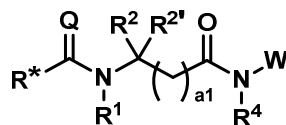


WHAT IS CLAIMED IS:

1. A compound of Formula (I):



5

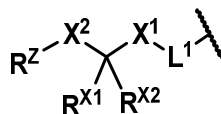
Formula (I)

or a pharmaceutically acceptable salt thereof, wherein:

Q is O or S;

R* is as defined in (i), (ii), or (iii), below:

(i)



10

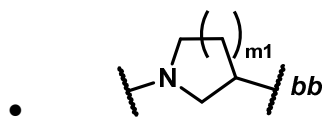
Formula (A)

wherein:

L¹ is selected from the group consisting of:

- C₁₋₁₀ alkylene optionally substituted with 1-3 substituents each independently

15 selected from the group consisting of: halo; C₁₋₄ alkoxy; -OH; phenyl; and NR'R''; and



, wherein m1 is 0, 1, 2, 3, or 4;

X¹ is selected from the group consisting of: C(=O); C(=S); S(O)₁₋₂; C(=O)N(R')*; C(=S)N(R')*; S(O)₁₋₂N(R')*; N(R')C(=O)*; N(R')C(=S)*; and N(R')S(O)₁₋₂*, wherein * represents the point of attachment to L¹;

20

R^{X1} and R^{X2} are each defined according to (AA) or (AB):

(AA)

R^{X1} and R^{X2} are each independently selected from the group consisting of:

- -H, -F;
- C_{1-8} alkyl, C_{2-6} alkenyl, and C_{2-6} alkynyl, each of which is optionally substituted

5 with 1-3 R^a ; and

- C_{3-8} cycloalkyl which is optionally substituted with 1-3 R^b ;

(AB)

R^{X1} and R^{X2} taken together with the carbon atom to which each is attached form a saturated or partially unsaturated ring having 3-10 ring atoms, wherein 0-2 ring atoms are
 10 heteroatoms each independently selected from the group consisting of: O, N, N(H), N(R^c), and S(O)₀₋₂, wherein the ring is optionally substituted at one or more ring carbon atoms with 1-3 R^b ;

X^2 is a bond; and

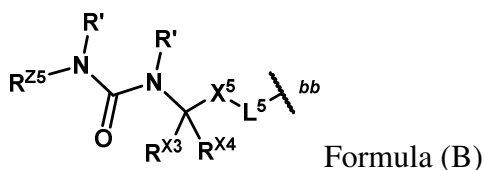
R^Z is selected from the group consisting of:

- H;
- 15 • C_{1-6} alkyl optionally substituted with 1-6 R^a ;
- $-R^{ZA}$; and
- $-L^{ZA}-R^{ZA}$;

L^{ZA} is C_{1-6} alkylene optionally substituted with 1-3 independently selected R^a ; and

20 R^{ZA} is R^e ;

(ii)



wherein:

L^5 is C_{1-10} alkylene optionally substituted with 1-3 substituents each independently selected from the group consisting of: halo; C_{1-4} alkoxy; -OH; phenyl; and $NR'R''$;

5 X^5 is selected from the group consisting of: a bond; $C(=O)$; $C(=S)$; $S(O)_{1-2}$; $C(=O)N(R')^*$; $C(=S)N(R')^*$; and $S(O)_{1-2}N(R')^*$, wherein * represents the point of attachment to L^5 ;

R^{X3} and R^{X4} are each defined according to (BA) or (BB):

(BA)

10 R^{X3} and R^{X4} are each independently selected from the group consisting of:

- -H, -F;
- C_{1-8} alkyl, C_{2-6} alkenyl, and C_{2-6} alkynyl, each of which is optionally substituted with 1-3 R^a ; and
- C_{3-6} cycloalkyl which is optionally substituted with 1-3 R^b ;

15 (BB)

R^{X3} and R^{X4} taken together with the carbon atom to which each is attached form a saturated or partially unsaturated ring having 3-10 ring atoms, wherein 0-2 ring atoms are heteroatoms each independently selected from the group consisting of: O, N, $N(H)$, $N(R^c)$, and $S(O)_{0-2}$, wherein the ring is optionally substituted at one or more ring carbon atoms with 1-3 R^b ;

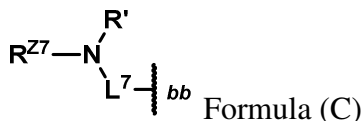
20 and

R^{Z5} is selected from the group consisting of: $-R^{ZE}$; $-L^{ZE}-R^{ZE}$; and C_{1-6} alkyl optionally substituted with 1-6 R^a ;

L^{ZE} is C_{1-6} alkylene optionally substituted with 1-3 independently selected R^a ; and

R^{ZE} is R^e ;

5 (iii)



L^7 is C_{1-10} alkylene optionally substituted with 1-3 substituents each independently selected from the group consisting of: halo; C_{1-4} alkoxy; $-OH$; phenyl; and $NR'R''$; and

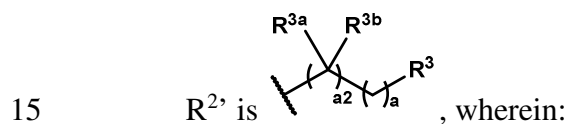
R^{Z7} is $-L^{ZG}-R^{ZG}$;

10 L^{ZG} is C_{1-6} alkylene optionally substituted with 1-3 independently selected R^a ;

R^{ZG} is R^e ;

each of R^1 and R^2 is independently selected from the group consisting of H and C_{1-3} alkyl;

a_1 is 0, 1, 2, 3, or 4;



R^3 is $-C(O)OH$, $-C(O)OR^{4a}$, $-CH(C(O)OH)_2$, or a carboxylic acid isostere;

a is 0, 1, 2, 3, 4, or 5; a_2 is 0 or 1;

each of R^{3a} and R^{3b} is independently H or C_{1-3} alkyl; and

R^{4a} is $-(C_{0-3} \text{ alkylene})-R^e$ or C_{1-6} alkyl optionally substituted with 1-6 R^a

20 $-N(R^4)-W$ has Formula WD:

*GT(Xaa3)(Xaa4)SD(Xaa7)SI(Xaa10)LD(Xaa13)(Xaa14)A(Xaa16)(Xaa17)(Xaa18)F(Xaa20)(Xaa21)(Xaa22)L(Xaa24)(Xaa25)GGPSSGAPPPS(Xaa37)-R⁶ (SEQ ID NO: 4),

wherein:

Xaa3 is F, F^{*}, F[†], or F[‡];

5 Xaa4 is T, A or V;

Xaa7 is Y, or K^{*};

Xaa10 is Y, L^{*}, or Aib;

Xaa13 is K, Orn, or R;

Xaa14 is Q, I, or K^{*};

10 Xaa16 is A, or Q;

Xaa17 is Aib, or K^{*};

Xaa18 is A, or E;

Xaa20 is V or I;

Xaa21 is N, Q, K^{*}, or dE;

15 Xaa22 is W or Y;

Xaa24 is I or L;

Xaa25 is A or E;

Xaa37 is absent;

R⁶ is -NH₂ or -OH;

20 wherein -N(R⁴)-W is attached to the remainder of Formula (I) by the amino group of N-terminal amino acid *G;

each occurrence of R^a is independently selected from the group consisting of: halo; $-OH$; $-N(R^f)(R')$; C_{1-4} alkoxy; C_{1-4} haloalkoxy; $-OC(=O)(C_{1-6}$ alkyl); $-C(=O)O(C_{1-6}$ alkyl); $-C(=O)OH$, $-C(=O)N(R')(R'')$, $-S(O)_{1-2}(C_{1-6}$ alkyl); and cyano;

5 each occurrence of R^b is independently selected from the group consisting of: C_{1-6} alkyl optionally substituted with 1-6 R^a ; C_{2-6} alkenyl; C_{2-6} alkynyl; $-OH$; oxo; halo; $-N(R^f)(R')$; C_{1-4} alkoxy; C_{1-4} haloalkoxy; $-C(=O)(C_{1-4}$ alkyl); $-C(=O)O(C_{1-6}$ alkyl); $-C(=O)OH$; $-C(=O)N(R')(R'')$; $-S(O)_{1-2}N(R')(R'')$; $-S(O)_{1-2}(C_{1-6}$ alkyl); $-SF_5$; $-NO_2$; and cyano;

10 each occurrence of R^c is independently selected from the group consisting of: C_{1-6} alkyl; C_{1-6} haloalkyl; $-C(=O)(C_{1-6}$ alkyl); $-C(=O)O(C_{1-6}$ alkyl); $-C(=O)N(R')(R'')$; and $-S(O)_{1-2}(C_{1-6}$ alkyl);

each occurrence of R^d is independently selected from the group consisting of: $-R^e$, $-(C_{1-3}$ alkylene)- R^e , $-O-(C_{0-3}$ alkylene)- R^e , $-C(=O)(C_{0-3}$ alkylene)- R^e , and $-C(=O)(C_{0-3}$ alkylene)O- R^e ;

each occurrence of R^e is independently selected from the group consisting of:

15 (i) C_{3-10} cycloalkyl, wherein the cycloalkyl is optionally substituted with 1-4 independently selected R^b ;

(ii) heterocyclyl including 3-10 ring atoms, wherein 1-3 ring atoms are each independently selected from the group consisting of N, N(H), $N(R^c)$, O, and $S(O)_{0-2}$, wherein the heterocyclyl is optionally substituted with 1-4 independently selected R^b at one or more ring carbon atoms;

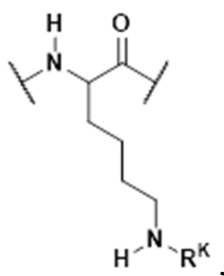
20 (iii) C_{6-10} aryl, wherein the aryl is optionally substituted with 1-5 independently selected R^b ; and

(iv) heteroaryl including 5-10 ring atoms, wherein 1-4 ring atoms are each independently selected from the group consisting of N, N(H), N(R^c), O, and S(O)₀₋₂, wherein the heteroaryl is optionally substituted with 1-3 independently selected R^b at one or more ring carbon atoms;

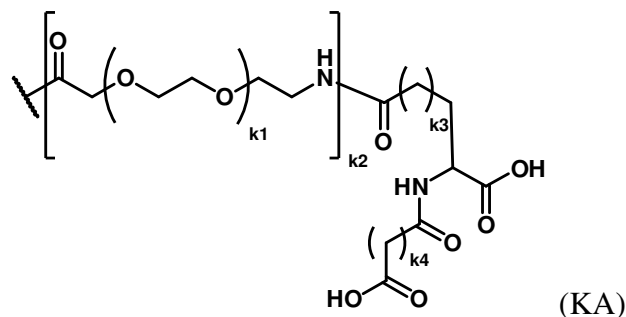
each occurrence of R^f is independently selected from the group consisting of: H; C₁₋₄ alkyl; C₃₋₆ cycloalkyl; -C(=O)(C₁₋₆ alkyl); -C(=O)O(C₁₋₆ alkyl); and -S(O)₁₋₂(C₁₋₆ alkyl); and

each occurrence of R' and R'' is independently selected from the group consisting of: H and C₁₋₆ alkyl.

2. The compound of claim 1, wherein K* has the formula:



and wherein R^K is a group of Formula (KA):



wherein:

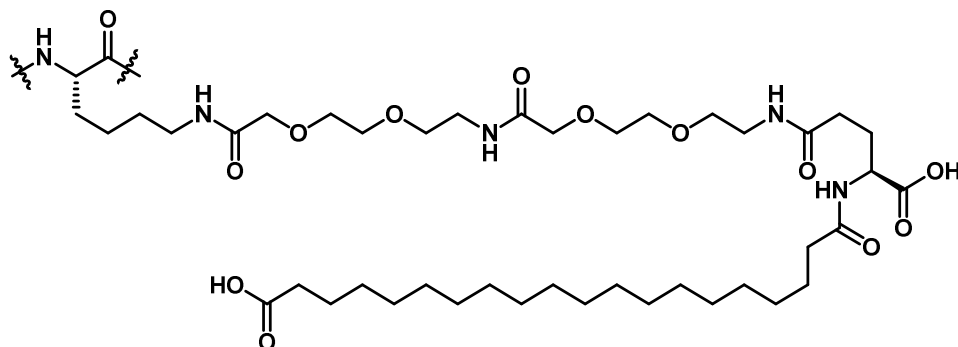
k₁ is 1, 2, 3, or 4;

k₂ is 1, 2, 3, or 4;

k₃ is 0, 1, 2, 3, or 4; and

k4 is an integer from 5 to 25.

3. The compound of claim 1, wherein K* is an internal amino acid having the formula:



5

4. The compound of any one of claims 1-3, wherein R⁶ is -NH₂.

5. The compound of any one of claims 1-4, wherein

Xaa3 is F, F*, or F‡;

10 Xaa4 is T;

Xaa7 is Y or K*;

Xaa10 is Y or Aib;

Xaa13 is K or Orn;

Xaa14 is Q, I, or K*;

15 Xaa16 is A, or Q;

Xaa17 is Aib, or K*;

Xaa18 is A, or E;

Xaa20 is V or I;

Xaa21 is N, Q, K*, or dE;

Xaa22 is W or Y;

Xaa24 is I or L; and

Xaa25 is A or E.

5 6. The compound of any one of claims 1-5, wherein Xaa7 is K*.

7. The compound of any one of claims 1-5, wherein Xaa14 is K*.

8. The compound of any one of claims 1-5, wherein Xaa17 is K*.

10

9. The compound of any one of claims 1-5, wherein Xaa21 is K*.

10. The compound of any one of claims 1-4, wherein

Xaa3 is F;

15 Xaa4 is T;

Xaa7 is Y;

Xaa10 is Aib;

Xaa13 is K;

Xaa14 is I;

20 Xaa16 is Q;

Xaa17 is K*;

Xaa18 is A;

Xaa20 is V;

Xaa21 is Q;

Xaa22 is W;

Xaa24 is I; and

Xaa25 is A.

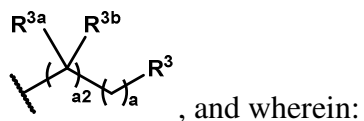
5

11. The compound of any one of claims 1-10, wherein Q is O.

12. The compound of any one of claims 1-11, wherein R^1 and R^2 are each H.

10 13. The compound of any one of claims 1-12, wherein a1 is 0.

14. The compound of any one of claims 1-13, wherein $R^{2'}$ is



R^3 is $-C(O)OH$;

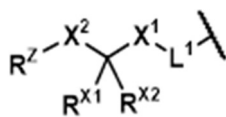
15 a is 2; a2 is 0; and

each of R^{3a} and R^{3b} is H.

15. The compound of any one of claims 1-14, wherein R' and R'' are each H.

20 16. The compound of any one of claims 1-15, wherein R^* is as defined in (i) or (ii).

17. The compound of any one of claims 1-15, wherein R^* is as defined by Formula (A):



Formula (A),

wherein:

L^1 is C_{1-3} alkylene;

X^1 is $C(=O)N(R')^*$ or $N(R')C(=O)^*$, wherein $*$ represents the point of attachment to L^1 ;

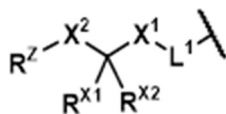
5 R^{X1} and R^{X2} are each C_{1-2} alkyl; and

R^Z is:

C_{6-10} aryl, wherein the aryl is optionally substituted with 1-5 groups independently selected from C_{1-2} alkyl, $-OH$, $-halo$, and cyano; or

heteroaryl including 5-10 ring atoms, wherein 1-4 ring atoms are each independently N,
 10 and wherein the heteroaryl is optionally substituted with 1-3 independently selected C_{1-2} alkyl, $-OH$, $-halo$, or cyano groups at one or more ring carbon atoms.

18. The compound of any one of claims 1-15, wherein R^* is as defined by Formula (A):



Formula (A)

15 wherein:

L^1 is C_{1-3} alkylene,

X^1 is $C(=O)N(R')^*$ or $N(R')C(=O)^*$; wherein $*$ represents the point of attachment to L^1 ;

R^{X1} and R^{X2} taken together with the carbon atom to which each is attached form a saturated or partially unsaturated ring having 3-8 ring atoms, wherein 0-2 ring atoms are
 20 heteroatoms each independently selected from the group consisting of O, N, and N(H), and

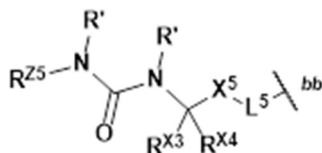
wherein the ring is optionally substituted at one or more ring carbon atoms with 1-3 C₁₋₆ alkyl or oxo groups;

X² is a bond; and

R^Z is:

- 5 C₆₋₁₀ aryl, wherein the aryl is optionally substituted with 1-5 independently selected groups selected from -halo, C₁₋₄ alkoxy, and cyano; or
- heteroaryl including from 5-10 ring atoms, wherein 1-4 ring atoms are each independently selected from the group consisting of N and N(H).

- 10 19. The compound of any one of claims 1-15, wherein R* is as defined by Formula (B):



Formula (B)

wherein:

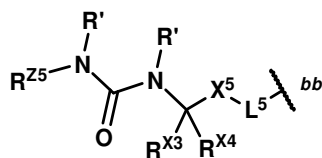
L⁵ is C₁₋₃ alkylene;

X⁵ is C(=O)N(R')*; wherein * represents the point of attachment to L⁵;

- 15 R^{X3} and R^{X4} are each independently C₁₋₃ alkyl, and

R^{Z5} is C₁₋₆ alkyl.

20. The compound of any one of claims 1-15, wherein R* is as defined by formula (B):



Formula (B)

- 20 wherein:

L^5 is C_{1-5} alkylene;

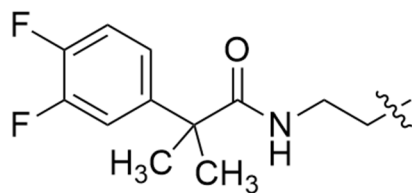
X^5 is $C(=O)N(R')^*$; wherein $*$ represents the point of attachment to L^5 ;

R^{X3} and R^{X4} are each -H, and

R^{Z5} is C_6 aryl, wherein the aryl is optionally substituted with 1-3 groups independently

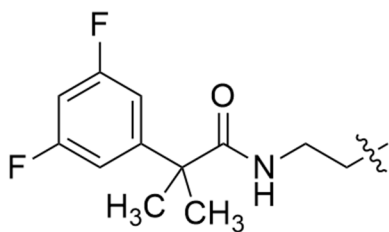
5 selected from the group consisting of C_{1-2} alkyl optionally substituted with 1-5 halo, -halo, and C_{1-3} alkoxy.

21. The compound of any one of claims 1-15, wherein R^* is

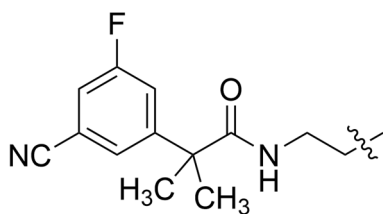


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22. The compound of any one of claims 1-15, wherein R^* is

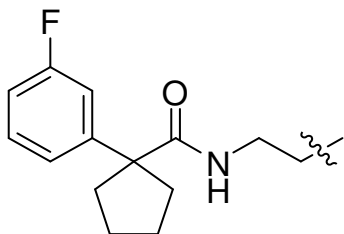


23. The compound of any one of claims 1-15, wherein R^* is

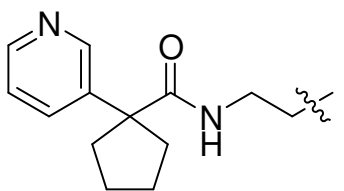


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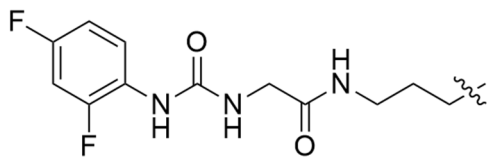
24. The compound of any one of claims 1-15, wherein R* is



- 5 25. The compound of any one of claims 1-15, wherein R* is

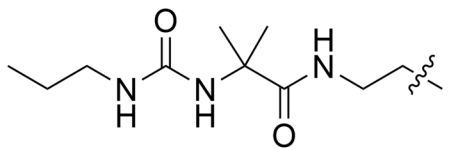


26. The compound of any one of claims 1-15, wherein R* is



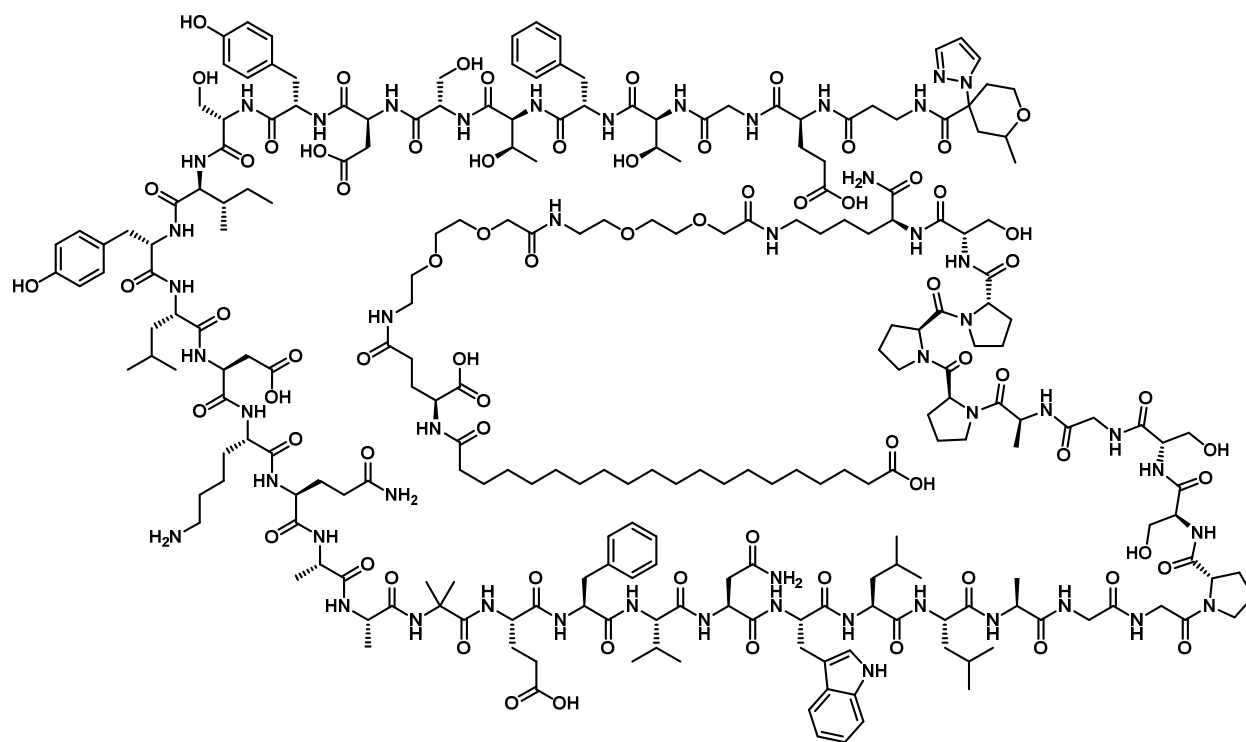
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27. The compound of any one of claims 1-15, wherein R* is

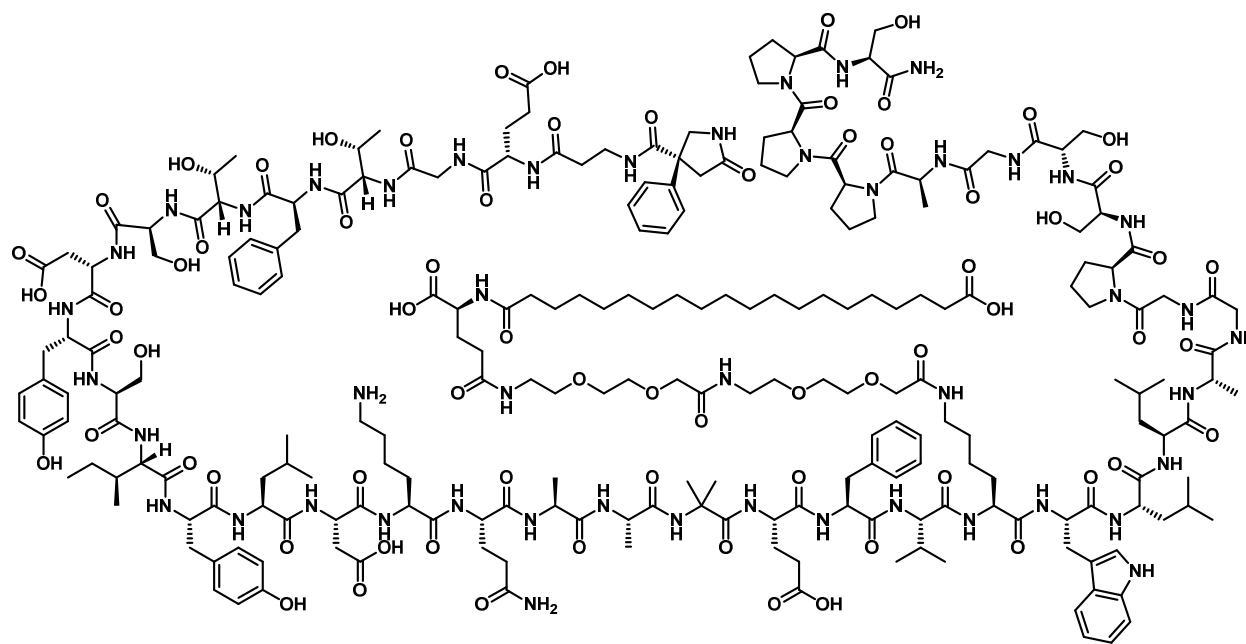


28. A compound, or pharmaceutically acceptable salt thereof, wherein the compound is

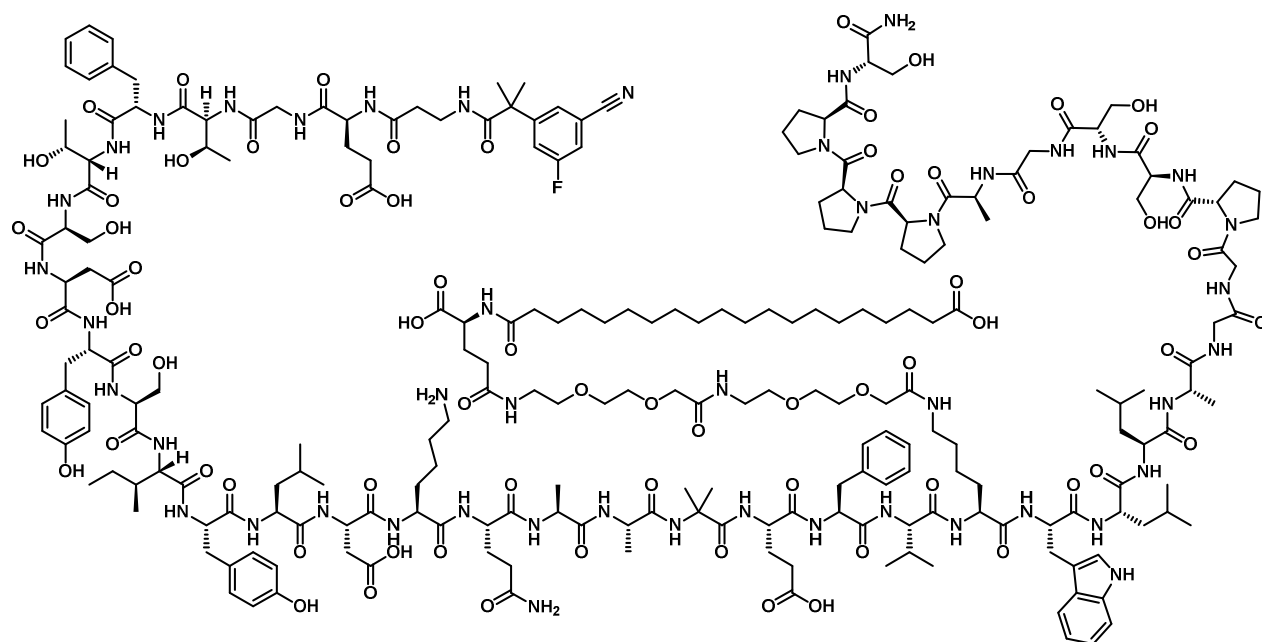
15 selected from the group consisting of:



Compound 101,

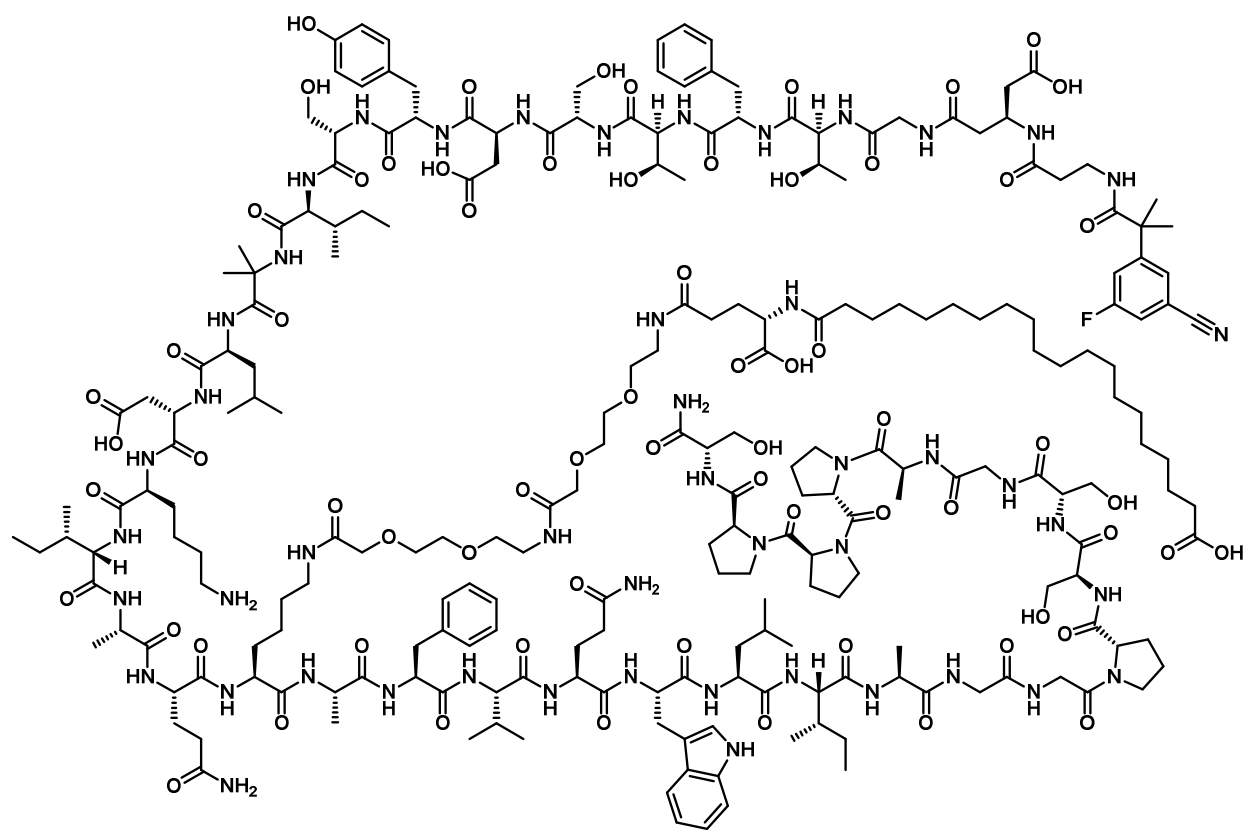


Compound 102,

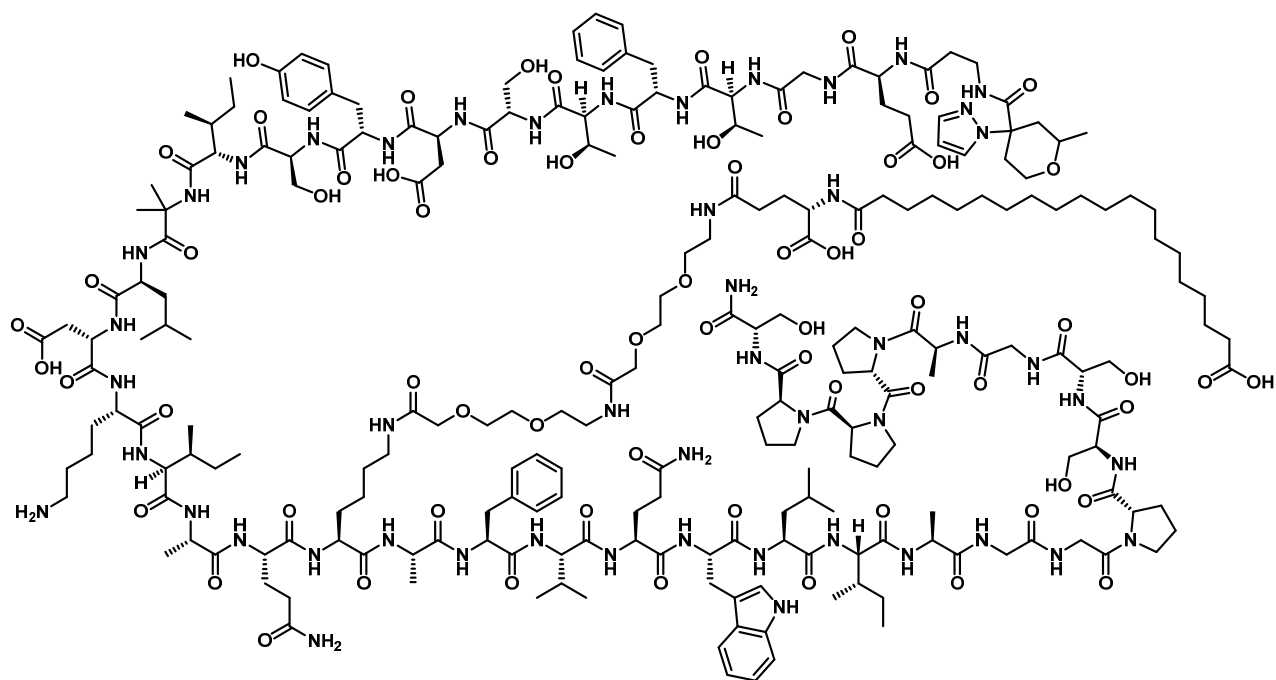


Compound 103,

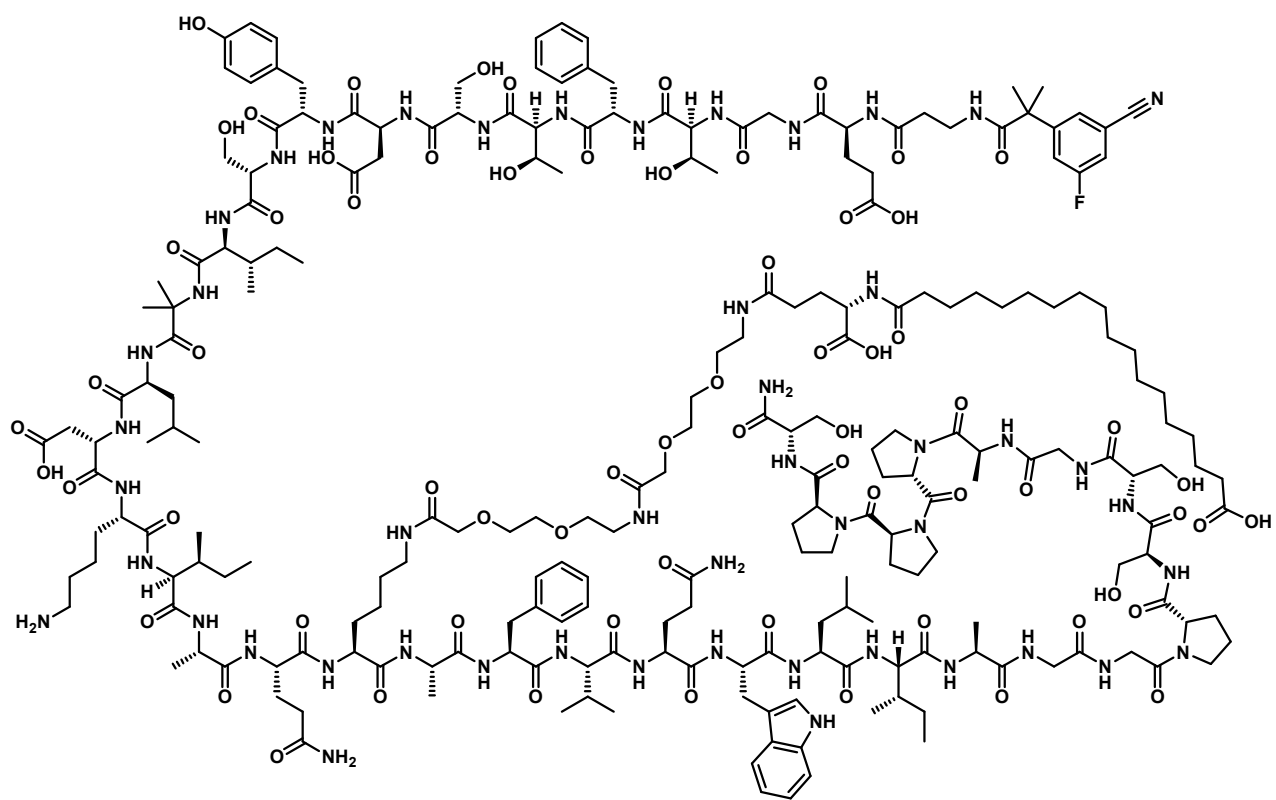
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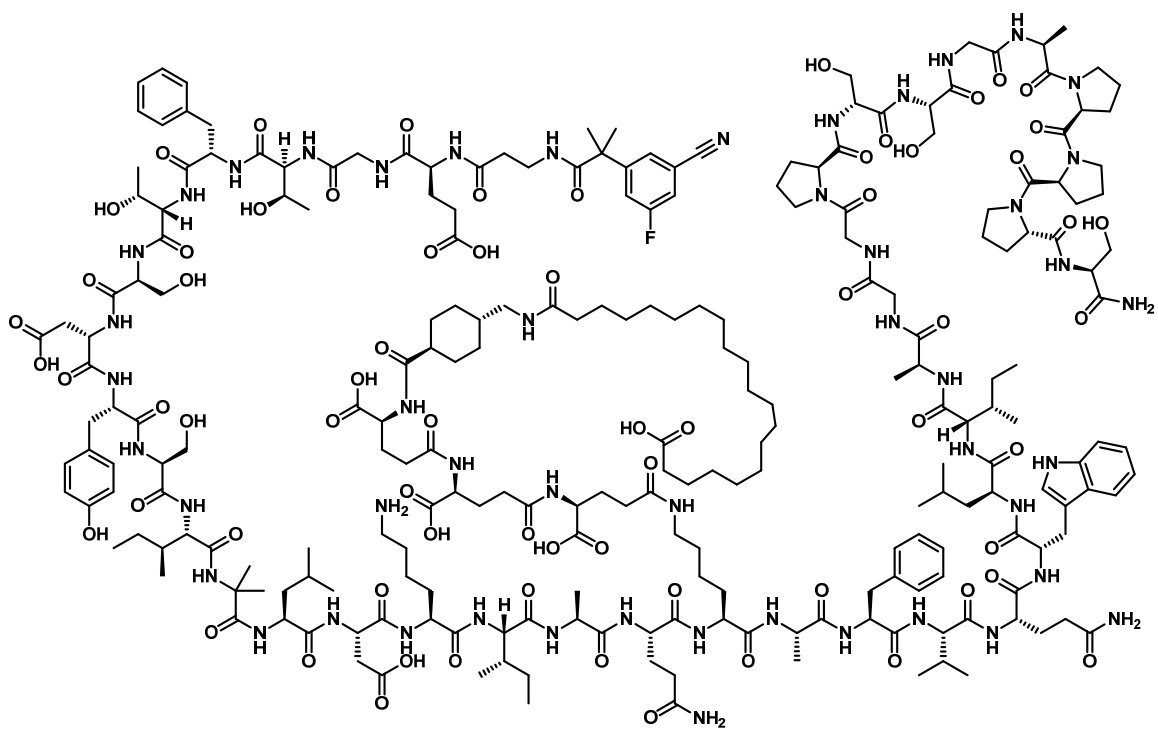
Compound 104,



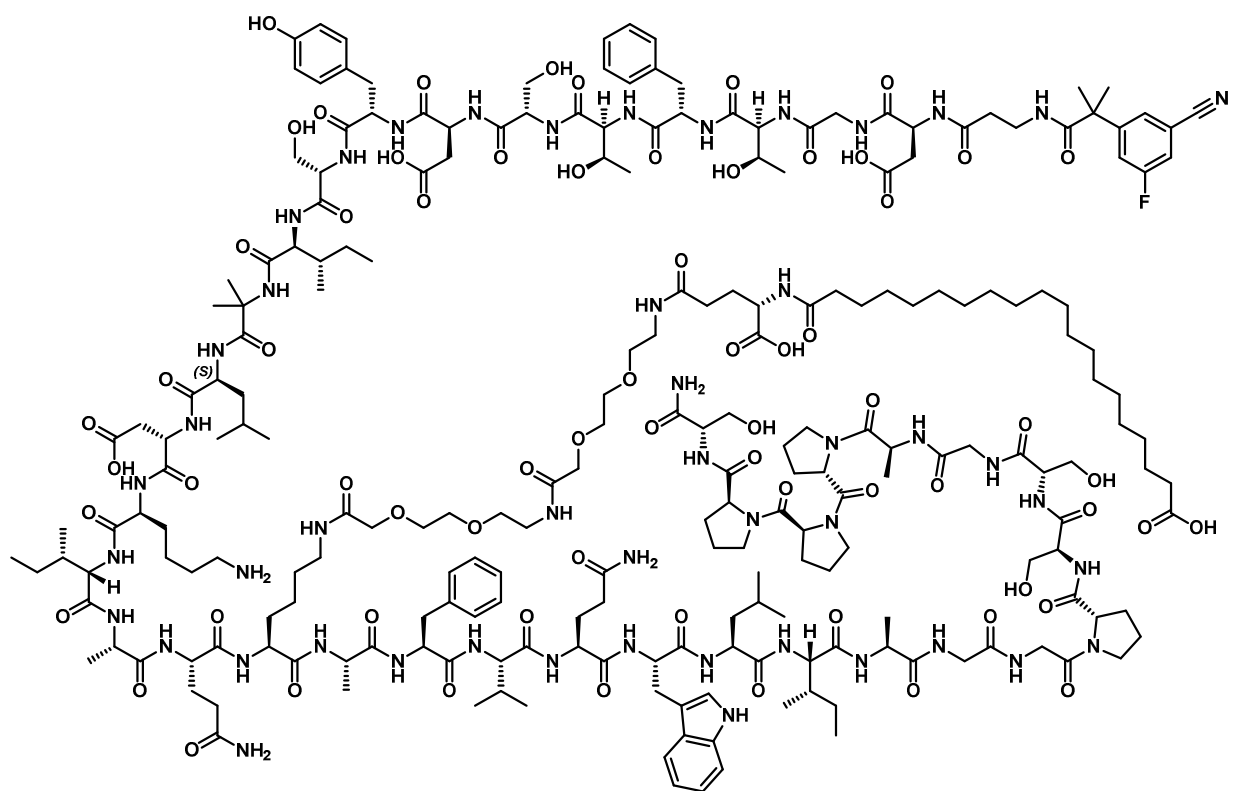
Compound 105,



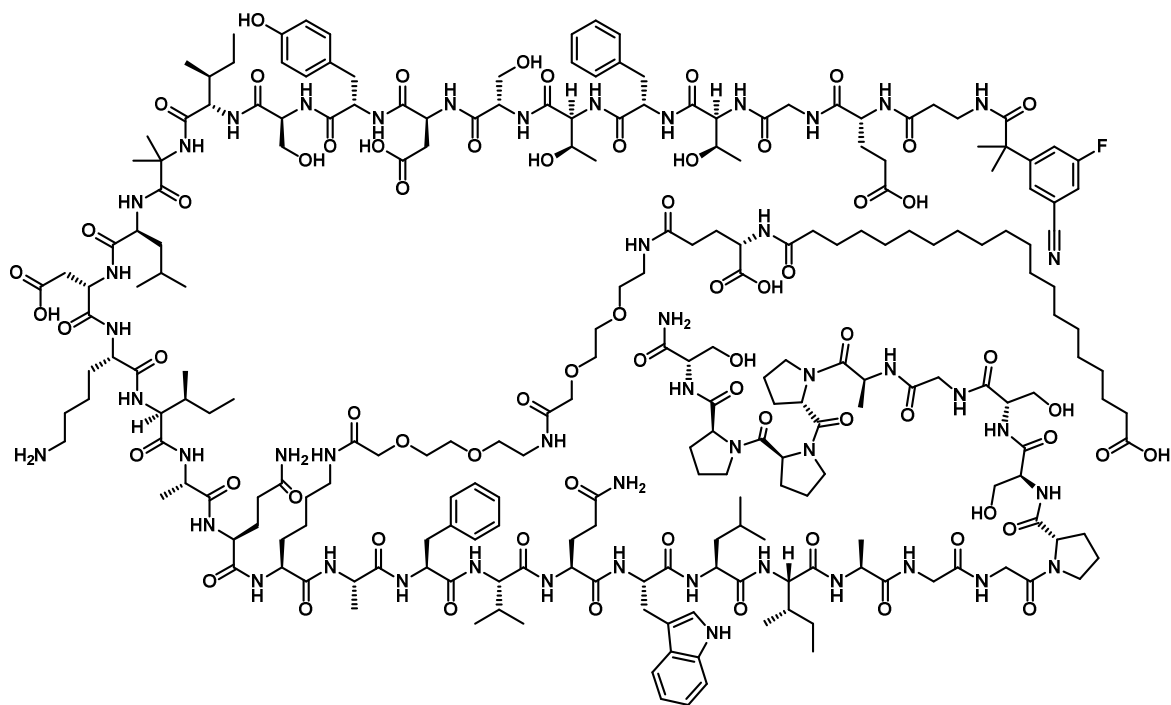
Compound 106,



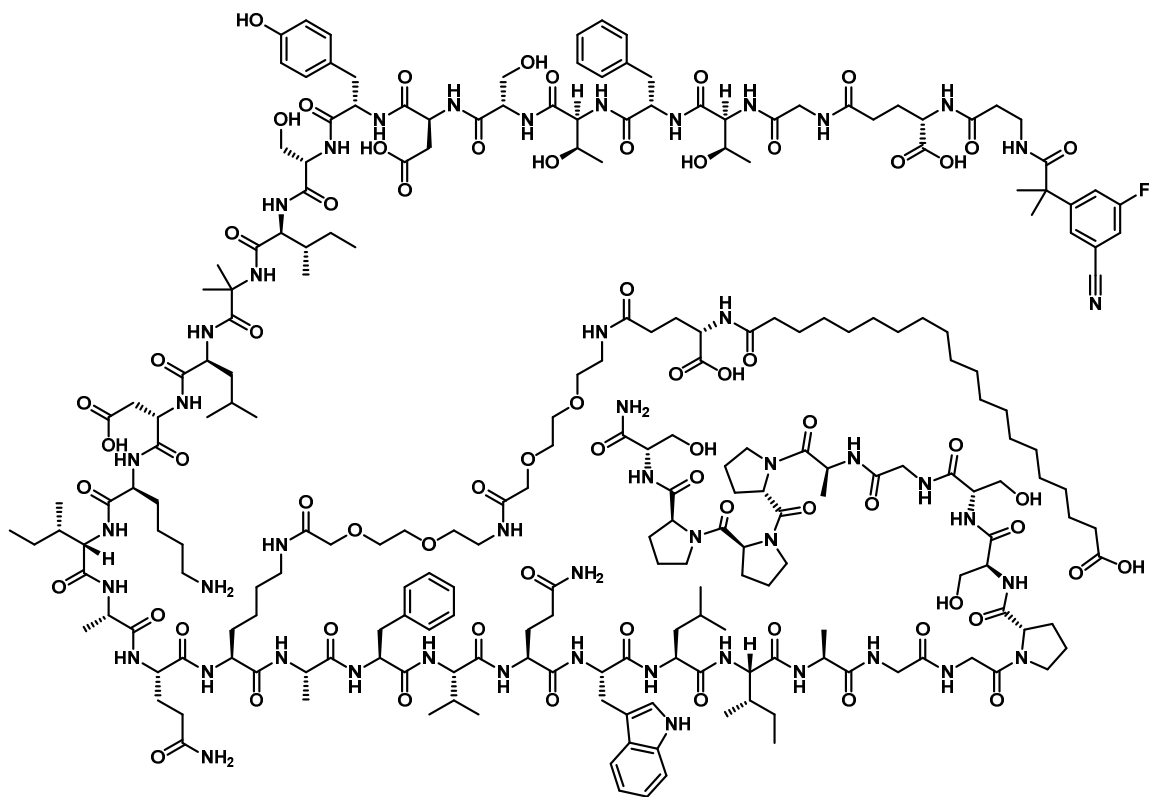
Compound 107,



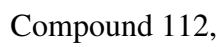
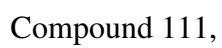
Compound 108,

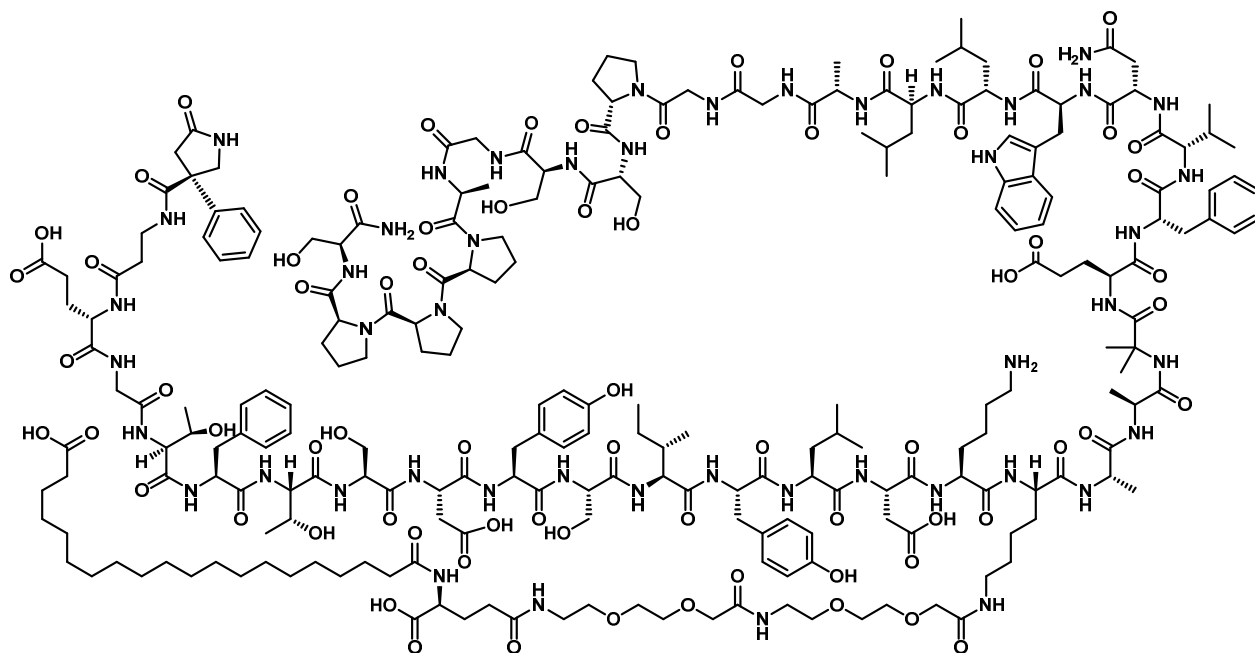


Compound 109,



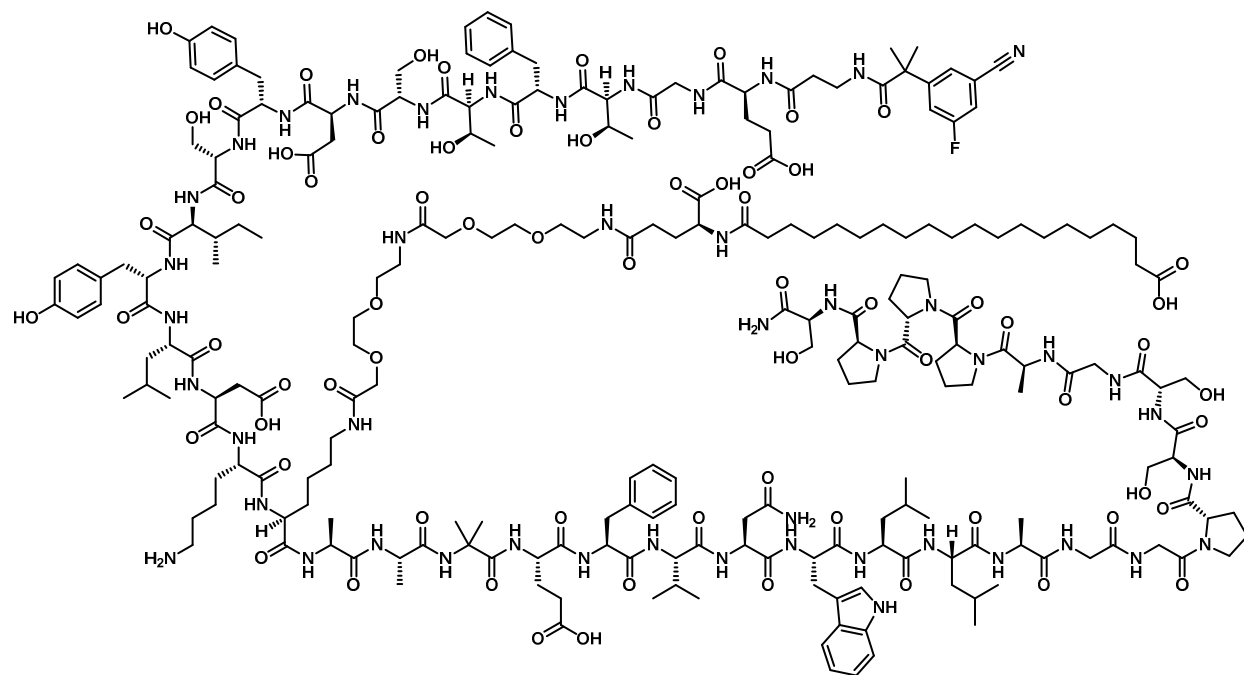
Compound 110,



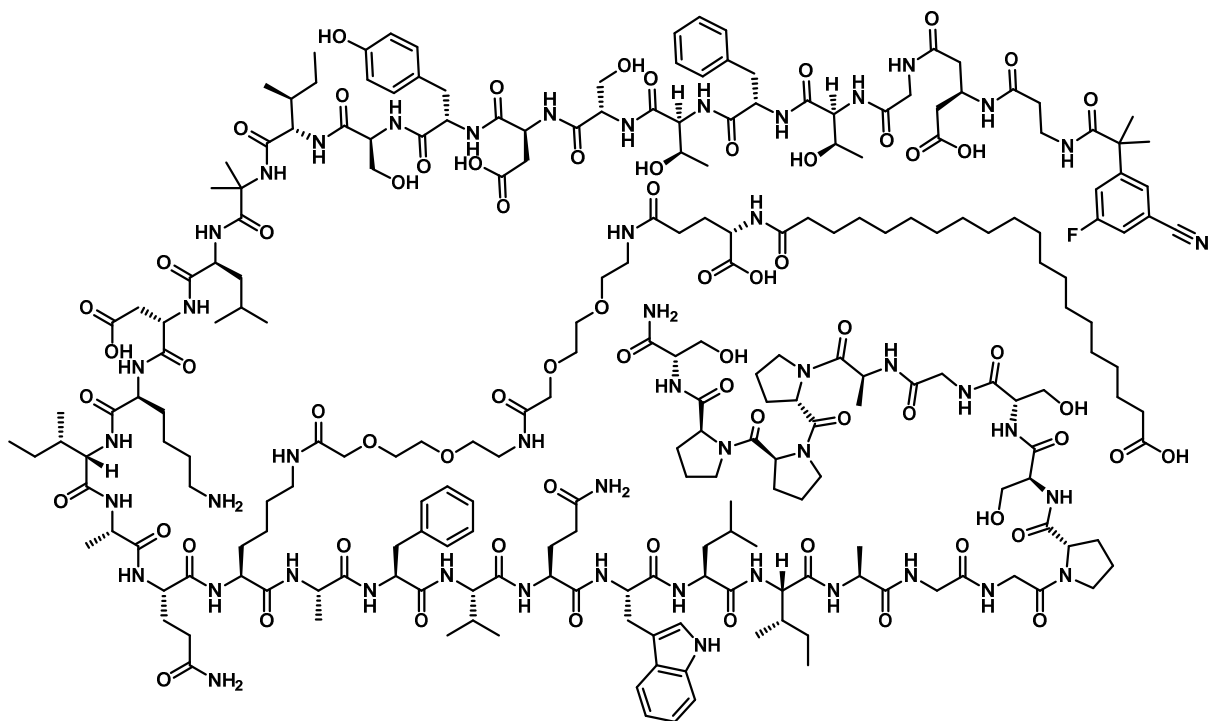


Compound 113,

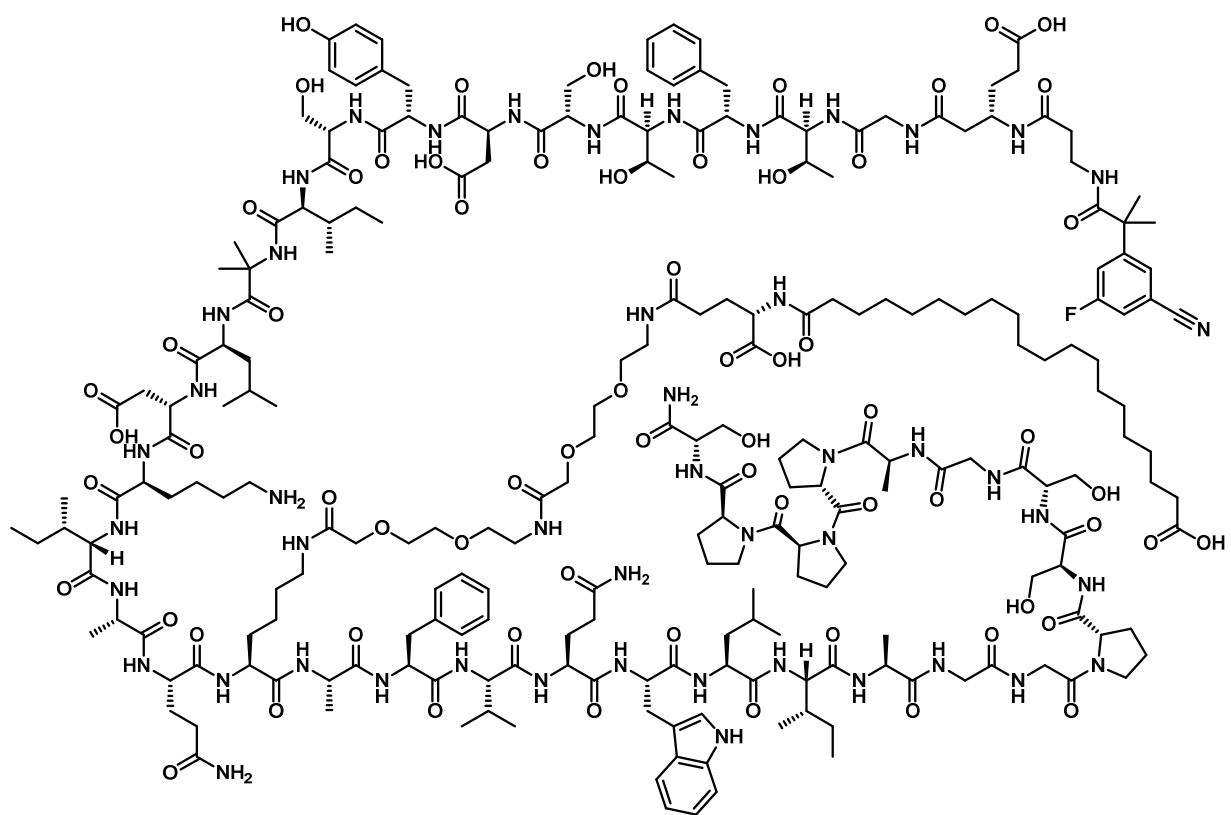
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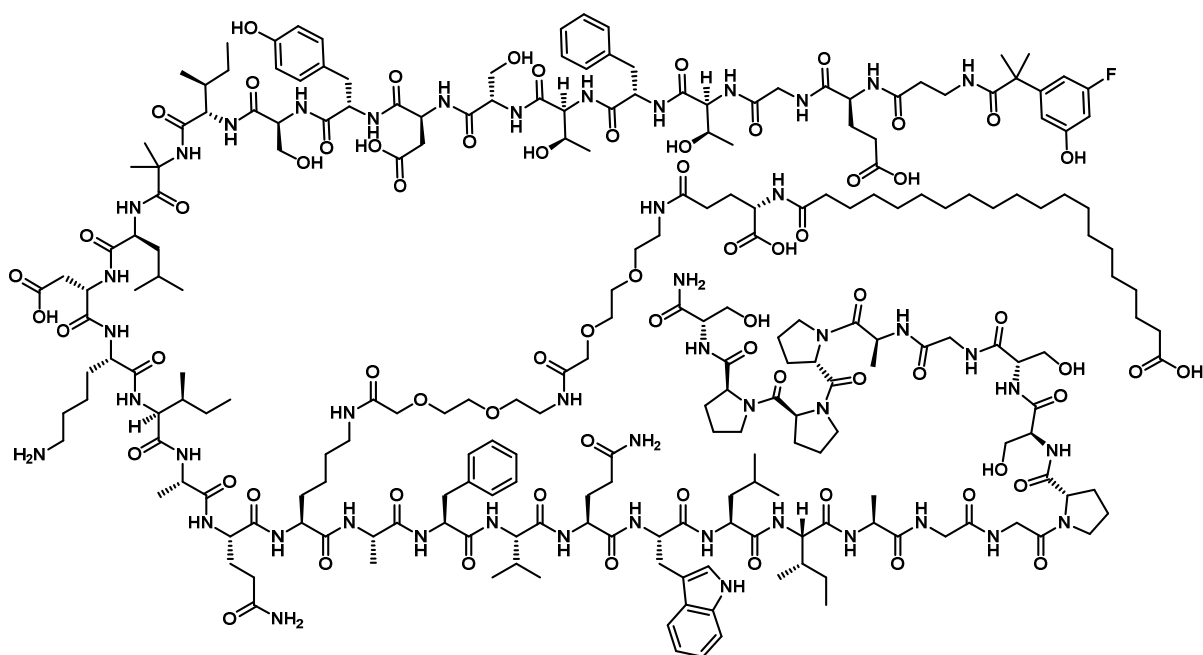
Compound 114,



Compound 115,

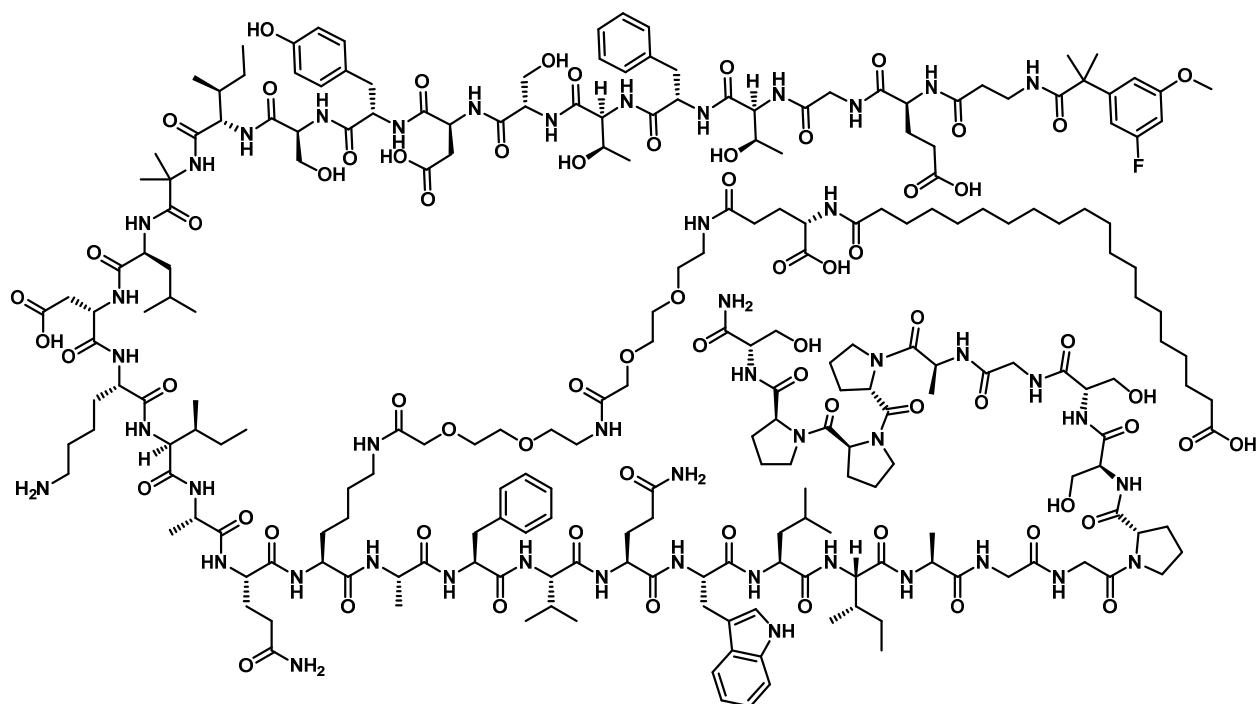


Compound 116,



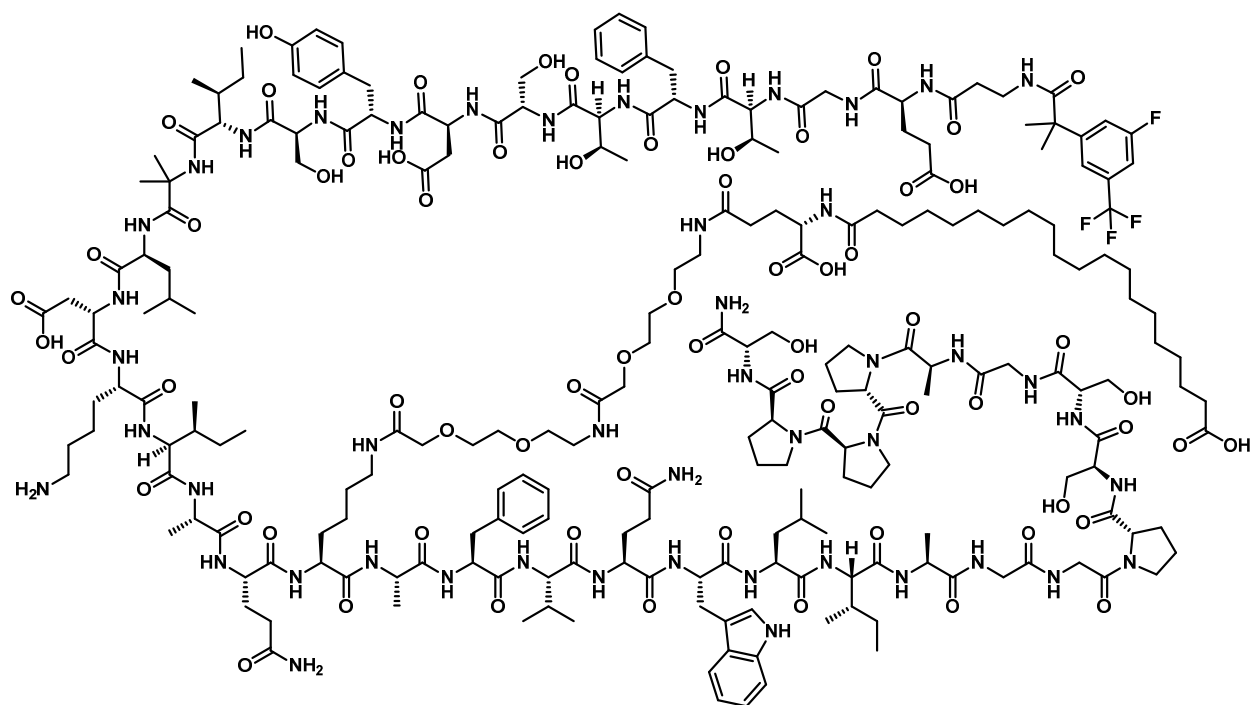
Compound 117,

5



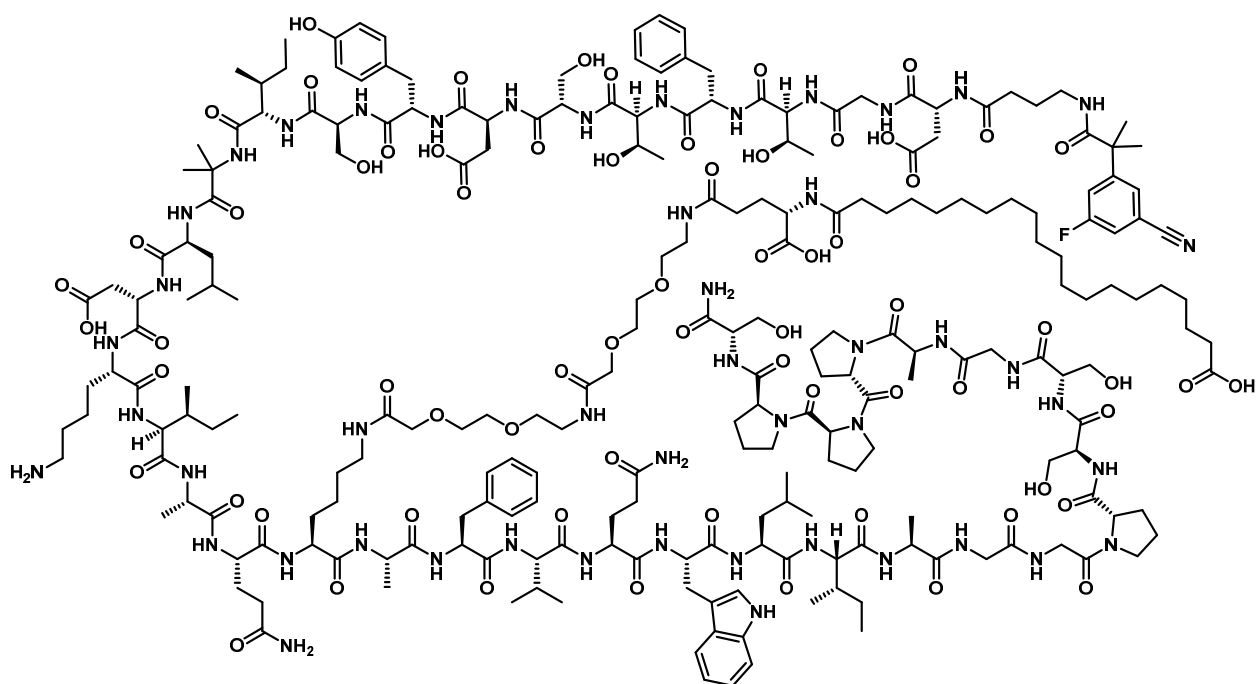
Compound 118,

10

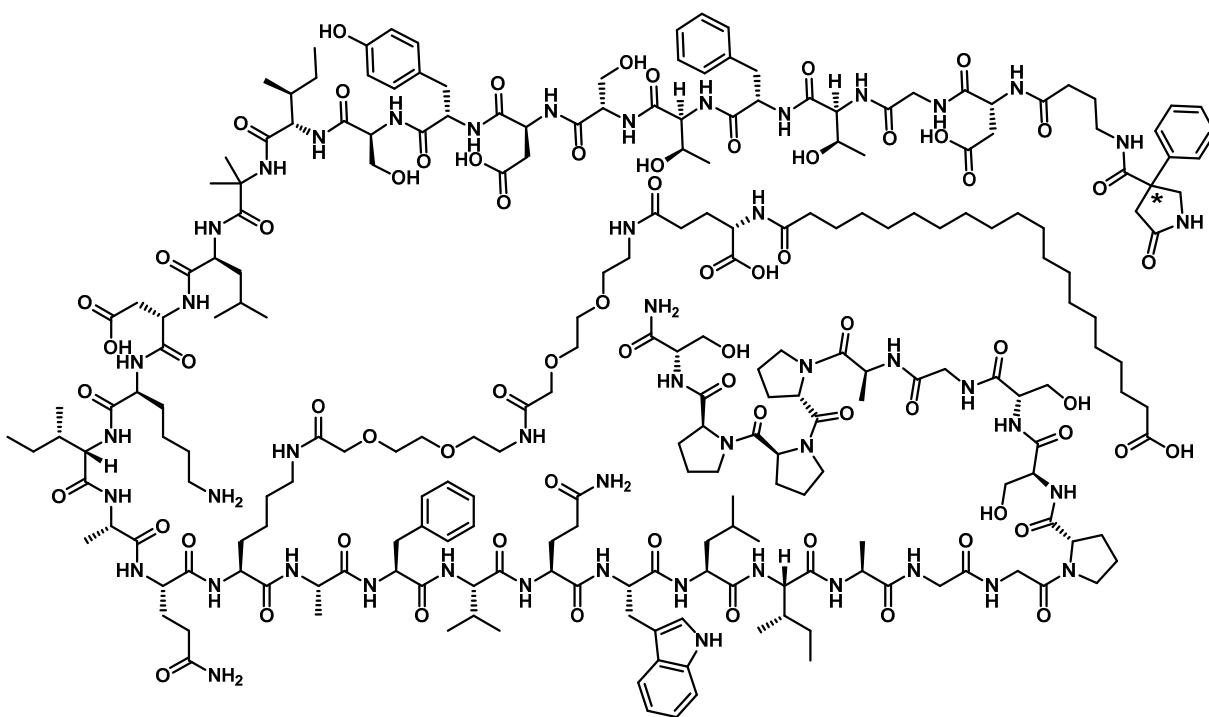


Compound 119,

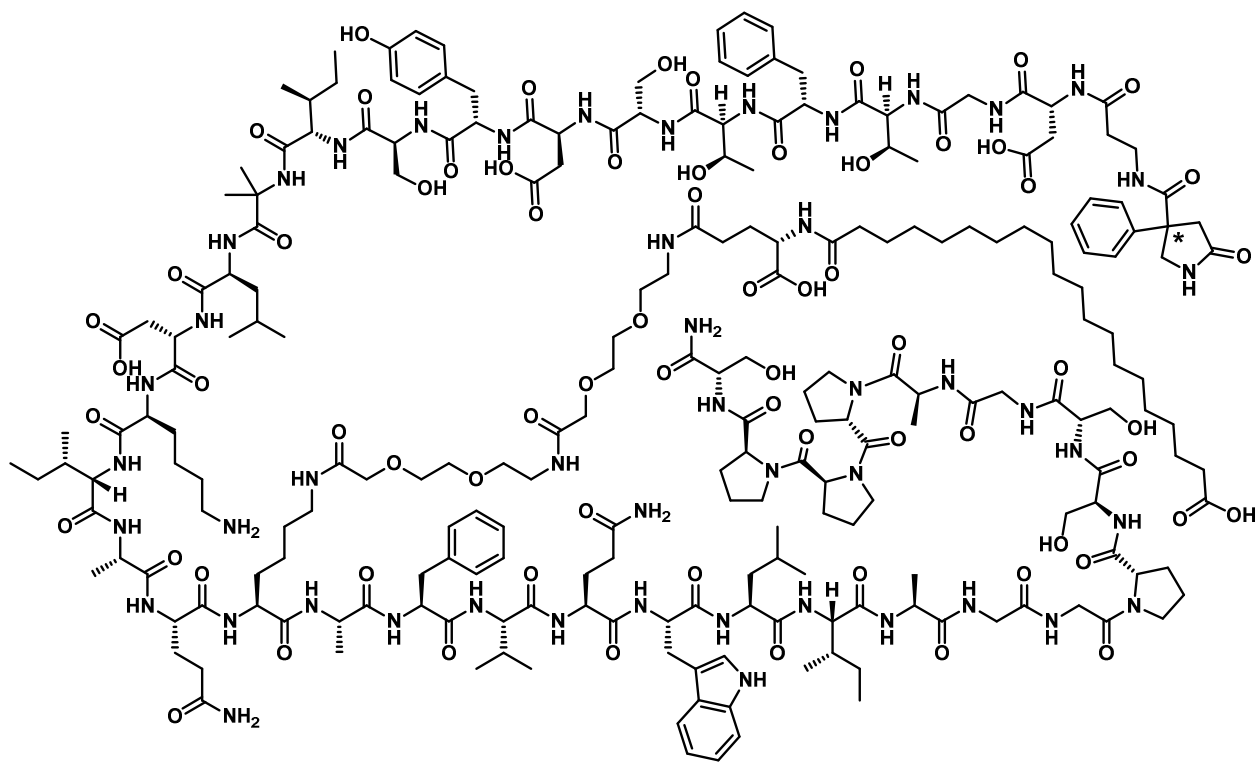
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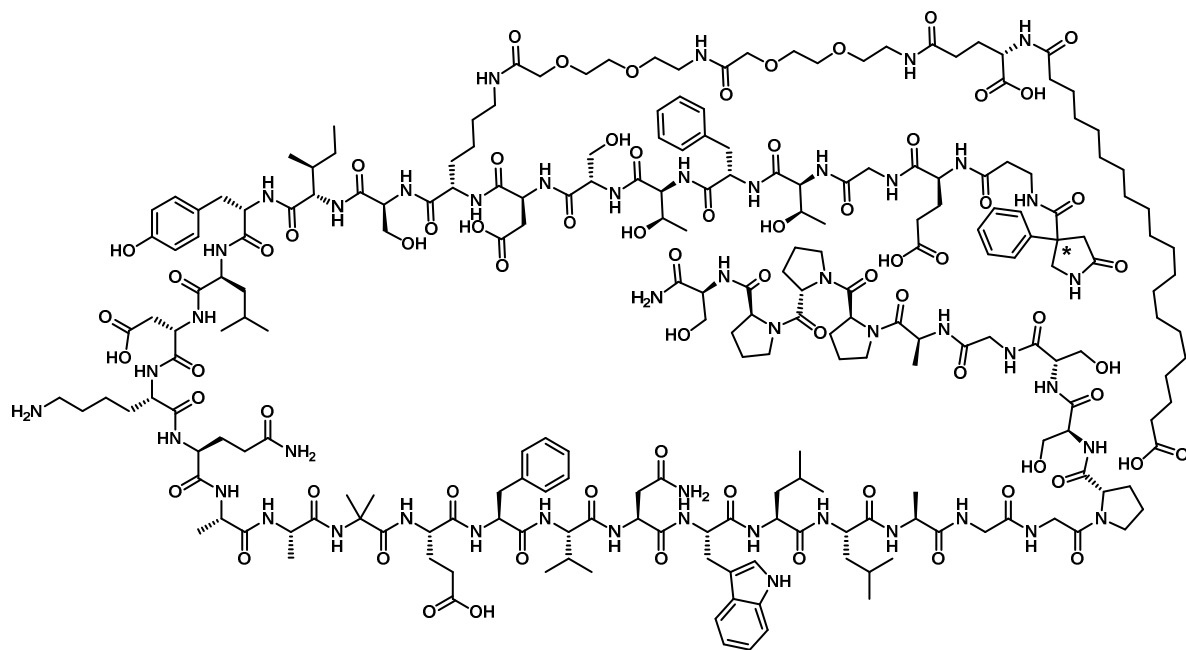
Compound 120,



Compound 121,

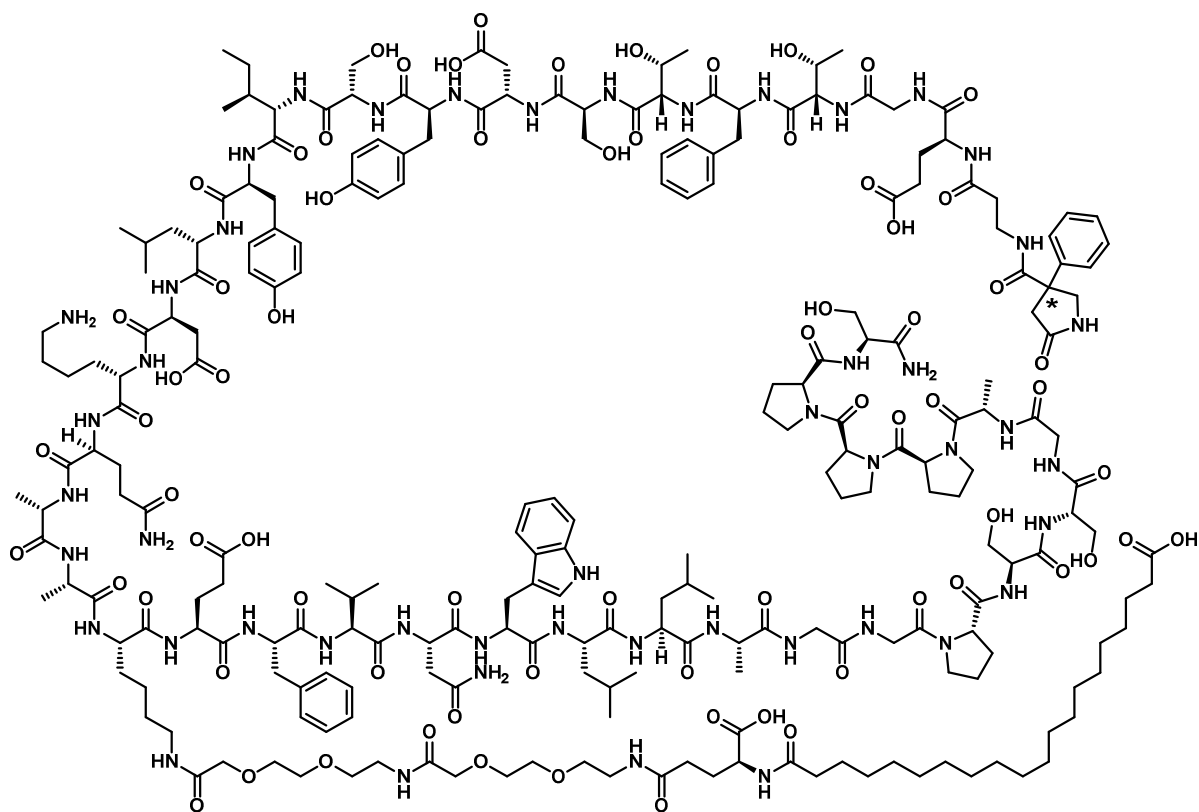


Compound 122,

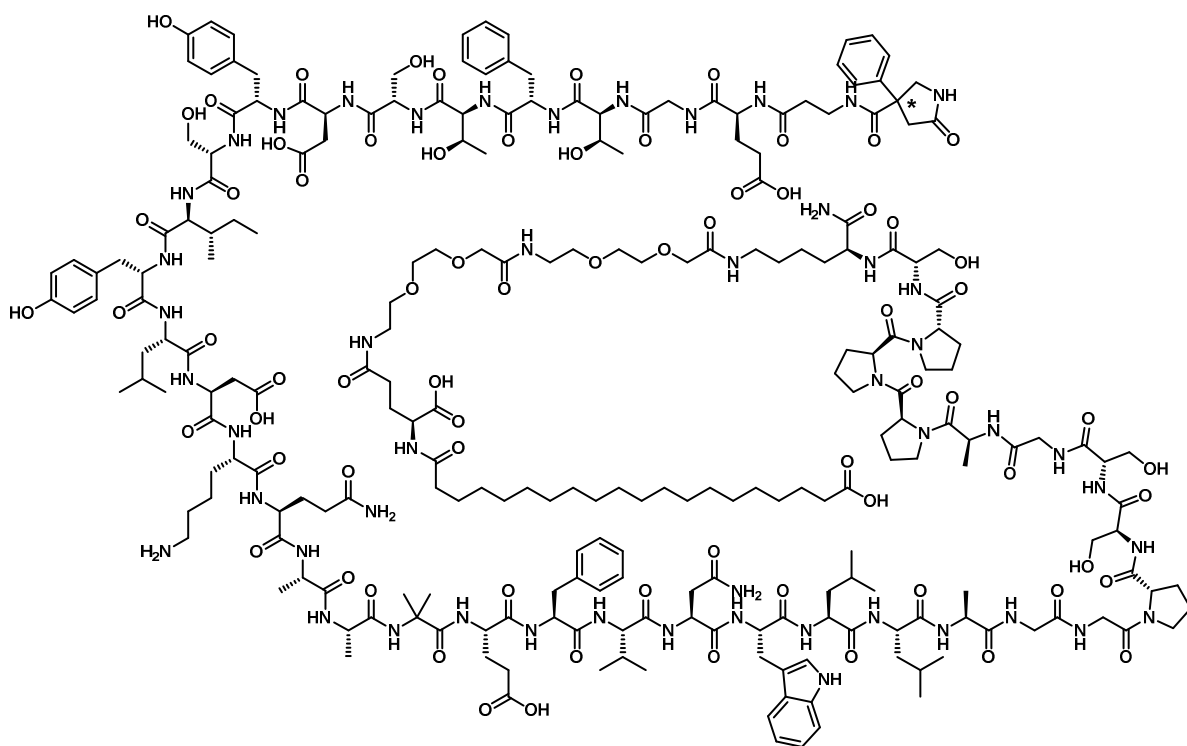


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Compound 123,

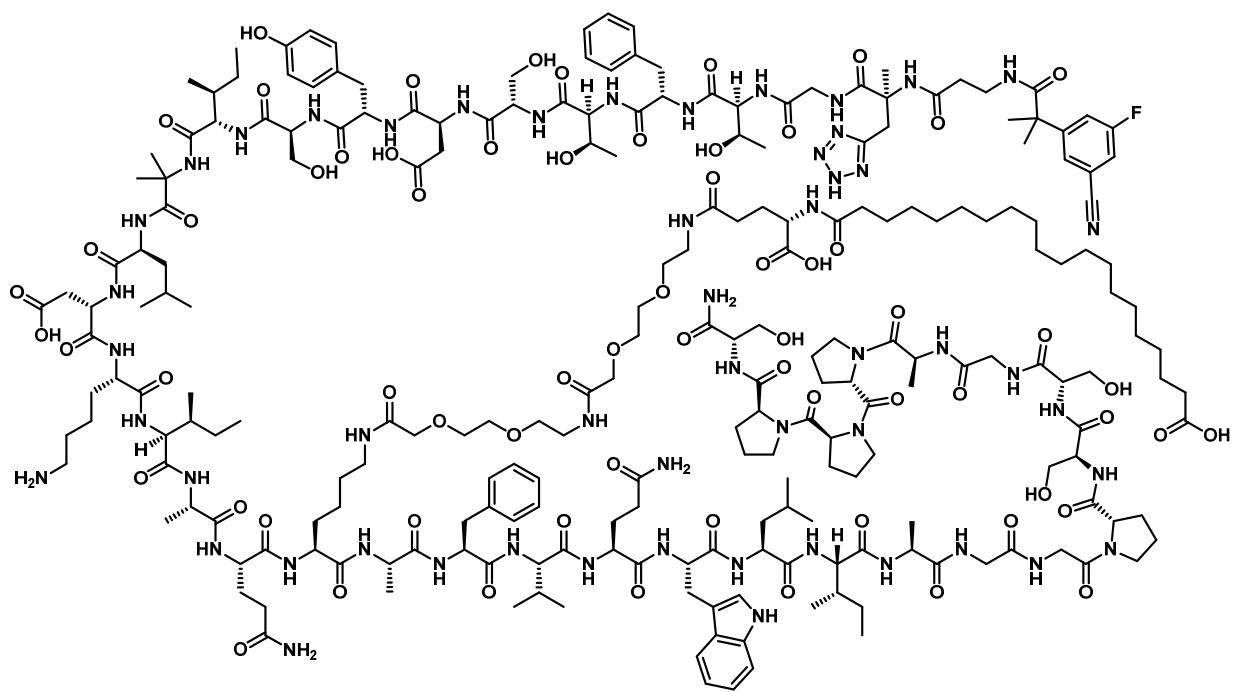


Compound 124,



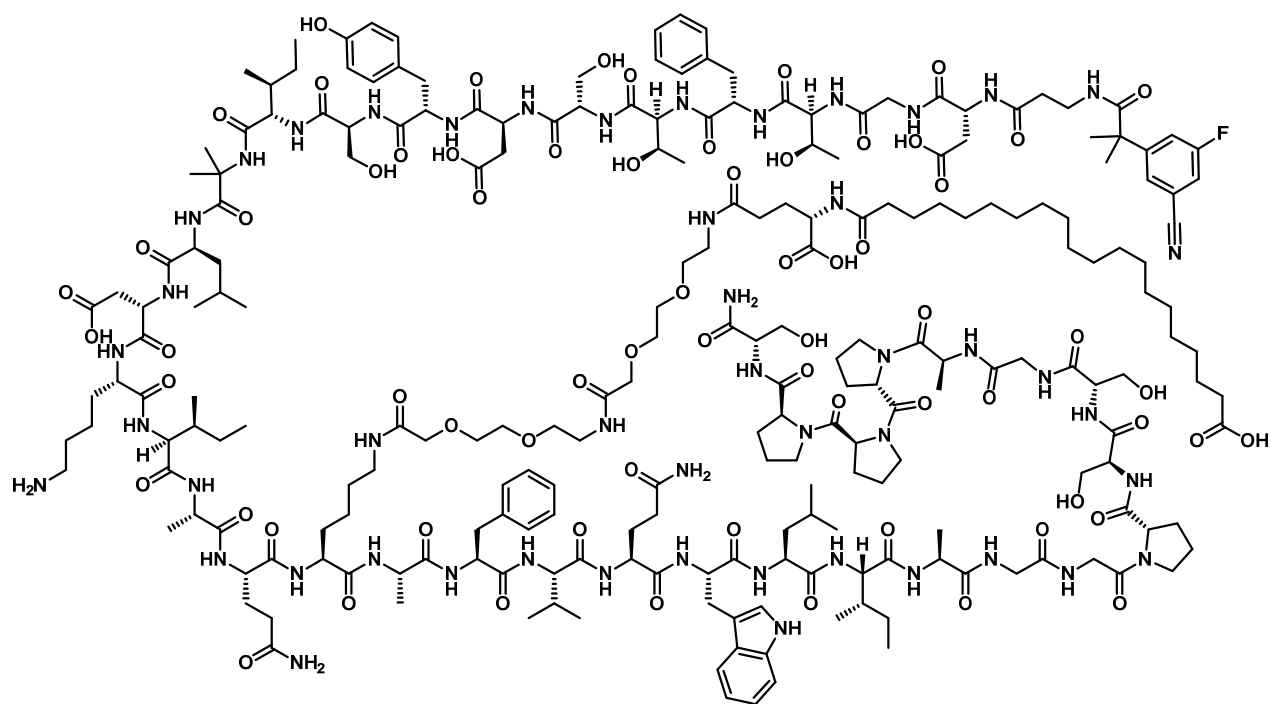
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Compound 125,



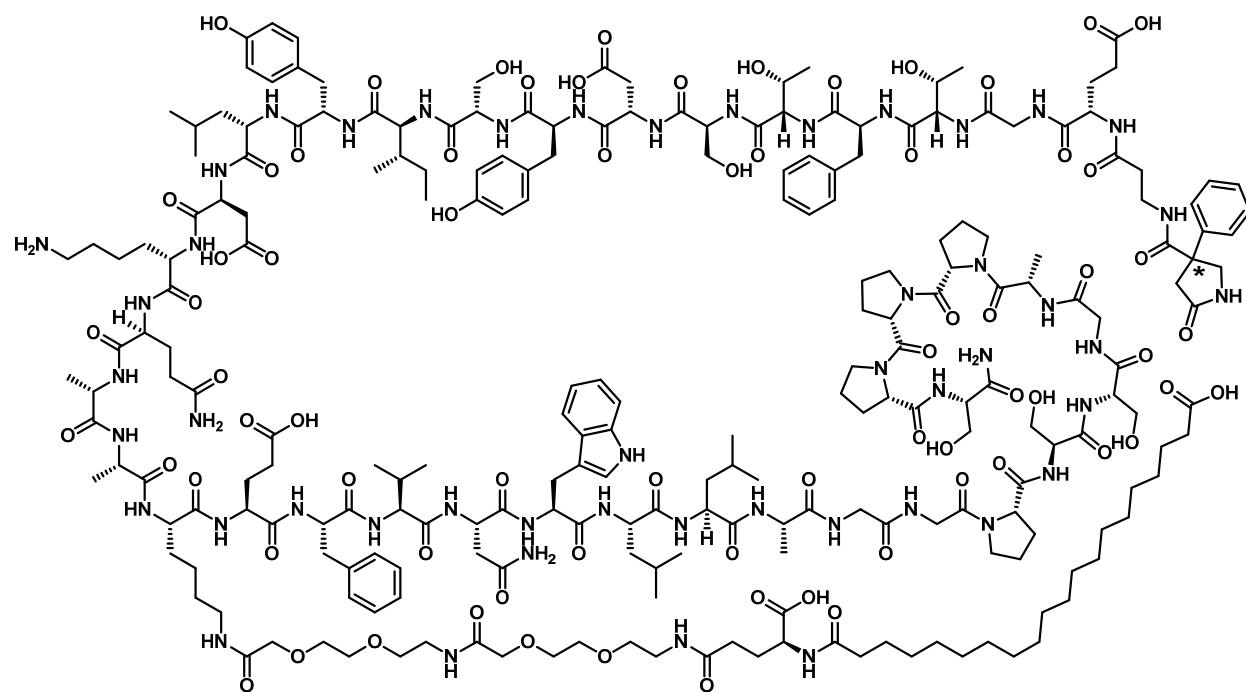
Compound 126,

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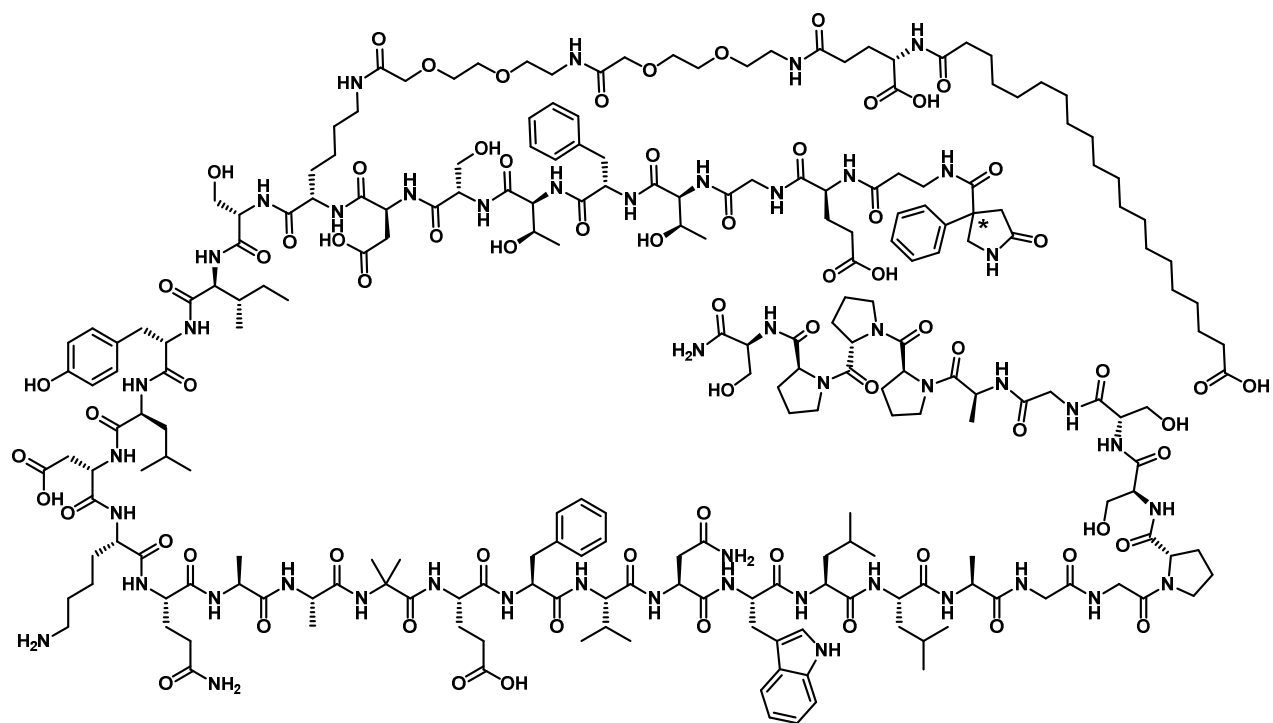


Compound 127,

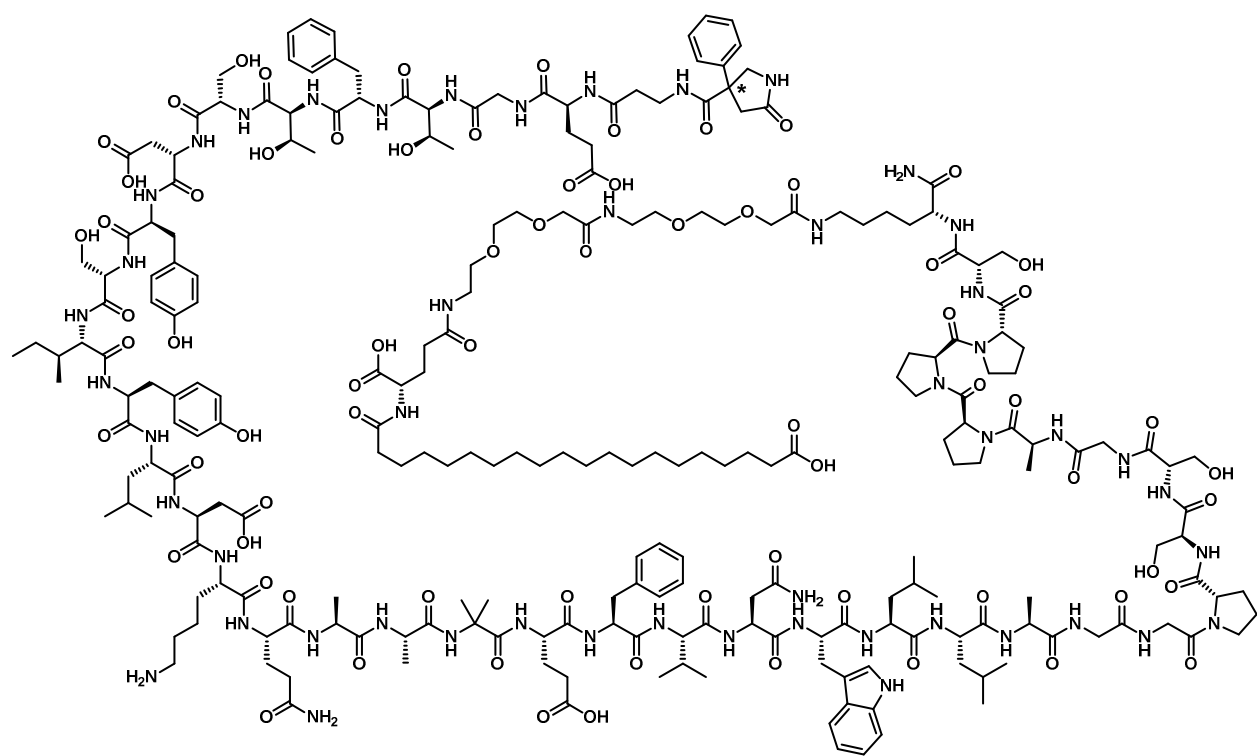
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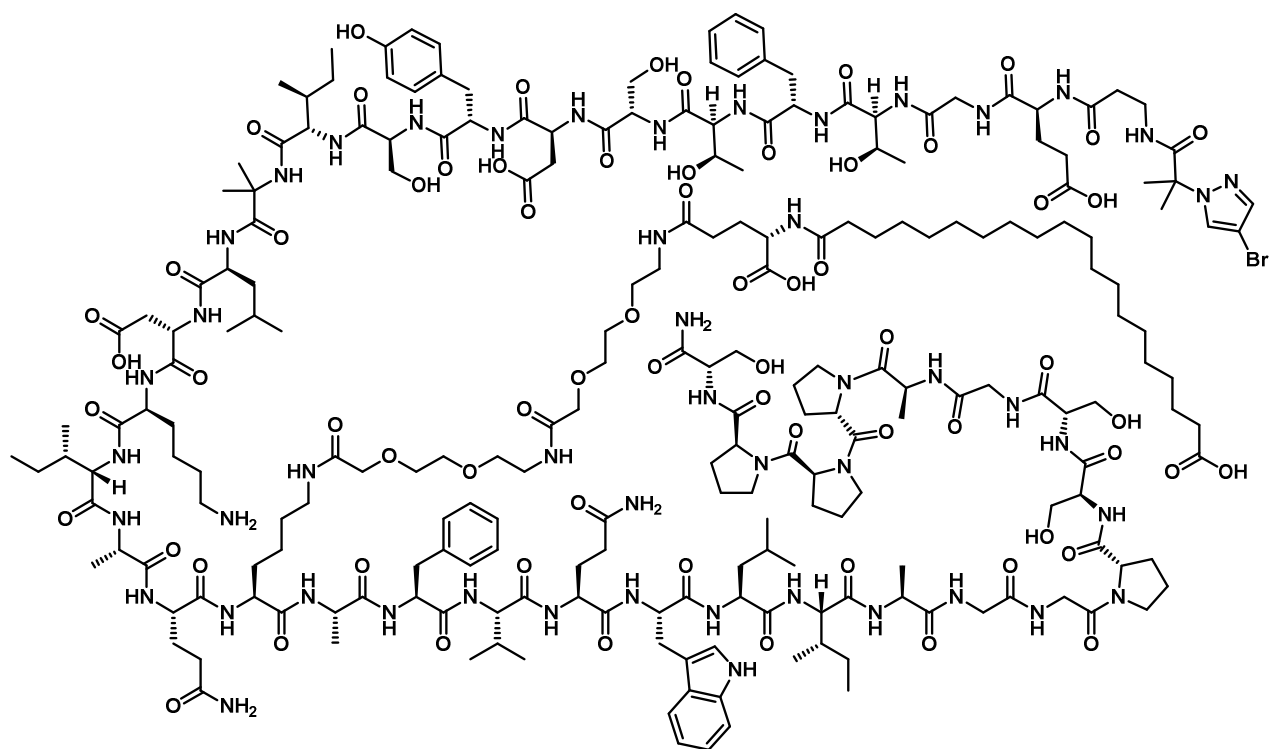
Compound 128,



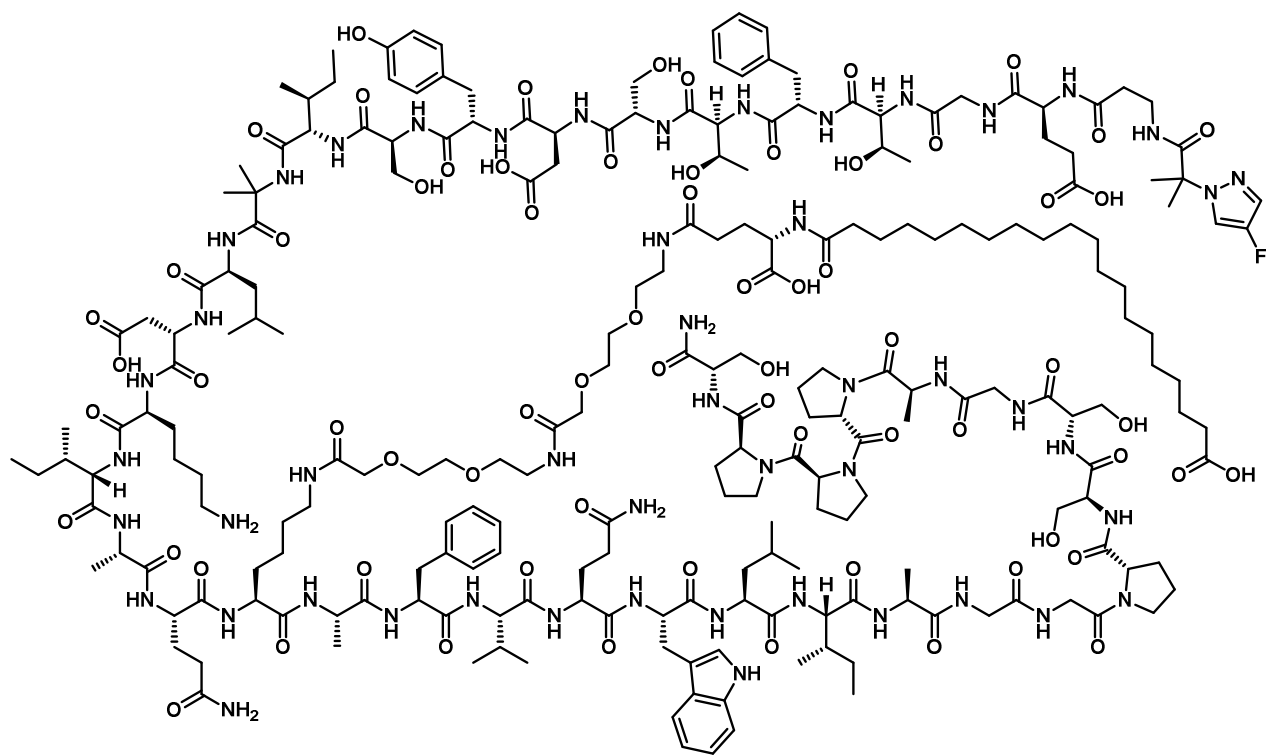
Compound 129,



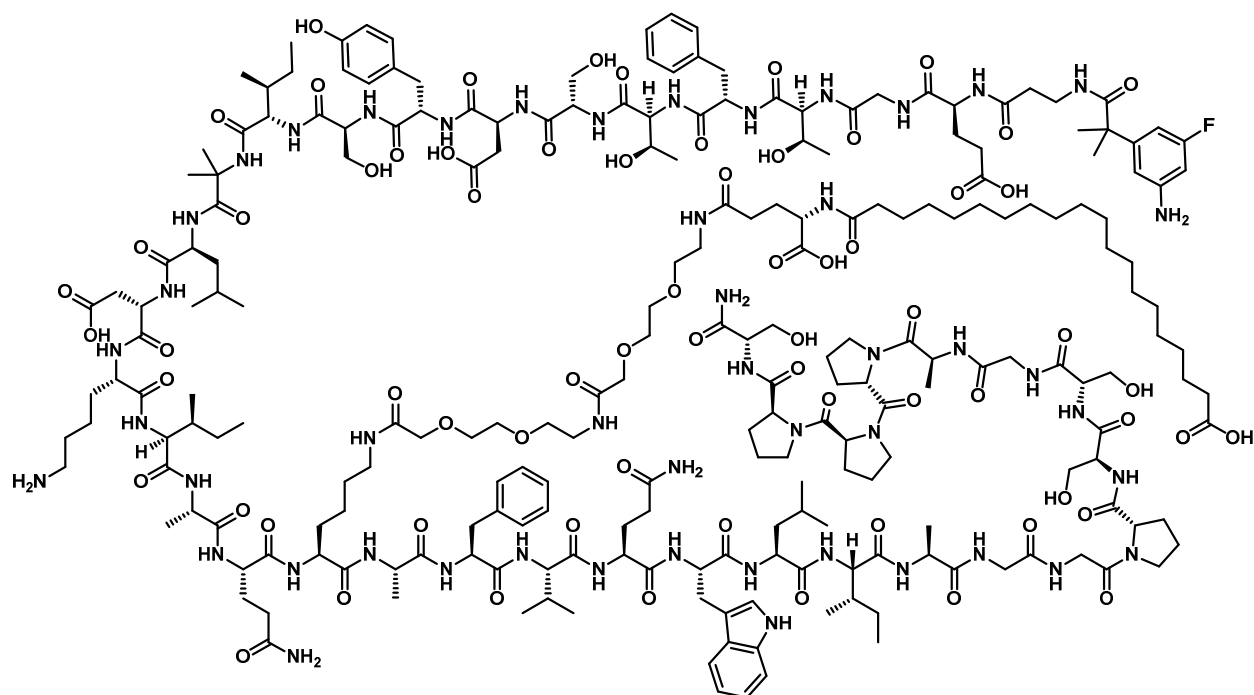
Compound 130,



Compound 131,

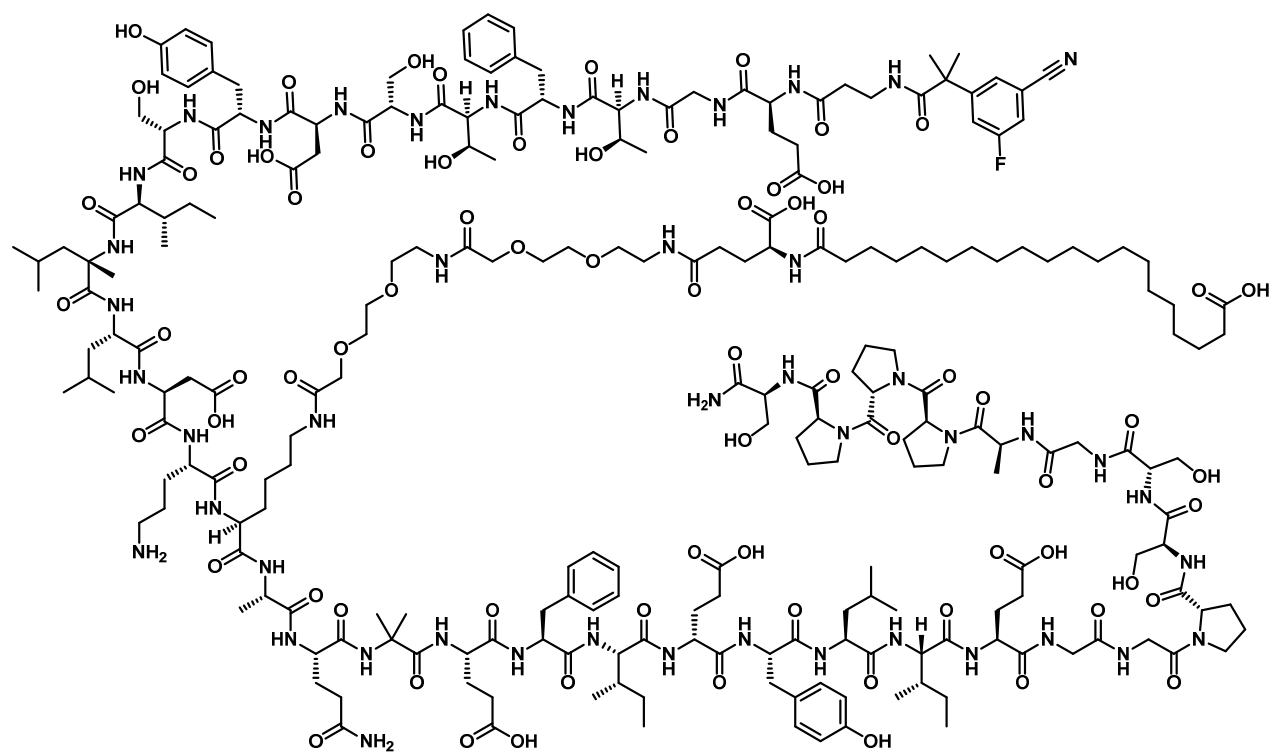


Compound 132,

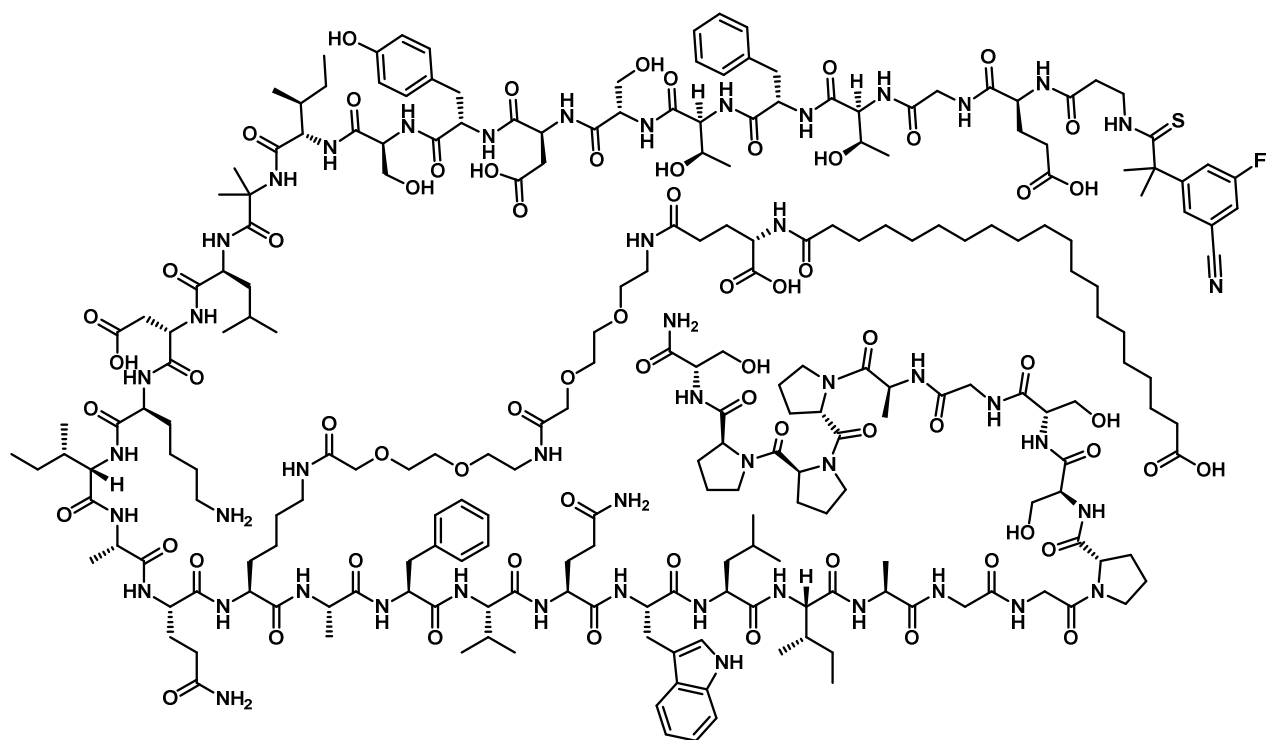


Compound 133,

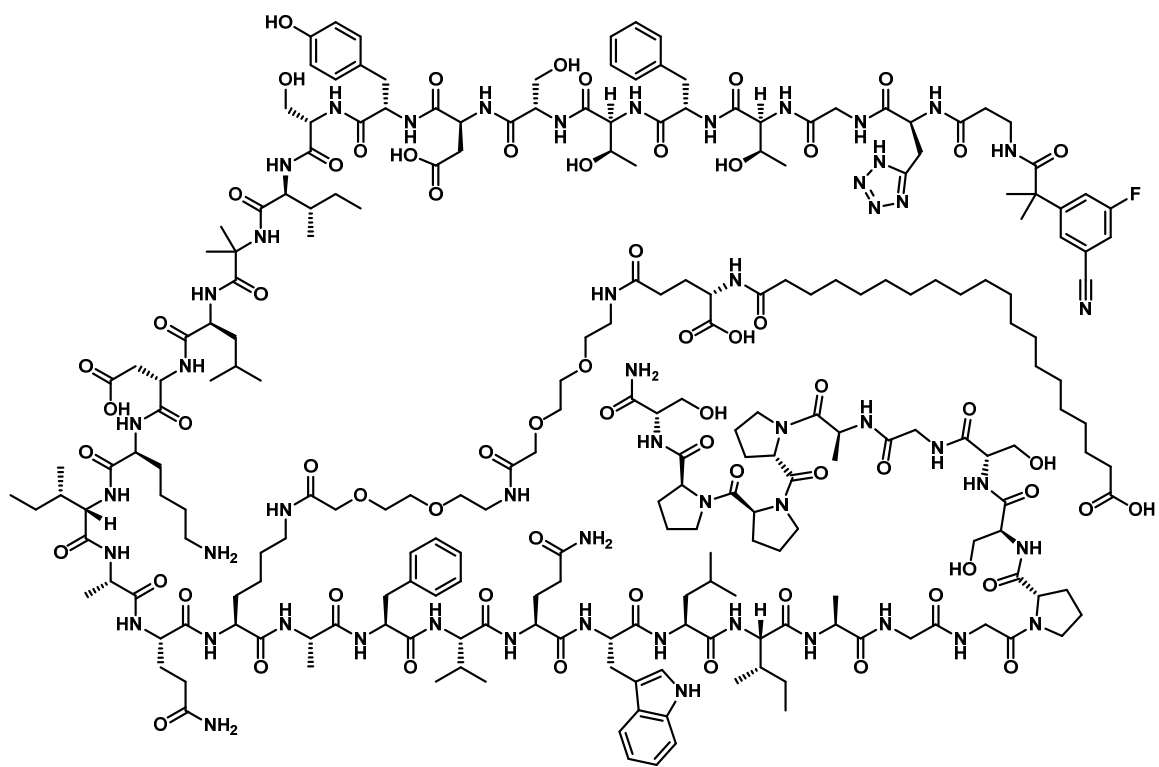
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Compound 134,



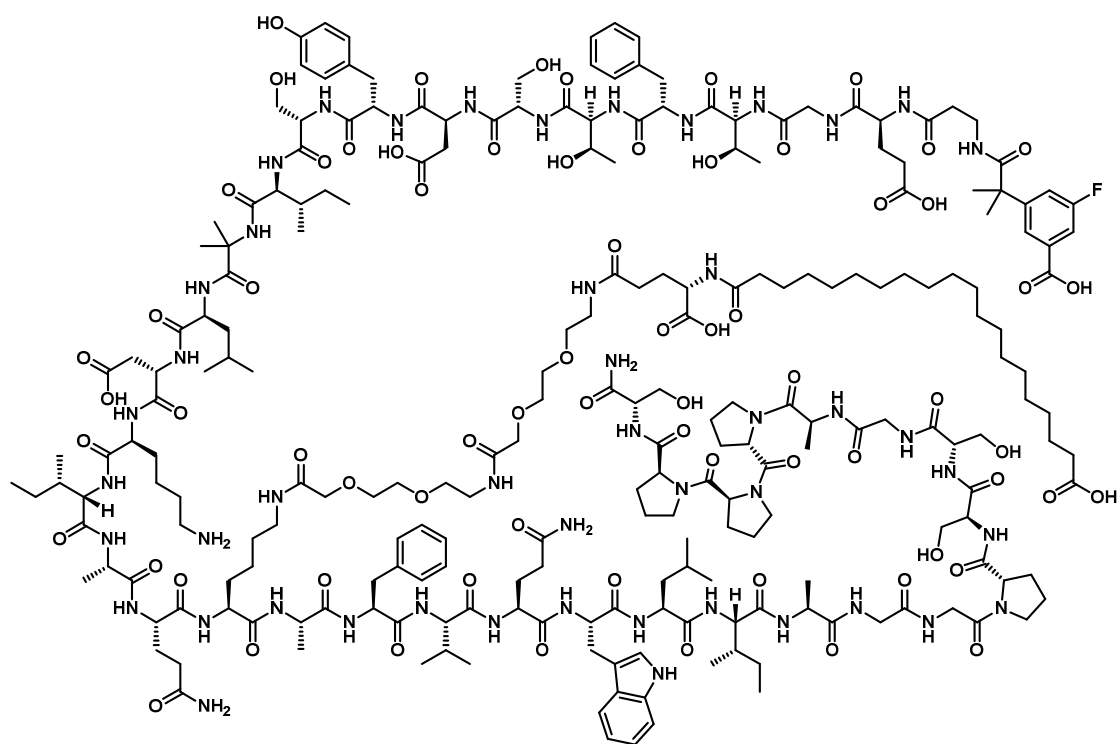
Compound 135,



Compound 136,

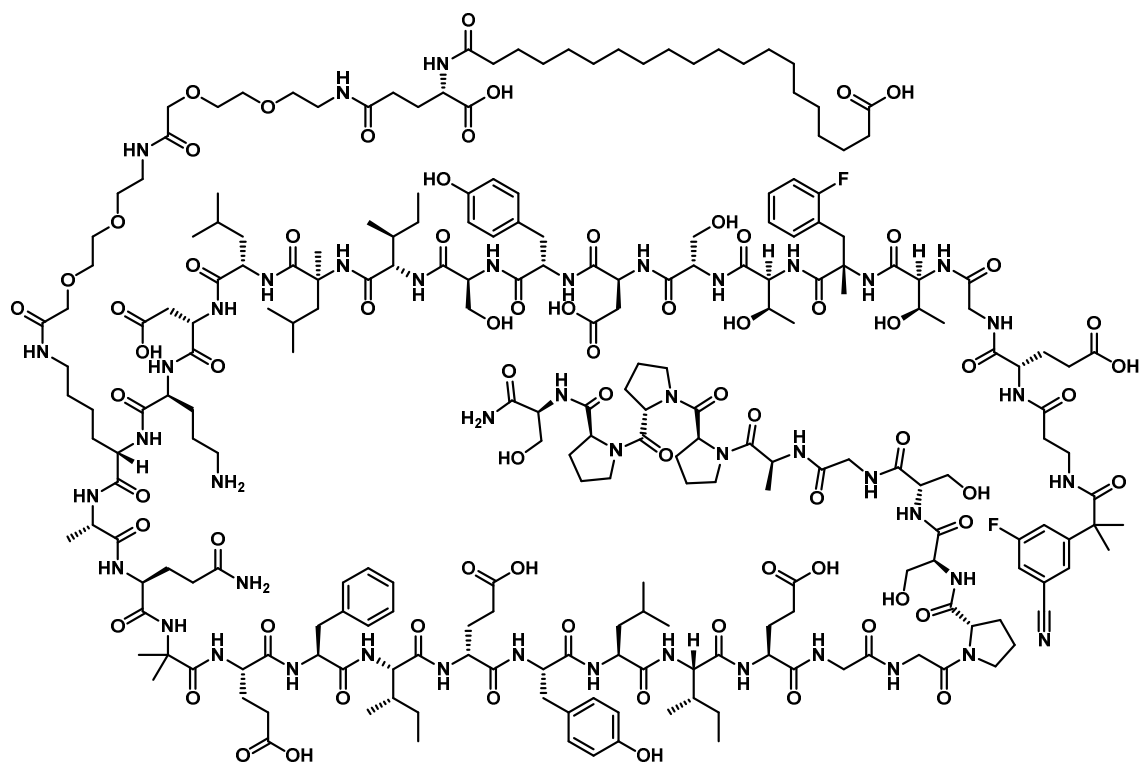
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Compound 138,

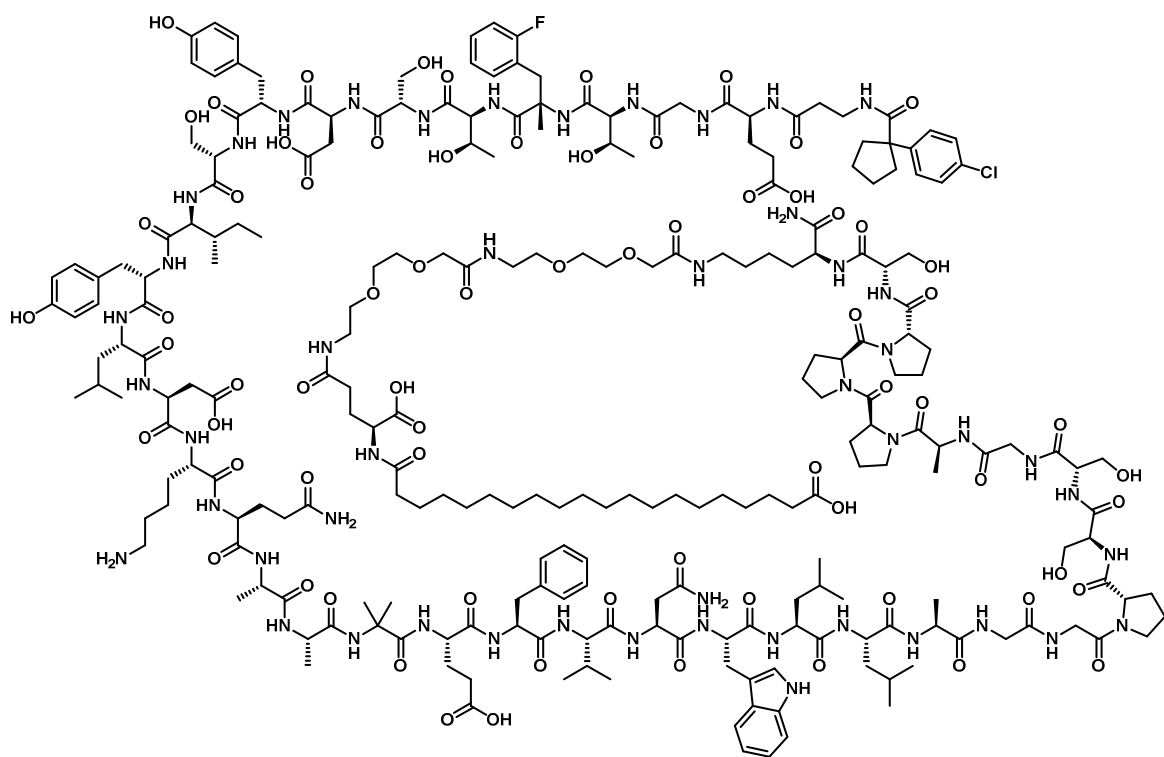


Compound 139,

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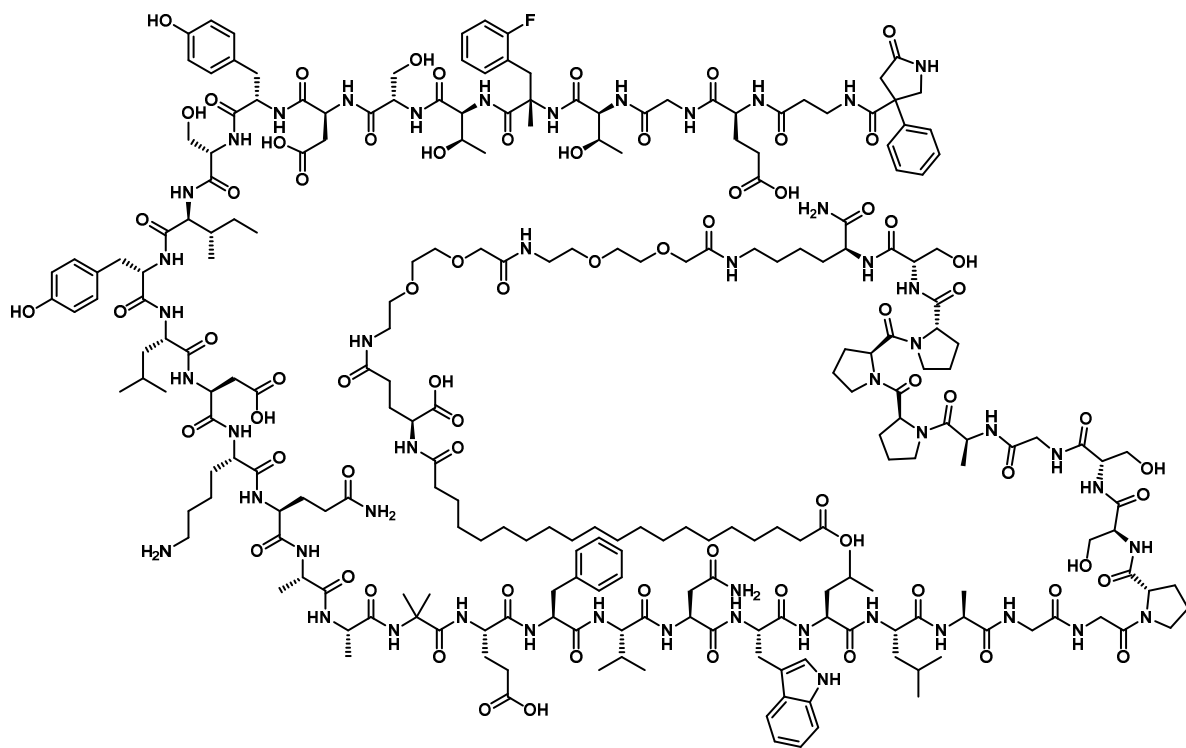


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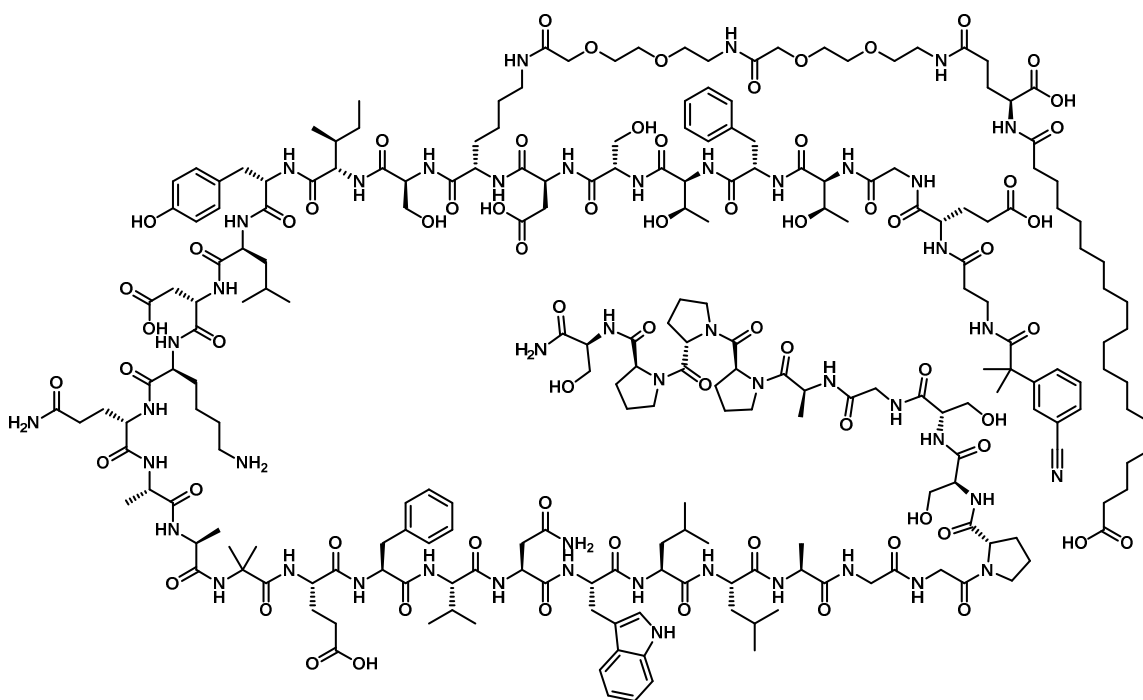


Compound 141,

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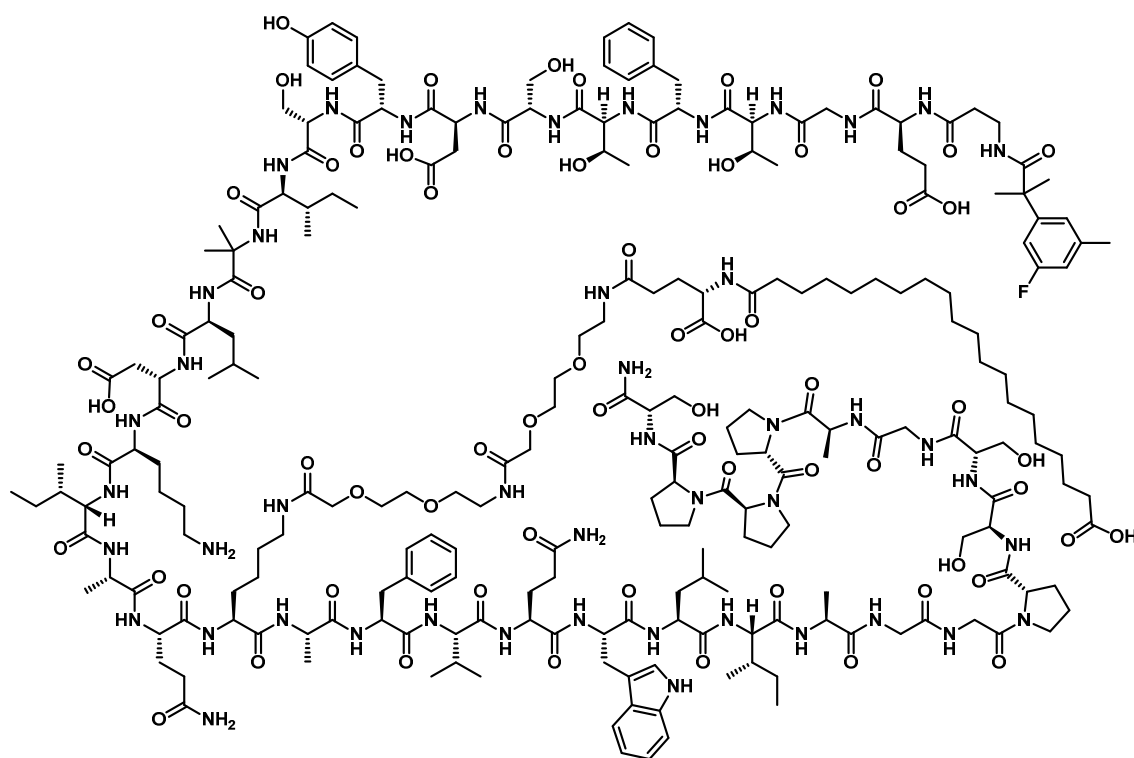


Compound 142,

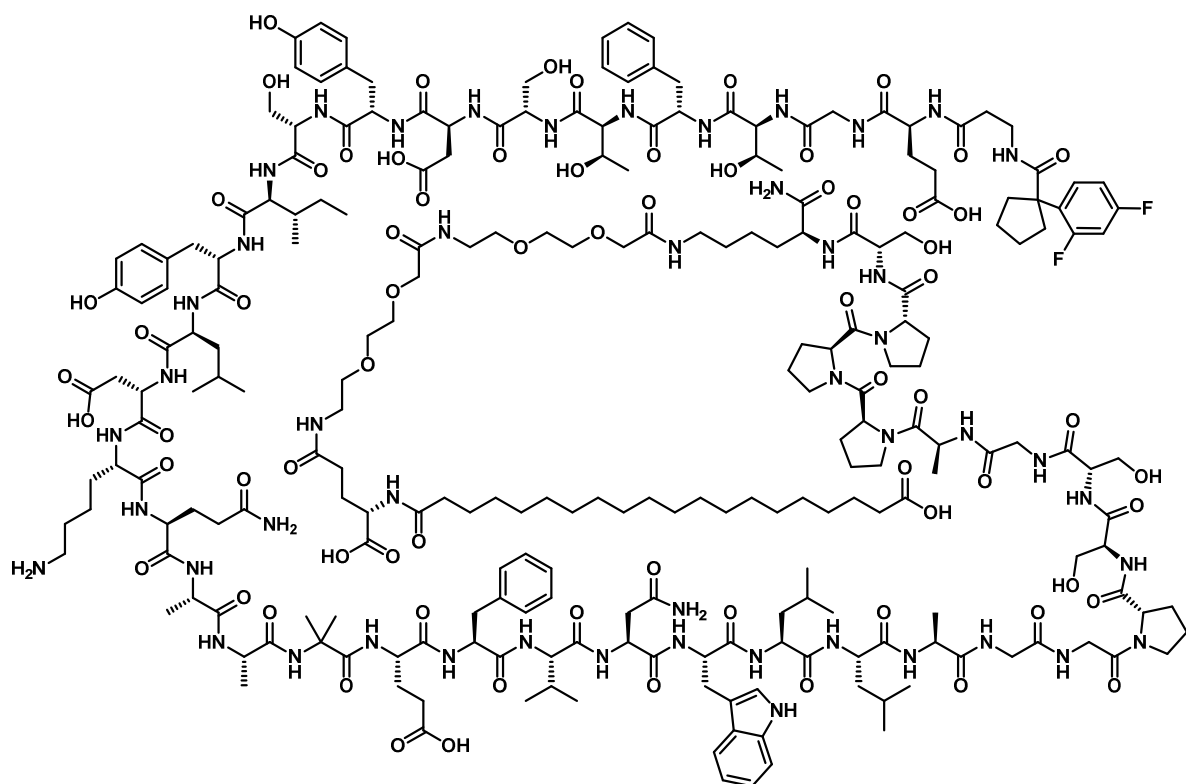


Compound 143,

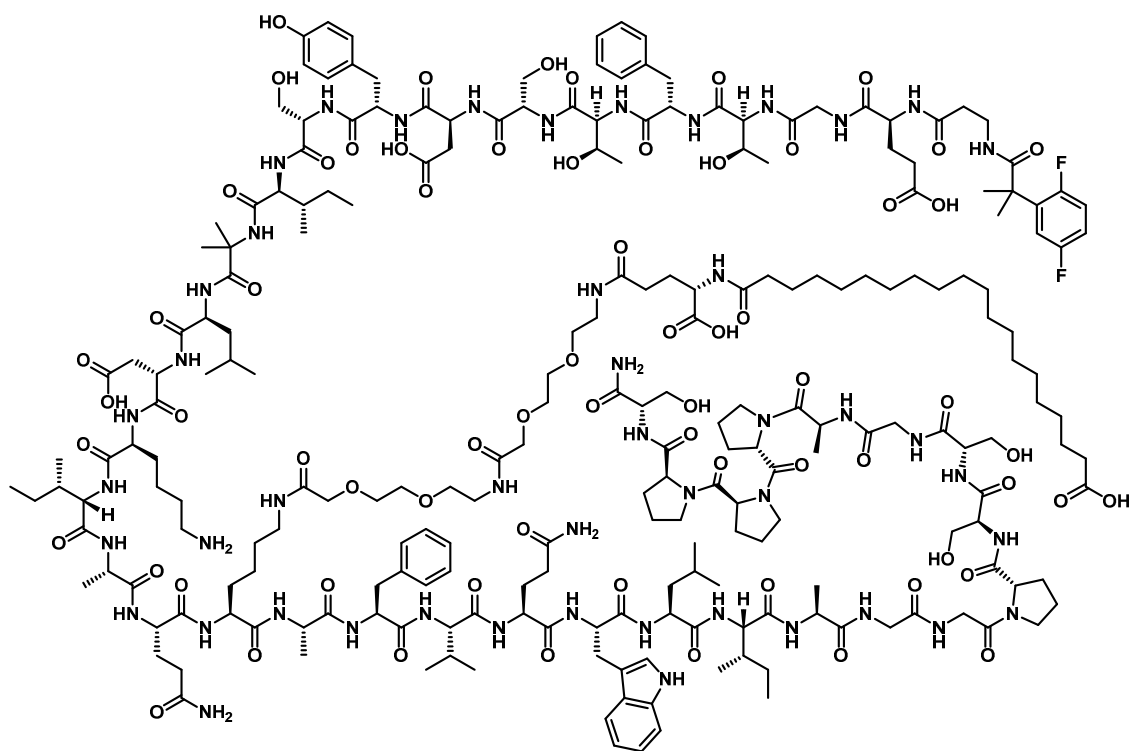
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Compound 144,



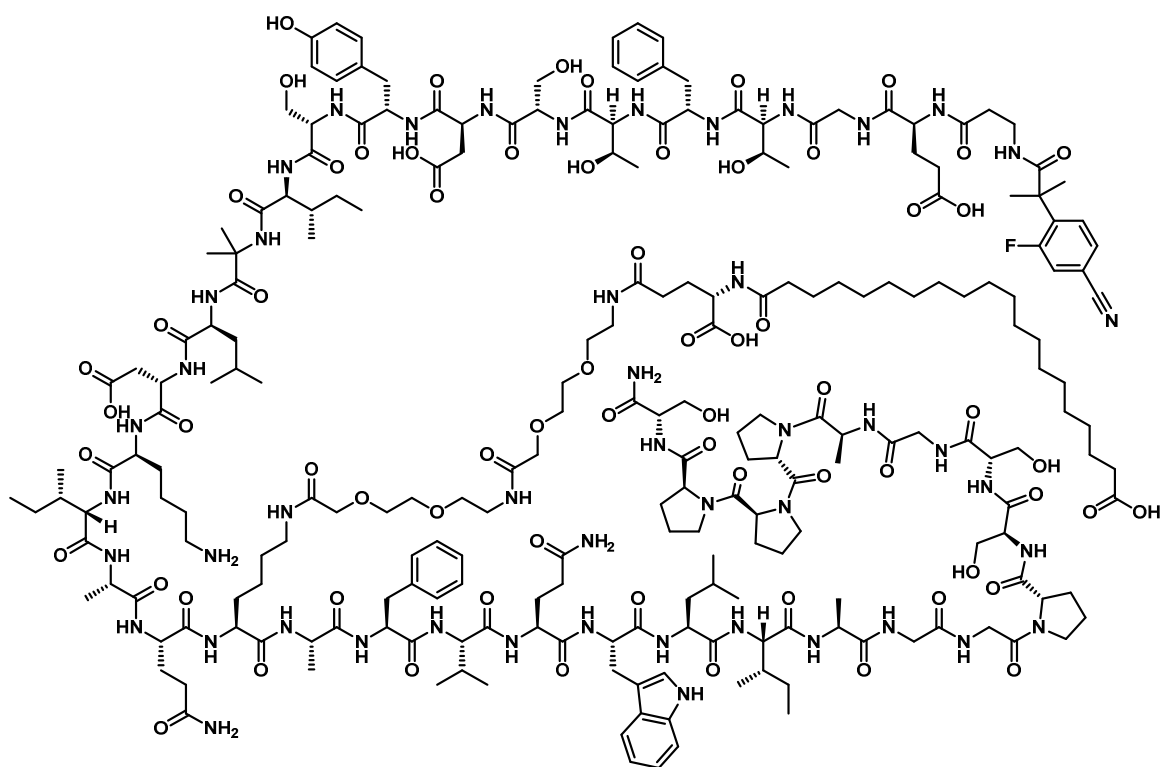
Compound 145,



Compound 146,

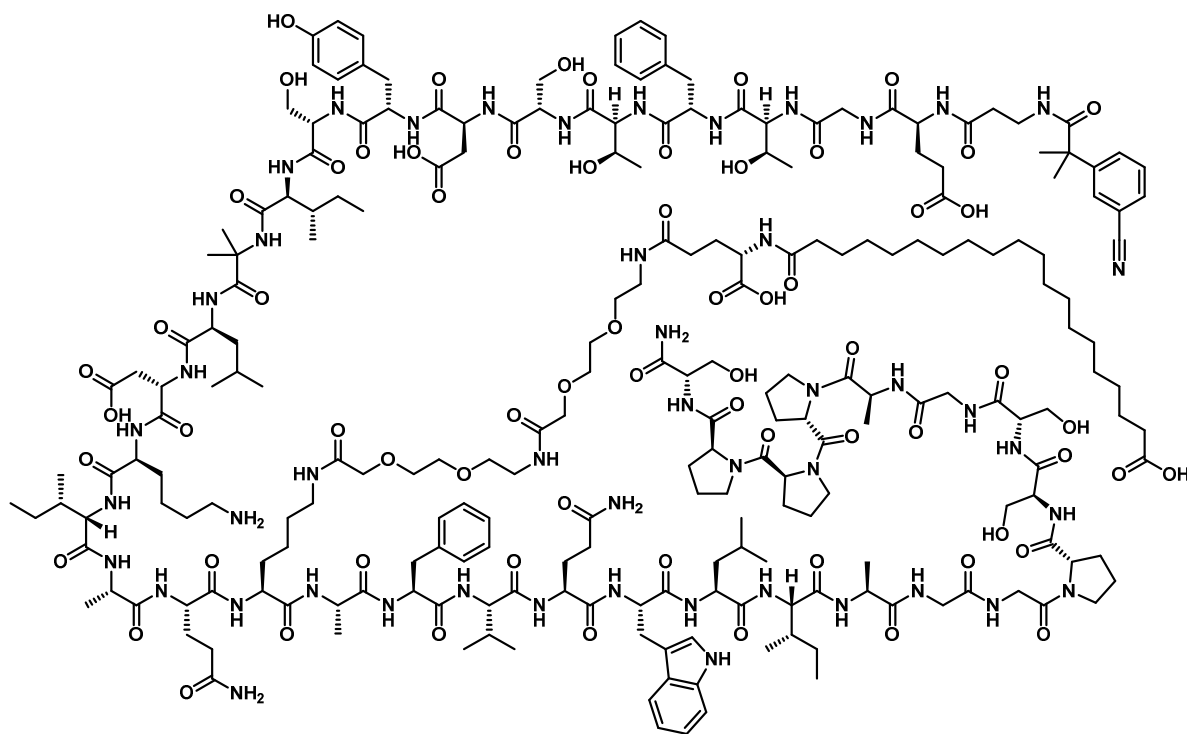
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Compound 148,

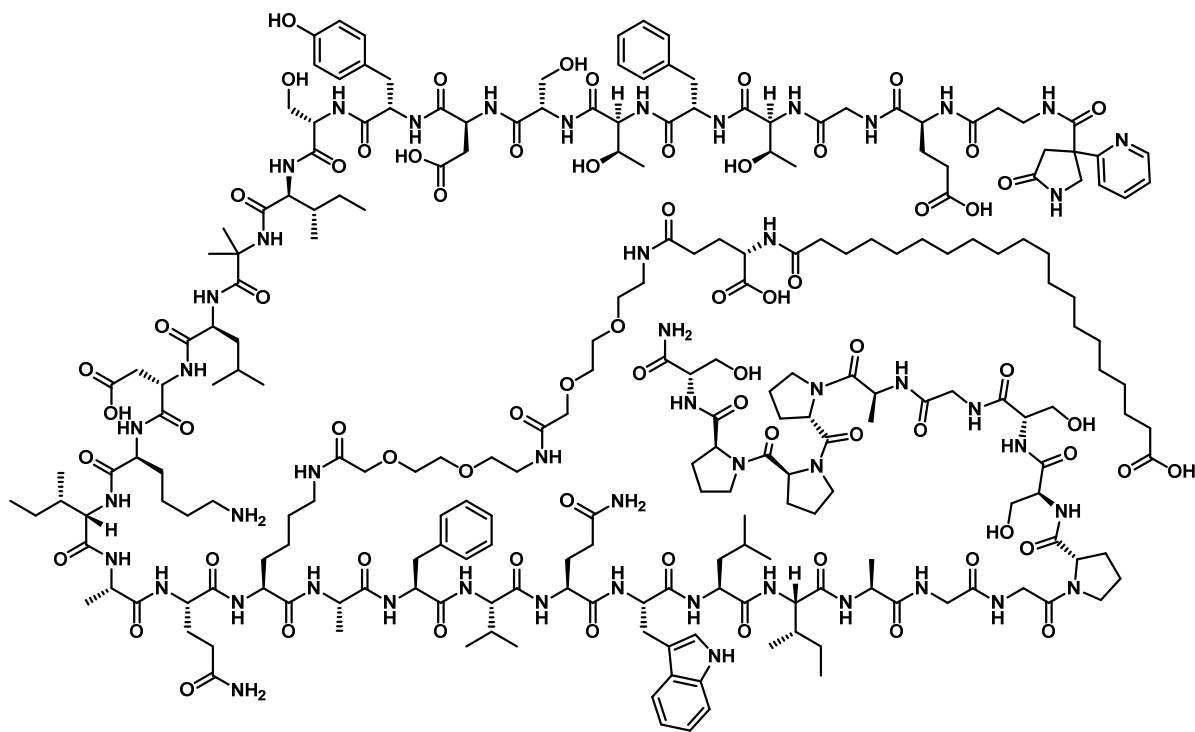


Compound 149,

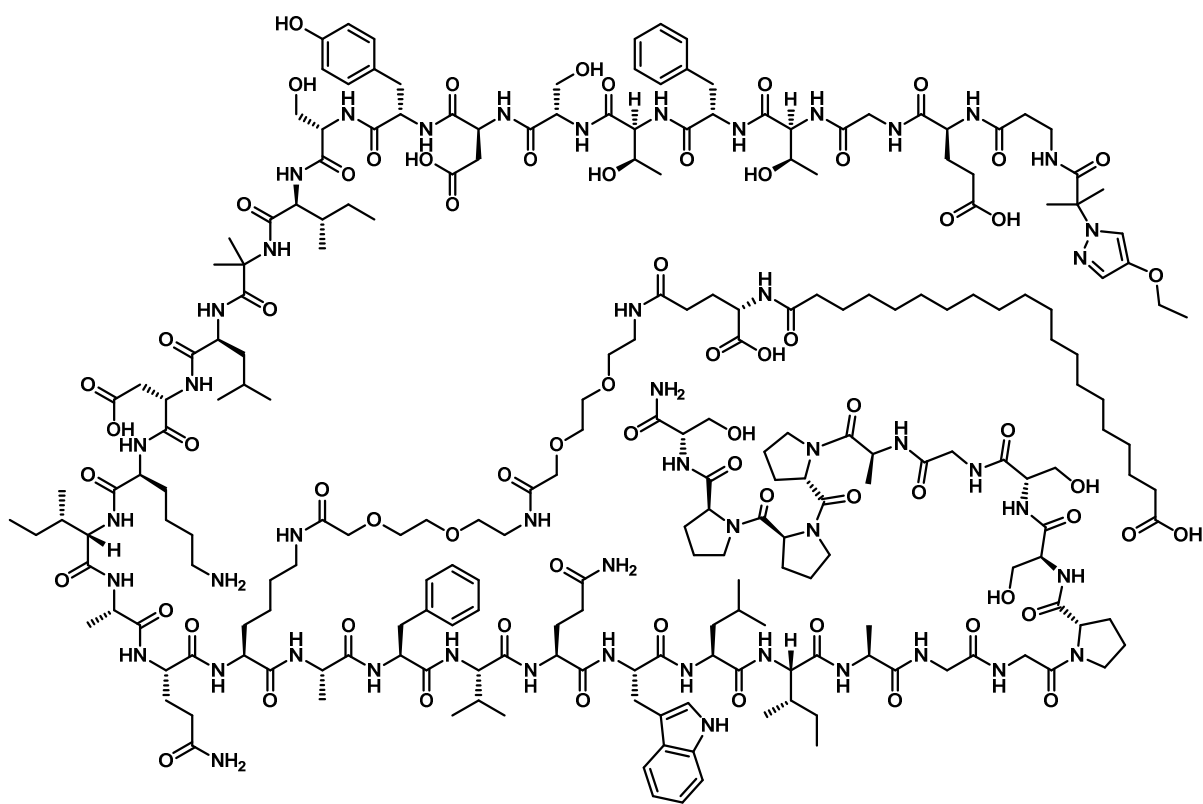
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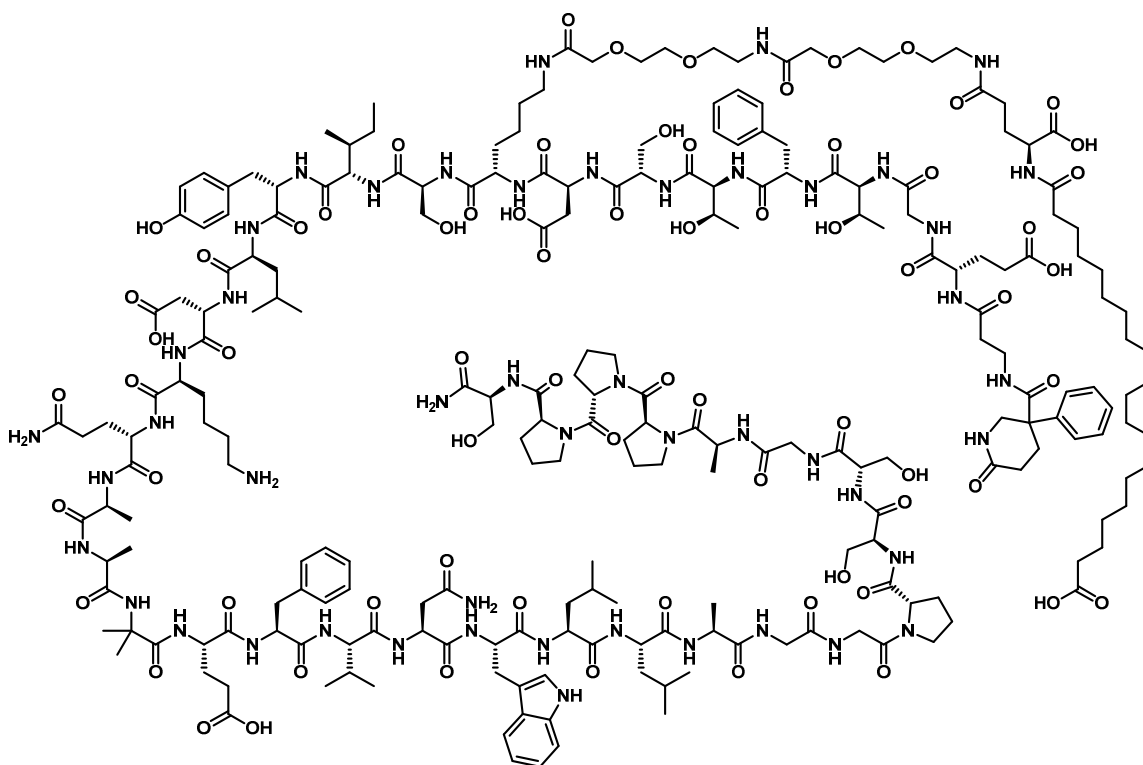
Compound 150,



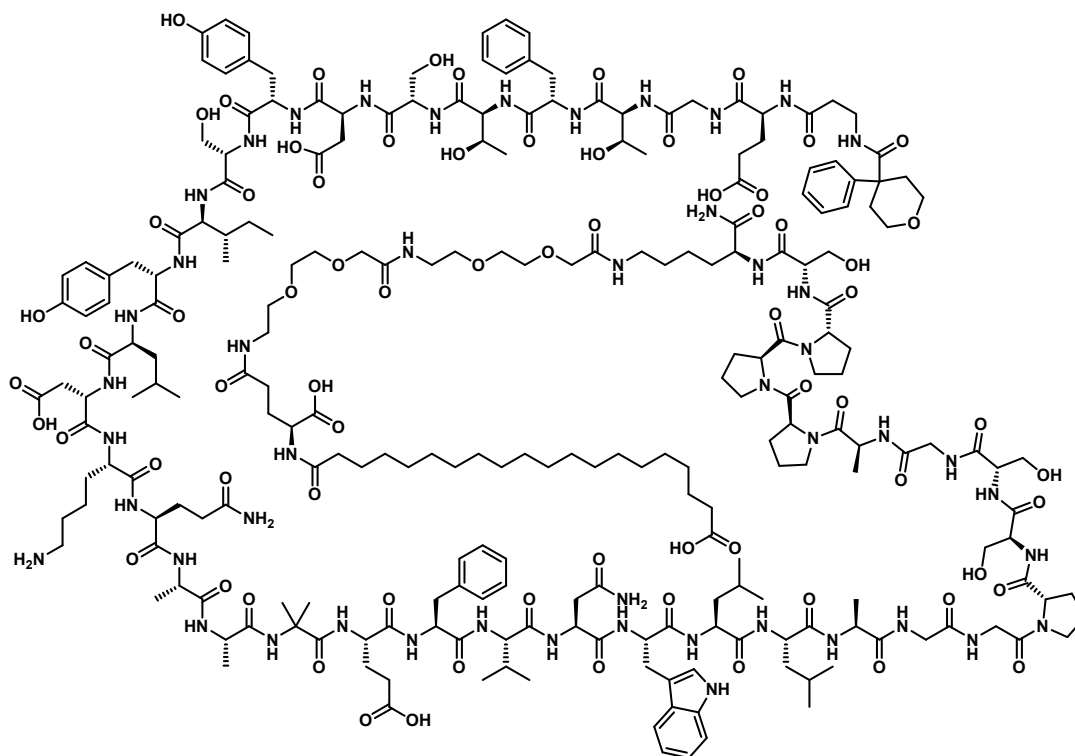
Compound 151,



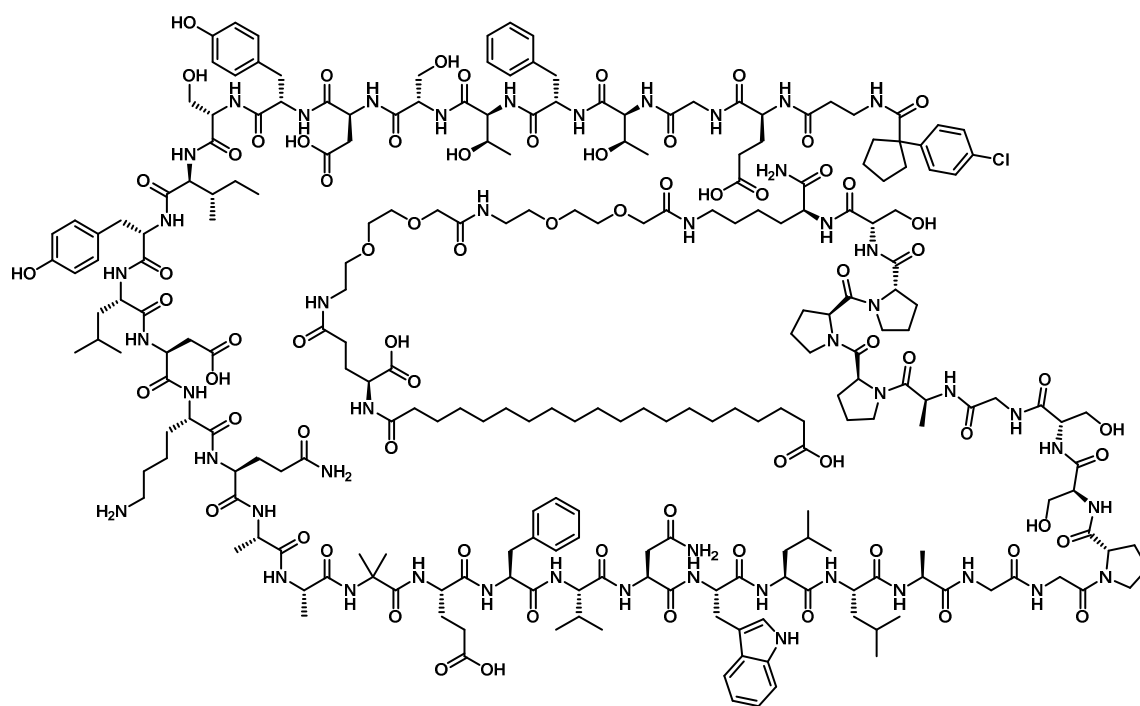
Compound 152,



Compound 153,

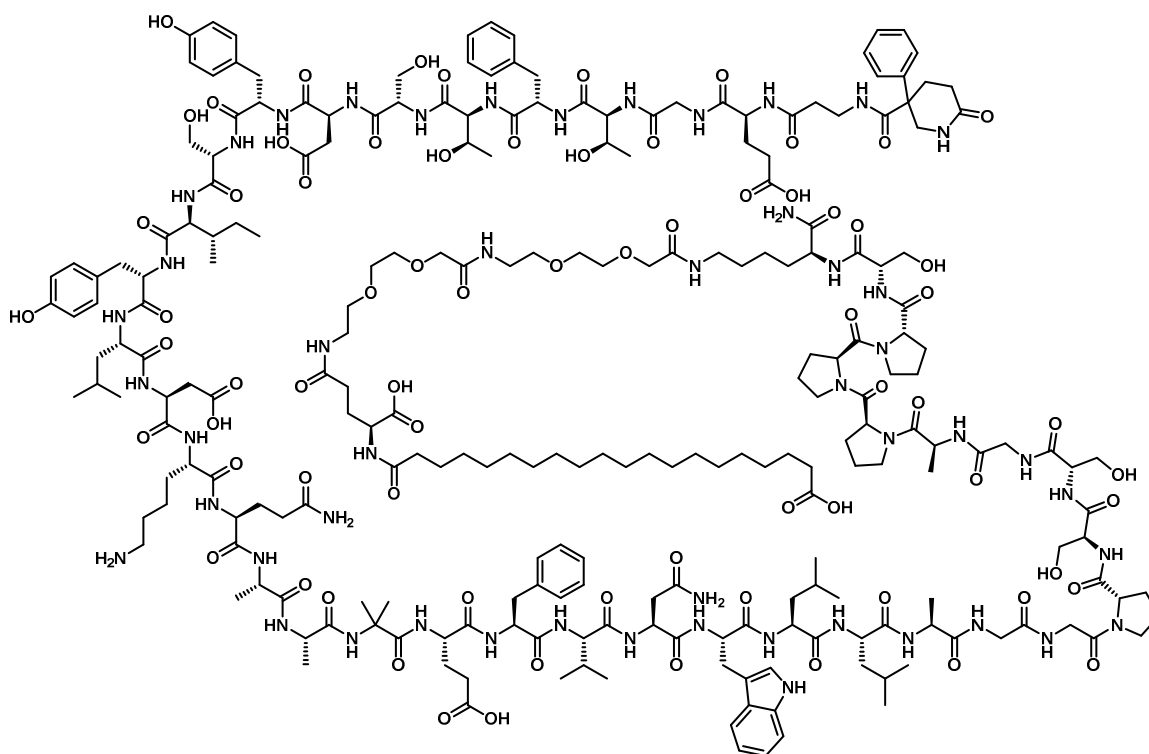


Compound 154,

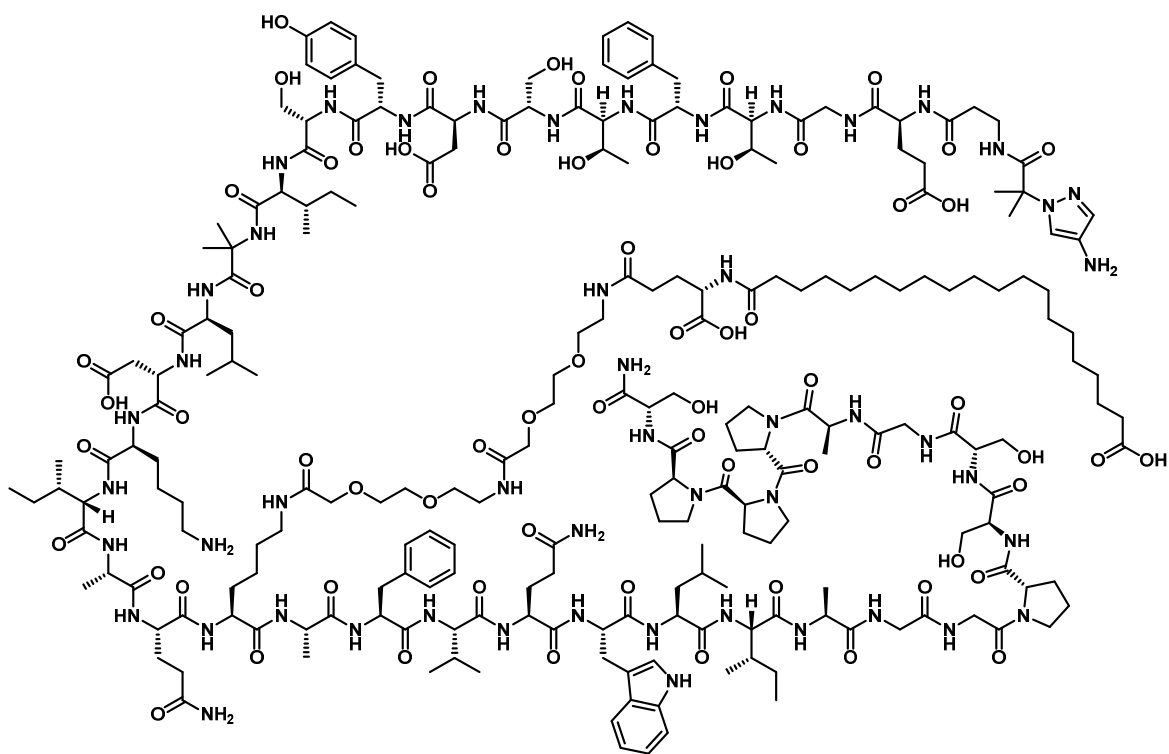


Compound 155,

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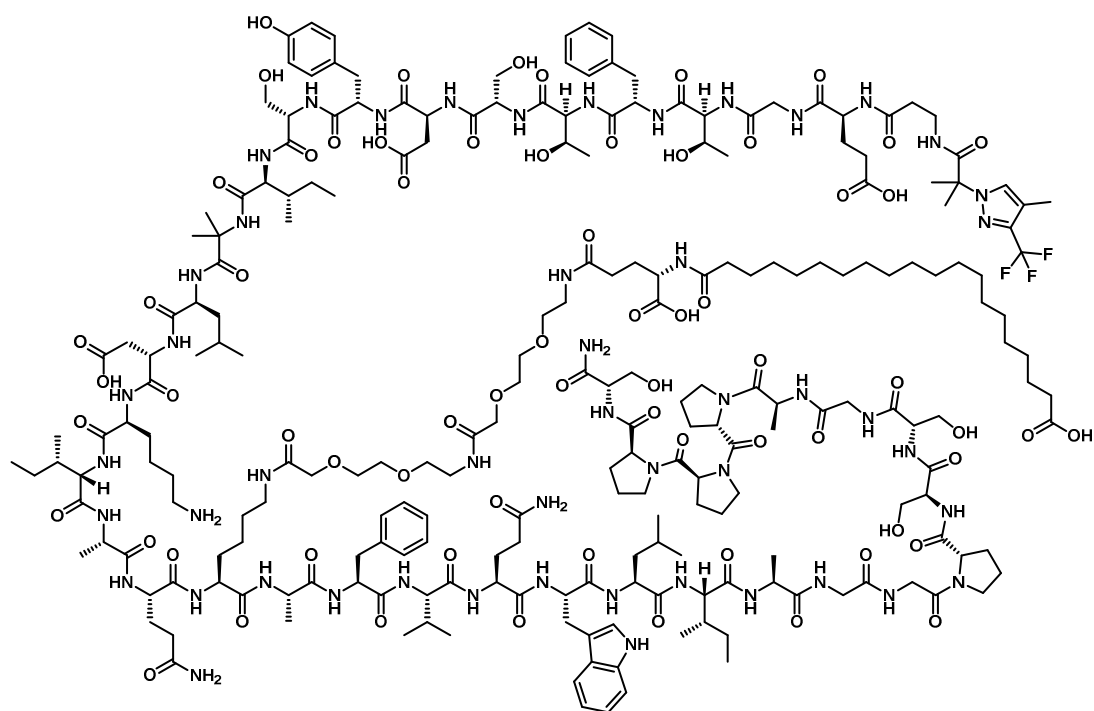


Compound 156,

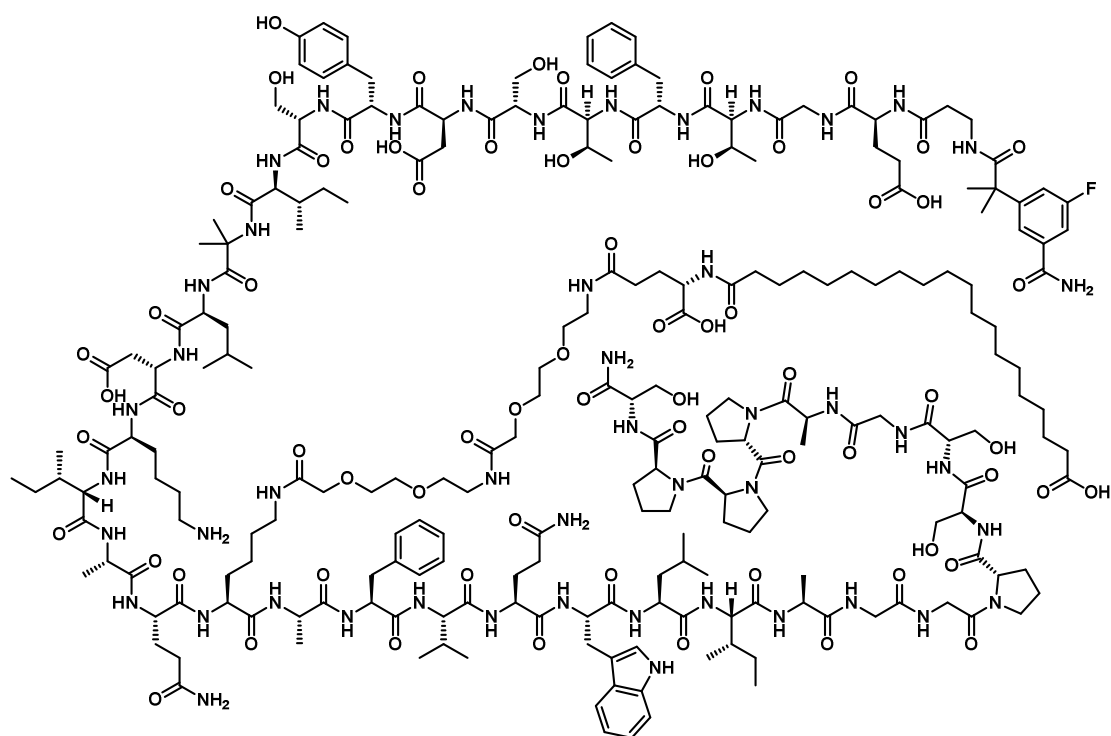


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Compound 157,

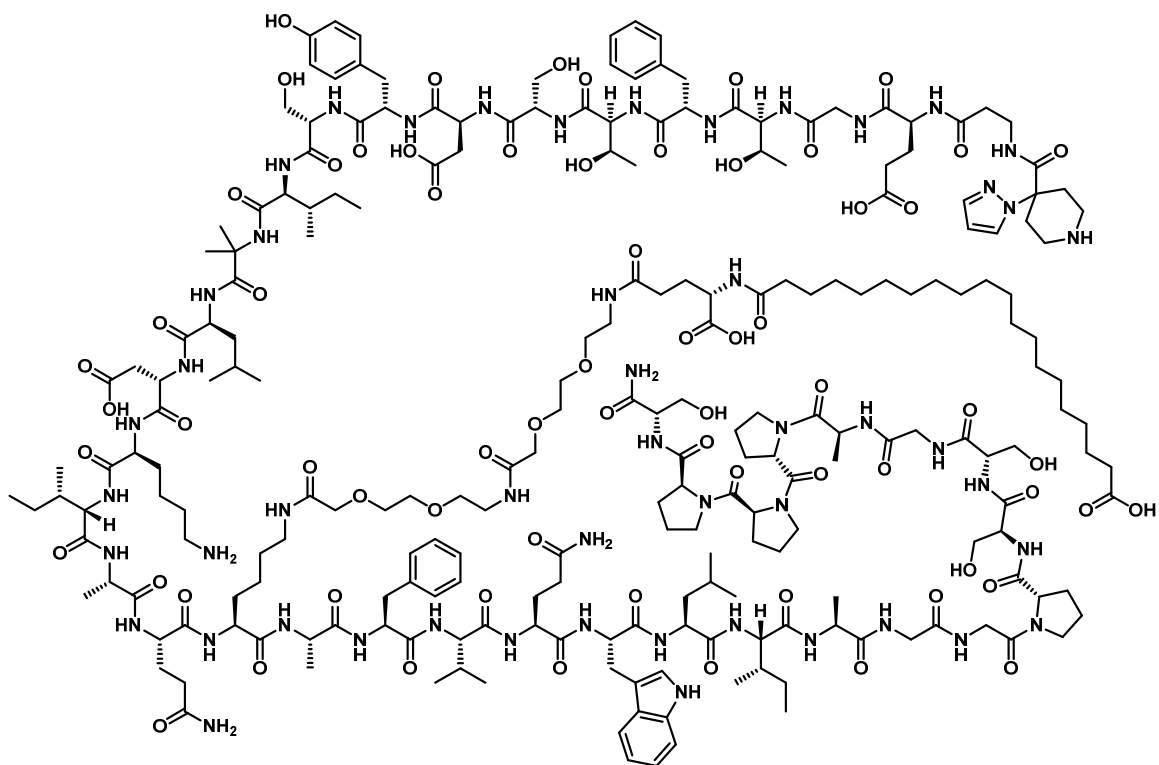


Compound 158,

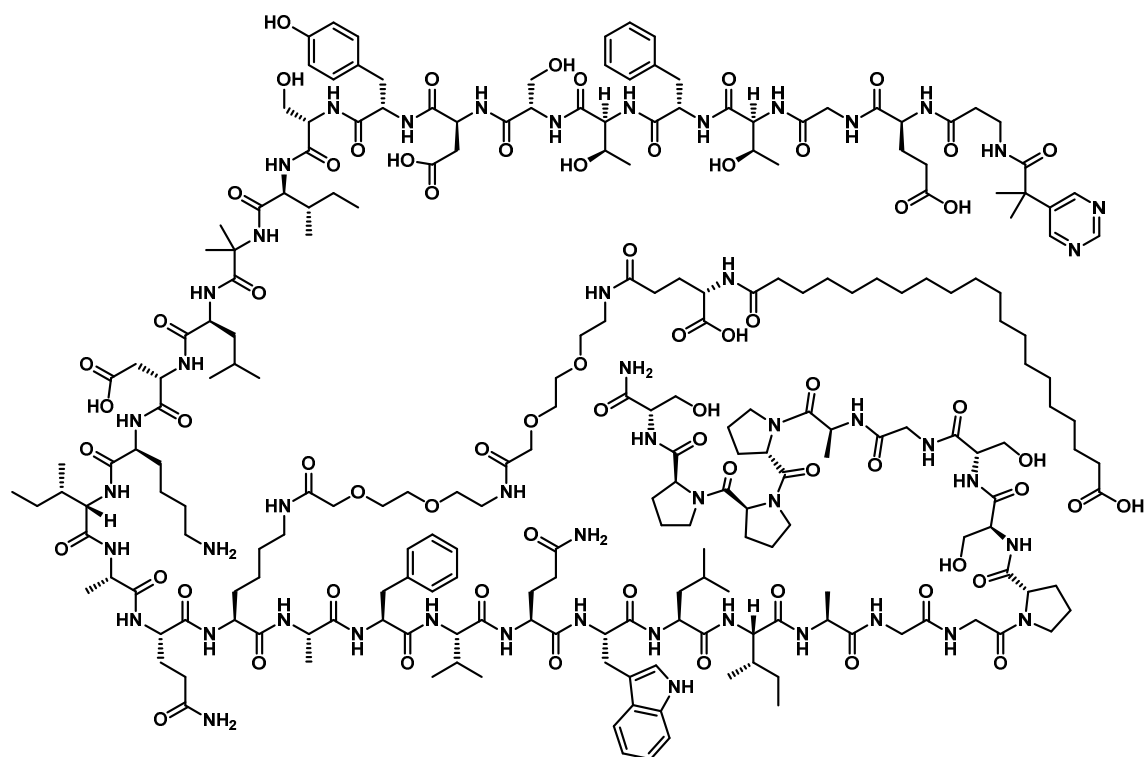


Compound 159,

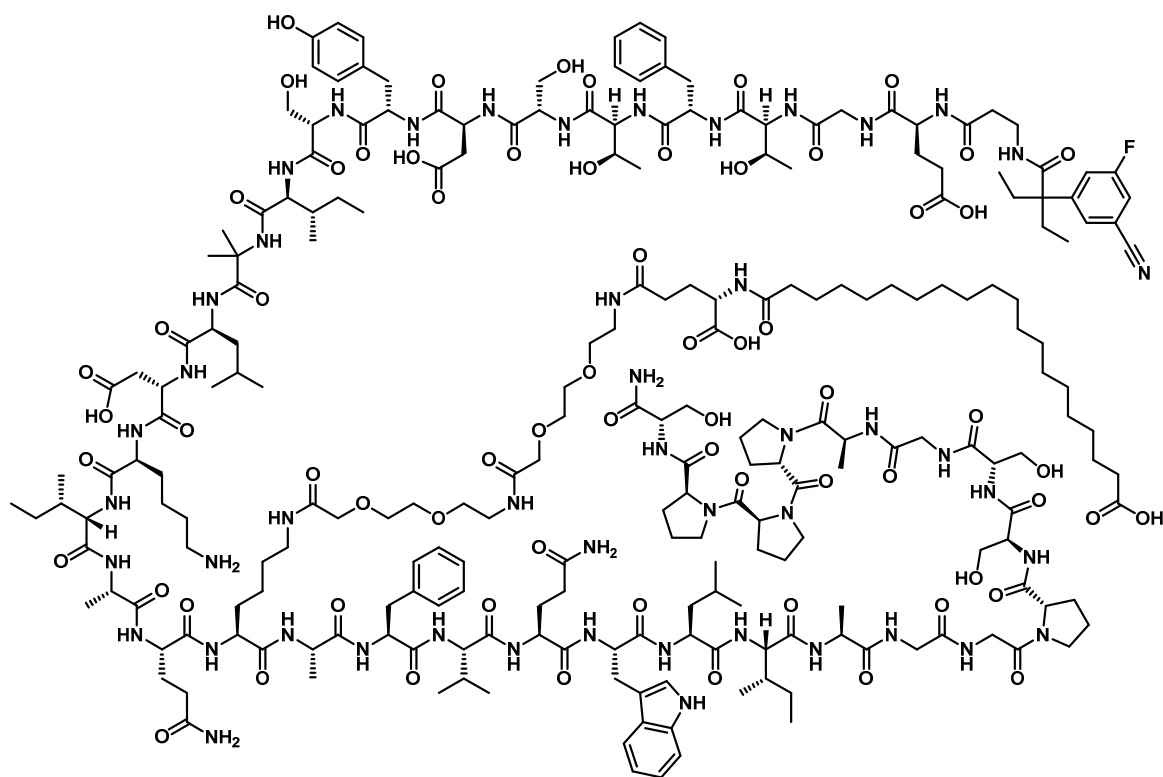
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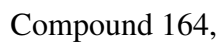
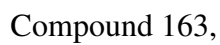
Compound 160,



Compound 161,

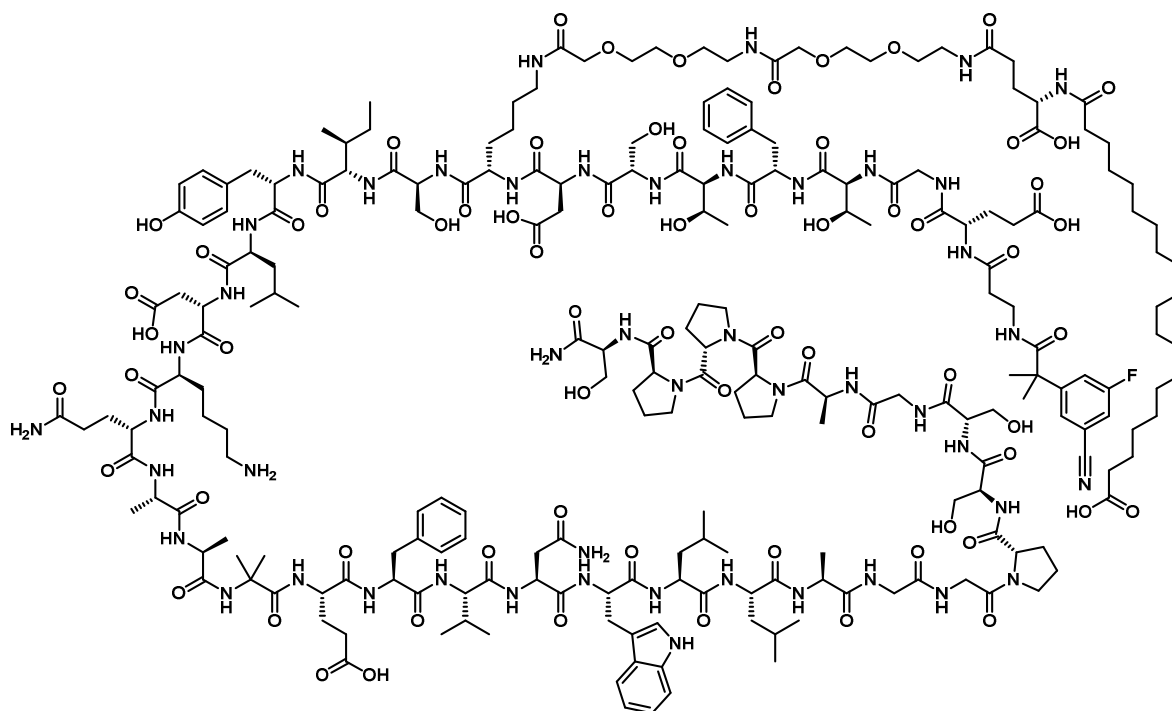


Compound 162,

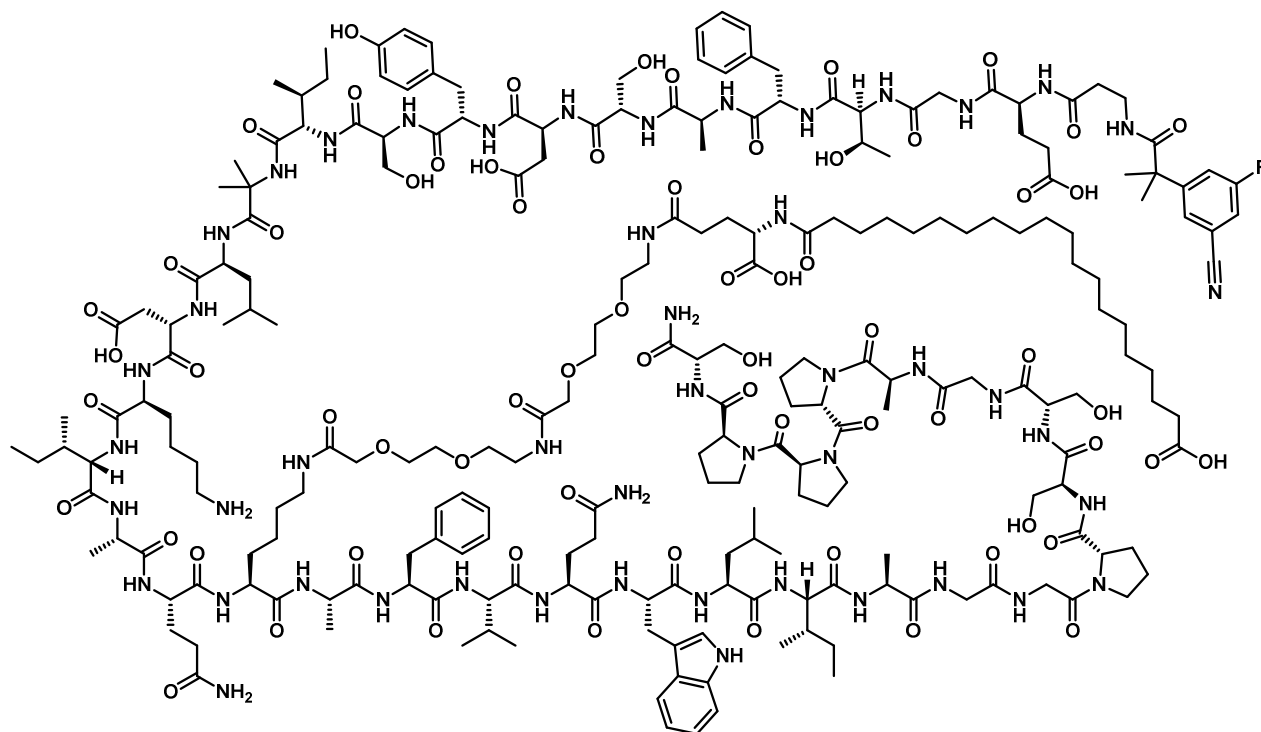


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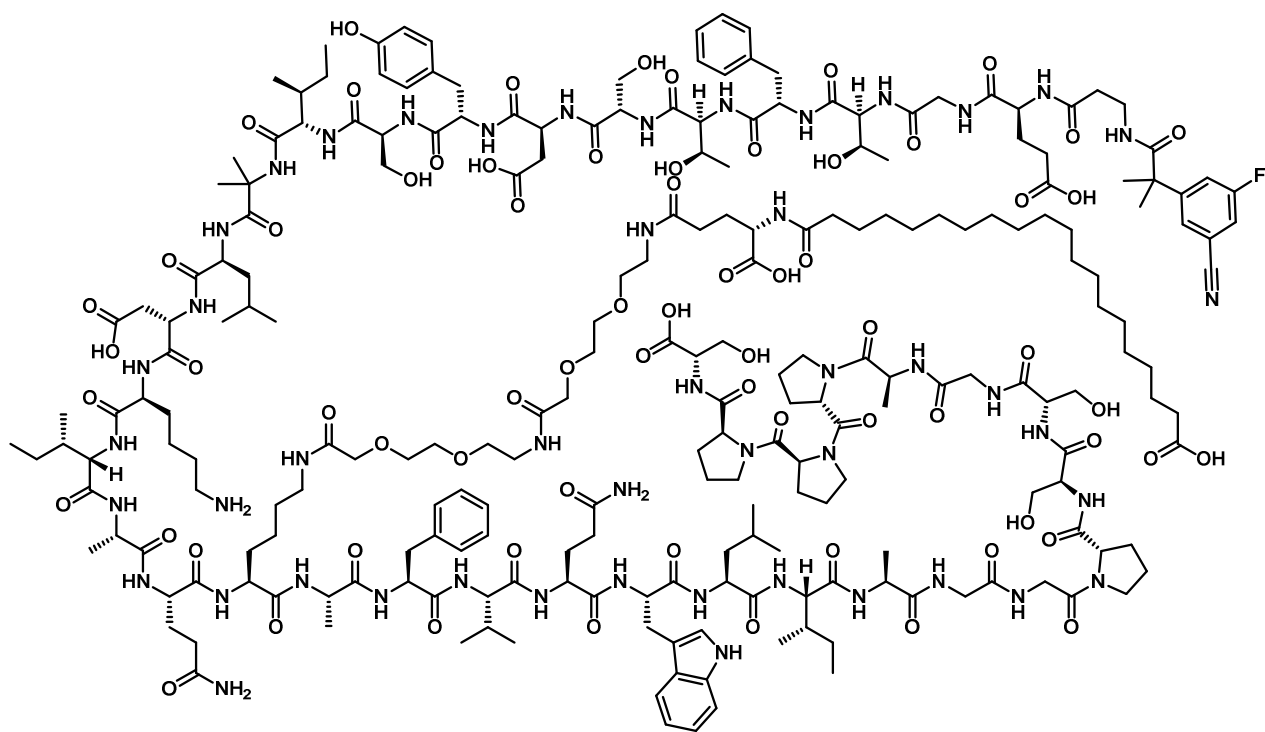
Compound 166,



Compound 167,

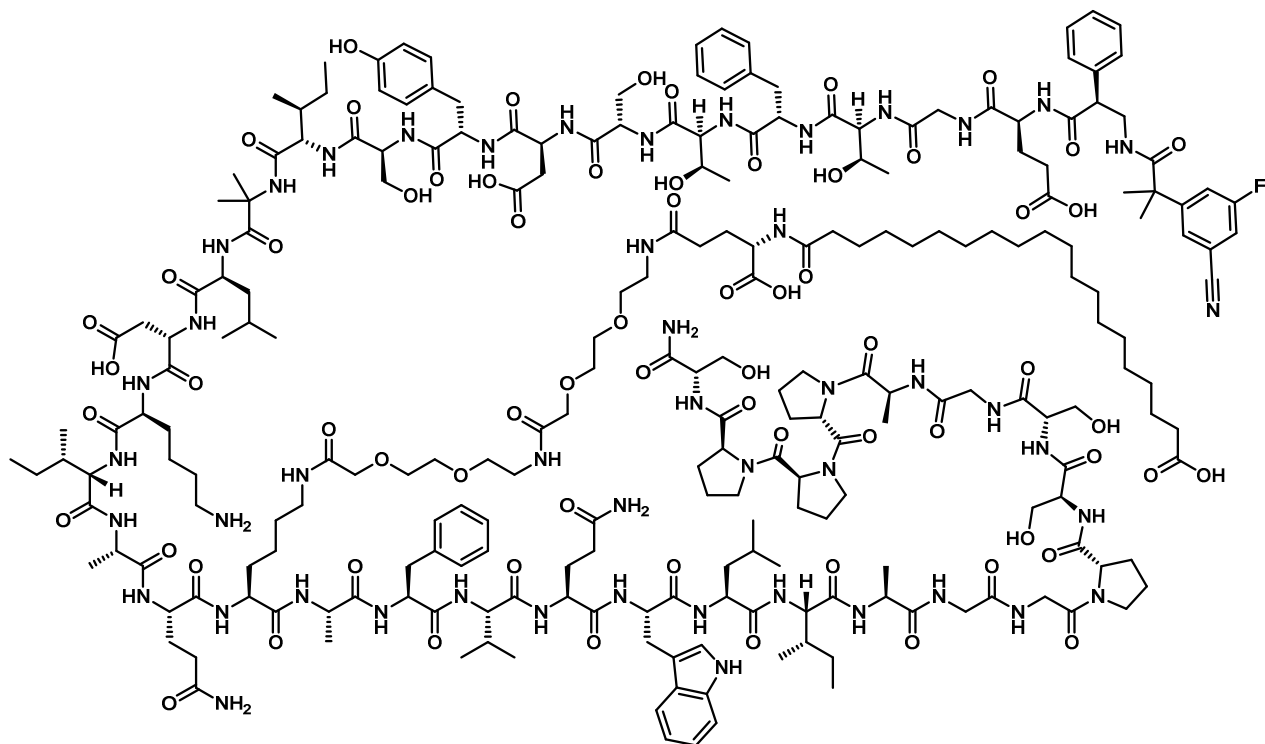


Compound 168,

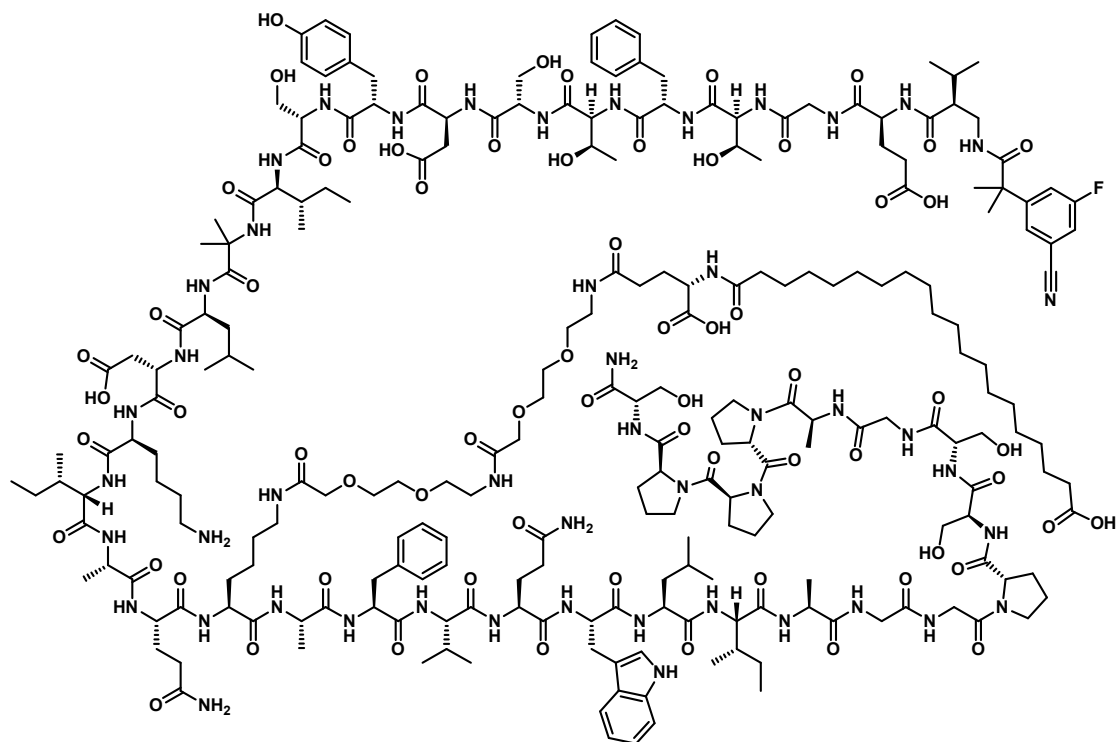


Compound 169,

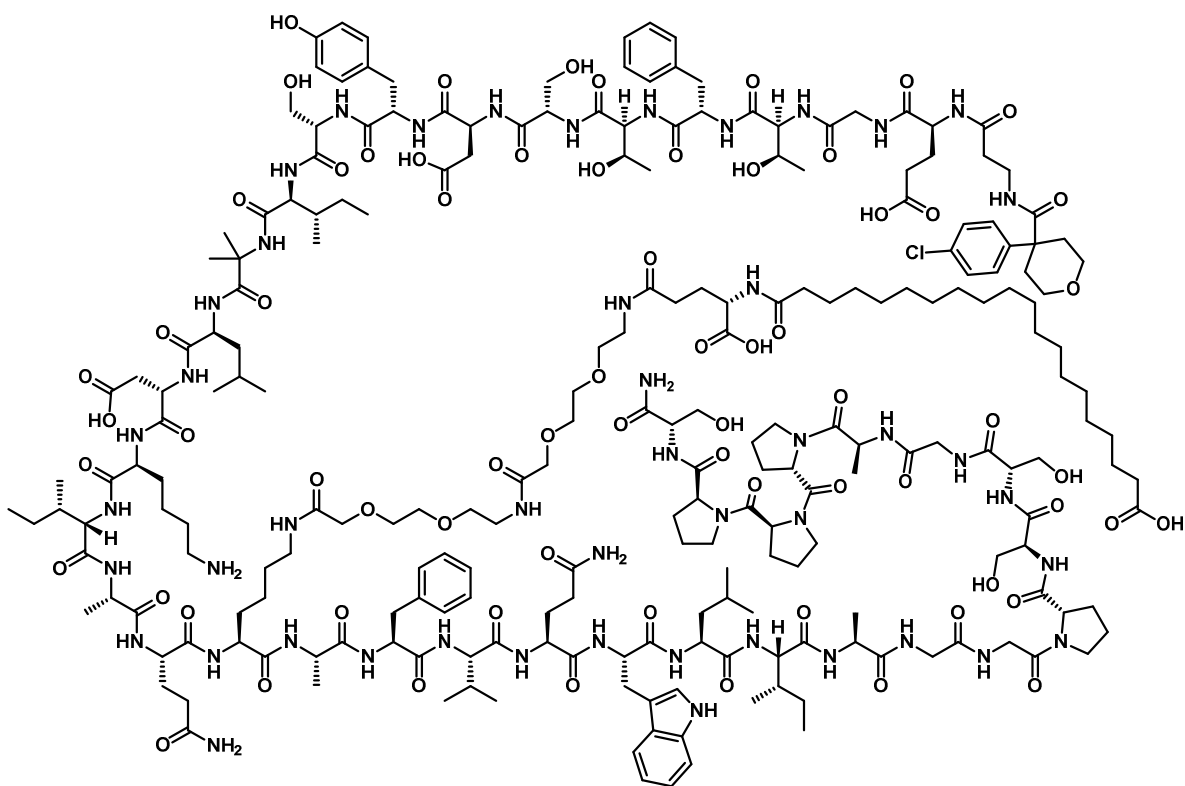
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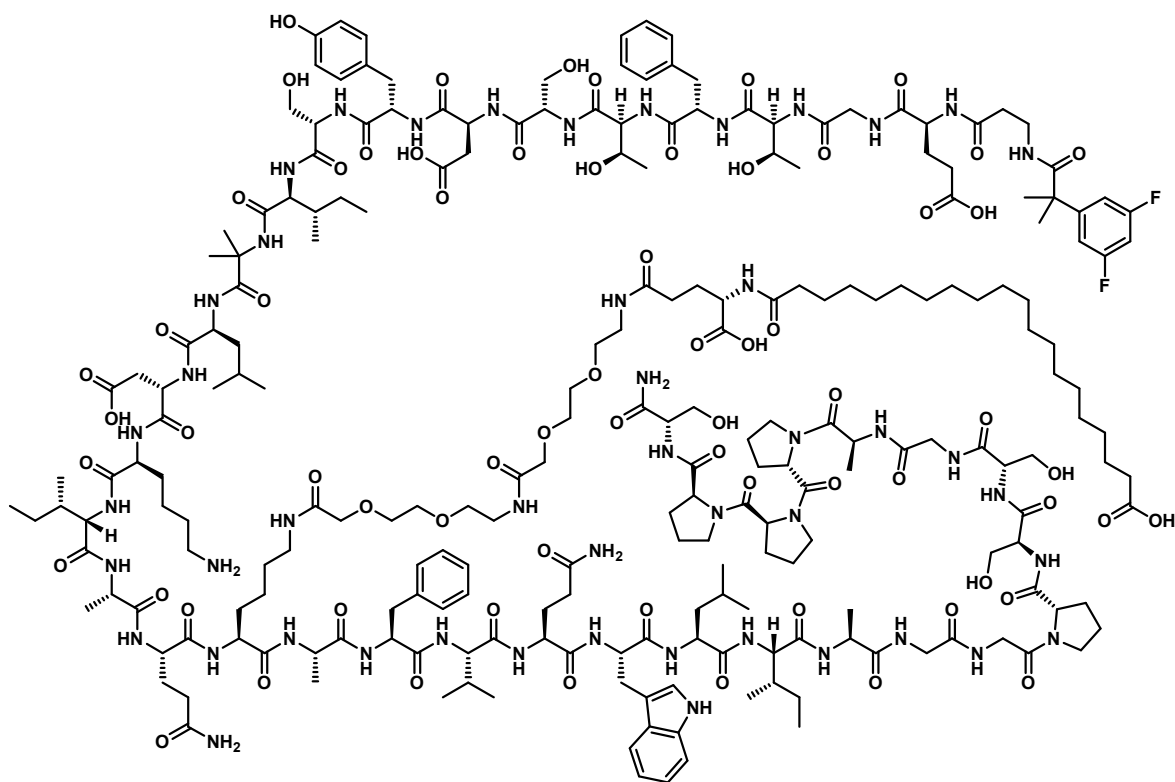
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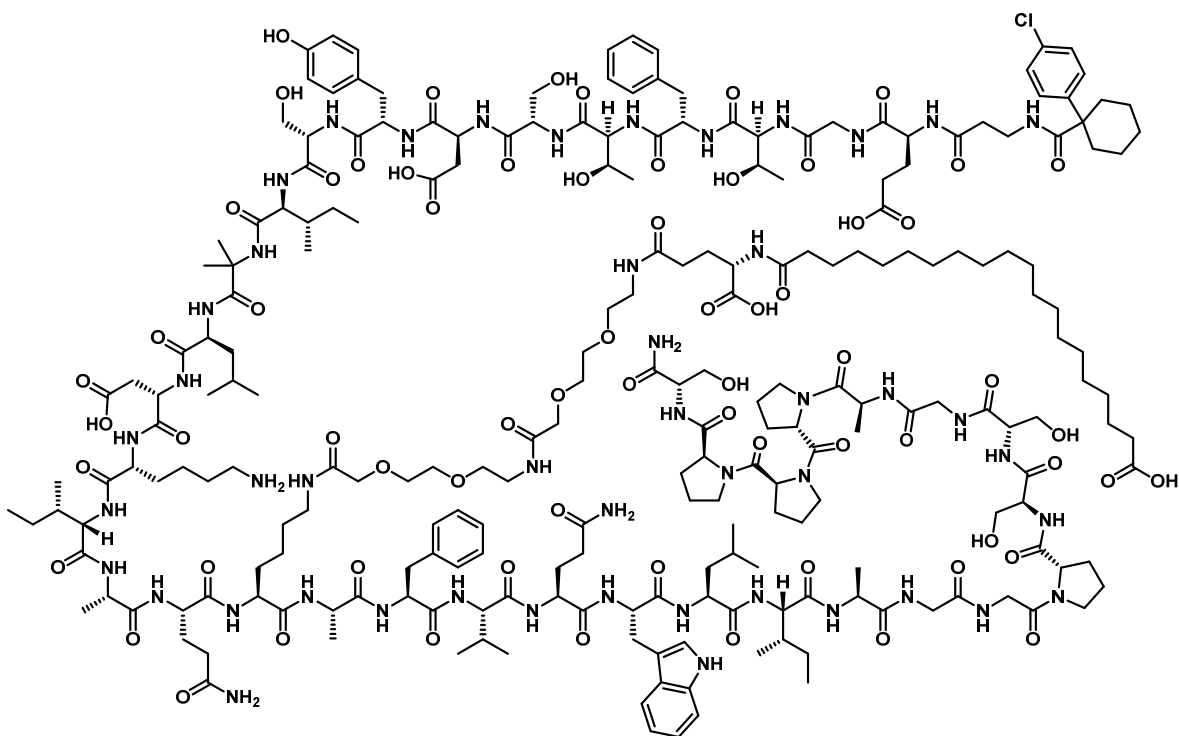
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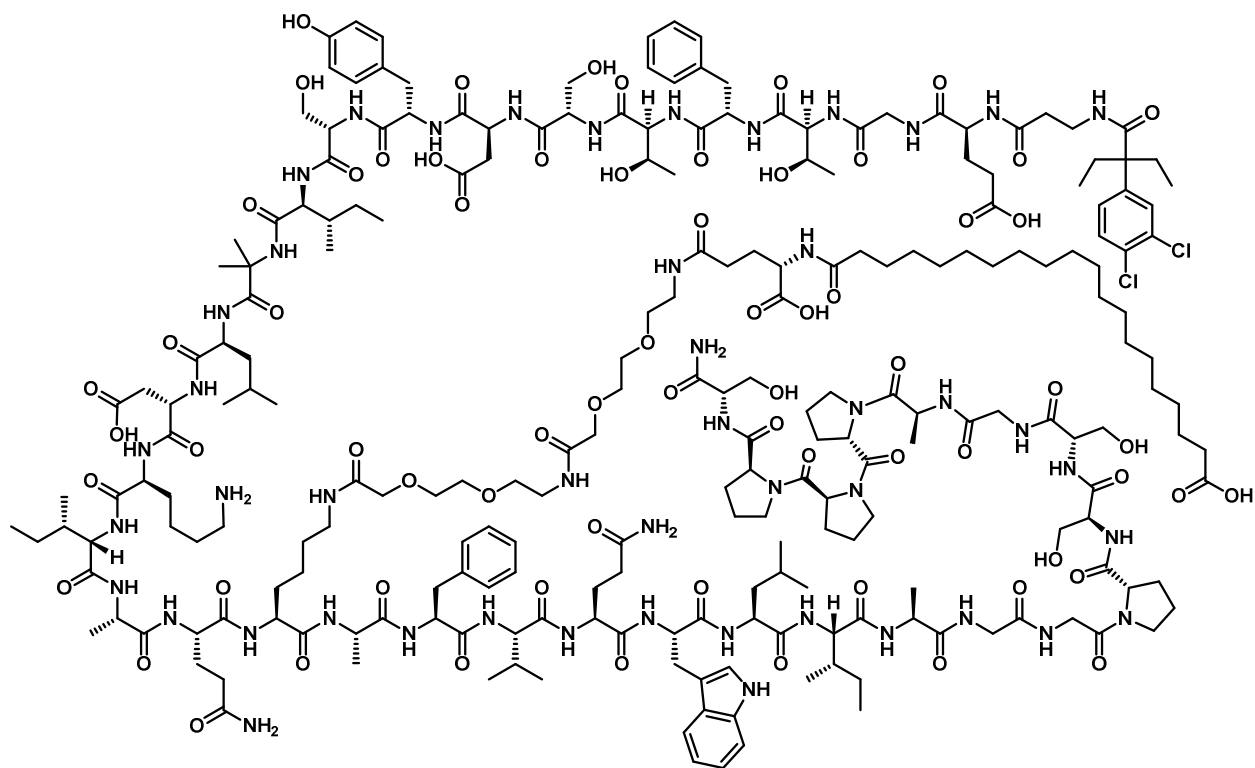
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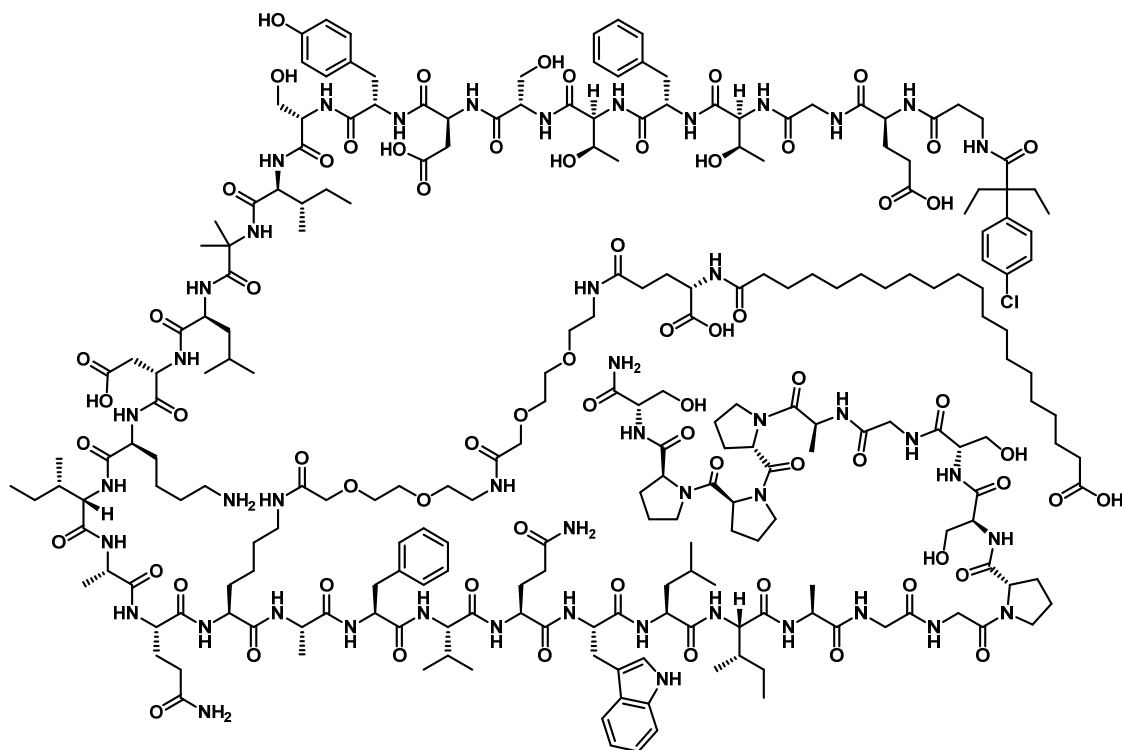
Compound 173,



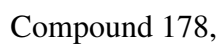
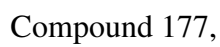
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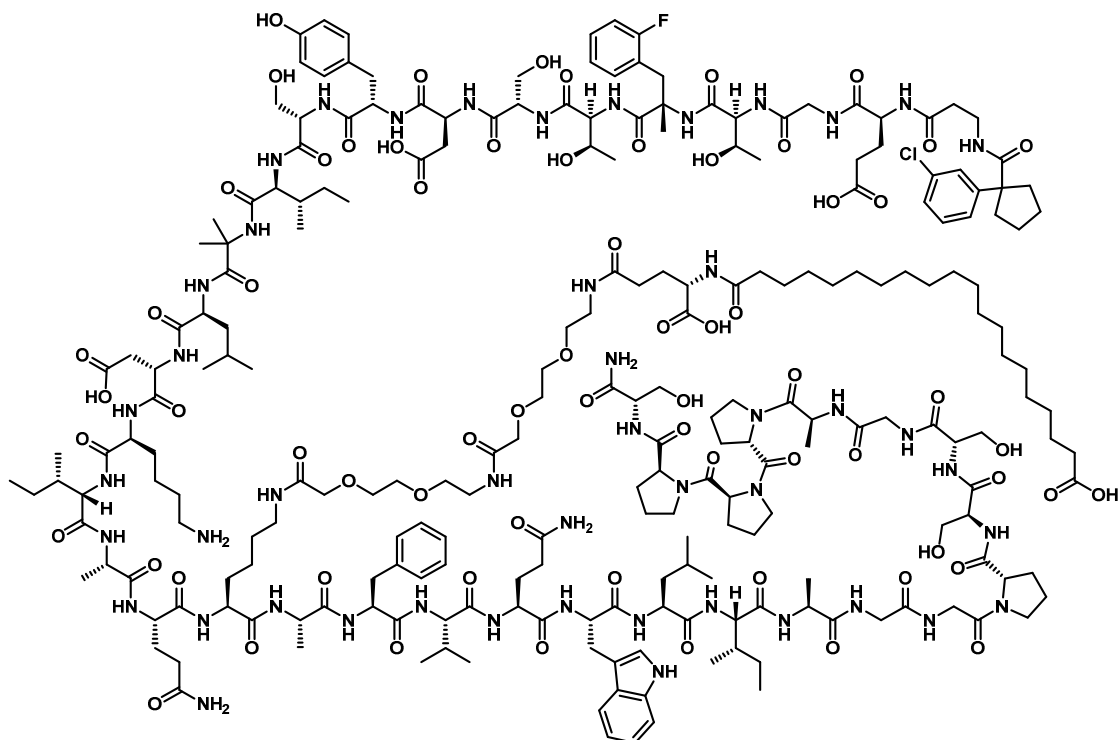


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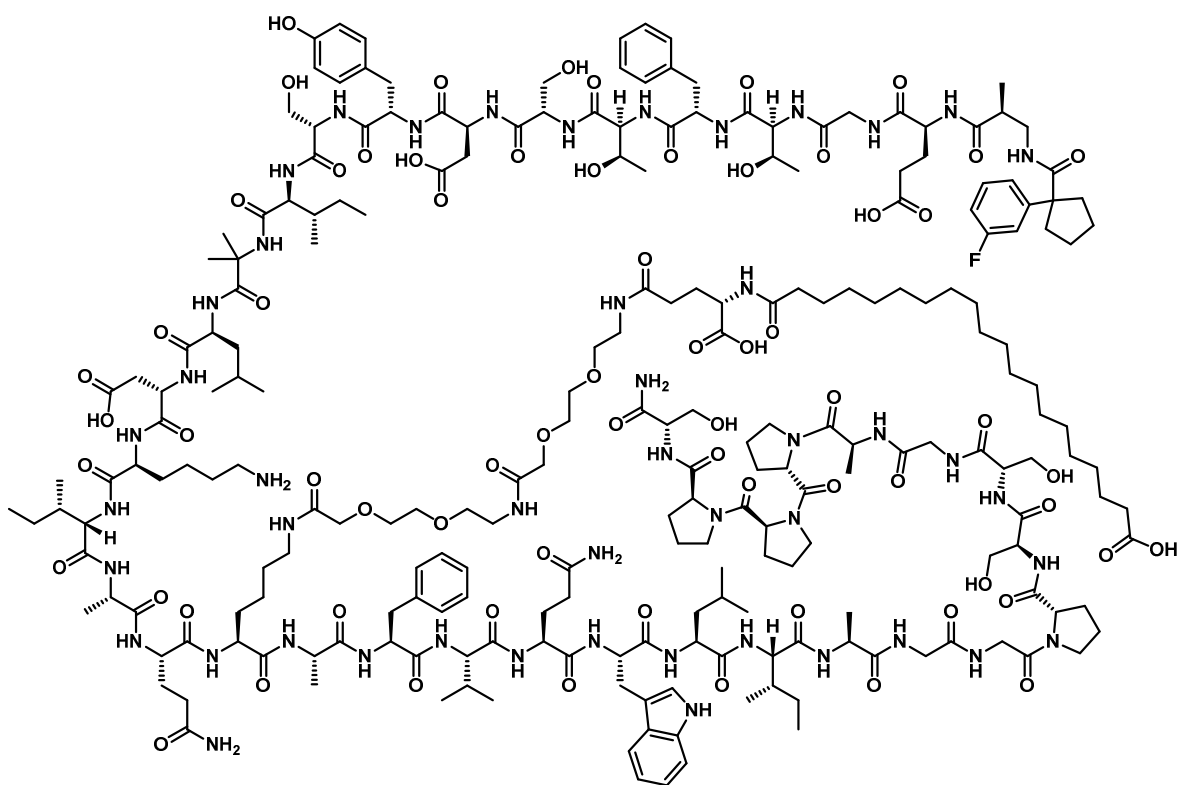


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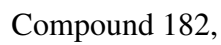
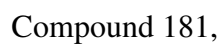


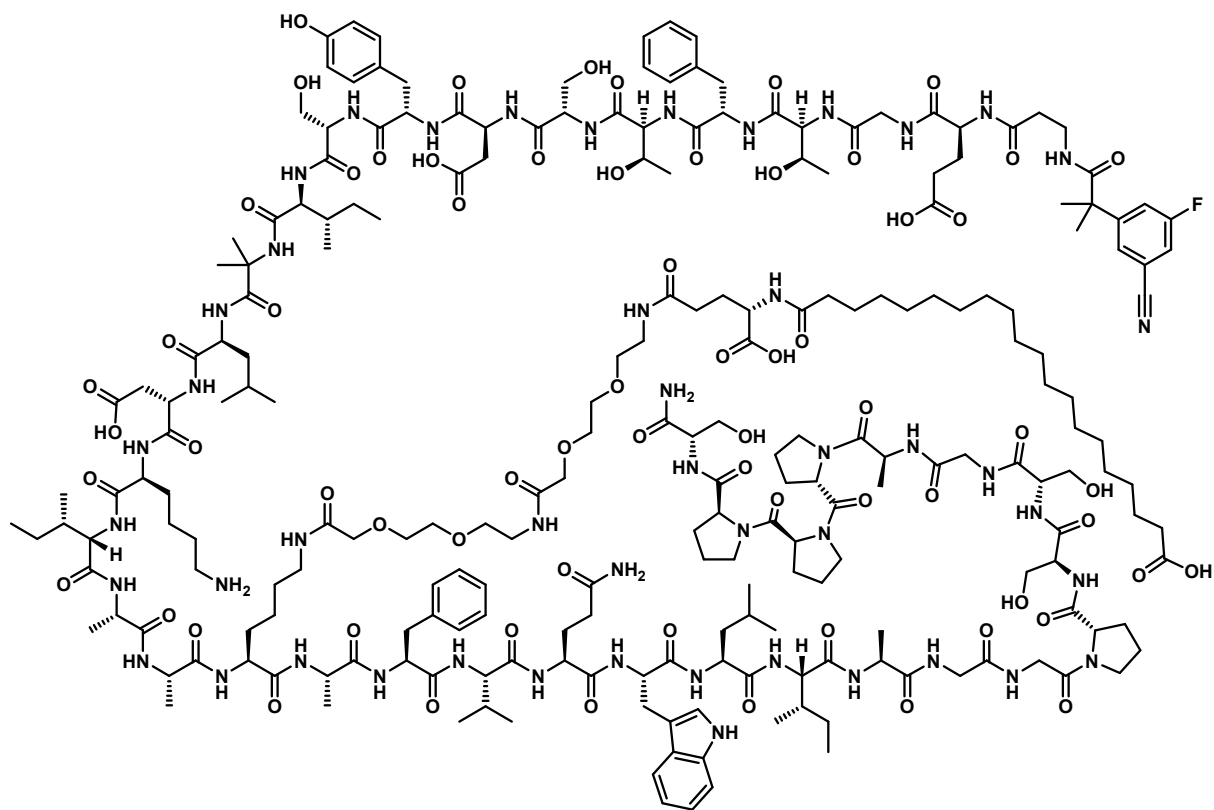


Compound 179,

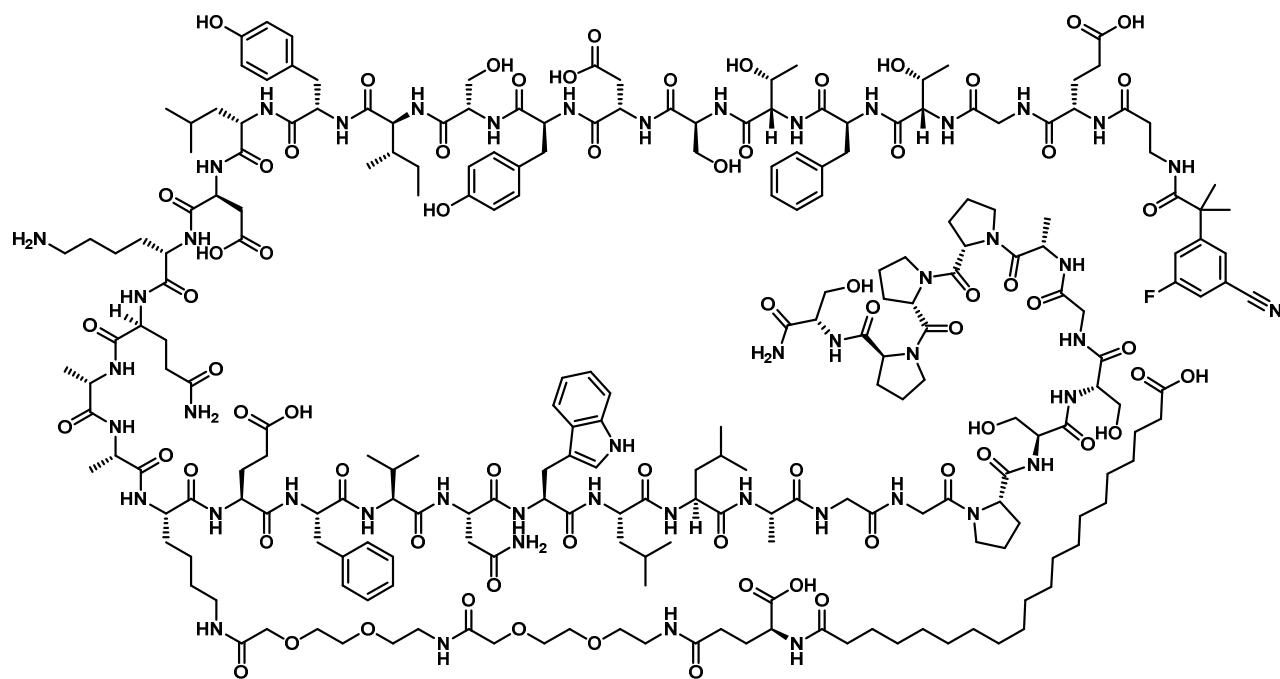


Compound 180,

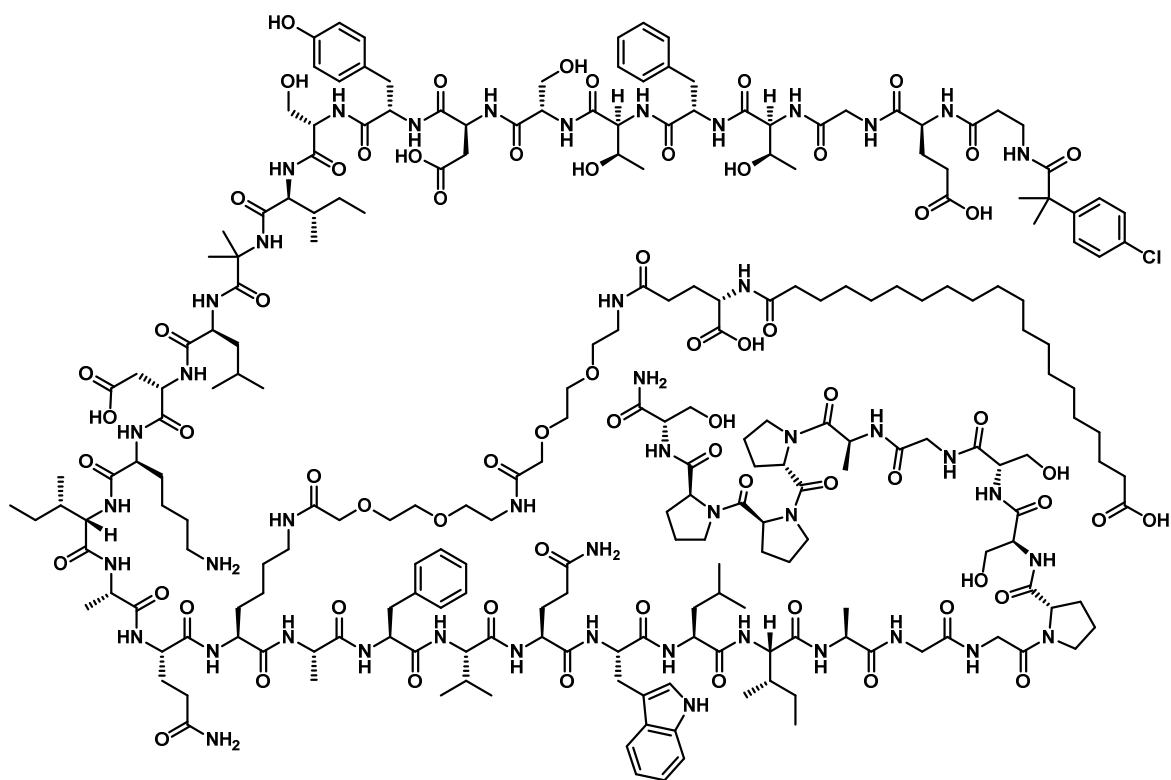




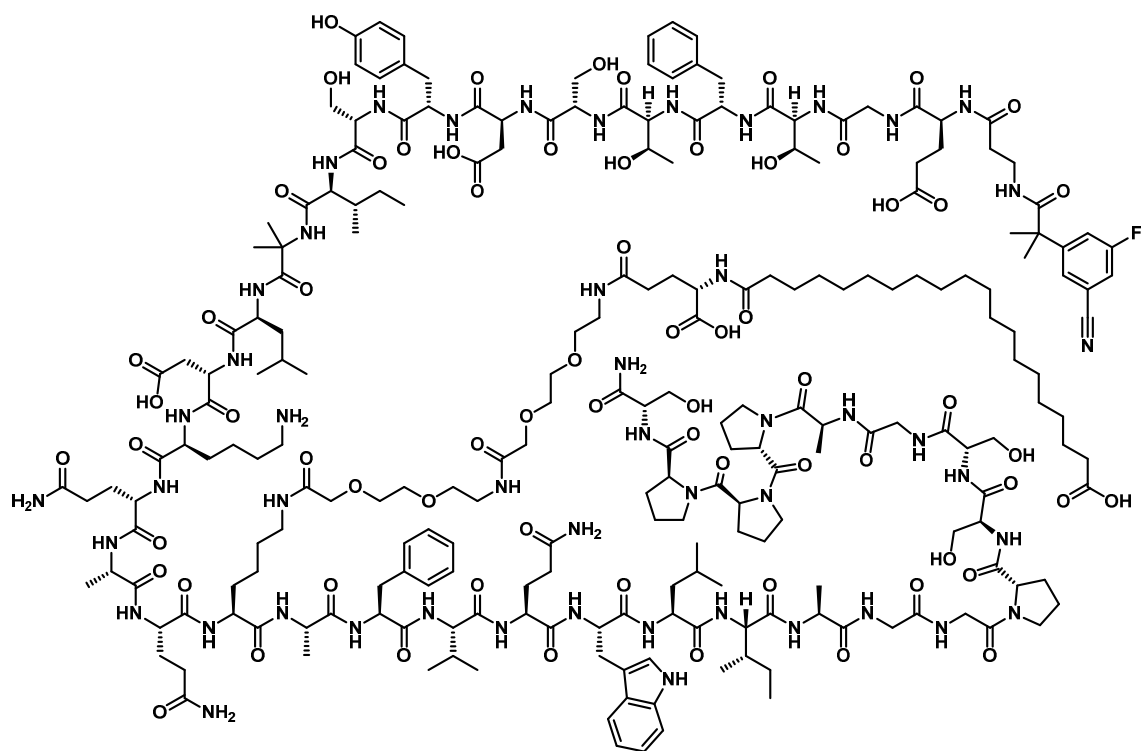
Compound 183,



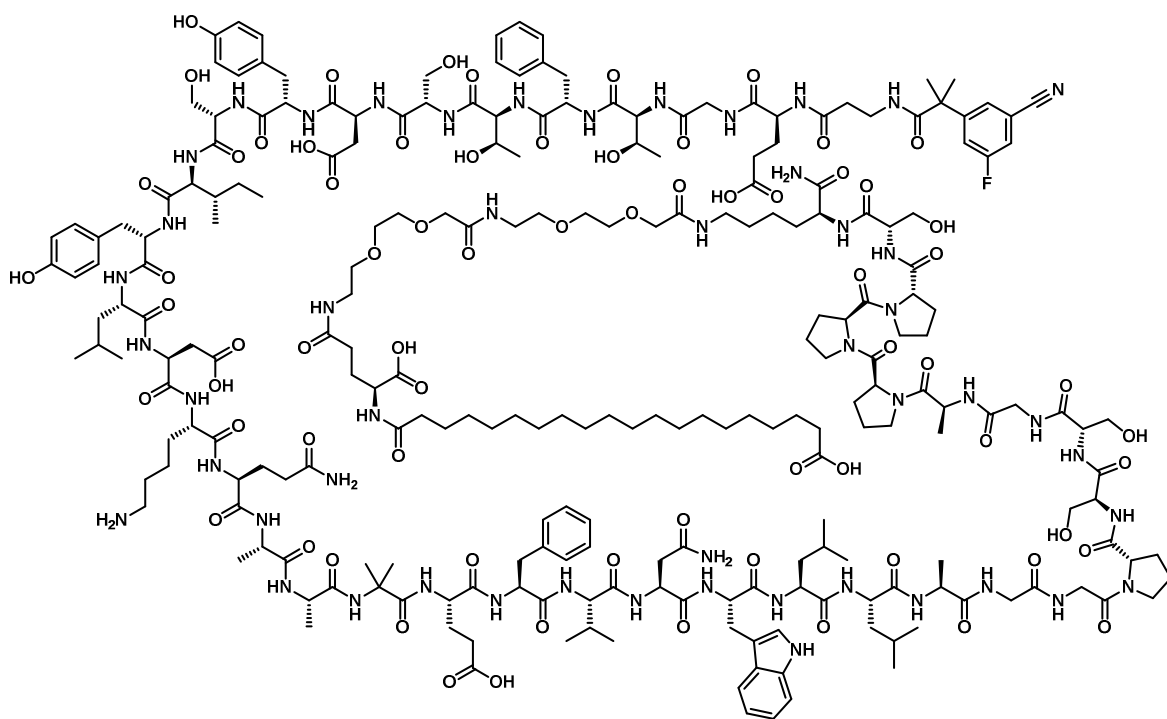
Compound 184,



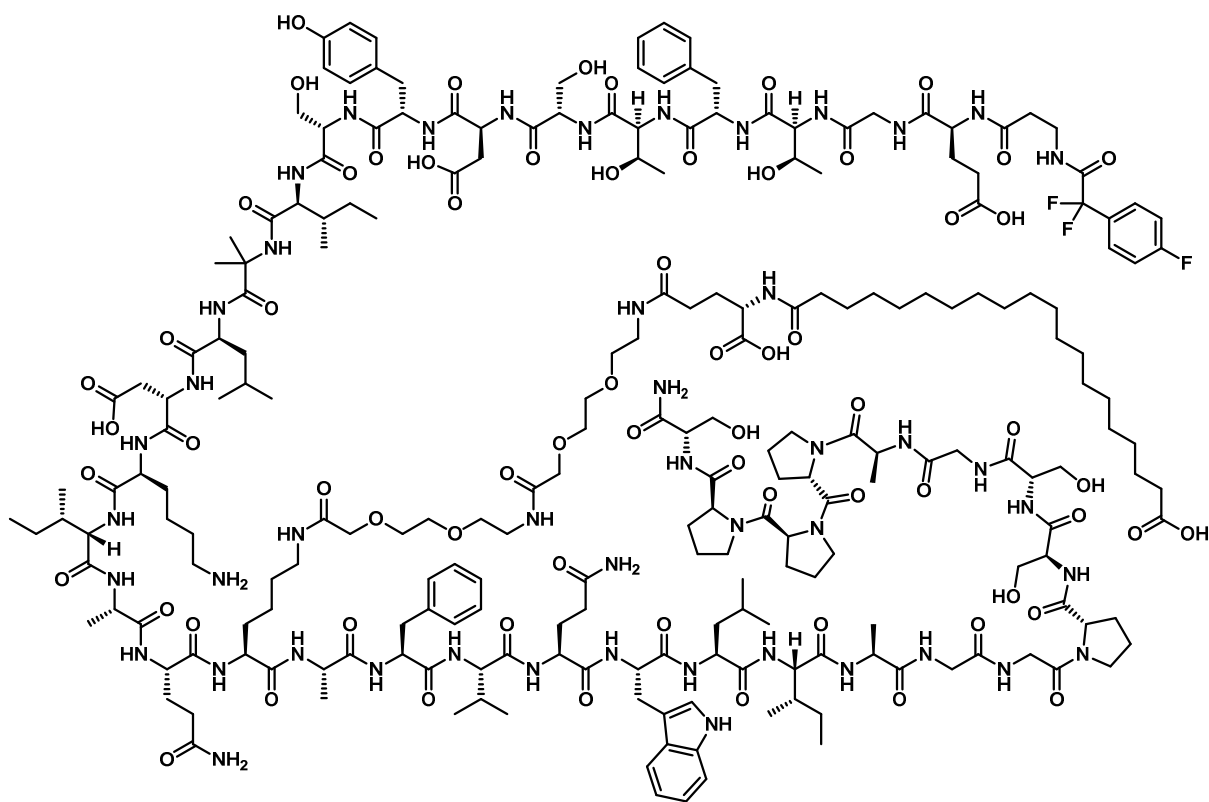
Compound 185,



Compound 186,



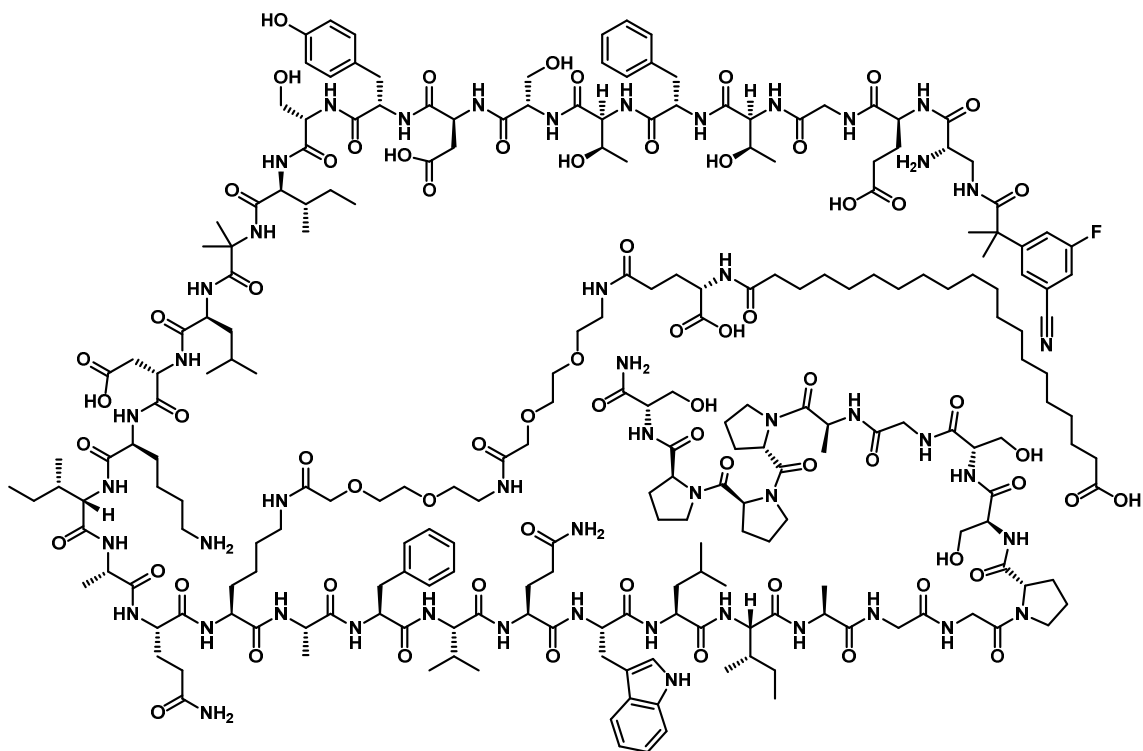
Compound 187,



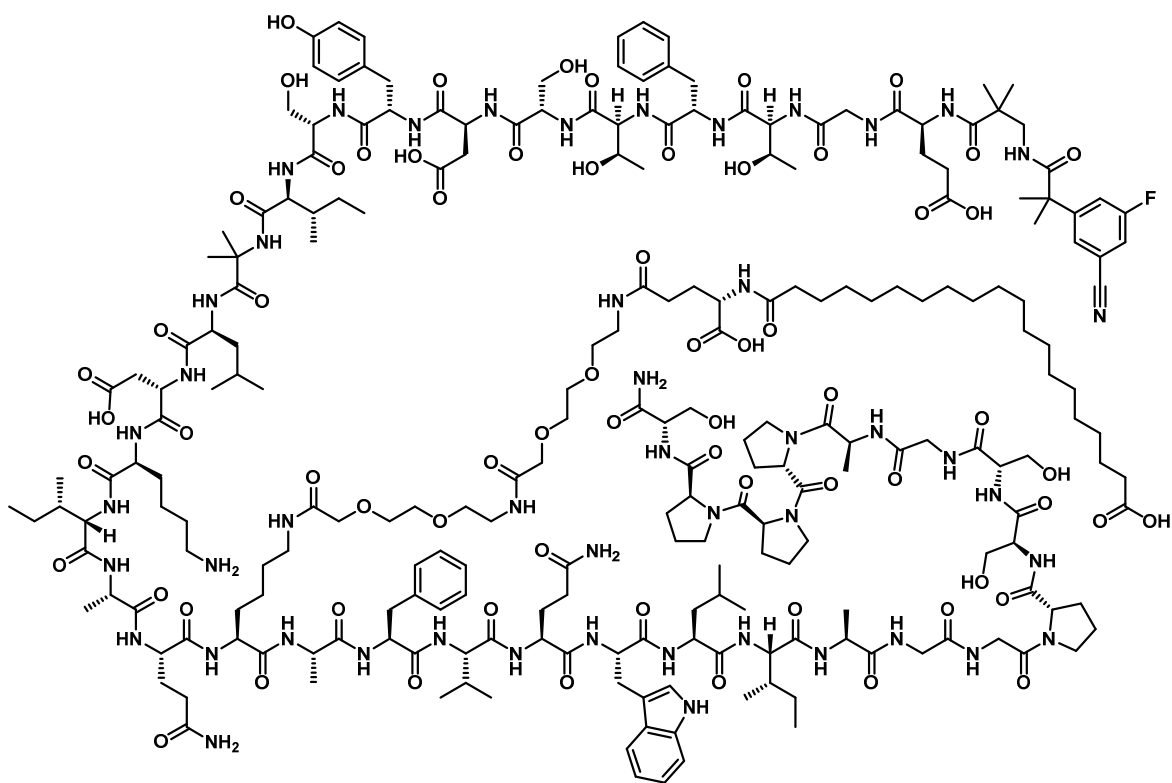
Compound 188,

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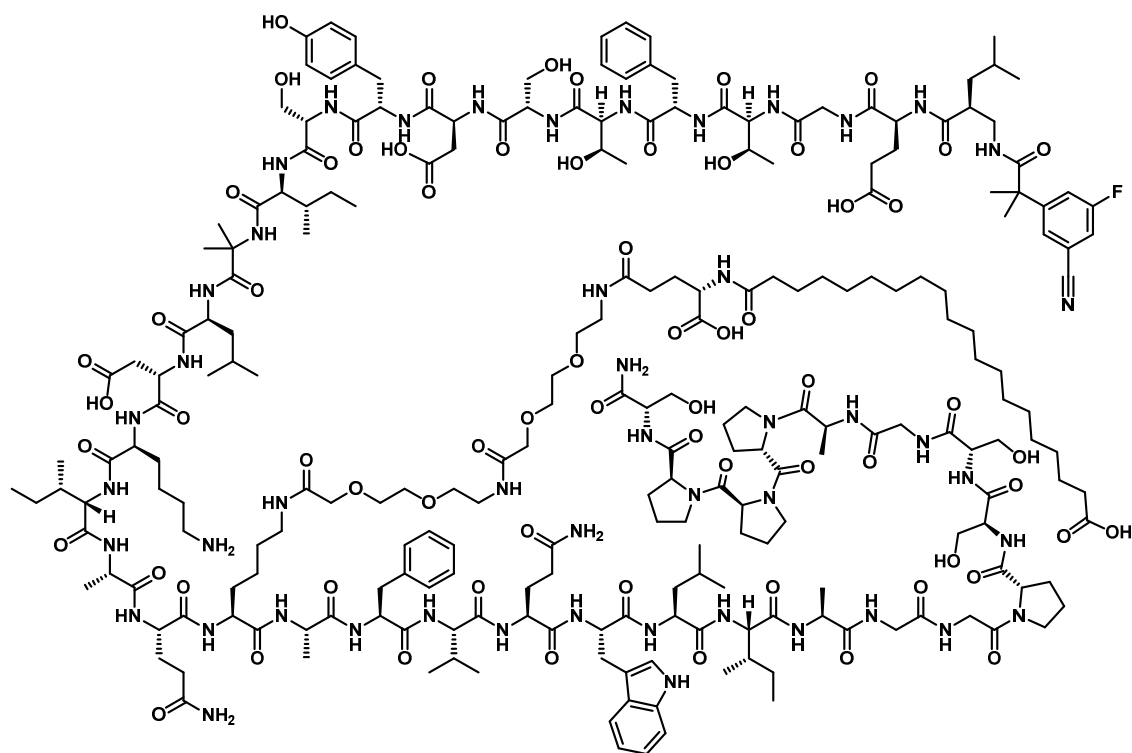
Compound 190,



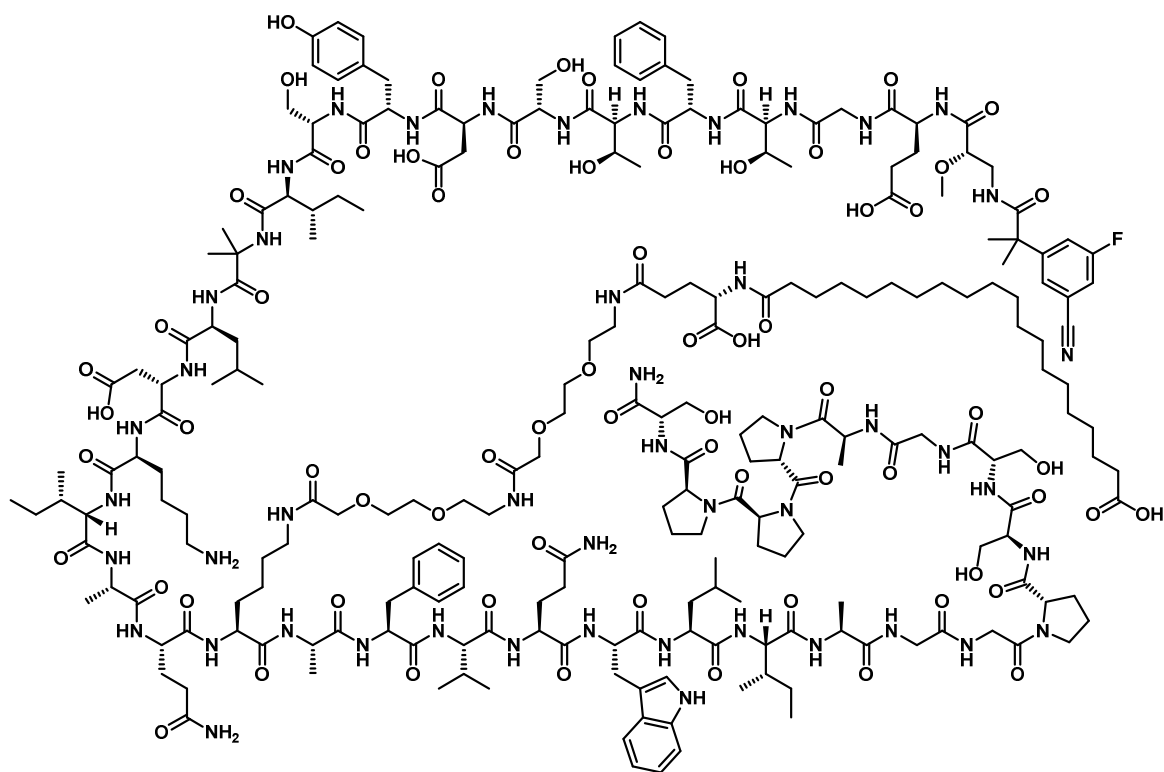
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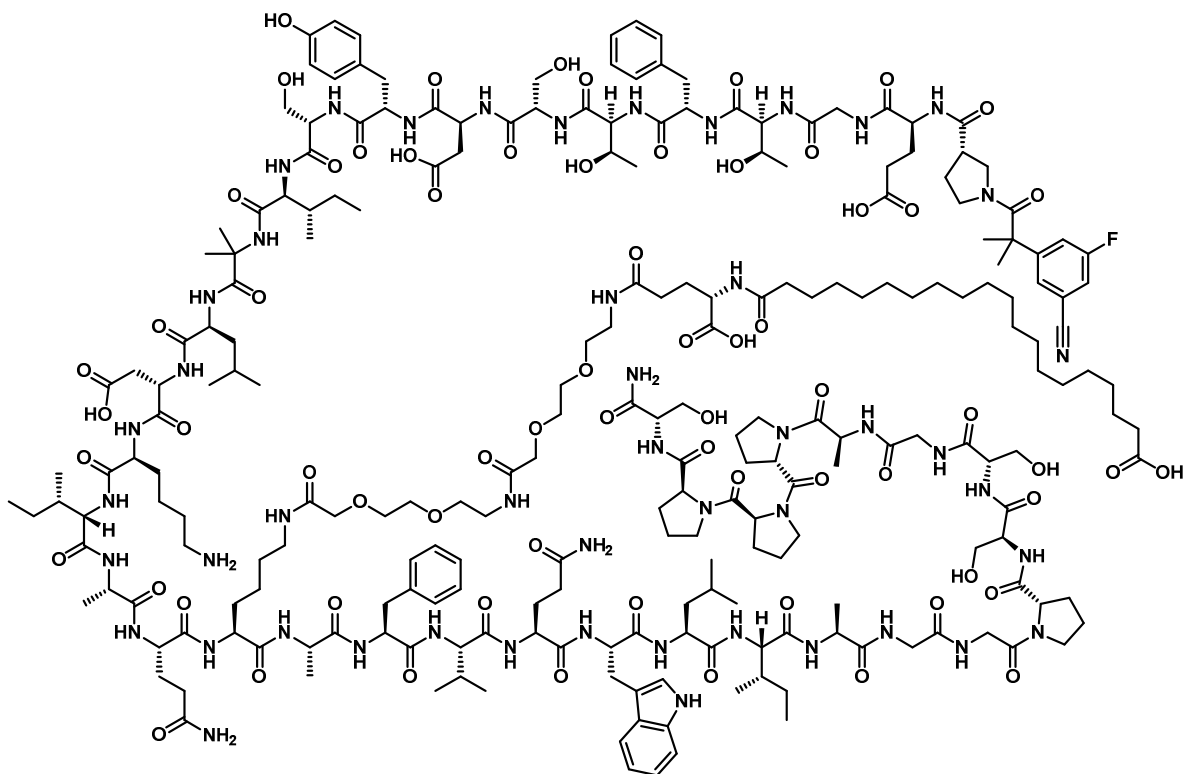
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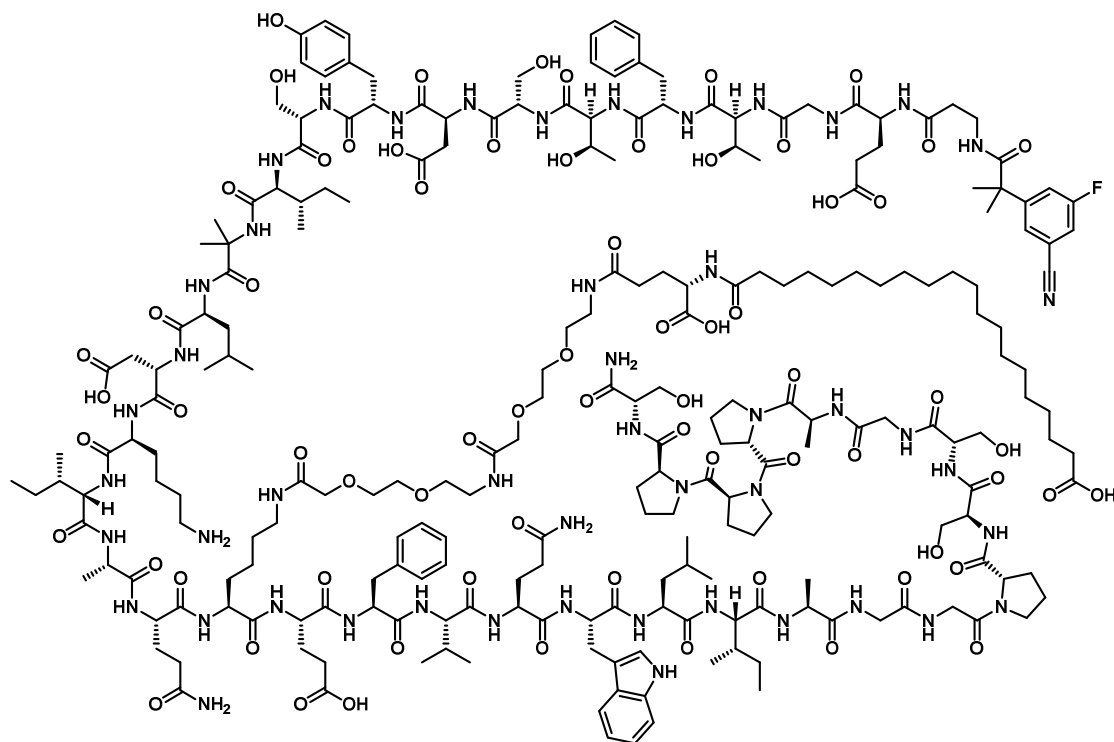
Compound 193,



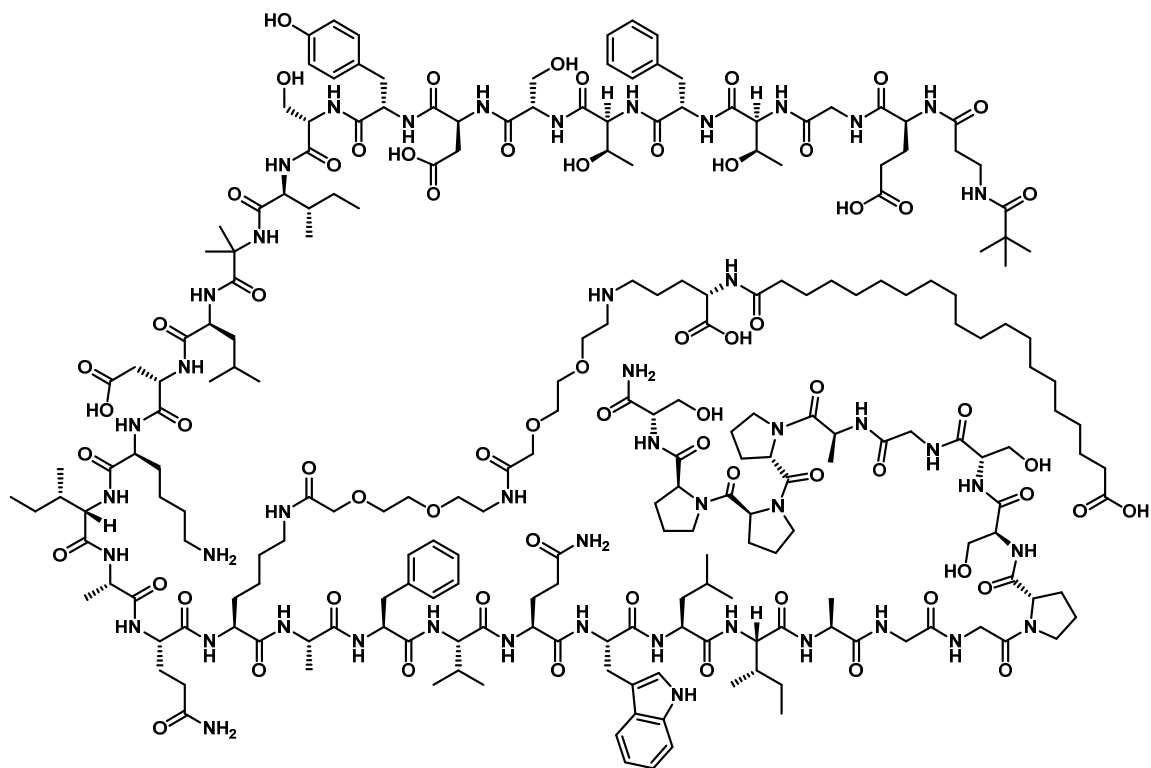
Compound 194,



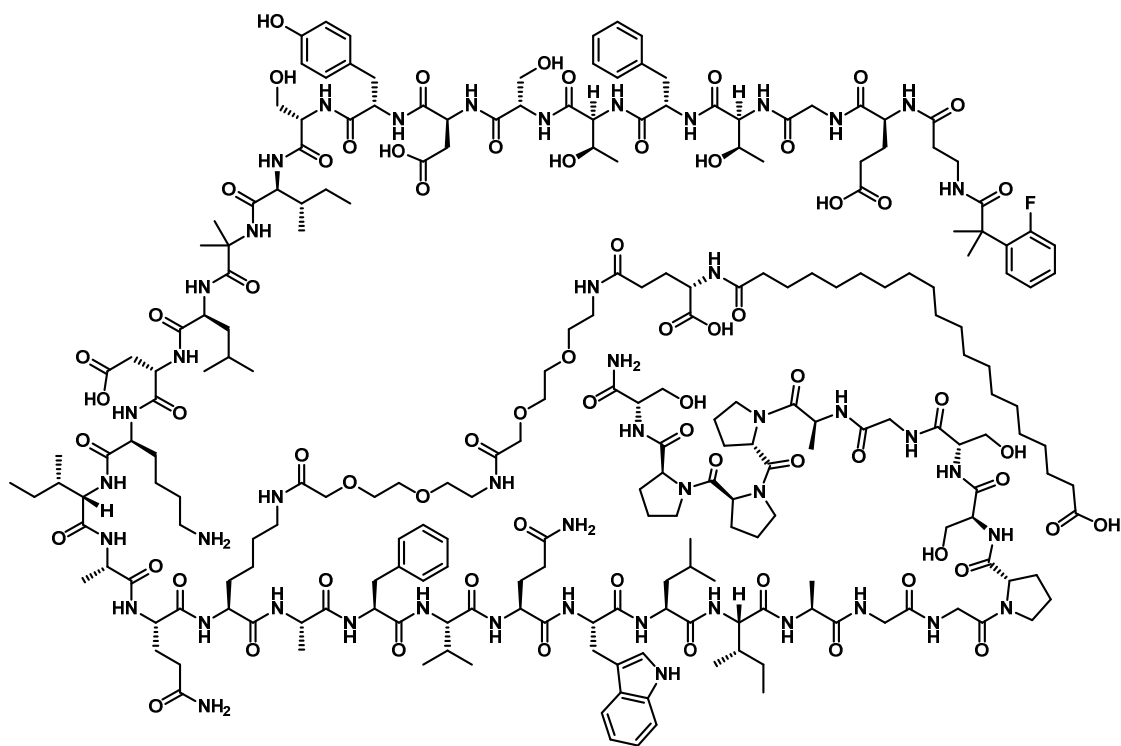
Compound 195,



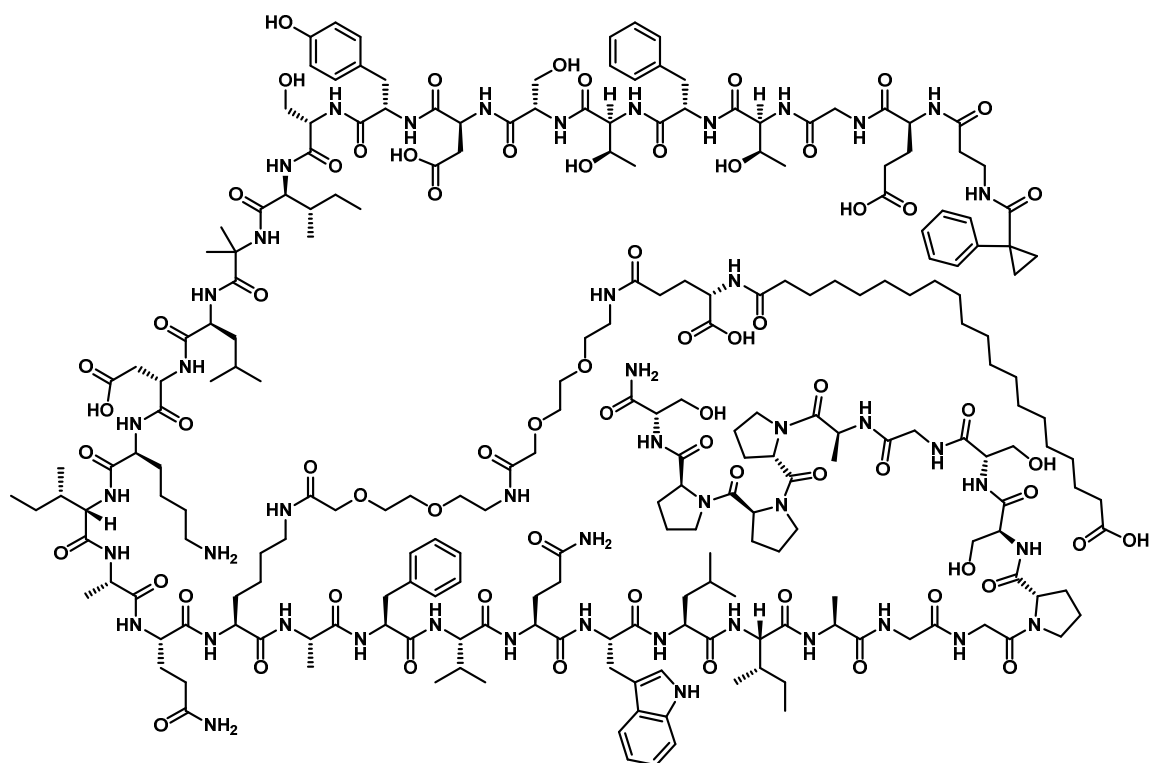
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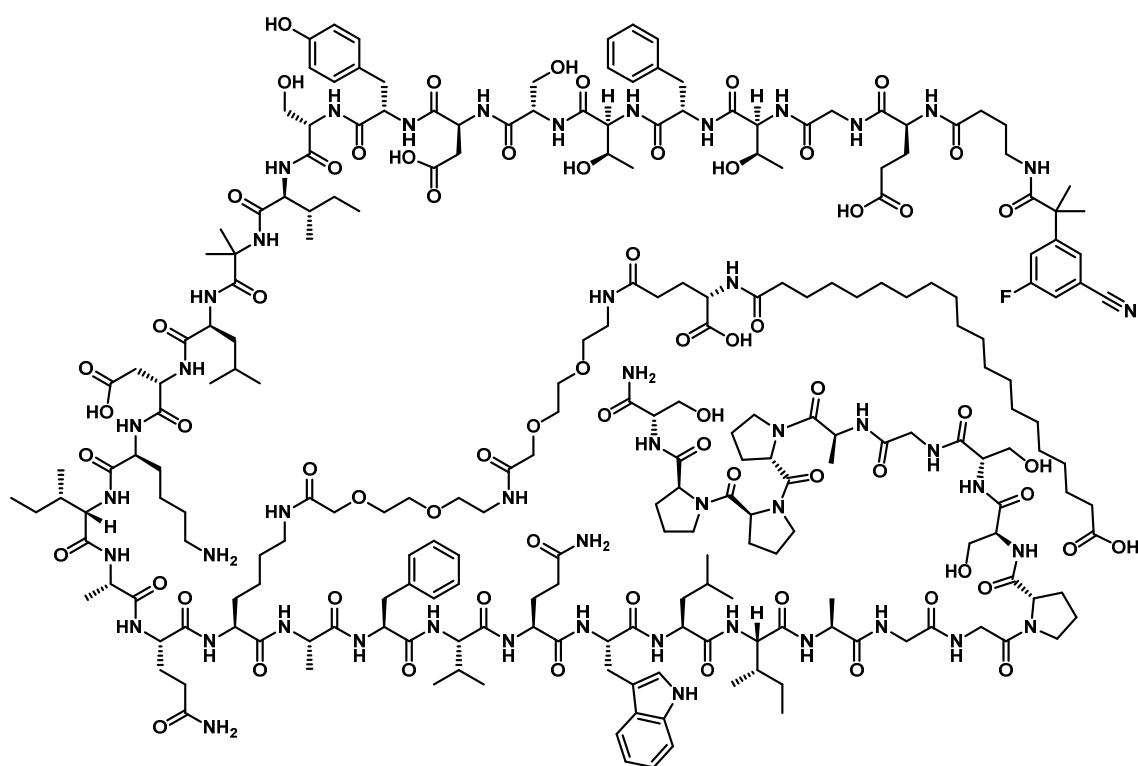
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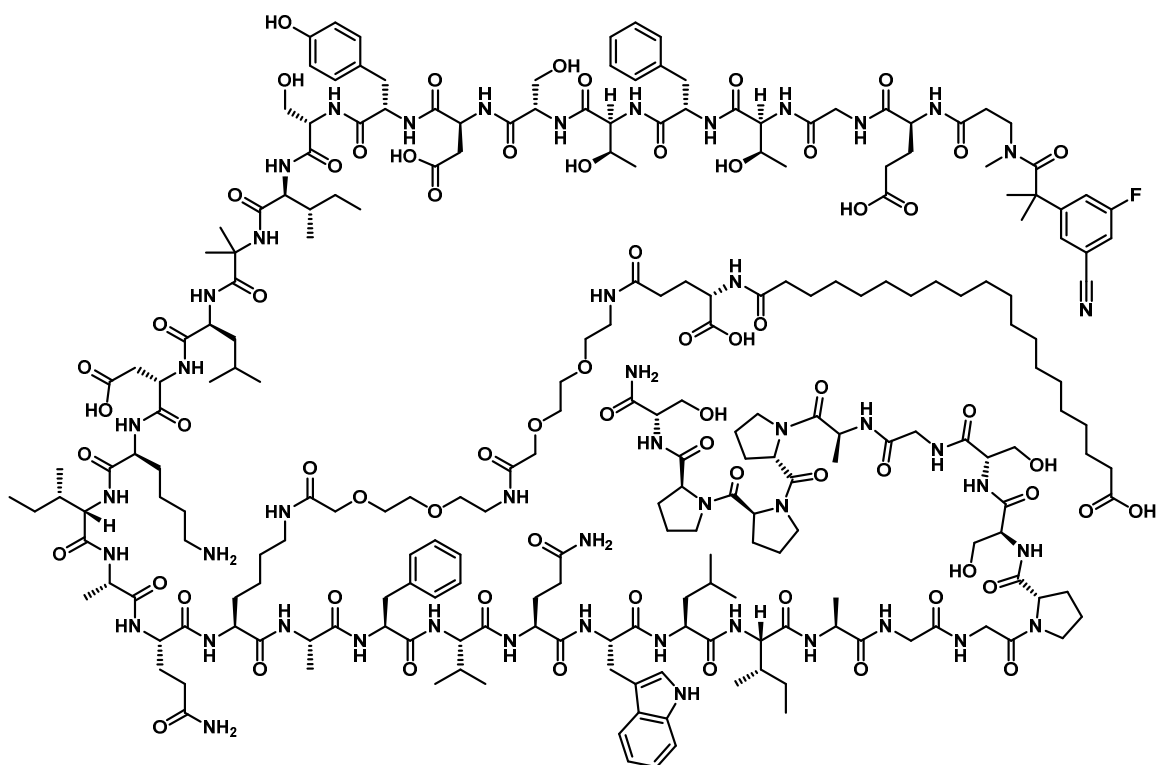
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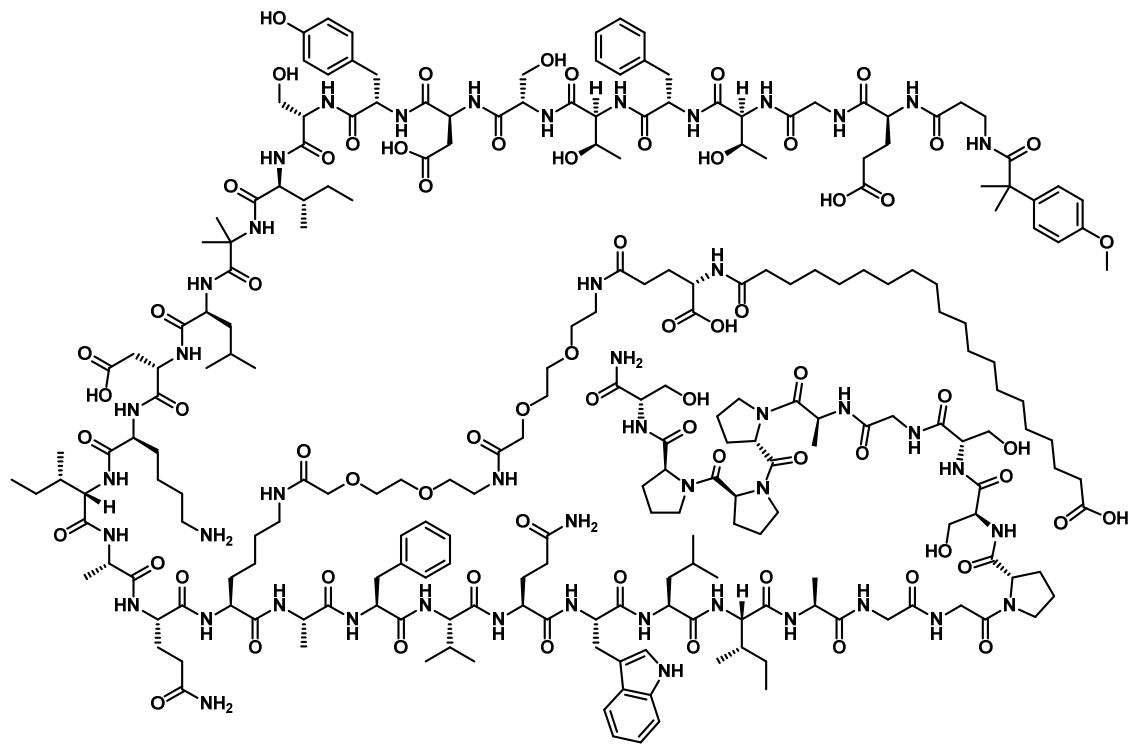
Compound 199,



Compound 200,



Compound 201,



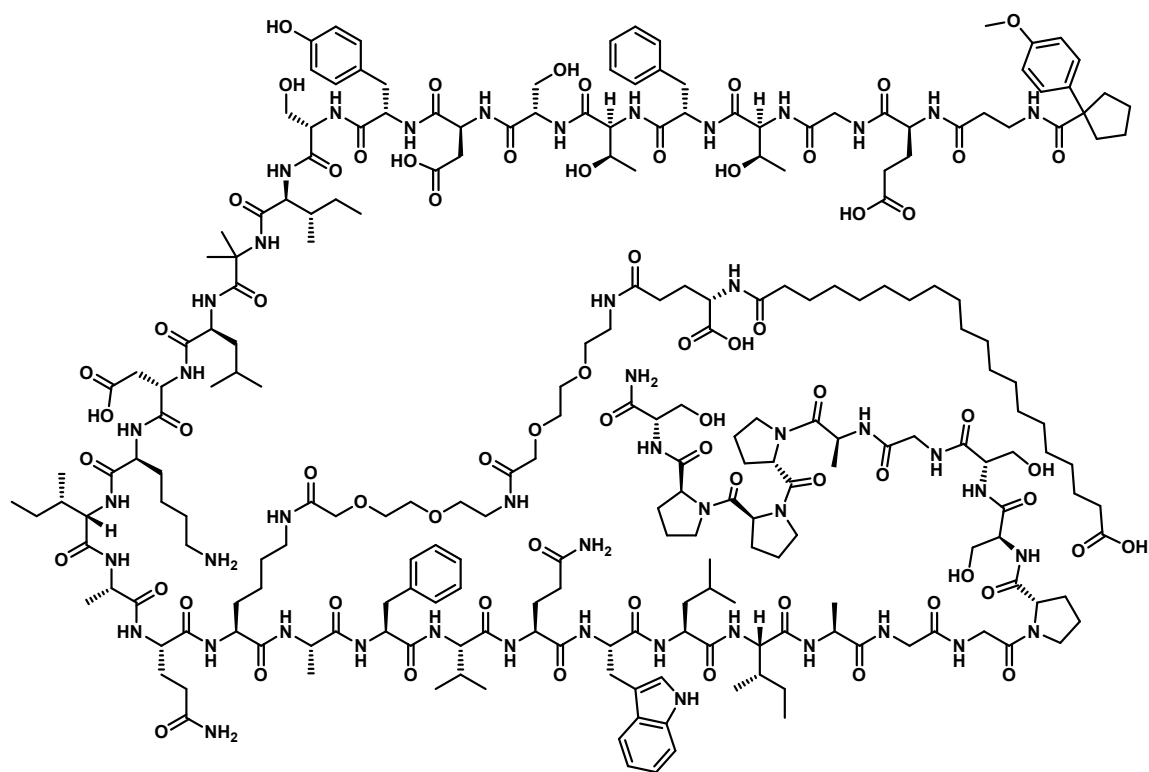
Compound 203,

[illegible]

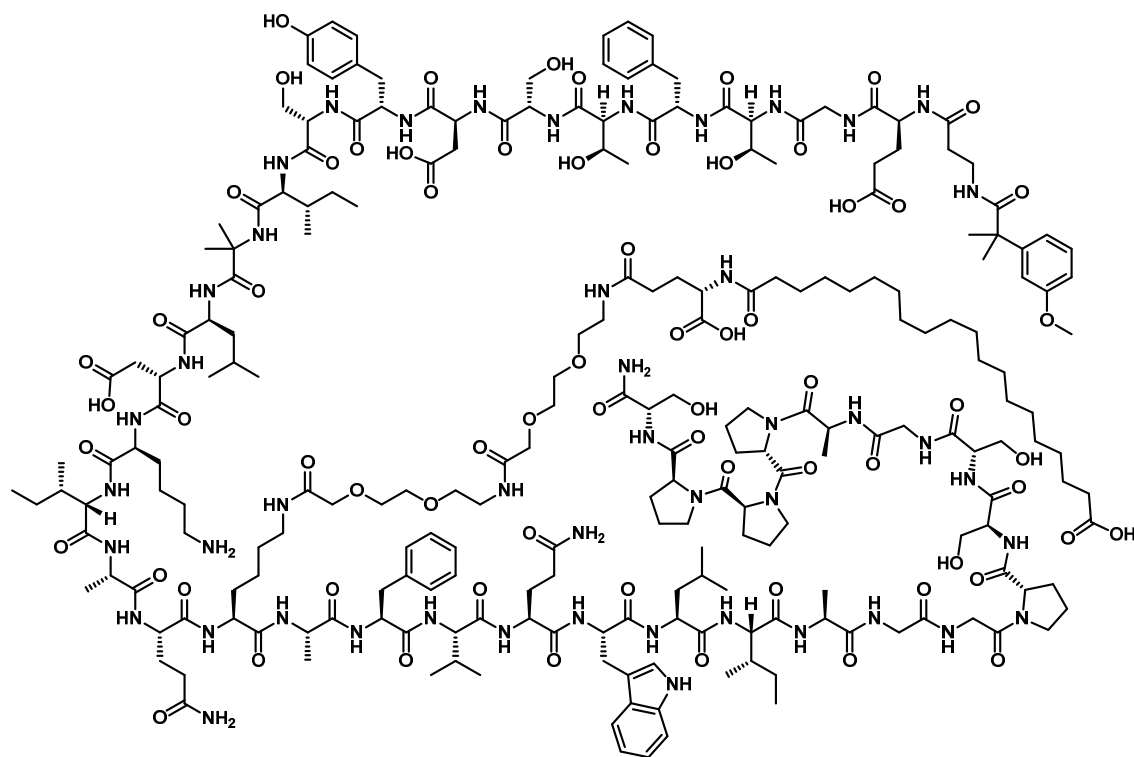
Compound 205,

[illegible]

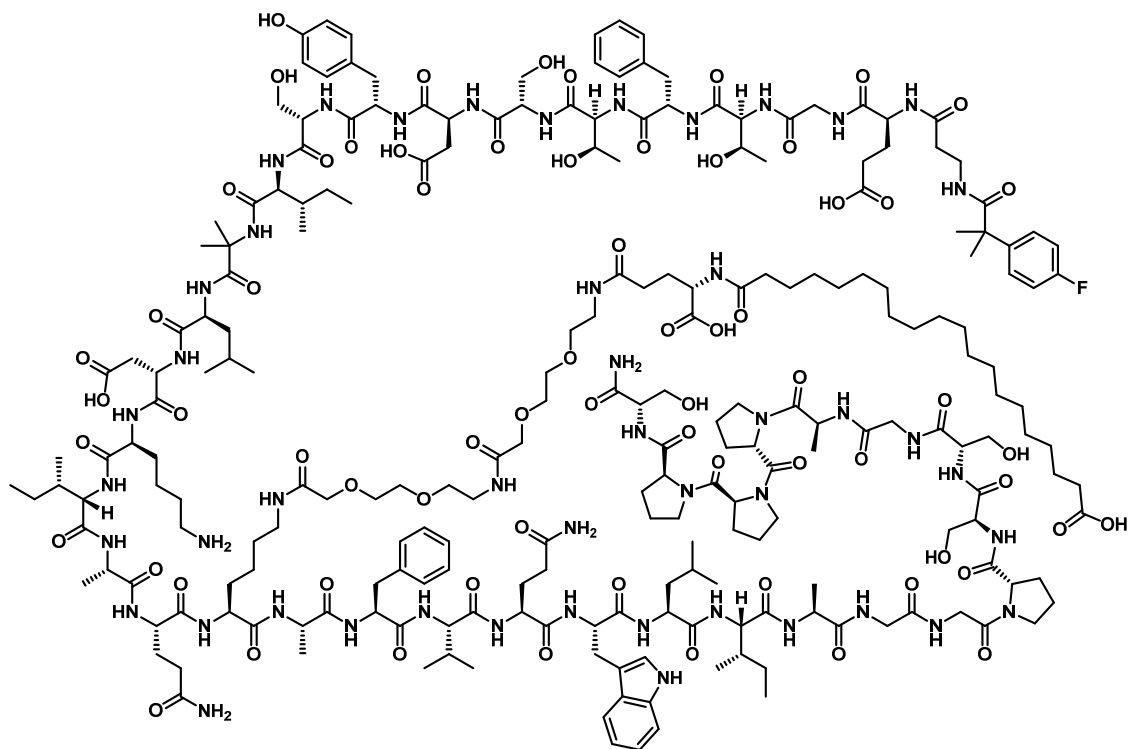
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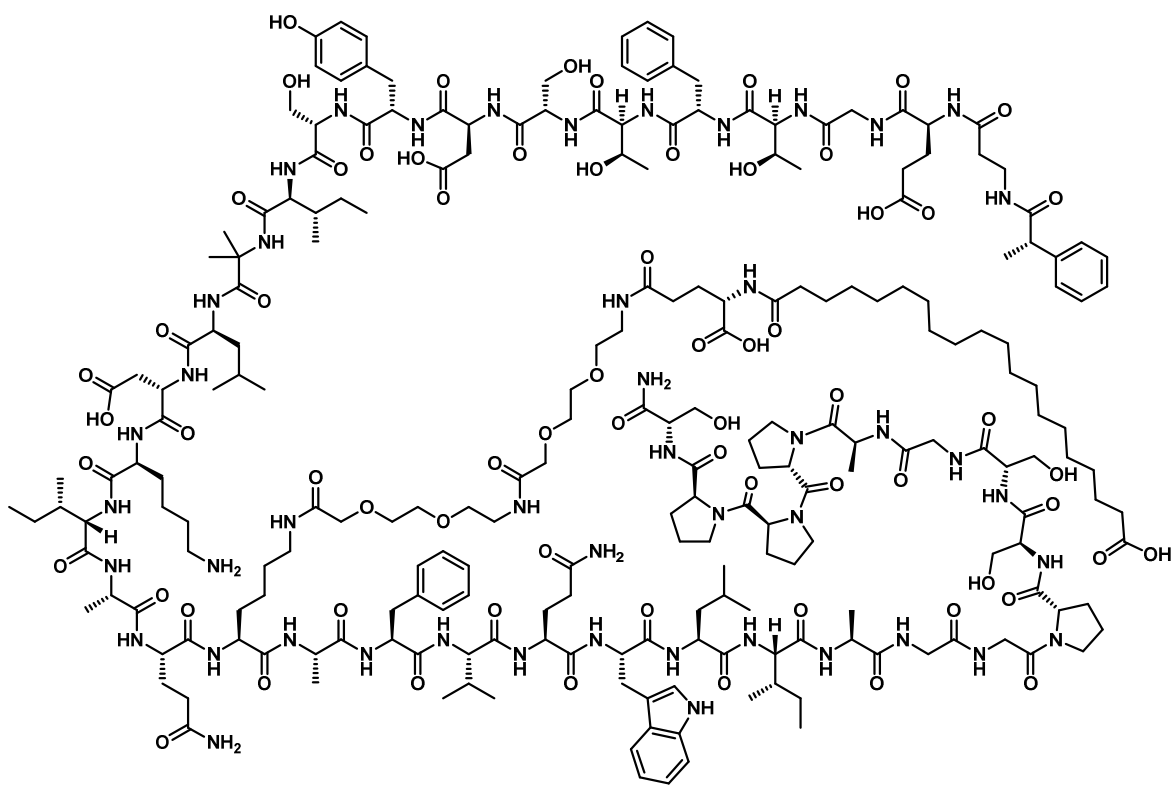
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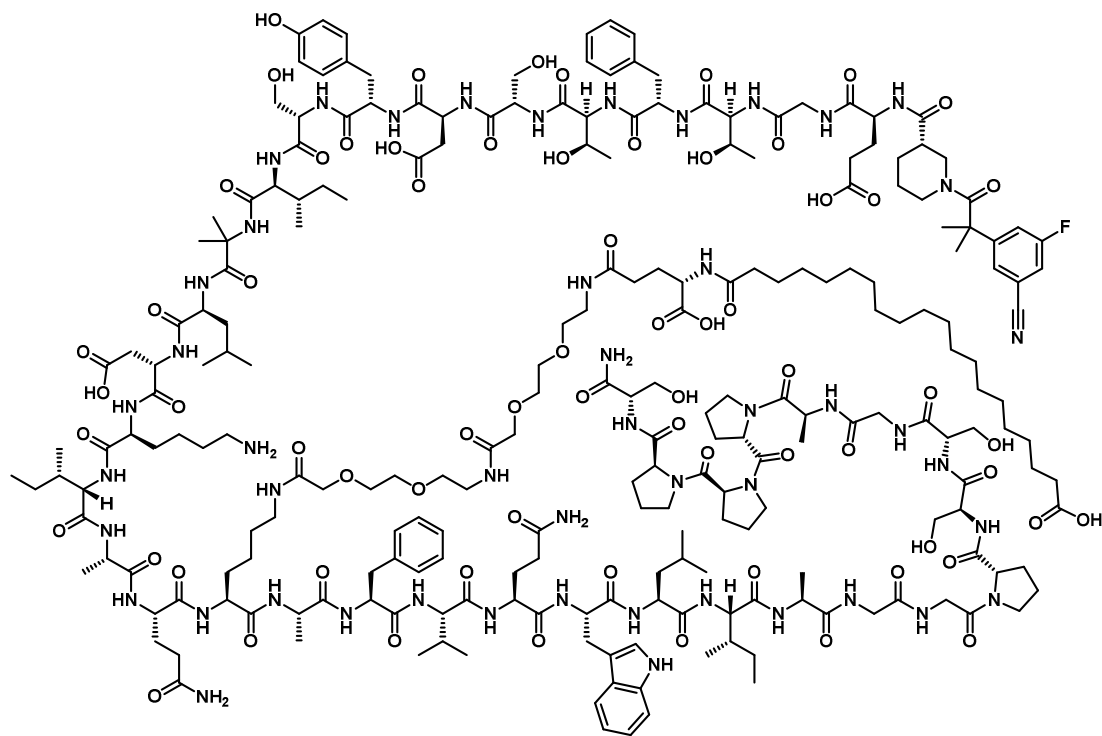
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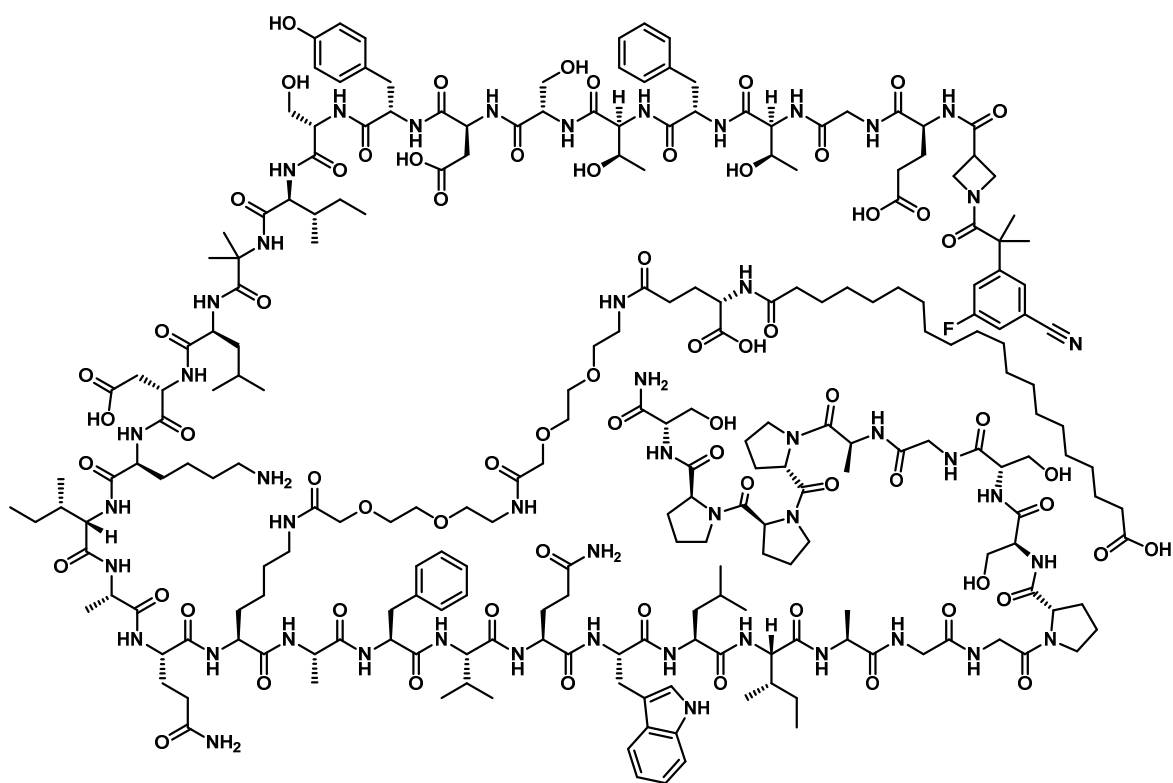
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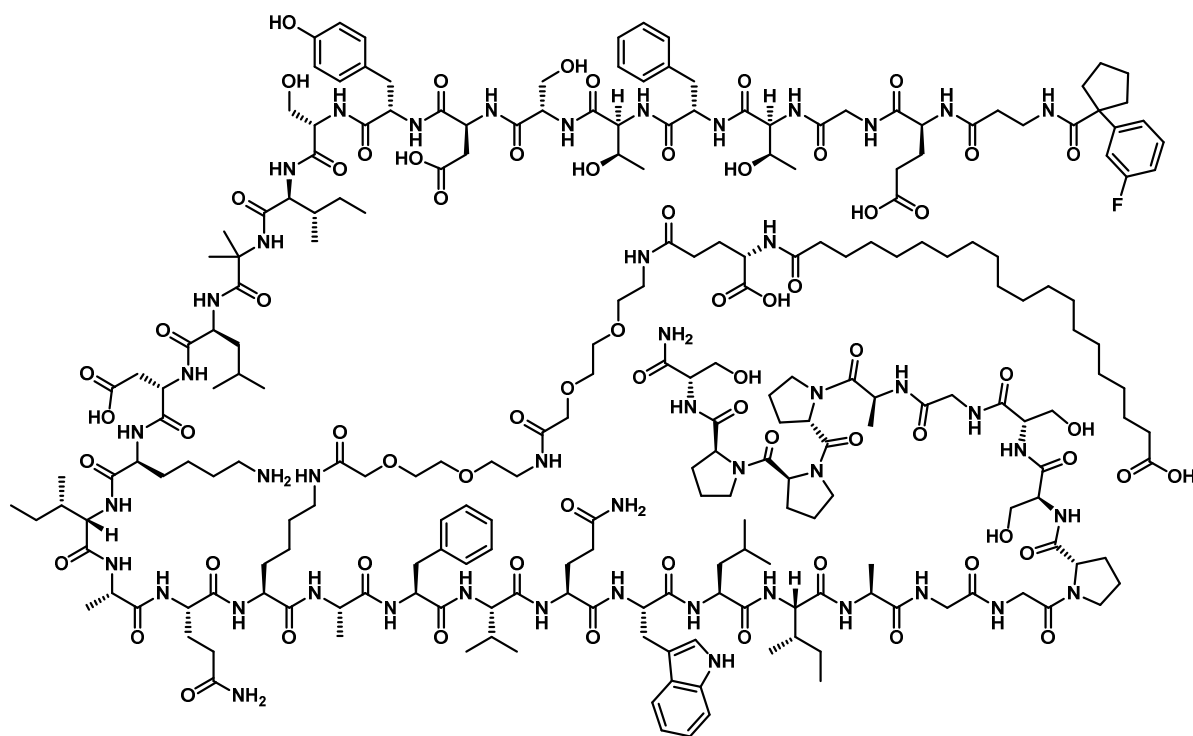
Compound 211,



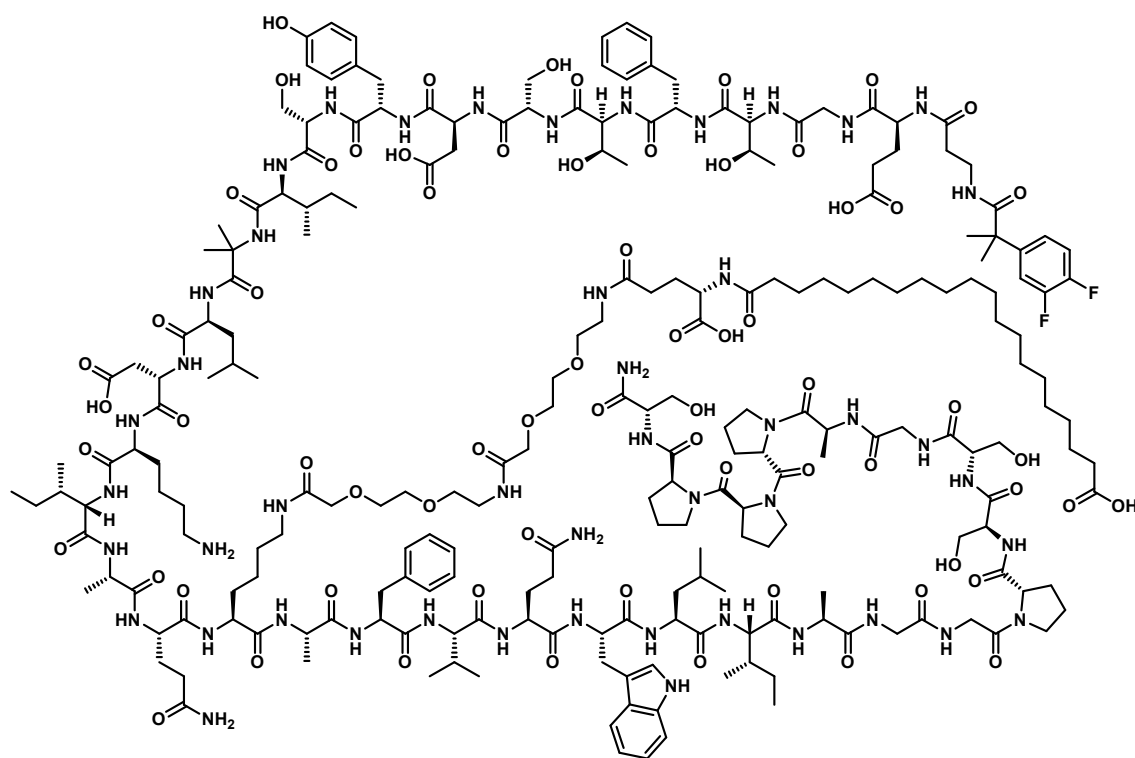
Compound 212,



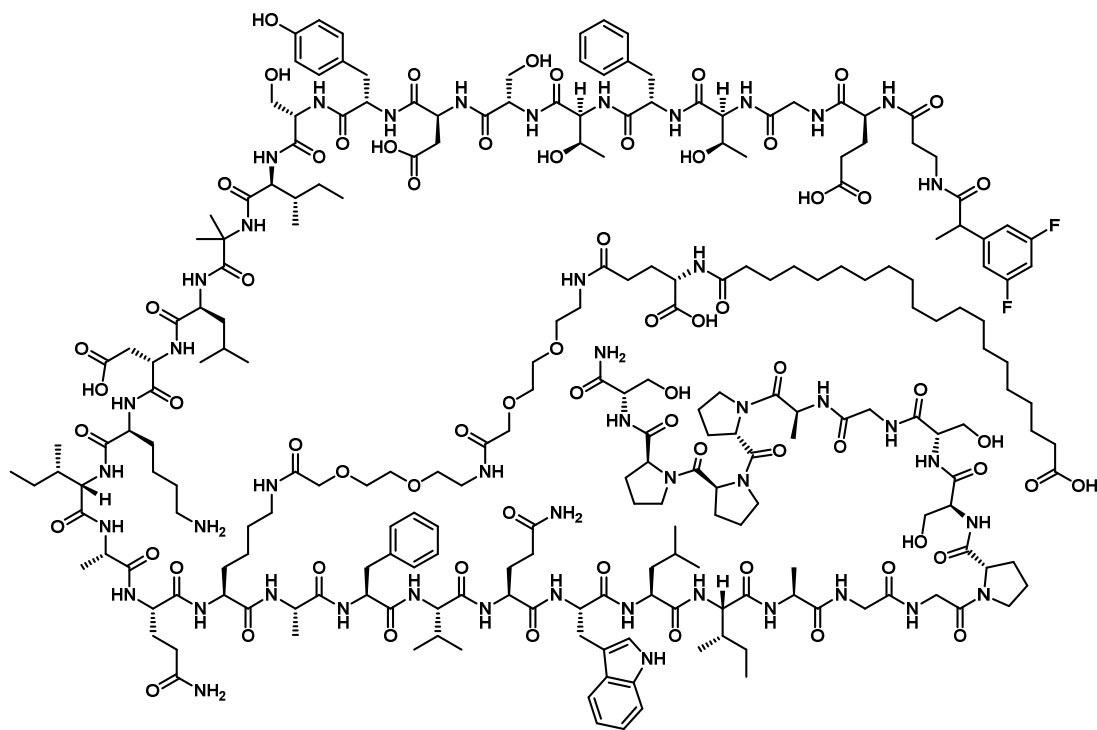
Compound 213,



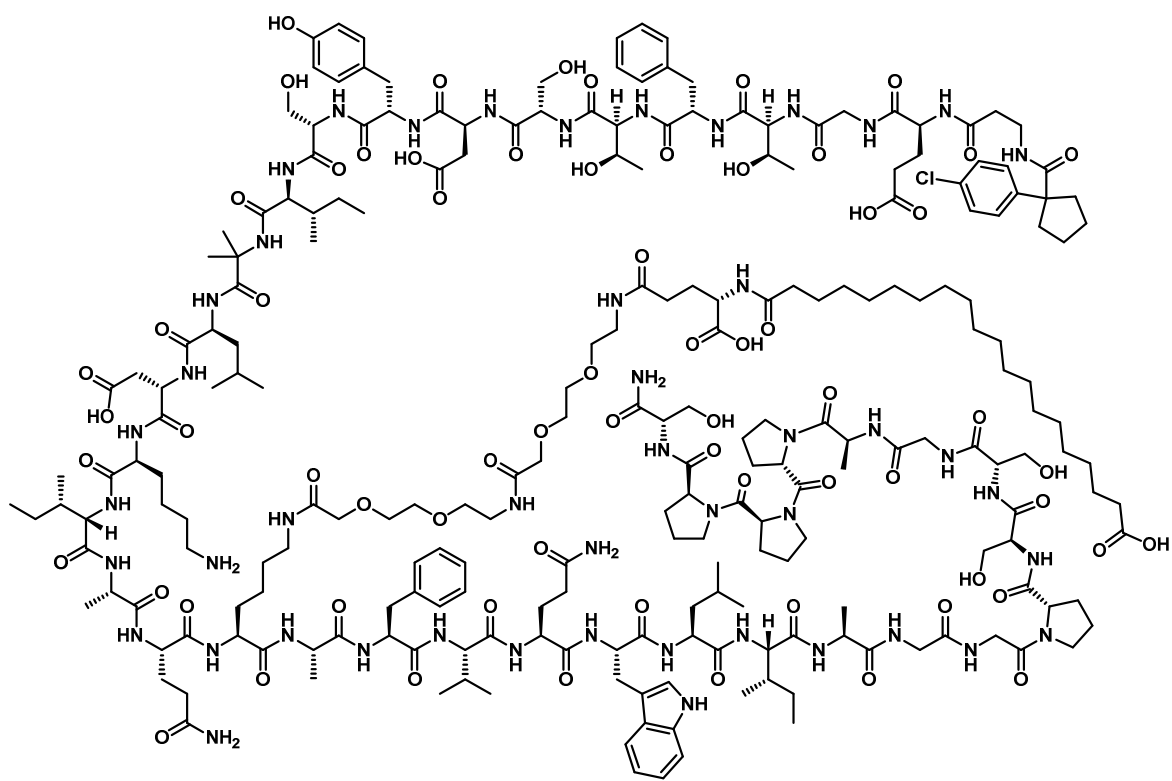
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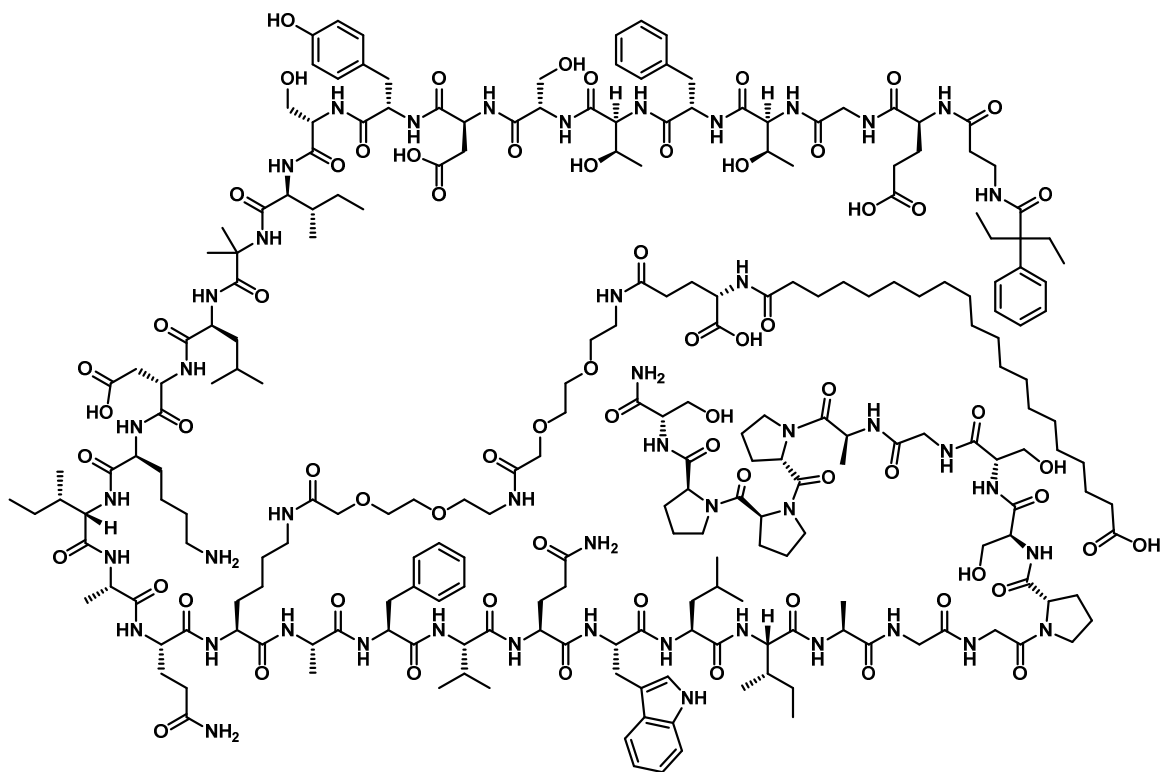
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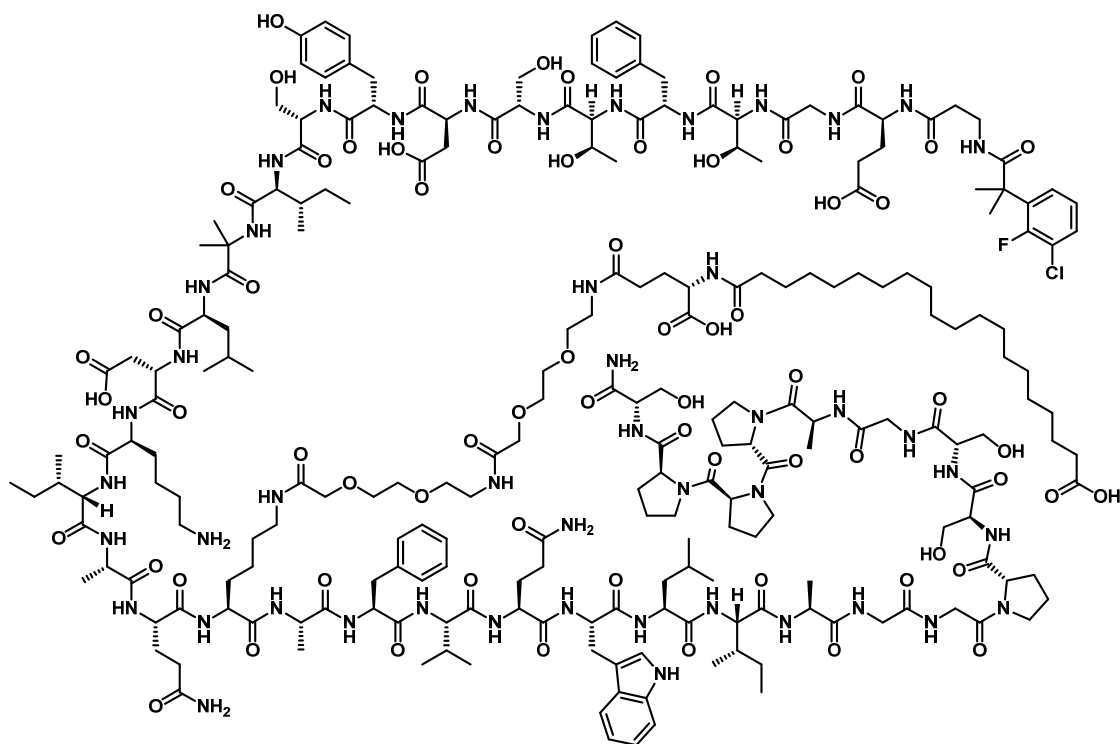
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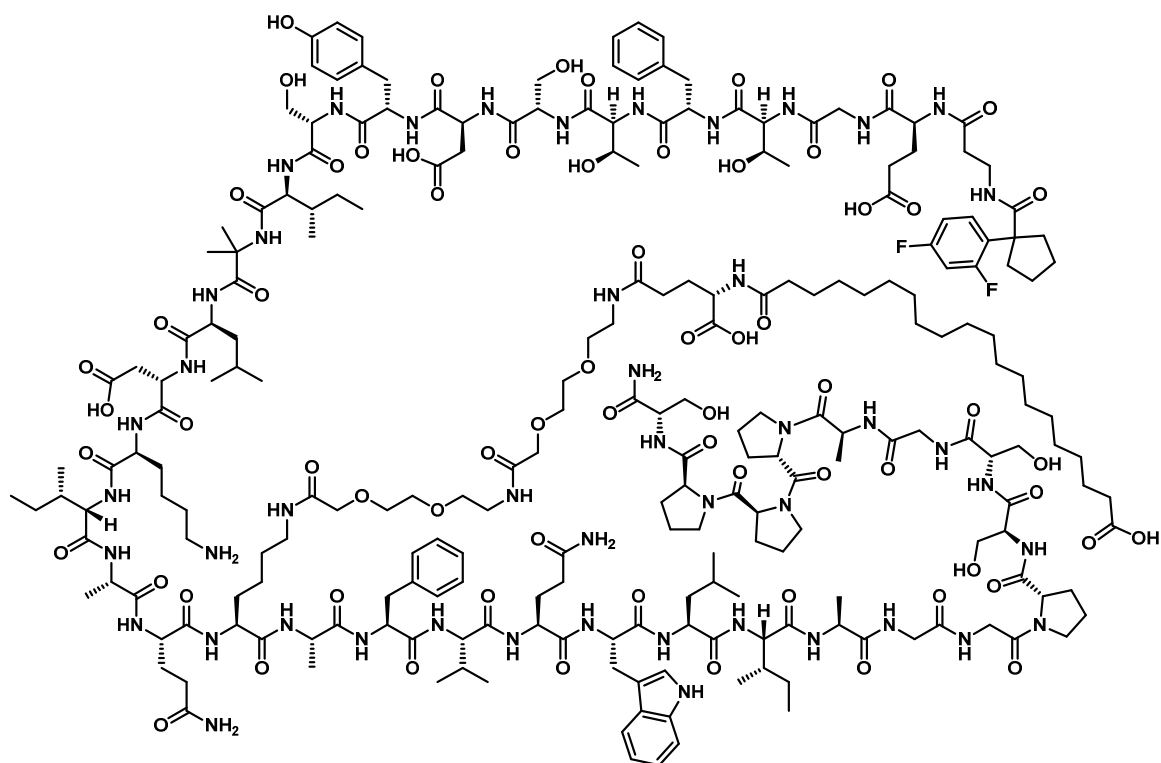
Compound 217,



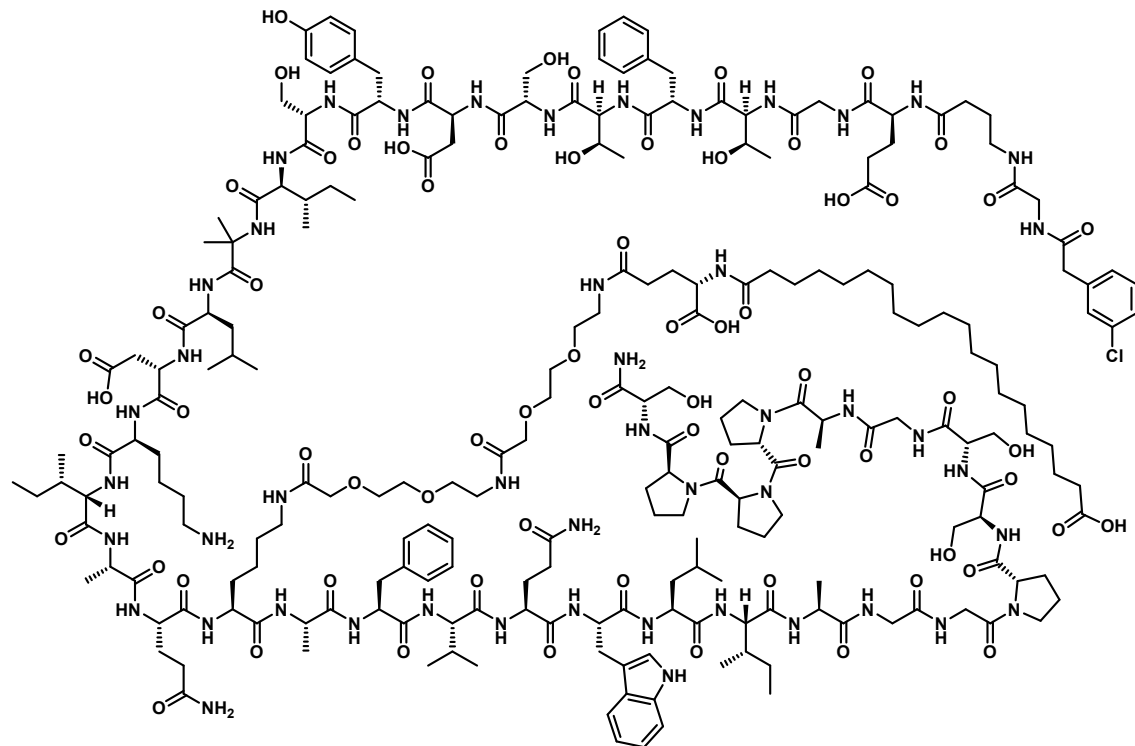
Compound 218,



Compound 219,



Compound 220,



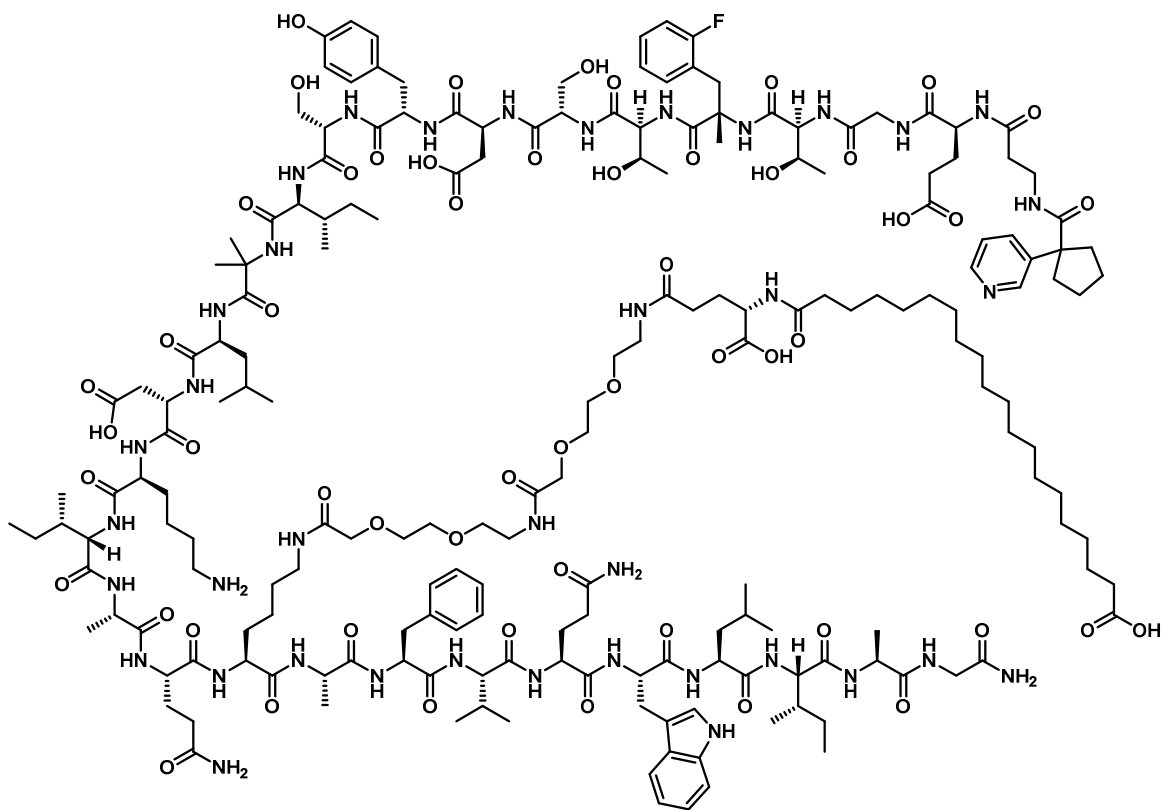
Compound 221,

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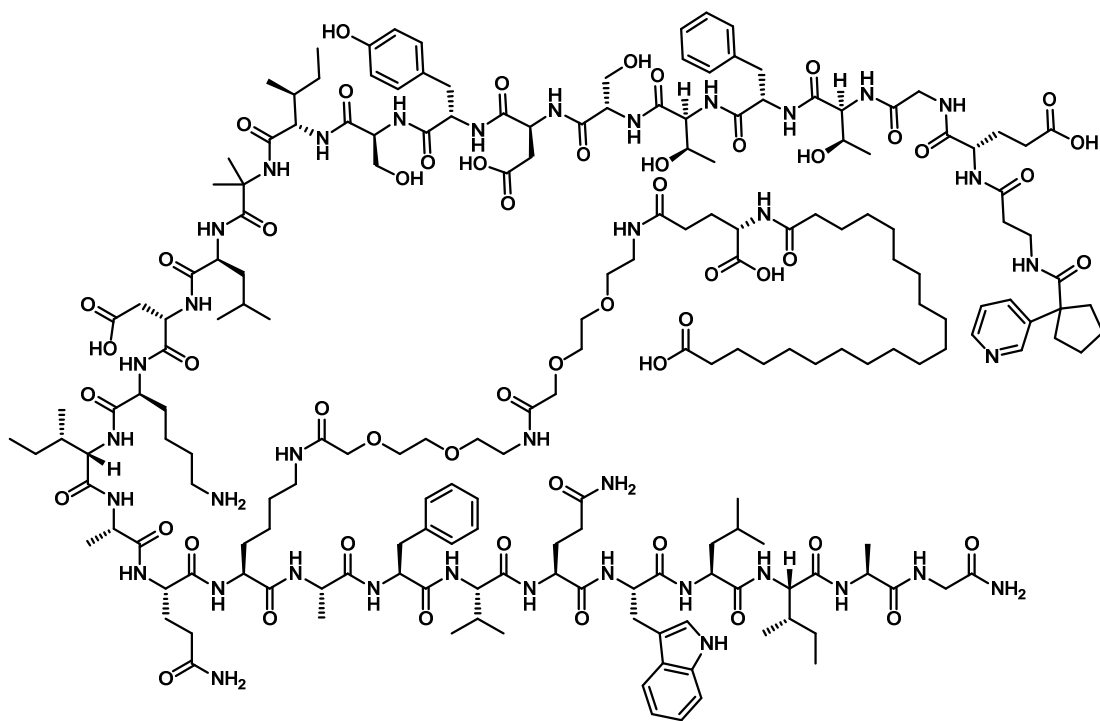
Compound 223,

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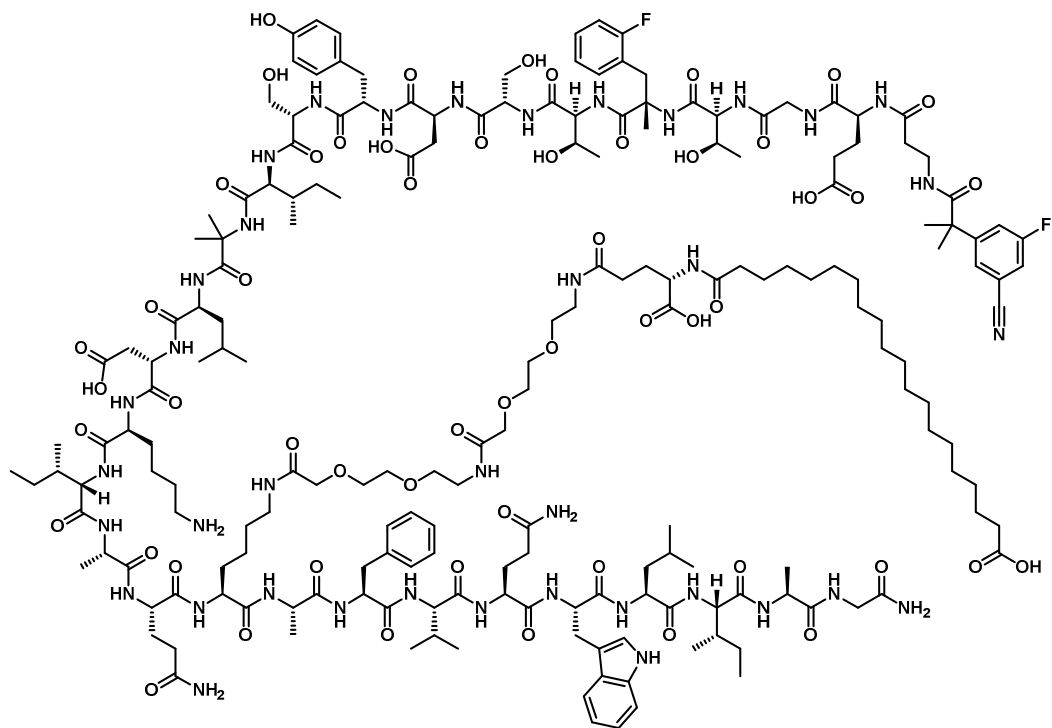
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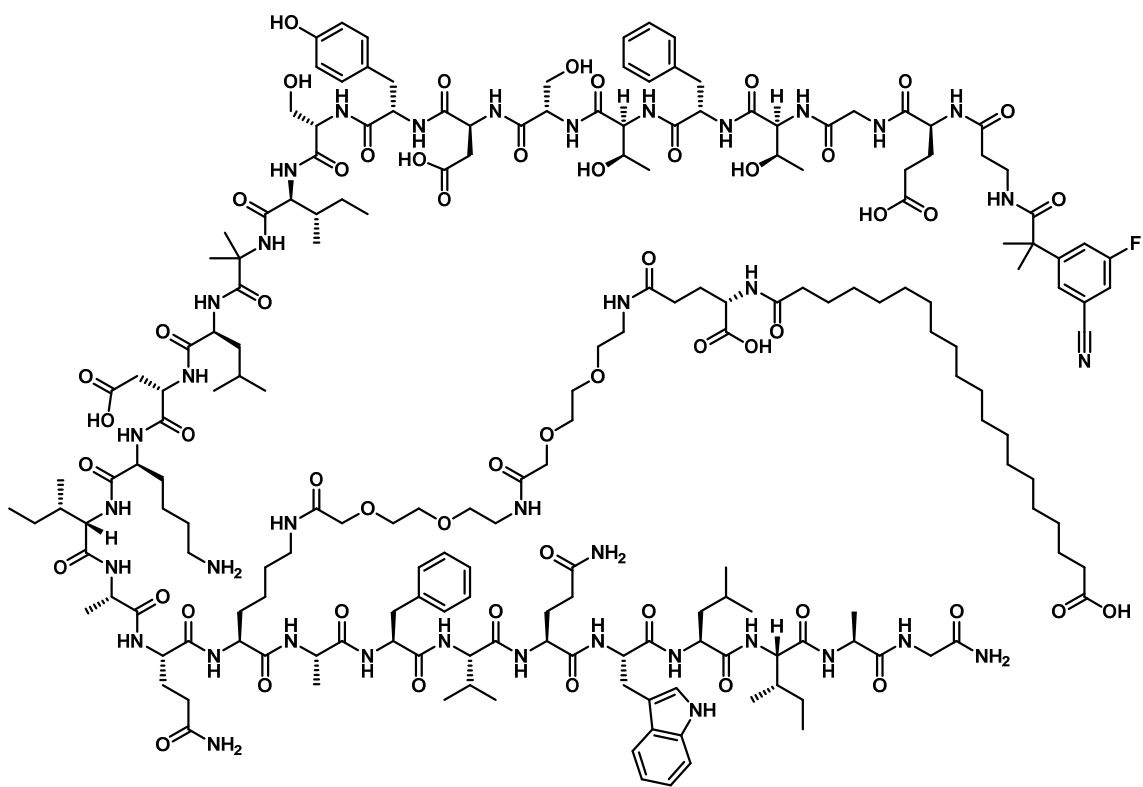
Compound 226,



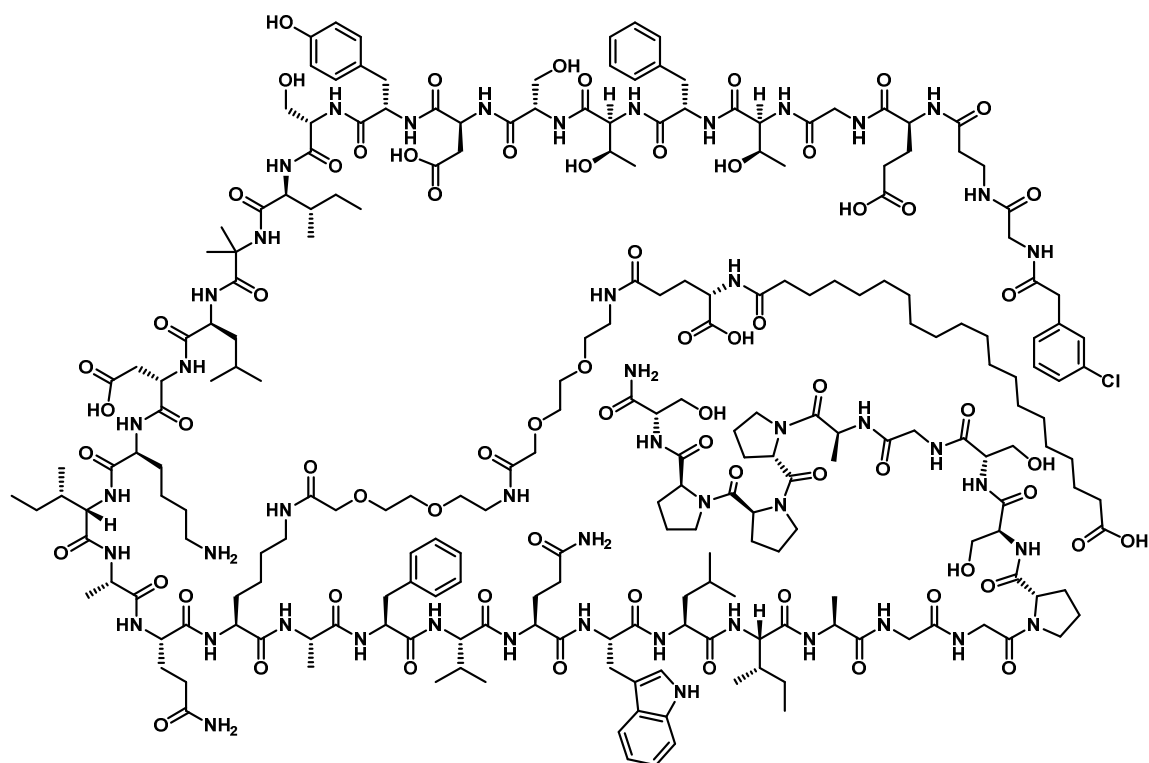
Compound 227,



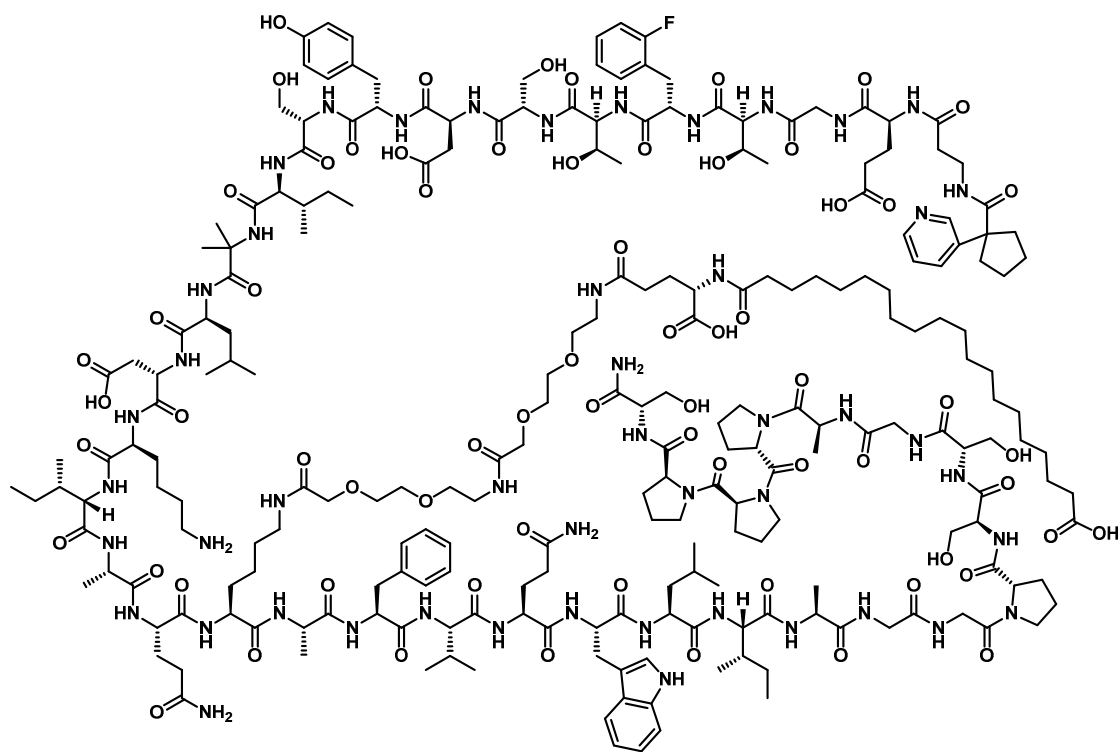
Compound 228,



Compound 229,



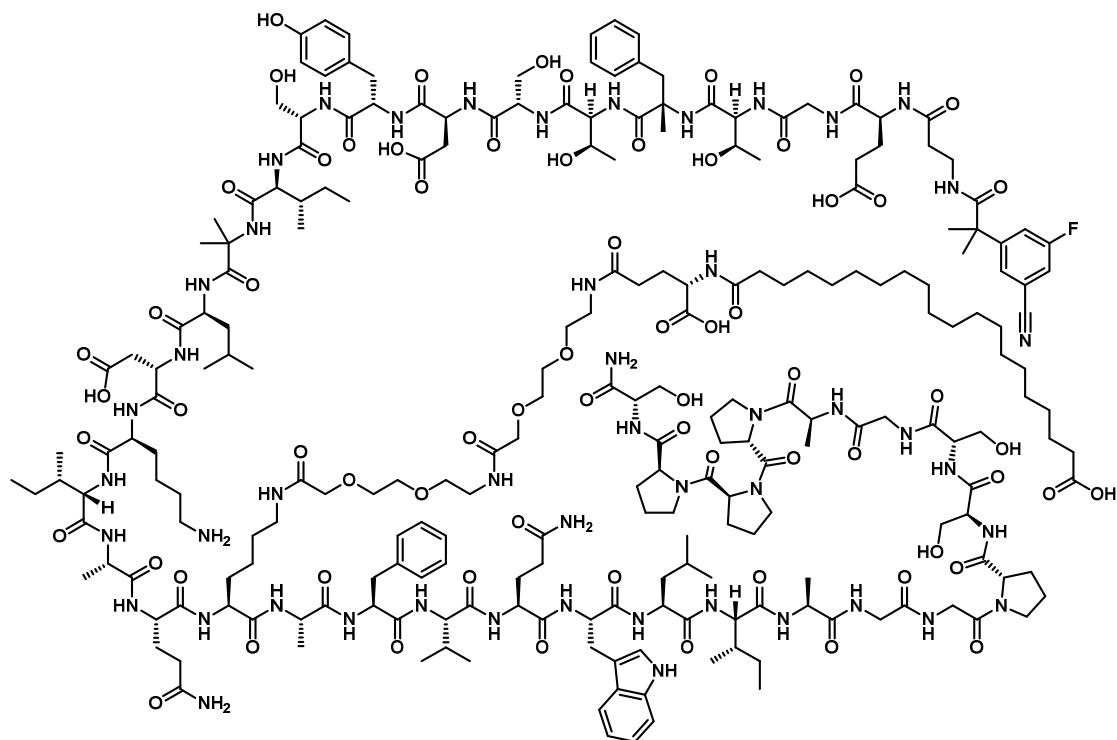
Compound 230,



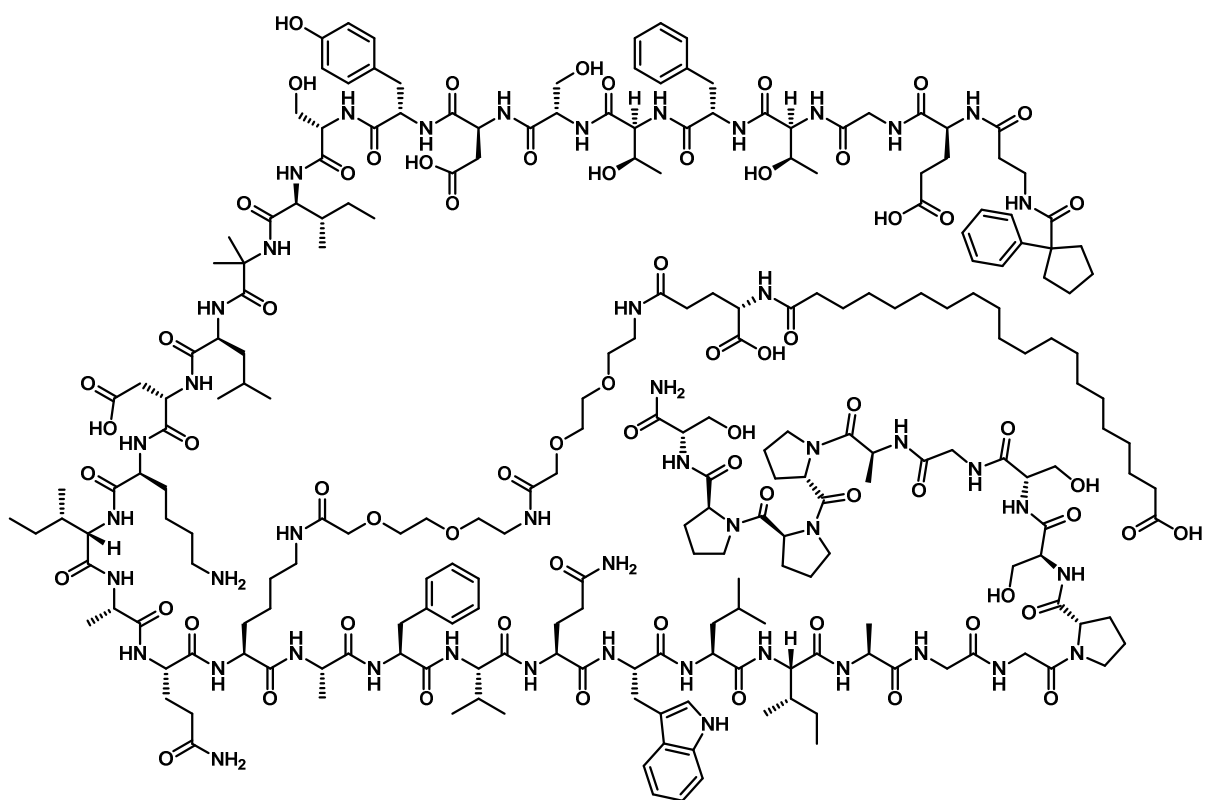
Compound 231,

[illegible]

Compound 234,



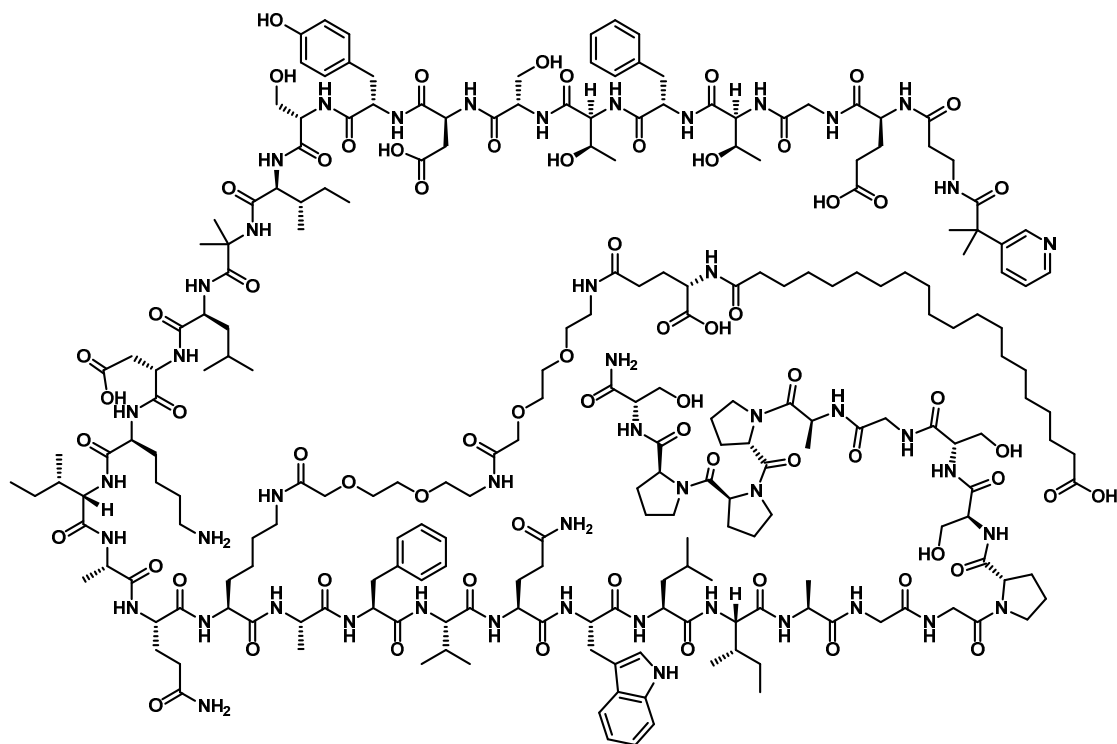
Compound 235,



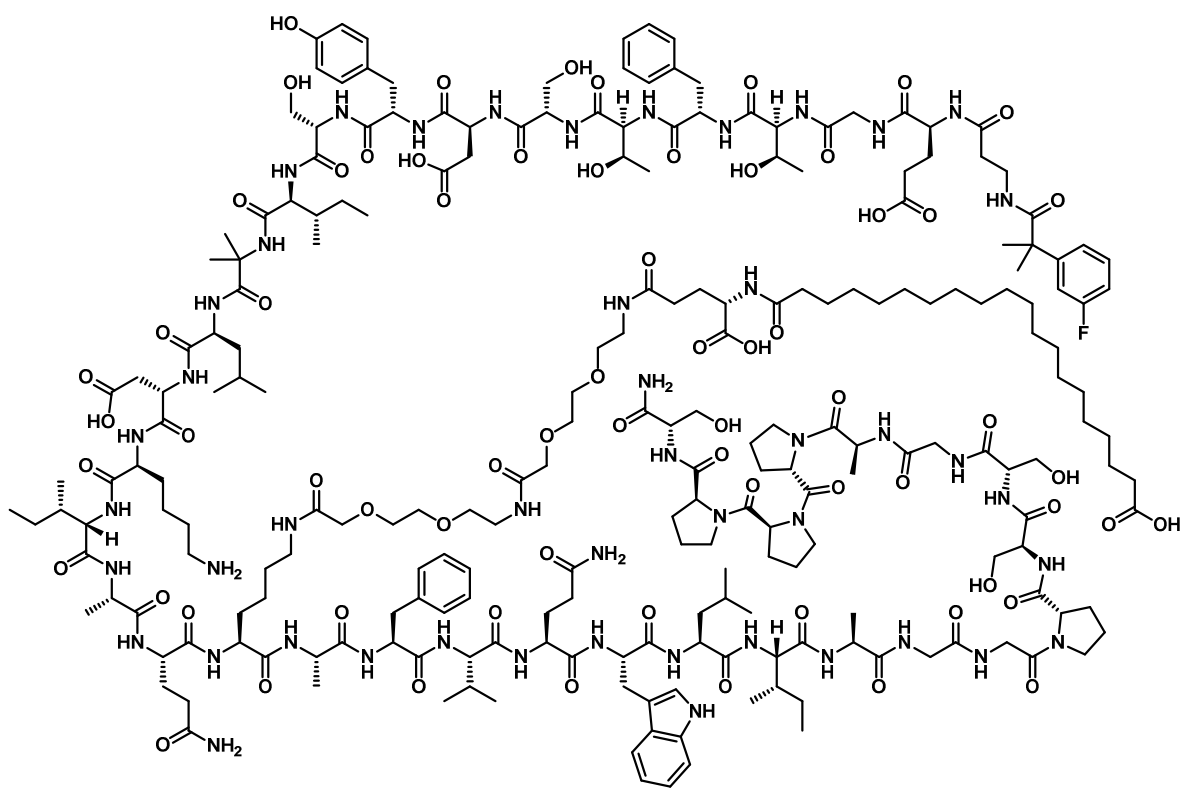
Compound 236,

[illegible]

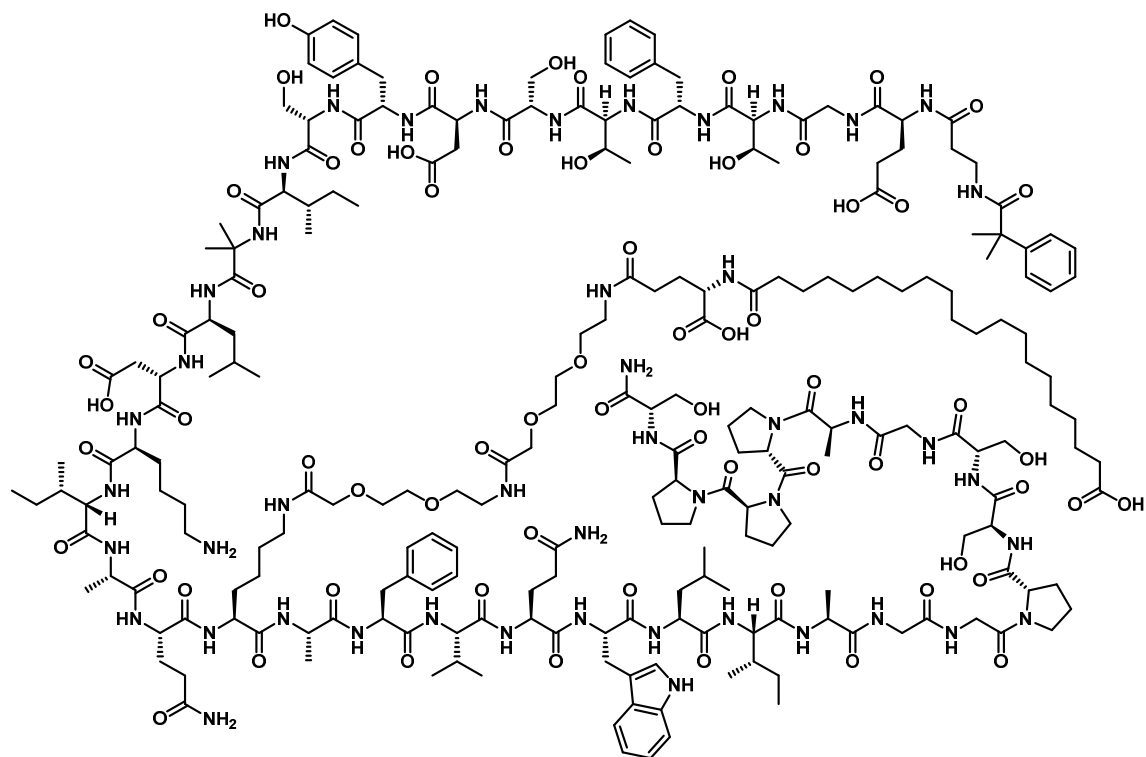
Compound 238,



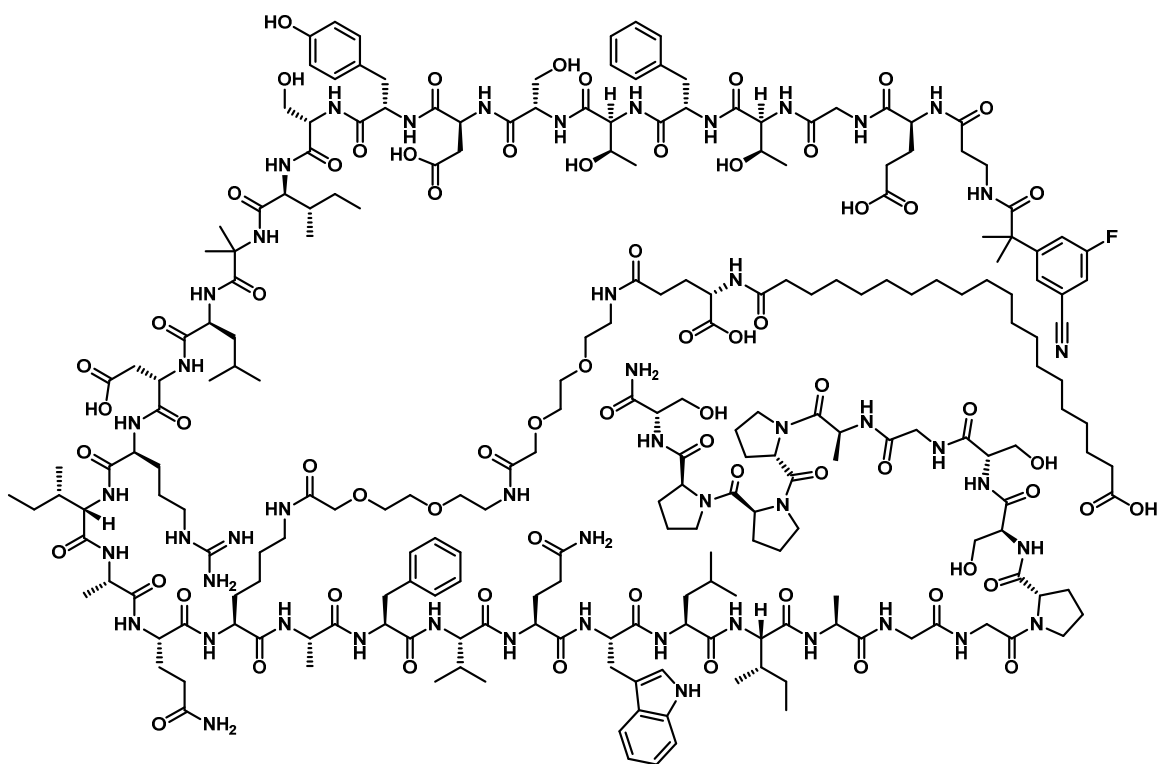
Compound 239,



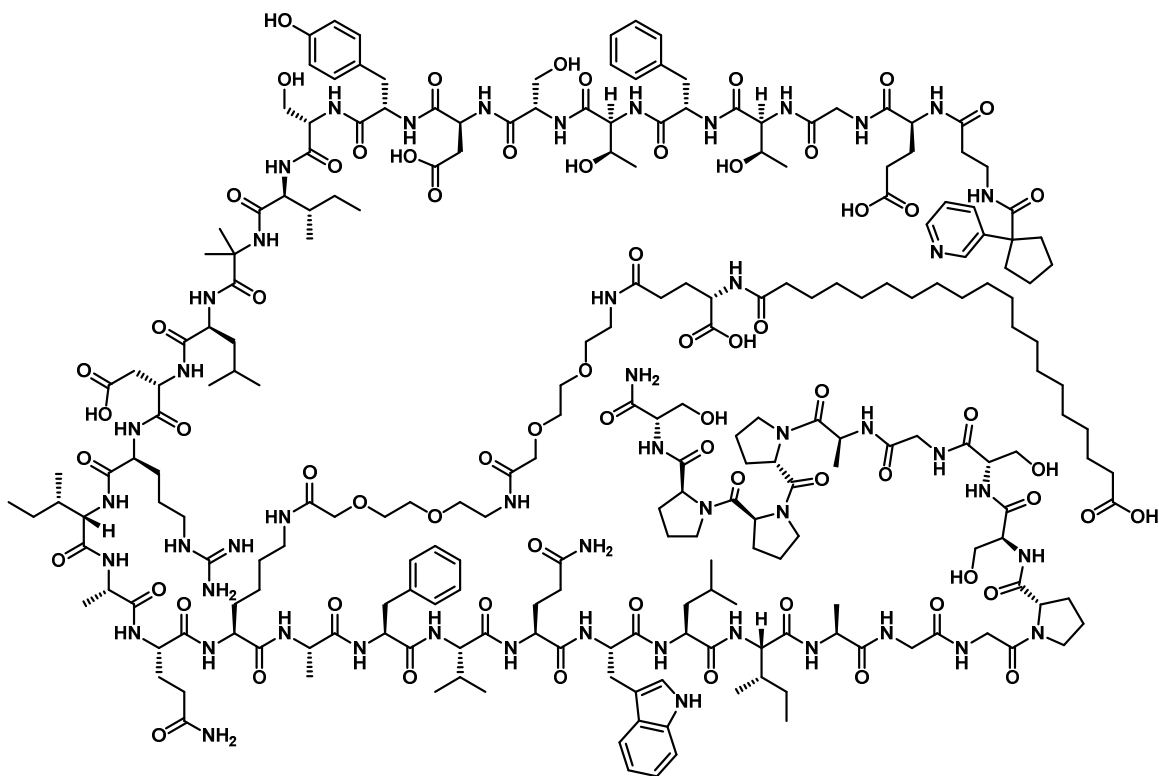
Compound 240,



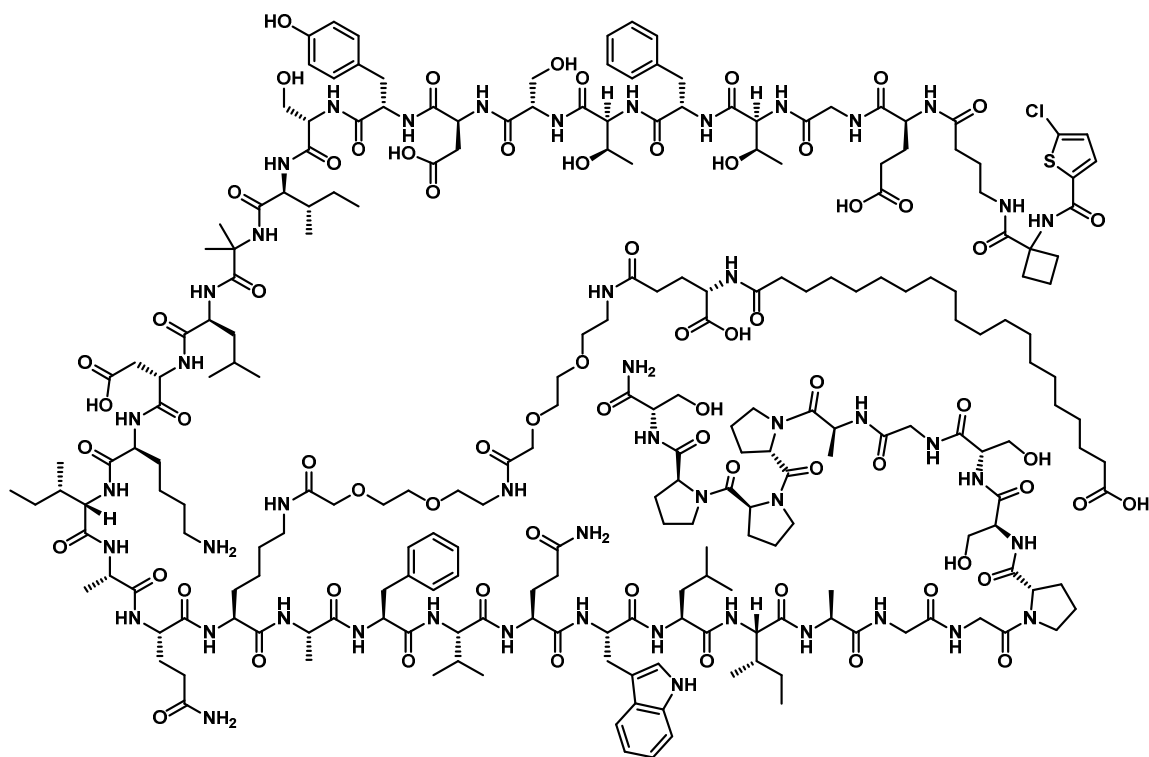
Compound 241,



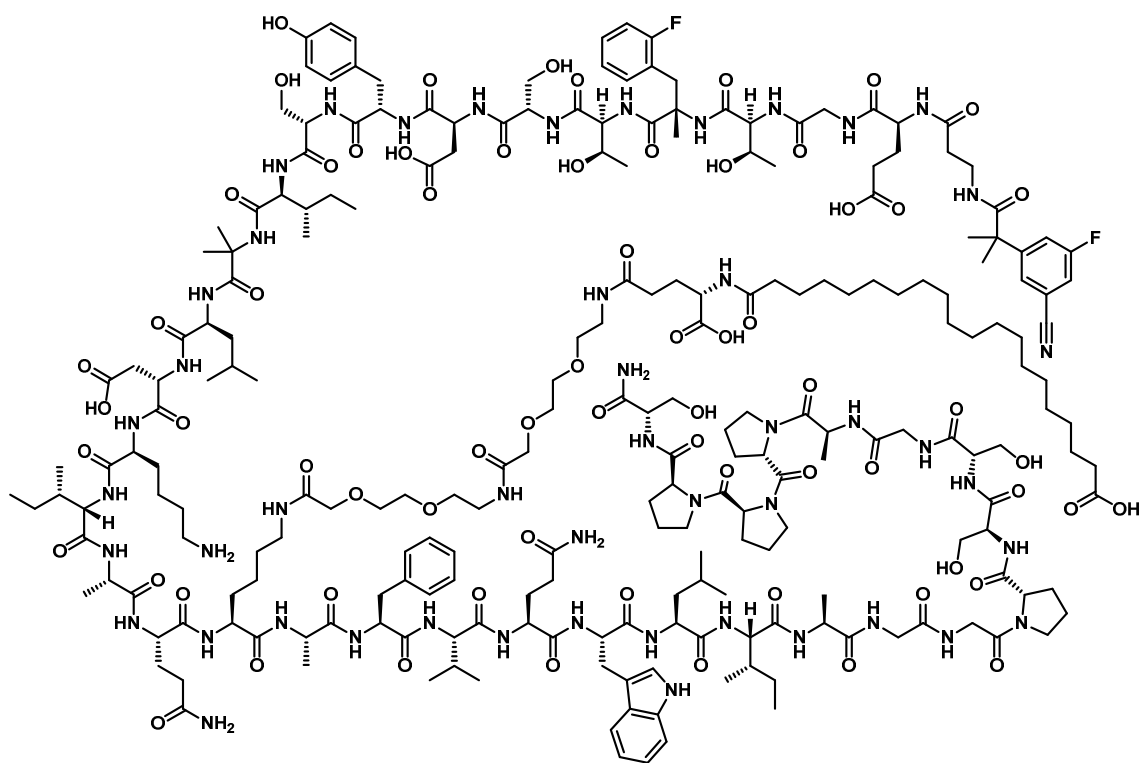
Compound 242,



Compound 243,

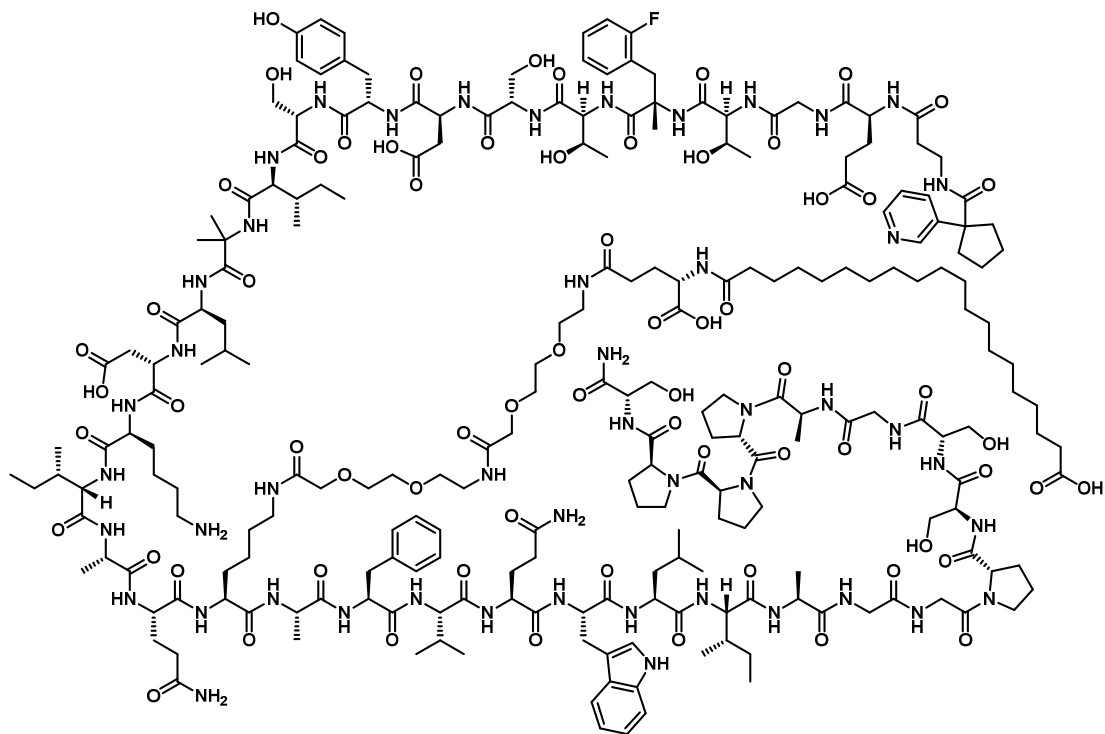


Compound 244,

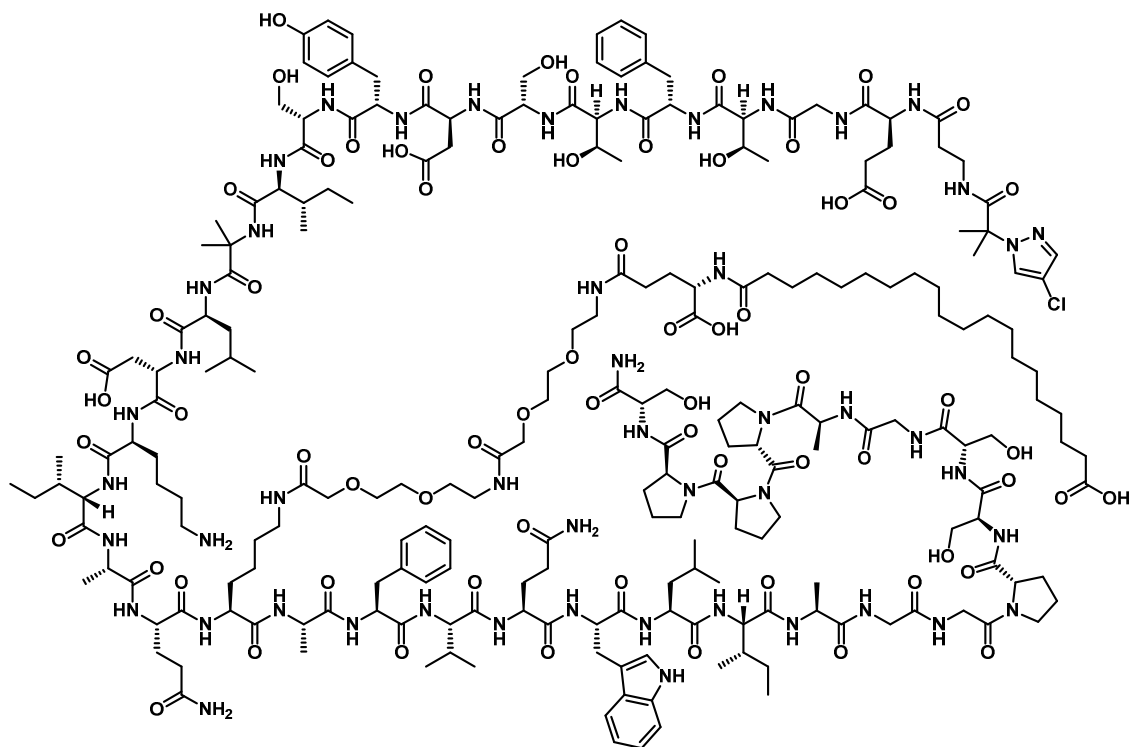


Compound 245,

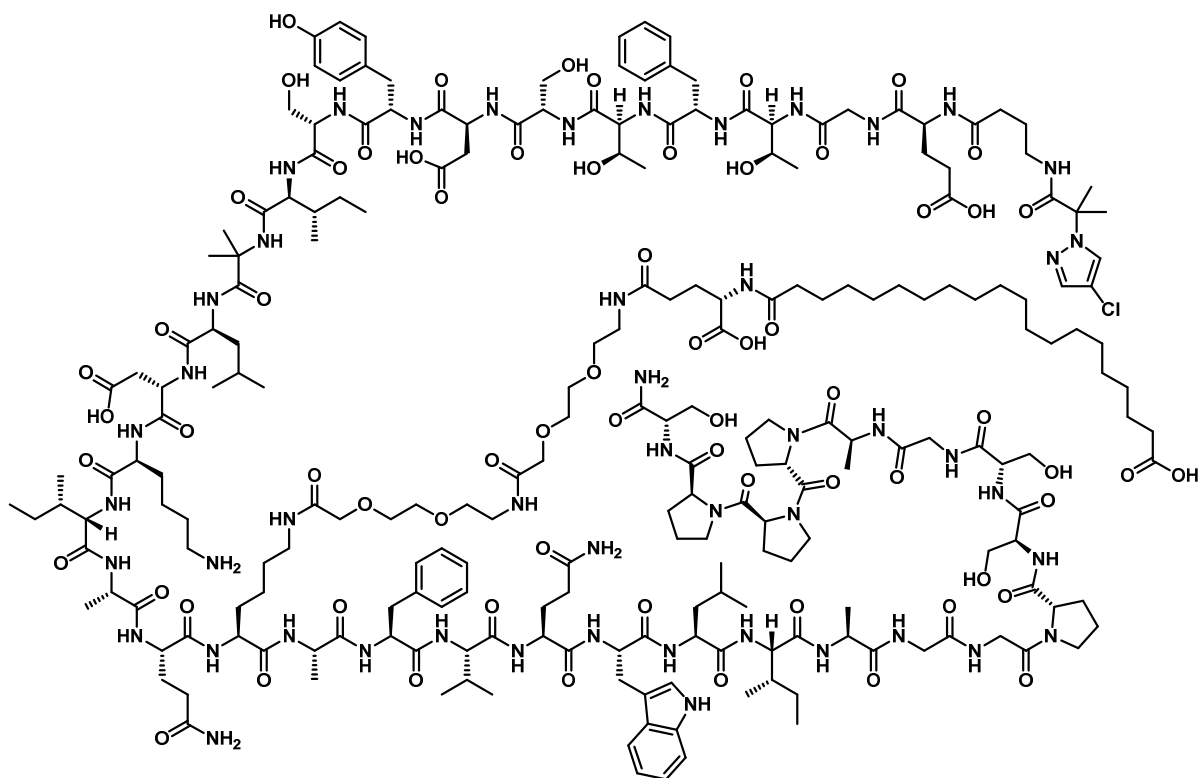
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Compound 246,



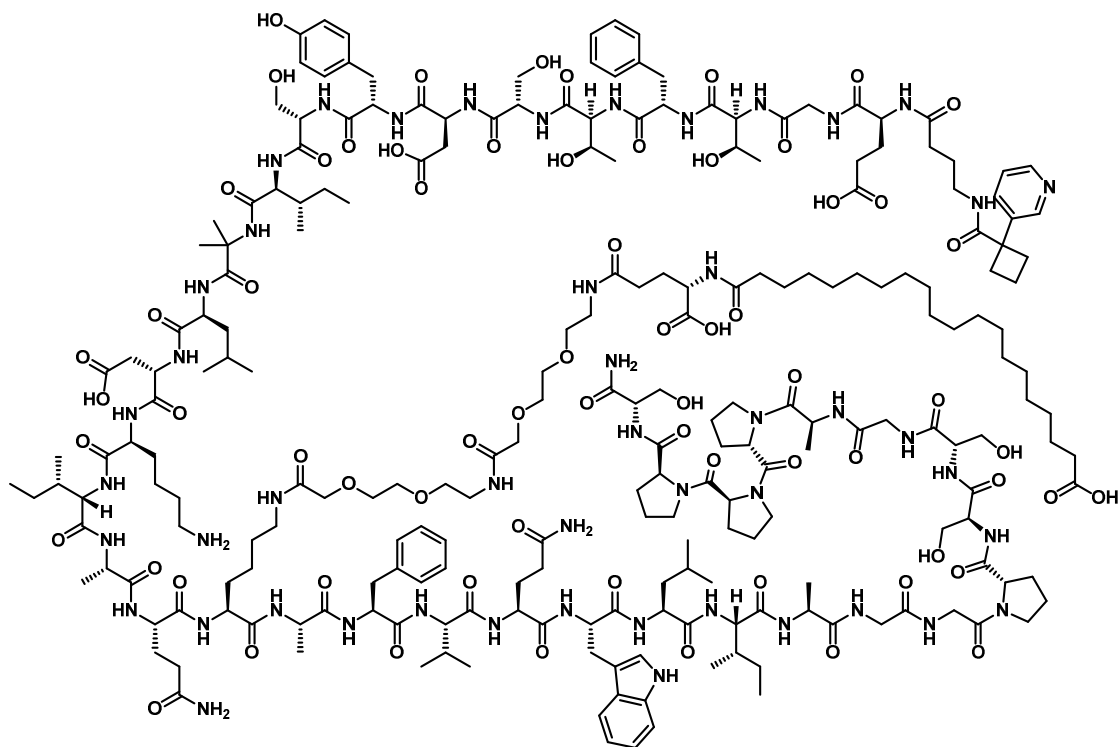
Compound 247,



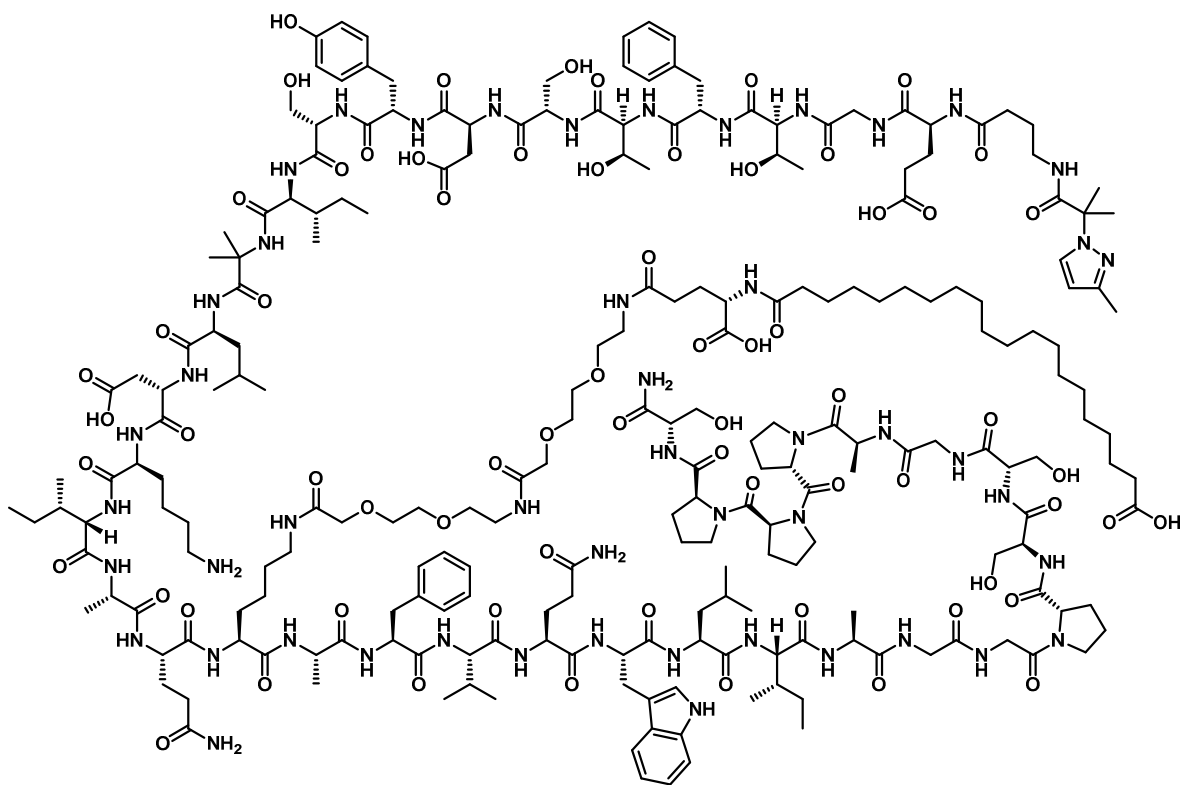
Compound 248,

[illegible]

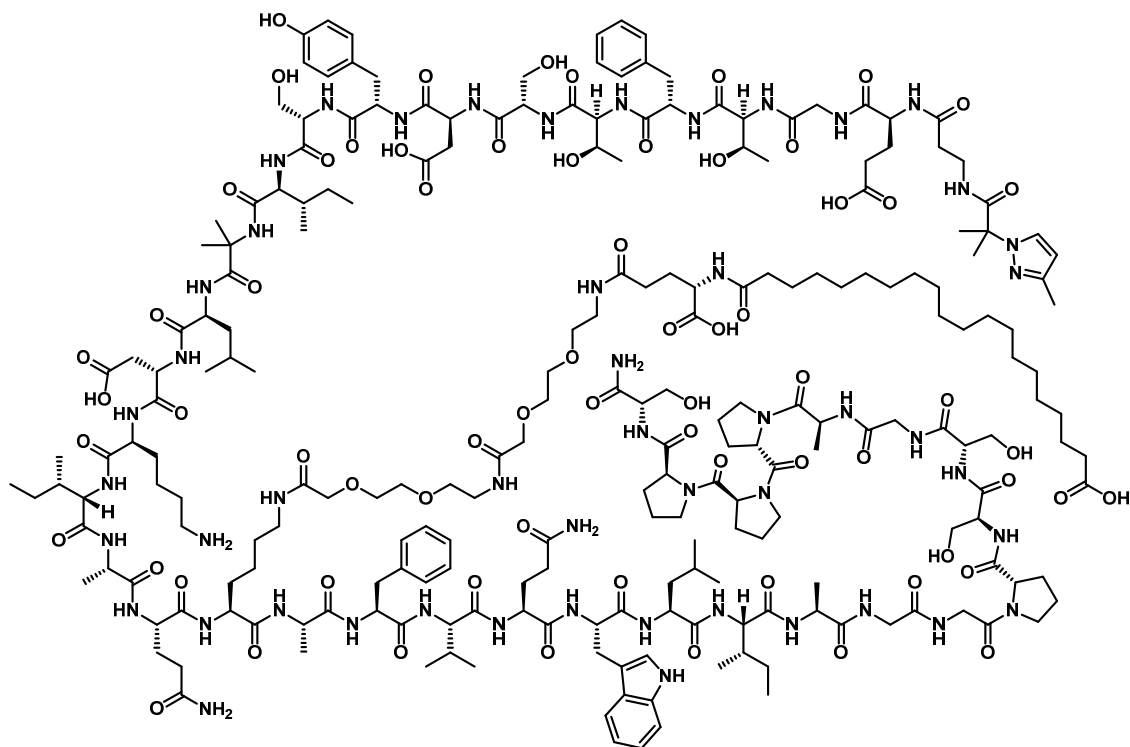
Compound 250,



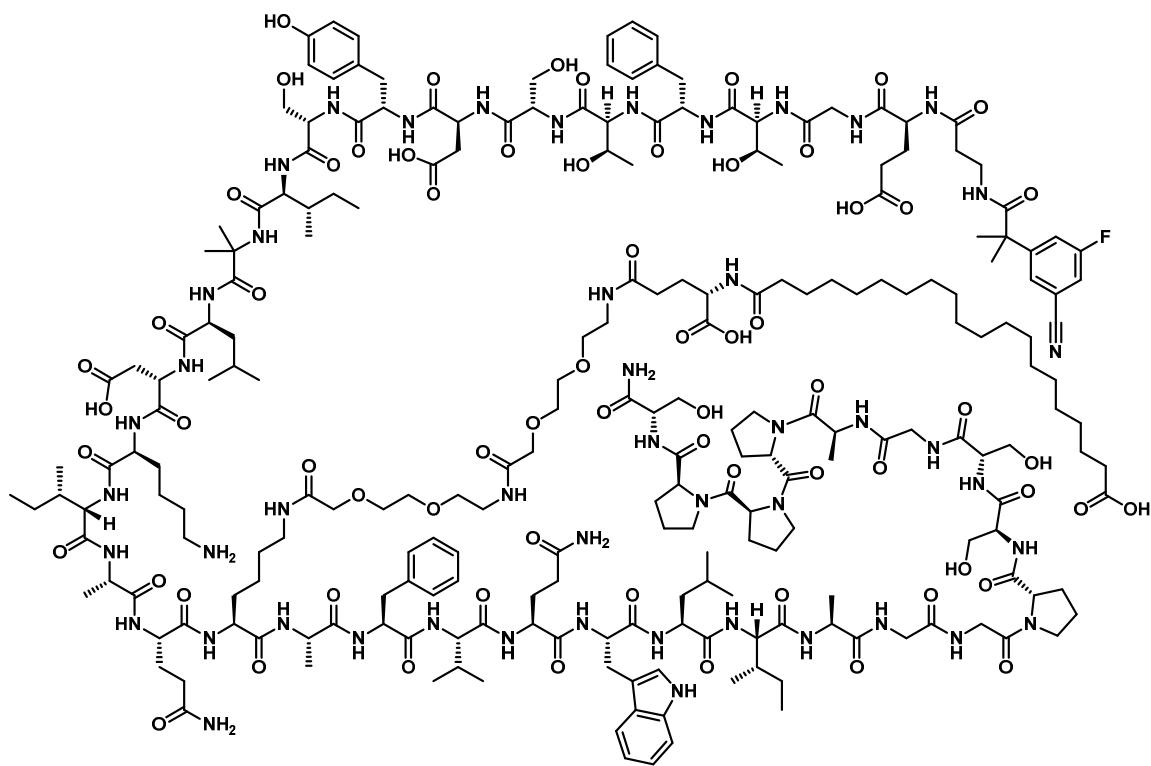
Compound 251,



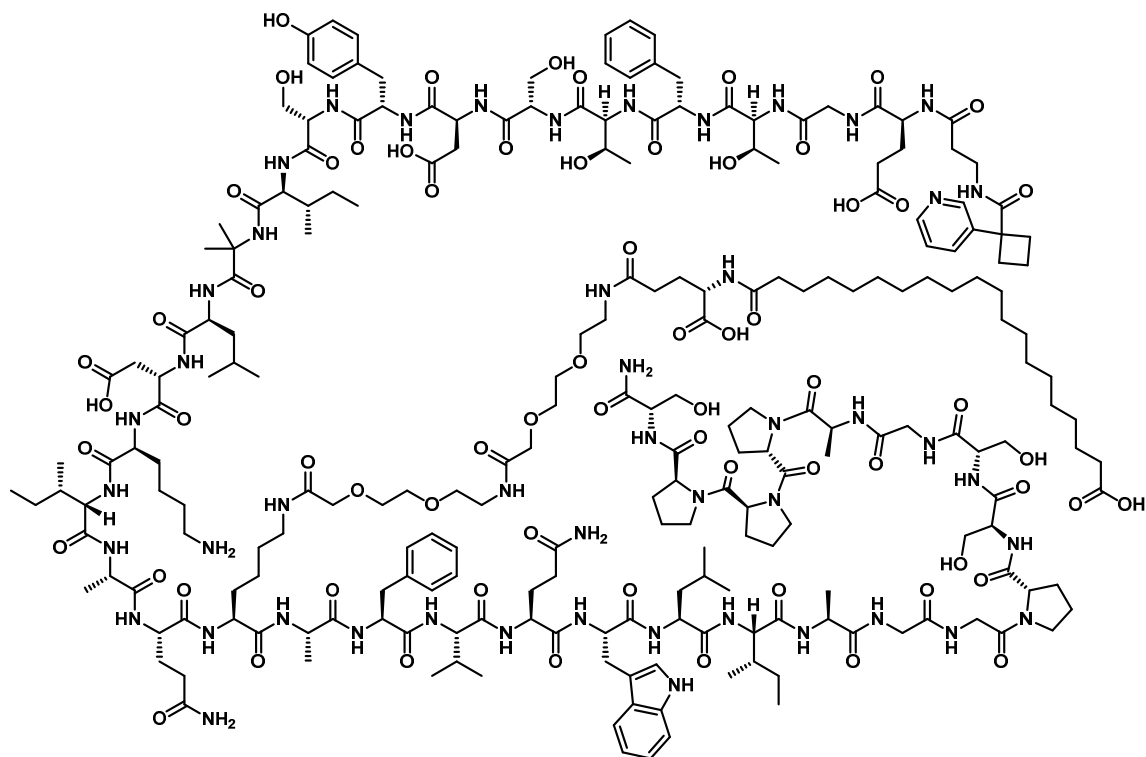
Compound 252,



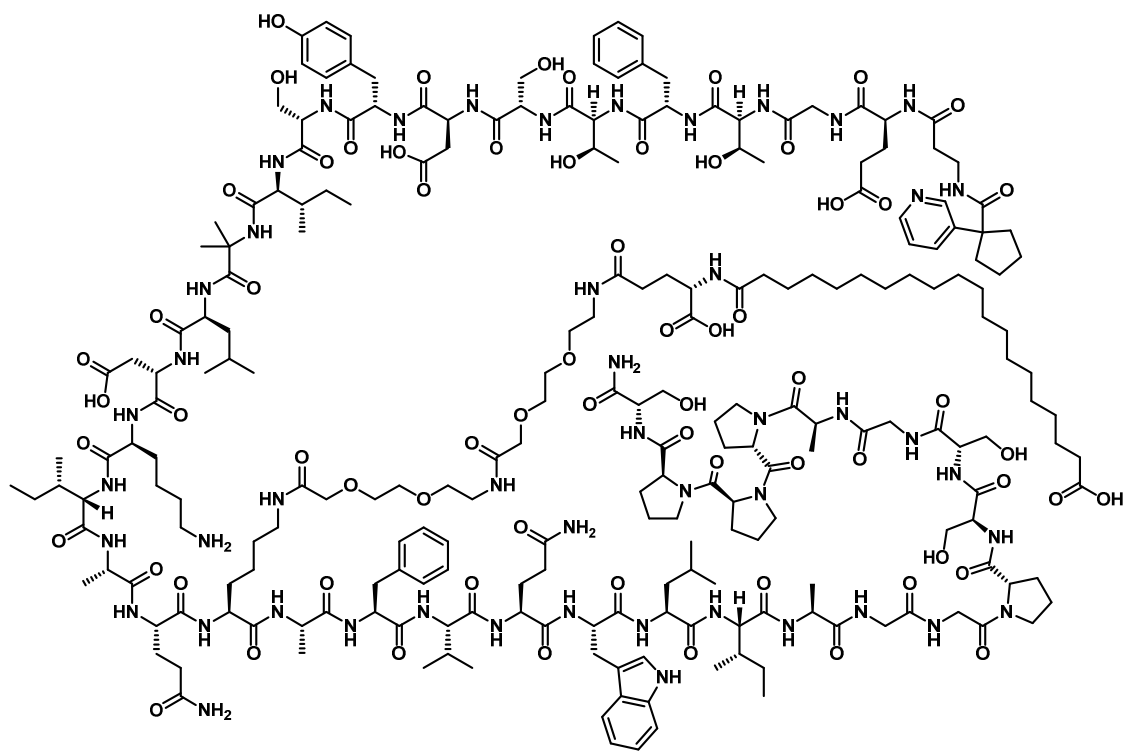
Compound 253,



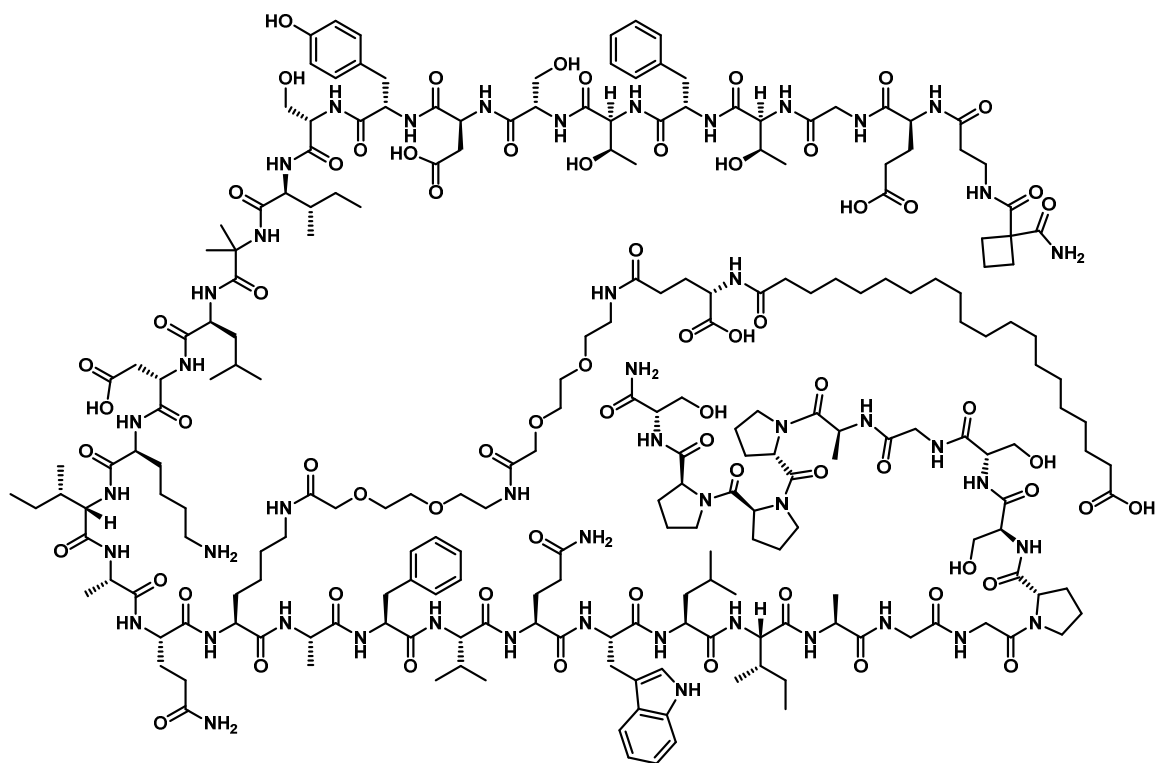
Compound 254,



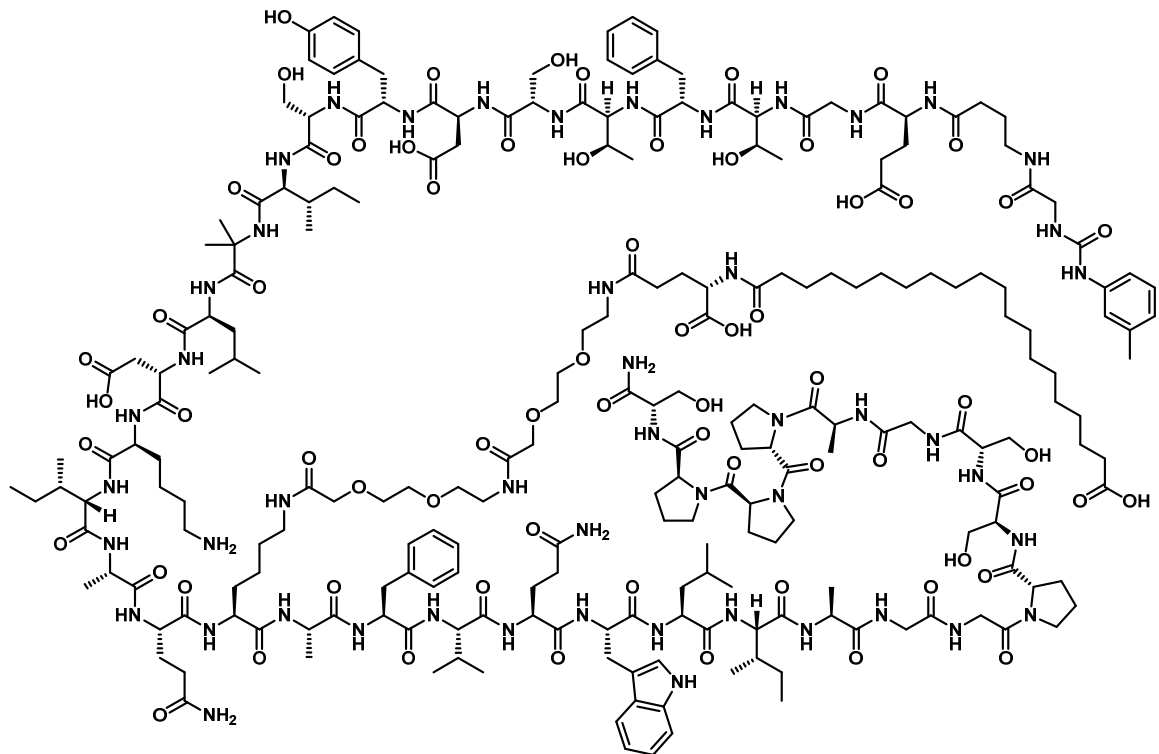
Compound 255,



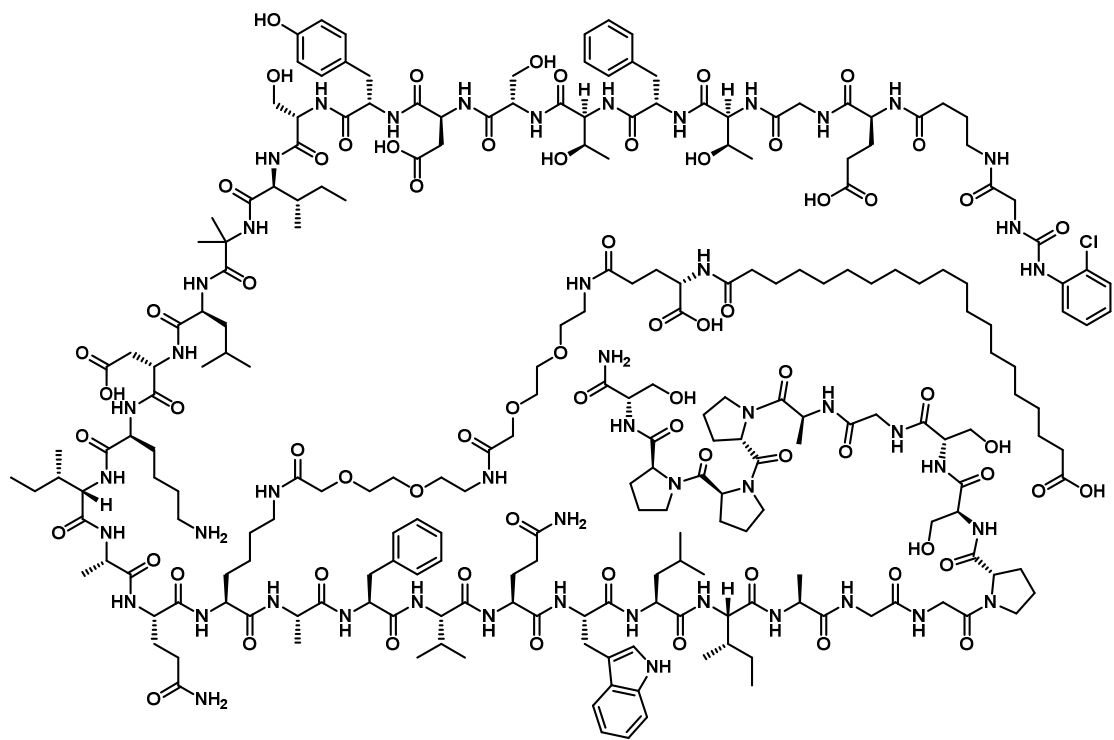
Compound 256,



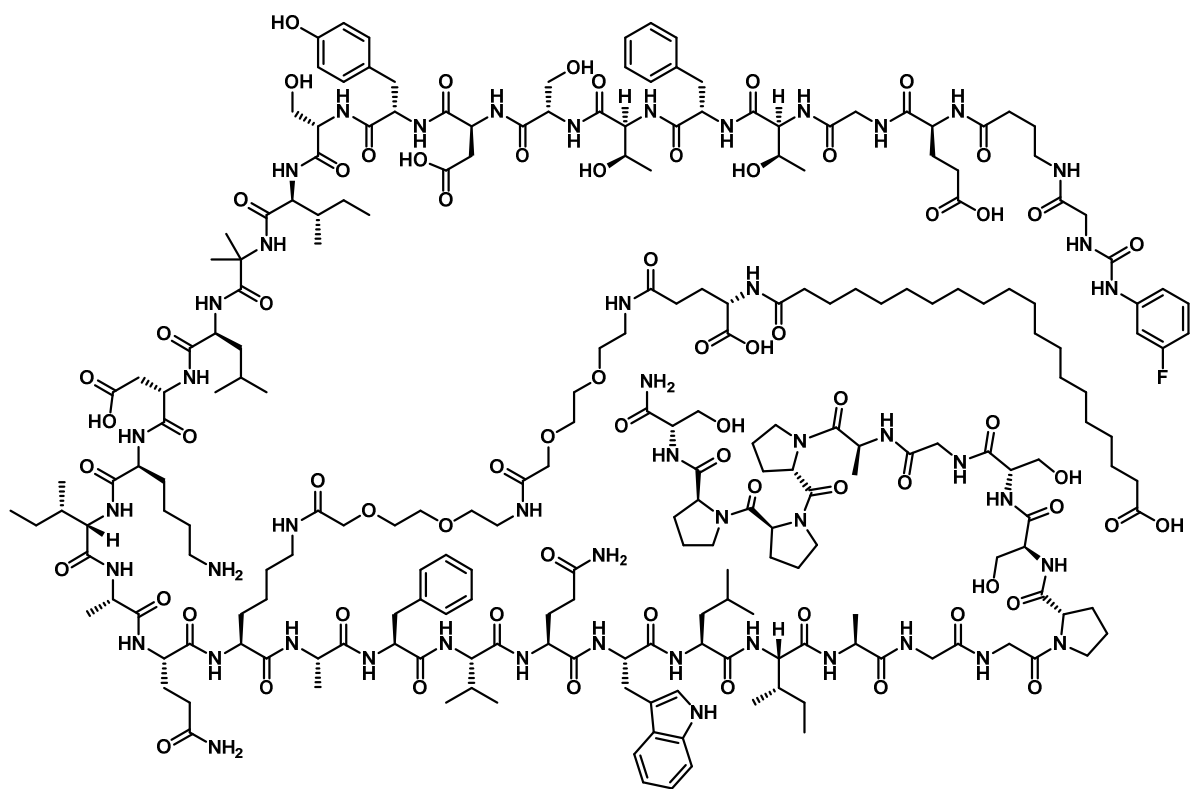
Compound 257,



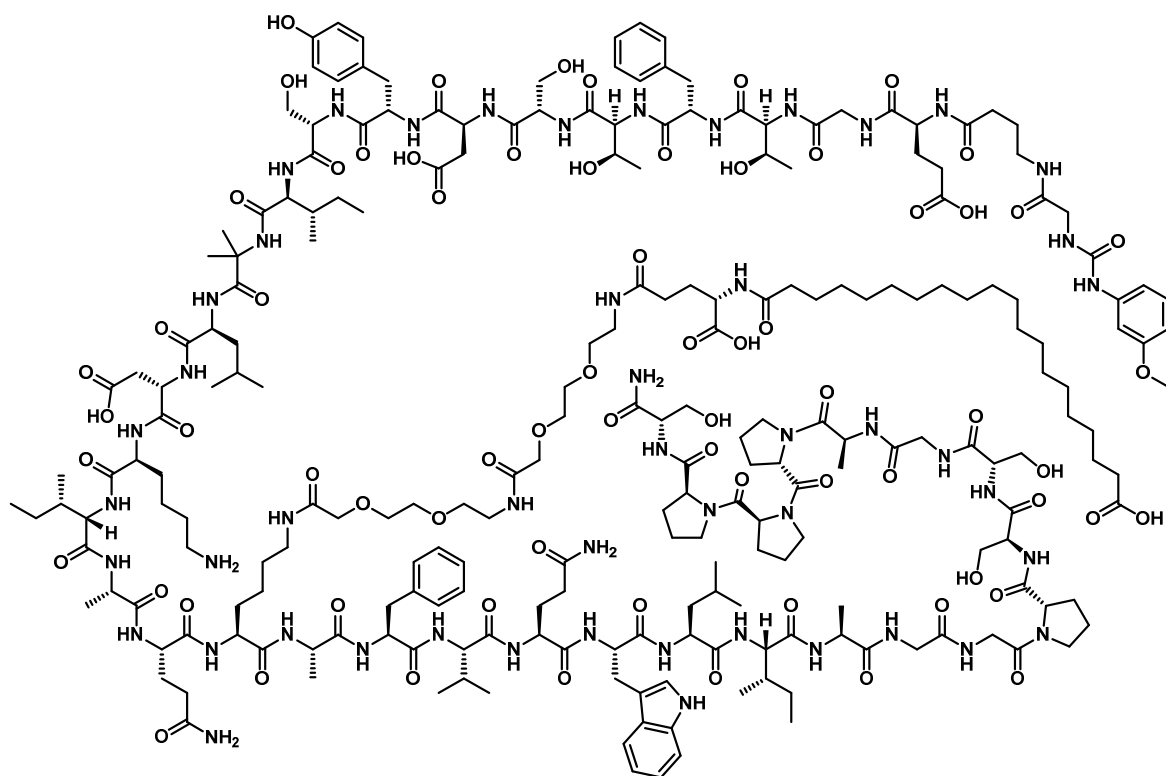
Compound 258,



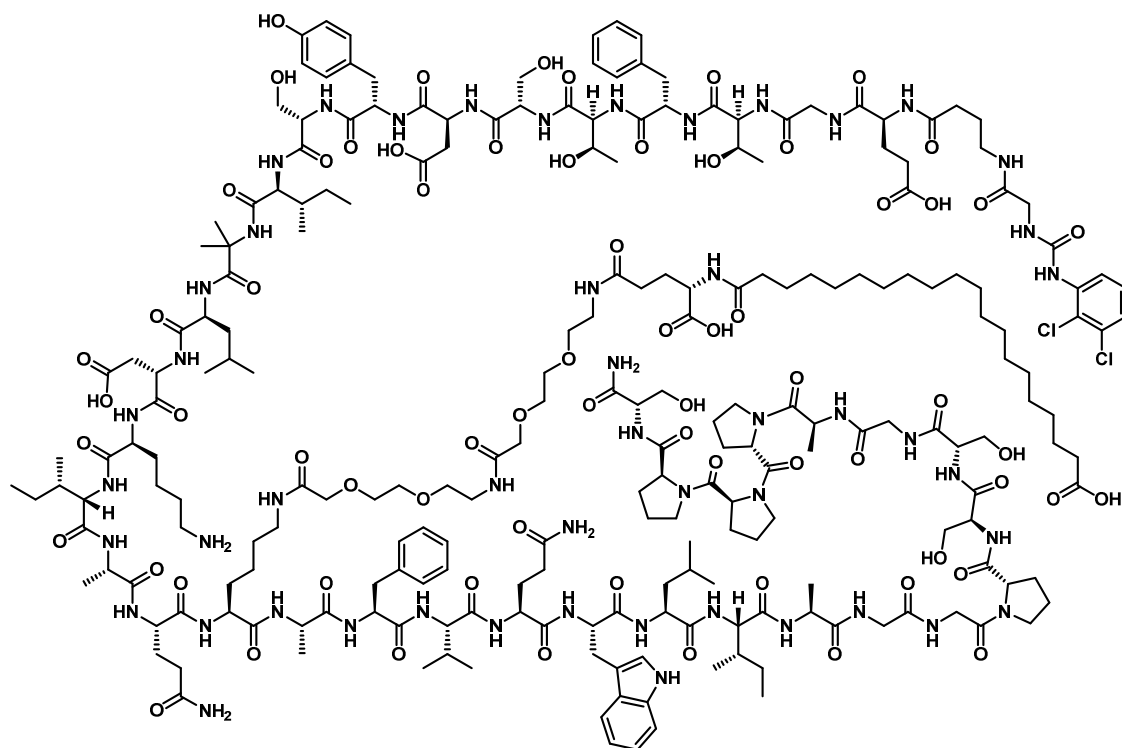
Compound 259,



Compound 260,



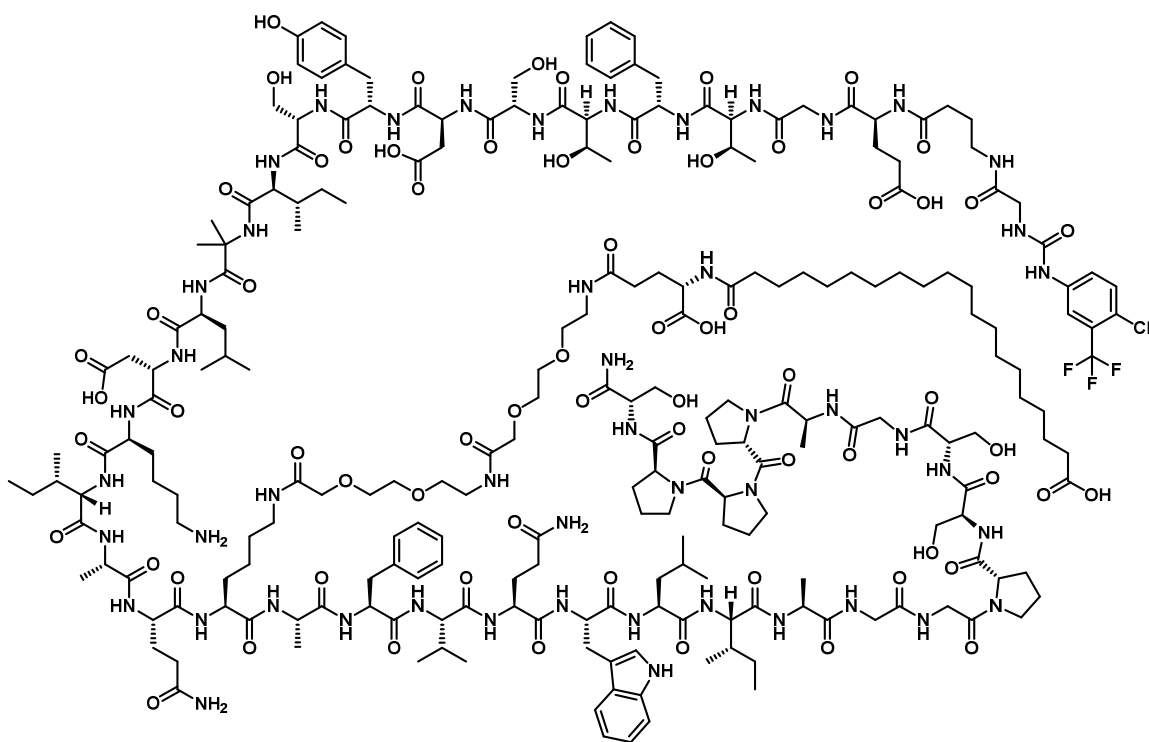
Compound 261,



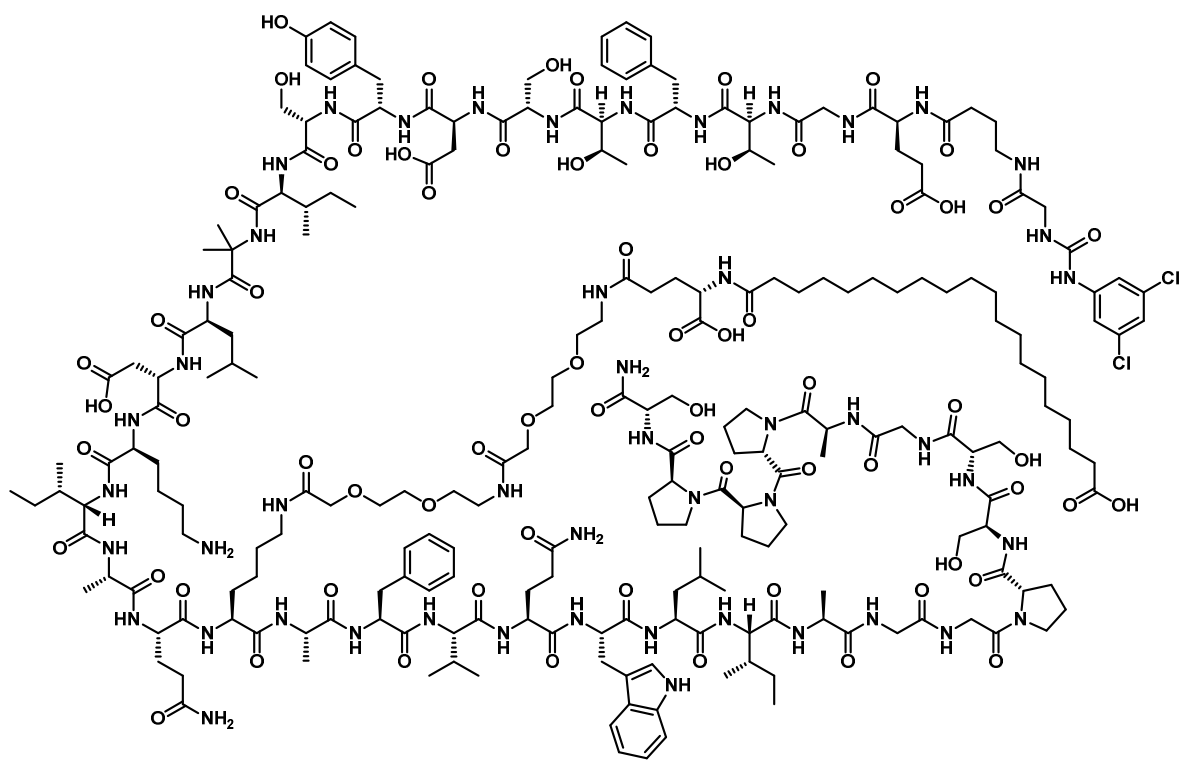
Compound 262,

[illegible]

Compound 264,

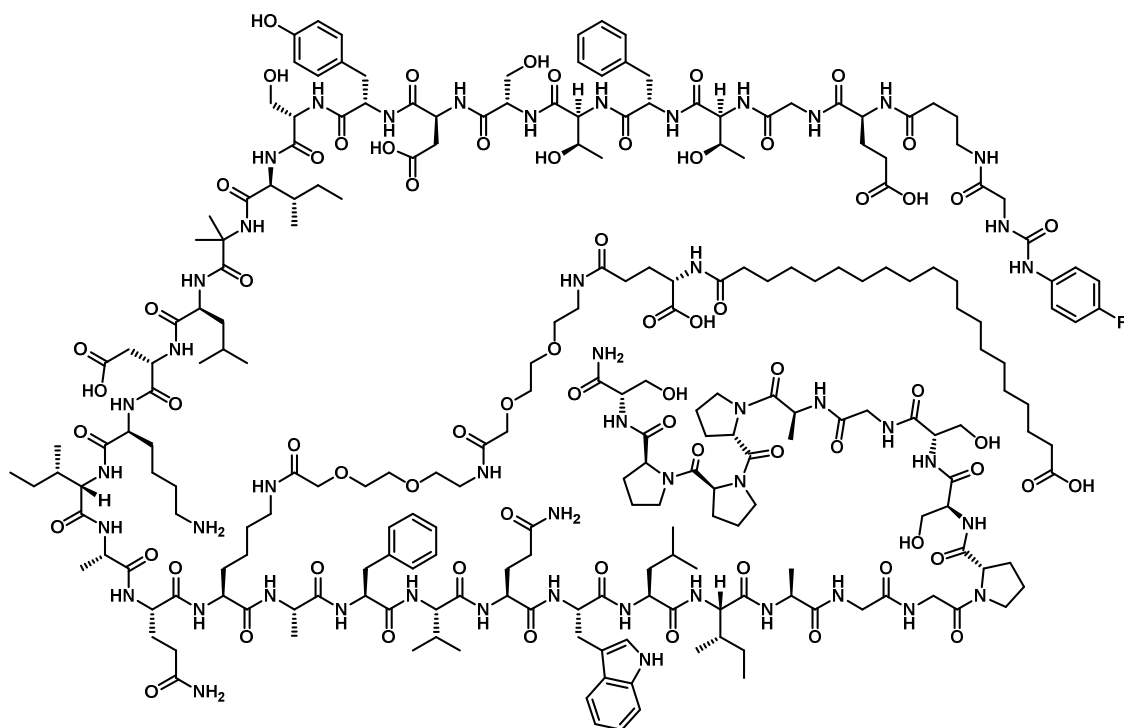


Compound 265,

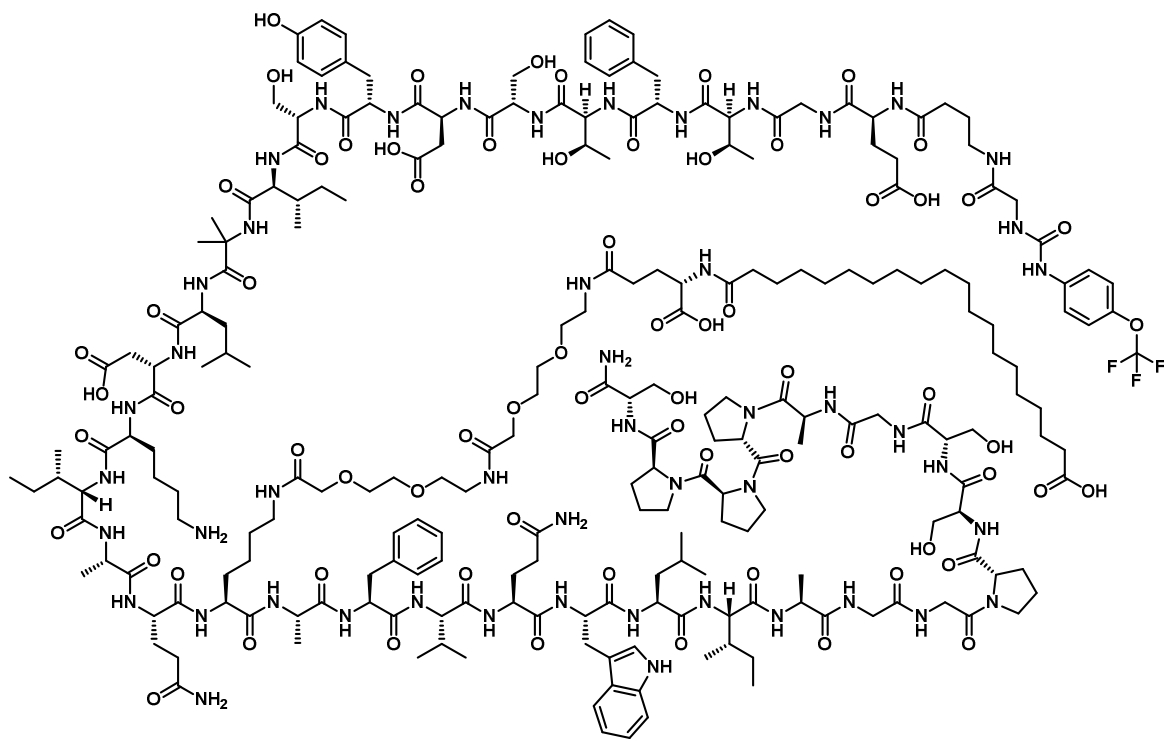


Compound 266,

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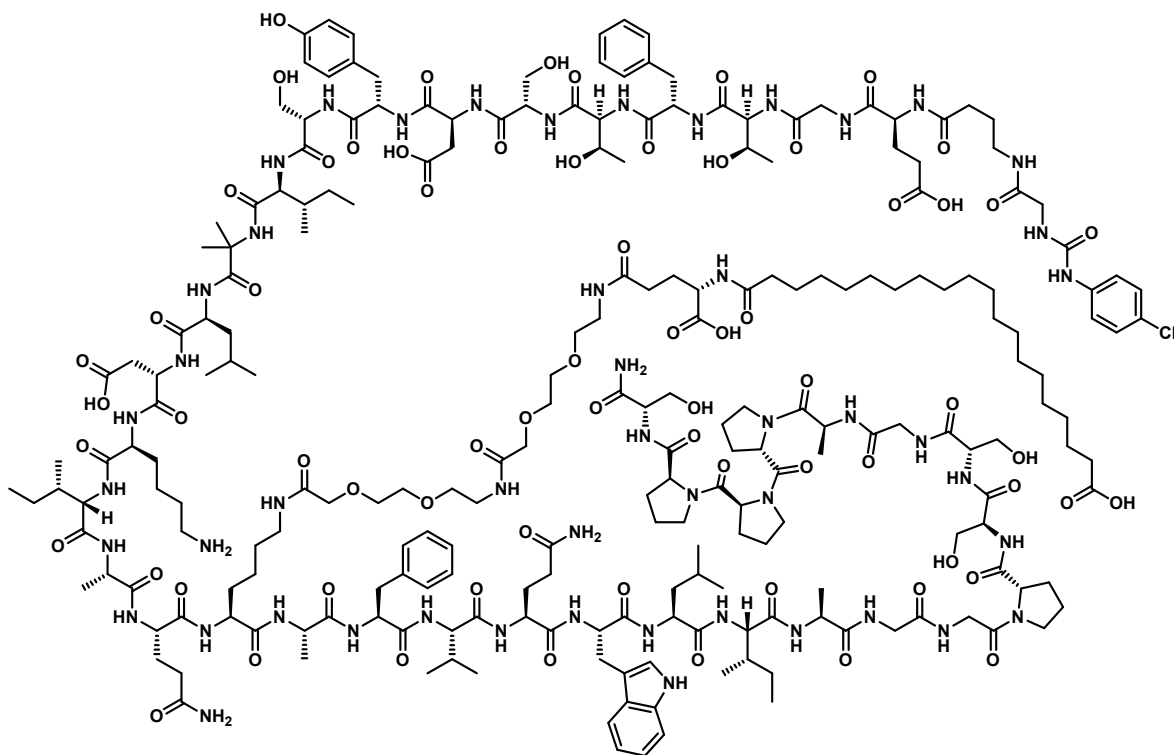


Compound 268,

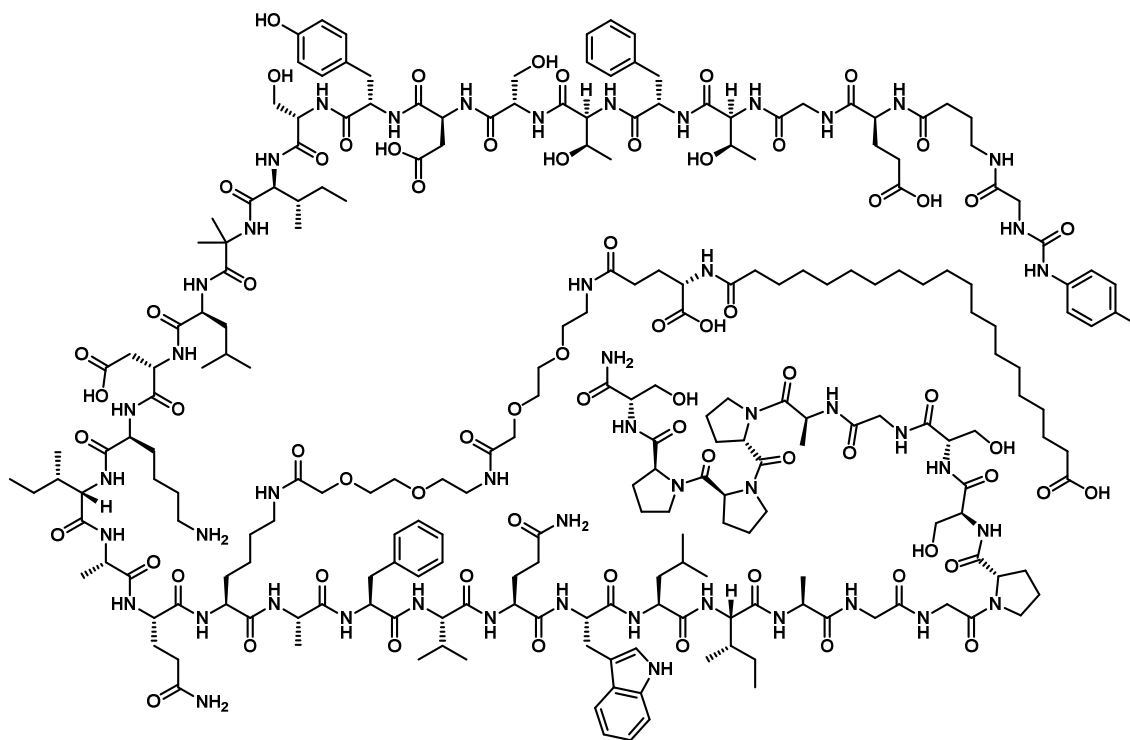


Compound 269,

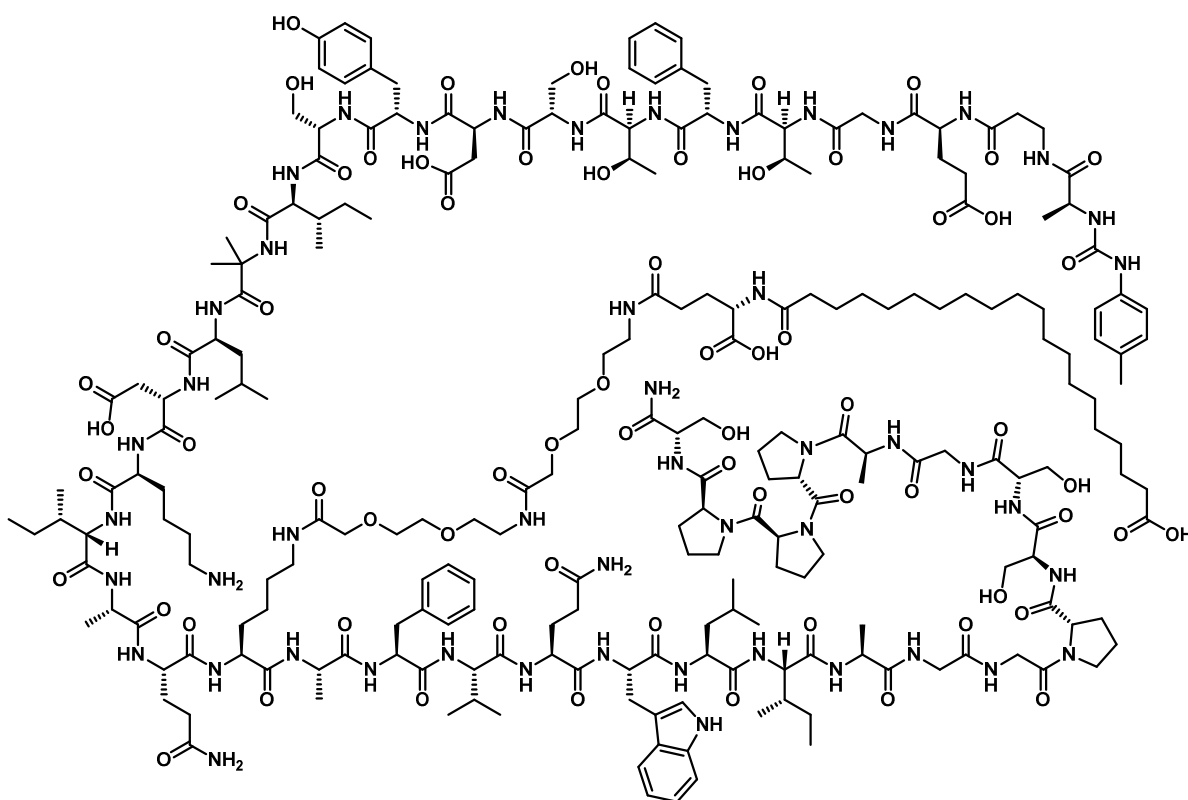
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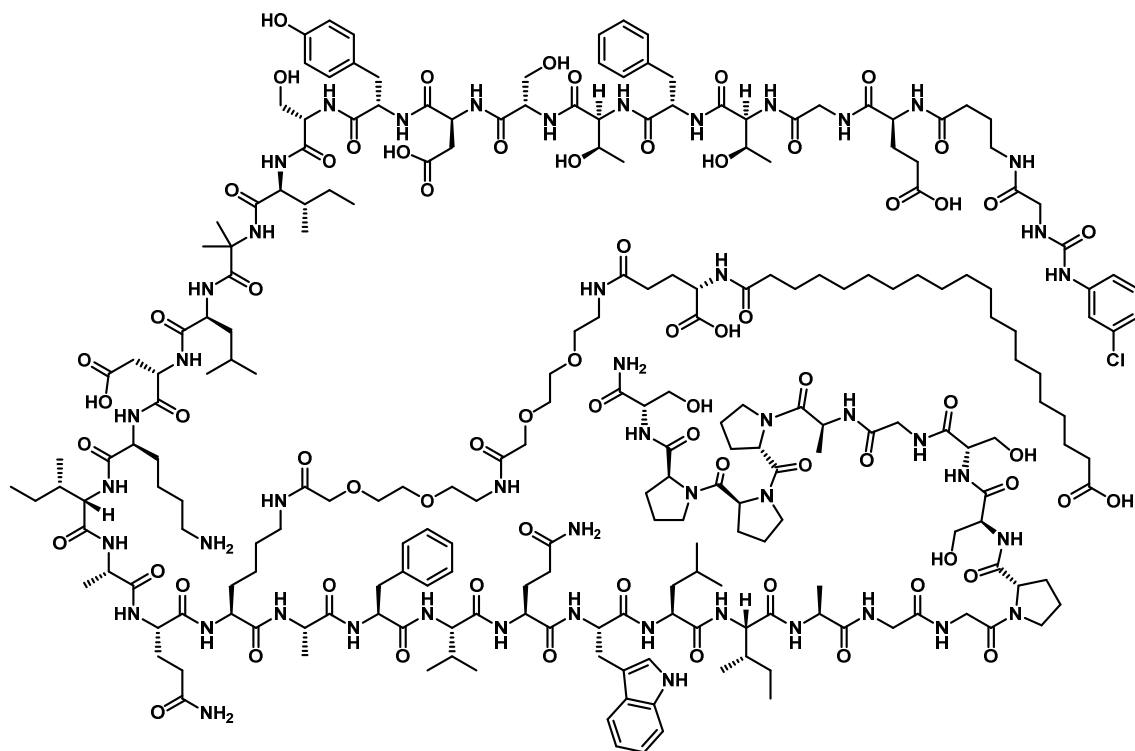
Compound 270,



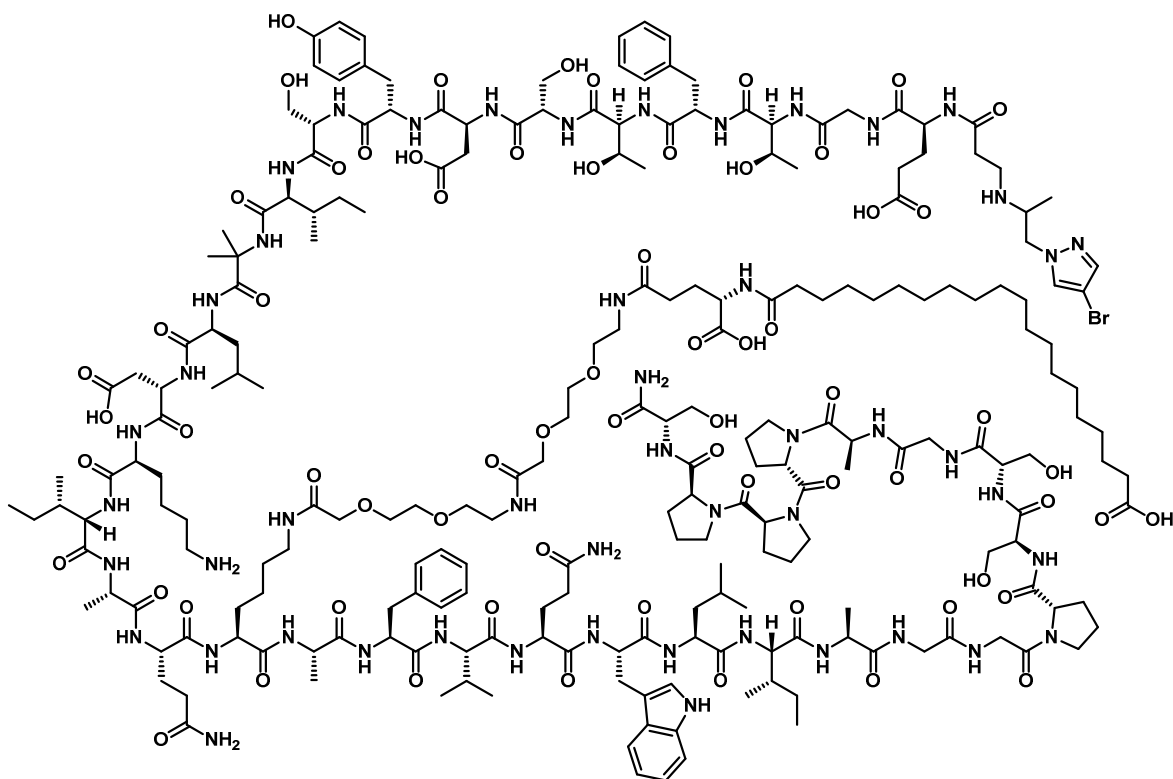
Compound 271,



Compound 272,



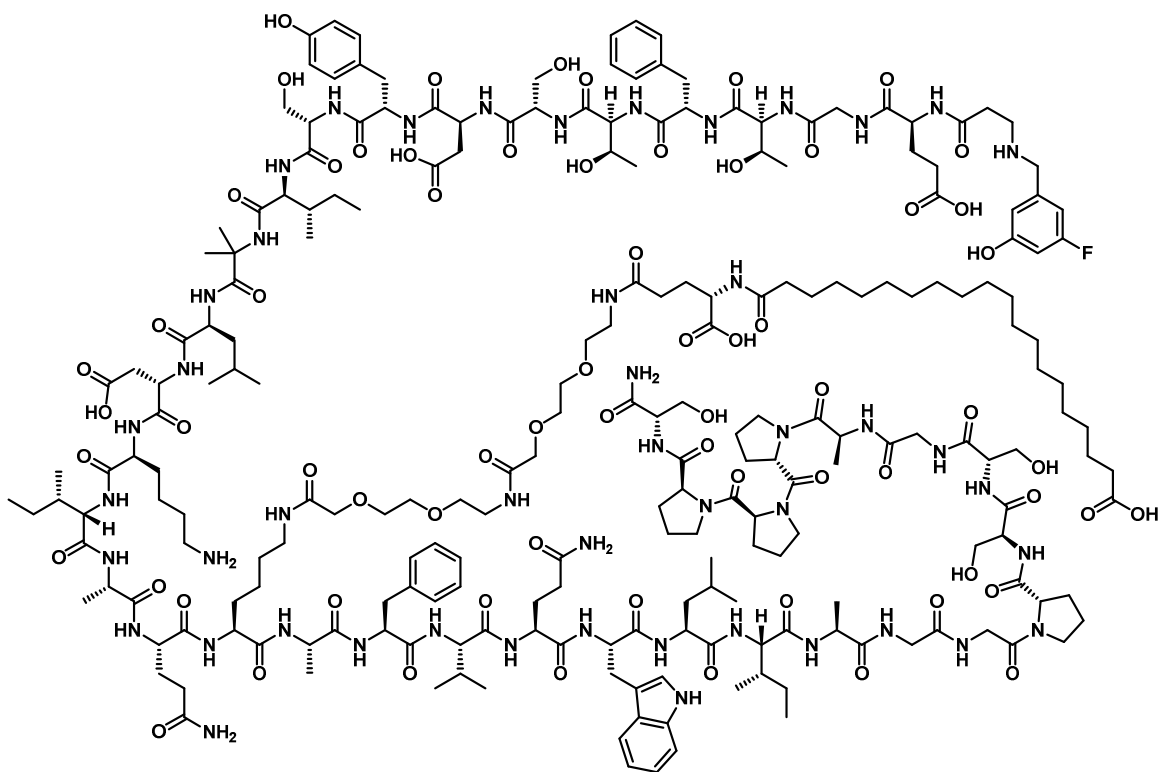
Compound 273,



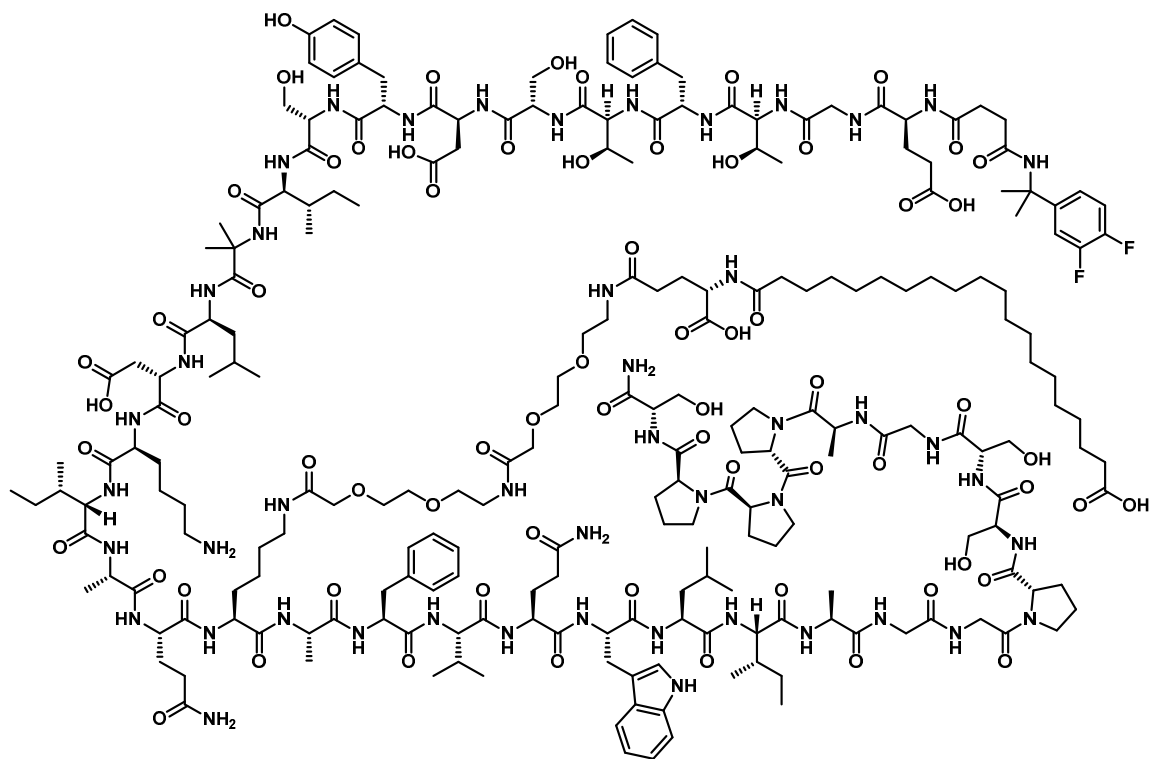
Compound 274,

[illegible]

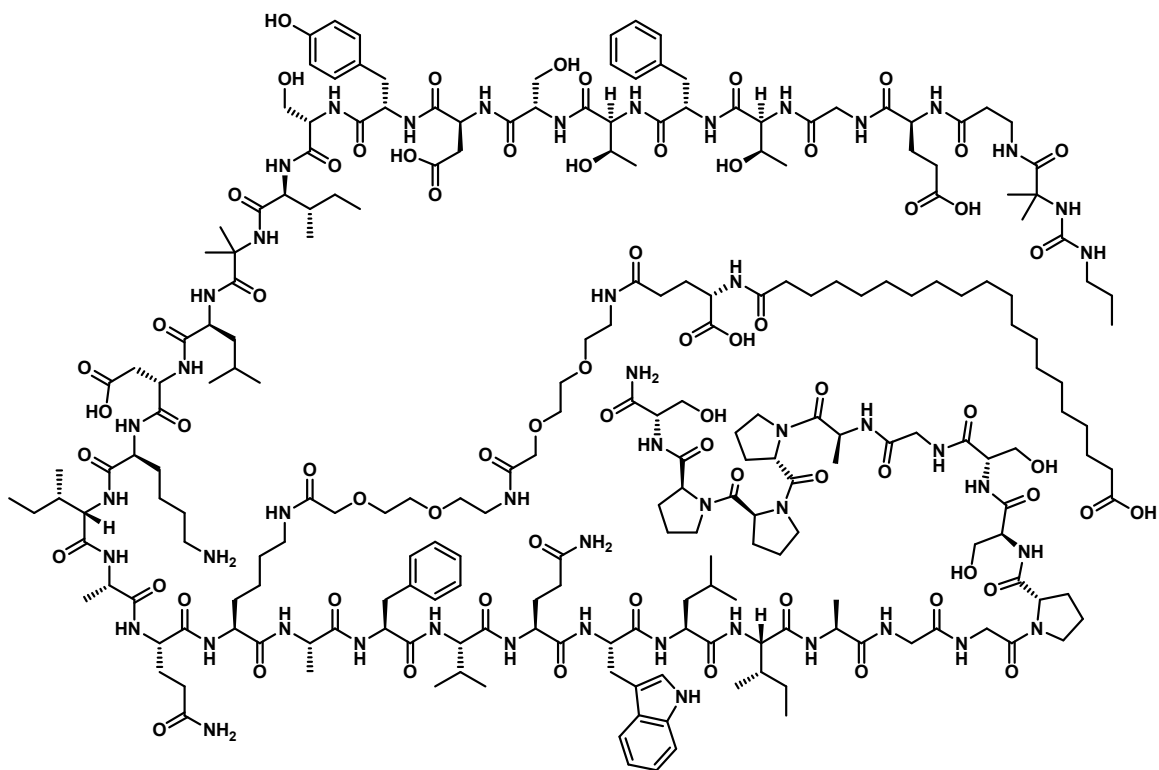
Compound 276,



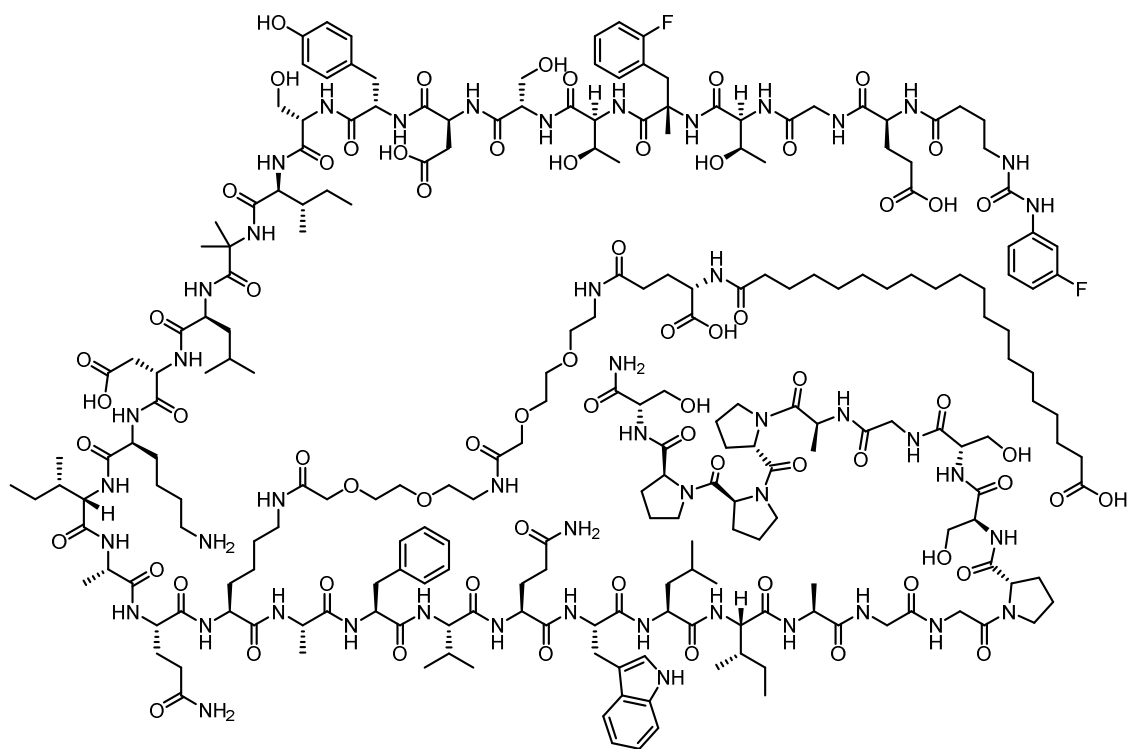
Compound 277,



Compound 280,

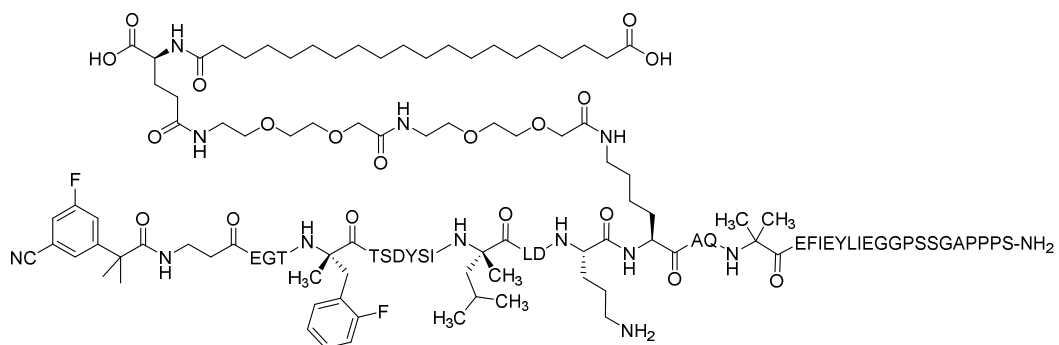
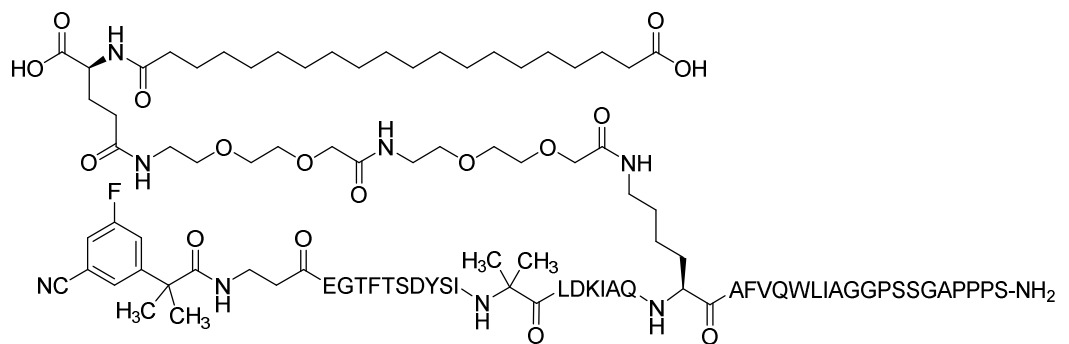
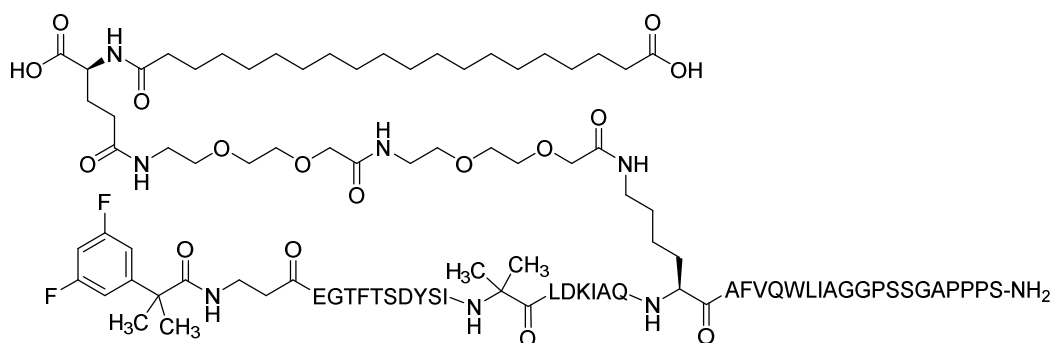
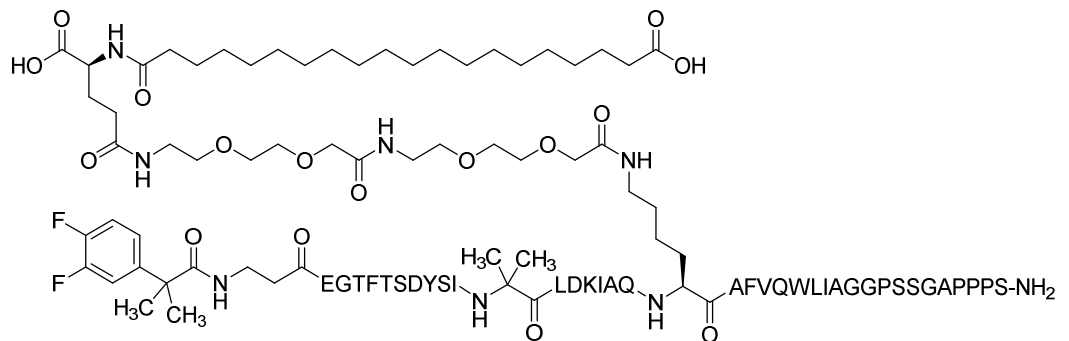


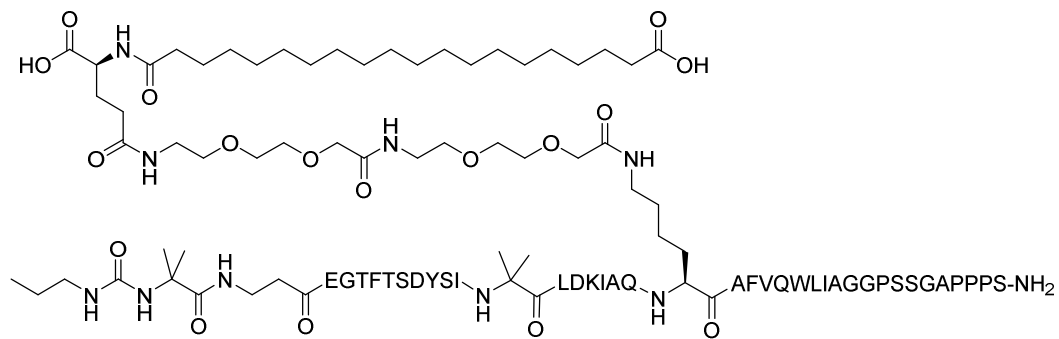
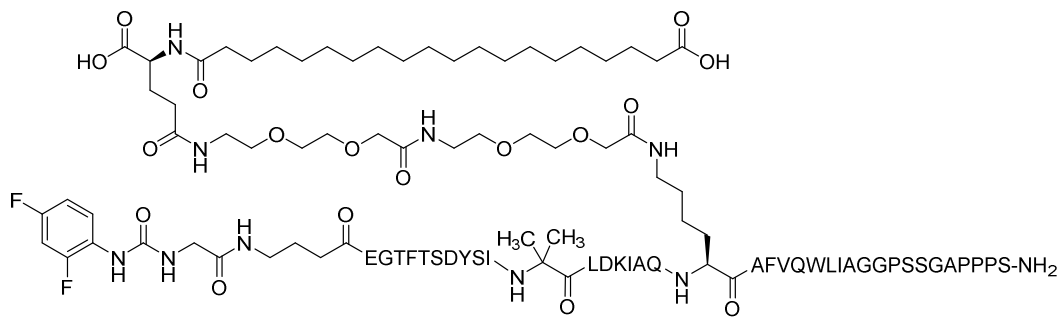
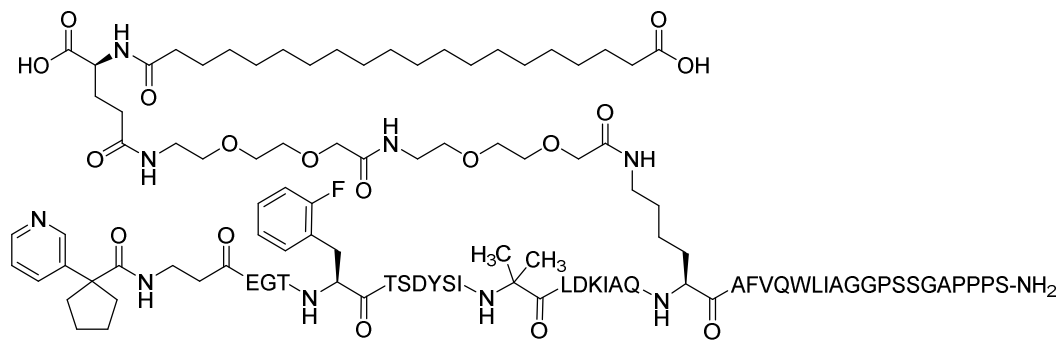
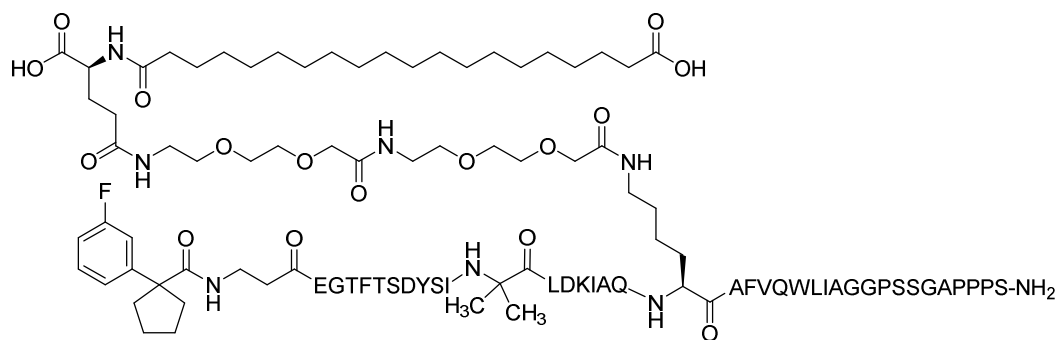
Compound 281, and



Compound 282.

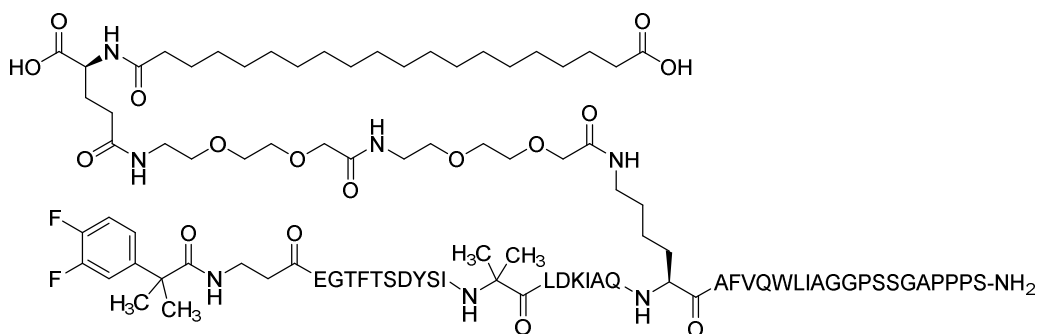
29. A compound, or pharmaceutically acceptable salt thereof, wherein the compound is selected from the group consisting of:



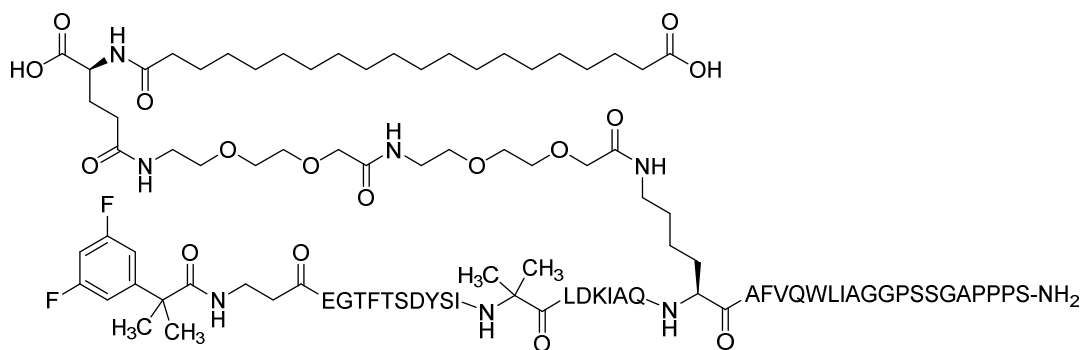


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30. The compound of claim 29, wherein the compound is:

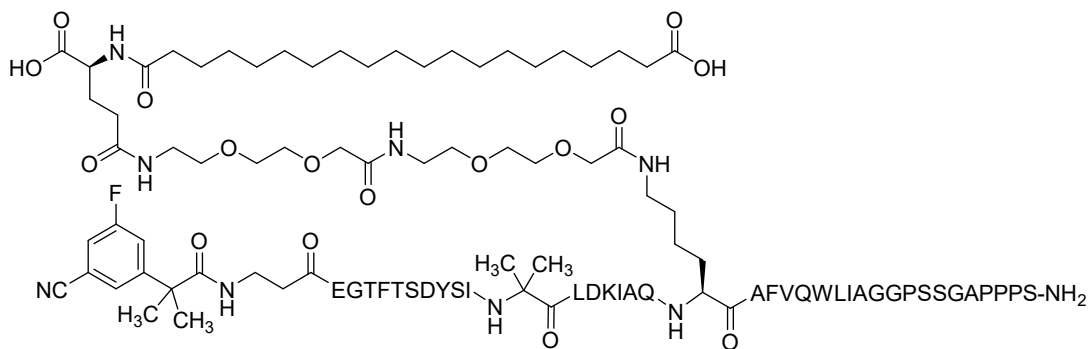


31. The compound of claim 29, wherein the compound is:

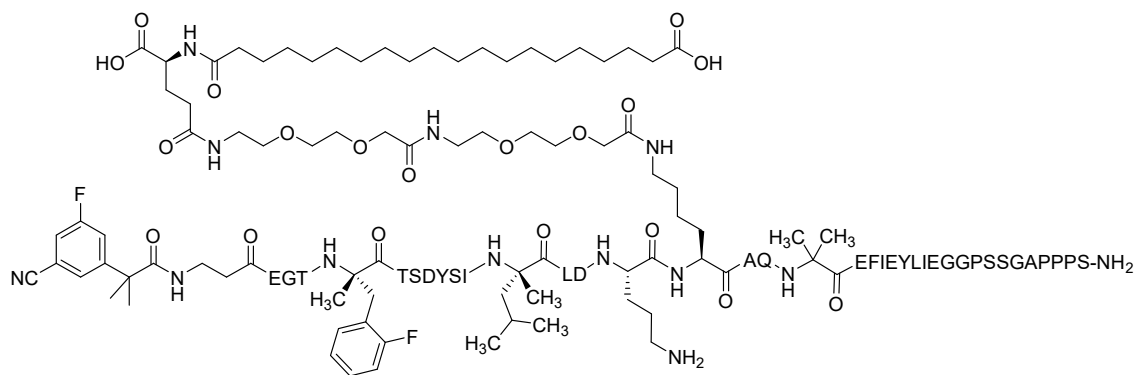


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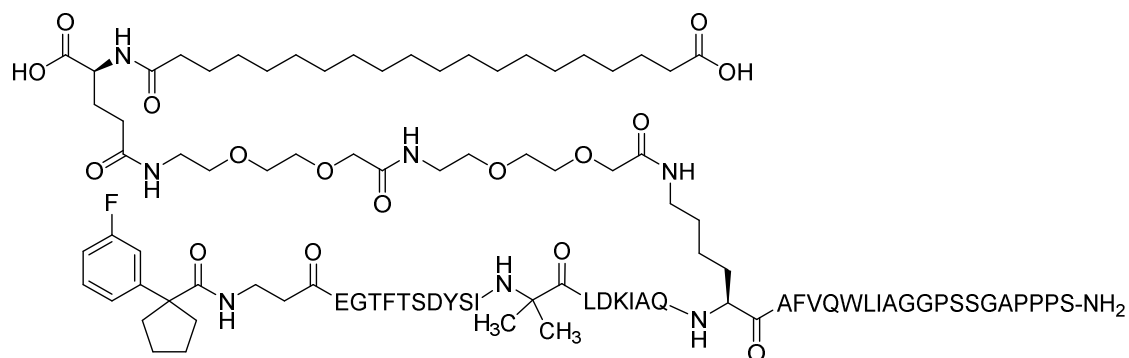
32. The compound of claim 29, wherein the compound is:



33. The compound of claim 29, wherein the compound is:

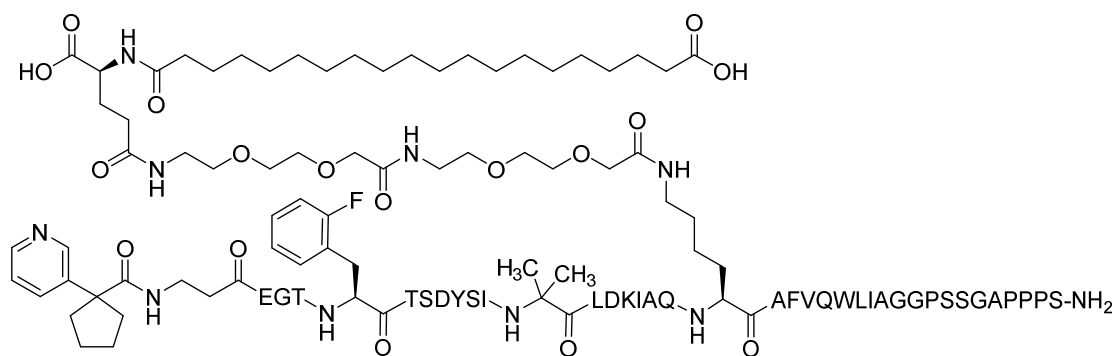


34. The compound of claim 29, wherein the compound is:

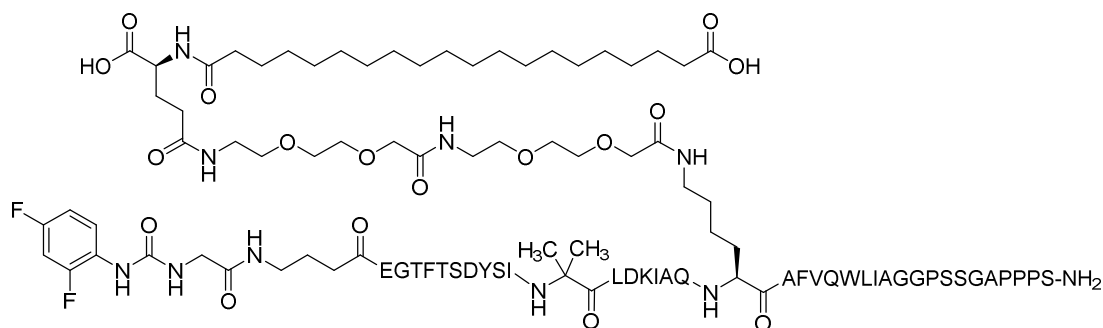


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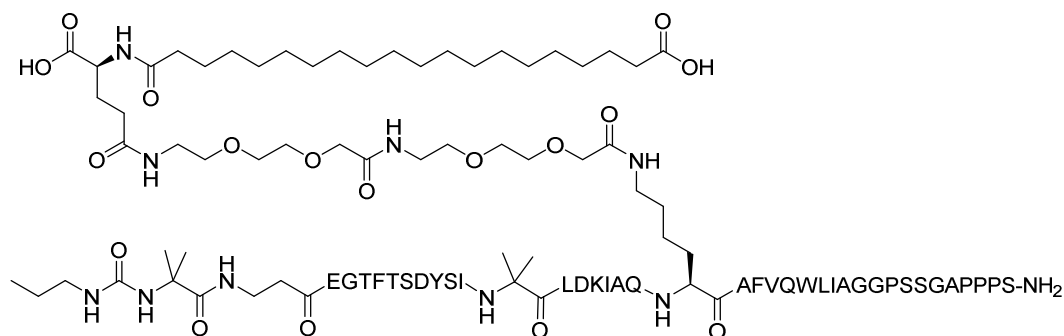
35. The compound of claim 29, wherein the compound is:



36. The compound of claim 29, wherein the compound is:



37. The compound of claim 29, wherein the compound is:



5

38. A pharmaceutical composition comprising the compound, or pharmaceutically acceptable salt thereof, of any one of claims 1-37 and one or more pharmaceutically acceptable excipients.

39. A method of modulating GLP-1R or GIPR activity, comprising contacting GLP-1R or GIPR with the compound or pharmaceutically acceptable salt thereof of any one of claims 1-37 or the pharmaceutical composition of claim 38.

40. The method of claim 39, wherein the method agonizes GLP-1R and/or GIPR.

41. The method of claim 39 or 40, wherein the method is carried out *in vitro*.

42. The method of claim 39 or 40, wherein the method is carried out *in vivo*.

43. Use of the compound or pharmaceutically acceptable salt thereof of any one of claims 1-37 or the pharmaceutical composition of claim 38 in the manufacture of a medicament for modulating GLP-1R and/or GIPR activity in a subject without inducing aversion, nausea, or vomiting in the subject, wherein the medicament is to be subcutaneously administered to the subject in need thereof.

44. Use of the compound or pharmaceutically acceptable salt thereof of any one of claims 1-37 or the pharmaceutical composition of claim 38 in the manufacture of a medicament for increasing insulin levels or decreasing glucose levels in a subject, wherein the medicament is to be subcutaneously administered to the subject in need thereof.

45. Use of the compound or pharmaceutically acceptable salt thereof of any one of claims 1-37 or the pharmaceutical composition of claim 38 in the manufacture of a medicament for improving glycemic control in a subject, wherein the medicament is to be subcutaneously administered to the subject in need thereof.

46. Use of the compound or pharmaceutically acceptable salt thereof of any one of claims 1-37 or the pharmaceutical composition of claim 38 in the manufacture of a medicament for reducing body weight in a subject, wherein the medicament is to be subcutaneously administered to the subject in need thereof.

47. Use of the compound or pharmaceutically acceptable salt thereof of any one of claims 1-37 or the pharmaceutical composition of claim 38 in the manufacture of a medicament for treating obesity in a subject, wherein the medicament is to be subcutaneously administered to the
5 subject in need thereof.
48. The use of any one of claims 43-47, wherein the subject has one or more comorbidities, optionally pre-diabetes, hypertension, or dyslipidemia.
- 10 49. The use of any one of claims 43-48, wherein the subject has type 2 diabetes mellitus.
50. Use of the compound or pharmaceutically acceptable salt thereof of any one of claims 1-37 or the pharmaceutical composition of claim 38 in the manufacture of a medicament for treating diabetes in a subject, wherein the medicament is to be subcutaneously administered to
15 the subject in need thereof.
51. The use of claim 50, wherein the diabetes is type 2 diabetes mellitus.
52. The use of any one of claims 43-51, wherein the medicament is to be administered to the
20 subject in combination with a diet therapy or an exercise therapy.
53. Use of the compound or pharmaceutically acceptable salt thereof of any one of claims 1-37 or the pharmaceutical composition of claim 38 in the manufacture of a medicament for

treating metabolic syndrome, impaired glucose tolerance, insulin resistance, non-alcoholic steatohepatitis (NASH), fatty liver disease, steatohepatitis, mild cognitive impairment (MCI), Alzheimer's disease (AD), Parkinson's disease (PD), anxiety, dementia, traumatic brain injury, Huntington's chorea, tardive dyskinesia, hyperkinesia, mania, Morbus Parkinson, steel-Richard

5 syndrome, Down's syndrome, myasthenia gravis, nerve trauma, brain trauma, vascular amyloidosis, cerebral hemorrhage I with amyloidosis, brain inflammation, Friedrich's ataxia, acute confusion disorder, amyotrophic lateral sclerosis (ALS), glaucoma, Creutzfeldt-Jakob

Disease, bovine spongiform encephalopathy, chronic wasting syndrome, osteoporosis, poor bone strength, osteopenia, Paget's disease, osteolytic metastasis in cancer patients, or osteodystrophy

10 in liver disease; or altered bone metabolism caused by renal failure or hemodialysis, bone fracture, bone surgery, aging, pregnancy, and malnutrition in a subject, wherein the medicament is to be subcutaneously administered to the subject in need thereof.

54. The use of any one of claims 43-53, wherein the subject is a human.