 10-2 was dissolved in ACN/H_2O (5mg/ml). Saturated Iodine in acetic acid was then added dropwise under stirring until yellow color persisted. Reaction was completed in 30 min (monitored by UPLC-MS). Solid ascorbic acid was added until the solution became clear. After lyophilization the cyclized peptide was purified by reverse-phase HPLC using preparative Waters DeltaPak C4 (200x40mm, 300Å, 15µm). Mobile phase A: + 0.1% TFA, mobile phase B: Acetonitrile (ACN) + 0.1% TFA. The following gradient of eluent B was used: 30%B to 30%B over 5min, to 45%B over 20min, flow rate 80 mL/min, wavelength 214 nm. Collected fractions were lyophilized to afford the desired compound (13% yield). LCMS anal. calc. For $C_{151}H_{231}N_{27}O_{37}S_2$ 3080.2; found: 1541.2 $(M+2)^{2+}$.

Example 11. Synthesis of HOC18gEPEG2PEG2-r-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH$_2$ (*Pen-Pen form disulfide bond)



Intermediate 11-1

Synthesis of Intermediate 11-1

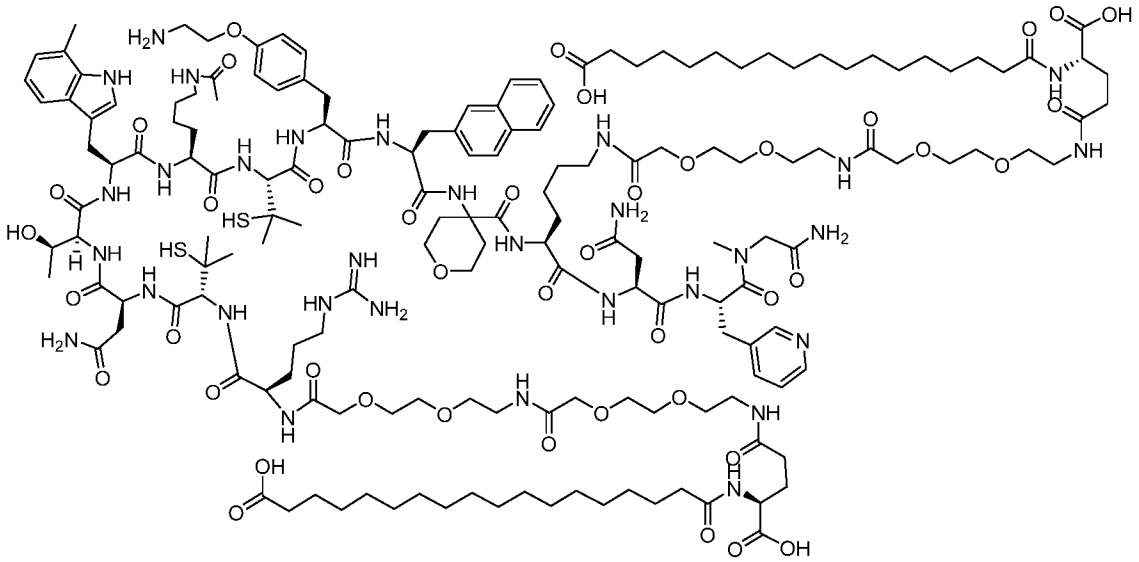
[000260] The peptide was synthesized by standard Solid-phase Peptide Synthesis (SPPS) using Fmoc/t-Bu chemistry. The assembly was performed on a Rink-amide AM resin (110 µmol, 100-200Mesh; loading 0.33 mmol/g) on the Cem Liberty Blue microwave peptide synthesizer (CEM Inc.). During peptide assembly on solid phase, the side chain protecting groups were: tert-butyl for Thr and Glu; trityl for Pen and Asn; tert-butoxy-carbonyl for AEF, Pbf (2,2,4,6,7-pentamethyldihydrobenzofuran-5-sulfonyl) for Arg. All the amino acids were dissolved at a 0.4 M concentration in DMF. The acylation reactions were performed for 3 min at 90°C under MW irradiation with 5 folds excess of activated amino acids over the resin free amino groups. The amino acids were activated with equimolar amounts of 0.5M solution of DIC in DMF and Oxyma solution 1M in DMF. Double acylation reactions were performed for 3Pya and 2Nal. Fmoc deprotections were performed using 20%(V/V) piperidine in DMF. Capping of the free amino group was performed manually (PEG2, PEG2 and the gE (Fmoc-Glu-OtBu) residues) using DIC-HOAT (6Eq, 1:1:1) at room temperature. C18OH (18-(tert-butoxy)-18-oxooctadecanoic acid) was coupled using DIC-HOAT (6Eq, 1:1:1) at room temperature and complete acylation was monitored by ninhydrin test.

[000261] At the end of the assembly the resin was washed with DMF, MeOH, DCM, Et_2O. The peptide was cleaved from solid support using 15 ml of TFA solution (v/v) (87.5% TFA, 5% H_2O, 2.5% TIPS, 5%Phenol) for approximately 1.5 hours, at room temperature. The resin was then filtered and precipitated in cold MTBE (135mL). After centrifugation, the peptide pellets were washed with fresh cold diethyl-ether to remove the organic scavengers. The process was repeated twice. Final pellets were dried, re-suspended in H_2O and acetonitrile 1:1 + 0.1% TFA and stirred overnight. The mixture was then lyophilized to afford the desired Intermediate 11-1 (78.1 % yield). LCMS anal. calc. For $C_{132}H_{200}N_{28}O_{33}S_2$ 2771.32; found: 924.7 $(M+3)^{3+}$.

Synthesis of HOC18gEPEG2PEG2-r-Pen-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH$_2$*

[000262] Intermediate 12-1 was dissolved in ACN/H_2O (1mg/ml). Saturated Iodine in acetic acid was then added dropwise under stirring until yellow color persisted. Reaction was completed in 30 min (monitored by UPLC-MS). Solid ascorbic acid was added until the solution became clear. After lyophilization the cyclized peptide was purified by reverse-phase HPLC using preparative Waters DeltaPak C4 (200x40mm, 300Å, 15μm). Mobile phase A: + 0.1% TFA, mobile phase B: Acetonitrile (ACN) + 0.1% TFA. The following gradient of eluent B was used: 25%B to 25%B over 5min, to 40%B over 25min, flow rate 80 mL/min, wavelength 214 nm. Collected fractions were lyophilized to afford the desired compound (22% yield). LCMS anal. calc. For $C_{132}H_{198}N_{28}O_{33}S_2$ 2769.32; found: 1386.1 $(M+2)^{2+}$.

Example 12. Synthesis of HOC18gEPEG2PEG2-r-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2gEC18OH)-N-3Pya-Sar-CONH$_2$ (*Pen-Pen form disulfide bond)



Intermediate 12-1

Synthesis of Intermediate 12-1

[000263] The peptide was synthesized by standard Solid-phase Peptide Synthesis (SPPS) using Fmoc/t-Bu chemistry. The assembly was performed on a Rink-amide AM resin (73 μmol, 100-200Mesh; loading 0.33 mmol/g) on the Cem Liberty Blue microwave peptide synthesizer (CEM Inc.). During peptide assembly on solid phase, the side chain protecting groups were: tert-butyl for Thr; trityl for Pen and Asn; tert-butoxy-carbonyl for AEF, Pbf (2,2,4,6,7-pentamethyldihydrobenzofuran-5-sulfonyl) for Arg. The Lys was protected by the orthogonal DDe protecting group.

[000264] All the amino acids were dissolved at a 0.4 M concentration in DMF. The acylation reactions were performed for 3 min at 90°C under MW irradiation with 5 folds excess of

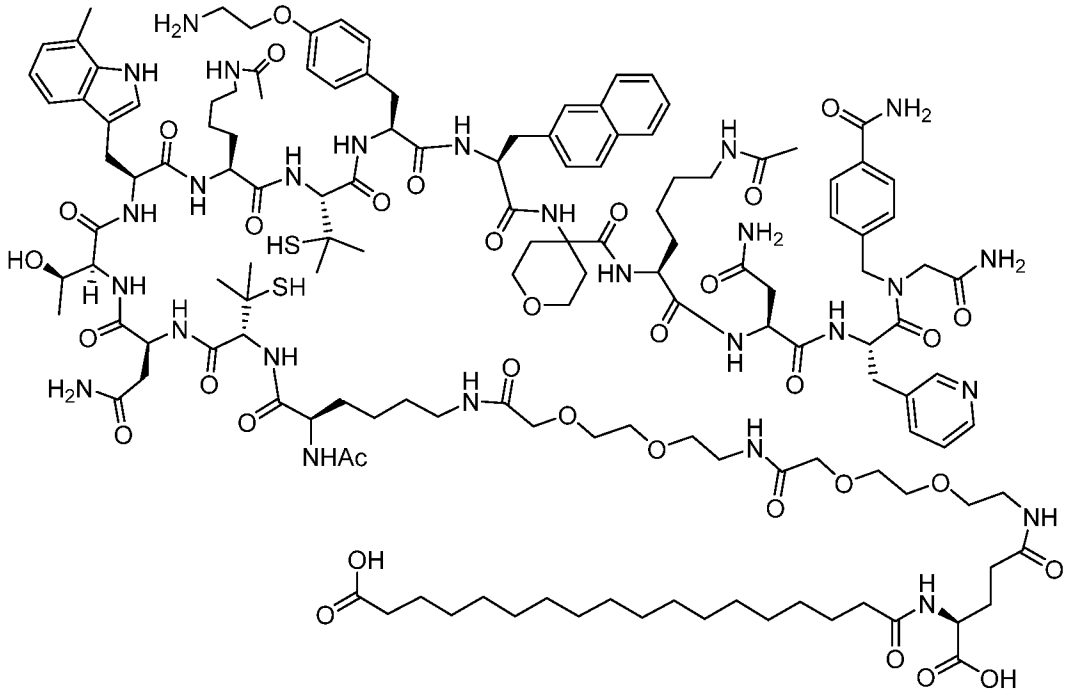
activated amino acids over the resin free amino groups. The amino acids were activated with equimolar amounts of 0.5M solution of DIC in DMF and Oxyma solution 1M in DMF. Double acylation reactions were performed for 3Pya and 2Nal. Fmoc deprotections were performed using 20%(V/V) piperidine in DMF. At the end of the peptide assembly on solid phase, the resin was treated with 100 ml of 3% hydrazine solution in DMF. The solution was drained, and the resin washed with DCM (5 × 5 mL) and DMF (5 × 5 mL). Capping of the free amino group at the N-terminus and Lys13 side chain derivatization was performed manually (PEG2, PEG2 and the gE (Fmoc-Glu-OtBu) residues) using DIC-HOAT (5Eq, 1:1:1) at room temperature. C18OH (18-(tert-butoxy)-18-oxooctadecanoic acid) was coupled using DIC-HOAT (5Eq, 1:1:1) at room temperature and complete acylation was monitored by ninhydrin test.

[000265] At the end of the assembly the resin was washed with DMF, MeOH, DCM, Et_2O. The peptide was cleaved from solid support using 15 ml of TFA solution (v/v) (87.5% TFA, 5% H_2O, 2.5% TIPS, 5%Phenol) for approximately 1.5 hours, at room temperature. The resin was then filtered and precipitated in cold MTBE (135mL). After centrifugation, the peptide pellets were washed with fresh cold diethyl-ether to remove the organic scavengers. The process was repeated twice. Final pellets were dried, re-suspended in H_2O and acetonitrile 1:1 + 0.1% TFA and stirred overnight. Then lyophilized to afford the desired Intermediate 12-1 (80.3 % yield). LCMS anal. calc. For $C_{165}H_{259}N_{31}O_{44}S_2$: 3445.16; found: 1149.3 $(M+3)^{3+}$.

Synthesis of HOC18gEPEG2PEG2-r^3-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2gEC18OH)-N-3Pya-Sar-CONH$_2$

[000266] Intermediate 12-1 was dissolved in ACN/H_2O (5mg/ml). Saturated Iodine in acetic acid was then added dropwise under stirring until yellow color persisted. Reaction was completed in 30 min (monitored by UPLC-MS). Solid ascorbic acid was added until the solution became clear. After lyophilization the cyclized peptide was purified by reverse-phase HPLC using preparative Waters DeltaPak C4 (200x40mm, 300Å, 15µm). Mobile phase A: + 0.1% TFA, mobile phase B: Acetonitrile (ACN) + 0.1% TFA. The following gradient of eluent B was used: 30%B to 30%B over 5min, to 45%B over 25min, flow rate 80 mL/min, wavelength 214 nm. Collected fractions were lyophilized to afford the desired compound (11.3% yield). LCMS anal. calc. For $C_{165}H_{257}N_{31}O_{44}S_2$: 3443.16; found: 1148.5$(M+3)^{3+}$.

Example 13. Synthesis of MeCO-k(PEG2PEG2gEC18OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP- K(Ac)-N-3Pya-N(4AmBenzyl)Gly-CONH$_2$ (*Pen-Pen form disulfide bond)



Intermediate 13-1

Synthesis of Intermediate 13-1

[000267] Peptide assembly was performed on a rink amide MBHA resin (Novabiochem, 73 µmol, 100-200Mesh; loading 0.34 mmol/g), by standard Solid-phase Peptide Synthesis (SPPS) using Fmoc/t-Bu chemistry. The resin, after FMOC deprotection was treated with a solution of 4 bromoacetic anhydride (4 eq) in DMF (5 mL) for 30 min at RT. Then, a suspension of 4-amidobenzylamine (7 eq) and DIPEA (7.5 eq) in dry NMP (5 mL) was added to the resin and stirred at RT overnight. The solution was drained, and the resin washed with DCM (3 × 5 mL) and DMF (3 × 5 mL). Peptide assembly was continued on the Cem Liberty Blue microwave peptide synthesizer (CEM Inc.), The side chain protecting groups were: tert-butyl for Thr; trityl for Pen and Asn; tert-butoxy-carbonyl for AEF. The D-Lys was protected by the orthogonal DDe protecting group. All the amino acids were dissolved at a 0.4 M concentration in DMF. The acylation reactions were performed for 3 min at 90°C under MW irradiation with 5 folds excess of activated amino acids over the resin free amino groups. The amino acids were activated with equimolar amounts of 0.5M solution of DIC in DMF and Oxyma solution 1M in DMF. Double acylation reactions were performed for 3Pya and 2Nal. Fmoc deprotections were performed using 20%(V/V) piperidine in DMF. Capping of the free amino group was performed manually using 10eq of acetic anhydride in DMF. At the end of the peptide assembly on solid phase, the resin was treated with 100 ml of 3% hydrazine solution in DMF to remove the Dde protecting group from D-Lys3. The solution was drained, and the resin washed with DCM (5 × 5 mL) and DMF (5 × 5 mL). Further side chain derivatization was performed manually (PEG2, PEG2 and

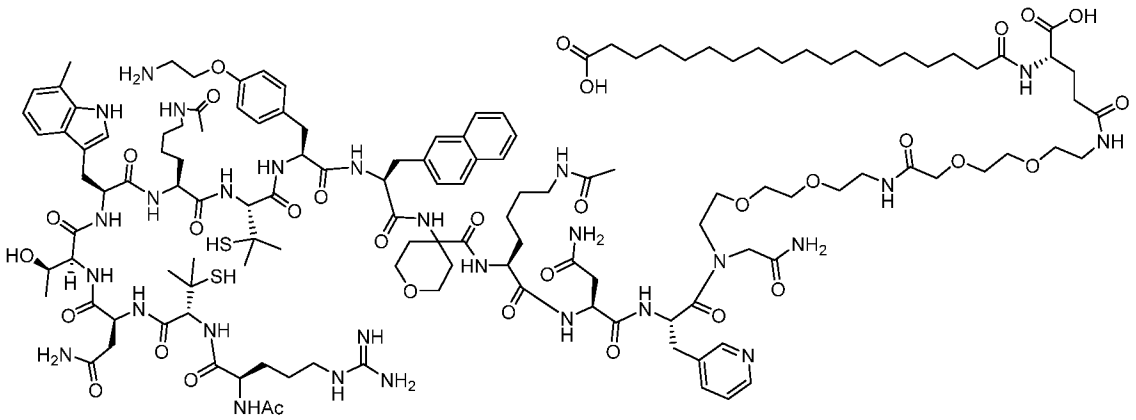
the gE (Fmoc-Glu-OtBu) residues) using DIC-HOAT (3Eq, 1:1:1) at room temperature. C18OH (18-(tert-butoxy)-18-oxooctadecanoic acid) was coupled using DIC-HOAT (6Eq, 1:1:1) at room temperature and complete acylation was monitored by ninhydrin test.

[000268] At the end of the assembly the resin was washed with DMF, MeOH, DCM, Et_2O. The peptide was cleaved from solid support using 15 ml of TFA solution (v/v) (87.5% TFA, 5% H_2O, 2.5% TIPS, 5%Phenol) for approximately 1.5 hours, at room temperature. The resin was then filtered and precipitated in cold MTBE (135mL). After centrifugation, the peptide pellets were washed with fresh cold diethyl-ether to remove the organic scavengers. The process was repeated twice. Final pellets were dried, re-suspended in H_2O and acetonitrile 1:1 + 0.1% TFA and stirred overnight. Then lyophilized to afford the desired Intermediate 13-1 (38% yield). LCMS anal. calc. For $C_{141}H_{207}N_{27}O_{35}S_2$: 2904.47; found 1452.6 $(M+2)^{2+}$.

Synthesis of MeCO-k(PEG2PEG2gEC18OH)-Pen-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-N(4AmBenzyl)Gly-CONH$_2$*

[000269] Intermediate 13-1 was dissolved in ACN/H_2O (5mg/ml). Saturated Iodine in acetic acid was then added dropwise under stirring until yellow color persisted. Reaction was completed in 30 min (monitored by UPLC-MS). Solid ascorbic acid was added until the solution became clear. After lyophilization the cyclized peptide was purified by reverse-phase HPLC using preparative Waters DeltaPak C4 (200x25mm, 300Å, 15µm). Mobile phase A: + 0.1% TFA, mobile phase B: Acetonitrile (ACN) + 0.1% TFA. The following gradient of eluent B was used: 25%B to 25%B over 5min, to 40%B over 25min, flow rate 30 mL/min, wavelength 214 nm. Collected fractions were lyophilized to afford the desired compound (26.8% yield). LCMS anal. calc. For $C_{141}H_{205}N_{27}O_{35}S_2$: 2902.47; found: 1451.9 $(M+2)^{2+}$.

Example 14. Synthesis of MeCO-r-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-N(PEG2PEG2gEC18OH)Gly-CONH$_2$ (*Pen-Pen form disulfide bond)



Intermediate 14-1

Synthesis of Intermediate 14-1

[000270] Peptide assembly was performed on a rink amide MBHA resin (Novabiochem, 73 μmol, 100-200Mesh; loading 0.34 mmol/g), by standard Solid-phase Peptide Synthesis (SPPS) using Fmoc/t-Bu chemistry. The resin, after FMOC deprotection was treated with a solution of 4 bromoacetic anhydride (4 eq) in DMF (5 mL) for 30 min at RT. Then, a solution of Bis-amino-PEG2 (7 eq) in dry NMP (5 mL) was added to the resin and stirred at RT overnight. The solution was drained, and the resin was treated with a solution of Dde-OH (3 eq) in DMF (5 mL) for 1h at RT. The solution was drained, and the resin washed with DCM (3 × 5 mL) and DMF (3 × 5 mL). Peptide assembly was continued on the Cem Liberty Blue microwave peptide synthesizer (CEM Inc.), by standard Solid-phase Peptide Synthesis (SPPS) using Fmoc/t-Bu chemistry. The side chain protecting groups were: tert-butyl for Thr; trityl for Pen and Asn; tert-butoxy-carbonyl for AEF, Pbf (2,2,4,6,7-pentamethyldihydrobenzofuran-5-sulfonyl) for Arg. All the amino acids were dissolved at a 0.4 M concentration in DMF. The acylation reactions were performed for 3 min at 90°C under MW irradiation with 5 folds excess of activated amino acids over the resin free amino groups. The amino acids were activated with equimolar amounts of 0.5M solution of DIC in DMF and Oxyma solution 1M in DMF. Double acylation reactions were performed for 3Pya and 2Nal. Fmoc deprotections were performed using 20%(V/V) piperidine in DMF. Capping of the free amino group was performed manually using 10eq of acetic anhydride in DMF.

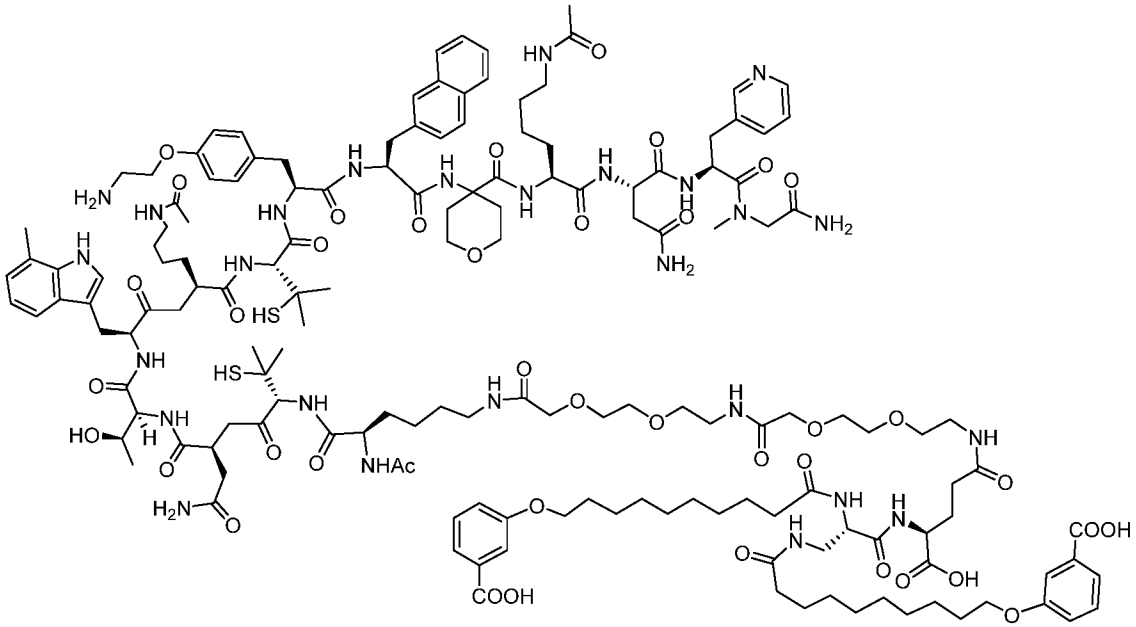
[000271] At the end of the peptide assembly on solid phase, the resin was treated with 100 ml of 3% hydrazine solution in DMF. The solution was drained, and the resin washed with DCM (5 × 5 mL) and DMF (5 × 5 mL). Further side chain derivatization was performed manually (gE (Fmoc-Glu-OtBu) and C18OH (18-(tert-butoxy)-18-oxooctadecanoic acid) residues) using DIC-HOAT (3Eq, 1:1:1) at room temperature and complete acylation was monitored by ninhydrin test.

[000272] At the end of the assembly the resin was washed with DMF, MeOH, DCM, Et_2O. The peptide was cleaved from solid support using 15 ml of TFA solution (v/v) (87.5% TFA, 5% H_2O, 2.5% TIPS, 5%Phenol) for approximately 1.5 hours, at room temperature. The resin was then filtered and precipitated in cold MTBE (135mL). After centrifugation, the peptide pellets were washed with fresh cold diethyl-ether to remove the organic scavengers. The process was repeated twice. Final pellets were dried, re-suspended in H_2O and acetonitrile 1:1 + 0.1% TFA and stirred overnight. Then lyophilized to afford the desired Intermediate 14-1 (76.7% yield). LCMS anal. calc. For $C_{133}H_{202}N_{28}O_{33}S_2$ 2785.35; found: 1393.4 $(M+2)^{2+}$.

Synthesis of MeCO-r-Pen-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-N(PEG2PEG2gEC18OH)Gly-CONH2*

[000273] Intermediate 14-1 was dissolved in ACN/H_2O (5mg/ml). Saturated Iodine in acetic acid was then added dropwise under stirring until yellow color persisted. Reaction was completed in 30 min (monitored by UPLC-MS). Solid ascorbic acid was added until the solution became clear. After lyophilization the cyclized peptide was purified by reverse-phase HPLC using preparative Waters DeltaPak C4 (200x40mm, 300Å, 15µm). Mobile phase A: + 0.1% TFA, mobile phase B: Acetonitrile (ACN) + 0.1% TFA. The following gradient of eluent B was used: 30%B to 30%B over 5min, to 45%B over 25min, flow rate 80 mL/min, wavelength 214 nm. Collected fractions were lyophilized to afford the desired compound (19.6% yield). LCMS anal. calc. For $C_{133}H_{200}N_{28}O_{33}S_2$: 2783.35; found: 1392.1 $(M+2)^{2+}$.

Example 15. Synthesis of MeCO- k(PEG2PEG2gEDab(mXOH)$_2$)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH$_2$ (*Pen-Pen form disulfide bond)



Intermediate 15-1

Synthesis of Intermediate 15-1

[000274] The peptide was synthesized by standard Solid-phase Peptide Synthesis (SPPS) using Fmoc/t-Bu chemistry. The assembly was performed on a Rink-amide AM resin (220 µmol, 100-200Mesh; loading 0.33 mmol/g) on the Cem Liberty Blue microwave peptide synthesizer (CEM Inc.). During peptide assembly on solid phase, the side chain protecting groups were: tert-butyl for Thr; trityl for Pen and Asn; tert-butoxy-carbonyl for AEF, Pbf (2,2,4,6,7-pentamethyldihydrobenzofuran-5-sulfonyl) for Arg. The D-Lys was protected by the orthogonal DDe protecting group. All the amino acids were dissolved at a 0.4 M concentration in DMF. The acylation reactions were performed for 3 min at 90°C under MW irradiation with 5 folds excess of activated amino acids over the resin free amino groups. The amino acids were activated with equimolar amounts of 0.5M solution of DIC in DMF and Oxyma solution 1M in DMF. Double

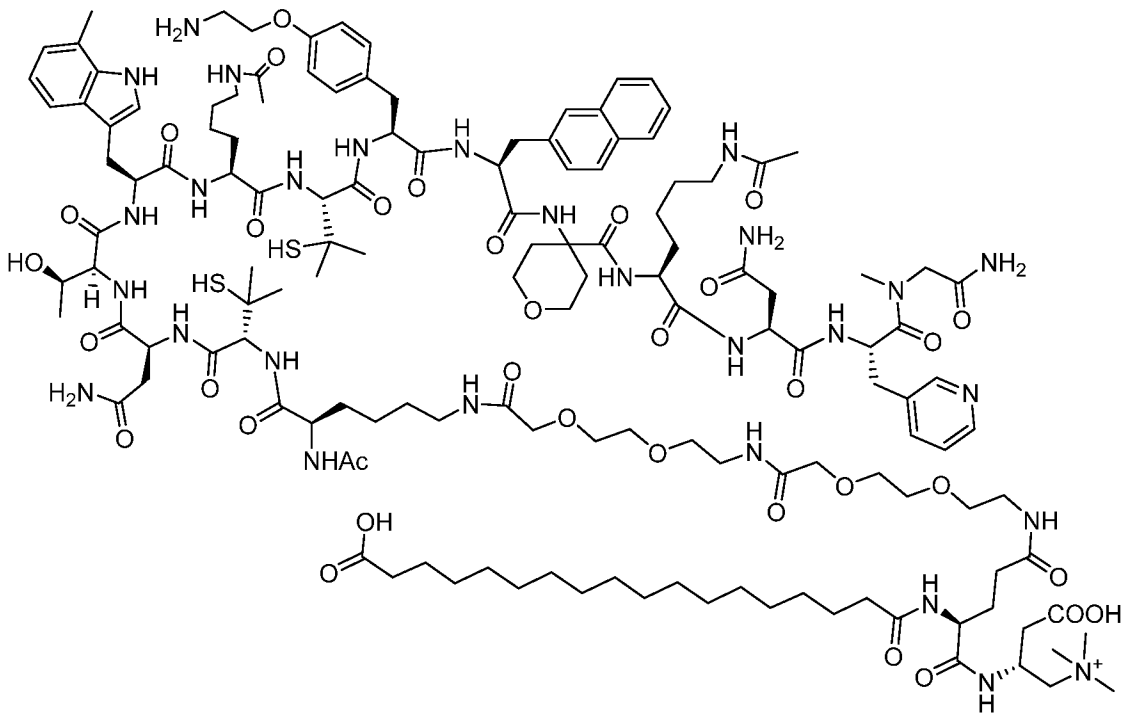
acylation reactions were performed for 3Pya and 2Nal. Fmoc deprotections were performed using 20%(V/V) piperidine in DMF. Capping of the free amino group was performed manually using 10eq of acetic anhydride in DMF. At the end of the peptide assembly on solid phase, the resin was treated with 100 ml of 3% hydrazine solution in DMF. The solution was drained, and the resin washed with DCM (5 × 5 mL) and DMF (5 × 5 mL). Further side chain derivatization was performed manually (PEG2, PEG2, gE (Fmoc-Glu-OtBu) and Dap (Fmoc-Dap(DDe)-OH)) using DIC-HOAt (5Eq, 1:1:1) at room temperature. At the end of the peptide assembly on solid phase, the resin was treated with 100 ml of 3% hydrazine solution in DMF to remove the Dde protecting group from Dap. The solution was drained, and the resin washed with DCM (5 × 5 mL) and DMF (5 × 5 mL). Further side chain derivatization was performed manually using mXOH (10-(3-(tert-butoxycarbonyl)phenoxy)decanoic acid), DIC, HOAt (4Eq, 1:1:1) at room temperature and complete acylation was monitored by ninhydrin test.

[000275] At the end of the assembly the resin was washed with DMF, MeOH, DCM, Et_2O. The peptide was cleaved from solid support using 30 ml of TFA solution (v/v) (87.5% TFA, 5% H_2O, 2.5% TIPS, 5%Phenol) for approximately 1.5 hours, at room temperature. The resin was then filtered and precipitated in cold MTBE (135mL). After centrifugation, the peptide pellets were washed with fresh cold diethyl-ether to remove the organic scavengers. The process was repeated twice. Final pellets were dried, re-suspended in H_2O and acetonitrile 1:1 + 0.1% TFA and stirred overnight. The mixture was then lyophilized to afford the desired Intermediate 131-1 (75.6% yield). LCMS anal. calc. LCMS anal. calc. For $C_{141}H_{217}N_{28}O_{35}S_2^+$: 3155.72; found: 1053.1 $(M+3)^{3+}$.

Synthesis of MeCO- k(PEG2PEG2gEDab(mXOH)$_2$)-Pen-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH$_2$*

[000276] Intermediate 15-1 was dissolved in ACN/H_2O (1mg/ml). Saturated Iodine in acetic acid was then added dropwise under stirring until yellow color persisted. Reaction was completed in 30 min (monitored by UPLC-MS). Solid ascorbic acid was added until the solution became clear. After lyophilization the cyclized peptide was purified by reverse-phase HPLC using preparative Waters DeltaPak C4 (200x40mm, 300Å, 15µm). Mobile phase A: + 0.1% TFA, mobile phase B: Acetonitrile (ACN) + 0.1% TFA. The following gradient of eluent B was used: 30%B to 30%B over 5min, to 45%B over 25min, flow rate 80 mL/min, wavelength 214 nm. Collected fractions were lyophilized to afford the desired compound (14% yield). LCMS anal. calc. For $C_{153}H_{218}N_{28}O_{40}S_2$: 3153.7; found: 1578 $(M+2)^{2+}$.

Example 16. Synthesis of MeCO-k(PEG2PEG2gE(c)C18OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH$_2$ (*Pen-Pen form disulfide bond)



Intermediate 16-1

Synthesis of Intermediate 16-1

[000277] The peptide was synthesized by standard Solid-phase Peptide Synthesis (SPPS) using Fmoc/t-Bu chemistry. The assembly was performed on a Rink-amide AM resin (110 μmol, 100-200Mesh; loading 0.33 mmol/g) on the Cem Liberty Blue microwave peptide synthesizer (CEM Inc.). During peptide assembly on solid phase, the side chain protecting groups were: tert-butyl for Thr and Glu; trityl for Pen and Asn; tert-butoxy-carbonyl for AEF. The D-Lys was protected by the orthogonal DDe protecting group.

[000278] All the amino acids were dissolved at a 0.4 M concentration in DMF. The acylation reactions were performed for 3 min at 90°C under MW irradiation with 5 folds excess of activated amino acids over the resin free amino groups. The amino acids were activated with equimolar amounts of 0.5M solution of DIC in DMF and Oxyma solution 1M in DMF. Double acylation reactions were performed for 3Pya and 2Nal. Fmoc deprotections were performed using 20%(V/V) piperidine in DMF. Capping of the free amino group was performed manually using 10eq of acetic anhydride in DMF. At the end of the peptide assembly on solid phase, the resin was treated with 100 ml of 3% hydrazine solution in DMF. The solution was drained, and the resin washed with DCM (5 × 5 mL) and DMF (5 × 5 mL). Further side chain derivatization was performed manually (PEG2, PEG2 and the gE ((S,E)-4-((Fmoc)amino)-5-oxo-5-(prop-1-en-1-yloxy)pentanoic acid) residues) using DIC-HOAT (3Eq, 1:1:1) at room temperature. C18OH (18-(tert-butoxy)-18-oxooctadecanoic acid) was coupled using DIC-HOAT (6Eq, 1:1:1) at room temperature and complete acylation was monitored by ninhydrin test. The resin was then treated

with 0.25Eq of Pd Tetrakis, 24 Eq of Phenylsilane in 5ml of DCM Dry under N2 atmosphere for 30 miin (process repeated 2 times); washed with DCM, DMF and a solution of 0.5% sodium dimethyldithiocarbamate (0.5%) and DIPEA (0.5%) in DMF. The resin was then manually preactivated with HATU (1.2Eq) and dipea (2Eq) and was left under stirring for 10 minutes. Amino-carnitine (2 Eq; (R)-2-amino-4-(tert-butoxy)-N,N,N-trimethyl-4-oxobutan-1-aminium) was added. Reaction was completed after 2hr (monitored by test cleavage).

[000279] At the end of the assembly the resin was washed with DMF, MeOH, DCM, Et_2O. The peptide was cleaved from solid support using 15 ml of TFA solution (v/v) (87.5% TFA, 5% H_2O, 2.5% TIPS, 5%Phenol) for approximately 1.5 hours, at room temperature. The resin was then filtered and precipitated in cold MTBE (135mL). After centrifugation, the peptide pellets were washed with fresh cold diethyl-ether to remove the organic scavengers. The process was repeated twice. Final pellets were dried, re-suspended in H_2O and acetonitrile 1:1 + 0.1% TFA and stirred overnight. The mixture was then lyophilized to afford the Intermediate 142-1 (73.6% yield). LCMS anal. calc. For $C_{141}H_{217}N_{28}O_{35}S_2^+$: 2928.55; found: 1464.74 $(M+2)^{2+}$.

Synthesis of MeCO-k(PEG2PEG2gE(c)C18OH)-Pen-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-CONH2*

[000280] Intermediate 16-1 was dissolved in ACN/H_2O (1mg/ml). Saturated Iodine in acetic acid was then added dropwise under stirring until yellow color persisted. Reaction was completed in 30 min (monitored by UPLC-MS). Solid ascorbic acid was added until the solution became clear. After lyophilization the cyclized peptide was purified by reverse-phase HPLC using preparative Waters DeltaPak C4 (200x40mm, 300Å, 15µm). Mobile phase A: + 0.1% TFA, mobile phase B: Acetonitrile (ACN) + 0.1% TFA. The following gradient of eluent B was used: 20%B to 20%B over 5min, to 35%B over 25min, flow rate 80 mL/min, wavelength 214 nm. Collected fractions were lyophilized to afford the desired compound (20% yield). LCMS anal. calc. For $C_{141}H_{215}N_{28}O_{35}S_2^+$: 2926.55; found 1463.9 $(M+2)^{2+}$.

Examples 17-142. Synthesis

[000281] Additional compounds have been prepared according to the methods described above, with illustrative data as shown in Table 3 below. In all the Examples, * indicate that Pen-Pen form a disulfide bond.

Table 3. Compound Synthesis

Example	Name	MS Data	Synthetic Procedure (Example)
17	MeCO-r-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-E-N-3Pya-Sar-K(PEG2PEG2gEC16OH)-$CONH_2$	1436.6	**2**
18	MeCO-r-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-E-N-3Pya-K(PEG2PEG2gEC18OH)-$CONH_2$	1414.9	**2**
19	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2gEC16OH)-N-3Pya-Sar-$CONH_2$	1293.4	**24**
20	MeCO-r-Pen*-K(PEG2PEG2gEC18OH)-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-E-N-3Pya-Sar-$CONH_2$	1393.3	**2**
21	MeCO-r-Pen*-K(PEG2PEG2gEC16OH)-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-E-N-3Pya-Sar-$CONH_2$	1379.1	**2**
22	MeCO-r-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-E-N-3Pya-NMeK(PEG2PEG2gEC16OH)-$CONH_2$	1407.9	**23**
23	MeCO-r-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-E-N-3Pya-K(PEG2PEG2gEC16OH)-$CONH_2$	1400.8	**2**
24	HOC16gEPEG2PEG2-r-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1371.5	**11**
25	MeCO-K(PEG2PEG2gEC16OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1378.5	**25**
26	MeCO-r-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF(PEG2PEG2gEC16OH)-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1392.2	**26**
27	MeCO-r-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2gEC18OH)-N-3Pya-Sar-$CONH_2$	1385.3	**4**
28	MeCO-r-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2gEC16OH)-N-3Pya-Sar-$CONH_2$	1371.2	**4**
29	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(gEC16OH)-N-3Pya-Sar-$CONH_2$	1148.1	**24**

Example	Name	MS Data	Synthetic Procedure (Example)
30	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(gEC18OH)-N-3Pya-Sar-$CONH_2$	1162.1	**24**
31	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(gEC18)-N-3Pya-Sar-$CONH_2$	1147.3	**24**
32	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG6gEC16OH)-N-3Pya-Sar-$CONH_2$	1315.6	**24**
33	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG6gEC18OH)-N-3Pya-Sar-$CONH_2$	1329.7	**24**
34	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2gEC16OH)-N-3Pya-Sar-$CONH_2$	1220.6	**24**
35	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2gEC18OH)-N-3Pya-Sar-$CONH_2$	1234.6	**24**
36	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2gEC20OH)-N-3Pya-Sar-$CONH_2$	1321.6	**24**
37	HOC16gEPEG2PEG2-r-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2gEC16OH)-N-3Pya-Sar-$CONH_2$	1129.9	**112**
38	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG6gEC16OH)-N-3Pya-Sar-$CONH_2$	1388.4	**24**
39	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG6gEC18OH)-N-3Pya-Sar-$CONH_2$	935	**24**
40	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2C16OH)-N-3Pya-Sar-$CONH_2$	1229.2	**24**
41	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2C18OH)-N-3Pya-Sar-$CONH_2$	1242.8	**24**
42	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG6PEG6gEC16OH)-N-3Pya-Sar-$CONH_2$	989.1	**24**
43	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG6PEG6gEC18OH)-N-3Pya-Sar-$CONH_2$	998.7	**24**
44	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG24gEC16OH)-N-3Pya-Sar-$CONH_2$	1141.6	**24**

Example	Name	MS Data	Synthetic Procedure (Example)
45	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG24gEC18OH)-N-3Pya-Sar-$CONH_2$	1151.2	**24**
46	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-PEG2PEG2eKC18OH-COOH	1328.2	**8**
47	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG24C18OH)-N-3Pya-Sar-$CONH_2$	1108.3	**24**
48	MeCO-K(gEC18OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1247.2	**25**
49	MeCO-K(PEG2gEC18OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1319.5	**25**
50	MeCO-r-Pen*-K(PEG2PEG2gEC18OH)-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1413.4	**2**
51	MeCO-r-Pen*-K(gEC18OH)-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1268.1	**2**
52	MeCO-r-Pen*-K(PEG2gE C18OH)-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1340.4	**2**
53	MeCO-r-Pen*-K(PEG2PEG2C18OH)-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1349.1	**2**
54	MeCO-r-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-NMeK(PEG2PEG2gEC18OH)-$CONH_2$	1442	**23**
55	MeCO-r-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2DgEC18OH)-N-3Pya-Sar-$CONH_2$	1385.3	**24**
56	MeCO-r-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2PC18OH)-N-3Pya-Sar-$CONH_2$	1369.1	**24**

Example	Name	MS Data	Synthetic Procedure (Example)
57	MeCO-r-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2pC18OH)-N-3Pya-Sar-$CONH_2$	1369.1	**24**
58	MeCO-r-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2gETrxC18OH)-N-3Pya-Sar-$CONH_2$	1454.9	**24**
59	MeCO-r-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2gETrxC20OH)-N-3Pya-Sar-$CONH_2$	1468.7	**24**
60	MeCO-k(PEG6 gE C18OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1414.7	**25**
61	MeCO-k(PEG2PEG6 gE C18OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	991.9	**25**
62	MeCO-K(PEG2PEG2 C18OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1327.8	**25**
63	MeCO-r-Pen*-K(PEG6gEC18OH)-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1435.7	**2**
64	MeCO-r-Pen*-K(PEG2PEG6 gE C18OH)-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1508.4	**2**
65	MeCO-r-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2PPPC18OH)-N-3Pya-Sar-$CONH_2$	978.2	**24**
66	MeCO-r-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2pppC18OH)-N-3Pya-Sar-$CONH_2$	978.2	**24**
67	MeCO-k(gEC16)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1218.5	**25**

Example	Name	MS Data	Synthetic Procedure (Example)
68	MeCO-k(gEC18)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1232.2	**25**
69	MeCO-r-Pen*-K(gEC16)-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1239.4	**2**
70	MeCO-r-Pen*-K(gEC18)-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1253.3	**2**
71	MeCO-K(PEG2PEG2gETrxC18OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1461.8	**25**
72	MeCO-K(PEG2PEG2gETrxC20OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1476.3	**25**
73	MeCO-Pen*-K(PEG2PEG2gETrxC18OH)-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1405	**2**
74	MeCO-Pen*-K(PEG2PEG2gETrxC20OH)-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1419.2	**2**
75	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(gEC16)-N-3Pya-Sar-$CONH_2$	1133.2	**24**
76	MeCO-K(PEG2PEG2gEC16OH)-Pen*-K(PEG2PEG2gEC16OH)-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1729.2	**9**
77	MeCO-K(PEG2PEG2gEC18OH)-Pen*-K(PEG2PEG2gEC18OH)-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1758	**9**
78	MeCO-K(PEG2PEG2gEC20OH)-Pen*-K(PEG2PEG2gEC20OH)-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1785.9	**9**
79	MeCO-K(gEC16)-Pen*-K(gEC16)-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1409	**9**

Example	Name	MS Data	Synthetic Procedure (Example)
80	MeCO-K(gEC18)-Pen*-K(gEC18)-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1437.4	**9**
81	MeCO-K(PEG2PEG2gEC16)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1363.4	**25**
82	MeCO-K(PEG2PEG2gEC18)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1377.5	**25**
83	MeCO-Pen*-K(PEG2PEG2gEC16)-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1306.5	**2**
84	MeCO-Pen*-K(PEG2PEG2gEC18)-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1320.4	**2**
85	MeCO-Pen*-K(PEG2PEG2gEC16OH)-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	881.3	**2**
86	MeCO-K(PEG2gEC18OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-N(4AmBenzyl)Gly-$CONH_2$	1379.4	**13**
87	MeCO-K(gEC18OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-N(4AmBenzyl)Gly-$CONH_2$	1306.9	**13**
88	MeCO-r-Pen*-N-T-7MeW-K(PEG2PEG2gEC18OH)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1385.8	**2**
89	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(gEC20OH)-N-3Pya-Sar-$CONH_2$	1176.3	**24**
90	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2TrxgEC18OH)-N-3Pya-Sar-$CONH_2$	1376.8	**24**

Example	Name	MS Data	Synthetic Procedure (Example)
91	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2TrxgETrxC20OH)-N-3Pya-Sar-$CONH_2$	1460.3	**24**
92	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2TrxgEC20OH)-N-3Pya-Sar-$CONH_2$	927.6	**24**
93	MeCO-K(PEG2PEG2gEC10OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(gEC16)-N-3Pya-Sar-$CONH_2$	1499.1	**10**
94	MeCO-K(PEG2PEG2gEC18OH)-Pen*-K(gEc16)-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1583.1	**10**
95	MeCO-r-Pen*-K(PEG2PEG2PgEC18OH)-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	975.1	**2**
96	MeCO-r-Pen*-K(PEG2PEG2pgEC18OH)-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	975.2	**2**
97	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2gEmXOH)-N-3Pya-Sar-$CONH_2$	1304.4	**24**
98	MeCO-K(PEG2PEG2gEC18OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(gEc16)-N-3Pya-Sar-$CONH_2$	1555.1	**10**
99	MeCO-r-Pen*-K(PEG2PEG2PPPgEC18OH)-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1039.7	**2**
100	MeCO-r-Pen*-K(PEG2PEG2ppgEC18OH)-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1040	**2**

Example	Name	MS Data	Synthetic Procedure (Example)
101	MeCO-K(PEG2PEG2PgEC18OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	961.1	**25**
102	MeCO-K(PEG2PEG2pgEC18OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	961.1	**25**
103	MeCO-K(PEG2PEG2PPPgEC18OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1025.8	**25**
104	MeCO-K(PEG2PEG2ppgEC18OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1025.9	**25**
105	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2PgEC18OH)-N-3Pya-Sar-$CONH_2$	1356.1	**24**
106	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2pgEC18OH)-N-3Pya-Sar-$CONH_2$	1356	**24**
107	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2PPPgEC18OH)-N-3Pya-Sar-$CONH_2$	1453.1	**24**
108	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2ppgEC18OH)-N-3Pya-Sar-$CONH_2$	1453	**24**
109	MeCO-K(PEG2PEG2TrxgEC18OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1461.7	**25**
110	MeCO-K(PEG2PEG2gEmXOH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1389.5	**25**

Example	Name	MS Data	Synthetic Procedure (Example)
111	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2gEpXOH)-N-3Pya-Sar-$CONH_2$	1304.5	**24**
112	MeCO-K(PEG2PEG2gEpXOH)-Pen*-N-T-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2gEpXOH)-N-3Pya-Sar-$CONH_2$	1149.2	**9**
113	MeCO-K(PEG2PEG2gEmXOH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(gEC16)-N-3Pya-Sar-$CONH_2$	1552.1	**10**
114	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(DAP-(C16OH)2)-N-3Pya-Sar-$CONH_2$	1260.7	**15**
115	MeCO-K(DAP(C16OH)2)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1346	**15**
116	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2gE-DAP(C16OH)2)-N-3Pya-Sar-$CONH_2$	1470.3	**15**
117	MeCO-K(PEG2PEG2gEDAP(C16OH)2)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1037.3	**15**
118	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2SP6gEC18OH)-N-3Pya-Sar-$CONH_2$	1371.2	**7**
119	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2SP6PEG2gEC18OH)-N-3Pya-Sar-$CONH_2$	1371	**7**
120	MeCO-K(PEG2PEG2gEC16OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(gEC18)-N-3Pya-Sar-$CONH_2$	1555.4	**10**
121	MeCO-K(PEG2PEG2gEC18OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(gEC18)-N-3Pya-Sar-$CONH_2$	1568.8	**10**

Example	Name	MS Data	Synthetic Procedure (Example)
122	MeCO-Pen*-K(PEG2PEG2gEC18OH)-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1335.2	**2**
123	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2 gE SP6 C18OH)-N-3Pya-Sar-$CONH_2$	1371.5	**7**
124	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(SP6 PEG2PEG2gE C18OH)-N-3Pya-Sar-$CONH_2$	1371	**7**
125	MeCO-K(gEC16)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(gEC18)-N-3Pya-Sar-$CONH_2$	1394.6	**10**
126	MeCO-K(gEC18)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(gEC18)-N-3Pya-Sar-$CONH_2$	1408.9	**19**
127	MeCO-K(PEG2PEG2gEC10OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(gEC18)-N-3Pya-Sar-$CONH_2$	1513.4	**10**
128	MeCO-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2gETrxC20OH)-N-3Pya-Sar-$CONH_2$	1555.4	**24**
129	MeCO-r-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-PEG2PEG2gDabC18OH-COOH	1392.4	**8**
130	MeCO-K(PEG2PEG2 gE SP6 C18OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1456.9	**7**
131	MeCO-K(PEG2 SP6 PEG2 gE C18OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1456.55	**7**
132	MeCO-K(SP6 PEG2PEG2gE C18OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1456.69	**7**

Example	Name	MS Data	Synthetic Procedure (Example)
133	MeCO-K[PEG2PEG2gEDAP(pXOH)2]-Pen*-N -T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1578.4	**15**
134	MeCO-Pen*-N -T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(PEG2PEG2gEDAP(mXOH)2)-N-3Pya-Sar-$CONH_2$	1492.9	**15**
135	MeCO-K(GolAC16)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1212.8	**25**
136	MeCO-K(GolAC16OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1228.3	**25**
137	MeCO-K(GolAC18OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1241.8	**25**
138	MeCO-K(PEG2PEG2 GolAC18OH)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1386.55	**25**
139	MeCO-K(PEG2PEG2 gE C18OH (c)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1463.76	**25**
140	MeCO-K(PEG2PEG2C18GolB)-Pen*-N -T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1365.2	**25**
141	MeCO-K(PEG2PEG2 gE(C) C18OH-Pen*-N -T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1463.9	**16**
142	MeCO-K(PEG2PEG2 gE C18OH (C)-Pen*-N-T-7MeW-K(Ac)-Pen*-AEF-2Nal-THP-K(Ac)-N-3Pya-Sar-$CONH_2$	1463.69	**25**

Examples 201-492. Compounds

[000282] Additional compounds of the invention as shown in Table 4 below were prepared.

Table 4. Compounds

Example	Name
201	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG12_OMe)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLeu]-L-N-[3Pal]-[Sarc]-NH2
202	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(PEG12_OMe)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLeu]-L-N-[3Pal]-[Sarc]-NH2
203	Ac-[Lys(PEG12_OMe)]-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLeu]-L-N-[3Pal]-[Sarc]-NH2
204	Ac-[Lys(PEG12_OMe)]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLeu]-L-N-[3Pal]-[Sarc]-NH2
205	Ac-[Lys(PEG12_OMe)]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-F-[2Nal]-[aMeLeu]-L-N-[3Pal]-[Sarc]-NH2
206	Ac-[Lys(PEG12_OMe)]-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-F-[2Nal]-[aMeLeu]-L-N-[3Pal]-[Sarc]-NH2
207	[PEG12_OMe]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-F-[2Nal]-[aMeLeu]-L-N-[3Pal]-[Sarc]-NH2
208	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(PEG12_OMe)]-[Pen]-F-[2Nal]-[aMeLeu]-L-N-[3Pal]-[Sarc]-NH2
209	[PEG12_OMe]-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-F-[2Nal]-[aMeLeu]-L-N-[3Pal]-[Sarc]-NH2
210	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG12_OMe)]-[Pen]-F-[2Nal]-[aMeLeu]-L-N-[3Pal]-[Sarc]-NH2
211	[PEG4_OMe]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-F-[2Nal]-[aMeLeu]-L-N-[3Pal]-[Sarc]-NH2
212	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(PEG4)]-[Pen]-F-[2Nal]-[aMeLeu]-L-N-[3Pal]-[Sarc]-NH2
213	[PEG4_OMe]-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-F-[2Nal]-[aMeLeu]-L-N-[3Pal]-[Sarc]-NH2
214	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG4)]-[Pen]-F-[2Nal]-[aMeLeu]-L-N-[3Pal]-[Sarc]-NH2
215	Ac-[Lys(PEG4)]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-F-[2Nal]-[aMeLeu]-L-N-[3Pal]-[Sarc]-NH2
216	Ac-[Lys(PEG4)]-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-F-[2Nal]-[aMeLeu]-L-N-[3Pal]-[Sarc]-NH2
217	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLys(PEG12_IsoGlu_Palm)]-E-L-[3Pal]-[Sarc]-NH2
218	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLys(PEG12_IsoGlu_Palm)]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2
219	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[2Nal]-[2Nal]-[aMeLys(PEG12_IsoGlu_Palm)]-E-L-[3Pal]-[Sarc]-NH2

Example	Name
220	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[2Nal]-[2Nal]-[aMeLys(PEG12_IsoGlu_Palm)]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2
221	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-F-[2Nal]-[aMeLys(PEG12_IsoGlu_Palm)]-L-L-[3Pal]-[Sarc]-NH2
222	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-F-[2Nal]-[aMeLys(PEG12_IsoGlu_Palm)]-E-L-[3Pal]-[Sarc]-NH2
223	Ac-[Pen]-A-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLys(PEG12_IsoGlu_Palm)]-A-A-[3Pal]-[Sarc]-NH2
224	Ac-[Pen]-A-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLys(PEG12_IsoGlu_C18_Diacid)]-A-A-[3Pal]-[Sarc]-NH2
225	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG12_OMe)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLeu]-L-N-[3Pal]-[Sarc]-NH2
226	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLys(PEG12_IsoGlu_Palm)]-A-A-[3Pal]-[Sarc]-NH2
227	Ac-[Pen]-A-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLys(PEG12_IsoGlu_Palm)]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2
228	Ac-[Pen]-A-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLys(PEG12_IsoGlu_C18_Diacid)]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2
229	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-(1PEG2_1PEG2_IsoGlu_Palm)aminoethoxy))]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2
230	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-(1PEG2_1PEG2_IsoGlu_C18_Diacid)aminoethoxy))]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2
231	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-(PEG4_PEG4_IsoGlu_Palm)aminoethoxy))]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2
232	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-(PEG12_IsoGlu_Palm)aminoethoxy))]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2
233	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[Lys(PEG12_IsoGlu_Palm)]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2
234	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-F-[2Nal]-[Spiral_Pip_PEG12_IsoGlu_Palm]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2
235	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-F-[2Nal]-[aMeLys(PEG12_IsoGlu_Palm)]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2
236	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLys(PEG12_IsoGlu_C18_Diacid)]-A-A-[3Pal]-[Sarc]-NH2
237	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[(D)Lys(PEG12_C18_Diacid)]-NH2
238	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[(D)Lys(PEG12_IsoGlu_Palm)]-NH2

Example	Name
239	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[(D)Lys(PEG12_IsoGlu_C18_Diacid)]-NH2
240	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-[Lys(PEG12_C18_Diacid)]-[3Pal]-[Sarc]-NH2
241	Ac-[Pen]-A-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLys(PEG12_IsoGlu_Palm)]-[Lys(Ac)]-A-[3Pal]-[Sarc]-NH2
242	Ac-[Pen]-A-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLys(PEG12_IsoGlu_C18_Diacid)]-[Lys(Ac)]-A-[3Pal]-[Sarc]-NH2
243	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(PEG12_C18_Diacid)]-L-[3Pal]-[Sarc]-NH2
244	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(PEG12_IsoGlu_Palm)]-L-[3Pal]-[Sarc]-NH2
245	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(PEG12_IsoGlu_C18_Diacid)]-L-[3Pal]-[Sarc]-NH2
246	Ac-[Pen]-L-[Lys(PEG12_C18_Diacid)]-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2
247	Ac-[Pen]-L-[Lys(PEG12_IsoGlu_Palm)]-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2
248	Ac-[Pen]-L-[Lys(PEG12_IsoGlu_C18_Diacid)]-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2
249	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLys(PEG12_IsoGlu_Palm)]-[Lys(Ac)]-A-[3Pal]-[Sarc]-NH2
250	Ac-[Pen]-[Lys(PEG12_IsoGlu_Palm)]-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2
251	Ac-[Pen]-[Lys(PEG12_IsoGlu_C18_Diacid)]-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2
252	[Pen(PEG4_Ahx_C18_Diacid)]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-E-L-[3Pal]-[Sarc]-NH2
253	[Pen(PEG4_IsoGlu_C18_Diacid)]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-E-L-[3Pal]-[Sarc]-NH2
254	Ac-[(D)Lys(PEG12_IsoGlu_Palm)]-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-L-[3Pal]-[Sarc]-NH2
255	Ac-[(D)Lys(PEG12_IsoGlu_C18_Diacid)]-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-L-[3Pal]-[Sarc]-NH2
256	Ac-[(D)Lys(PEG12_C18_Diacid)]-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2
257	Ac-[(D)Lys(Peg4_C18_Diacid)]-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2
258	Ac-[(D)Lys(IsoGlu_C18_Diacid)]-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2

Example	Name
259	Ac-[(D)Lys(Peg4_IsoGlu_C18_Diacid)]-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2
260	Ac-[(D)Lys(PEG12_IsoGlu_Palm)]-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2
261	Ac-[(D)Lys(PEG12_IsoGlu_C18_Diacid)]-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2
262	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG12_C18_Diacid)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-L-[3Pal]-[Sarc]-NH2
263	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG4_C18_Diacid)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-L-[3Pal]-[Sarc]-NH2
264	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(IsoGlu_C18_Diacid)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-L-[3Pal]-[Sarc]-NH2
265	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(IsoGlu_Palm)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-L-[3Pal]-[Sarc]-NH2
266	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG4_IsoGlu_Palm)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-L-[3Pal]-[Sarc]-NH2
267	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG4_IsoGlu_C18_Diacid)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-L-[3Pal]-[Sarc]-NH2
268	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG12_IsoGlu_Palm)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-L-[3Pal]-[Sarc]-NH2
269	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG12_IsoGlu_C18_Diacid)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-L-[3Pal]-[Sarc]-NH2
270	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG12_C18_Diacid)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2
271	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG4_C18_Diacid)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2
272	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(IsoGlu_C18_Diacid)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2
273	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(IsoGlu_Palm)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2
274	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG4_IsoGlu_Palm)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2
275	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG4_IsoGlu_C18_Diacid)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2
276	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG12_IsoGlu_Palm)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2
277	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG12_IsoGlu_C18_Diacid)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2
278	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLys(PEG12_IsoGlu_C18_Diacid)]-[Lys(Ac)]-A-[3Pal]-[Sarc]-NH2

Example	Name
279	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-(PEG4_PEG4_IsoGlu_C18_Diacid)aminoethoxy))]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2
280	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-(PEG12_IsoGlu_C18_Diacid)aminoethoxy))]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2
281	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[Lys(PEG12_IsoGlu_C18_Diacid)]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2
282	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-[Lys(PEG12_IsoGlu_C18_Diacid)]-[3Pal]-[Sarc]-NH2
283	Ac-[Pen]-[Lys(PEG12_C18_Diacid)]-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-L-[3Pal]-[Sarc]-NH2
284	[PEG4_Decyl]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-N-[3Pal]-[Sarc]-NH2
285	[PEG4_Lauryl]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-N-[3Pal]-[Sarc]-NH2
286	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-[(D)Lys(PEG12_IsoGlu_C18_Diacid)]-NH2
287	[PEG4_Capryl]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-N-[3Pal]-[Sarc]-NH2
288	[PEG4_Hexyl]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-N-[3Pal]-[Sarc]-NH2
289	[PEG2_Palm]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-N-[3Pal]-[Sarc]-NH2
290	[PEG2_Myristyl]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-N-[3Pal]-[Sarc]-NH2
291	[PEG2_Lauryl]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-N-[3Pal]-[Sarc]-NH2
292	[Hexyl]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-N-[3Pal]-[Sarc]-NH2
293	[Decyl]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-N-[3Pal]-[Sarc]-NH2
294	[PEG2_Decyl]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-N-[3Pal]-[Sarc]-NH2
295	[PEG2_Capryl]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-N-[3Pal]-[Sarc]-NH2
296	[Oct]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-L-N-[3Pal]-[Sarc]-NH2
297	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-[(D)Lys(Peg4_IsoGlu_Palm)]-NH2

Example	Name
298	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-[(D)Lys(IsoGlu_Palm)]-NH2
299	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-[(D)Lys(PEG12_C18_Diacid)]-NH2
300	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2Nal]-[aMeLys(Peg4_IsoGlu_C18_Diacid)]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2
301	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2Nal]-[aMeLys(PEG12_C18_Diacid)]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2
302	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[THP]-[Lys(Ac)]-[Lys(PEG12_IsoGlu_Palm)]-[3Pal]-[Sarc]-NH2
303	[PEG2_Palm]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2
304	[PEG2_Lauryl]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2
305	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-[(D)Lys(Peg4_IsoGlu_C18_Diacid)]-NH2
306	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-[(D)Lys(PEG12_IsoGlu_Palm)]-NH2
307	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-[(D)Lys(Peg4_C18_Diacid)]-NH2
308	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-[(D)Lys(IsoGlu_C18_Diacid)]-NH2
309	[PEG4_Palm]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2
310	[Palm]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2
311	[Lauryl]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2
312	[Oct]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2
313	[PEG4_Lauryl]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2
314	[PEG4_Capryl]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2
315	[PEG4_Hexyl]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2
316	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2Nal]-[aMeLys(PEG12_IsoGlu_C18_Diacid)]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2
317	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2Nal]-[aMeLys(PEG12_IsoGlu_Palm)]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2

Example	Name
318	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2Nal]-[aMeLys(Peg4_IsoGlu_Palm)]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2
319	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2Nal]-[aMeLys(IsoGlu_Palm)]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2
320	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2Nal]-[aMeLys(IsoGlu_C18_Diacid)]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2
321	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-CONH2)]-[2Nal]-[aMeLys(Peg4_C18_Diacid)]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2
322	[1PEG2_1PEG2_IsoGlu_C16_Diacid)]-[(D)Arg]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2
323	[1PEG2_1PEG2_IsoGlu_C18_Diacid)]-[(D)Arg]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2
324	Ac-[(D)Arg]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Lys(1PEG2_1PEG2_IsoGlu_C16_Diacid)]-NH2
325	Ac-[(D)Arg]-[Pen]-[Lys(1PEG2_1PEG2_IsoGlu_C16_Diacid)]-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2
326	Ac-[(D)Arg]-[Pen]-[Lys(1PEG2_1PEG2_IsoGlu_C18_Diacid)]-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-NH2
327	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-[Lys(1PEG2_1PEG2_IsoGlu_C16_Diacid)]-N-[3Pal]-[Sarc]-NH2
328	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-[Lys(1PEG2_1PEG2_IsoGlu_C18_Diacid)]-N-[3Pal]-[Sarc]-NH2
329	Ac-[(D)Arg]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Lys(1PEG2_1PEG2_IsoGlu_C18_Diacid)]-NH2
330	Ac-[(D)Arg]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-[Lys(1PEG2_1PEG2_IsoGlu_C16_Diacid)]-NH2
331	Ac-[(D)Arg]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[THP]-E-N-[3Pal]-[Sarc]-[Lys(1PEG2_1PEG2_IsoGlu_C18_Diacid)]-NH2
332	[1PEG2_1PEG2_IsoGlu_C18]-[(D)Arg]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[Acvc]-E-N-[THP]-NH2
333	[1PEG2_1PEG2_IsoGlu_C18_Diacid]-[(D)Arg]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[Acvc]-E-N-[THP]-NH2
334	Ac-[(D)Arg]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[Acvc]-E-N-[THP]-[Lys(1PEG2_1PEG2_IsoGlu_C18_Diacid)]-NH2

Example	Name
335	Ac-[(D)Lys(1PEG2_1PEG2_IsoGlu_C18_Diacid)]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[Acvc]-E-N-[THP]-NH2
336	Ac-[(D)Lys(1PEG2_1PEG2_IsoGlu_C16_Diacid)]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[Acvc]-E-N-[THP]-NH2
337	Ac-[(D)Arg]-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[2Nal]-[Acvc]-E-N-[THP]-[Lys(1PEG2_1PEG2_IsoGlu_C18)]-NH2
338	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-(2-aminoethoxy))]-[3Quin]-[THP]-E-N-H-[Sarc]-NH2-[PEG4]
339	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLys(PEG12_IsoGlu_C18_Diacid)]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2
340	Ac-[Pen]-N-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[2Nal]-[aMeLys(PEG12_IsoGlu_Palm)]-[Lys(Ac)]-N-[3Pal]-[Sarc]-NH2
341	[PEG12_OMe]-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-F-[Nal]-[aMeLeu]-L-N-[NH(2-(pyridin-3-yl)ethyl)]
342	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG12_OMe)]-[Pen]-F-[Nal]-[aMeLeu]-L-N-[NH(2-(pyridin-3-yl)ethyl)]
343	[PEG12_OMe]-[Pen]-L-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[Nal]-[aMeLeu]-L-N-[NH(2-(pyridin-3-yl)ethyl)]
344	Ac-[Pen]-L-T-[Trp(7-Me)]-[Lys(PEG12_OMe)]-[Pen]-[Phe(4-OMe)]-[Nal]-[aMeLeu]-L-N-[NH(2-(pyridin-3-yl)ethyl)]
345	[PEG12_OMe]-[Pen]-[aMeAsn]-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-F-[Nal]-[aMeLeu]-L-N-[NH(2-(pyridin-3-yl)ethyl)]
346	Ac-[Pen]-[aMeAsn]-T-[Trp(7-Me)]-[Lys(PEG12_OMe)]-[Pen]-F-[Nal]-[aMeLeu]-L-N-[NH(2-(pyridin-3-yl)ethyl)]
347	[PEG12_OMe]-[Pen]-[aMeAsn]-T-[Trp(7-Me)]-[Lys(Ac)]-[Pen]-[Phe(4-OMe)]-[Nal]-[aMeLeu]-L-N-[NH(2-(pyridin-3-yl)ethyl)]

Example 348. Biological Assays

IL-23 binding to IL-23 receptors results in the activation of the Signal Transducer and Activator of Transcription 3 (STAT3) by phosphorylation and downstream signaling events. Accordingly, the abilitly of the inhibitors described herein to block IL-23 action can be assessed by monitoring the status of STAT3 activation in response to IL-23. This may be accomplished in reporter cell assays or in intact cells such as peripheral blood mononuclear cells (PBMCs).

IL23R Reporter Assay

[000283] Compounds were serially diluted in 100% (v/v) DMSO) and plated using an Echo acoustic dispenser (Labcyte) into 1536-well non-treated black assay plates (Corning # 9146). 3 μL of HEK293 cells containing IL-23R, IL-12Rβ1 and a firefly luciferase reporter gene driven

by a STAT-inducible promoter (Promega) were added to the plates (4000 cells/well), followed by 3 μL of 10 ng/mL IL-23 (equivalent to EC_{90} concentration). After 5h at 37°C, 5% CO_2, 95% relative humidity, cells were placed at 20°C and treated with BioGlo reagent (Promega) according to the Manufacturer's instructions. Luminescence was measured on a Pherastar FSX (BMG LabTech). The data, provided in Tables 5a and 5b, were normalized to IL-23 treatment (0% inhibition) and 30 μM of control inhibitor (100% inhibition), and IC_{50} values were determined using a 4-parameter Hill equation.

Table 5a. IL-23 Binding Data for the Compounds of Examples 2 to 347.

Example	IC50 (nM)
2	0.086
3	0.023
4	0.066
5	0.021
6	0.055
7	0.024
8	0.089
9	0.035
10	1.88
11	0.044
12	0.29
13	0.064
14	0.2
15	0.054
16	0.014
17	0.036
18	0.12
19	0.037
20	0.067
21	0.033
22	0.026
23	0.12
24	0.017

Example	IC50 (nM)
25	0.0078
26	0.025
27	0.059
28	0.021
29	0.06
30	0.17
31	0.052
32	0.021
33	0.046
34	0.065
35	0.19
36	0.14
37	0.19
38	0.021
39	0.048
40	0.023
41	0.078
42	0.029
43	0.049
44	0.02
45	0.039
46	0.26
47	0.041

Example	IC50 (nM)
48	0.045
49	0.046
50	0.1
51	0.18
52	0.15
53	
54	0.13
55	0.13
56	0.17
57	0.3
58	0.094
59	0.18
60	0.042
61	0.044
62	0.054
63	0.13
64	0.055
65	0.19
66	0.18
67	0.035
68	0.037
69	0.13
70	0.23
71	0.081
72	0.11
73	0.094
74	0.17
75	0.096
76	0.18
77	0.3
78	0.23
79	0.38

Example	IC50 (nM)
80	>16.61
81	0.012
82	0.013
83	0.052
84	0.046
85	0.031
86	0.061
87	0.079
88	0.2
89	0.34
90	0.12
91	
92	0.18
93	0.12
94	1.44
95	0.14
96	0.13
97	0.0082
98	1.24
99	0.096
100	0.11
101	0.066
102	0.053
103	0.066
104	0.066
105	0.089
106	0.11
107	0.09
108	0.15
109	0.056
110	0.0096
111	0.048

Example	IC50 (nM)
112	0.018
113	1.11
114	0.15
115	0.082
116	0.15
117	0.1
118	0.077
119	0.058
120	0.38
121	0.9
122	0.083
123	0.073
124	0.083
125	0.075
126	0.16
127	0.17

Example	IC50 (nM)
128	0.1
129	0.24
130	0.02
131	0.021
132	0.031
133	0.031
134	0.037
135	0.016
136	0.016
137	0.024
138	0.039
139	0.0079
140	0.012
141	0.011
142	0.0092

Table 5b. IL-23 Binding Data for the Compounds of Examples 348 to 492.

Compound/ Example No.	**IC_{50} (µM)**
348	0.94
349	0.98
350	0.7
351	
352	
353	0.37
354	1.28
355	8.38
356	3.26
357	8.51
358	2.74

Compound/ Example No.	**IC_{50} (µM)**
406	
407	
408	0.052
409	0.046
410	0.064
411	0.08
412	0.089
413	0.072
414	0.062
415	0.084
416	0.059

Compound/ Example No.	**IC_{50} (µM)**
450	8.02
451	0.011
452	0.0057
453	0.018
454	0.0062
455	0.012
456	0.046
457	0.024
458	0.0061
459	0.016
460	0.021

Compound/ Example No.	IC_{50} (μM)
359	0.12
360	0.0075
361	0.0051
362	0.056
363	0.25
364	0.1
365	0.0052
366	0.0092
367	0.006
368	0.056
371	0.014
372	0.039
373	0.041
374	0.041
378	0.016
380	0.039
381	0.0076
382	0.0035
383	0.0045
384	0.0086
385	0.016
386	0.12
392	0.037
396	0.1
397	0.071
398	0.067
399	0.06
400	0.048
401	0.044
402	0.017
403	0.076

Compound/ Example No.	IC_{50} (μM)
417	0.074
418	0.063
419	0.47
420	0.52
421	0.19
422	0.1
423	0.24
424	0.05
425	0.086
426	0.21
427	0.0066
428	0.016
429	0.15
430	0.22
431	0.18
432	0.12
433	0.0051
434	0.0067
435	0.12
436	0.26
437	0.015
438	0.22
439	0.1
440	0.0094
441	0.075
442	0.0068
443	0.0044
444	0.0086
445	
446	
447	0.023

Compound/ Example No.	IC_{50} (μM)
461	0.014
462	0.011
463	
464	0.019
465	0.0068
466	0.016
467	0.0055
468	0.016
469	0.0055
470	0.036
471	0.074
472	0.37
473	0.11
474	0.037
475	0.2
476	0.045
477	0.0062
478	0.021
479	0.022
480	0.011
481	0.0066
482	0.009
483	0.021
484	0.0075
485	0.023
486	0.009
487	0.0025
488	0.0022
489	0.0084
490	0.013
491	0.0079

Compound/ Example No.	IC_{50} (μM)
404	
405	

Compound/ Example No.	IC_{50} (μM)
448	0.048
449	0.012

Compound/ Example No.	IC_{50} (μM)
492	0.04

DB Cells IL23R pSTAT3 Cell Assay

[000284] IL-23 is believed to play 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 6. Where not shown or it is marked as "0", data was not yet determined.

Table 6. IL-23 Cell Data

Example	IC_{50} (nM)
201	
202	
203	
204	
205	0.038
206	0.129
207	0.631
208	0.056
209	0.07
210	0.0798

Example	IC_{50} (nM)
211	0.062
212	
213	0.13
214	0.433
215	0.0393
216	0.215
217	1.37
218	1.01
219	2.87
220	2.68

Example	IC_{50} (nM)
221	5.22
222	2.62
223	0.801
224	0.807
225	0.811
226	0.633
227	0.784
228	5.11
229	3.51
230	5.35
231	2.83
232	0.176
233	0.188
234	0.512
235	0.585
236	>10
237	4.74
238	4.24
239	5.16
240	0.424
241	0.35
242	4.15
243	4.3
244	8.98
245	>10
246	>10
247	>10
248	0.257
249	
250	1.22
251	>10

Example	IC_{50} (nM)
252	>10
253	4.58
254	4.38
255	2.01
256	3.37
257	3.37
258	3.97
259	2.07
260	2.62
261	>10
262	>10
263	>10
264	>10
265	>10
266	>10
267	>10
268	>10
269	2.63
270	>10
271	>10
272	>10
273	>10
274	>10
275	2.37
276	3.42
277	0.526
278	0.607
279	0.277
280	0.498
281	0.316
282	0.481

Example	IC_{50} (nM)
283	0.417
284	0.626
285	0.283
286	0.0719
287	0.0806
288	5.38
289	1.99
290	0.625
291	0.106
292	1.07
293	0.121
294	0.0746
295	0.278
296	0.224
297	0.42
298	0.298
299	0.473
300	0.293
301	
302	0.692
303	0.12
304	0.879
305	0.126
306	1
307	7.36
308	0.158
309	5.09
310	0.615
311	0.058
312	0.154
313	0.076

Example	IC_{50} (nM)
314	0.0266
315	0.129
316	0.0567
317	0.165
318	1.34
319	1.03
320	0.634
321	
322	
323	
324	
325	
326	
327	
328	
329	
330	
331	
332	
333	
334	
335	
336	
337	2.63
338	0.137
339	0.0701
340	
341	
342	
343	
344	

Example	IC_{50} (nM)
345	
346	

Example	IC_{50} (nM)
347	

PBMC pSTAT3 assay

[000285] 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% CO2. 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 in 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 withcytokine 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). The results produced for several compounds with PBMCs are provide in Table 7 below.

Table 7.

Example in Discloure/ Compound No.	**PBMC pSTAT3 IC50 (nM)**	**SEQ ID NO:**
2	0.50	2
4	1.2	4
3	5.7	3
11	1.3	11
5	0.16	5
6	0.7	6
12	5.0	12
8	0.21	8

14	0.78	14
13	0.27	13
9	0.23	9
10	4.8	10
7	0.42	7
15	0.42	15
16	0.18	16

[000286] Although the foregoing invention has been described in some detail by way of illustration and Example for purposes of clarity of understanding, one of skill in the art will appreciate that certain changes and modifications may be practiced within the scope of the appended aspects.

WHAT IS CLAIMED IS:

1. An interleukin-23 receptor inhibitor comprising an amino acid sequence of Formula I

R1-X3-X4-X5-T-X7-X8-X9-X10-X11-THP-X13-N-X15-X16-R2 (I)

wherein:

R1 is hydrogen, C_1 to C_4 alkyl C(O)-, or C_1 to C_4 alkyl C(O)- substituted with Cl, F, or cyano, or cPEG3aCO;

X3 is dR, R, K, dK, or absent;

X4 is Pen, Abu, aMeC, or C;

X5 is K-Z or dK-Z;

X7 is 7MeW, W, 3Pya, 7(2ClPh)W, 7(3(1NMepip)pyraz)W, 7(3(6AzaInd1Me))W, 7(3CF3TAZP)W, 7(3NAcPh)W, 7(3NPyrazPh)W, 7(3NpyrlonePh)W, 7(3UrPh)W, 7(4(CpCNPh))W, 7(4CF3Ph)W, 7(4NAcPh)W, 7(4OCF3Ph)W, 7(4OMePh)W, 7(4Paz)W, 7(5(2(4OMePh)Pyr))W, 7(5(Ina7Pyr))W, 7(6(1)7dMeNDAZ))W, 7(6(2MeNDAZ))W, 7(7(124TAZP))W, 7(7Imzpy)W, 7BrW, 7EtW, 7PhW, 7PyrW, A, DT, or D7MeW;

X8 is KAc, dK(Ac), K or dK;

X9 is Pen, Abu, aMeC,or C;

X10 is AEF or dAEF;

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;X15 is 3Pya, 3MeH, H, F, hF, Y, dY, Y(CHF2), PAF, oAMPhe, F(CF3), dPaf, D3Pya, ACIPA(SR), 6OH3Pya, 5PyrimidAla, 5MePyridinAla, 5MeH, 5AmPyridinAla, 4TriazolAla, 4PyridinAla, 4Pya, 3QuinolAla, 3OHPhe, 3AmPyrazolAla, 2AmTyr, or 1MeH;

X13 is K(Ac), d(KAc), E, or dE;

X15 is absent, 3pya, 3MeH, H, F, hF, Y, dY, Y(CHF2), PAF, oAMPhe, F(CF3), dPaf, D3Pya, ACIPA(SR), 6OH3Pya, 5PyrimidAla, 5MePyridinAla, 5MeH, 5AmPyridinAla, 4TriazolAla, 4PyridinAla, 4Pya, 3QuinolAla, 3OHPhe, 3AmPyrazolAla, 2AmTyr, or 1MeH;

X16 is meG, 4(R)HydroxyPro, 4(S)AminoPro, 4diFPro, 5(R)diMePro, aMeP, N(3AmBenzyl)Gly, N(Cyclohexyl)Gly, N(Isobutyl)Gly, P,or dP;

R2 is –OH, -NH2, -NH(C1 to C4 alkyl), -NH(C1-C4 alkyl), or -N(C1 to C4 alkyl)$_2$, each alkyl optionally substituted with Cl, F, or cyano; and

Z is group comprising a lipid moiety; and

wherein the IL-23R inhibitor is cyclized by a disulfide or thioether first bond between X4 and X9.

2. An interleukin-23 receptor inhibitor comprising an amino acid sequence of Formula II

R1-X3-X4-X5-T-X7-X8-X9-X10-X11-X12-X13-X14-X15-X16-X17-R2 (II)

wherein:

R1 is hydrogen, C1 to C4 alkyl C(O)-, or C1 to C4 alkyl C(O)- substituted with Cl, F, or cyano, 5Ava, AEEP, cPEG3aCO, C12gEPEG2PEG2CO, C14gEPEG2PEG2CO or Z;

X3 is dR, dK, dK(d), or absent;

X4 is Pen, Abu, aMeC, or C;

X5 is L, N, aMeN, dK, dK(d), E, or K;

X7 is 7MeW, W, 3Pya, 7(2ClPh)W, 7(3(1NMepip)pyraz)W, 7(3(6AzaInd1Me))W, 7(3CF3TAZP)W, 7(3NAcPh)W, 7(3NPyrazPh)W, 7(3NpyrlonePh)W, 7(3UrPh)W, 7(4(CpCNPh))W, 7(4CF3Ph)W, 7(4NAcPh)W, 7(4OCF3Ph)W, 7(4OMePh)W, 7(4Paz)W, 7(5(2(4OMePh)Pyr))W, 7(5(Ina7Pyr))W, 7(6(1)7dMeNDAZ))W, 7(6(2MeNDAZ))W, 7(7(124TAZP))W, 7(7Imzpy)W, 7BrW, 7EtW, 7PhW, 7PyrW, A, DT, or D7MeW;

X8 is K dK, K-Z, or dK-Z;

X9 is Pen, C, aMeC, Abu;

X10 is AEF, F, or F4OMe;

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 THP or aMeL;

X13 is E, L, KAc, dK, K, dL, dKAc, or dE;

X14 is N, L, dN, or dL;

X15 is 3Pya, 3MeH, H, F, hF, Y, dY, Y(CHF2), PAF, oAMPhe, F(CF3), dPaf, D3Pya, ACIPA(SR), 6OH3Pya, 5PyrimidAla, 5MePyridinAla, 5MeH, 5AmPyridinAla, 4TriazolAla, 4PyridinAla, 4Pya, 3QuinolAla, 3OHPhe, 3AmPyrazolAla, 2AmTyr, 1MeH or NH(2-(pyridine-3-yl)ethyl);

X16 is meG, 4(R)HydroxyPro, 4(S)AminoPro, 4diFPro, 5(R)diMePro, aMeP, N(3AmBenzyl)Gly, N(Cyclohexyl)Gly, N(Isobutyl)Gly, P,or dP, or absent;

X17 is absent or (PEG2PEG2PEG2PEG2gEC12), K(PEG2PEG2gEC12); and

R2 is -OH -NH2, -NH(C1 to C4 alkyl), -H(C1-C4 alkyl), -N(C1 to C4 alkyl)$_2$, each alkyl optionally substituted with Cl, F, or cyano or K(PEG2PEG2gEC12); and

Z is group comprising a lipid moiety; and

wherein the IL-23R inhibitor is cyclized by a disulfide or thioether first bond between X4 and X9, and an amide second bond when X5 is E and X10 is AEF.

3. An interleukin-23 receptor inhibitor comprising an amino acid sequence of Formula III

R1-X3-X4-X5-T-X7-X8-X9-X10-X11-THP-X13-X14-X15-X16- R2 (III)

wherein:

R1 is hydrogen, C1 to C4 alkyl C(O)-, or C1 to C4 alkyl C(O)- substituted with Cl, F, or cyano, or

X3 is dR or absent;

X4 is Pen, Abu, aMeC, C;

X5 is N or dN;

X7 is 7MeW, W, 3Pya, 7(2ClPh)W, 7(3(1NMepip)pyraz)W, 7(3(6AzaInd1Me))W, 7(3CF3TAZP)W, 7(3NAcPh)W, 7(3NPyrazPh)W, 7(3NpyrlonePh)W, 7(3UrPh)W, 7(4(CpCNPh))W, 7(4CF3Ph)W, 7(4NAcPh)W, 7(4OCF3Ph)W, 7(4OMePh)W, 7(4Paz)W, 7(5(2(4OMePh)Pyr))W, 7(5(Ina7Pyr))W, 7(6(1)7dMeNDAZ))W, 7(6(2MeNDAZ))W, 7(7(124TAZP))W, 7(7Imzpy)W, 7BrW, 7EtW, 7PhW, 7PyrW, A, DT, or D7MeW;

X8 is KAc;

X9 is Pen, Abu, aMeC, C;

X10 is F-Z or AEF-Z;

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;

X13 is K(Ac) dK(Ac). dE, or E;

X14 is L or N;

X15 is 3Pya, 3MeH, H, F, hF, Y, dY, Y(CHF2), PAF, oAMPhe, F(CF3), dPaf, D3Pya, ACIPA(SR), 6OH3Pya, 5PyrimidAla, 5MePyridinAla, 5MeH, 5AmPyridinAla, 4TriazolAla, 4PyridinAla, 4Pya, 3QuinolAla, 3OHPhe, 3AmPyrazolAla, 2AmTyr, or 1MeH;

X16 is meG, 4(R)HydroxyPro, 4(S)AminoPro, 4diFPro, 5(R)diMePro, aMeP, N(3AmBenzyl)Gly, N(Cyclohexyl)Gly, N(Isobutyl)Gly, P,or dP; and

Z is group comprising a lipid moiety; and

R2 is –OH, -NH2, -NH(C1 to C4 alkyl), -NH(C1-C4 alkyl), or -N(C1 to C4 alkyl)$_2$, each alkyl optionally substituted with Cl, F, or cyano; and

wherein the IL-23R inhibitor is cyclized by a disulfide or thioether first bond between X4 and X9.

4. An interleukin-23 receptor inhibitor comprising an amino acid sequence of Formula IV

R1-X3-X4-X5-T-X7-KAc-X9-X10-X11-X12-X13-X14-X15-X16-R2 (IV)

wherein:

R1 is hydrogen, C1 to C4 alkyl C(O)-, or C1 to C4 alkyl C(O)- substituted with Cl, F, or cyano, or;

X3 is dR or absent;

X4 is Pen, aMeC, Abu, C;

X5 is N, A, dN, dA;

X7 is 7MeW, W, 3Pya, 7(2ClPh)W, 7(3(1NMepip)pyraz)W, 7(3(6AzaInd1Me))W, 7(3CF3TAZP)W, 7(3NAcPh)W, 7(3NPyrazPh)W, 7(3NpyrlonePh)W, 7(3UrPh)W, 7(4(CpCNPh))W, 7(4CF3Ph)W, 7(4NAcPh)W, 7(4OCF3Ph)W, 7(4OMePh)W, 7(4Paz)W, 7(5(2(4OMePh)Pyr))W, 7(5(Ina7Pyr))W, 7(6(1)7dMeNDAZ))W, 7(6(2MeNDAZ))W, 7(7(124TAZP))W, 7(7Imzpy)W, 7BrW, 7EtW, 7PhW, 7PyrW, A, DT, or D7MeW;

X9 is Pen, Abu, aMeC, or C;

X10 is F4OMe, F4CONH2, F, 2Nal, AEF, 4AmF, or 4OMeF;

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 aMeK-Z, Spiral_Pip, or K-Z;

X13 is KAc, E, A, L, dK, dKAc, dE, or dA;

X14 is N, L, A, dN, dL, or dA;

X15 is 3Pya, 3MeH, H, F, hF, Y, dY, Y(CHF2), PAF, oAMPhe, F(CF3), dPaf, D3Pya, ACIPA(SR), 6OH3Pya, 5PyrimidAla, 5MePyridinAla, 5MeH, 5AmPyridinAla, 4TriazolAla, 4PyridinAla, 4Pya, 3QuinolAla, 3OHPhe, 3AmPyrazolAla, 2AmTyr, or 1MeH;

X16 is meG, 4(R)HydroxyPro, 4(S)AminoPro, 4diFPro, 5(R)diMePro, aMeP, N(3AmBenzyl)Gly, N(Cyclohexyl)Gly, N(Isobutyl)Gly, P,or dP;and

R2 is –OH, -NH2, NH(C1 to C4 alkyl), -NH(C1-C4 alkyl), -N(C1 to C4 alkyl)$_2$, each alkyl optionally substituted with Cl, F, or cyano; and

Z is group comprising a lipid moiety; and

wherein the IL-23R inhibitor is cyclized by a disulfide or thioether first bond between X4 and X9.

5. An interleukin-23 receptor inhibitor comprising an amino acid sequence of Formula V

R1-X3-X4-X5-T-X7-X8-X9-X10-X11-THP-X13-X14-X15-X16-X17-R2 (V)

wherein:

R1 is hydrogen, C_1 to C_4 alkyl C(O)-, C_1 to C_4 alkyl C(O)- substituted with Cl, F, or cyano;

X3 is dR, dK, or absent;

X4 is Pen, Abu, or C;

X5 is N, K, Q, L, dN, dK, dL,or dQ;

X7 is 7MeW, W, 3Pya, 7(2ClPh)W, 7(3(1NMepip)pyraz)W, 7(3(6AzaInd1Me))W, 7(3CF3TAZP)W, 7(3NAcPh)W, 7(3NPyrazPh)W, 7(3NpyrlonePh)W, 7(3UrPh)W, 7(4(CpCNPh))W, 7(4CF3Ph)W, 7(4NAcPh)W, 7(4OCF3Ph)W, 7(4OMePh)W, 7(4Paz)W, 7(5(2(4OMePh)Pyr))W, 7(5(Ina7Pyr))W, 7(6(1)7dMeNDAZ))W, 7(6(2MeNDAZ))W, 7(7(124TAZP))W, 7(7Imzpy)W, 7BrW, 7EtW, 7PhW, 7PyrW, A, DT, or D7MeW;

X8 is KAc, Q, K, dKAc, or dQ;

X9 is Pen, aMeC, Abu, or C;

X10 is AEF, AEF(G) or F4OMe;

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;

X13 is K-Z, or dK-Z;

X14 is N, L, dN, or dL;

X15 is 3Pya, 3MeH, H, F, bAla, hF, Y, dY, Y(CHF2), PAF, oAMPhe, F(CF3), dPaf, D3Pya, ACIPA(SR), 6OH3Pya, 5PyrimidAla, 5MePyridinAla, 5MeH,

5AmPyridinAla, 4TriazolAla, 4PyridinAla, 4Pya, 3QuinolAla, 3OHPhe, 3AmPyrazolAla, 2AmTyr, or 1MeH;

X16 is meG, 4(R)HydroxyPro, 4(S)AminoPro, 4diFPro, 5(R)diMePro, aMeP, N(3AmBenzyl)Gly, N(Cyclohexyl)Gly, N(Isobutyl)Gly, P, dP or absent;

X17 is absent, or K-Z;

R2 is –OH, -NH2, -NH(C1 to C4 alkyl), -NH(C1-C4 alkyl), or -N(C1 to C4 alkyl)$_2$, each alkyl optionally substituted with Cl, F, or cyano; and

Z is group comprising a lipid moiety; and

wherein the IL-23R inhibitor is cyclized by a disulfide or thioether first bond between X4 and X9.

6. An interleukin-23 receptor inhibitor comprising an amino acid sequence of Formula VI

R1-X3-X4-X5-T-X7-X8-X9-X10-X11-X12-X13-X14-X15-X16-X17-R2 (VI)

wherein

R1 is hydrogen, C1 to C4 alkyl C(O)-, or C1 to C4 alkyl C(O)- substituted with Cl, F, or cyano, cPEG3aCO, or 6Ahx;

X3 is dR, R, K, dK, dK-Z, K-Z, or absent;

X4 is Pen, Abu, aMeC or C;

X5 is N, or L;

X7 is 7MeW, W, 3Pya, 7(2ClPh)W, 7(3(1NMepip)pyraz)W, 7(3(6AzaInd1Me))W, 7(3CF3TAZP)W, 7(3NAcPh)W, 7(3NPyrazPh)W, 7(3NpyrlonePh)W, 7(3UrPh)W, 7(4(CpCNPh))W, 7(4CF3Ph)W, 7(4NAcPh)W, 7(4OCF3Ph)W, 7(4OMePh)W, 7(4Paz)W, 7(5(2(4OMePh)Pyr))W, 7(5(Ina7Pyr))W, 7(6(1)7dMeNDAZ))W, 7(6(2MeNDAZ))W, 7(7(124TAZP))W, 7(7Imzpy)W, 7BrW, 7EtW, 7PhW, 7PyrW, A, DT, or D7MeW;

X8 is KAc, Q, dKAc, or dQ;

X9 is Pen, C, aMeC, or Abu;

X10 is AEF, F4OMe, or TMAPF;

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 THP or Acvc, or Acpx;

X13 is KAc, dKAc, dE or E;

X14 is N or L;

X15 is 3Pya, 3MeH, H, F, hF, Y, dY, Y(CHF2), PAF, oAMPhe, F(CF3), dPaf, D3Pya, ACIPA(SR), 6OH3Pya, 5PyrimidAla, 5MePyridinAla, 5MeH, 5AmPyridinAla, 4TriazolAla, 4PyridinAla, 4Pya, 3QuinolAla, 3OHPhe, 3AmPyrazolAla, 2AmTyr, THP, or 1MeH;

X16 is K-Z, nMeK-Z, N-Z, Sarc-Z, dK-Z;

X17 is absent or K-Z;and

R2 is –OH, -NH2, -NH(C1 to C4 alkyl), -NH(C1-C4 alkyl), or -N(C1 to C4 alkyl)$_2$, each alkyl optionally substituted with Cl, F, or cyano; and

Z is group comprising a lipid moiety; and

wherein the IL-23R inhibitor is cyclized by a disulfide or thioether first bond between X4 and X9, and an amide second bond between R1 and X13 when R1 is 6Ahx and X13 is E.

7. An interleukin-23 receptor inhibitor comprising an amino acid sequence of Formula VII

R1-X3-X4-X5-T-X7-X8-X9-X10-X11-X12-X13-X14-X15-X16-R2 (VII)

wherein:

R1 is hydrogen, C1 to C4 alkyl C(O)-, or C1 to C4 alkyl C(O)- substituted with Cl, F, or cyano, GABA, CF3CO, succiniccarnitine, or cPEG3aCO,

X3 is dK, K, dK-Z, or K-Z;

X4 is Pen, aMeC, or C;

X5 is N, L, or E;

X7 is 7MeW, W, 3Pya, 7(2ClPh)W, 7(3(1NMepip)pyraz)W, 7(3(6AzaInd1Me))W, 7(3CF3TAZP)W, 7(3NAcPh)W, 7(3NPyrazPh)W, 7(3NpyrlonePh)W, 7(3UrPh)W, 7(4(CpCNPh))W, 7(4CF3Ph)W, 7(4NAcPh)W, 7(4OCF3Ph)W, 7(4OMePh)W, 7(4Paz)W, 7(5(2(4OMePh)Pyr))W, 7(5(Ina7Pyr))W, 7(6(1)7dMeNDAZ))W, 7(6(2MeNDAZ))W, 7(7(124TAZP))W, 7(7Imzpy)W, 7BrW, 7EtW, 7PhW, 7PyrW, A, DT, or D7MeW;

X8 is KAc, K, K(Me)3, dKAc, or dK;

X9 is Pen, aMeC, or C;

X10 is AEF, F, F(4-OMe), or TMAPF;

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 THP, aMeL, Acvc, or Acpx;

X13 is KAc, dKAc, L, E, dE, K(NMeAc), dK(Me)3, or K(Me)3;

X14 is N or L;

X15 is 3Pya, THP, 3MeH, H, F, hF, Y, dY, Y(CHF2), PAF, oAMPhe, F(CF3), dPaf, D3Pya, ACIPA(SR), 6OH3Pya, 5PyrimidAla, 5MePyridinAla, 5MeH, 5AmPyridinAla, 4TriazolAla, 4PyridinAla, 4Pya, 3QuinolAla, 3OHPhe, 3AmPyrazolAla, 2AmTyr, or 1MeH;

X16 is meG, 4(R)HydroxyPro, 4(S)AminoPro, 4diFPro, 5(R)diMePro, aMeP, N(3AmBenzyl)Gly, N(Cyclohexyl)Gly, N(Isobutyl)Gly, P, dP, Sarc, or absent;

R2 is –OH, -NH2, -NH(C1 to C4 alkyl), -NH(C1-C4 alkyl), or -N(C1 to C4 alkyl)$_2$, each alkyl optionally substituted with Cl, F, or cyano; and

Z is group comprising a lipid moiety; and

wherein the IL-23R inhibitor is cyclized by a disulfide first bond between X4 and X9.

8. An interleukin-23 receptor inhibitor comprising an amino acid sequence of Formula VIII

R1-X3-X4-X5-T-X7-X8-X9-AEF-X11-THP-X13-N-X15-X16-X17-R2 (VIII)

wherein:

R1 is hydrogen, C1 to C4 alkyl C(O)-, or C1 to C4 alkyl C(O)- substituted with Cl, F, or cyano, C12gEPEG2PEG2CO, ClAcPEG4CO;

X3 is dR , R, dK(SP6), K(SP6), K, or dK;

X4 is Pen, Abu, aMeC or C;

X5 is N or E;

X7 is 7MeW, W, 3Pya, 7(2ClPh)W, 7(3(1NMepip)pyraz)W, 7(3(6AzaInd1Me))W, 7(3CF3TAZP)W, 7(3NAcPh)W, 7(3NPyrazPh)W, 7(3NpyrlonePh)W, 7(3UrPh)W, 7(4(CpCNPh))W, 7(4CF3Ph)W, 7(4NAcPh)W, 7(4OCF3Ph)W, 7(4OMePh)W, 7(4Paz)W, 7(5(2(4OMePh)Pyr))W, 7(5(Ina7Pyr))W, 7(6(1)7dMeNDAZ))W, 7(6(2MeNDAZ))W, 7(7(124TAZP))W, 7(7Imzpy)W, 7BrW, 7EtW, 7PhW, 7PyrW, A, DT, or D7MeW;

X8 is Kac;

X9 is Pen, C, aMeC, or Abu;

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;

X13 is E, dE, K, or dK;

X15 is 3Pya, 3MeH, H, F, hF, Y, dY, Y(CHF2), PAF, oAMPhe, F(CF3), dPaf, D3Pya, ACIPA(SR), 6OH3Pya, 5PyrimidAla, 5MePyridinAla, 5MeH, 5AmPyridinAla, 4TriazolAla, 4PyridinAla, 4Pya, 3QuinolAla, 3OHPhe, 3AmPyrazolAla, 2AmTyr, or 1MeH;

X16 is meG, 4(R)HydroxyPro, 4(S)AminoPro, 4diFPro, 5(R)diMePro, aMeP, N(3AmBenzyl)Gly, N(Cyclohexyl)Gly, N(Isobutyl)Gly, P, dP, or absent;

X17 is K-Z or dK-Z; or

R2 is –OH, -NH2, -NH(C1 to C4 alkyl), -NH(C1-C4 alkyl), or -N(C1 to C4 alkyl)$_2$, each alkyl optionally substituted with Cl, F, or cyano; and

Z is group comprising a lipid moiety; and

wherein the IL-23R inhibitor is cyclized by a disulfide or thioether first bond between X4 and X9, and an amide second bond when X5 is E and X10 is AEF.

9. An interleukin-23 receptor inhibitor comprising an amino acid sequence of Formula IX

R1-X4-X5-T-X7-X8-X9-AEF-X11-THP-X13-N-X15-X16-X17-R2 (IX)

wherein:

R1 is hydrogen, C1 to C4 alkyl C(O)-, or C1 to C4 alkyl C(O)- substituted with Cl, F, or cyano, 5Ava, AEEP or C14gEPEG2PEG2CO;

X4 is Pen, Abu, C, aMeC, or absent;

X5 is N or absent;

X7 is 7MeW, W, 3Pya, 7(2ClPh)W, 7(3(1NMepip)pyraz)W, 7(3(6AzaInd1Me))W, 7(3CF3TAZP)W, 7(3NAcPh)W, 7(3NPyrazPh)W, 7(3NpyrlonePh)W, 7(3UrPh)W, 7(4(CpCNPh))W, 7(4CF3Ph)W, 7(4NAcPh)W, 7(4OCF3Ph)W, 7(4OMePh)W, 7(4Paz)W, 7(5(2(4OMePh)Pyr))W, 7(5(Ina7Pyr))W, 7(6(1)7dMeNDAZ))W, 7(6(2MeNDAZ))W, 7(7(124TAZP))W, 7(7Imzpy)W, 7BrW, 7EtW, 7PhW, 7PyrW, A, DT, or D7MeW;

X8 is KAc, dK, dQ, or Q;

X9 is Pen, S5H, C, or aMeC;

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;

X13 is E, KAc, dK(d), S5H, dE, dK(Ac), dK, or R5H;

X15 is 3Pya, 3MeH, H, F, hF, Y, dY, Y(CHF2), PAF, oAMPhe, F(CF3), dPaf, D3Pya, ACIPA(SR), 6OH3Pya, 5PyrimidAla, 5MePyridinAla, 5MeH, 5AmPyridinAla,

4TriazolAla, 4PyridinAla, 4Pya, 3QuinolAla, 3OHPhe, 3AmPyrazolAla, 2AmTyr, or 1MeH;

X16 is Sarc, 4(R)HydroxyPro, 4(S)AminoPro, 4diFPro, 5(R)diMePro, aMeP, N(3AmBenzyl)Gly, N(Cyclohexyl)Gly, N(Isobutyl)Gly, P,or dP;

X17 is K-Z;

R2 is –OH, -NH2, -NH(C1 to C4 alkyl), -NH(C1-C4 alkyl), or -N(C1 to C4 alkyl)$_2$, each alkyl optionally substituted with Cl, F, or cyano; and

Z is group comprising a lipid moiety; and

wherein the IL-23R inhibitor is cyclized by a disulfide or thioether first bond between X4 and X9 or an aliphatic bond (generated from a Ring Closing Metathesis "RCM" reaction) between X9 and X13 when both residues are S5H.

10. An interleukin-23 receptor inhibitor comprising an amino acid sequence of Formula X

R1- X3-X4-X5-T-X7-X8-X9-X10-X11-X12-X13-X14-X15-X16-X17-R2 (X)

wherein:

R1 is hydrogen, C1 to C4 alkyl C(O)-, or C1 to C4 alkyl C(O)- substituted with Cl, F, or cyano, 7Ahp, 6Ahx, 5Ava, 6Ava, AEEP, GABA, succinylcarnitine. cPEG3aCO, ClAcPEG4CO, 1PEG2_1PEG2_IsoGlu_C18, 1PEG2_1PEG2_IsoGlu_C18_Diacid, PentCO, PEG12_OMe, HOC18gEPEG2PEG2, PEG2PEG2gEC16OH, PEG4_Decyl, PEG4_Lauryl, PEG4_Capryl, PEG4_Hexyl, PEG2_Palm, PEG2_Myristyl, PEG2_Lauryl, Hexyl, Decyl, PEG2_Decyl, PEG2_Capryl, Oct, PEG4_Palm, Palm, Lauryl, 1PEG2_1PEG2_IsoGlu_C16_Diacid, HOC16gEPEG2PEG2orn, or Z;

X3 is dR, dK, dK-Z, or absent;

X4 is Pen, aMeC, Abu, or C;

X5 is N, L, Q, K, E, aMeN, dN, dL, dQ, dK, dE, K-Z, or dK-Z;

X7 is 7MeW, W, 3Pya, 7(2ClPh)W, 7(3(1NMepip)pyraz)W, 7(3(6AzaInd1Me))W, 7(3CF3TAZP)W, 7(3NAcPh)W, 7(3NPyrazPh)W, 7(3NpyrlonePh)W, 7(3UrPh)W, 7(4(CpCNPh))W, 7(4CF3Ph)W, 7(4NAcPh)W, 7(4OCF3Ph)W, 7(4OMePh)W, 7(4Paz)W, 7(5(2(4OMePh)Pyr))W, 7(5(Ina7Pyr))W, 7(6(1)7dMeNDAZ))W, 7(6(2MeNDAZ))W, 7(7(124TAZP))W, 7(7Imzpy)W, 7BrW, 7EtW, 7PhW, 7PyrW, A, DT, or D7MeW;

X8 is KAc, dK(Ac), dQ, or Q;

X9 is Pen, C, aMeC, or Abu;

X10 is AEF, F4OMe, F(4-CONH2), TMAPF, AEF(G), or F;

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 THP, aMeL, Acvc, Acpx, aMeK, or aMeK-Z;

X13 is K(Ac), dK(Ac), E, dE, L, dL, dK-Z, or K-Z;

X14 is N, K, or K-Z;

X15 is 3Pya, 3MeH, H, F, hF, Y, dY, Y(CHF2), PAF, oAMPhe, F(CF3), dPaf, D3Pya, ACIPA(SR), 6OH3Pya, 5PyrimidAla, 5MePyridinAla, 5MeH, 5AmPyridinAla, 4TriazolAla, 4PyridinAla, 4Pya, 3QuinolAla, 3OHPhe, 3AmPyrazolAla, 2AmTyr, THP, NH(2-(pyridin-3-yl)ethyl), bAla, THP, aMeF, or 1MeH;

X16 is Sarc, K-Z, NMeK-Z, or absent;

X17 is K-Z, dK-Z, or absent;

R2 is –OH, -NH2, -NH(C1 to C4 alkyl), -NH(C1-C4 alkyl), or -N(C1 to C4 alkyl)$_2$, each alkyl optionally substituted with Cl, F, cyano or Z;

Z is group comprising a lipid moiety; and

wherein the IL-23R inhibitor is cyclized by a disulfide or thioether first bond between X4 and X9, and an amide second bond (i) between X5 and X10 when X5 is E and X10 is AEF, or (ii) between X13 and R1 when X13 is E and R1 is 7Ahp, 6Ahx, 5Ava, 6Ava, AEEP, or GABA.

11. A interleukin-23 receptor inhibitor selected from Table 1A, Table 1B, Table 1C, Table 1D, Table 1E, Table 1F, Table 1G, Table 1H, Table 1I, Table 1J, Table 1K, Table 1L, or Table 1M respectively.

12. Example 2 (compound 2 SEQ ID NO:2); Example (SEQ ID NO:4); Example 11 (SEQ ID NO:11); Example 17 (SEQ ID NO:17); Example 18 (SEQ ID NO:18); Example 19 (SEQ ID NO:19); Example 20 SEQ ID NO:20); Example 21 SEQ ID NO:21); Example 23 (SEQ ID NO:23); and Example 24 (SEQ ID NO:24).

13. A pharmaceutical composition comprising:

(i) an interleukin-23 receptor inhibitor or pharmaceutically acceptable salt, solvate, or form thereof, according to any of claims 1 to 10, and

(ii) a pharmaceutically acceptable carrier, excipient, or diluent.

14. A pharmaceutical composition which comprises:

(i) an interleukin-23 receptor inhibitor or pharmaceutically acceptable salt, solvate, or form thereof, according to claim 11, and

(ii) a pharmaceutically acceptable carrier, excipient, or diluent.

15. A pharmaceutical composition which comprises:

(i) an interleukin-23 receptor inhibitor or pharmaceutically acceptable salt, solvate, or form thereof according to claim 12: and

(ii) a pharmaceutically acceptable carrier, excipient, or diluent.

16. The use of an interleukin-23 receptor inhibitor or compound according to any of claims 1 to 12, or a pharmaceutical composition according to any of claims 13 to 15, for the preparation of a medicament for the treatment of an inflammatory disorder or autoimmune inflammatory disorder.

17. The use of claim 16, for the preparation of a medicament for the treatment of autoimmune inflammation and related diseases and disorders including, but not limited to: multiple sclerosis, asthma, rheumatoid arthritis, inflammation of the gut, inflammatory bowel diseases (IBDs), juvenile IBD, adolescent IBD, Crohn's disease, ulcerative colitis, Celiac disease (nontropical Sprue), 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, sarcoidosis, Systemic Lupus Erythematosus, ankylosing spondylitis (axial spondyloarthritis), psoriatic arthritis, psoriasis (e.g., plaque psoriasis, guttate psoriasis, inverse psoriasis, pustular psoriasis, Palmo-Plantar Pustulosis, psoriasis vulgaris, or erythrodermic psoriasis), atopic dermatitis, acne ectopica, enteropathy associated with seronegative arthropathies, 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.

18. The use of claim 16 for the preparation of a medicament for the treatment of a disease or disorder selected from Inflammatory Bowel Disease (IBD), Ulcerative colitis (UC), Crohn's Disease (CD), psoriasis (PsO) or psoriatic arthritis (PsA).

19. A method for treating a disease or disorder associated with Interleukin 23 (IL-23)/Interleukin 23 Receptor (IL-23R), which comprises administering:
(i) an effective amount of a peptide inhibitor of an interleukin-23 receptor, or a pharmaceutically acceptable salt, solvate, or form thereof according to any of claims 1 to 12, or
(ii) a pharmaceutical composition according to any of claims 13 to 15, respectively to a patient in need thereof.

20. The method of claim 19, wherein the disease or disorder is associated with autoimmune inflammation.

21. The method of claim 20, wherein the disease or disorder is multiple sclerosis, asthma, rheumatoid arthritis, inflammation of the gut, inflammatory bowel diseases (IBDs), juvenile IBD, adolescent IBD, Crohn's disease, ulcerative colitis, Celiac disease (nontropical Sprue), 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, sarcoidosis, Systemic Lupus Erythematosus, ankylosing spondylitis (axial spondyloarthritis), psoriatic arthritis, psoriasis (e.g., plaque psoriasis, guttate psoriasis, inverse psoriasis, pustular psoriasis, Palmo-Plantar Pustulosis, psoriasis vulgaris, or erythrodermic psoriasis), atopic dermatitis, acne ectopica, enteropathy associated with seronegative arthropathies, 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.

22. The method of claim 20, wherein the disease or disorder is associated with Ulcerative colitis (UC), Crohn's Disease (CD), psoriasis (PsO), or psoriatic arthritis (PsA).