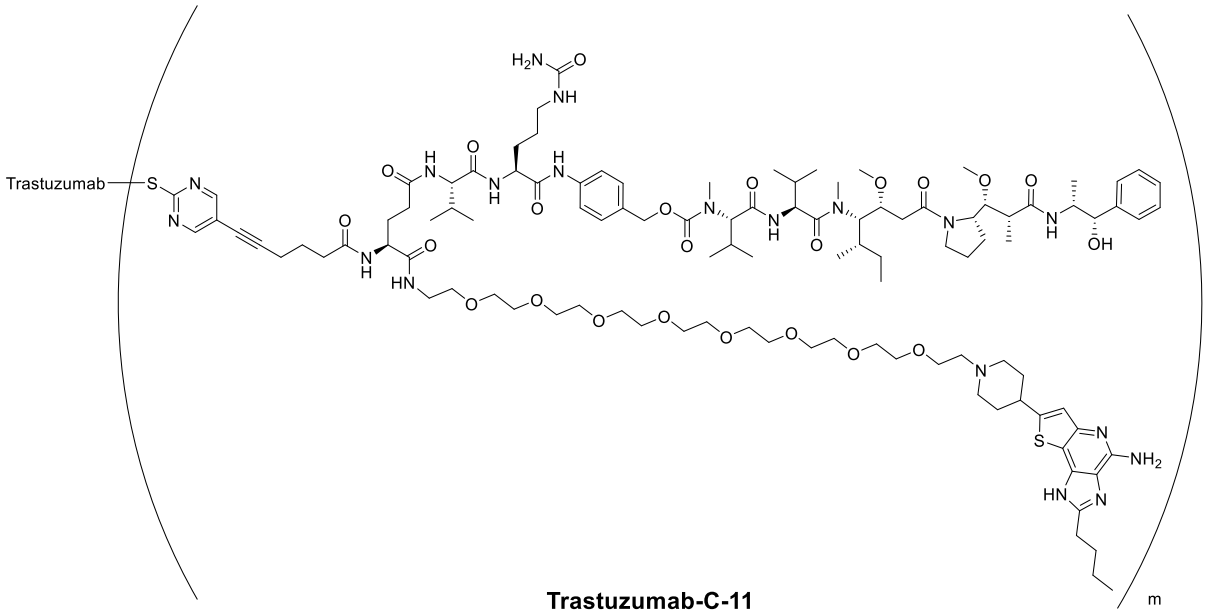
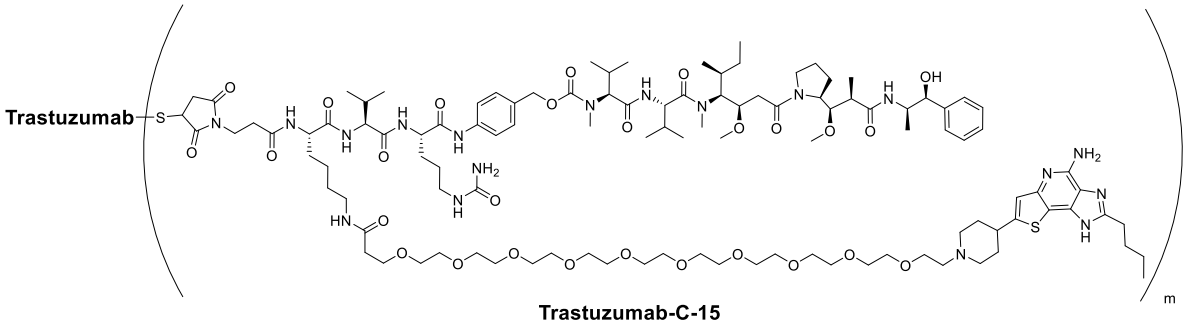


1 M phosphoric acid solution was used to adjust a Trastuzumab monoclonal antibody stock solution to pH = 6.59 to obtain a 9.5 mg/mL Trastuzumab monoclonal antibody buffer solution. To the resulting Trastuzumab monoclonal antibody buffer solution, 0.1M EDTA was added, and TCEP (2.5 eq, 10 mM) was added at the same time, shaken well, and reacted at 21°C for 4 hours, then Compound **C-11** in DMSO solution (10 mM) in an amount of 5 times the molar equivalent of Trastuzumab monoclonal antibody was added thereto, shaken well, reacted at room temperature for 2 hours, allowed to stand at 4°C overnight, purified with NAP-5 gel column, and washed with histidine hydrochloride buffer solution (pH = 6.0-6.1), and the filtrate was collected to obtain **Trastuzumab-C-11** in histidine hydrochloride buffer (4.0 mg/mL, 2.5 mL), which was stored at -40°C.

Example 2-6: **Trastuzumab-C-15**

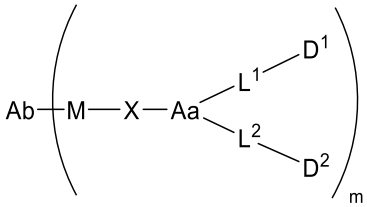


1 M disodium hydrogen phosphate solution was used to adjust a Trastuzumab monoclonal antibody stock solution to pH = 6.56, then phosphate buffered saline (PBS, pH = 6.5-6.6) was used to adjust the volume to 10 mg/mL to obtain a Trastuzumab monoclonal antibody buffer solution. To the resulting Trastuzumab monoclonal antibody buffer solution, 0.1M EDTA was added, and TCEP (2.5 eq, 10 mM) was added at the same time, shaken well, and reacted at room temperature for 2 hours, then Compound **C-15** in DMSO solution (10 mM) in an amount of 5.5 times the molar equivalent of Trastuzumab monoclonal antibody was added thereto, shaken well, reacted at room temperature for 2 hours, purified with NAP-10, NAP-5 gel column, and washed with histidine hydrochloride buffer solution (pH = 6.0-6.1), and the filtrate was collected to obtain **Trastuzumab-C-15** in histidine hydrochloride buffer (3.4 mg/mL, 2.5 mL), which was stored at 4°C.

Example 2-7: **Trastuzumab-C-16**

What is claimed is:

1. An antibody-drug conjugate of formula (I):



I

wherein,

Ab represents a targeting moiety;

M represents a linking site connected to the targeting moiety;

X represents a linker connecting M and Aa;

Aa represents an amino acid fragment, or a peptide fragment formed of two or more amino acids;

L^1 represents a covalent bond or a linker connecting Aa and D^1;

L^2 represents a linker connecting Aa and D^2;

D^1 is a cytotoxic drug fragment;

D^2 is a TLR agonist fragment;

m is selected from 1 to 10, such as 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10.

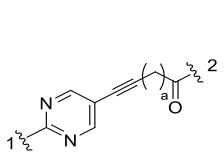
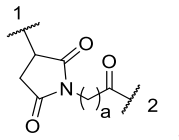
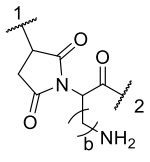
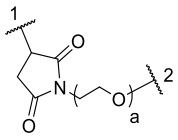
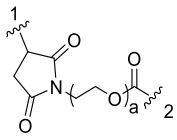
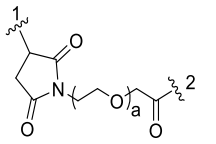
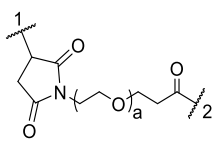
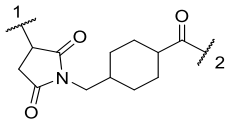
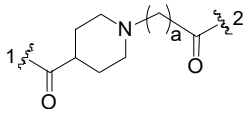
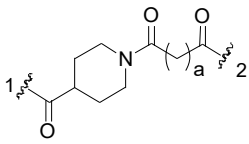
2. The antibody-drug conjugate according to claim 1, wherein Ab is a targeting moiety targeting a cell surface receptor or a tumor surface antigen.

3. The antibody-drug conjugate according to claim 1 or 2, wherein Ab is an antibody or antigen-binding fragment thereof, wherein the antibody or antigen-binding fragment comprises a monoclonal antibody, a polyclonal antibody, a linear antibody, a bispecific antibody, a multispecific antibody, a chimeric antibody, a murine antibody, a humanized antibody, a fully human antibody, or a fusion protein containing an antigen-binding region of an antibody.

4. The antibody-drug conjugate according to any one of claims 1 to 3, wherein Ab is an antibody or antigen-binding fragment thereof, wherein the antigen-binding fragment is selected from the group consisting of Fab, Fab', $F(ab')_2$, Fv, disulfide bond-linked Fv and scFv.

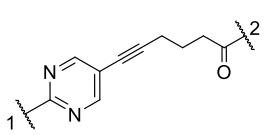
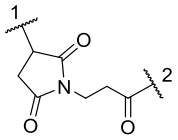
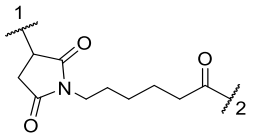
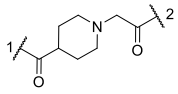
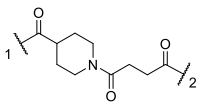
5. The antibody-drug conjugate according to any one of claims 1 to 4, wherein the antibody or antigen-binding fragment thereof is selected from the group consisting of anti-Her-2 antibody, anti-EGFR antibody, anti-VEGFR antibody, anti-PD-L1 antibody, anti-PD-1 antibody, anti-CTLA-4 antibody and anti-Trop-2 antibody.

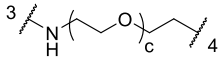
6. The antibody-drug conjugate according to any one of claims 1 to 5, wherein M is a covalent bond or selected from the group consisting of the following structures:

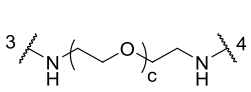
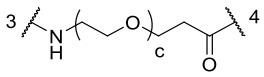
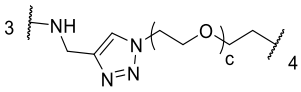
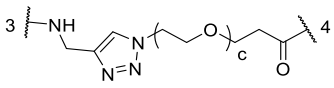
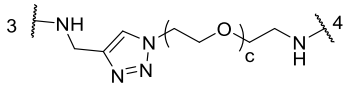
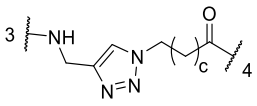

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and

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wherein, each a is independently an integer selected from 1 to 5, b is an integer selected from 1 to 3, Position 1 of M is connected to Ab, and Position 2 of M is connected to X.

7. The antibody-drug conjugate according to any one of claims 1 to 6, wherein M is a covalent bond or selected from the group consisting of the following structures:

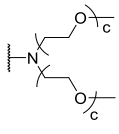

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8. The antibody-drug conjugate according to any one of claims 1 to 7, wherein X is a covalent bond or selected from the group consisting of C_{1-6} alkylene, -NH-$(CH_2)_c$-C(O)-, ,

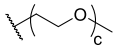
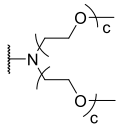

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and

;

wherein, each c is independently an integer selected from 1 to 10; Position 3 of X is connected to M, and Position 4 of X is connected to Aa.

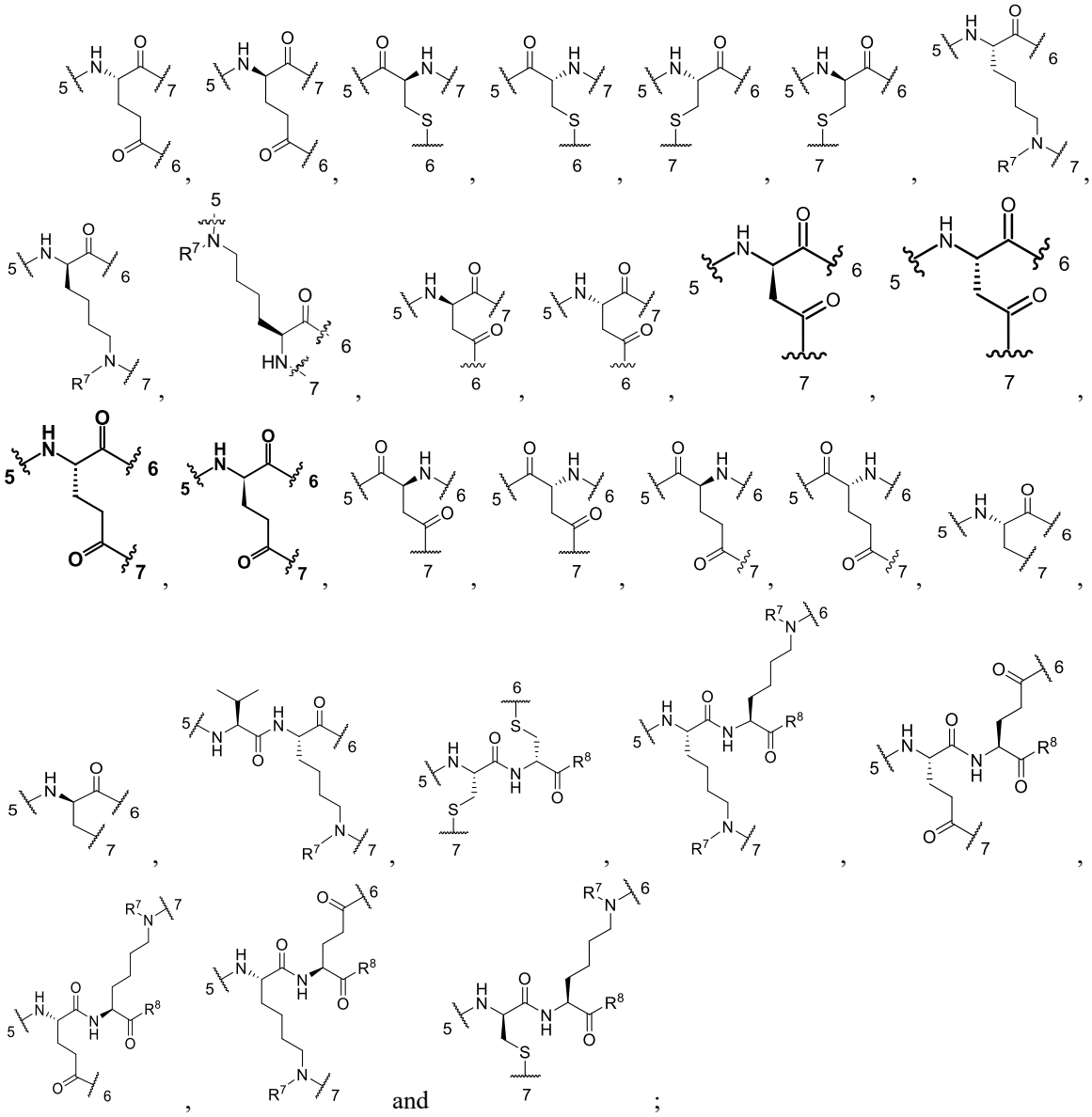
9. The antibody-drug conjugate according to any one of claims 1 to 8, wherein Aa is selected from the group consisting of an amino acid fragment, and a peptide fragment formed of two or more amino acids, wherein the amino acid is selected from the group consisting of Gly, Phe, Ala, Val, Ser, Thr, His, Trp, Cys, Asp, Glu, Lys, Tyr and Arg, optionally, each amino acid is independently modified by C_{1-6} alkyl or a polyethylene glycol hydrophilic structural unit;

preferably, the polyethylene glycol hydrophilic structural unit is selected from the group consisting of and , wherein each c is independently an integer selected from 1 to 10.

10. The antibody-drug conjugate according to any one of claims 1 to 9, wherein Aa is selected from the group consisting of a fragment of the following amino acids, and a peptide fragment formed of any combination of two or more of them: Gly, Phe, Ala, Val, Cys, Asp, Glu, Lys and Arg, and each amino acid is independently optionally modified by C_{1-6} alkyl or a polyethylene glycol hydrophilic structural unit;

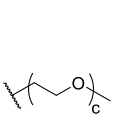
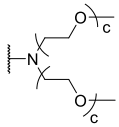
preferably, the polyethylene glycol hydrophilic structural unit is selected from the group consisting of  and , wherein each c is independently an integer selected from 1 to 10.

11. The antibody-drug conjugate according to any one of claims 1 to 10, wherein Aa is selected from the group consisting of the following structures:



wherein, each R^7 is independently selected from the group consisting of H, C_{1-6} alkyl and a polyethylene glycol hydrophilic structural unit; each R^8 is independently selected from the group consisting of hydroxyl and a polyethylene glycol hydrophilic structural unit; Position 5 is connected to X, Position 6 is connected to one of L^1 and L^2, and Position 7 is connected to the other of L^1 and L^2; preferably, Position 5 is connected to X, Position 6 is connected to L^1, and Position 7 is connected to L^2;

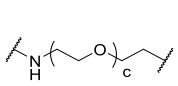
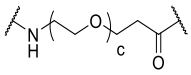
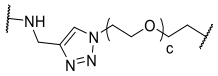
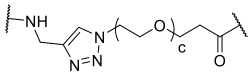
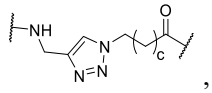
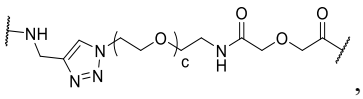
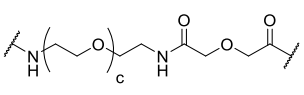
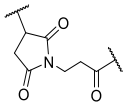
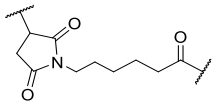
preferably, the polyethylene glycol hydrophilic structural unit is selected from the group consisting of

 and , wherein each c is independently an integer selected from 1 to 10.

12. The antibody-drug conjugate according to any one of claims 1 to 11, wherein L^1 is a covalent bond or a non-cleavable linker or cleavable linker, wherein the cleavable linker is capable of being cleaved by an enzyme present in a pathological environment, and the enzyme is selected from the group consisting of protease, phosphatase, pyrophosphatase, β-glucuronidase, β-galactosidase and sulfatase.

13. The antibody-drug conjugate according to any one of claims 1 to 12, wherein L^1 is a covalent bond or $-L^a-L^b-L^c-$, wherein:

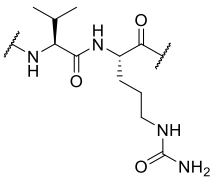
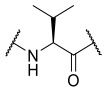
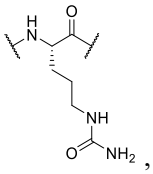
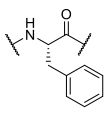
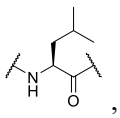
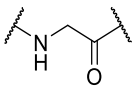
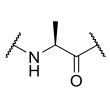
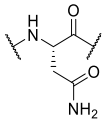
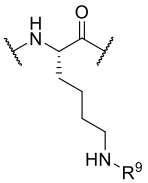
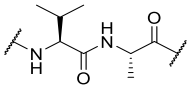
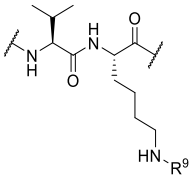
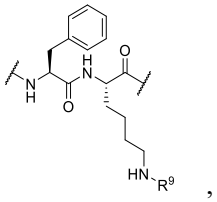
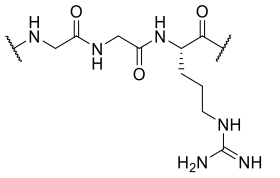
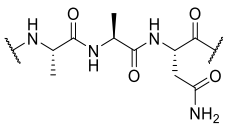
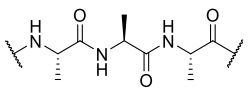
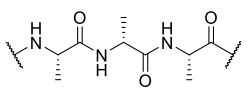
L^a is a covalent bond or selected from the group consisting of C_{1-6} alkylene, $-NH-(CH_2)_c-C(O)-$,

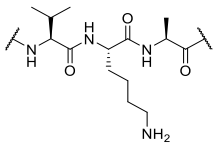
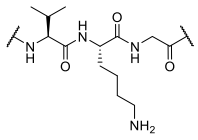
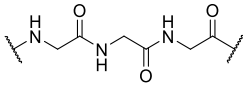
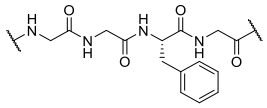
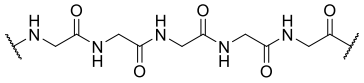
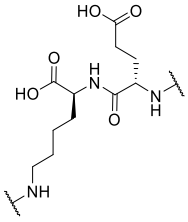
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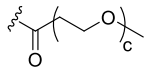
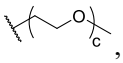
wherein, each c is independently an integer selected from 1 to 10;

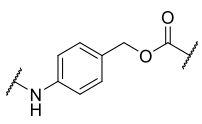
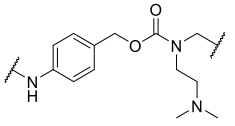
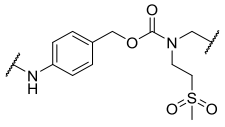
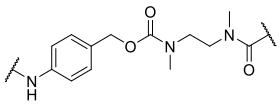
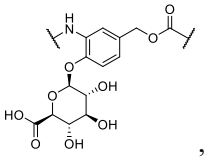
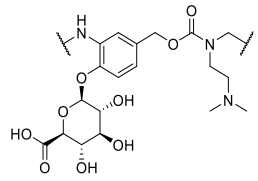
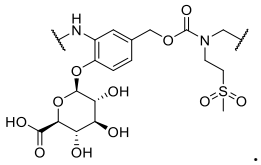
L^b is a covalent bond or selected from the group consisting of an amino acid fragment, and a peptide fragment formed of two or more amino acids, wherein the amino acid is selected from the group consisting of Val, Cit, Glu, Lys, Arg, Phe, Leu, Gly, Ala and Asn;

preferably, L^b is a covalent bond or selected from the group consisting of the following structures:

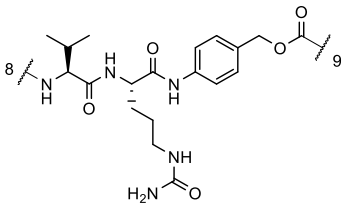
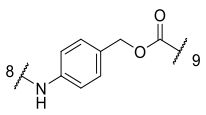
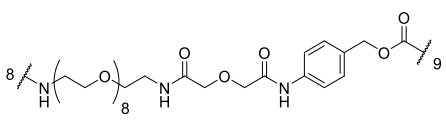
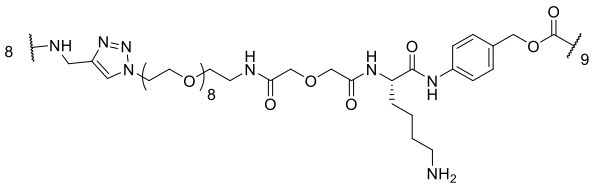
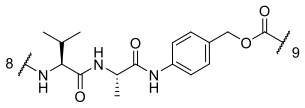
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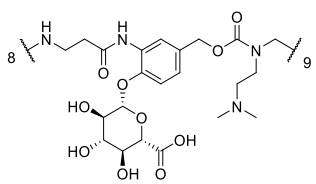
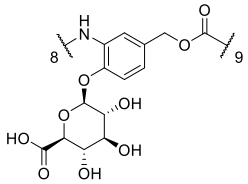
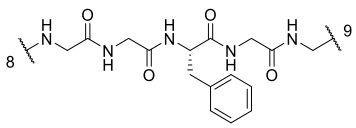
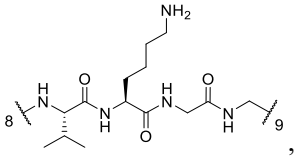
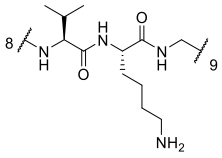
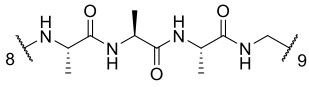
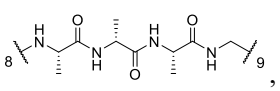
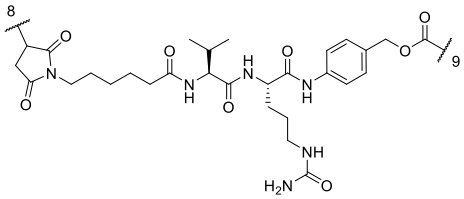
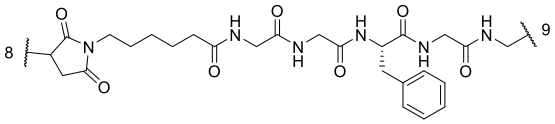
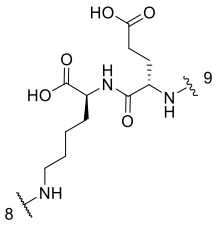
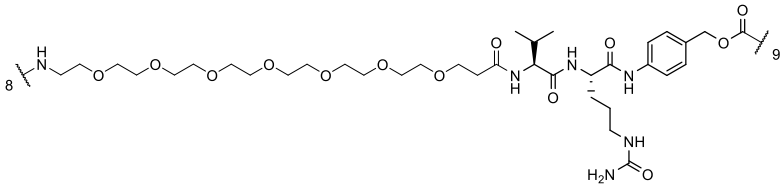

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wherein, R^9 is selected from the group consisting of H, acetyl, fluorenyloxycarbonyl, trityl and a polyethylene glycol hydrophilic structural unit; preferably, the polyethylene glycol hydrophilic structural unit is  or , wherein each c is independently an integer selected from 1 to 10; L^c is a covalent bond, -NH-CH_2- or selected from the group consisting of the following structures:


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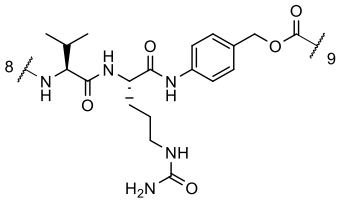
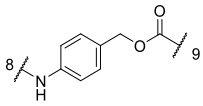
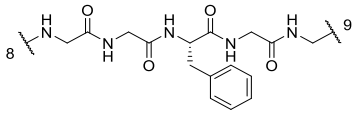
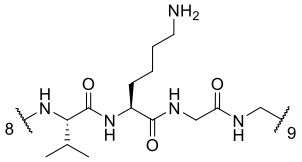
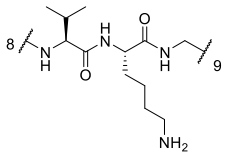
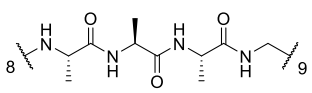
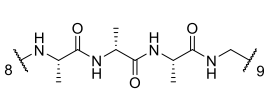
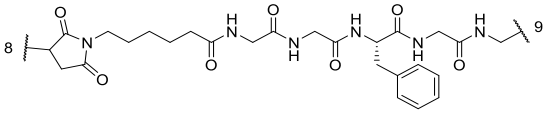
14. The antibody-drug conjugate according to any one of claims 1 to 13, wherein L^1 is a covalent bond or selected from the group consisting of the following structures:

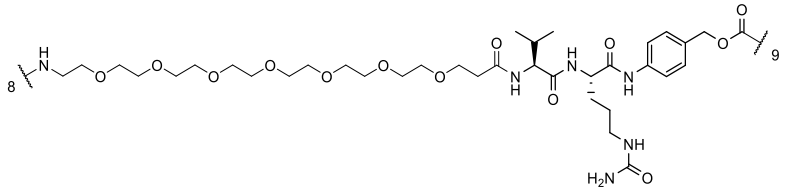
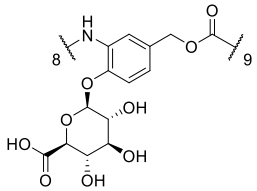
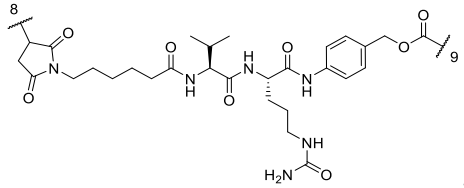

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and ;

wherein, Position 8 is connected to Aa, and Position 9 is connected to D^1;

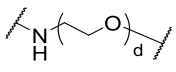
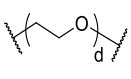
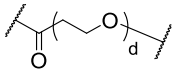
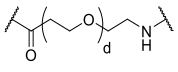
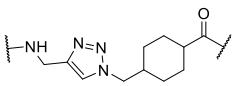
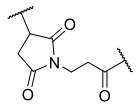
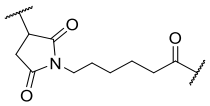
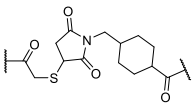
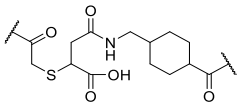
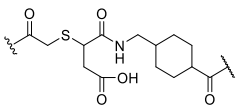
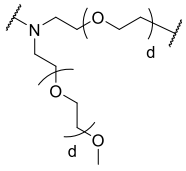
preferably, L^1 is:


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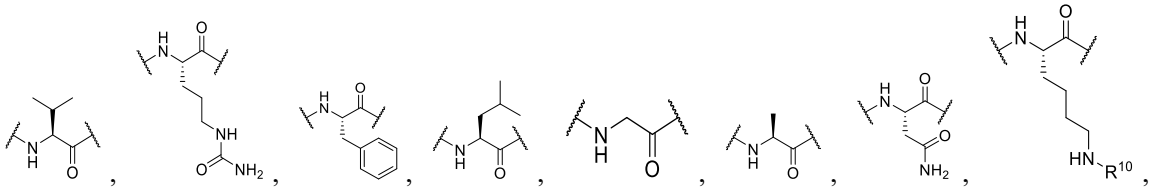

,  or .

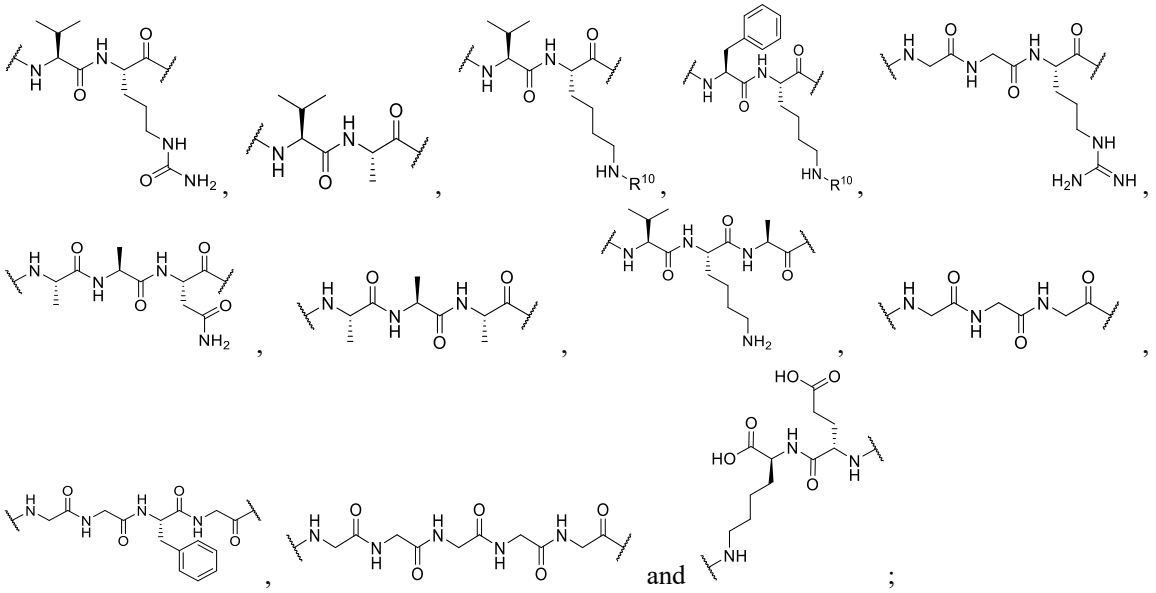
15. The antibody-drug conjugate according to any one of claims 1 to 14, wherein L^2 is a non-cleavable linker or a cleavable linker, wherein the cleavable linker is capable of being cleaved by an enzyme present in a pathological environment, and the enzyme is selected from the group consisting of protease, phosphatase, pyrophosphatase, *β*-glucuronidase, *β*-galactosidase and sulfatase.

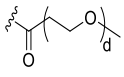
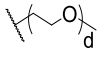
16. The antibody-drug conjugate according to any one of claims 1 to 15, wherein L^2 is $-L^d-L^e-L^f-$, wherein:

L^d is a covalent bond or a divalent structure consisting of one or more selected from the following: C_{1-6} alkylene, , $-C(O)-(CH_2)_d-NH-$, , , , , , , , ,  and ; wherein, each d is independently an integer selected from 1 to 12;

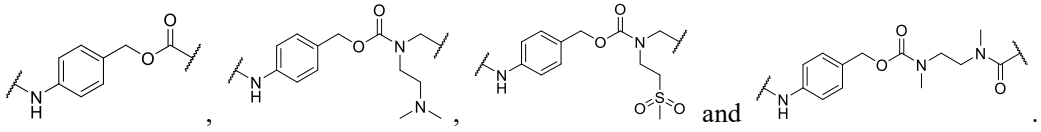
L^e is a covalent bond or selected from the group consisting of an amino acid fragment, and a peptide fragment formed of two or more amino acids, wherein the amino acid is selected from the group consisting of Val, Cit, Glu, Lys, Arg, Phe, Leu, Gly, Ala and Asn;

preferably, L^e is a covalent bond or selected from the group consisting of the following structures: ,

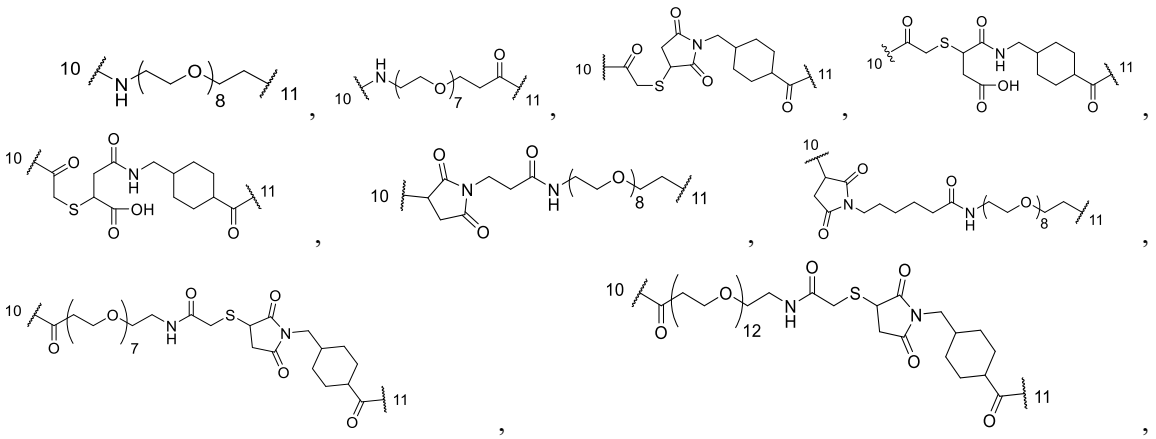


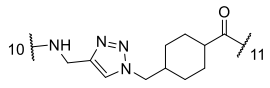
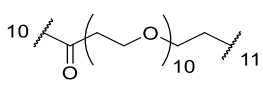
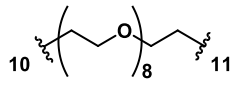
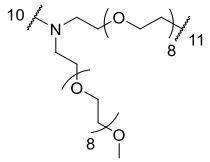
wherein, each R^{10} is independently selected from the group consisting of H, acetyl, fluorenyloxycarbonyl, trityl and a polyethylene glycol hydrophilic structural unit; preferably, the polyethylene glycol hydrophilic structural unit is  or ; each d is independently an integer selected from 1 to 10;

L^f is a covalent bond, -NH-CH_2- or selected from the group consisting of the following structures:



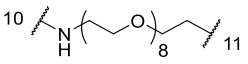
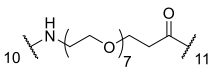
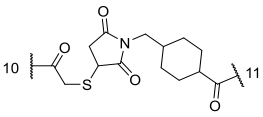
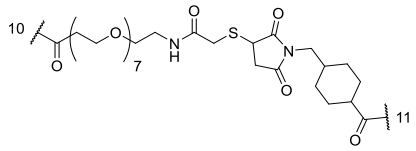
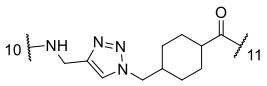
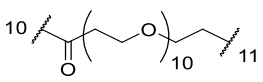
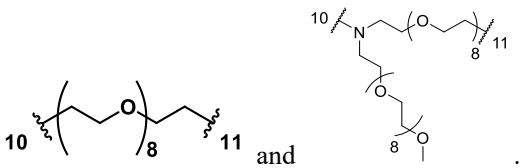
17. The antibody-drug conjugate according to any one of claims 1 to 16, wherein L^2 is selected from the group consisting of the following structures:

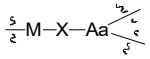


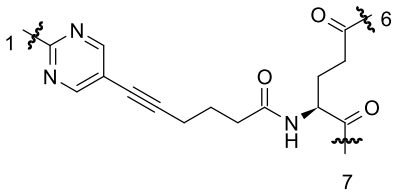
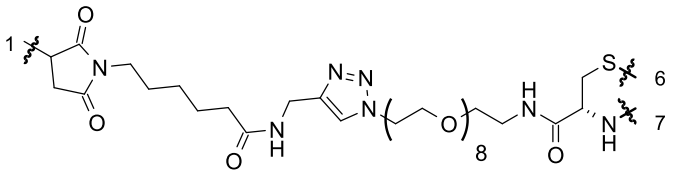
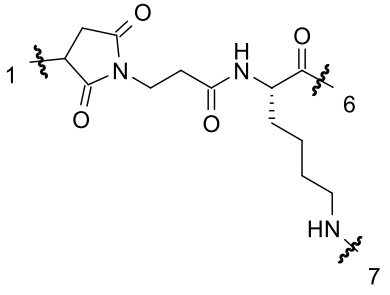
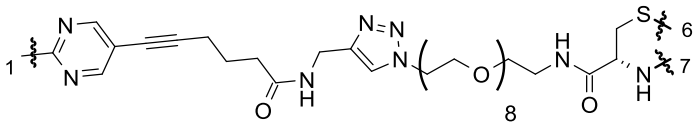

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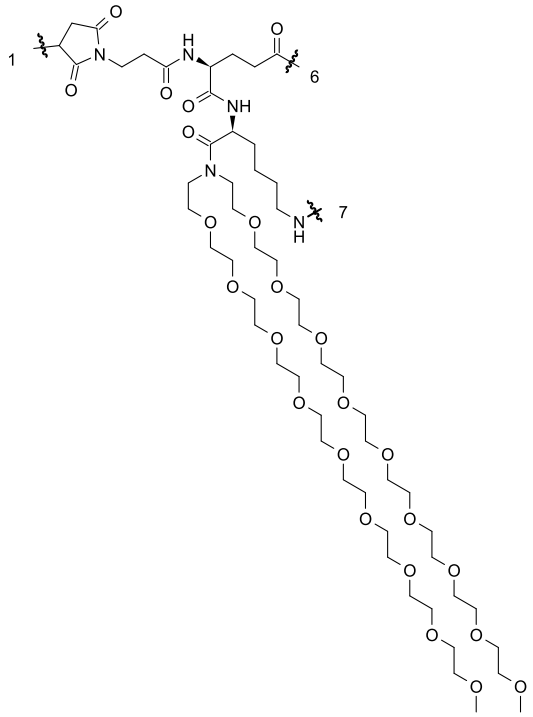
wherein, Position 10 is connected to Aa, and Position 11 is connected to D^2;

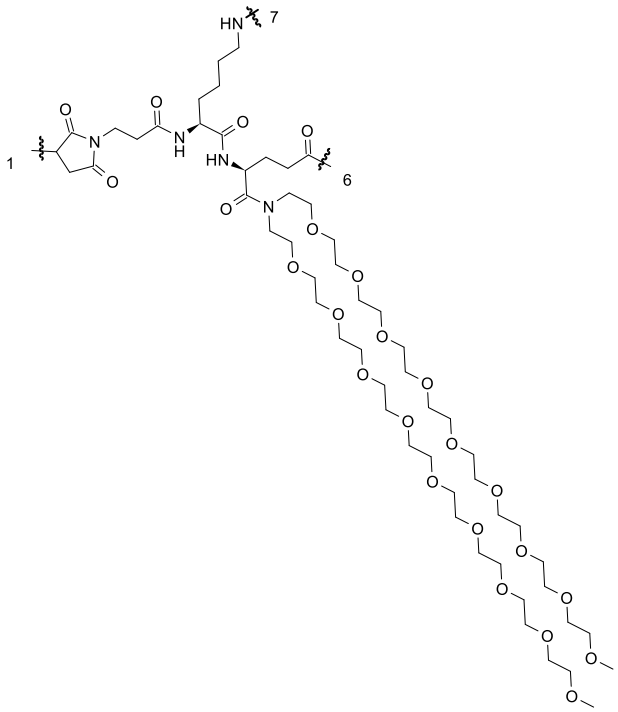
preferably, L^2 is selected from the group consisting of the following structures:

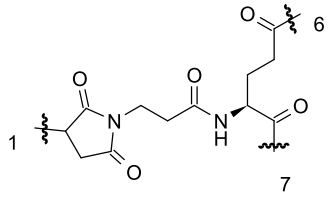

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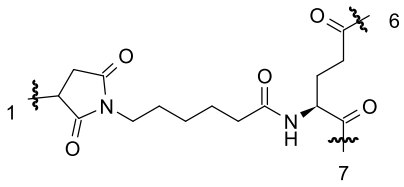
18. The antibody-drug conjugate according to any one of claims 1 to 17, wherein  is selected from the group consisting of:

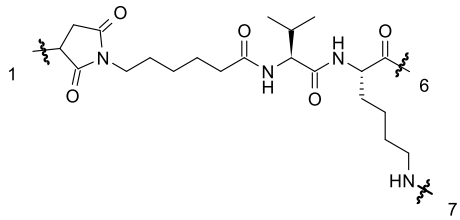





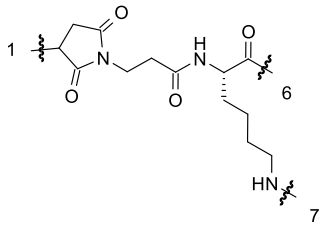


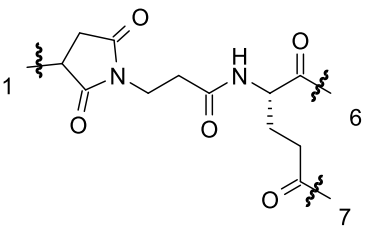


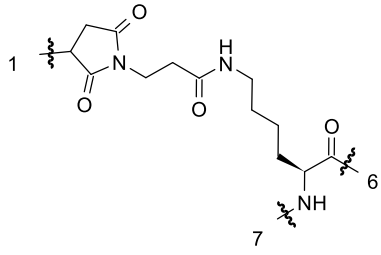


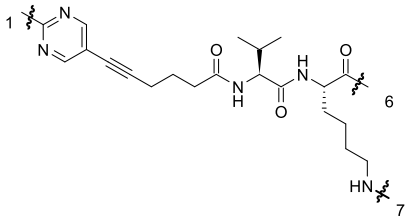


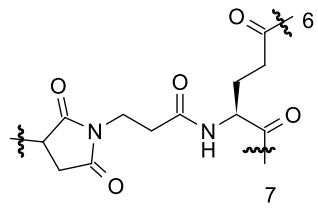


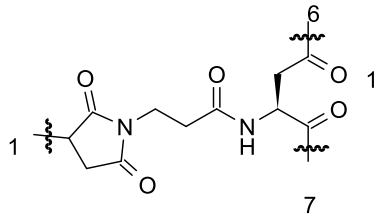


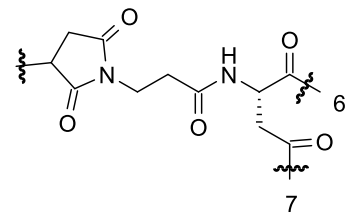


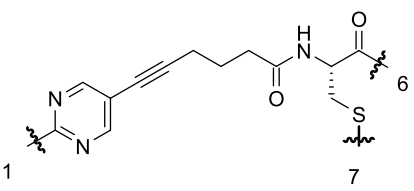


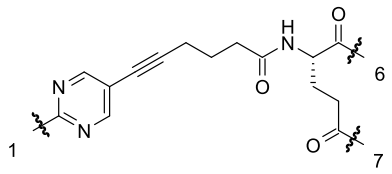


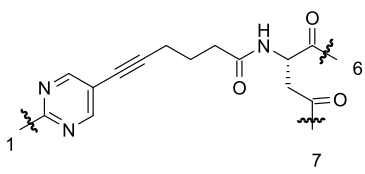


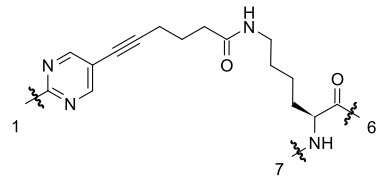


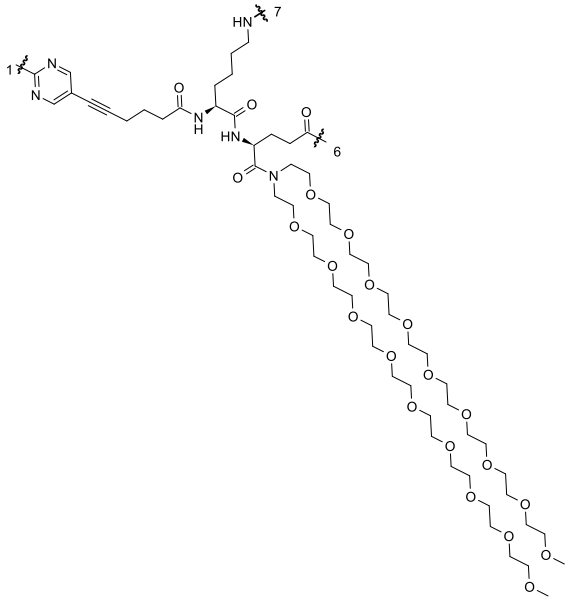


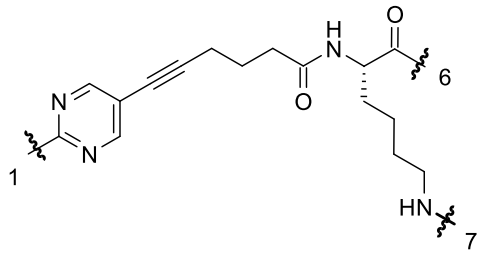


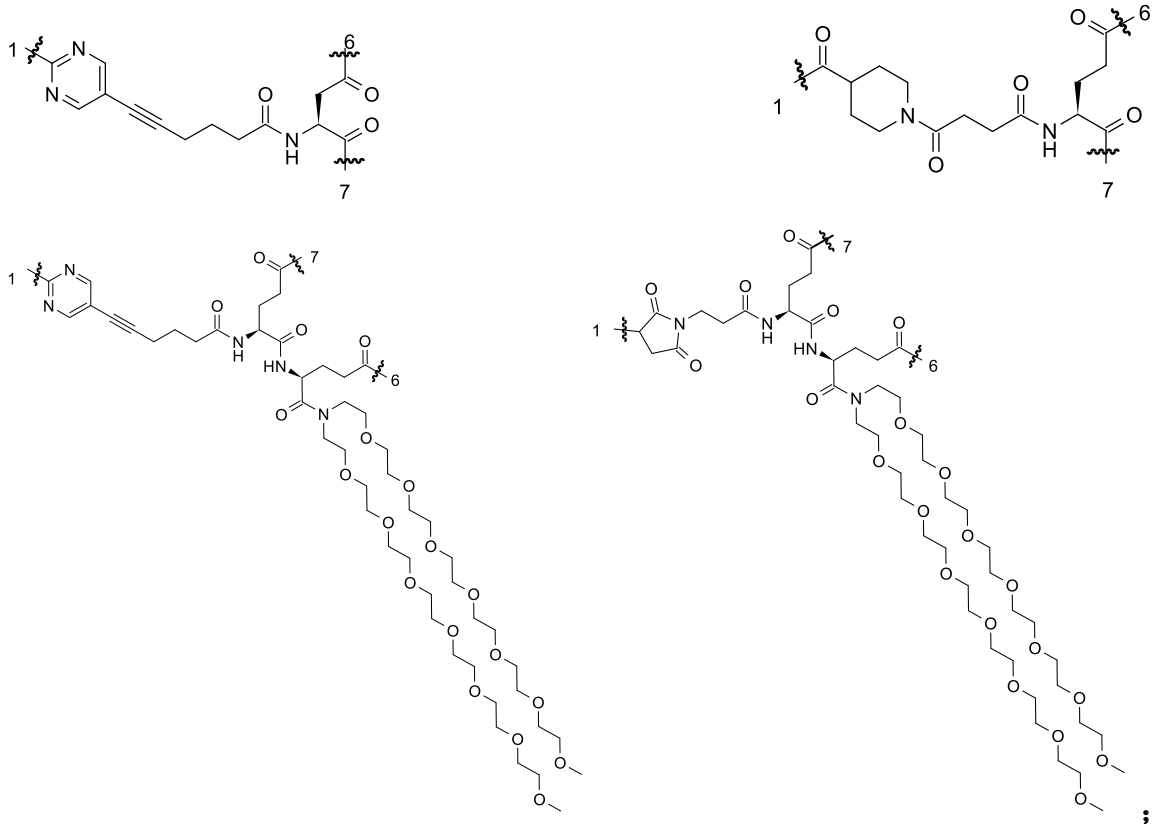




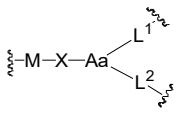


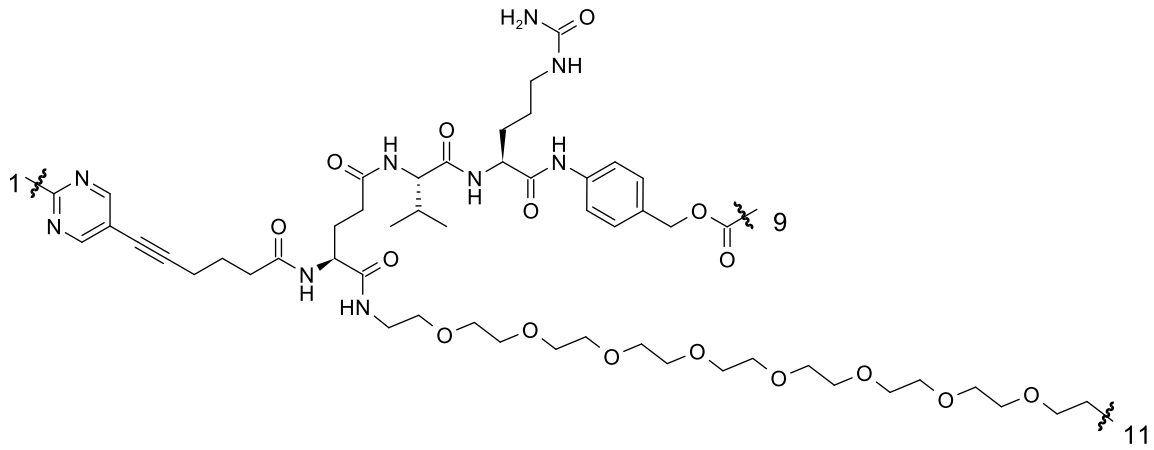


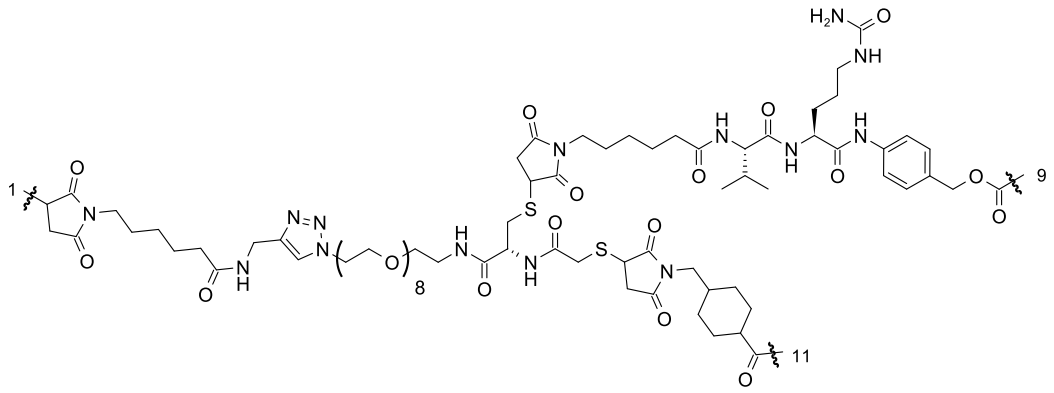


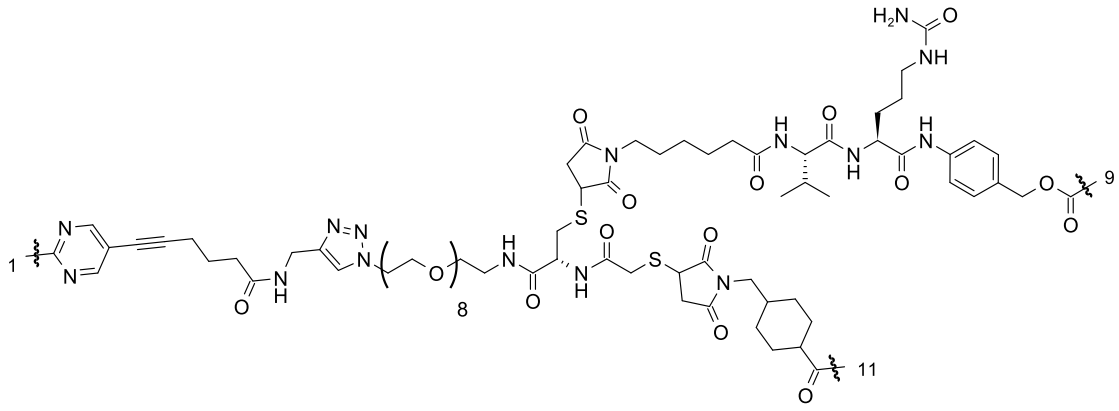


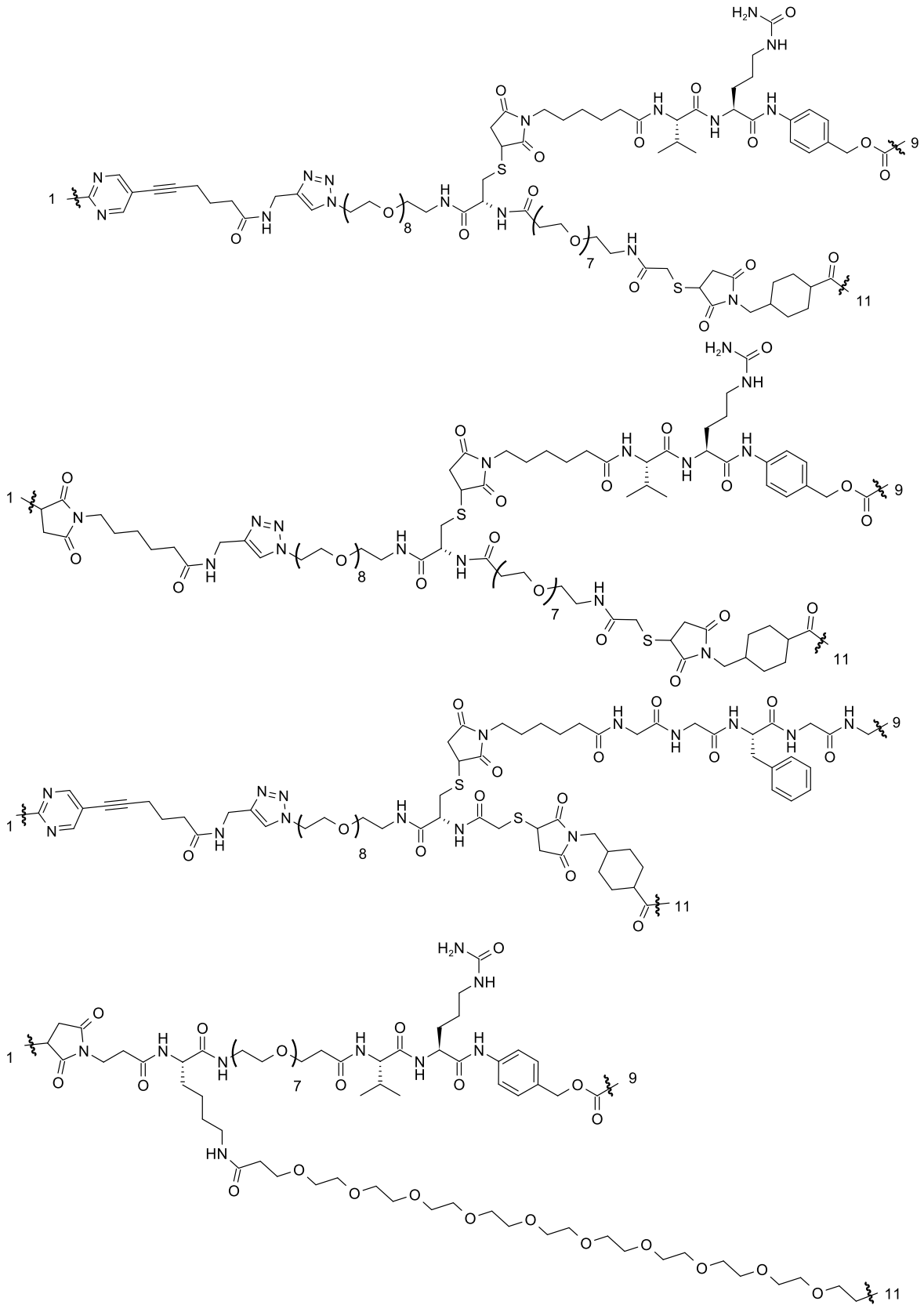
wherein, Position 1 is connected to Ab, Position 6 is connected to L^1 and Position 7 is connected to L^2.

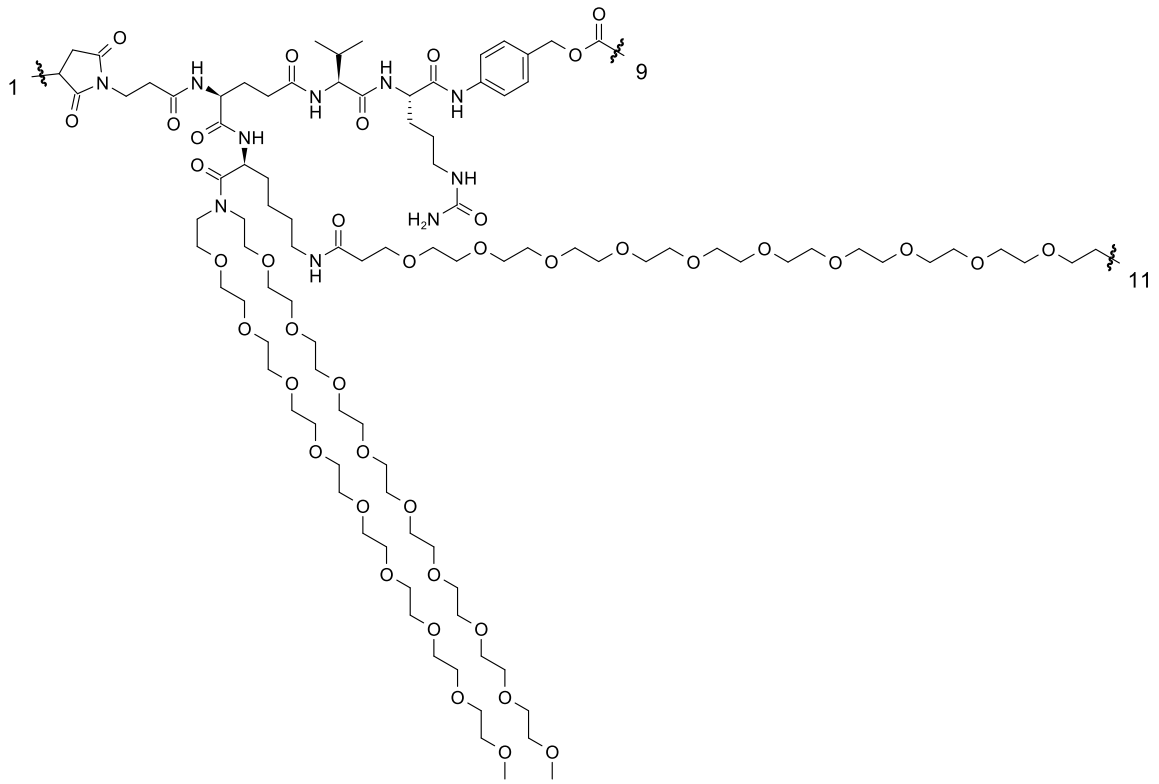
19. The antibody-drug conjugate according to any one of claims 1 to 18, wherein  is selected from the group consisting of:

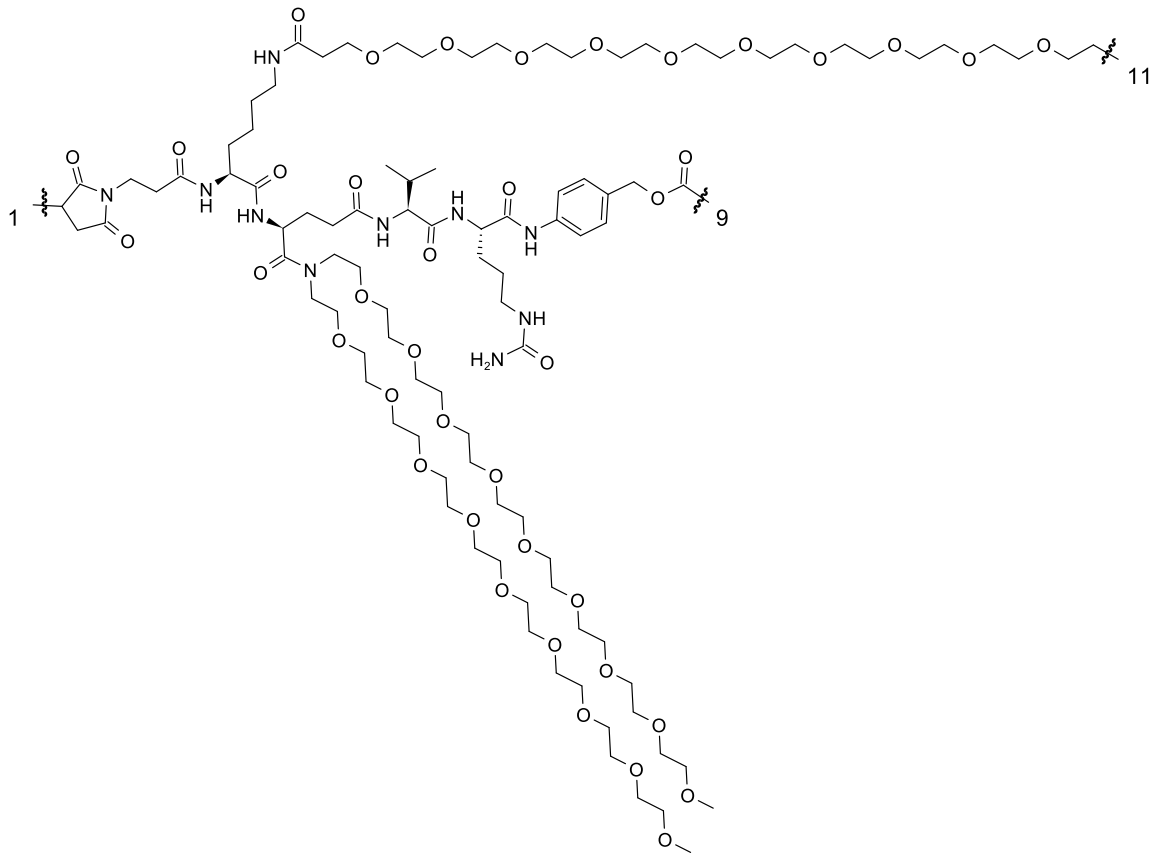


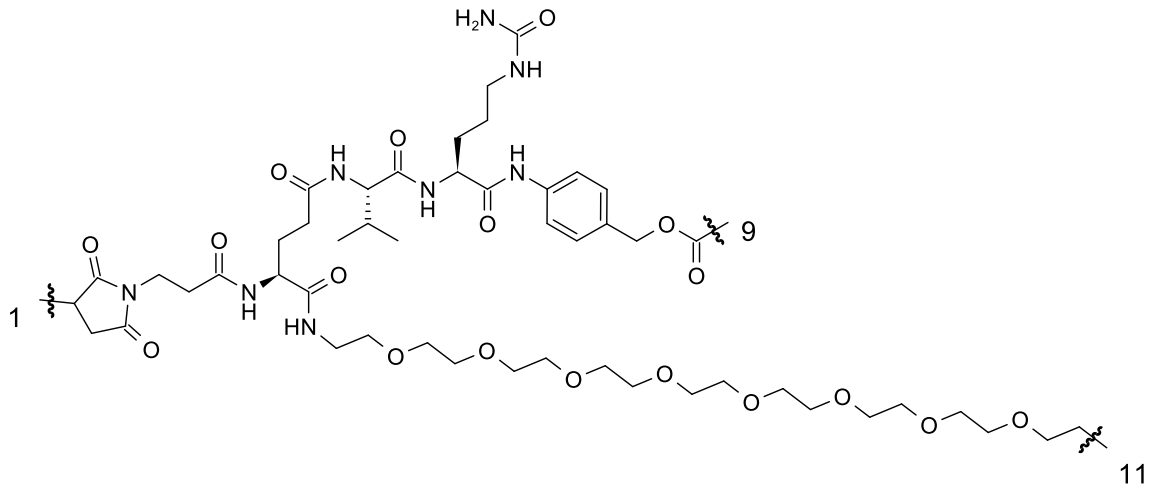


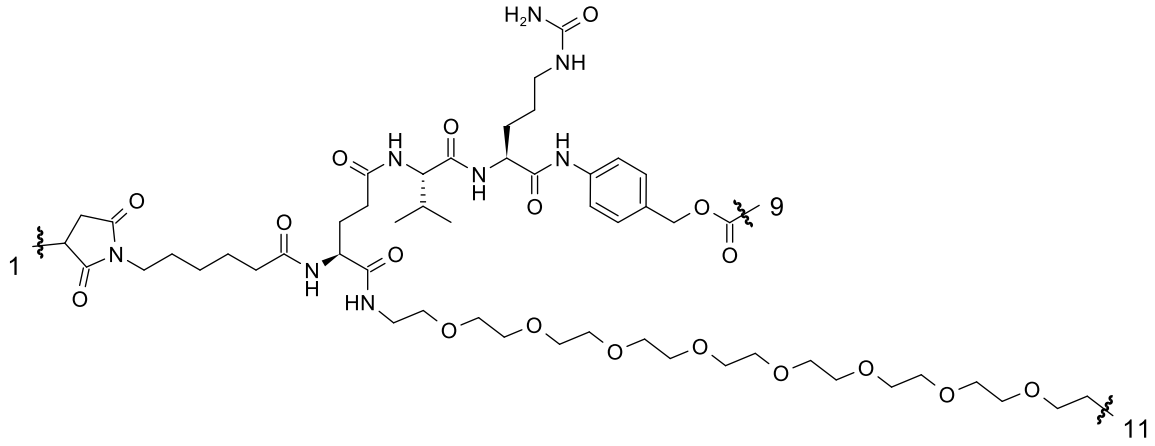


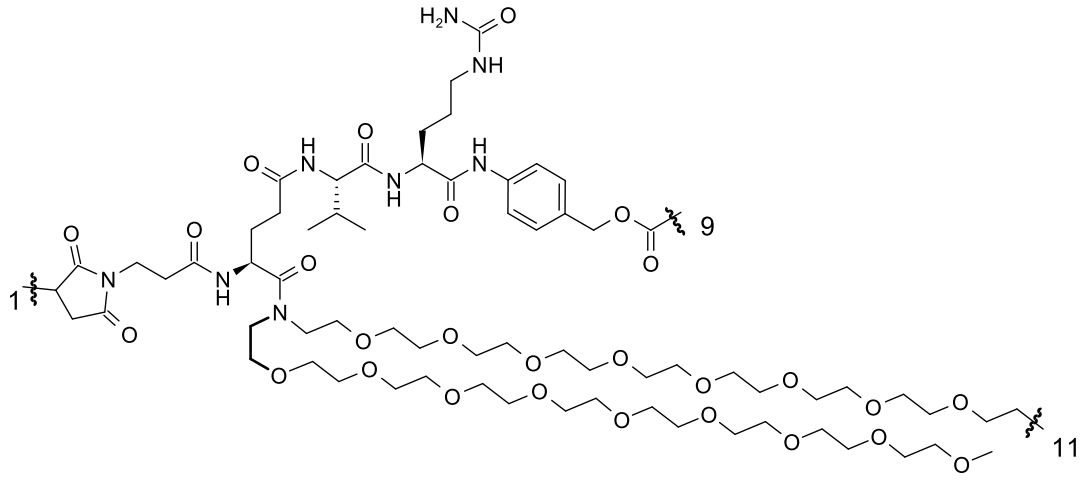


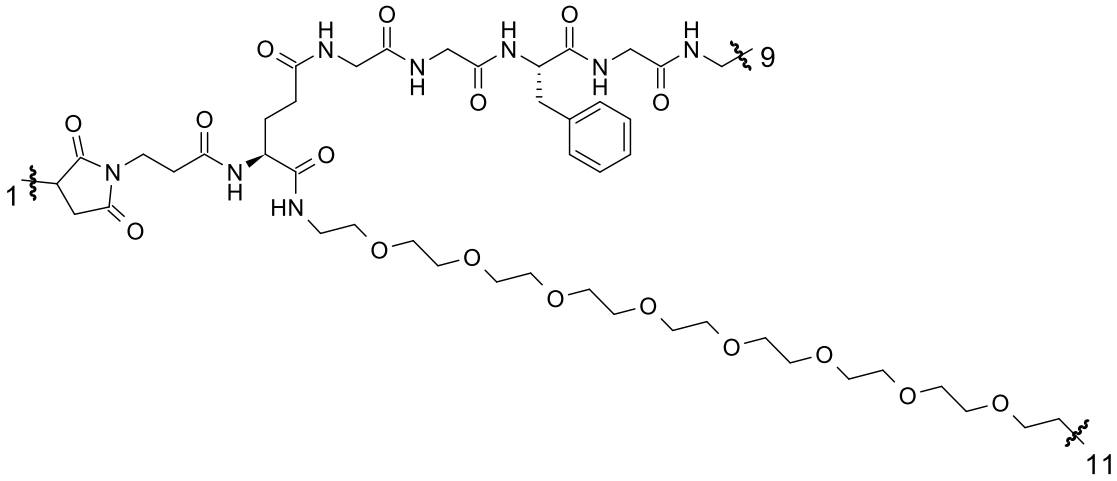


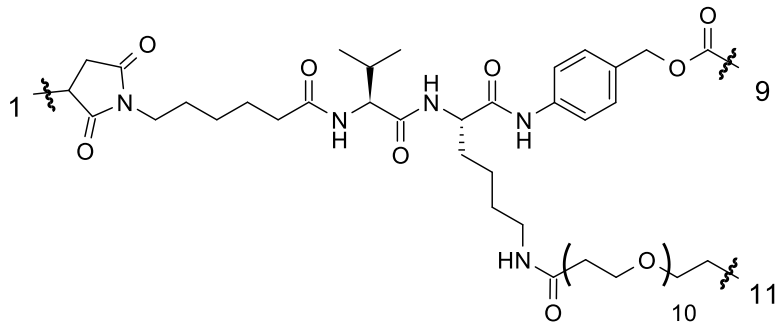


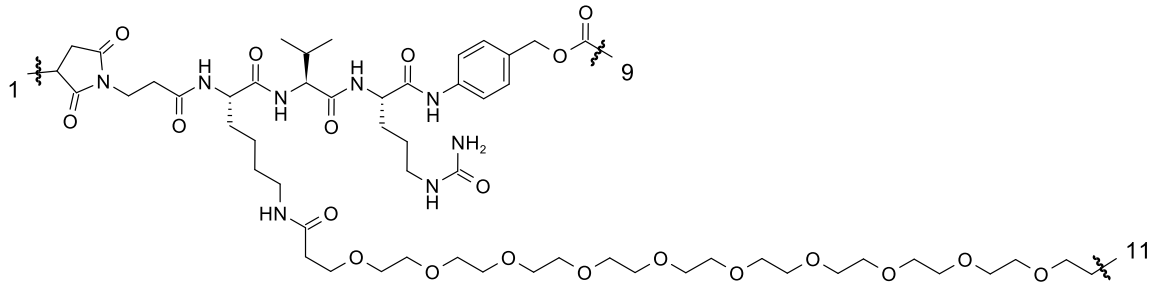


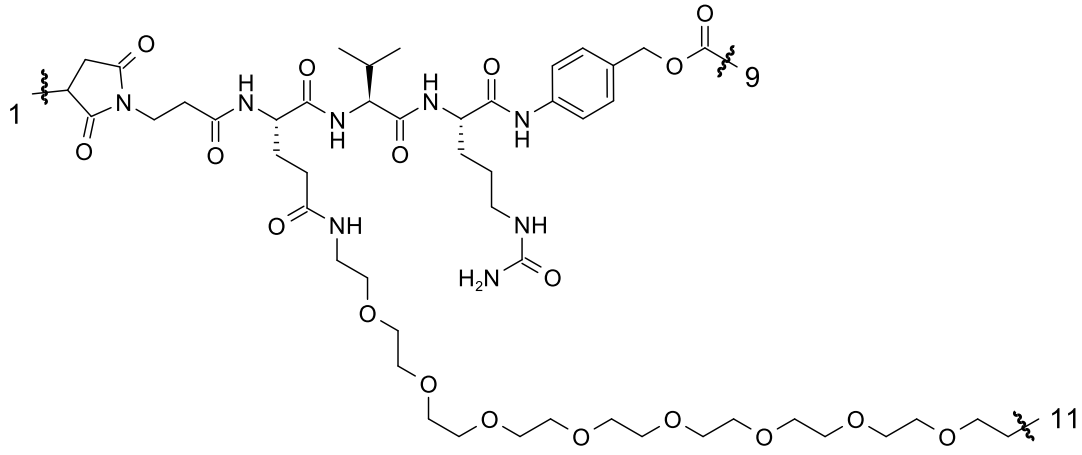


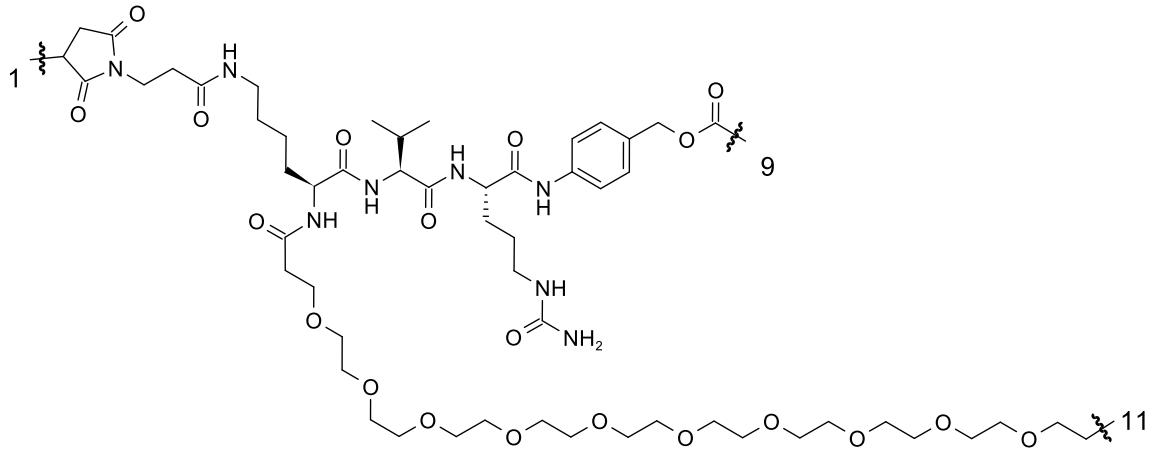


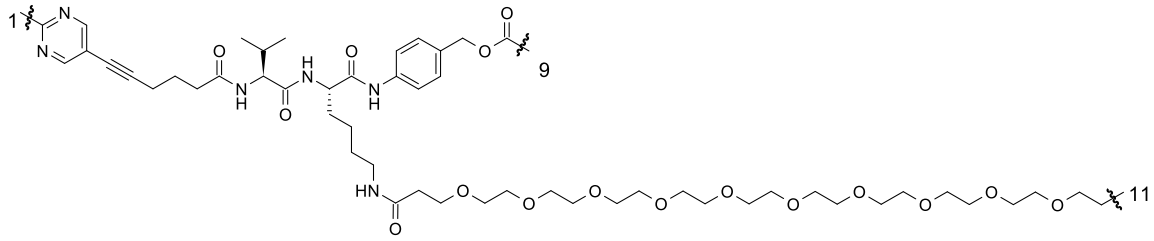


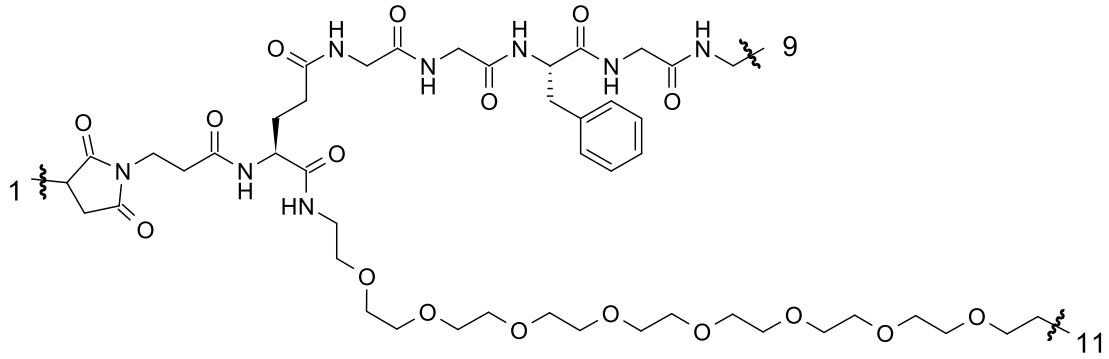


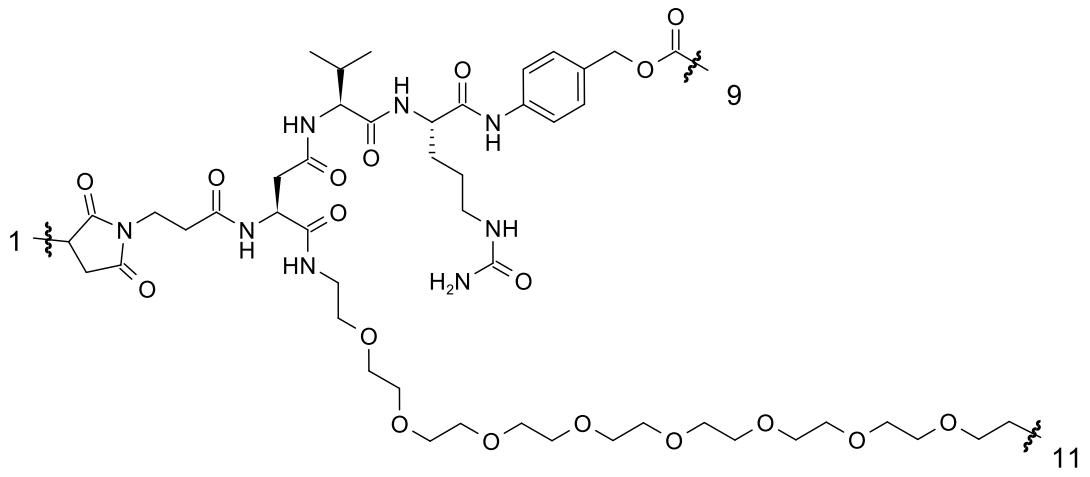


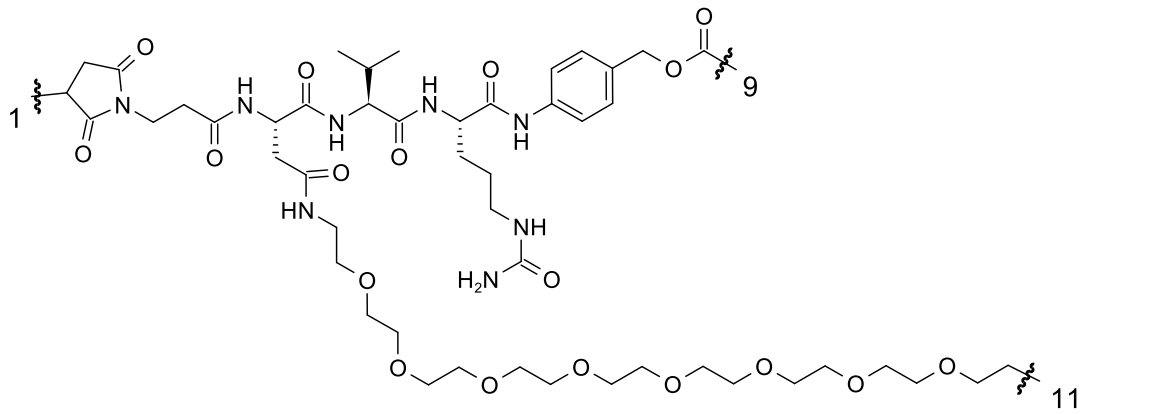


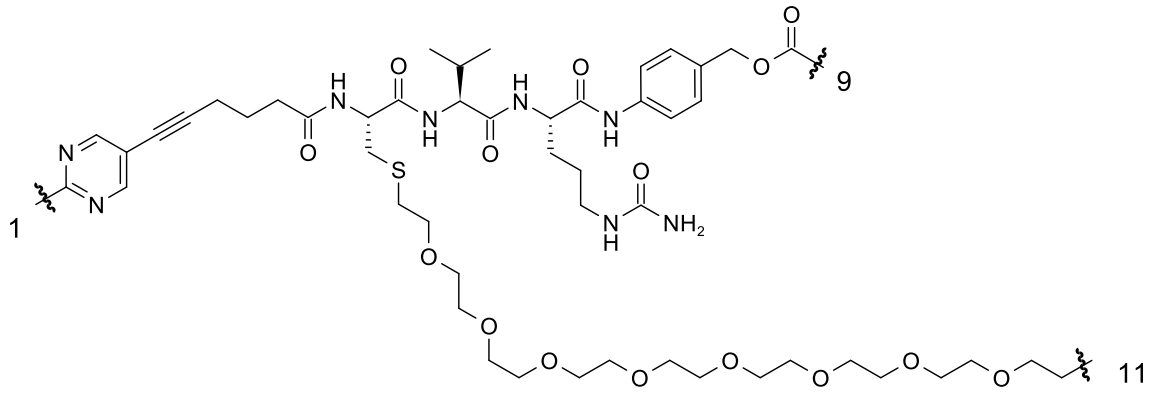


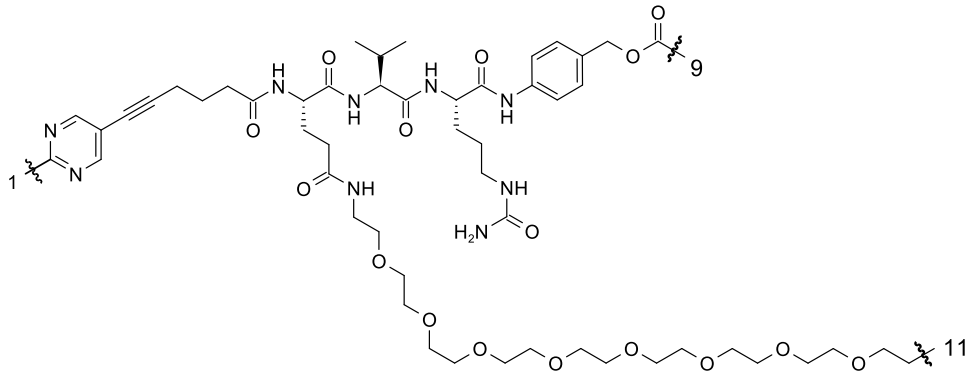


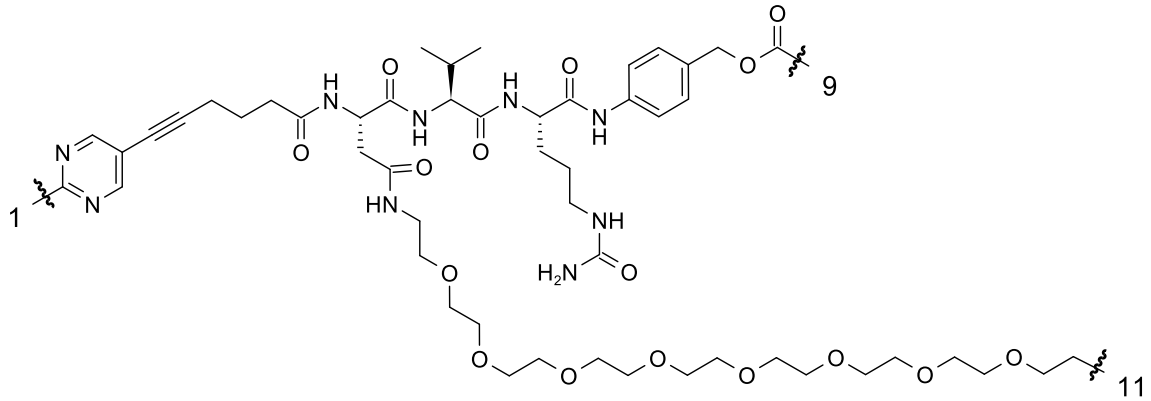


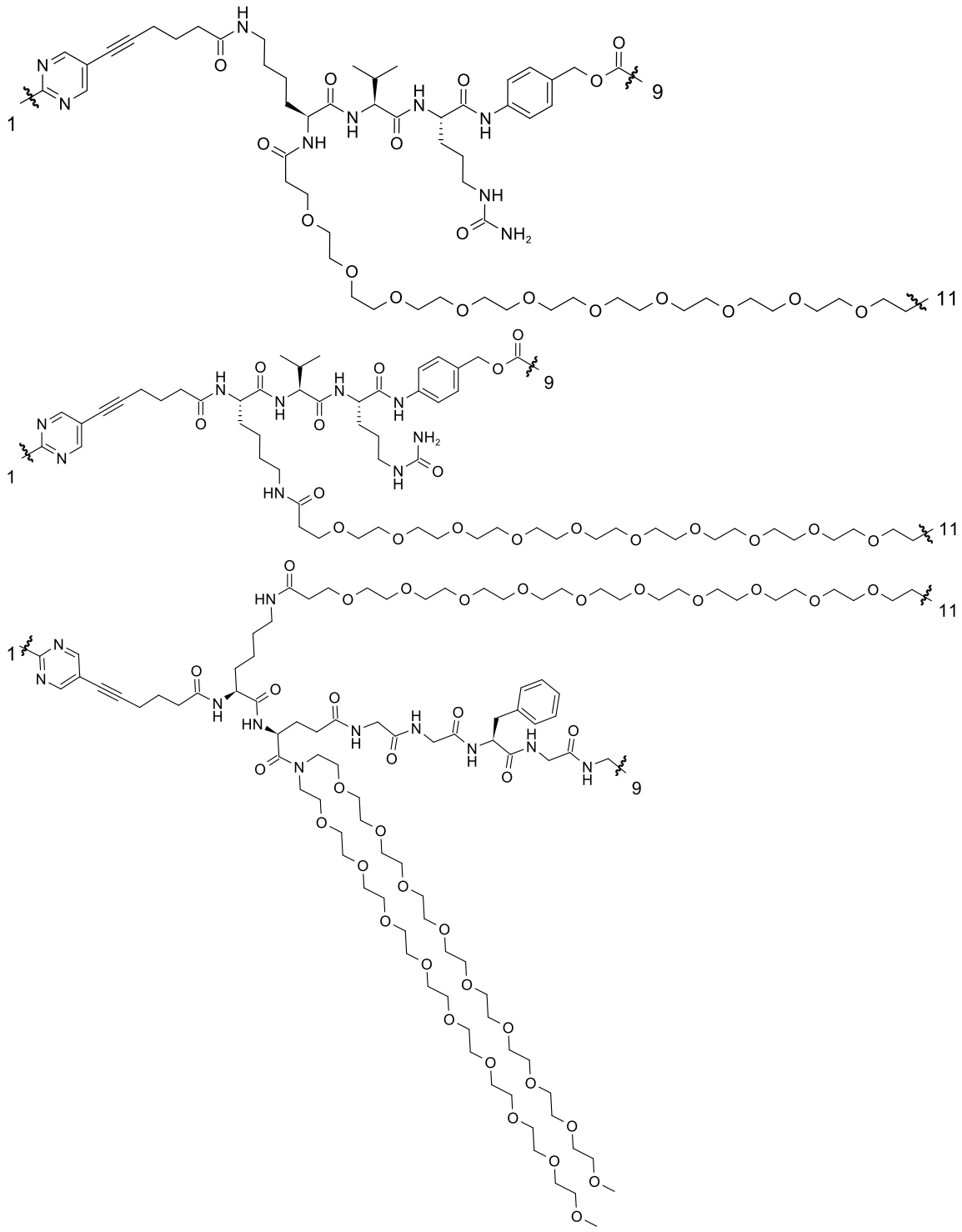


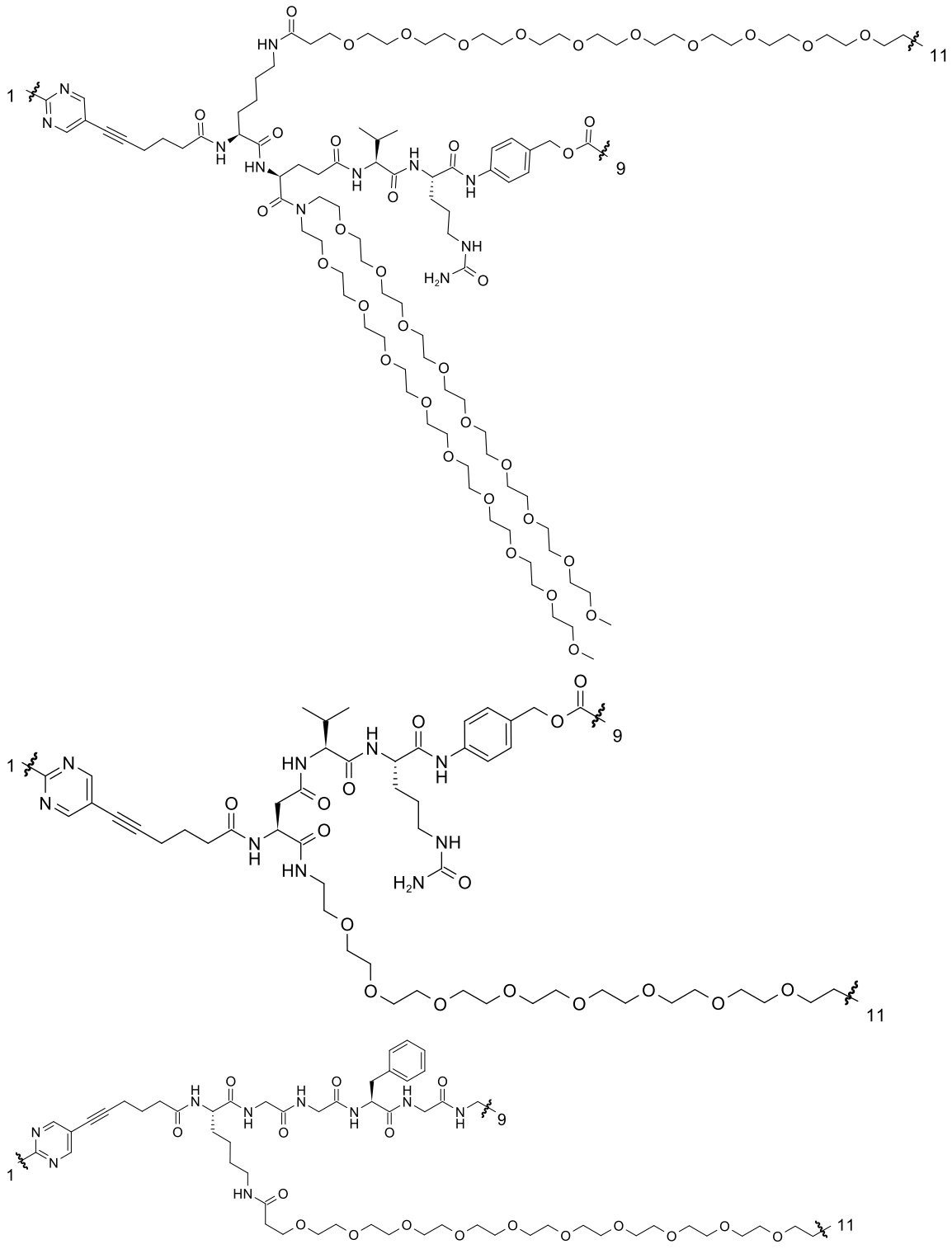


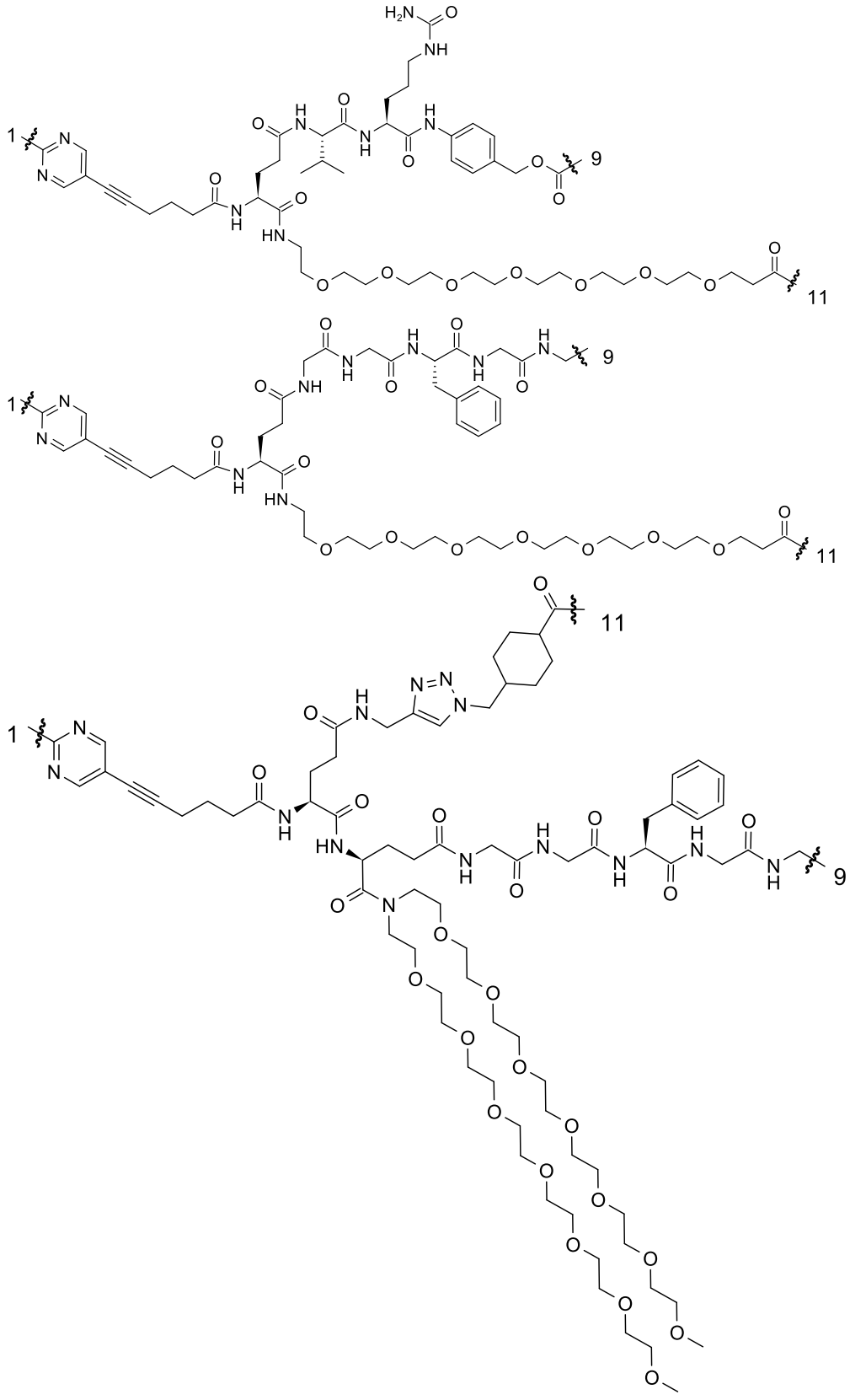


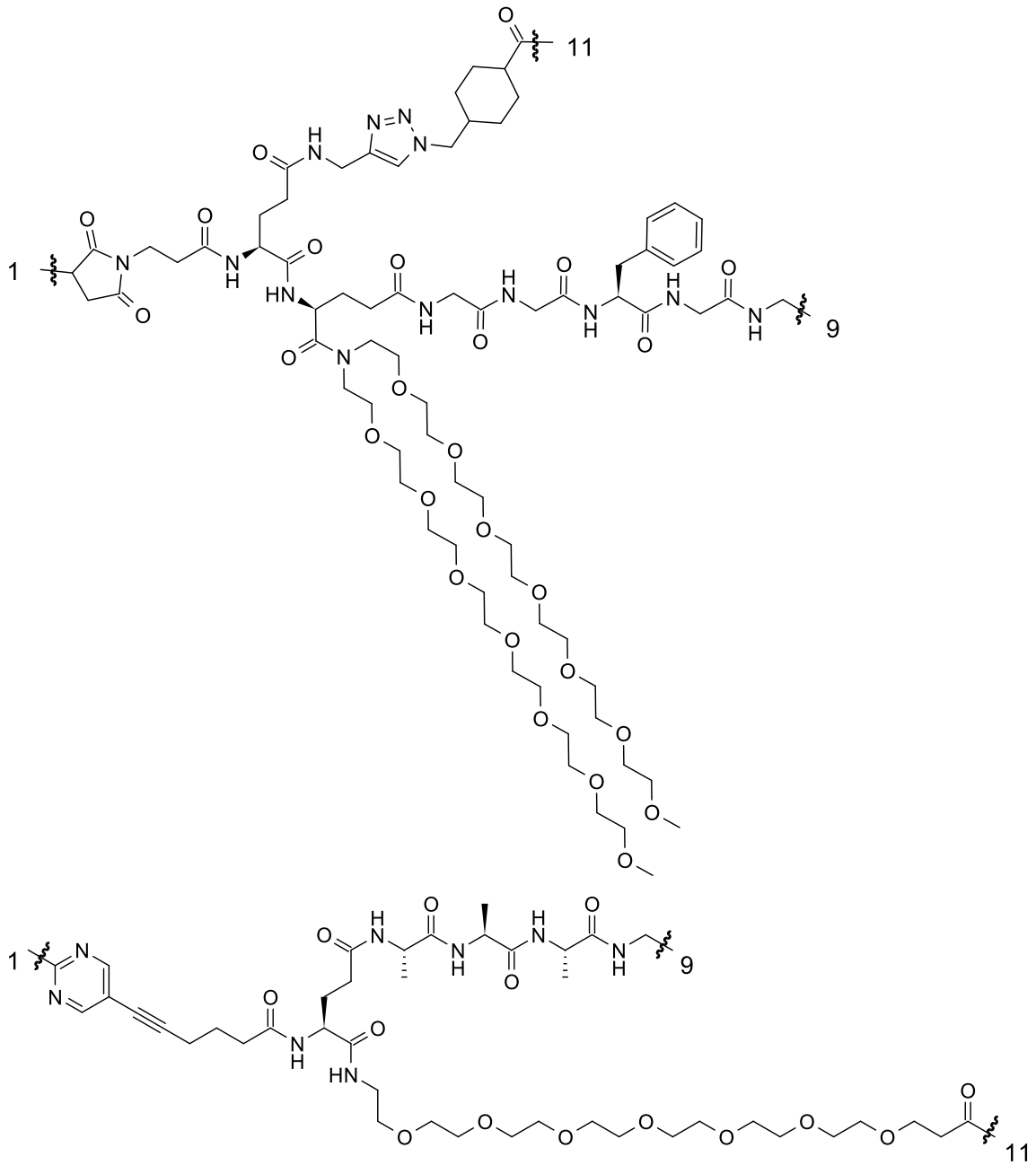


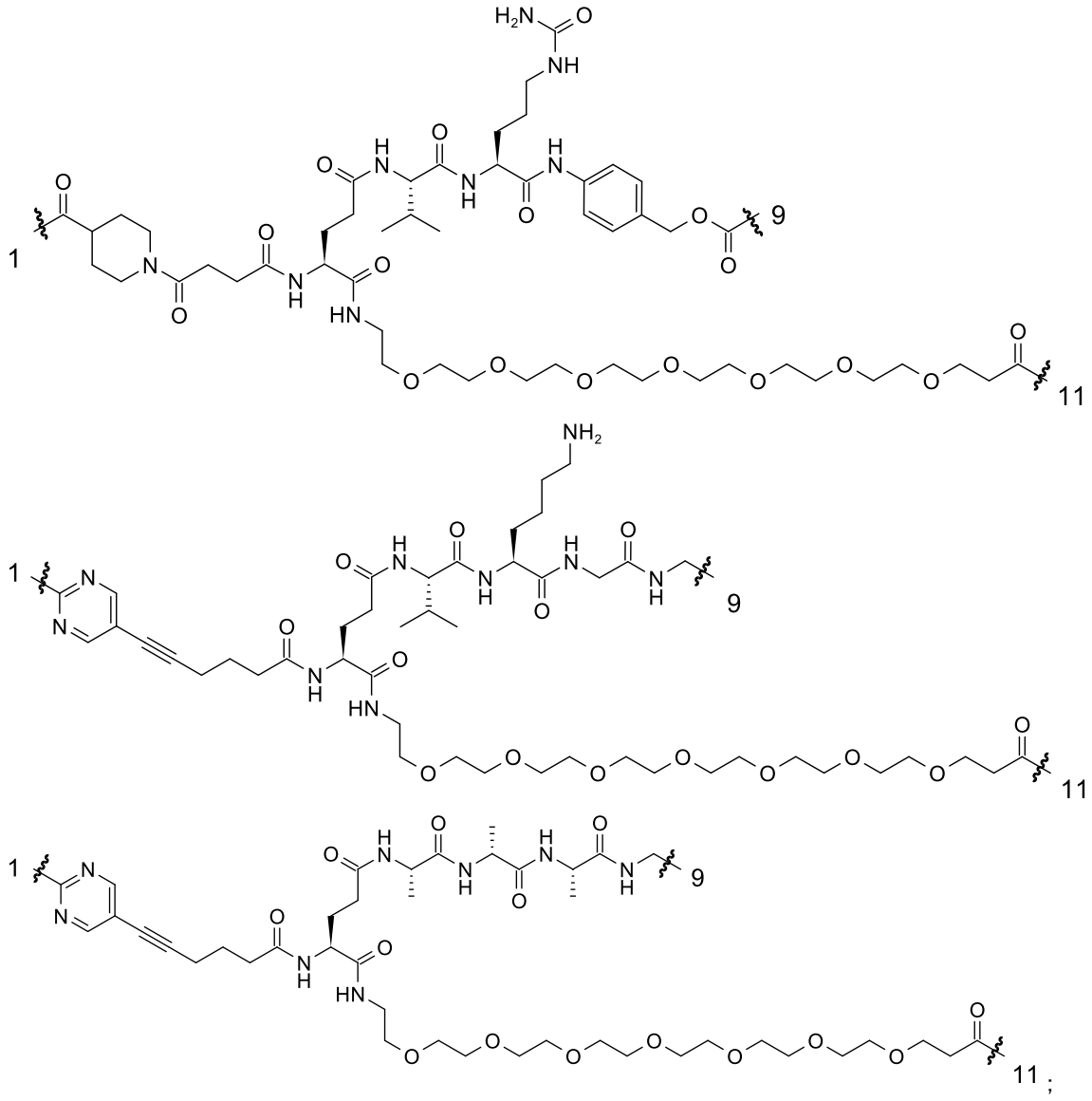










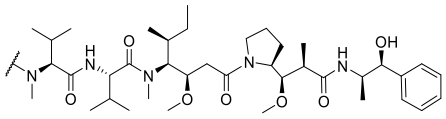


wherein, Position 1 is connected to Ab, Position 9 is connected to D^1 and Position 11 is connected to D^2.

20. The antibody-drug conjugate according to any one of claims 1 to 19, wherein D^1 is selected from the group consisting of cytotoxic drug fragments, and the cytotoxic drug is selected from the group consisting of tubulin inhibitors, DNA damaging agents and topoisomerase inhibitors, the tubulin inhibitor comprises dolastatin, auristatins, maytansines, tubulysins and cryptomycins, the DNA damaging agent comprises PBDs, duocarmycins and calicheamicins, and the topoisomerase inhibitor comprises camptothecin and derivatives thereof.

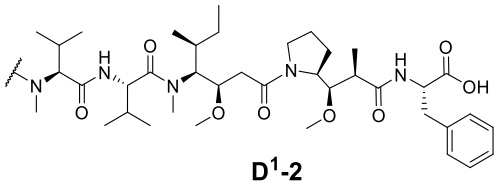
21. The antibody-drug conjugate according to any one of claims 1 to 20, wherein the tubulin inhibitor is selected from the group consisting of dolastatin 10, MMAE, MMAF, maytansine, DM1, DM3 and DM4, and the topoisomerase inhibitor is selected from the group consisting of camptothecin, SN-38, exatecan, topotecan, belotecan, 10-hydroxy-camptothecin, 9-amino-camptothecin, doxorubicin, epirubicin and PNU-159682.

22. The antibody-drug conjugate according to any one of claims 1 to 21, wherein D^1 is selected from the group consisting of the following structures:



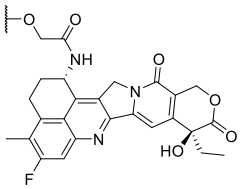
D^1-1

,



D^1-2

and



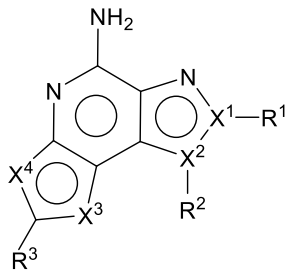
D^1-3

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23. The antibody-drug conjugate according to any one of claims 1 to 22, wherein D^2 is a TLR agonist fragment, wherein the TLR agonist is selected from the group consisting of TLR2 agonists, TLR4 agonists, TLR6 agonists, TLR7 agonists, TLR8 agonists, TLR7/8 agonists, and TLR9 agonists.

24. The antibody-drug conjugate according to any one of claims 1 to 23, wherein D^2 is a TLR agonist fragment, and the TLR agonist is selected from the group consisting of TLR7 agonists, TLR8 agonists and TLR7/8 agonists.

25. The antibody-drug conjugate according to any one of claims 1 to 24, wherein D^2 is a TLR agonist fragment, and the TLR agonist is a compound represented by Formula (II):



II

wherein,

X^1 is N or C;

X^2 is N or C;

and at least one of X^1 and X^2 is N;

X^3 is selected from the group consisting of O, S and N;

X^4 is selected from the group consisting of O, S, N and CR^4;

R^1 is selected from the group consisting of C_{1-6} alkyl and -C_{1-6} alkylene-O-C_{1-6} alkyl;

R^2 is hydrogen or the formula -L^3-L^4-L^5-L^6;

L^3 is a covalent bond or C_{1-6} alkylene;

L^4 is selected from the group consisting of a covalent bond, C_{3-10} cycloalkyl, 3- to 12-membered heterocyclyl, C_{6-10} aryl, and 5- to 10-membered heteroaryl, and the cycloalkyl, heterocyclyl, aryl and heteroaryl is optionally substituted with one or more groups selected from the group consisting of hydrogen, halogen, cyano, C_{1-6} alkyl, C_{1-6} alkoxy and -C_{1-6} alkylene-NH_2;

L^5 is selected from the group consisting of a covalent bond, -O-, -S-, -C(O)-, -O-C(O)-, -C(O)-O-, -O-C(O)-O-, -NR^5-, -C(O)-NR^5-, -NR^5-C(O)-, -O-C(O)-NR^5-, -NR^5-C(O)-O-, -NR^5-C(O)-NR^5-, -$S(O)_r$-NR^5-, -NR^5-$S(O)_r$- and -NR^5-$S(O)_r$-NR^5-;

L^6 is selected from the group consisting of hydrogen, C_{1-6} alkyl, -$(O\text{-}CH_2CH_2)_n$-O-C_{1-6} alkyl and -C_{1-6} alkylene-$(O\text{-}CH_2CH_2)_n$-O-C_{1-6} alkyl, and the alkyl is optionally substituted with one or more groups selected from the group consisting of hydrogen, halogen, hydroxyl, -NH_2, -NH-C(O)-O-C_{1-6} alkyl, C_{1-6} alkoxy, carboxyl and -C(O)-O-C_{1-6} alkyl;

R^3 is hydrogen or the formula -L^7-L^8-L^9-L^{10};

L^7 is selected from the group consisting of a covalent bond and C_{1-6} alkylene;

L^8 is selected from the group consisting of a covalent bond, C_{3-10} cycloalkyl, 3- to 12-membered heterocyclyl, C_{6-10} aryl, and 5- to 10-membered heteroaryl, and the cycloalkyl, heterocyclyl, aryl and heteroaryl is optionally substituted with one or more groups selected from the group consisting of hydrogen, halogen, cyano, C_{1-6} alkyl, C_{1-6} alkoxy and -C_{1-6} alkylene-NH_2;

L^9 is selected from the group consisting of a covalent bond, -O-, -S-, -C(O)-, -O-C(O)-, -C(O)-O-, -O-C(O)-O-, -NR^6-, -C(O)-NR^6-, -NR^6-C(O)-, -O-C(O)-NR^6-, -NR^6-C(O)-O-, -NR^6-C(O)-NR^6-, -$S(O)_p$-NR^6-, -NR^6-$S(O)_p$- and -NR^6-$S(O)_p$-NR^6-;

L^{10} is selected from the group consisting of hydrogen, C_{1-6} alkyl, -$(O\text{-}CH_2CH_2)_q$-O-C_{1-6} alkyl, and -C_{1-6} alkylene-$(O\text{-}CH_2CH_2)_q$-O-C_{1-6} alkyl, and the alkyl is optionally substituted with one or more groups selected from the group consisting of hydrogen, halogen, hydroxyl, -NH_2, -NH-C(O)-O-C_{1-6} alkyl, C_{1-6} alkoxy, carboxyl and -C(O)-O-C_{1-6} alkyl;

R^4 is selected from the group consisting of hydrogen, halogen, cyano, hydroxyl, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy and C_{3-10} cycloalkyl;

each R^5 is independently hydrogen or C_{1-6} alkyl;

each R^6 is independently hydrogen or C_{1-6} alkyl;

each r is independently 1 or 2;

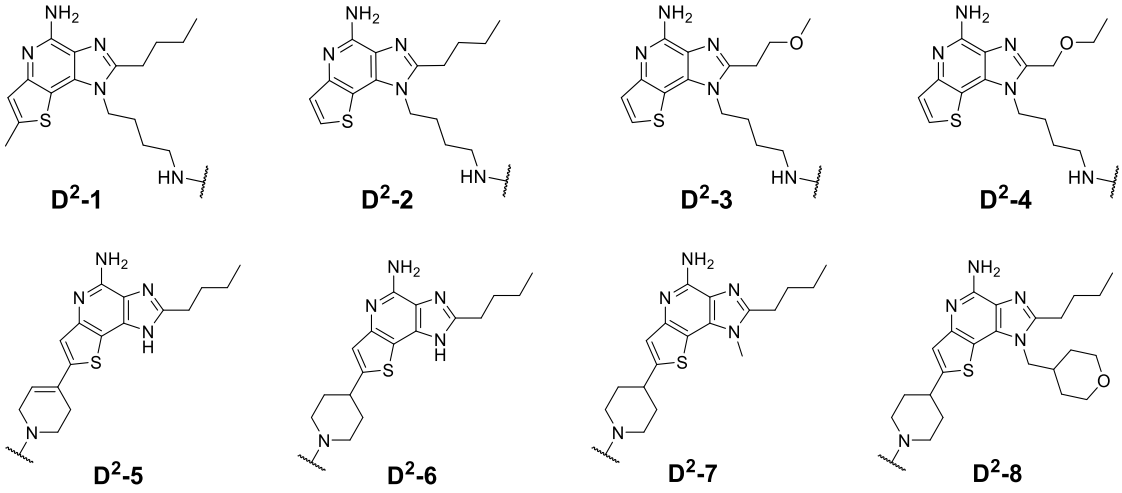
each n is independently an integer selected from 1 to 25;

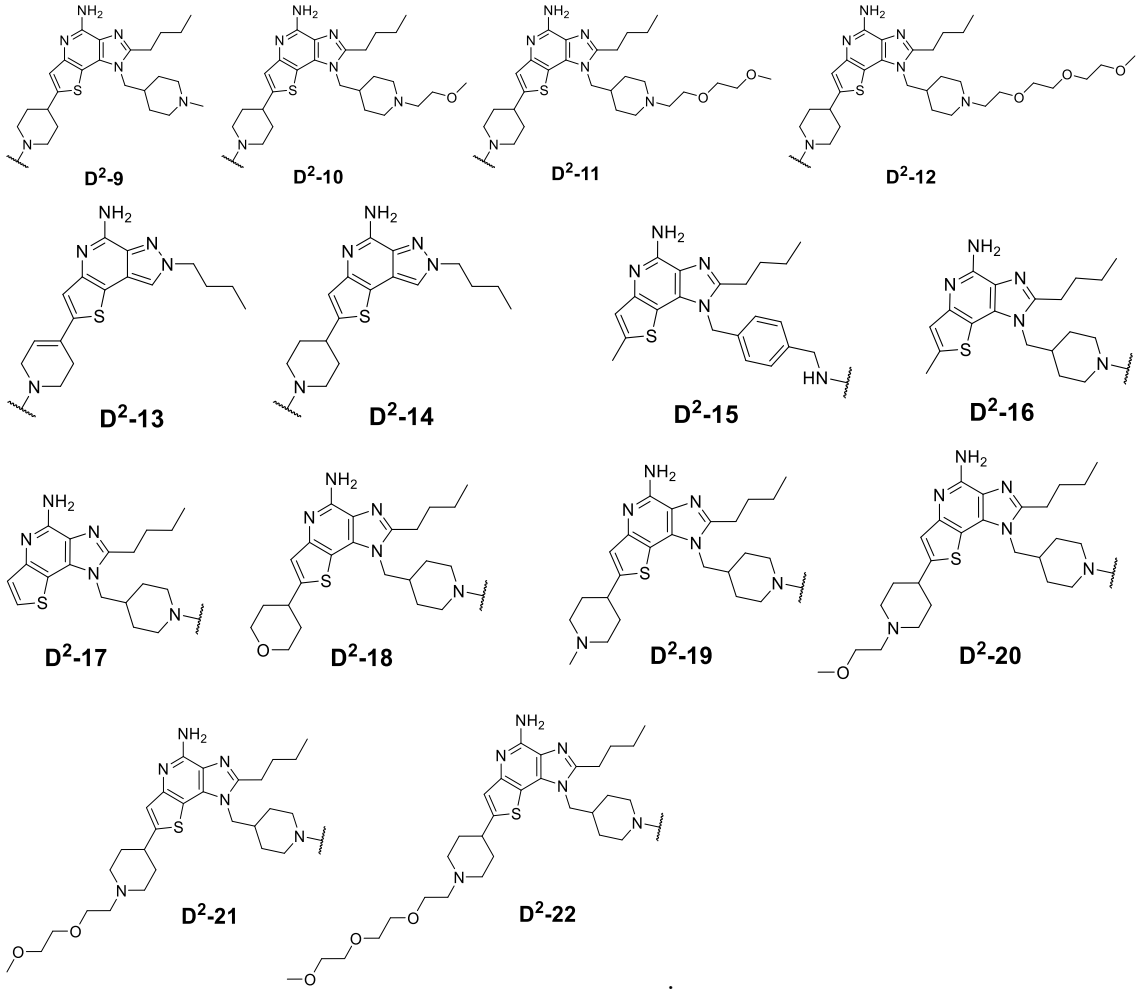
each p is independently 1 or 2;

each q is independently an integer selected from 1 to 25;

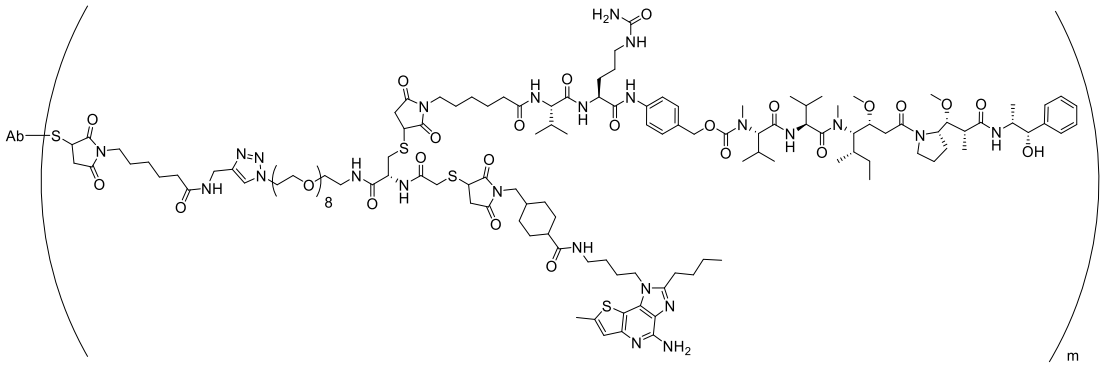
D^2 is connected to L^2 through R^2 or R^3 in Formula (II).

26. The antibody-drug conjugate according to any one of claims 1 to 25, wherein D^2 is selected from the group consisting of the following structures:

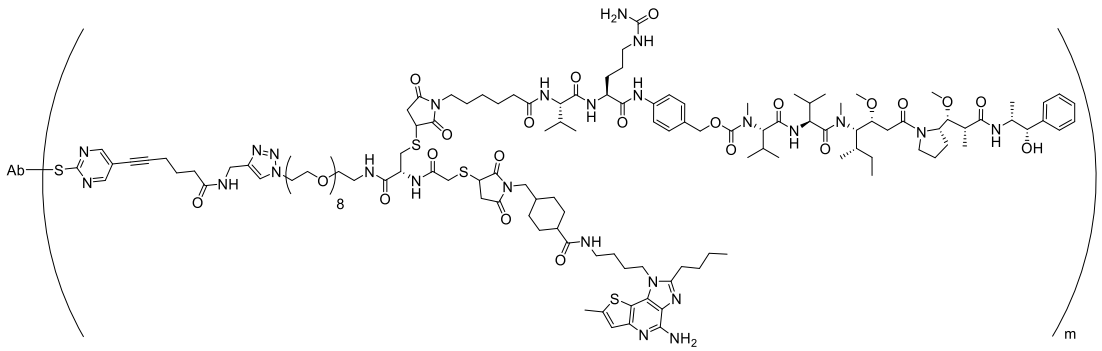




27. The antibody-drug conjugate according to any one of claims 1 to 26, which is selected from the group consisting of the following structures:

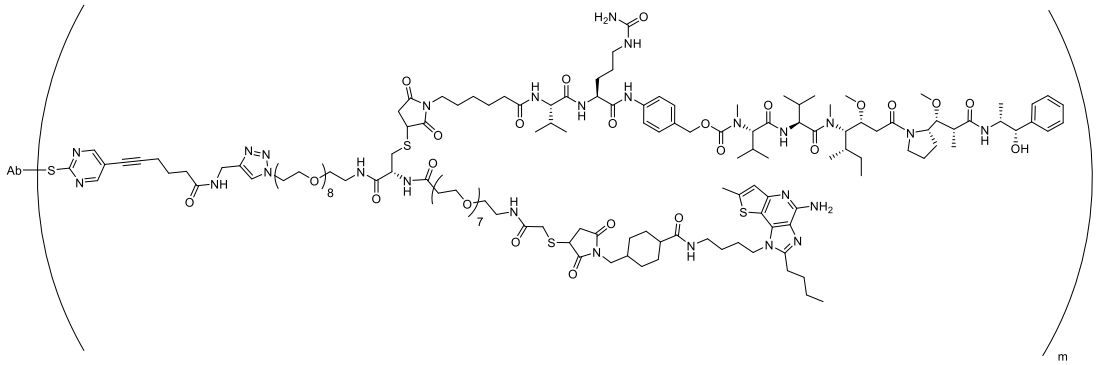


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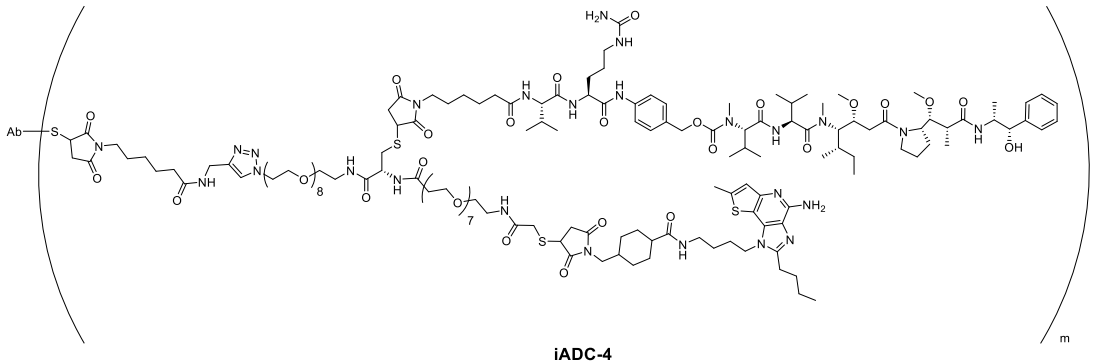
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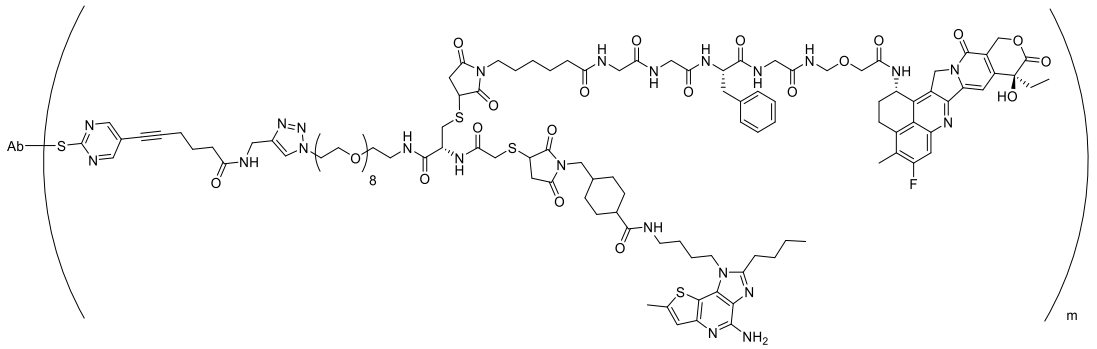
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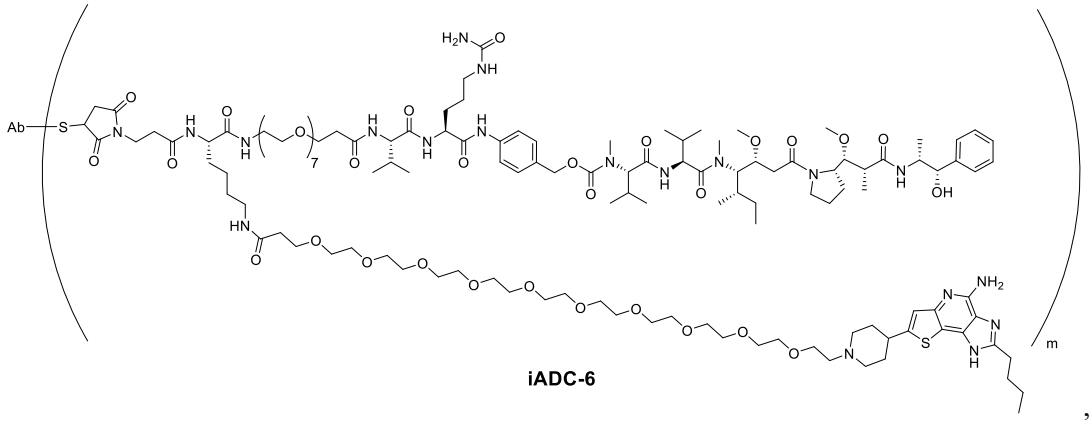
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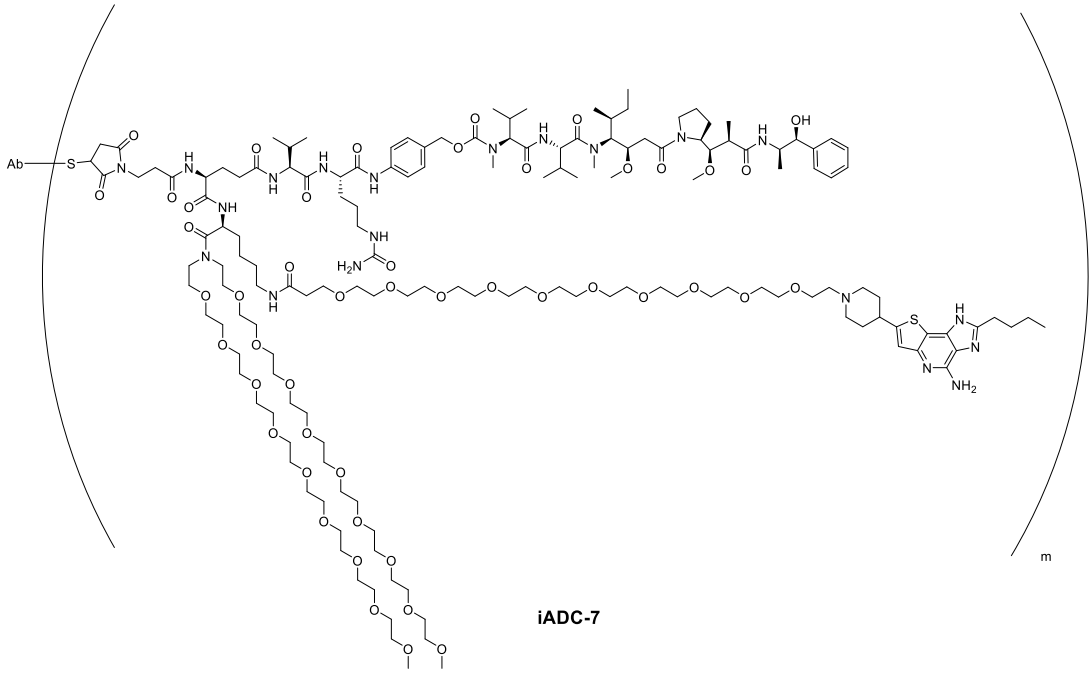
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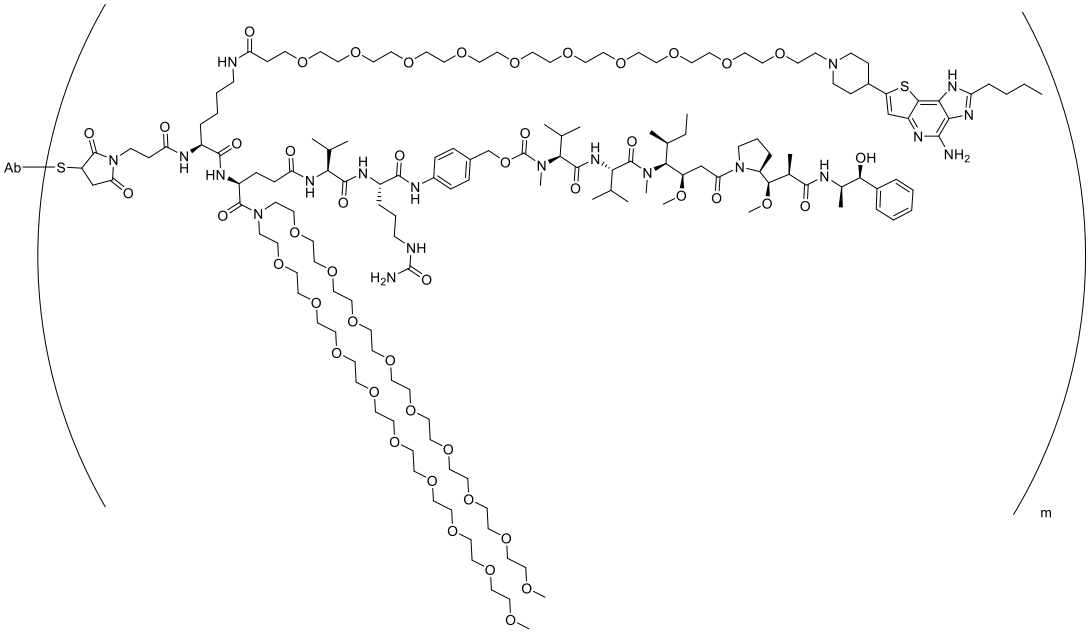
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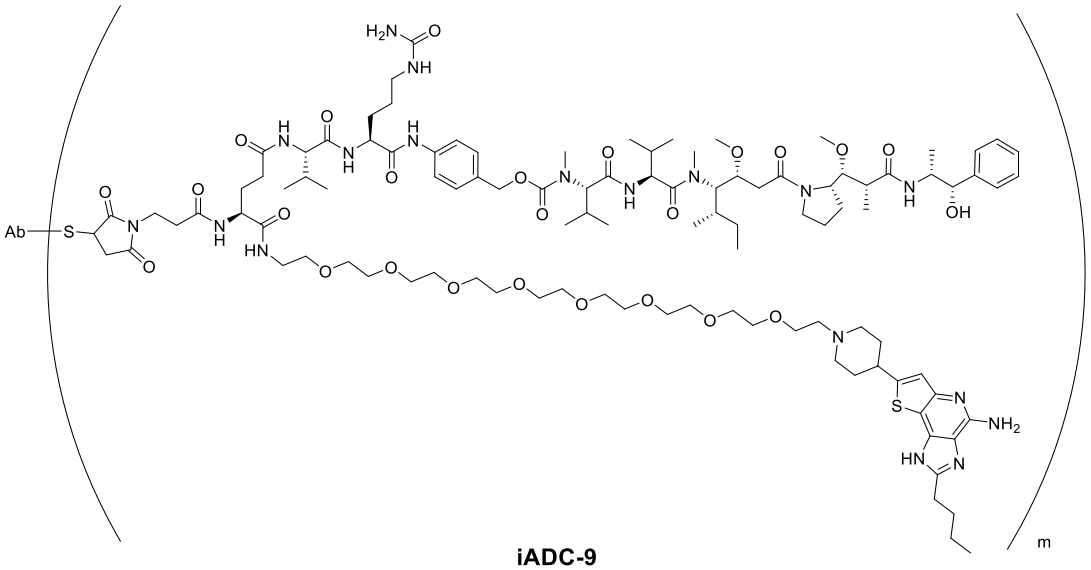
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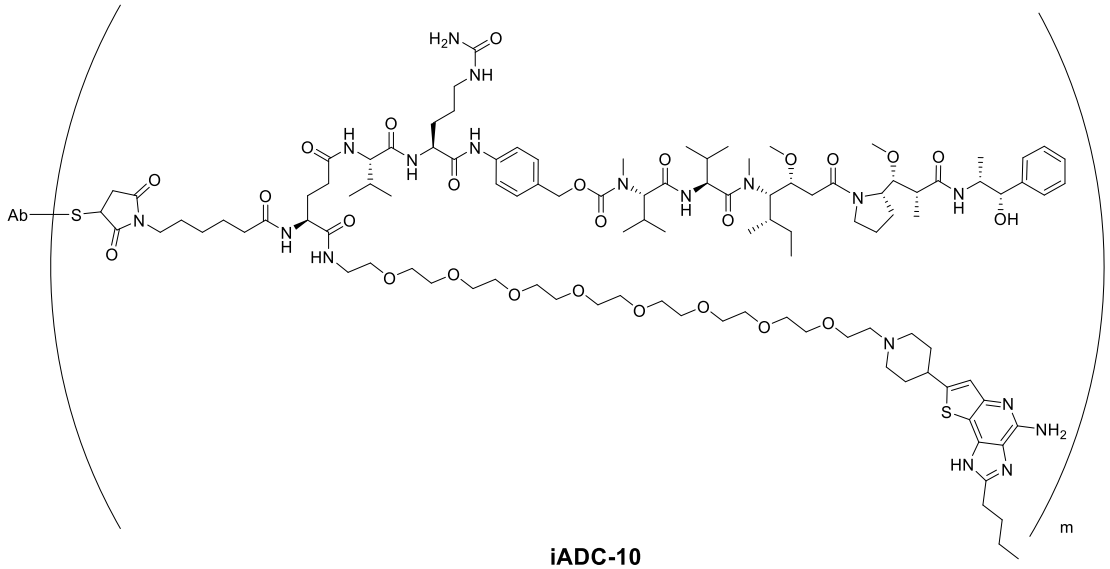
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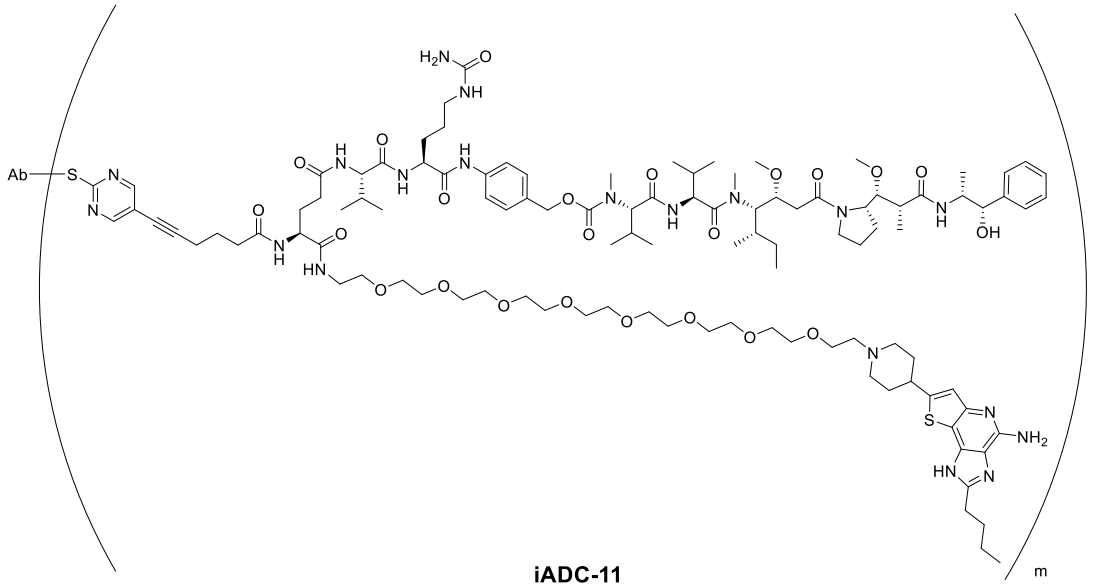
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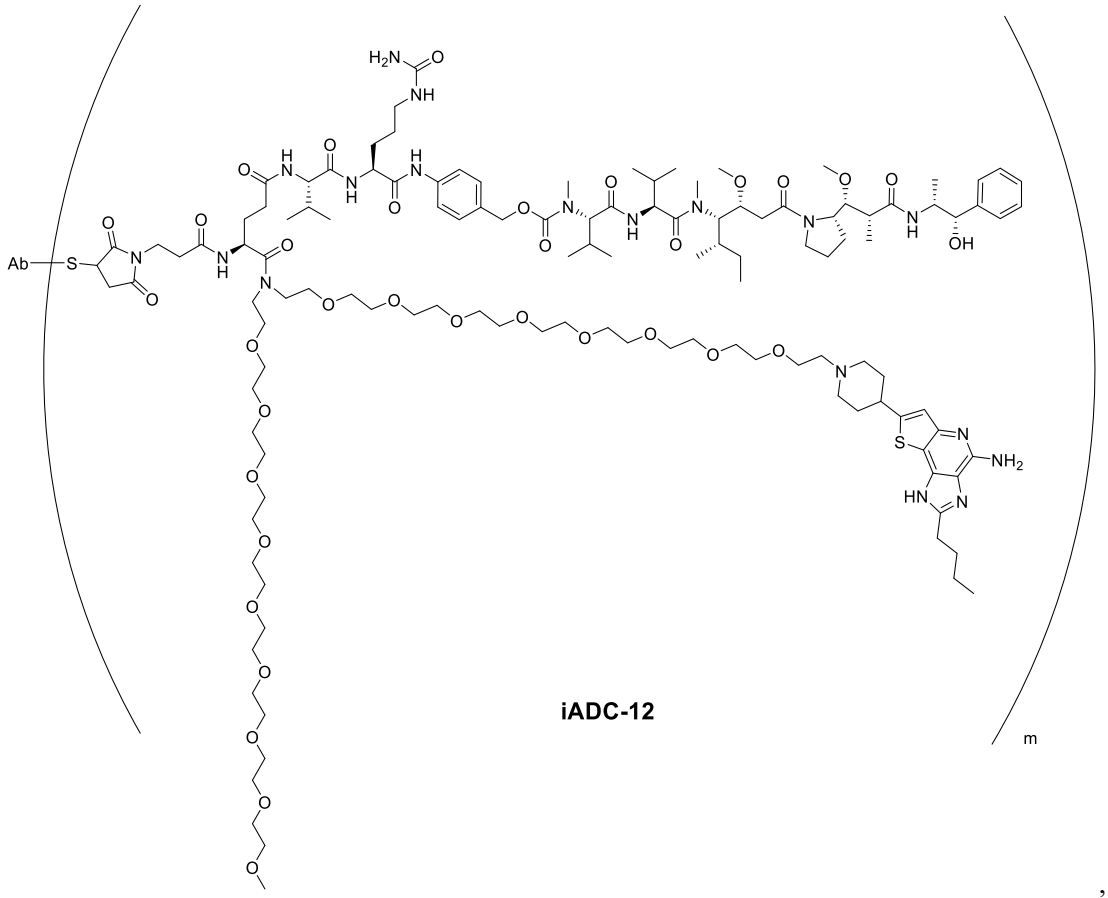
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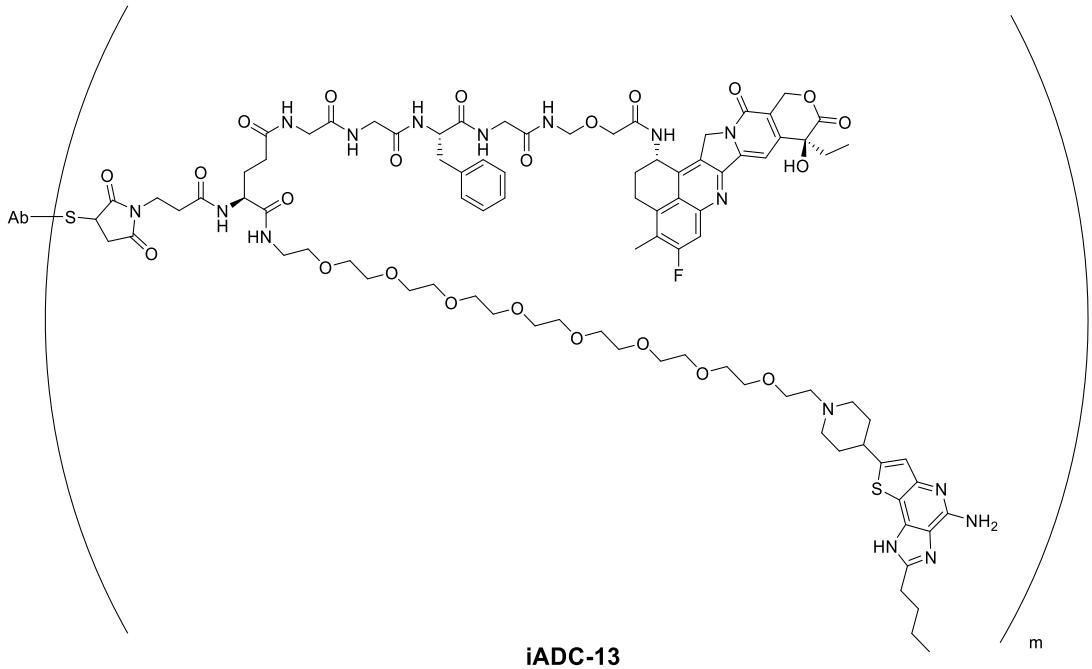
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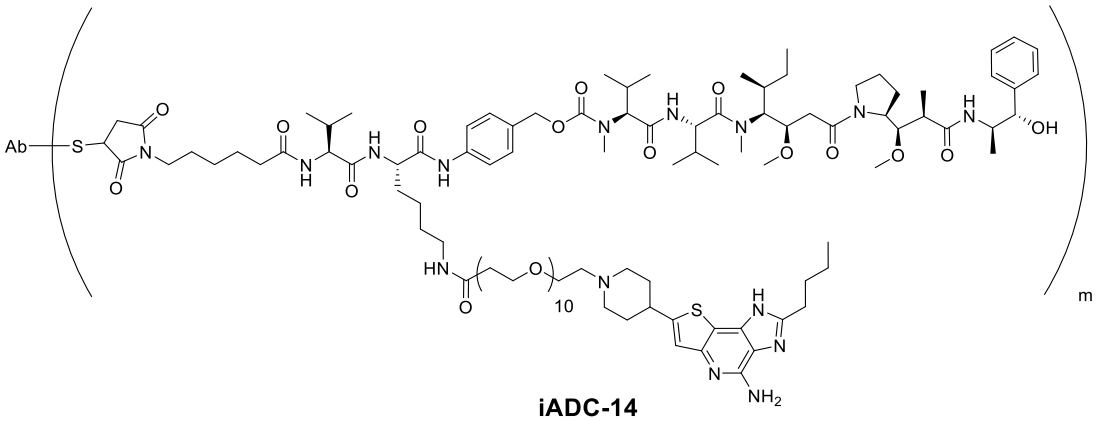
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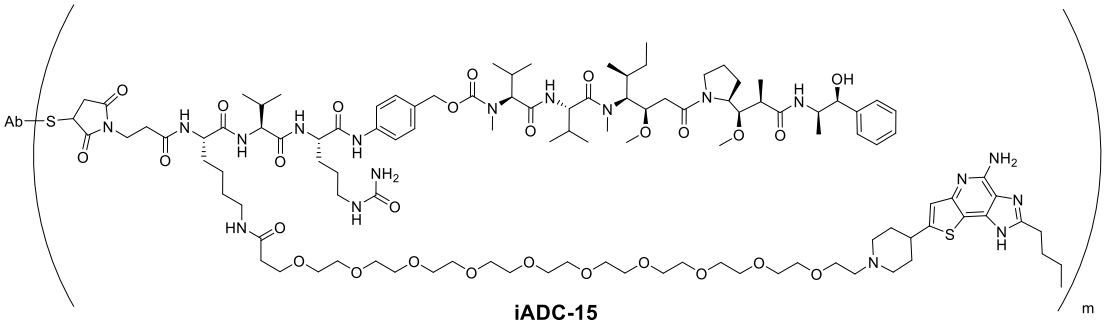
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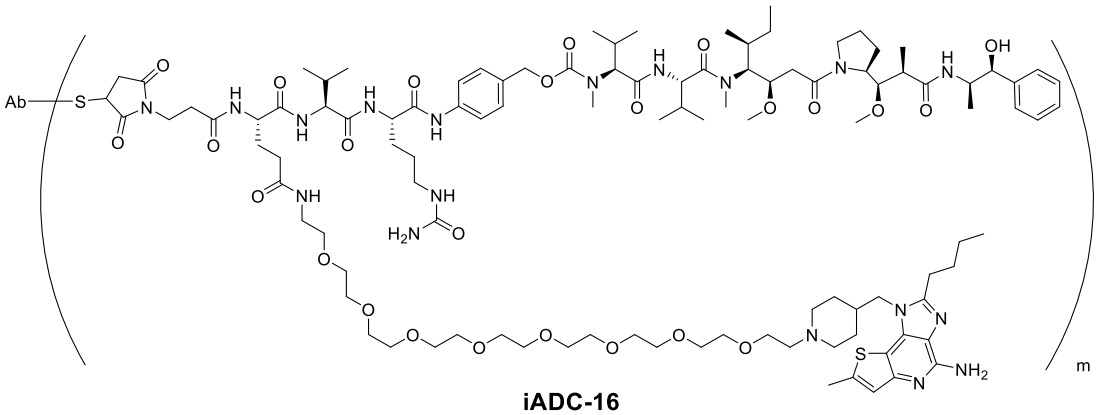
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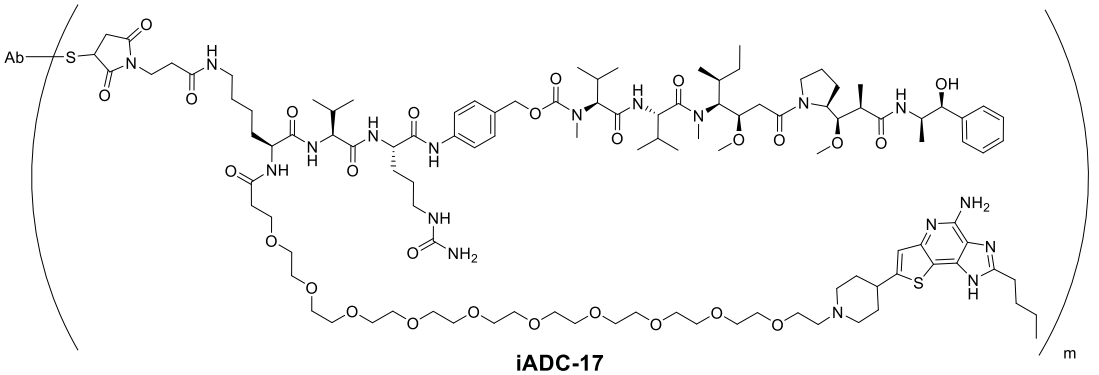
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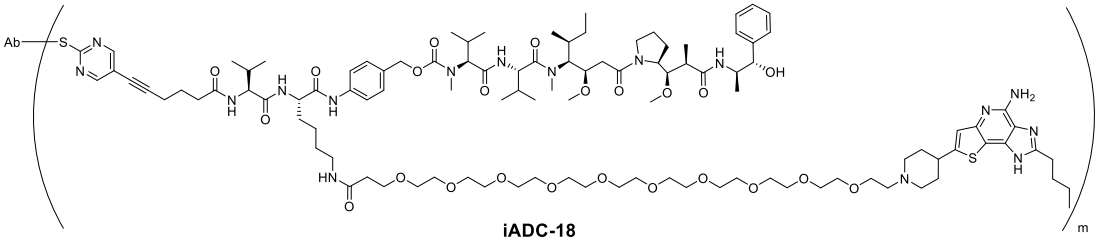
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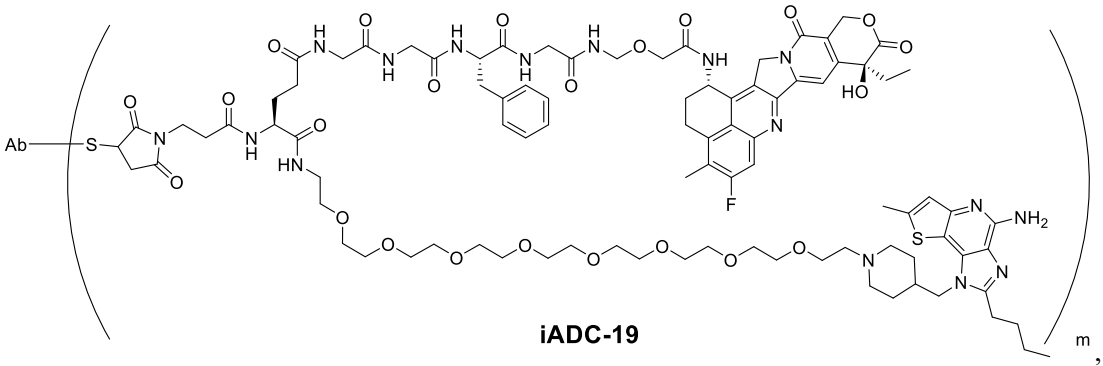
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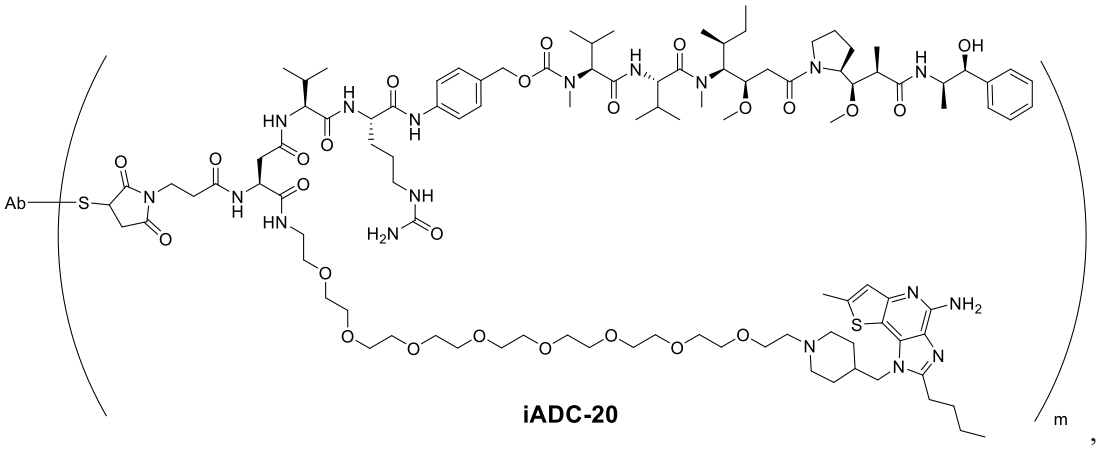
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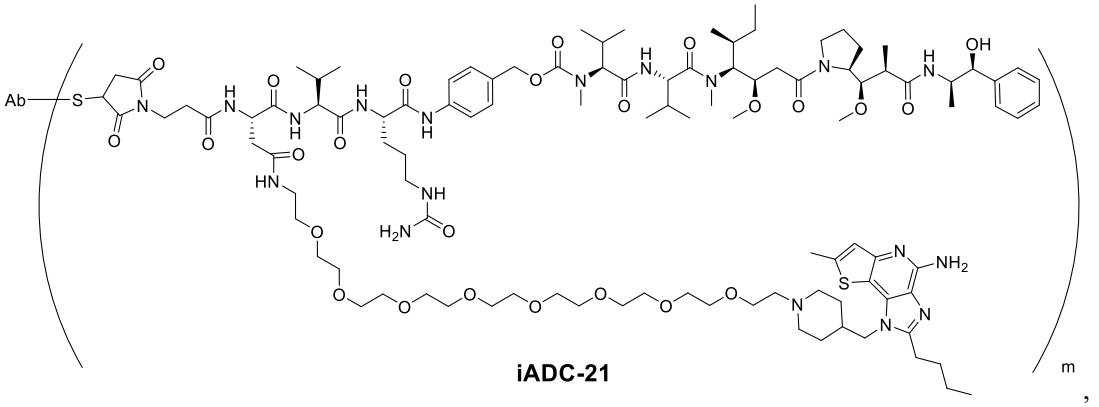
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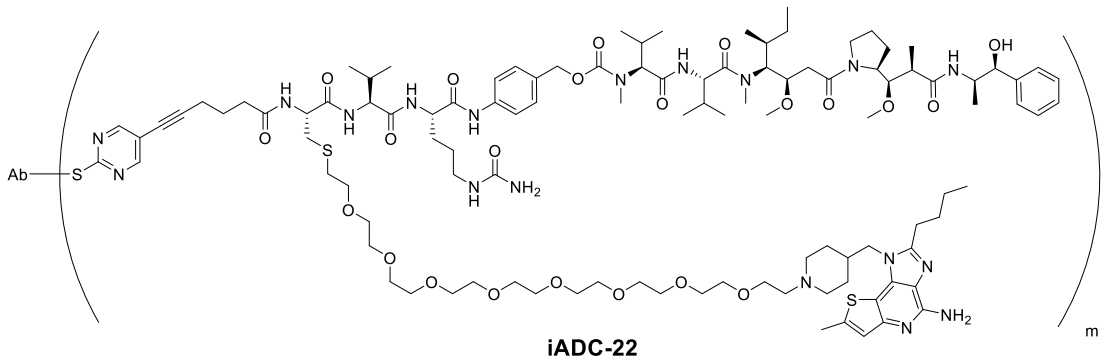
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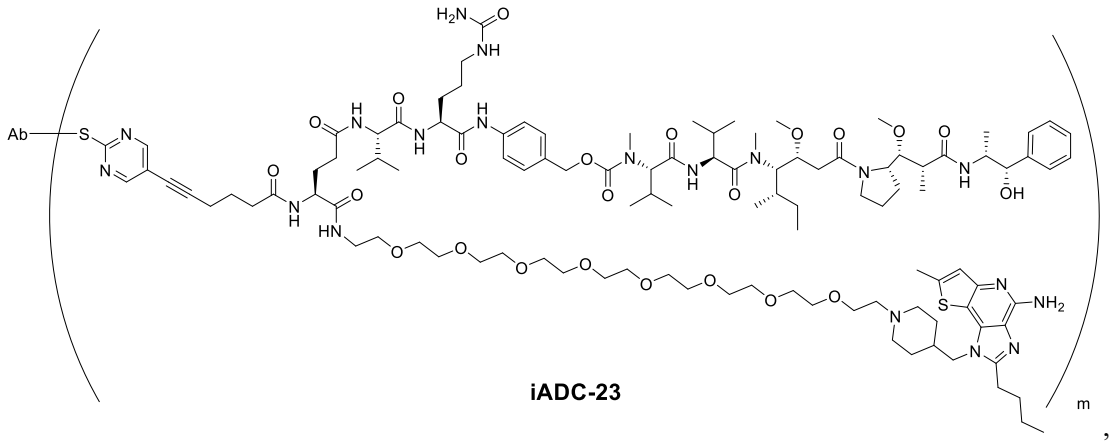
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iADC-22

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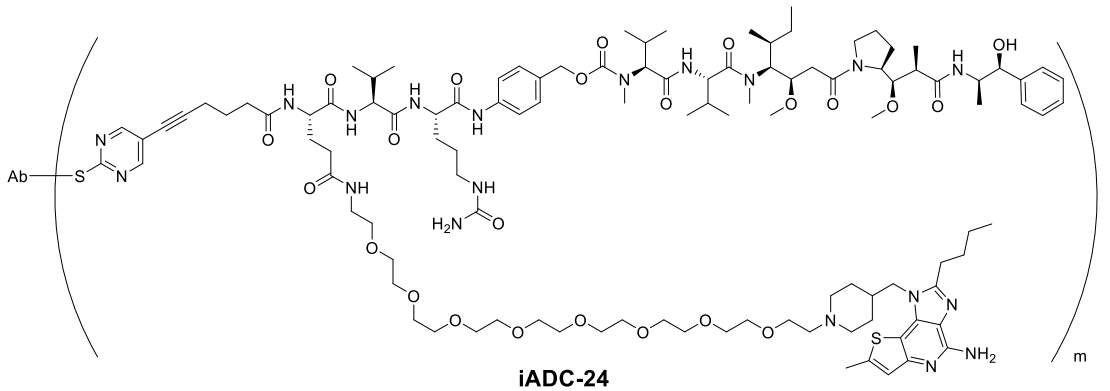
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iADC-23

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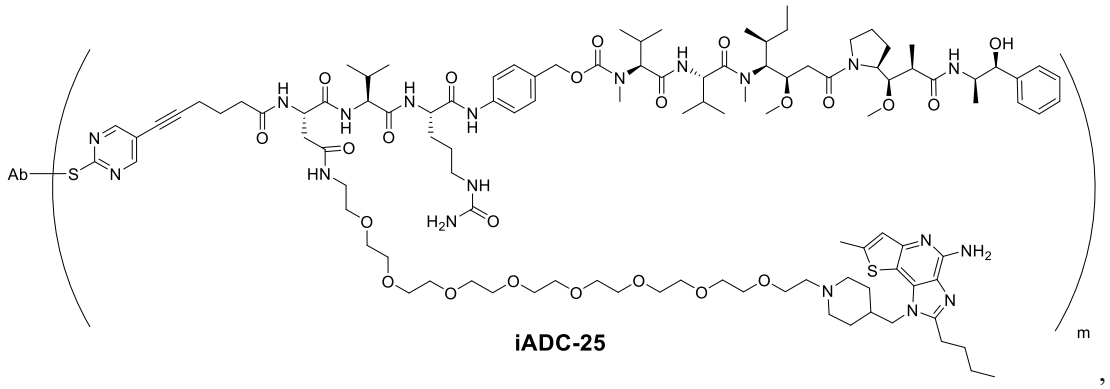
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iADC-24

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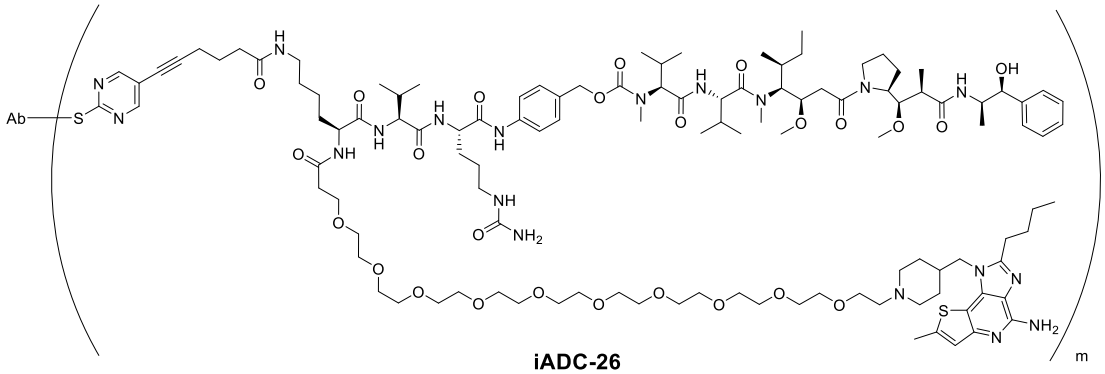
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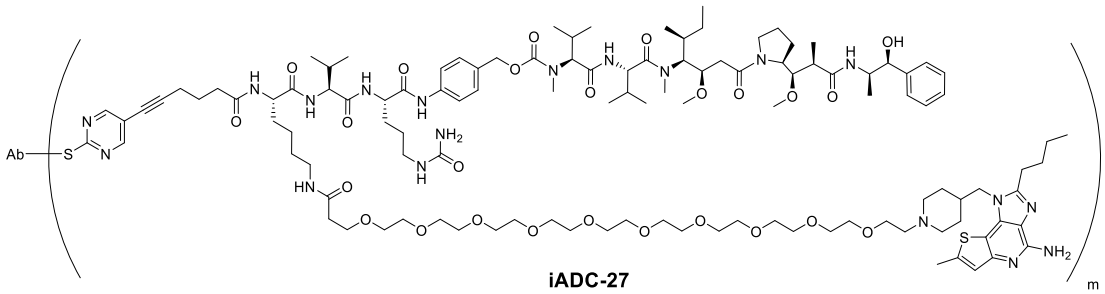
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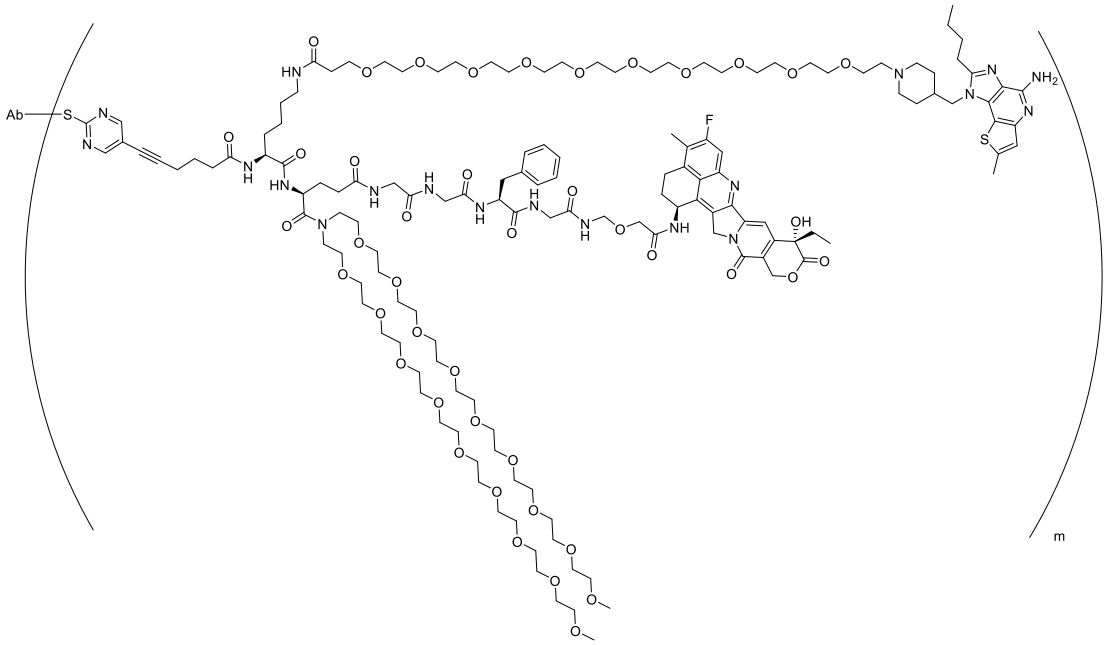
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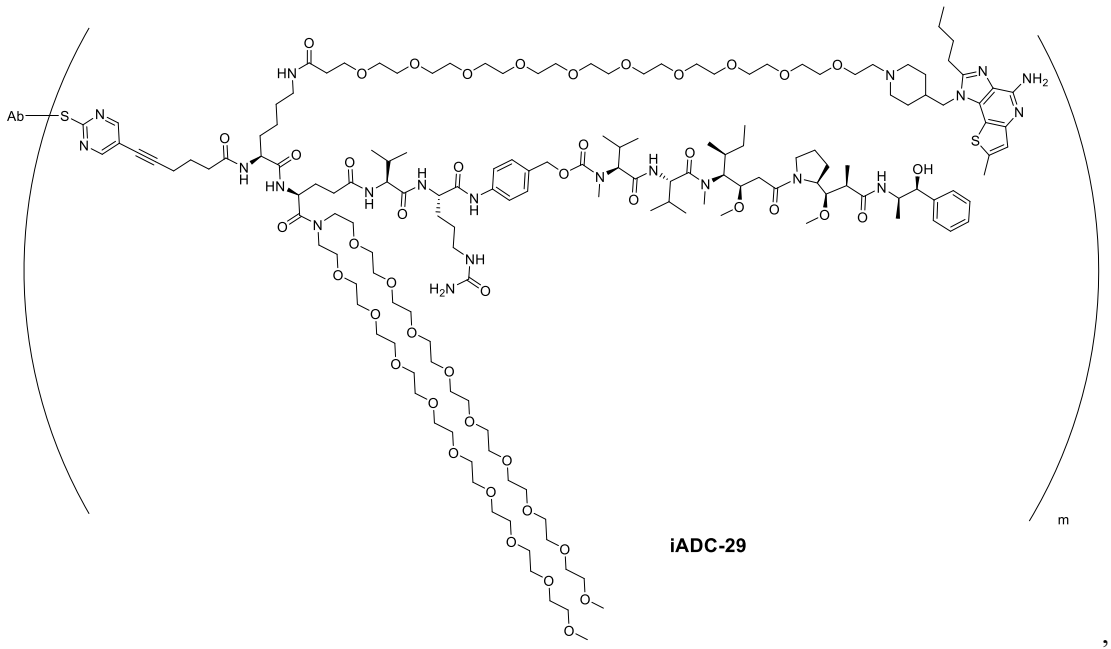
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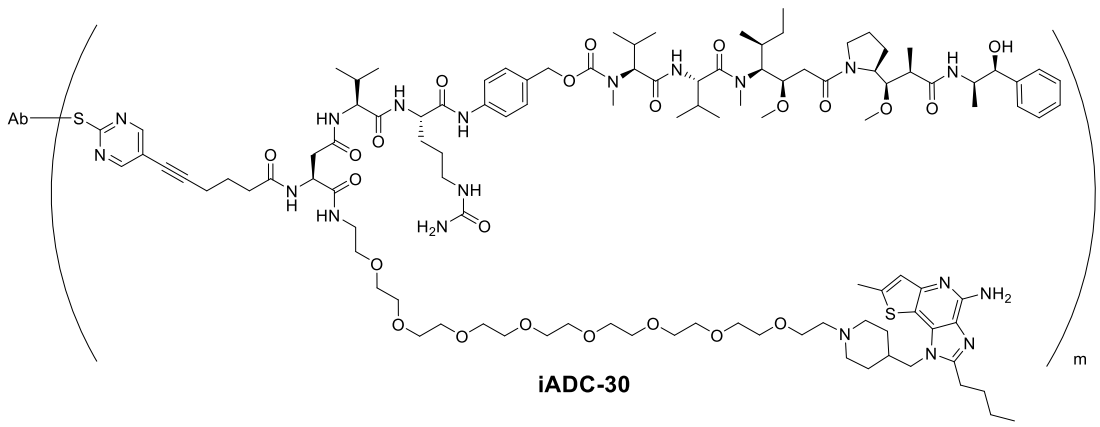
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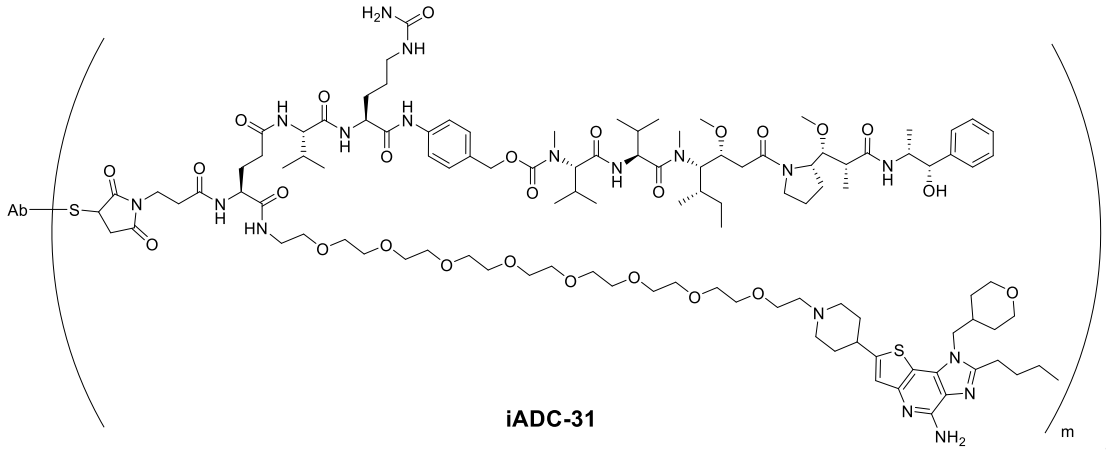
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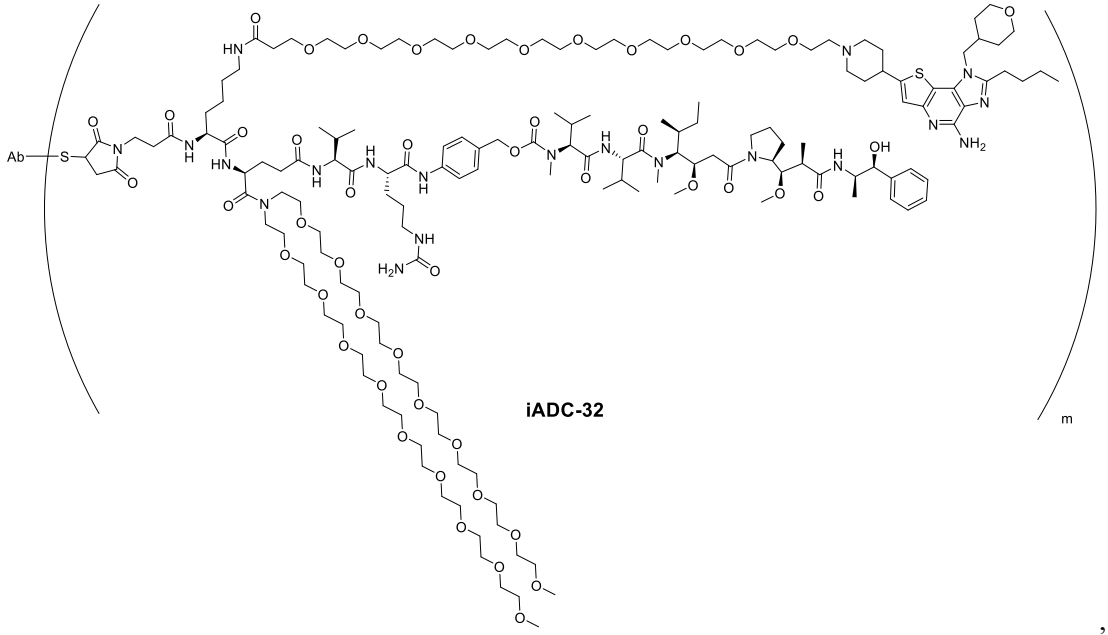
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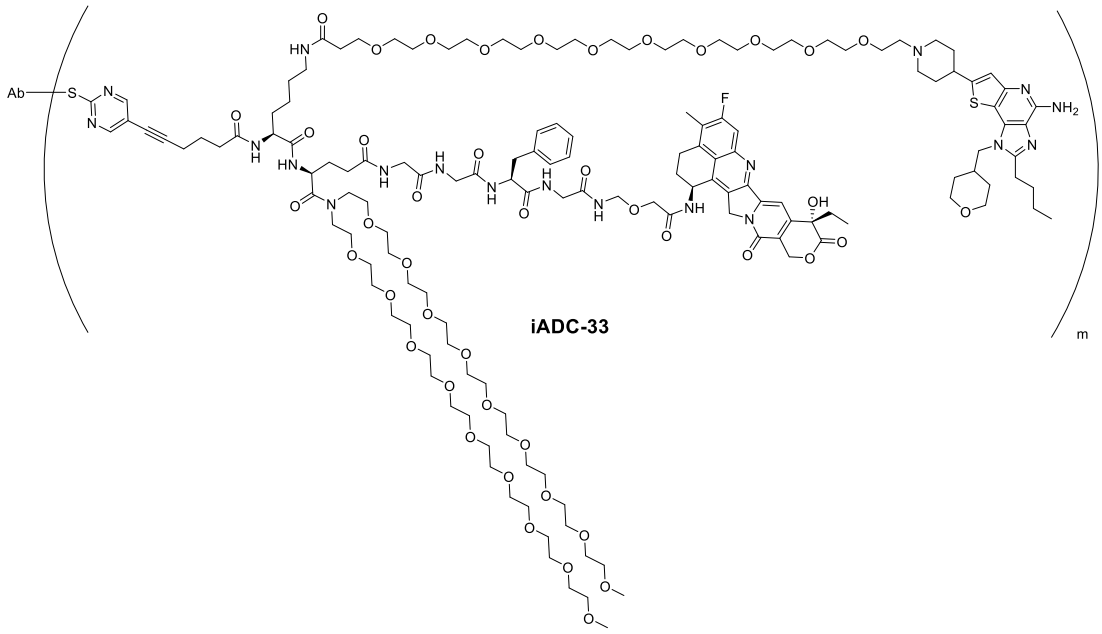
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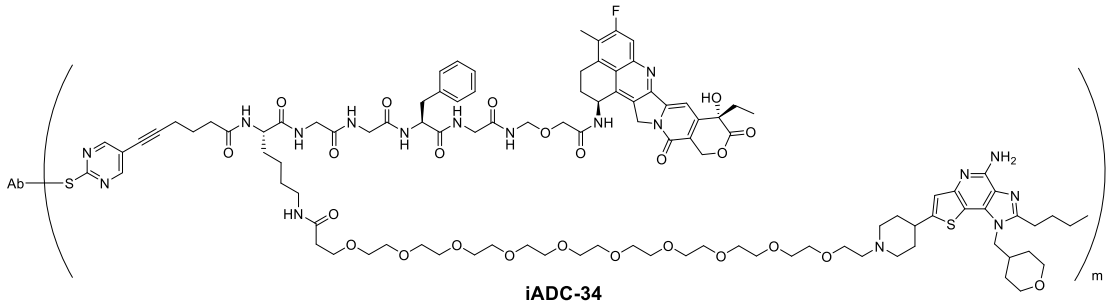
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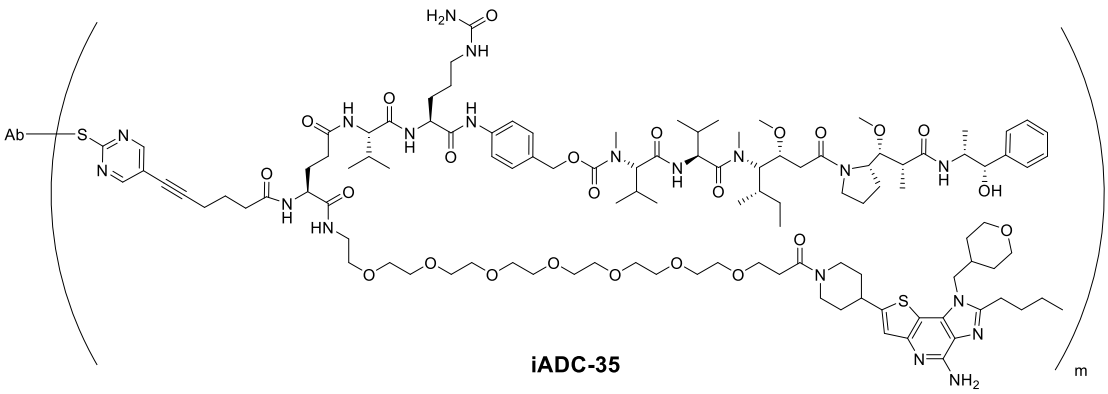
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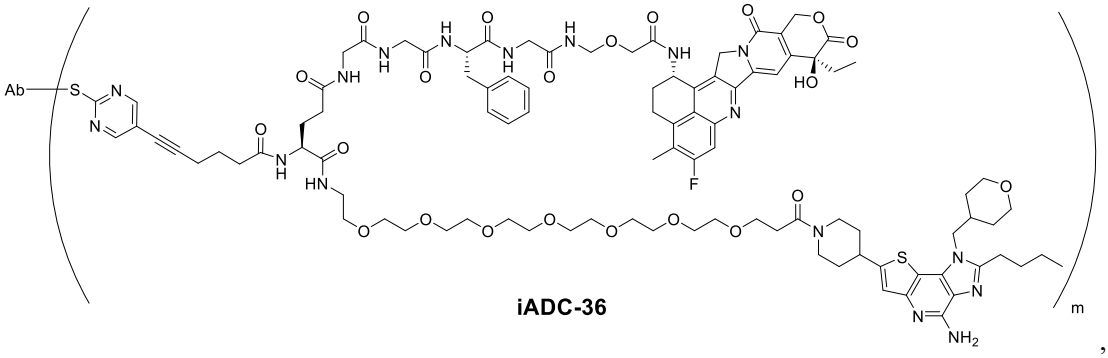
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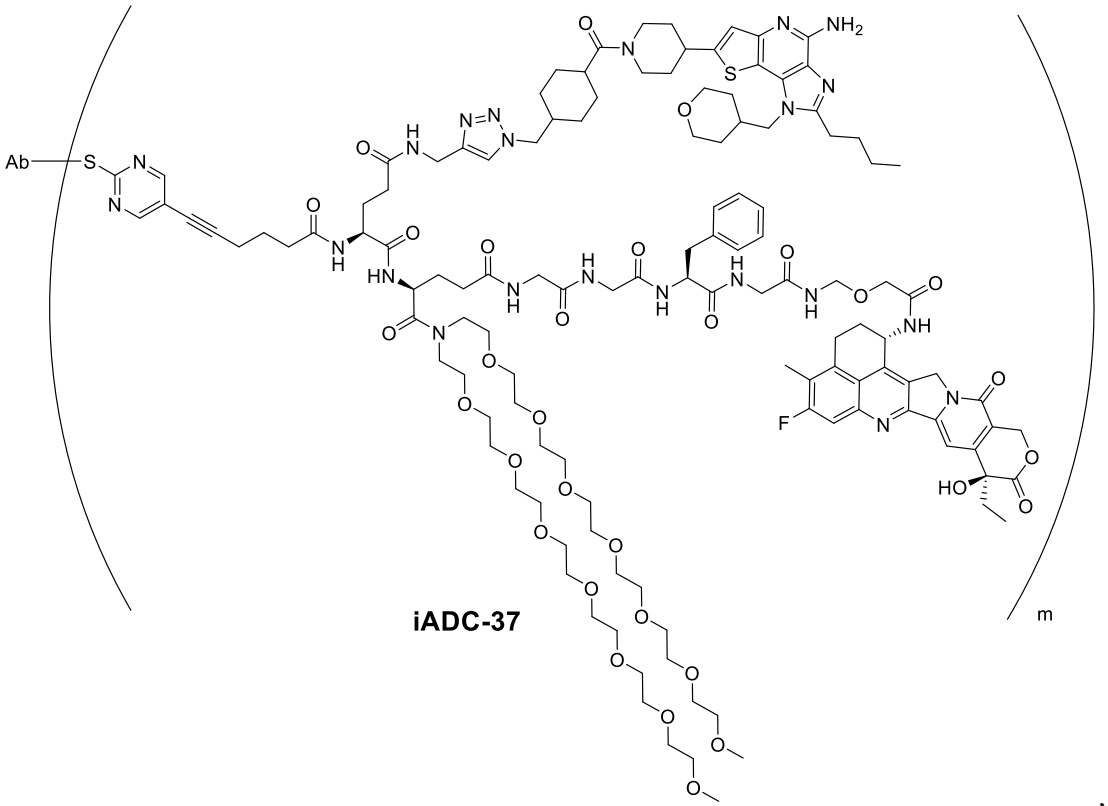
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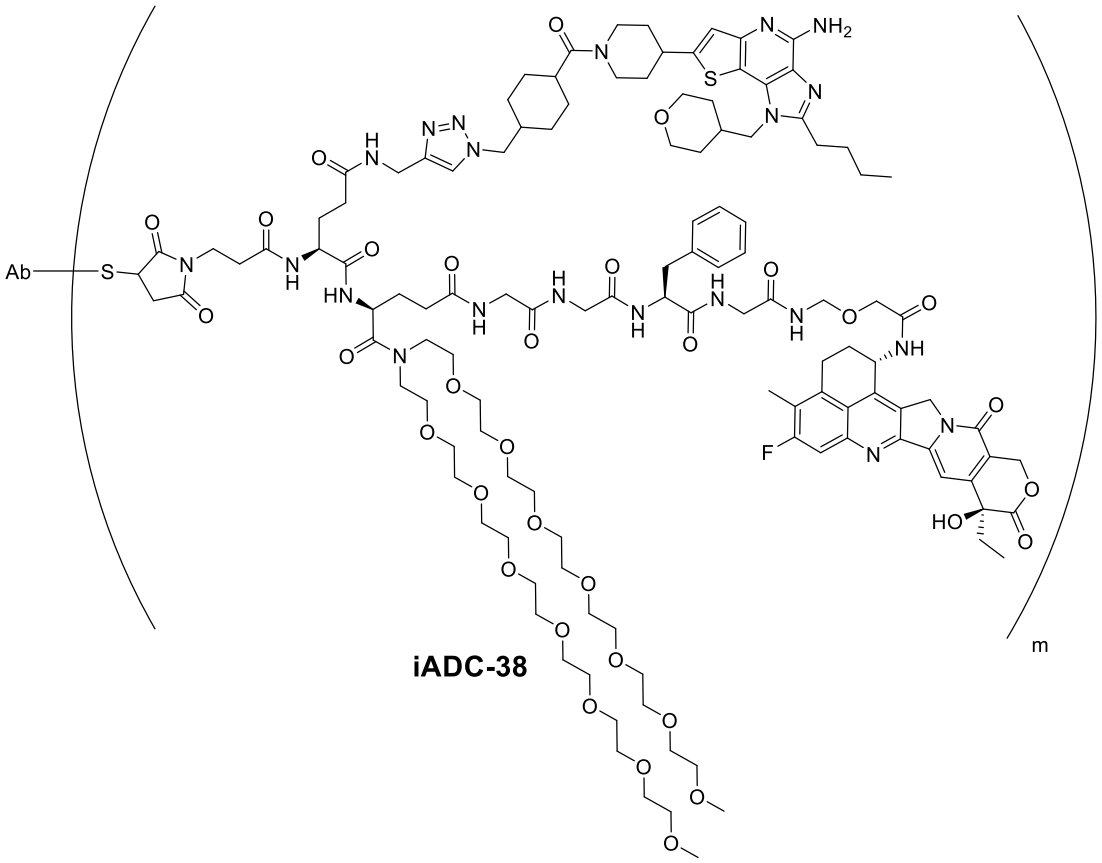
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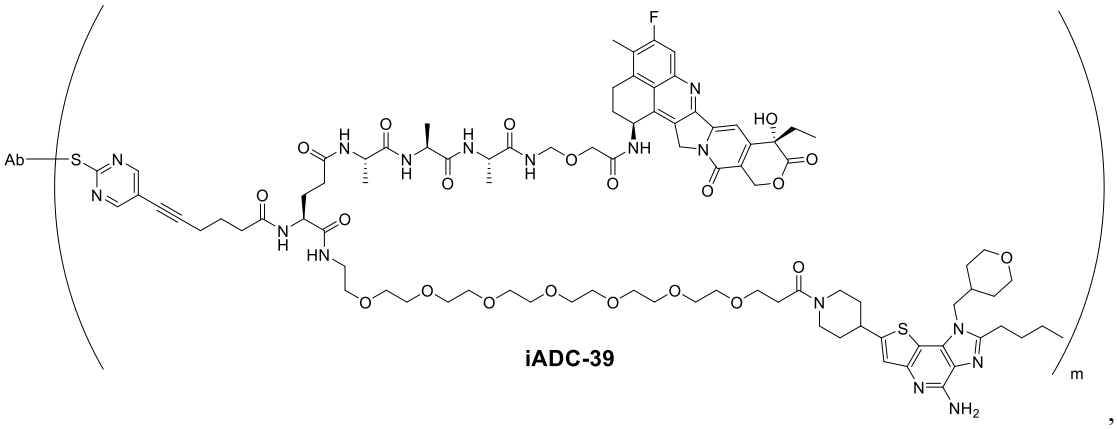
iADC-37

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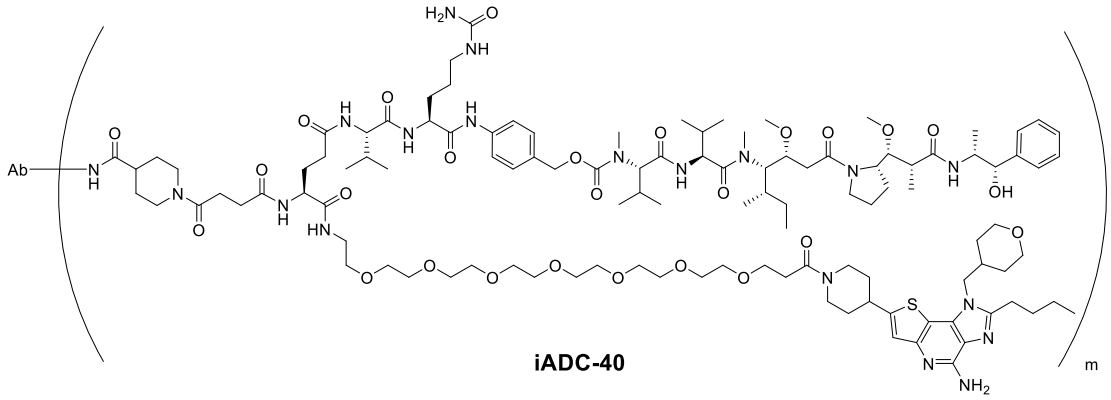
iADC-38

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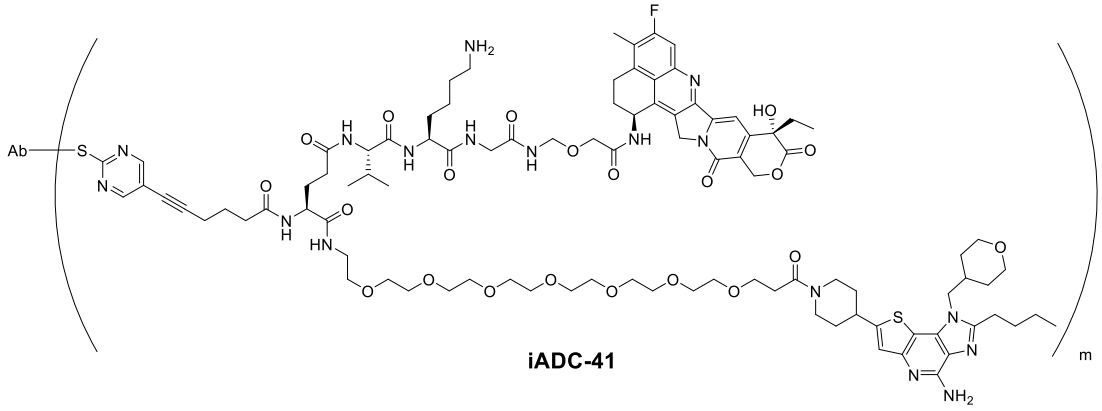
iADC-39

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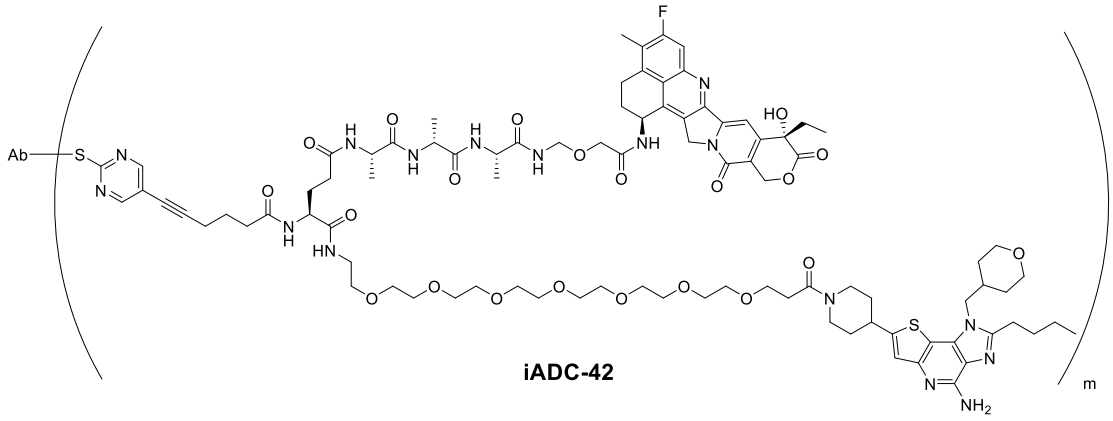
iADC-40

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iADC-41

and



iADC-42

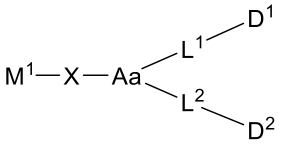
;

each m is independently selected from 1 to 10, such as 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10;

preferably, Ab is Trastuzumab;

preferably, the antibody-drug conjugate has a DAR value of 2.0 to 5.0, such as 2.0 to 2.5, 2.0 to 3.0, 2.0 to 3.5, 2.0 to 4.0, 2.0 to 4.5, 2.0 to 5.0, 2.5 to 3.0, 2.5 to 3.5, 2.5 to 4.0, 2.5 to 4.5, 2.5 to 5.0, 3.0 to 3.5, 3.0 to 4.0, 3.0 to 4.5, 3.0 to 5.0, 3.5 to 4.0, 3.5 to 4.5, 3.5 to 5.0, 4.0 to 4.5, 4.0 to 5.0, or 4.0 to 5.0.

28. A compound of Formula (III) or pharmaceutically acceptable salt, ester, stereoisomer, tautomer, polymorph, solvate, *N*-oxide, isotopically labeled compound, metabolite or prodrug thereof:



III

wherein,

M^1 is a precursor of a linking site connected to a targeting moiety, wherein the targeting moiety is as defined in any one of claims 1 to 27;

X is a linker connecting M and Aa;

Aa is an amino acid fragment, or a peptide fragments formed of two or more amino acids;

L^1 is a covalent bond or a linker connecting Aa and D^1;

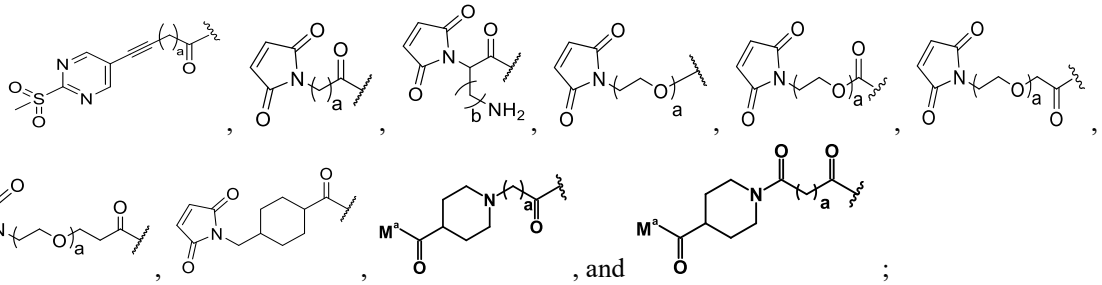
L^2 is a linker connecting Aa and D^2;

D^1 is a cytotoxic drug fragment;

D^2 is a TLR agonist fragment;

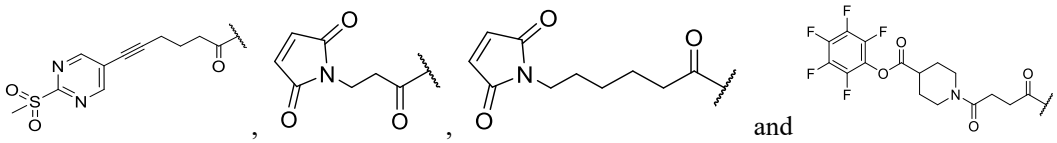
preferably, X, Aa, L^1, L^2, D^1 and D^2 are as defined in any one of claims 1 to 27.

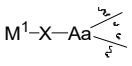
29. The compound according to claim 28, wherein M^1 is selected from the group consisting of the following structures:

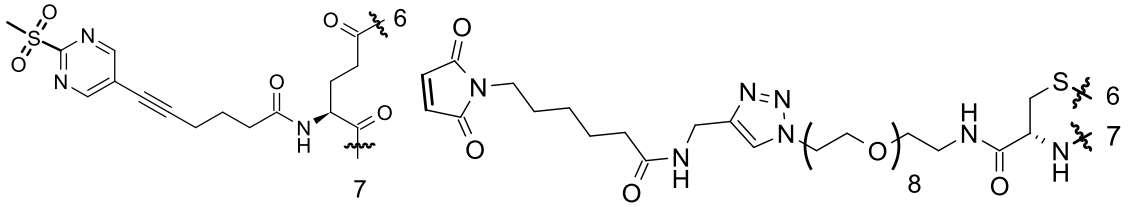


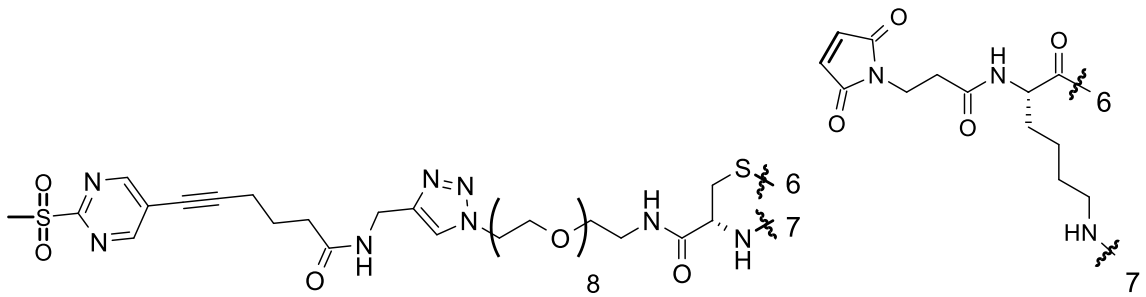
wherein, each a is independently an integer selected from 1 to 5, b is an integer selected from 1 to 3, and M^a is a carboxyl-activating group (e.g., pentafluorophenoxy);

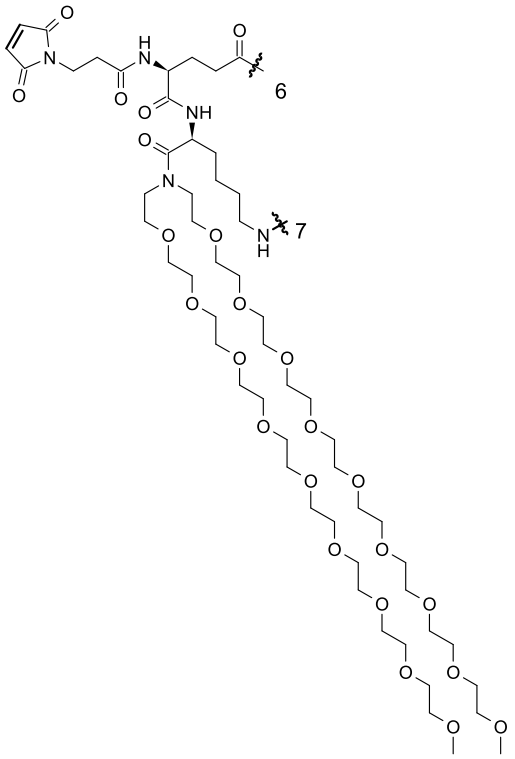
preferably, M^1 is a covalent bond or selected from the group consisting of the following structures:

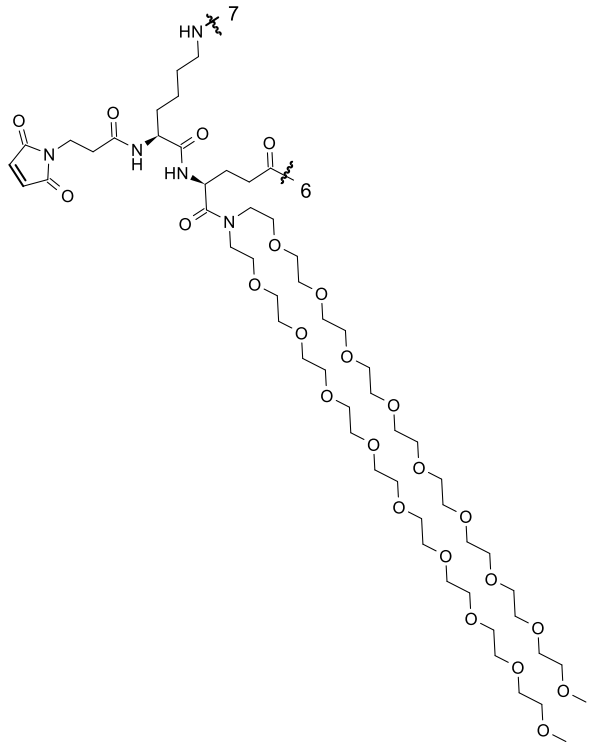


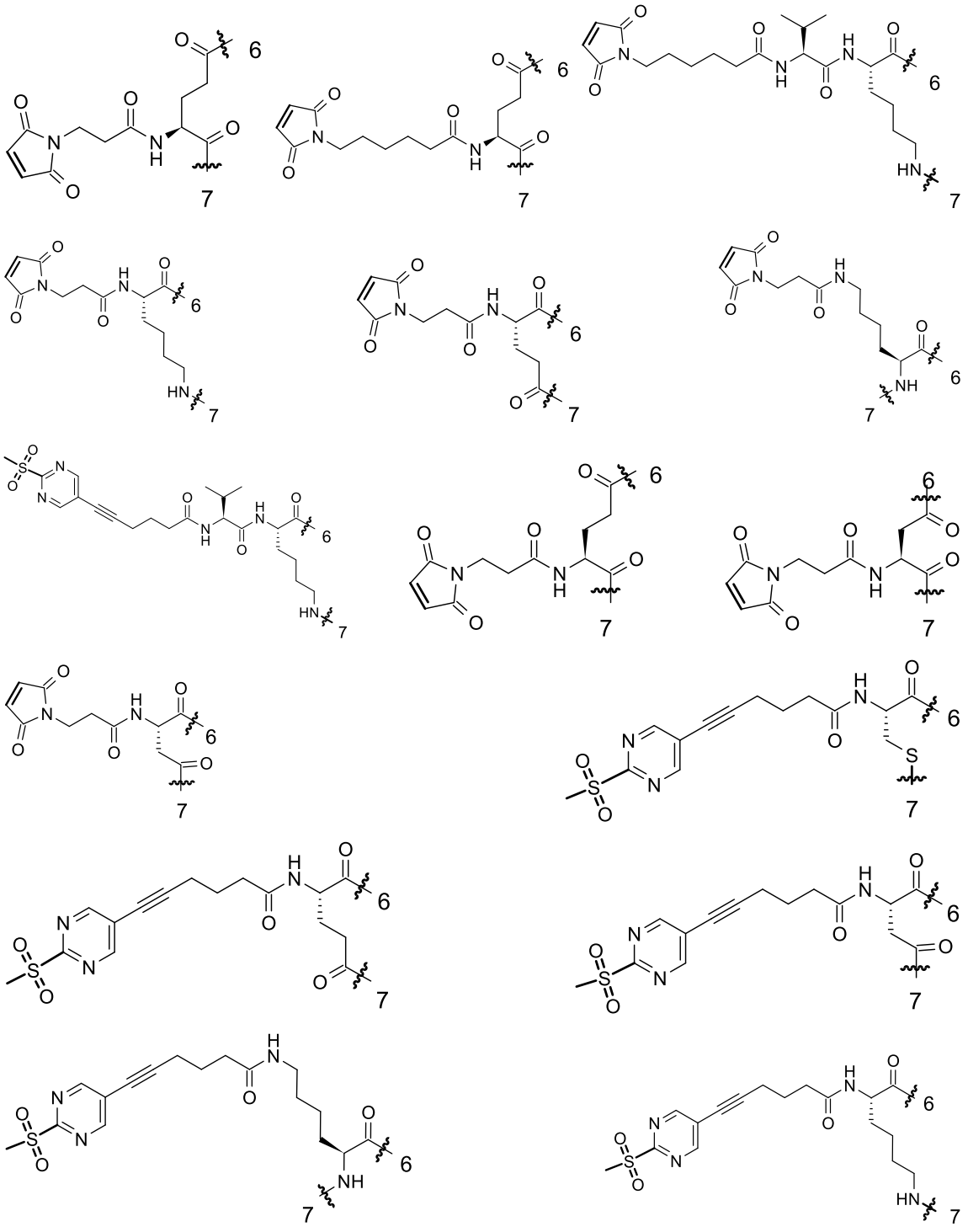
30. The compound according to claim 28 or 29, wherein  is selected from the group consisting of the following:

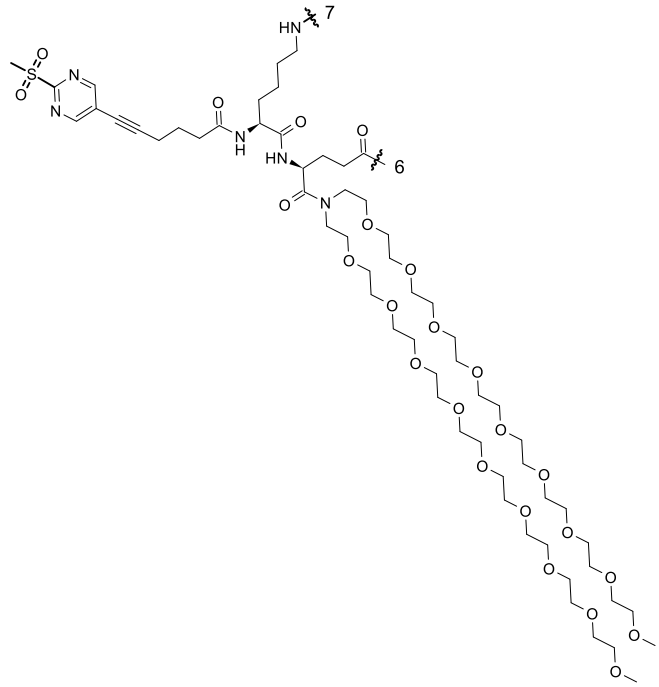


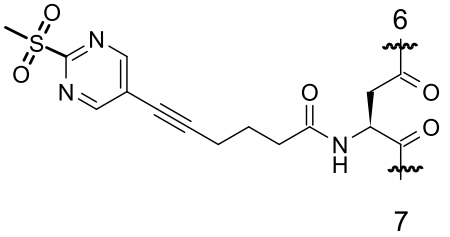


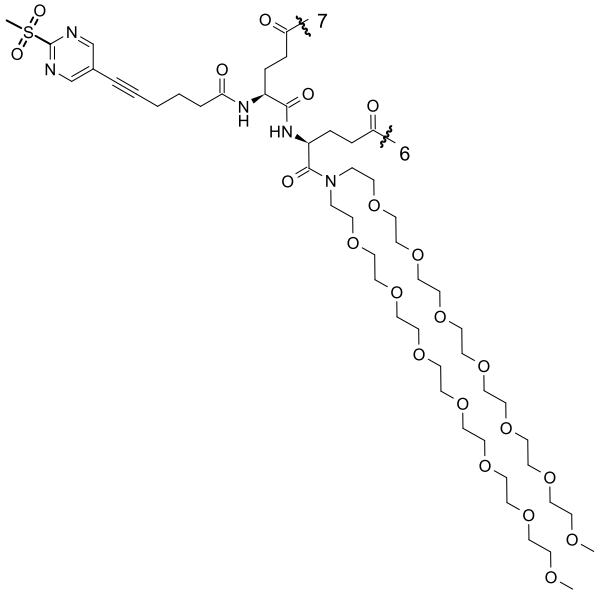


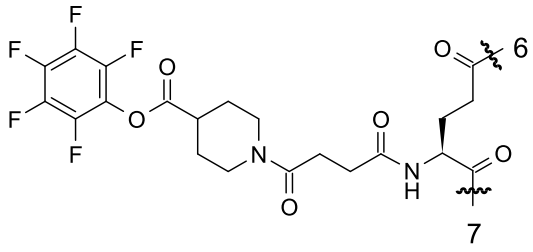


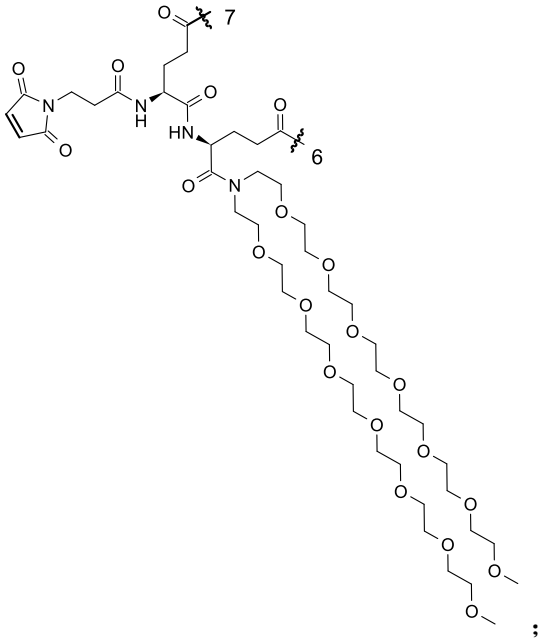






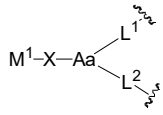


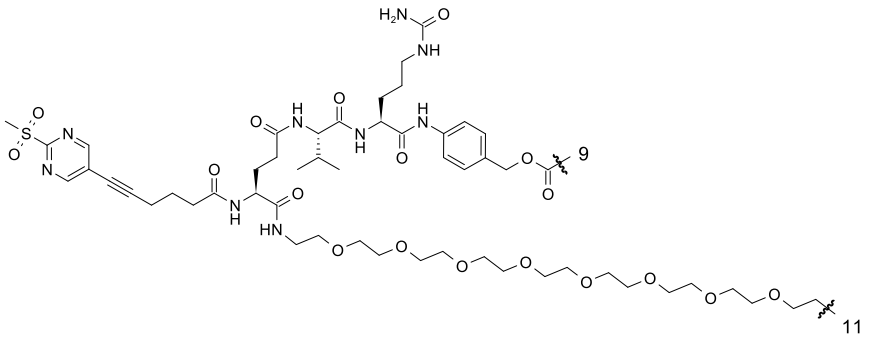


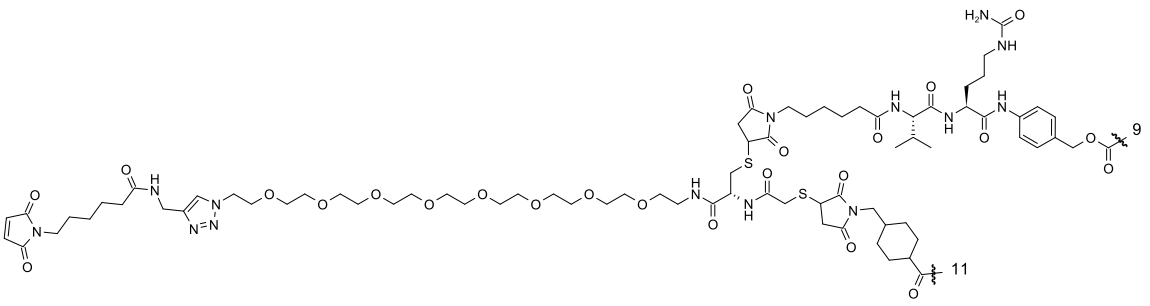


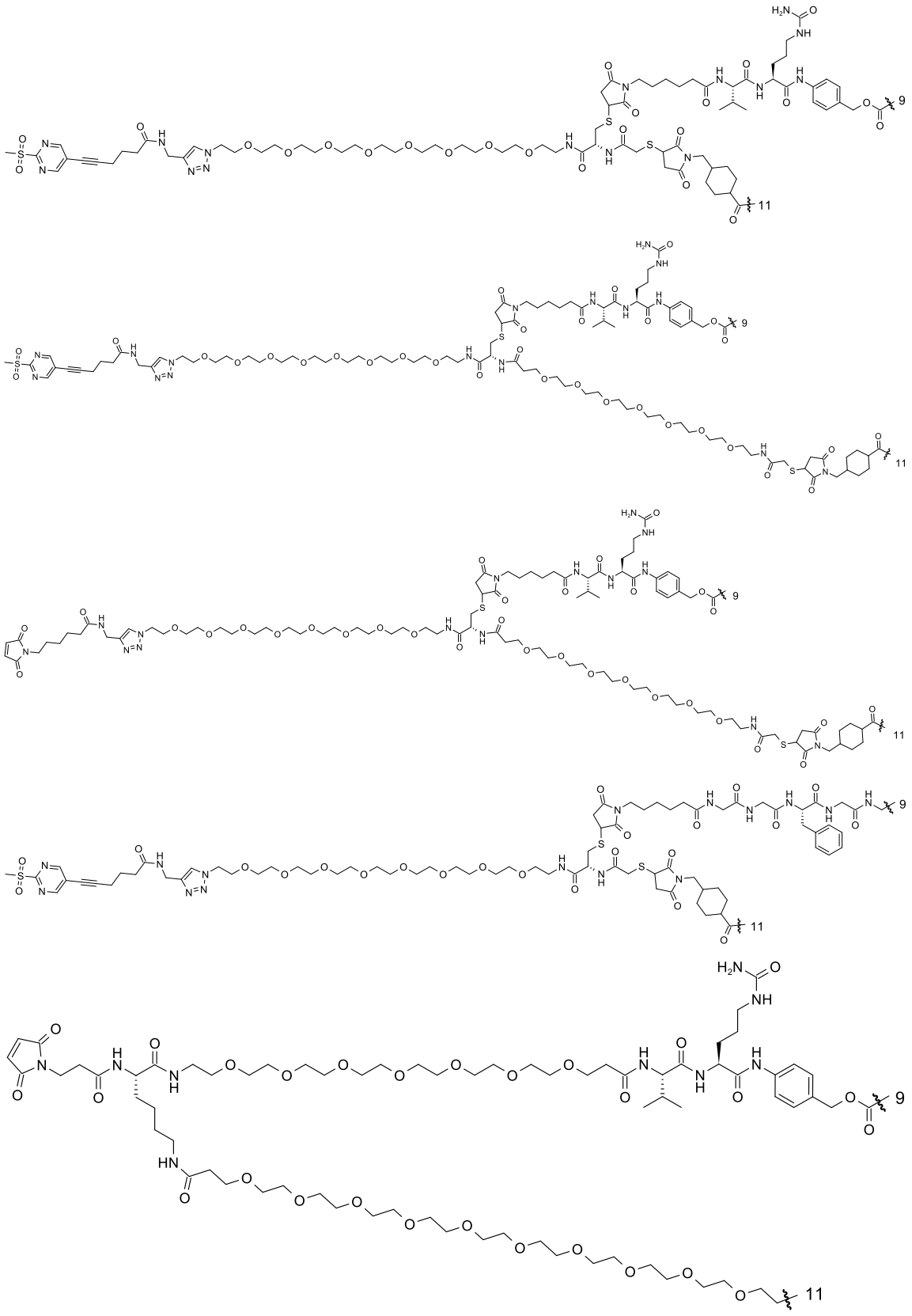
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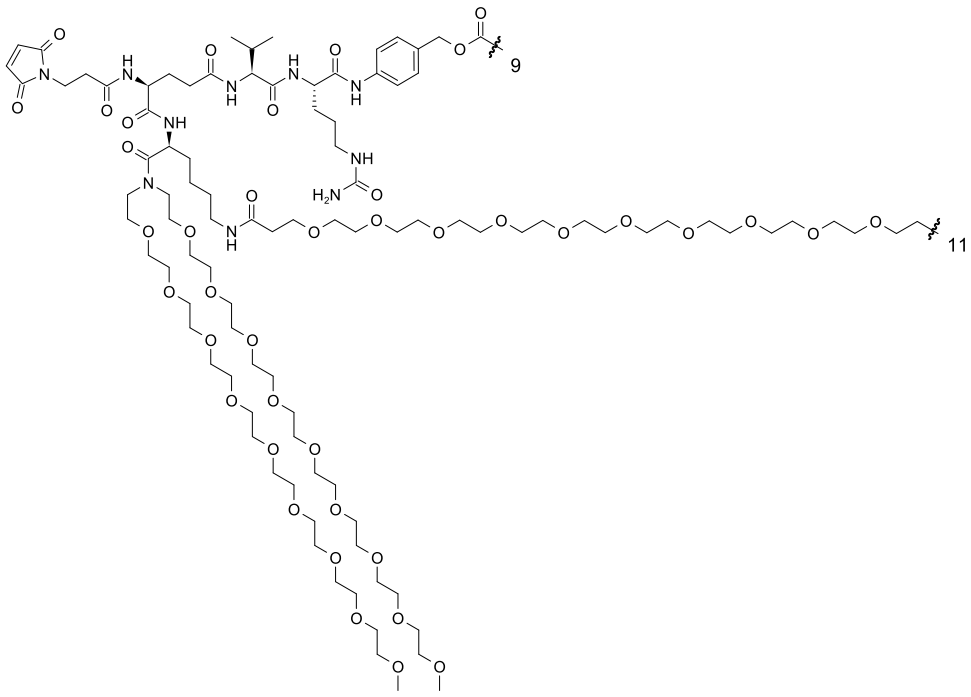
wherein, Position 6 is connected to one of L^1 and L^2, and Position 7 is connected to the other of L^1 and L^2; preferably, Position 5 is connected to X, Position 6 is connected to L^1, and Position 7 is connected to L^2.

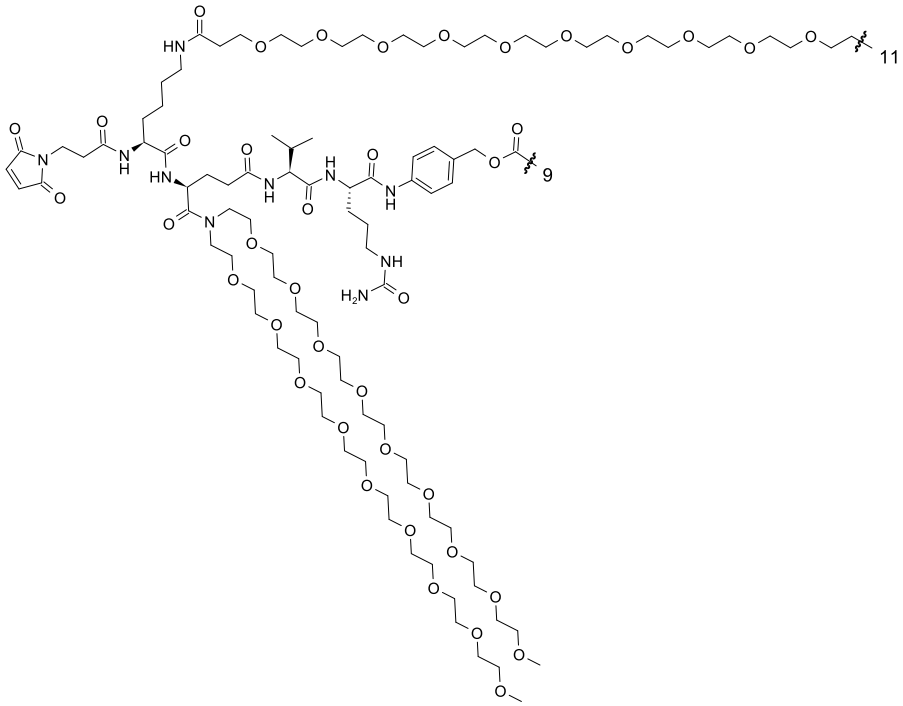
31. The compound according to any one of claims 28 to 30, wherein  is selected from the group consisting of the following structures:

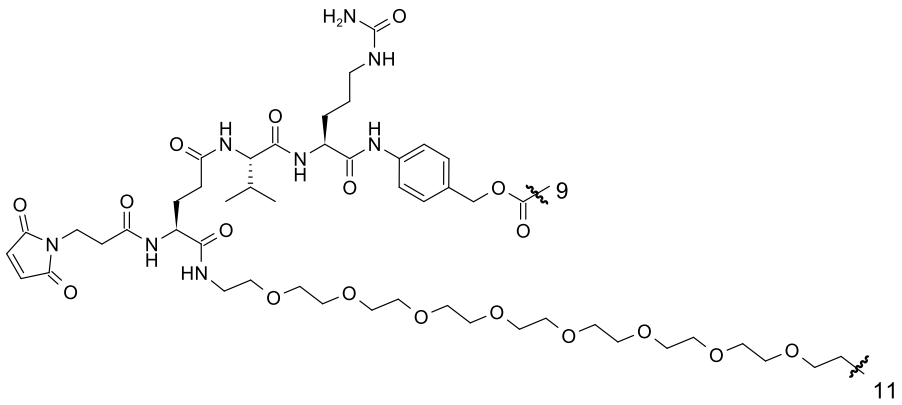


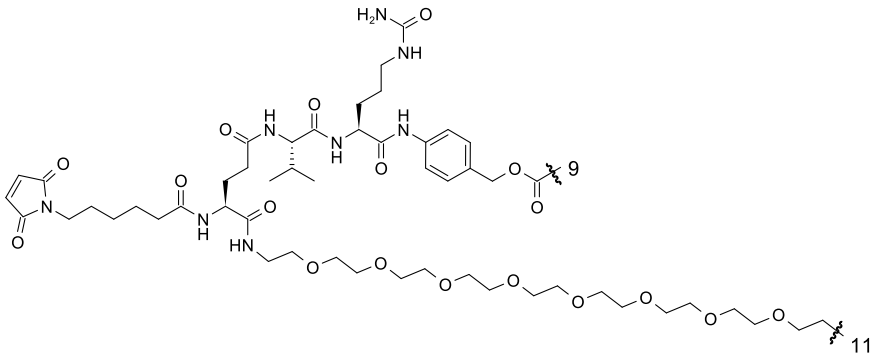


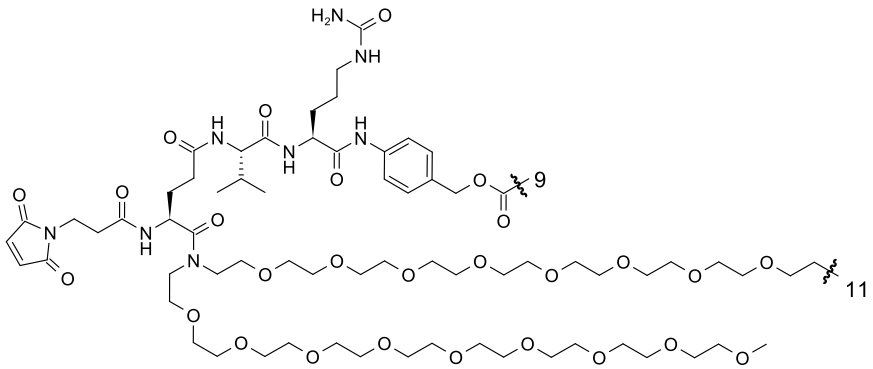


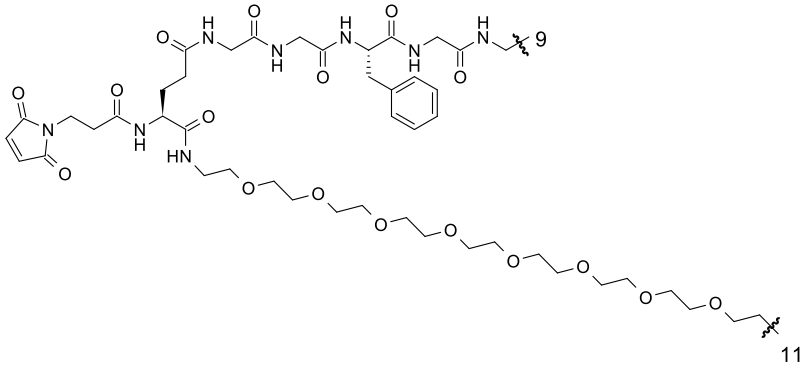


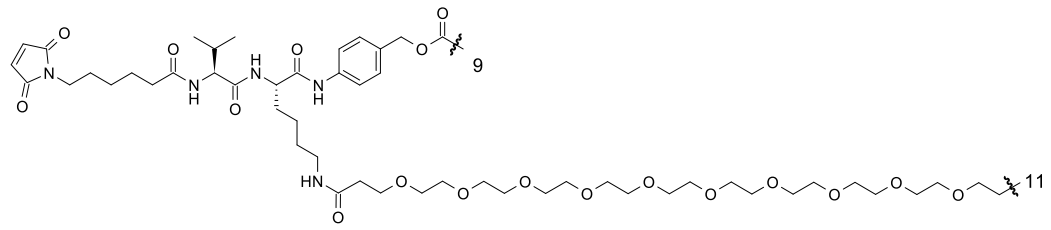


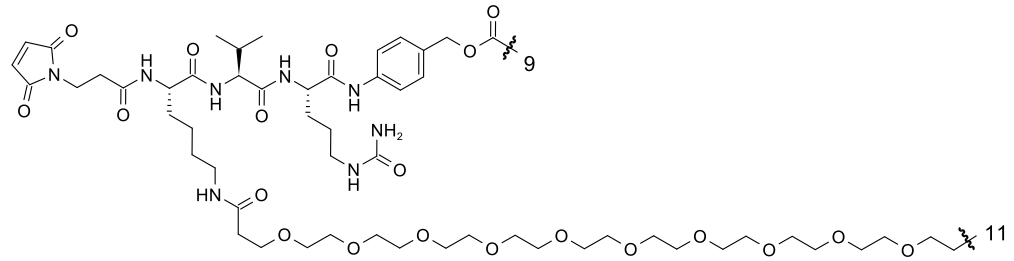


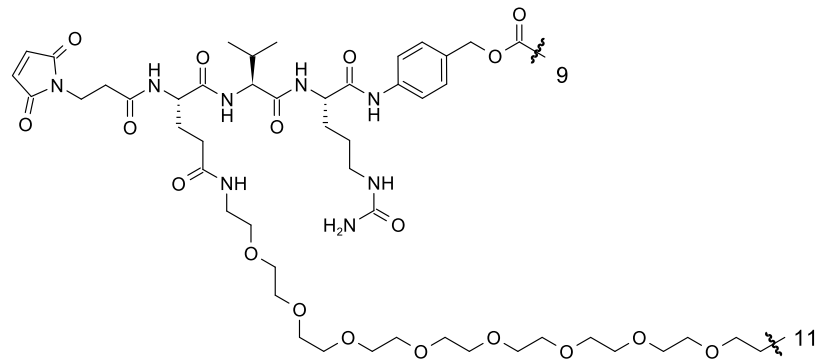


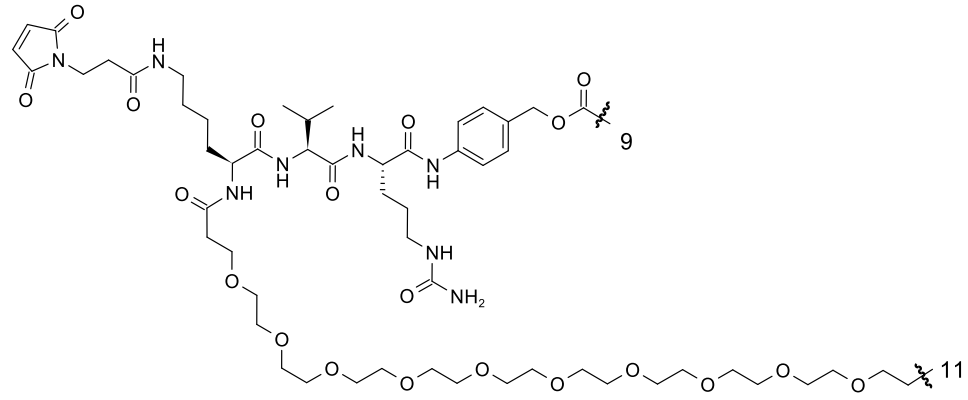


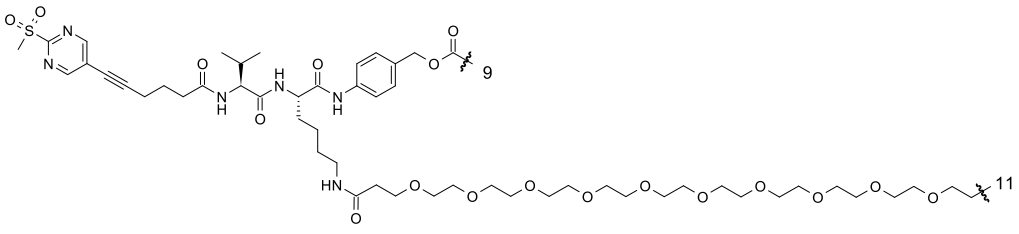


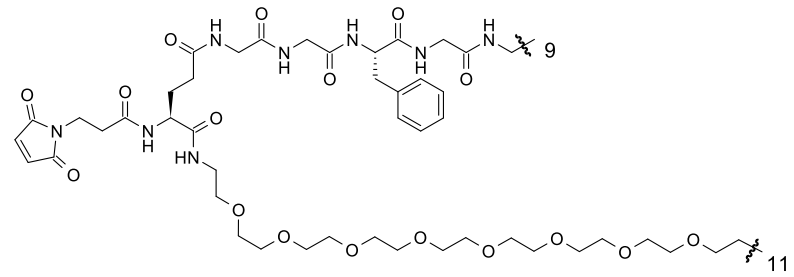


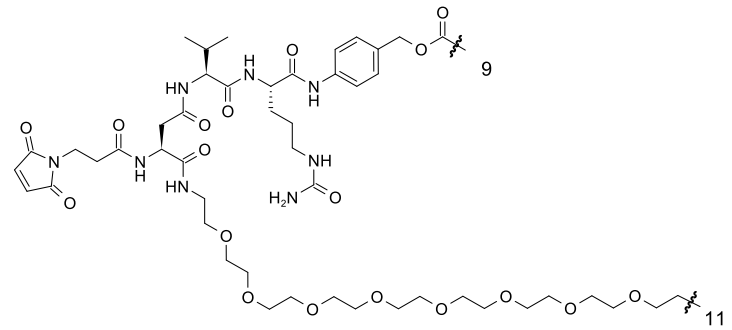


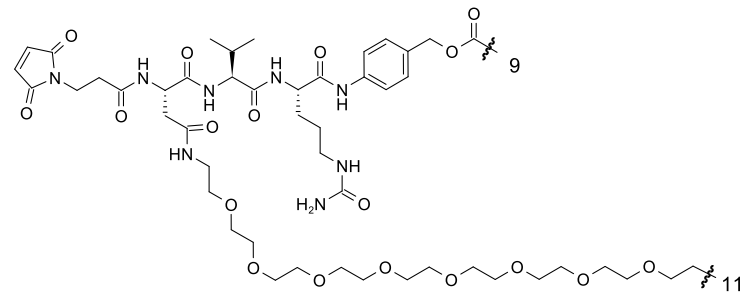


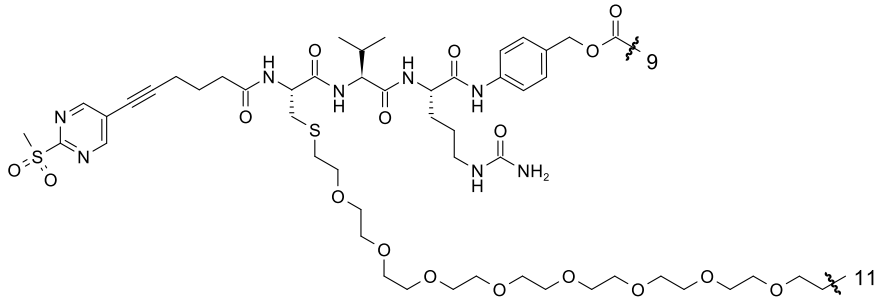


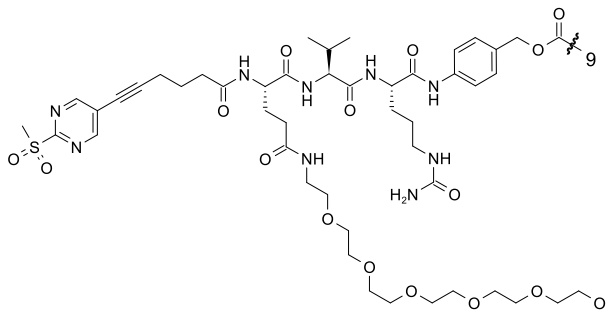


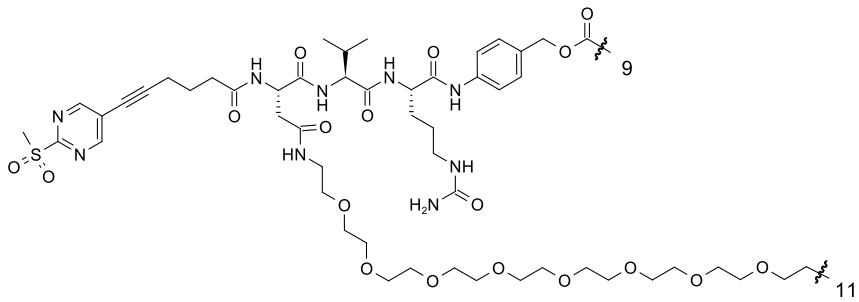


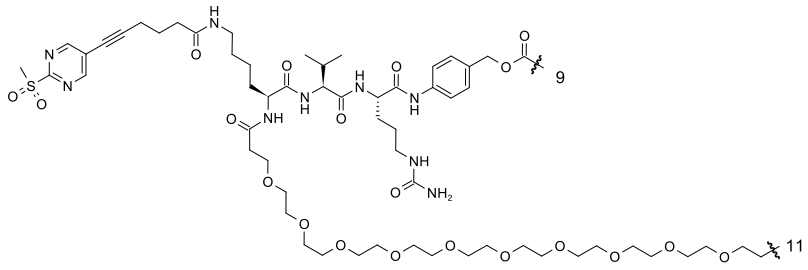


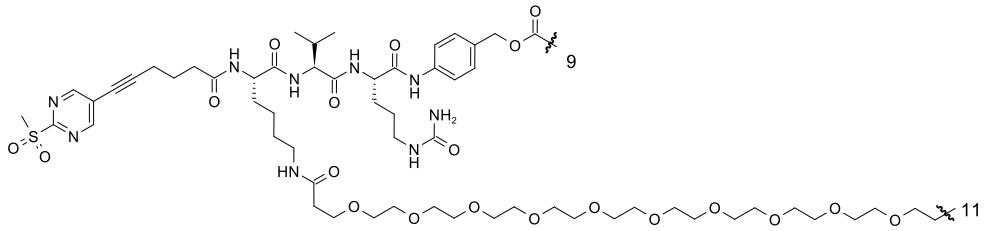


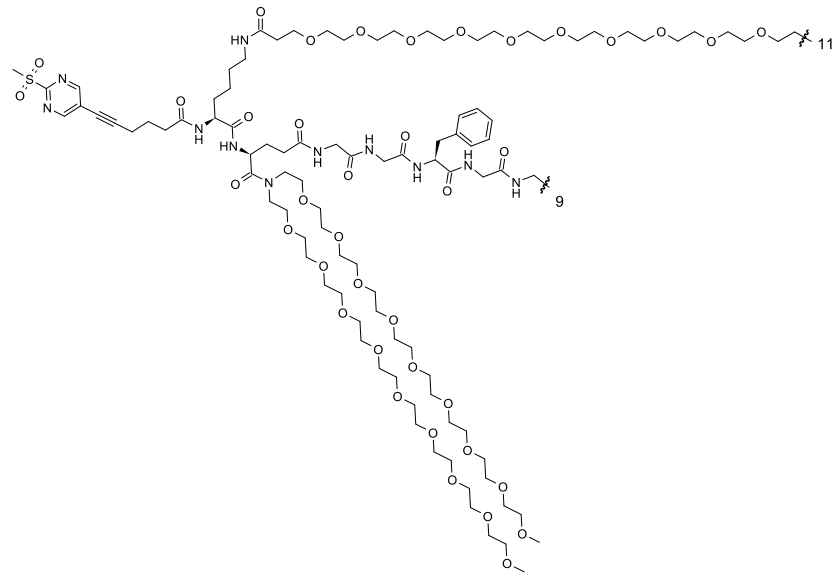


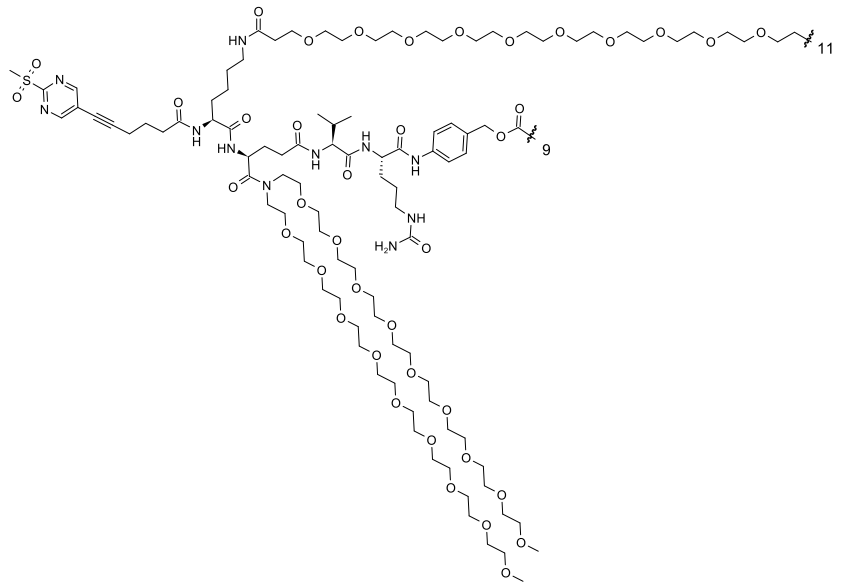


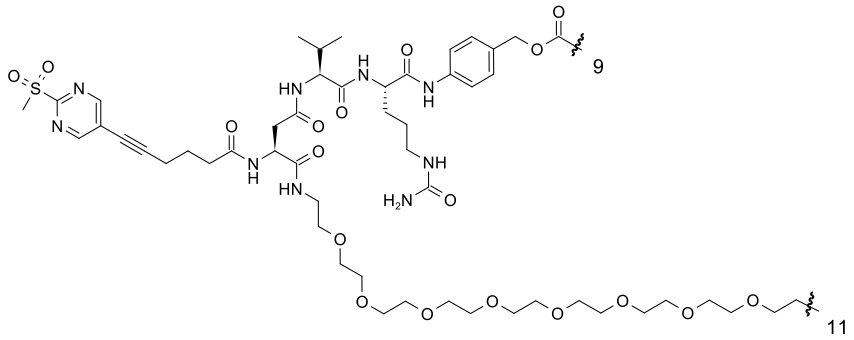


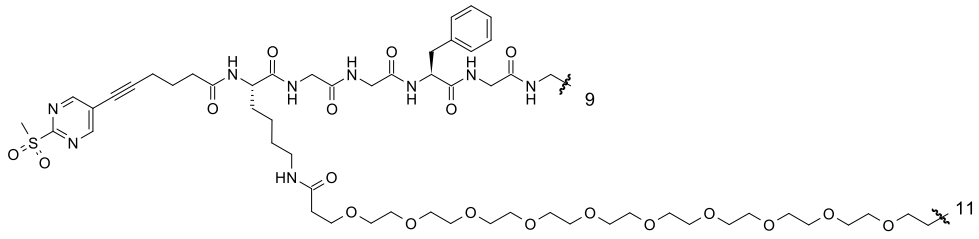


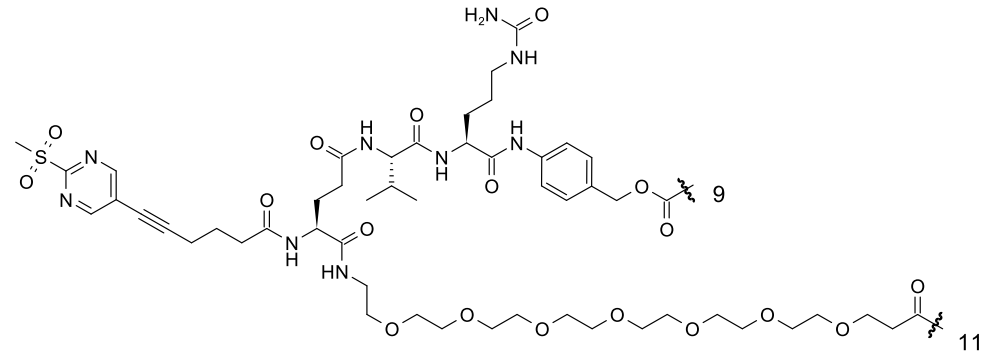


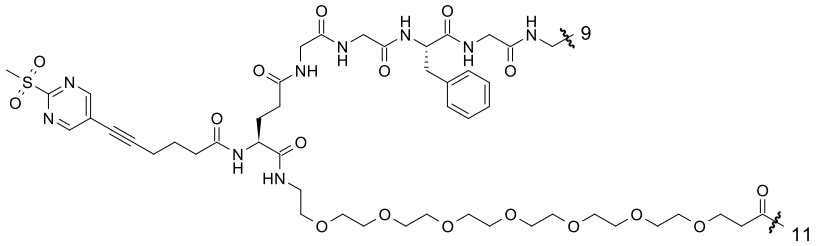


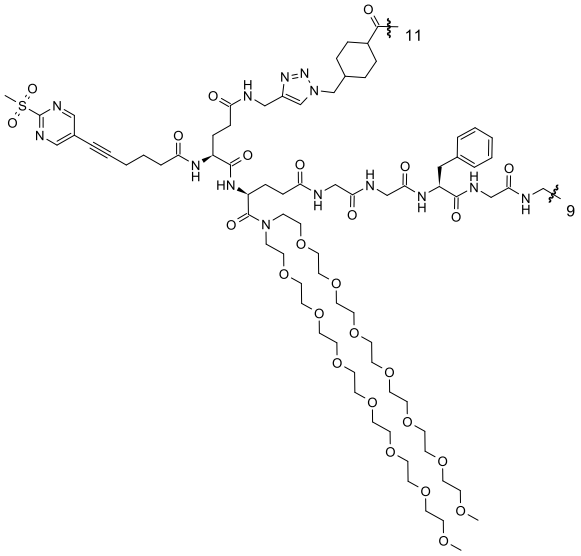


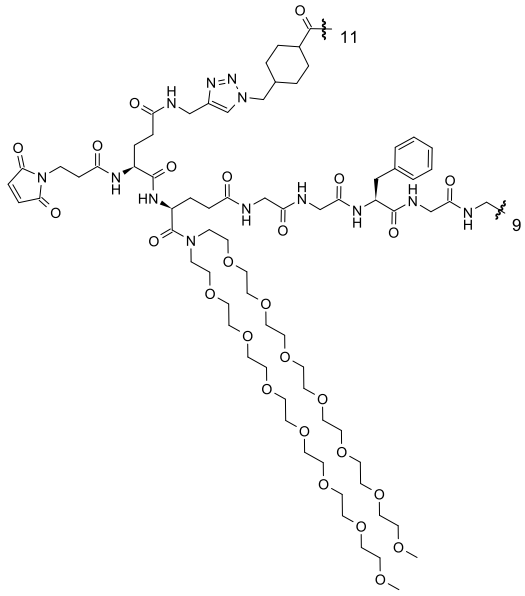


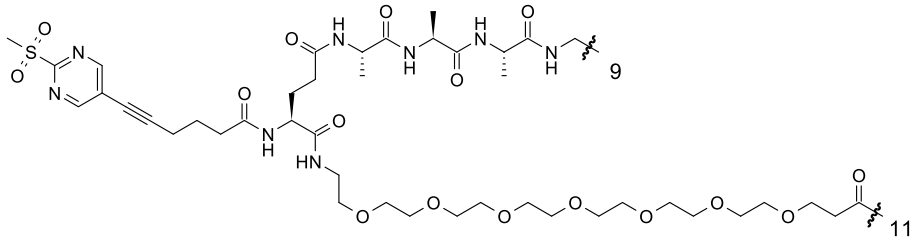


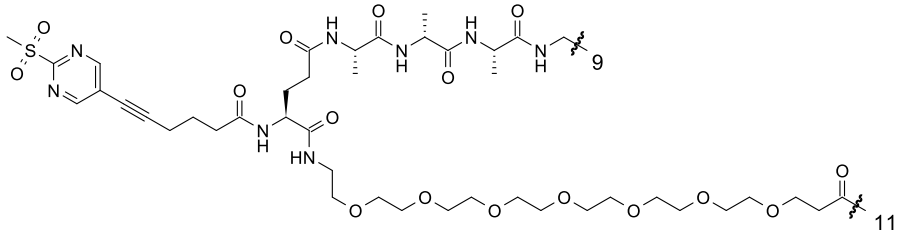


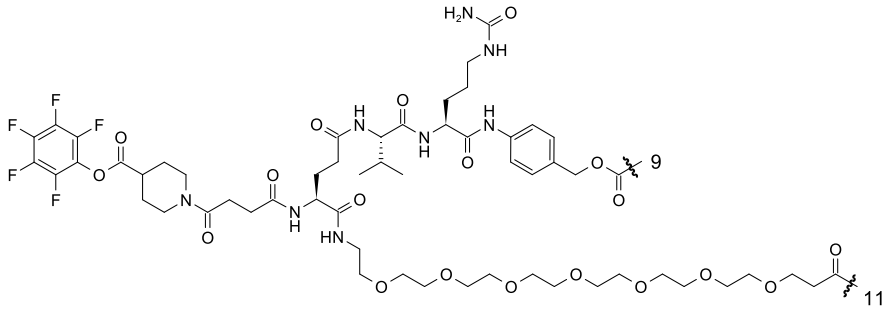


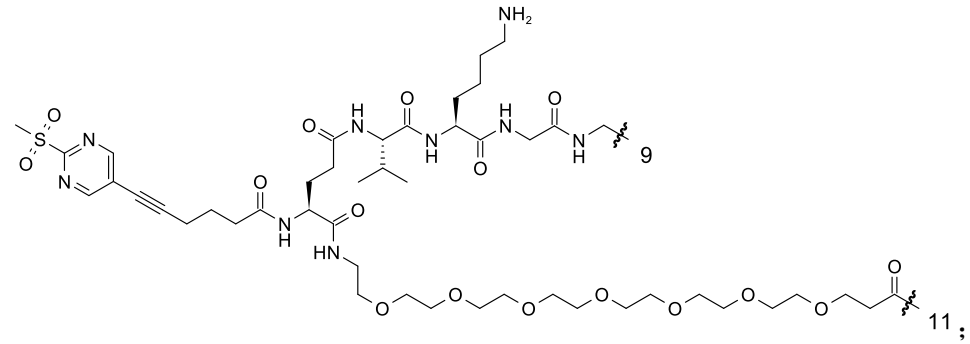






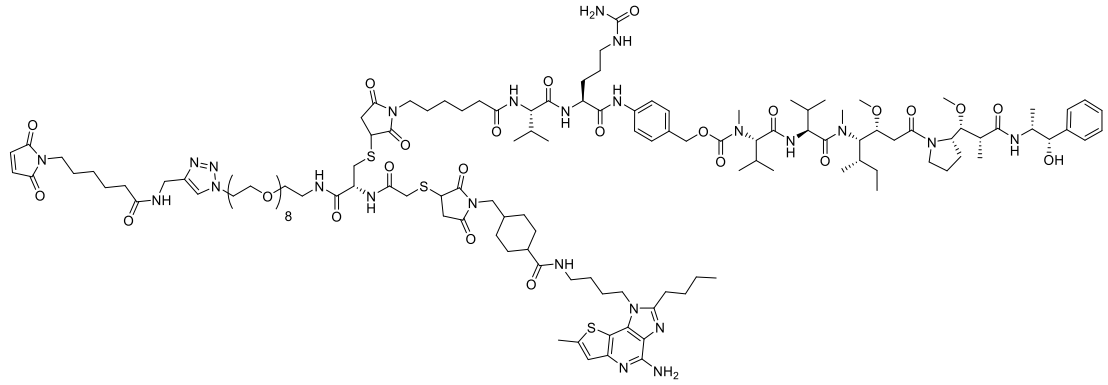






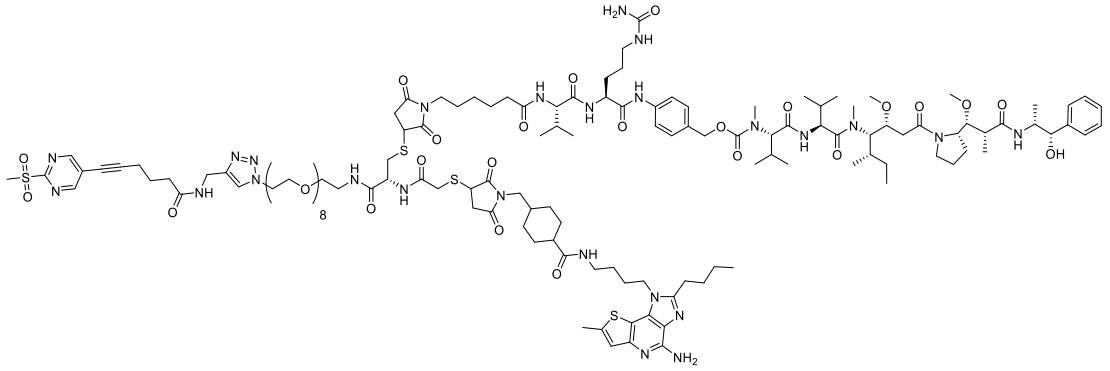
wherein, Position 9 is connected to D^1, and Position 11 is connected to D^2.

32. The compound or pharmaceutically acceptable salt, ester, stereoisomer, tautomer, polymorph, solvate, N-oxide, isotopically labeled compound, metabolite or prodrug thereof according to any one of claims 28 to 31, which is selected from the group consisting of the following structures:



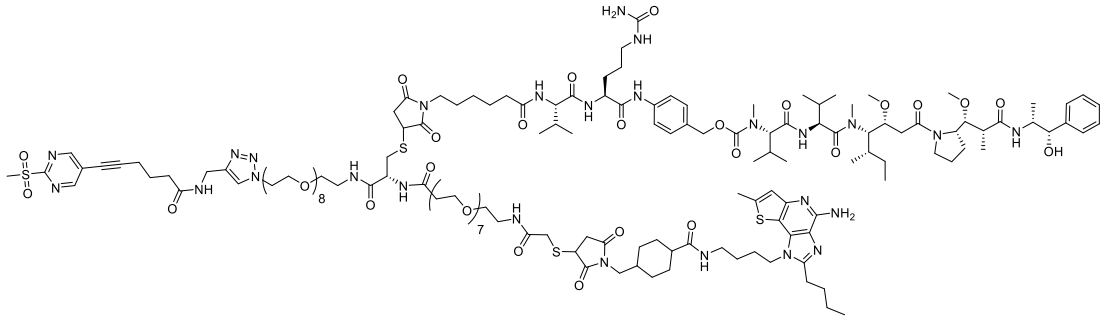
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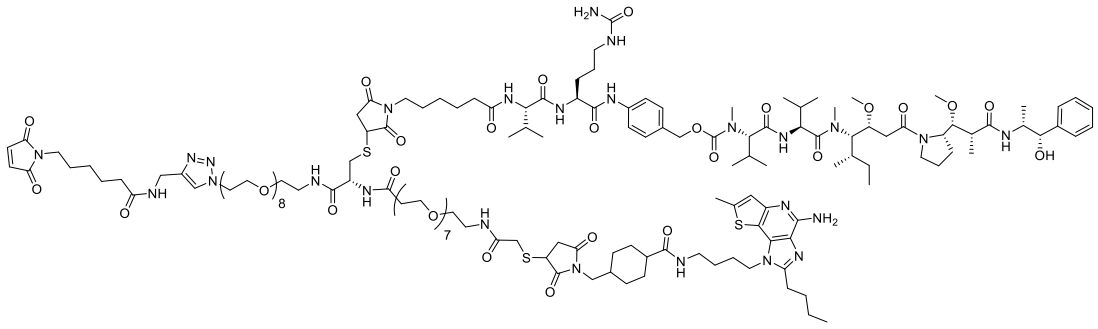
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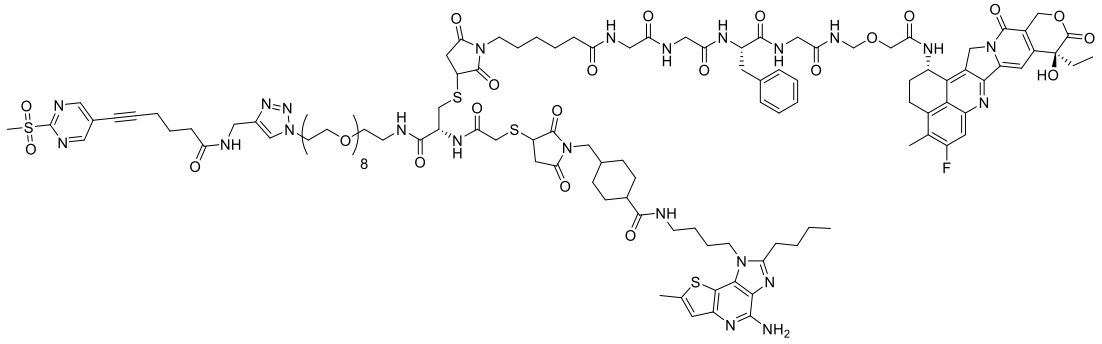
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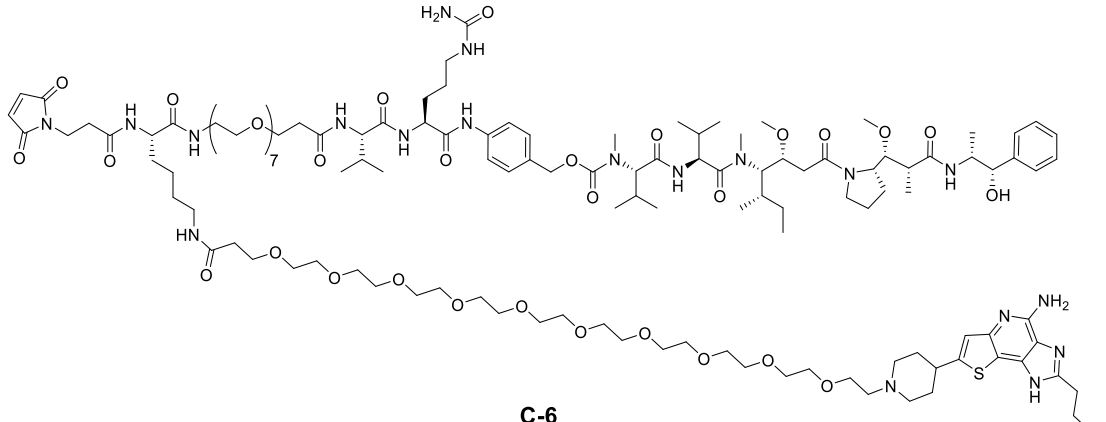
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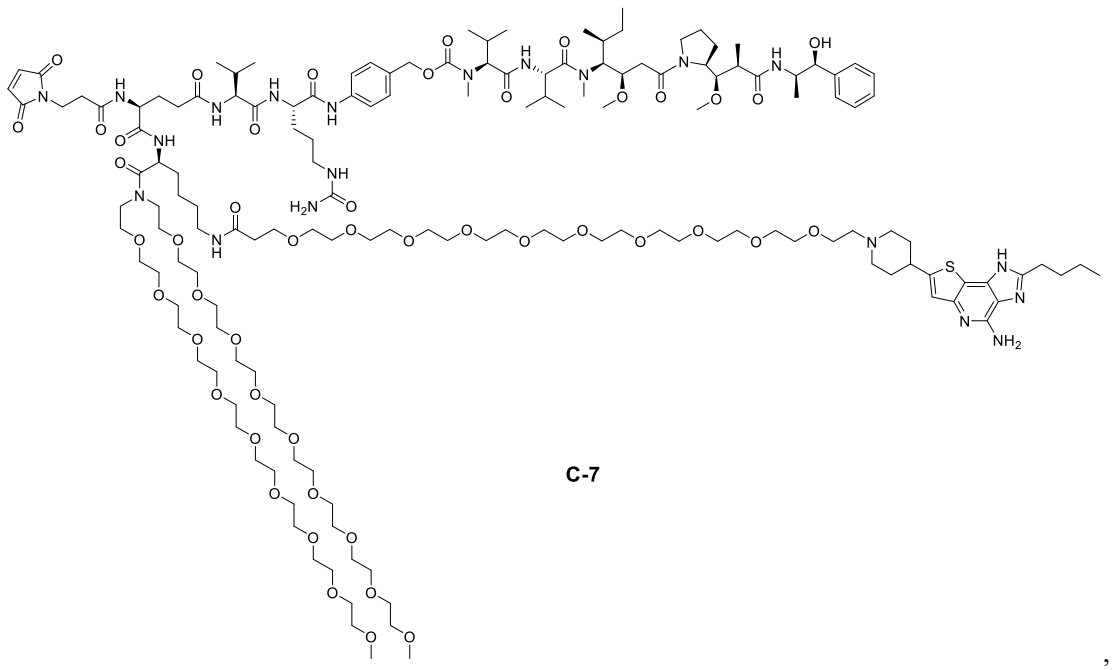
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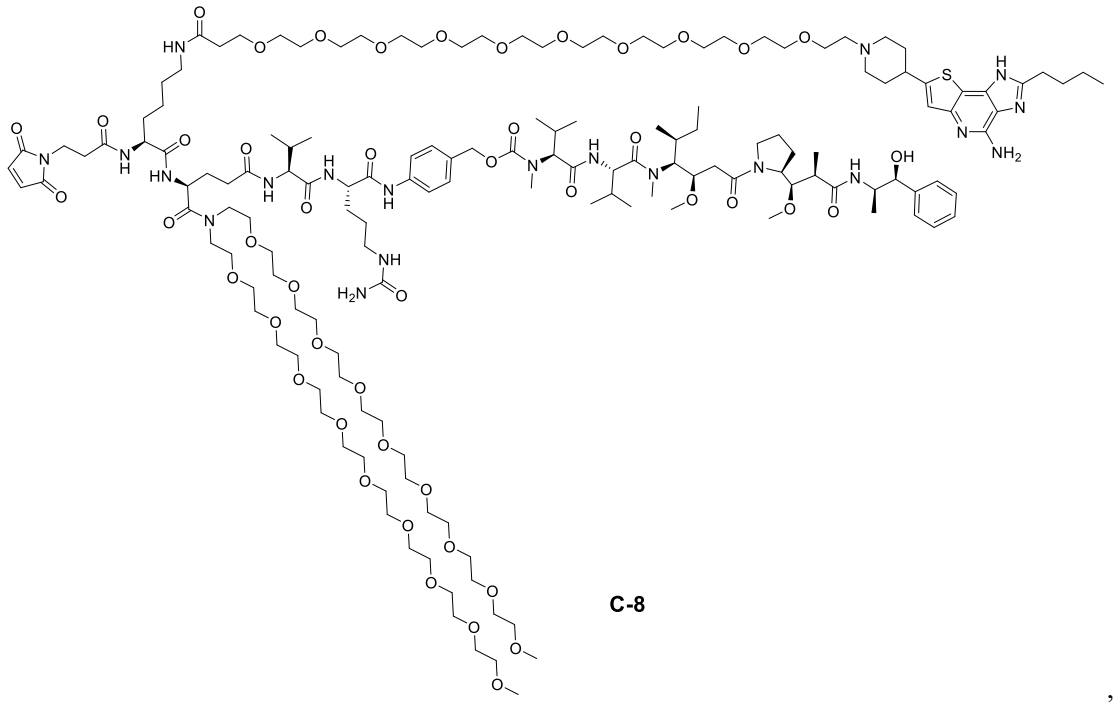
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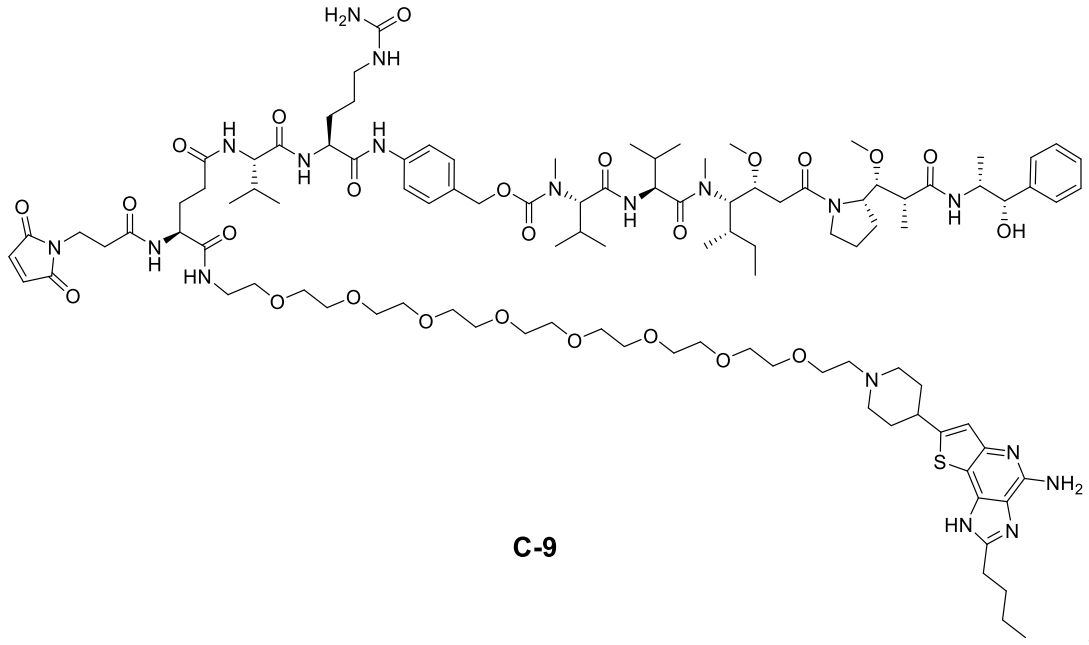
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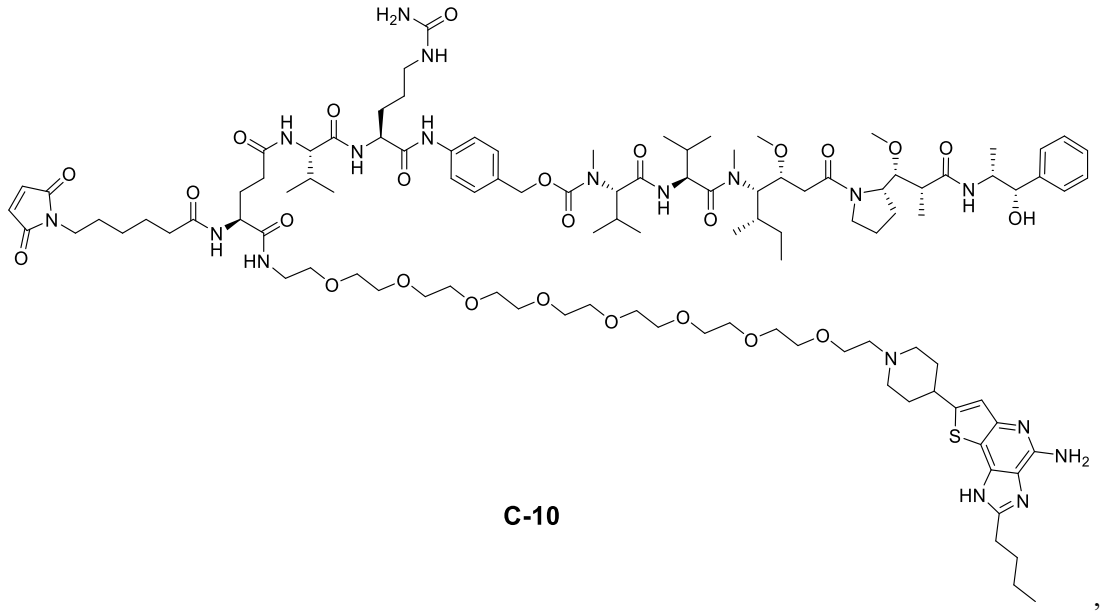
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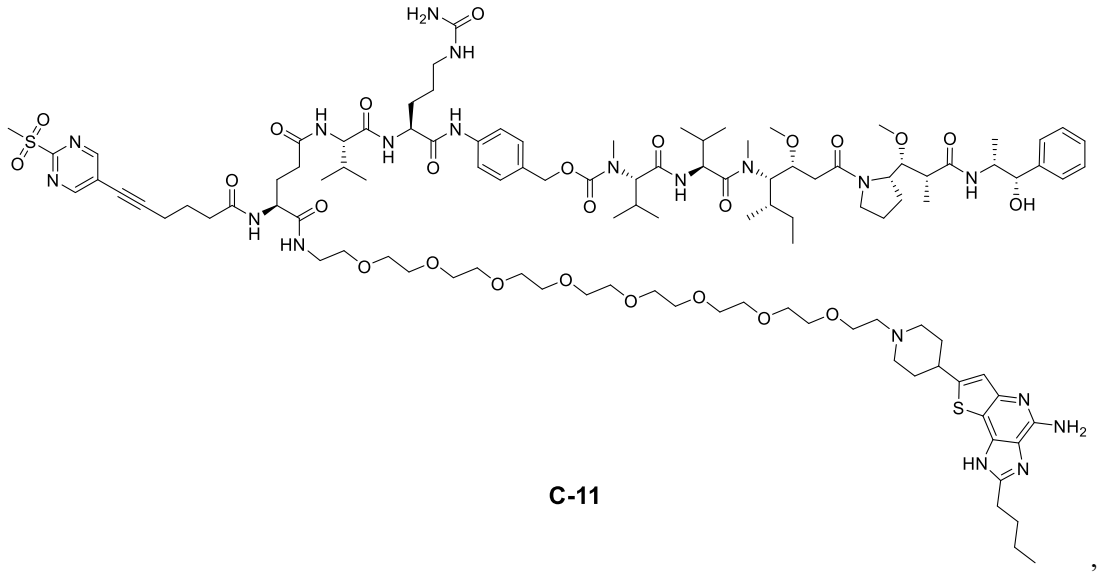
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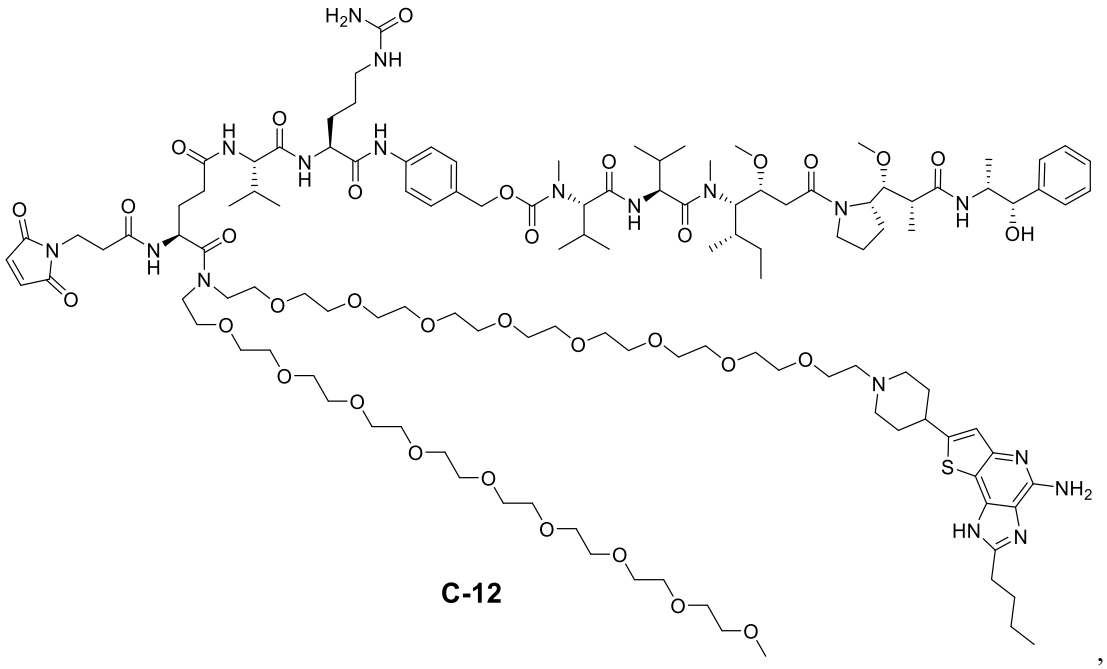
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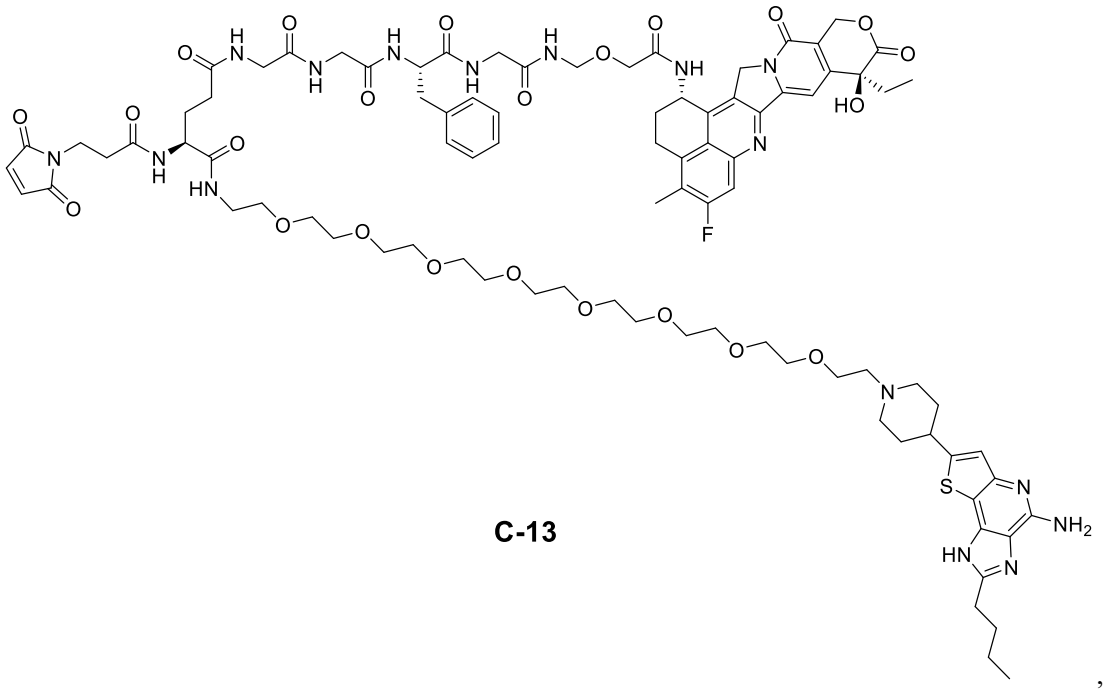
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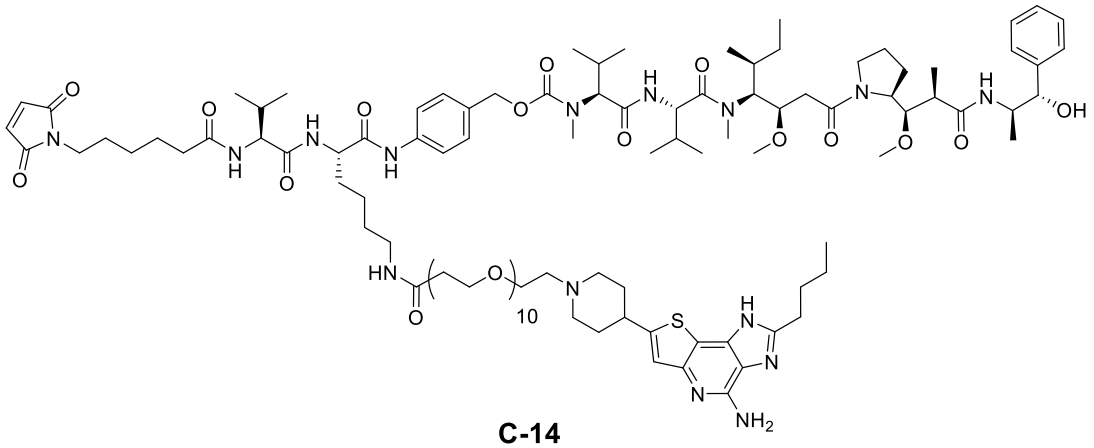
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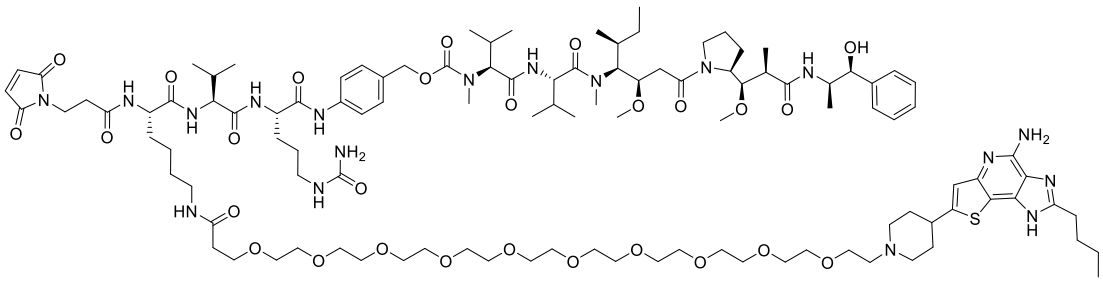
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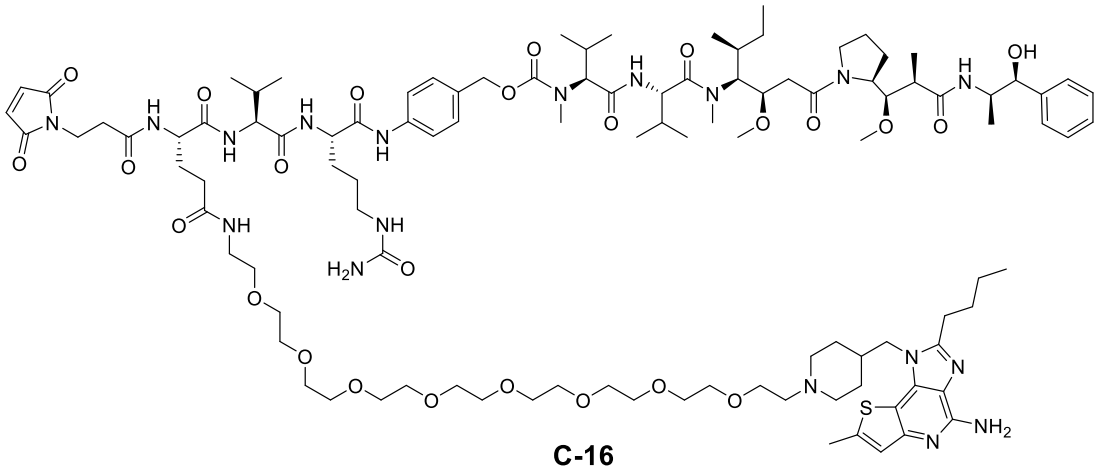
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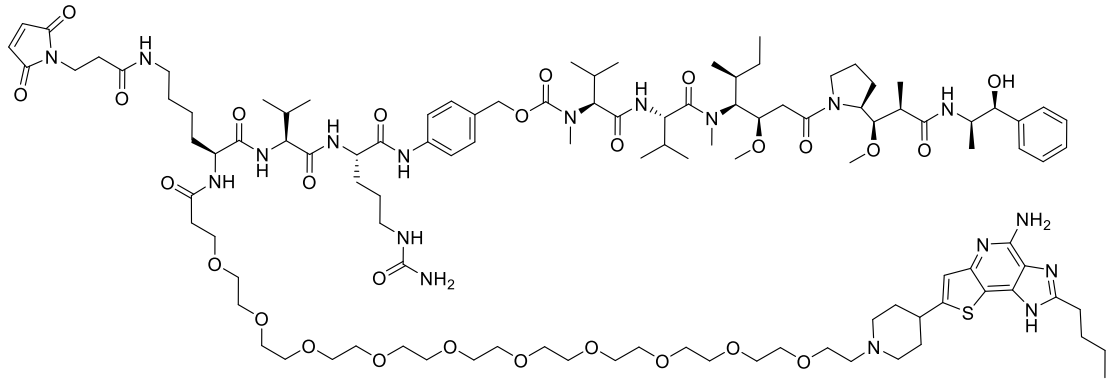
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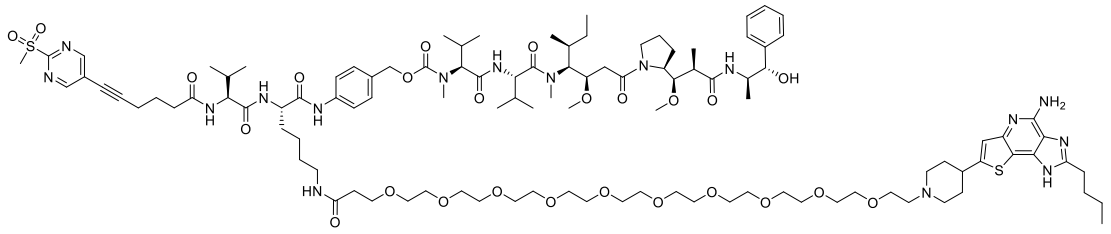
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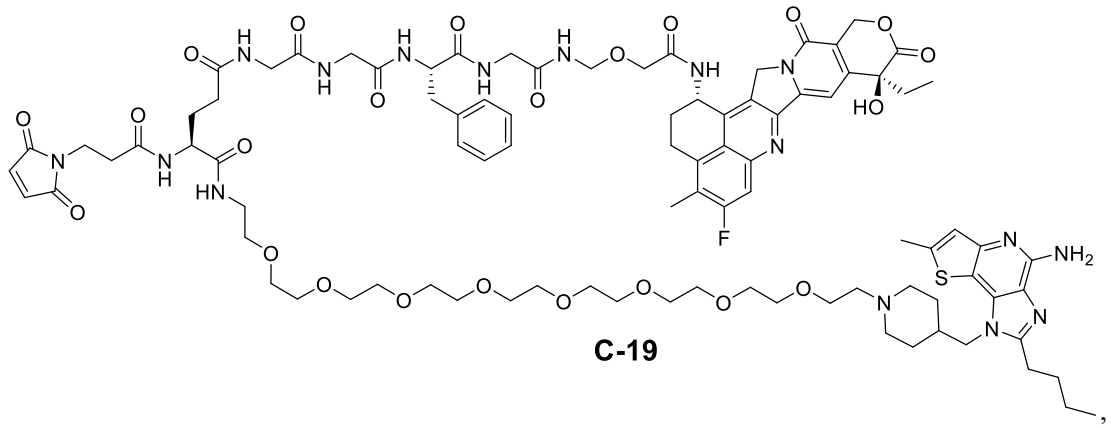
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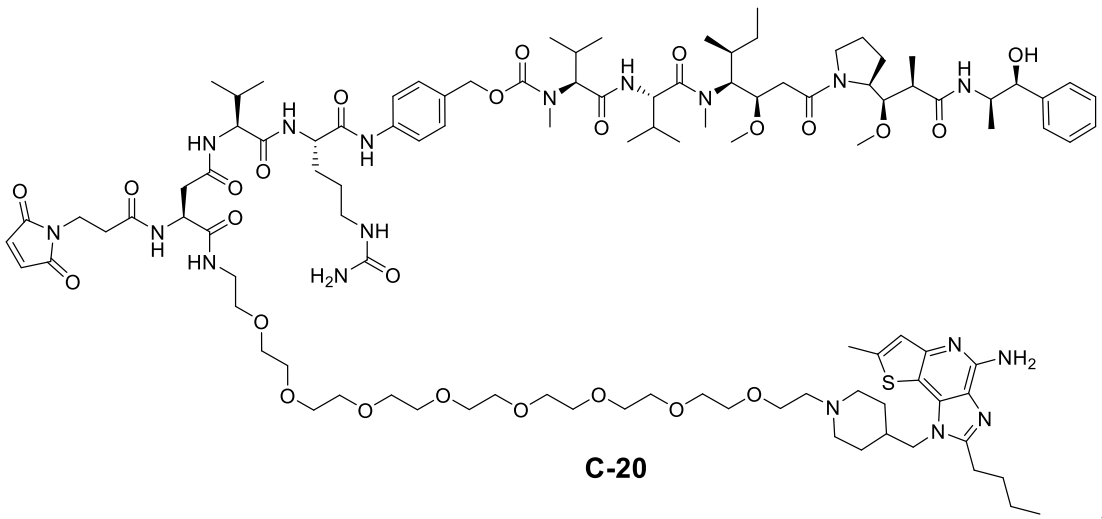
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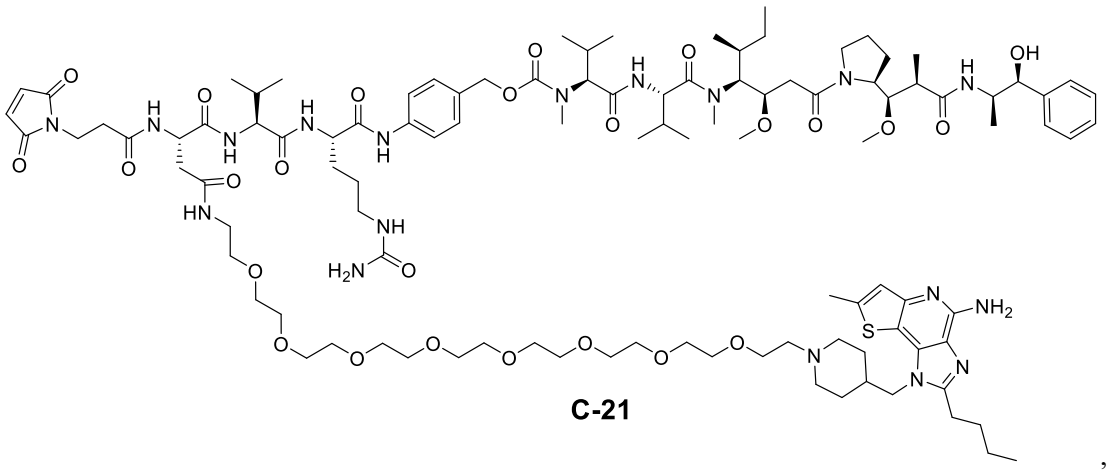
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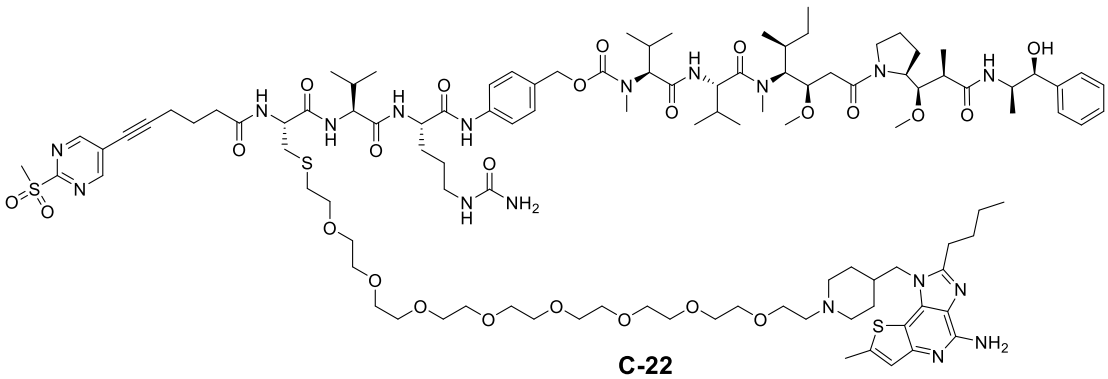
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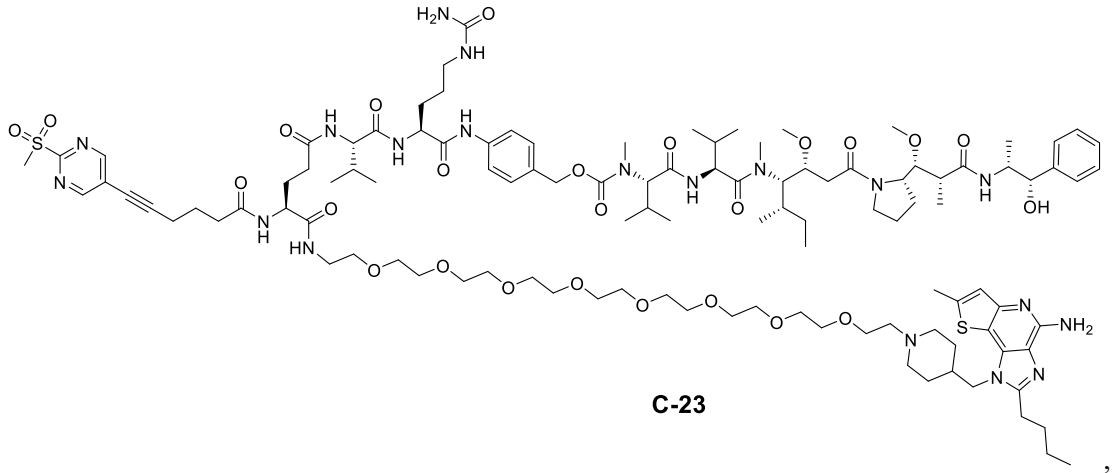
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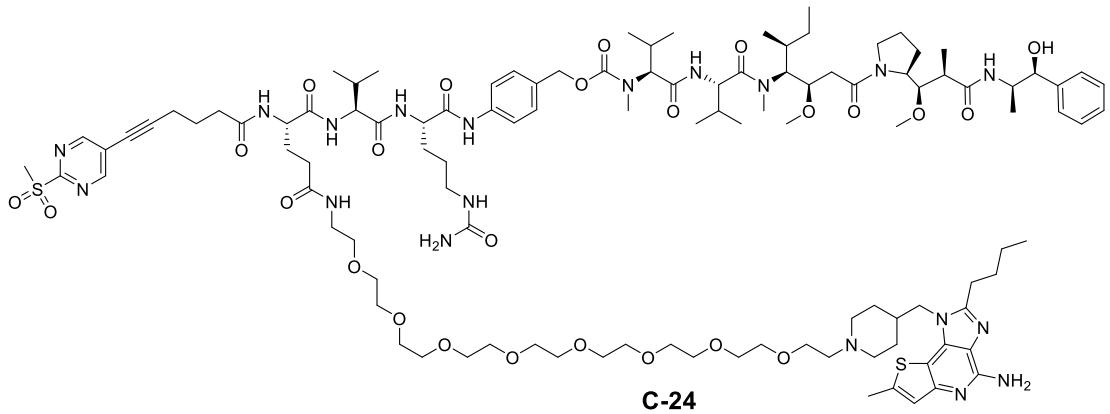
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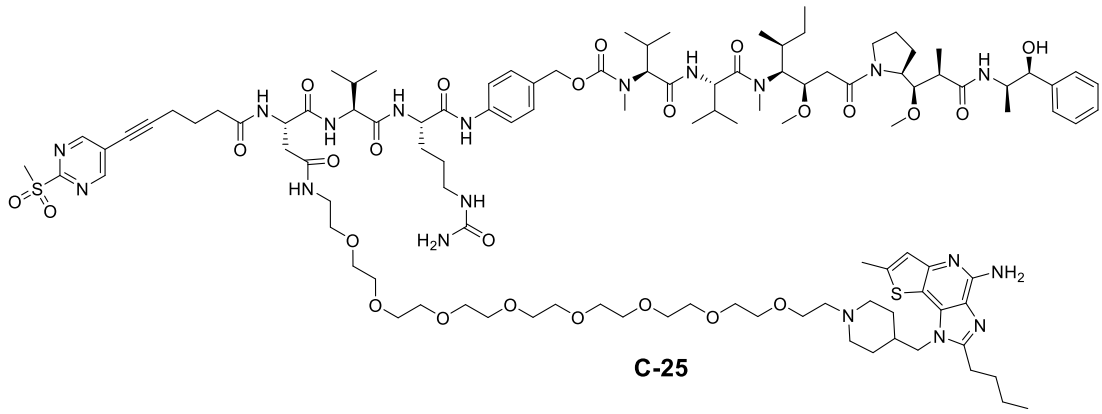
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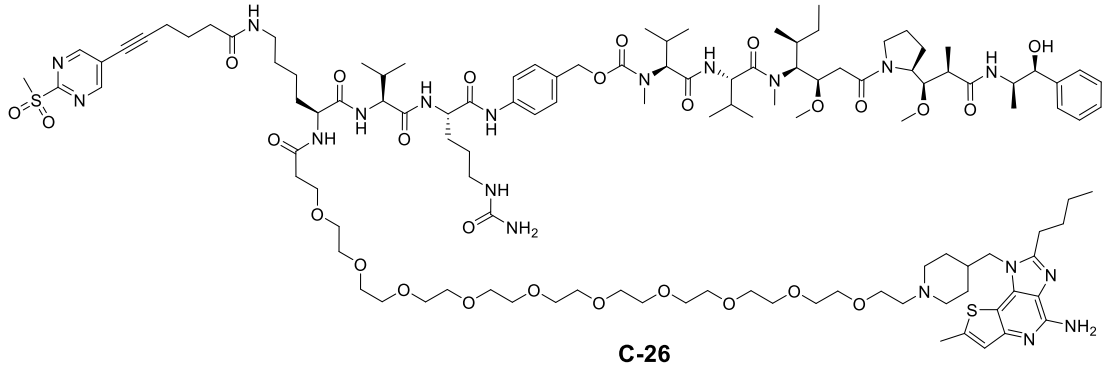
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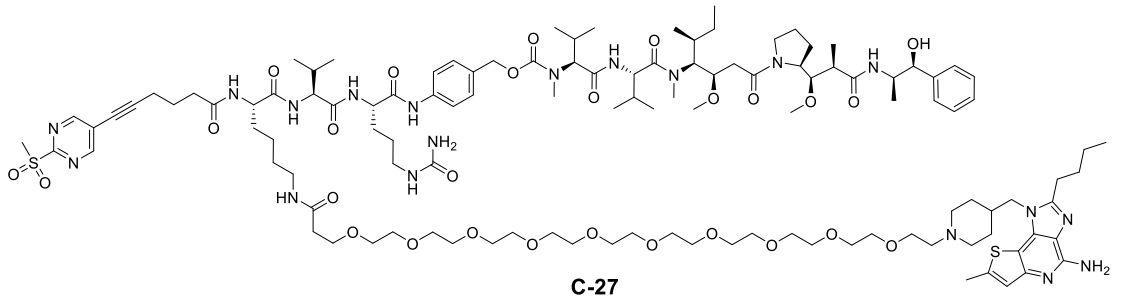
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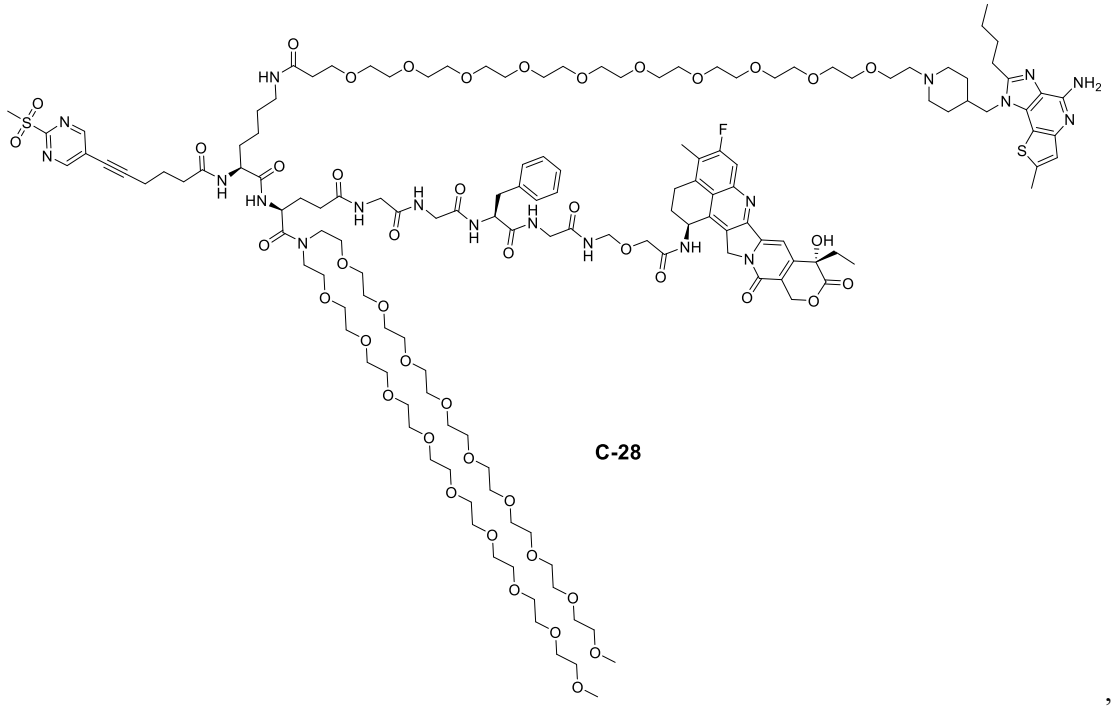
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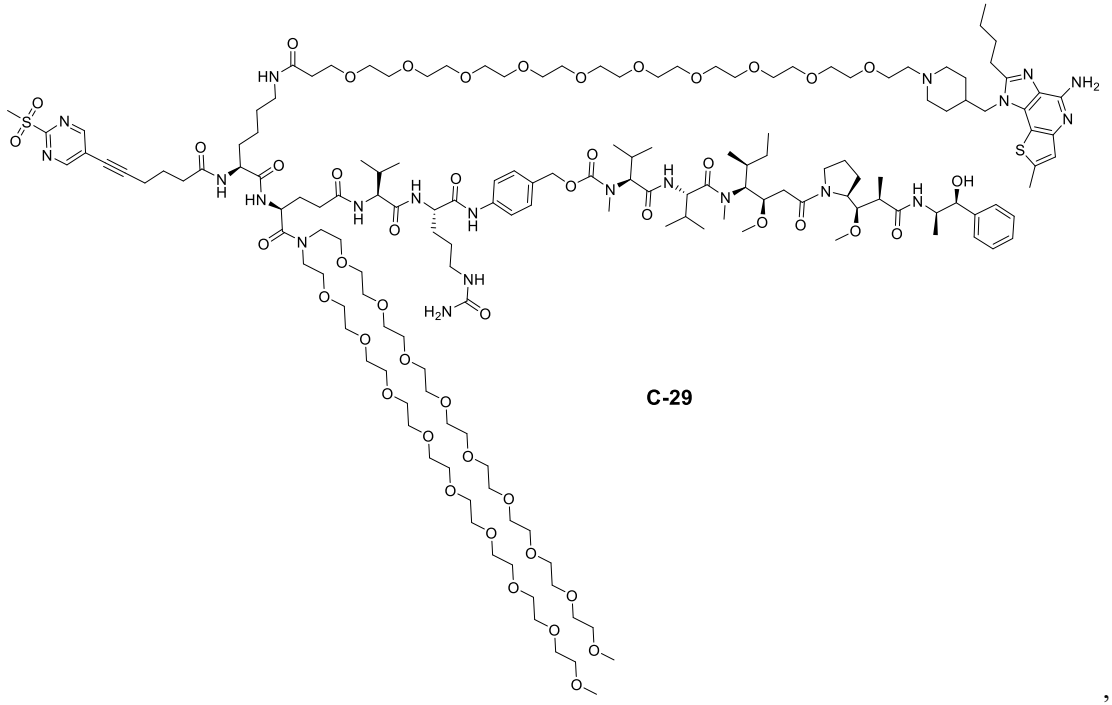
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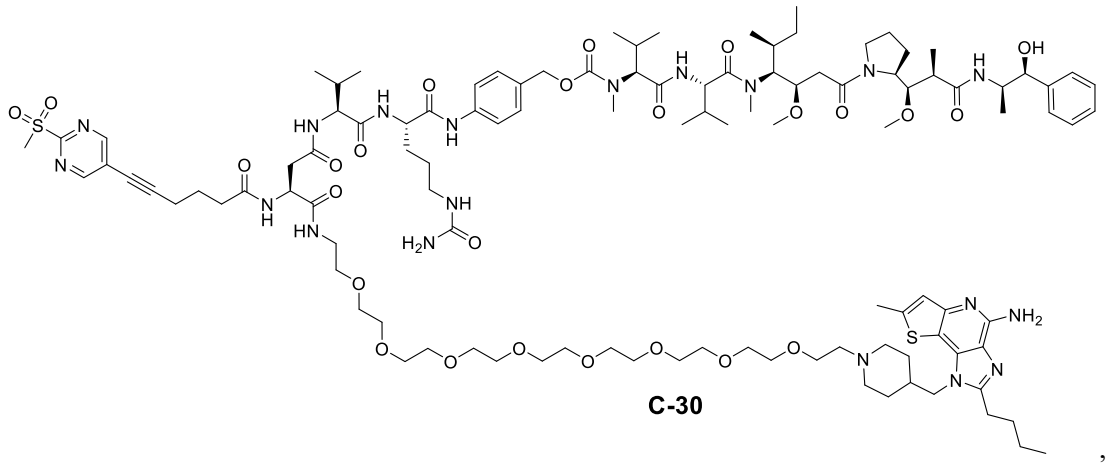
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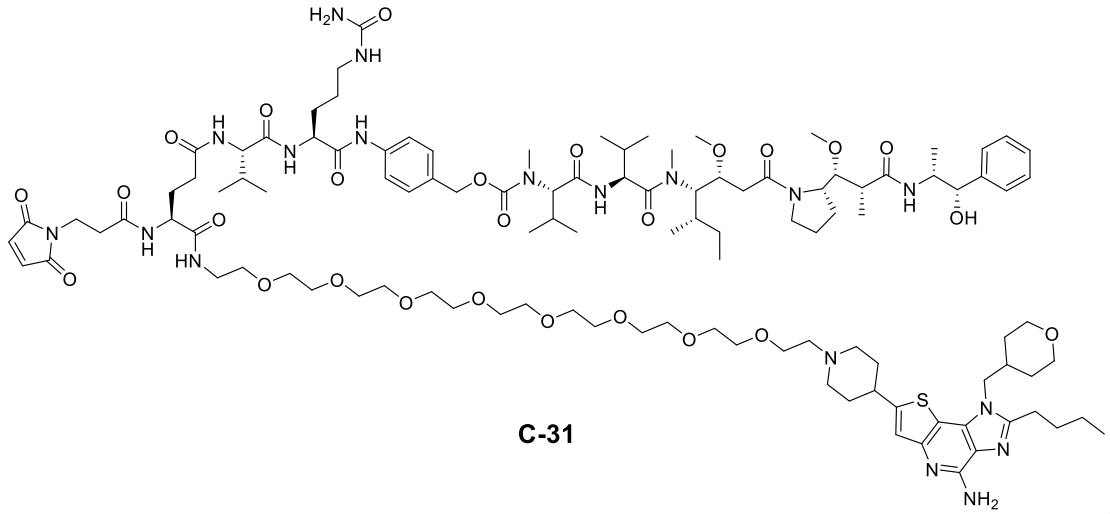
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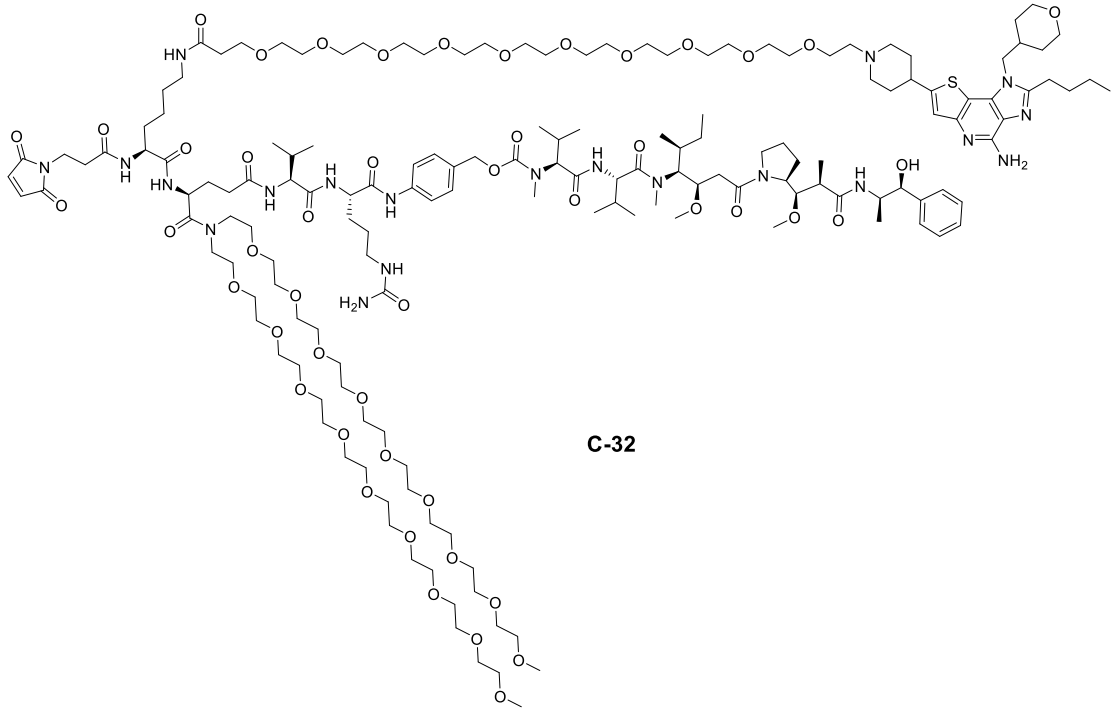
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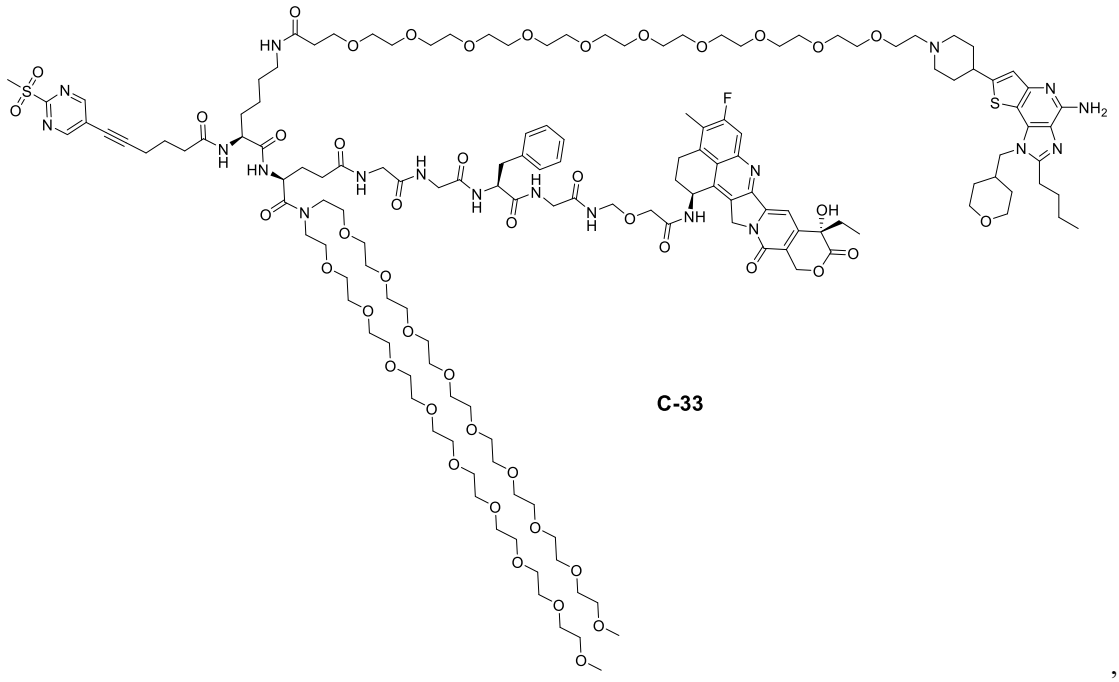
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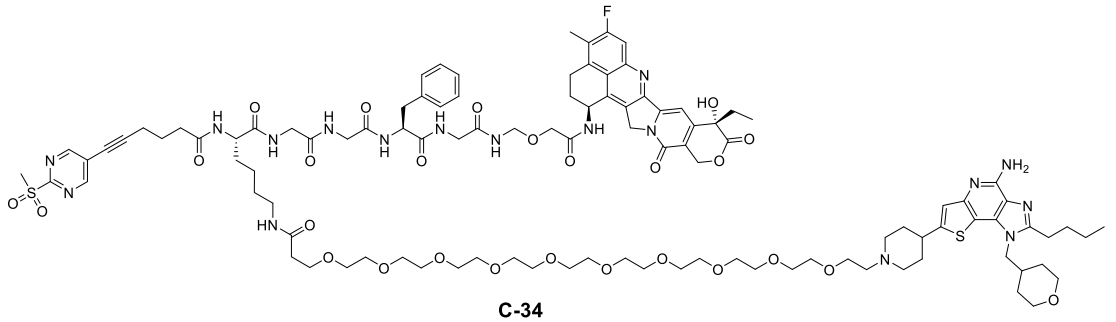
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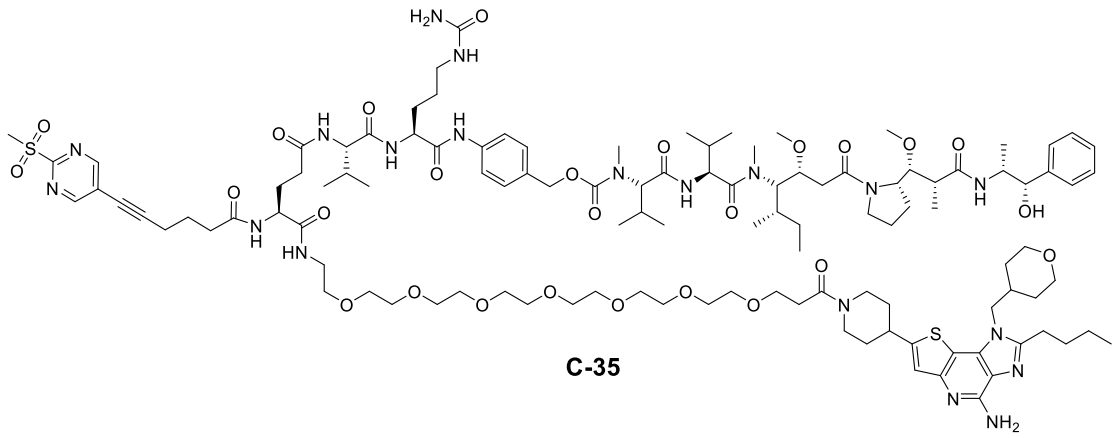
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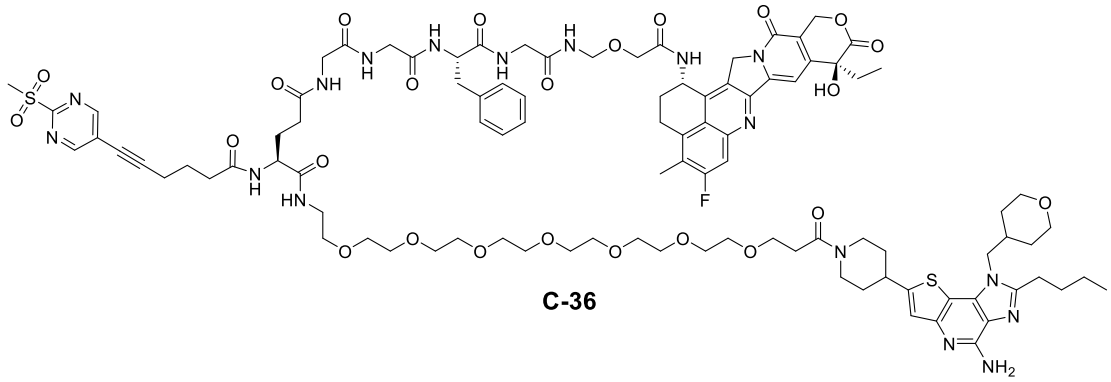
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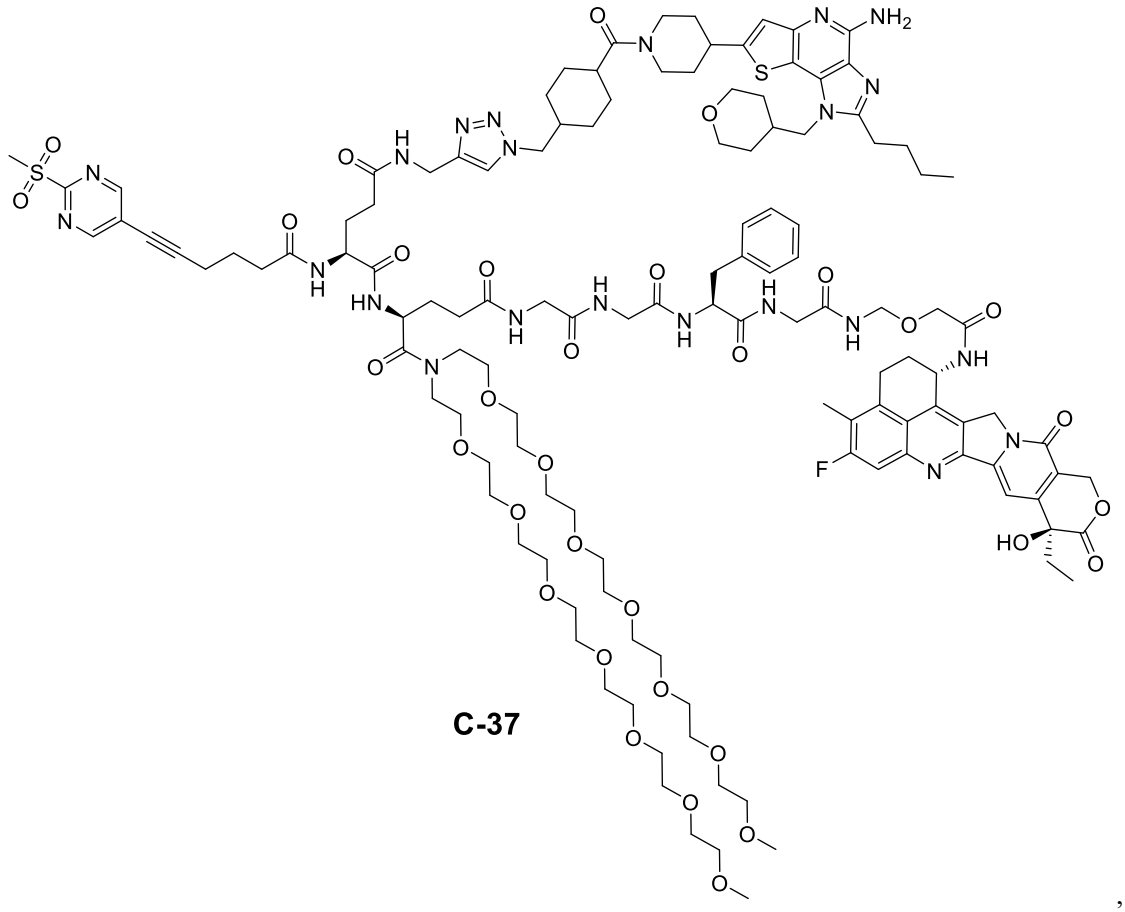
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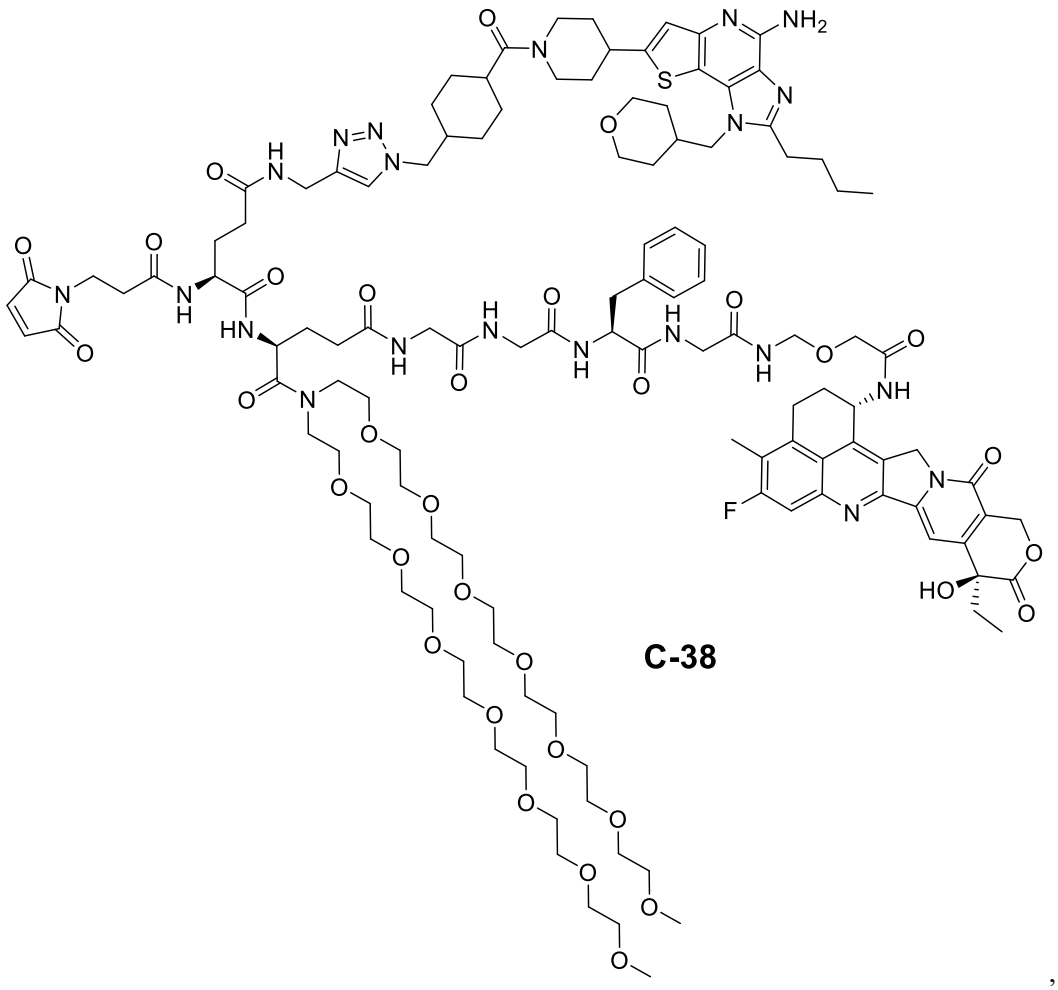
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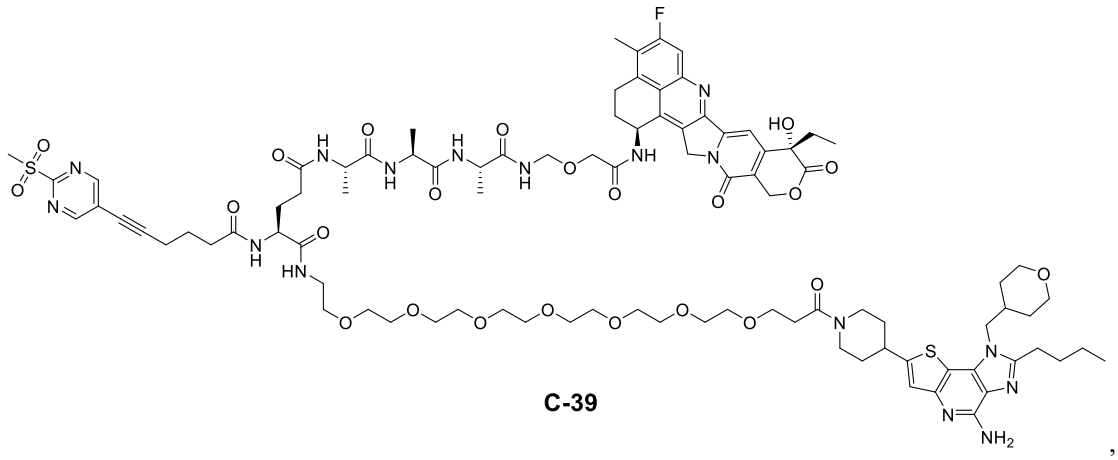
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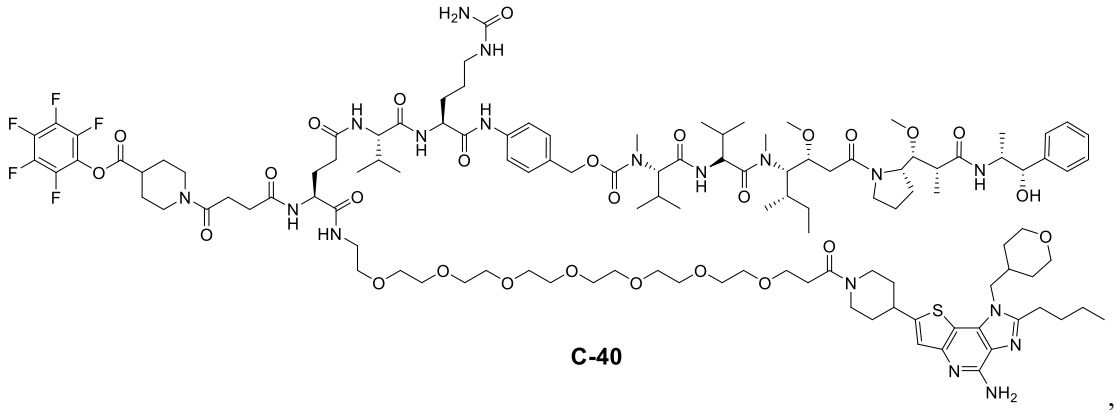
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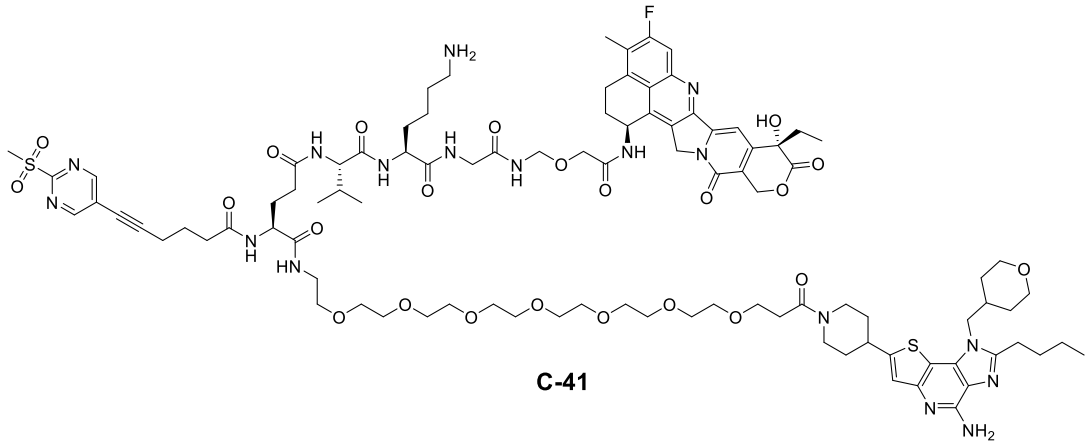
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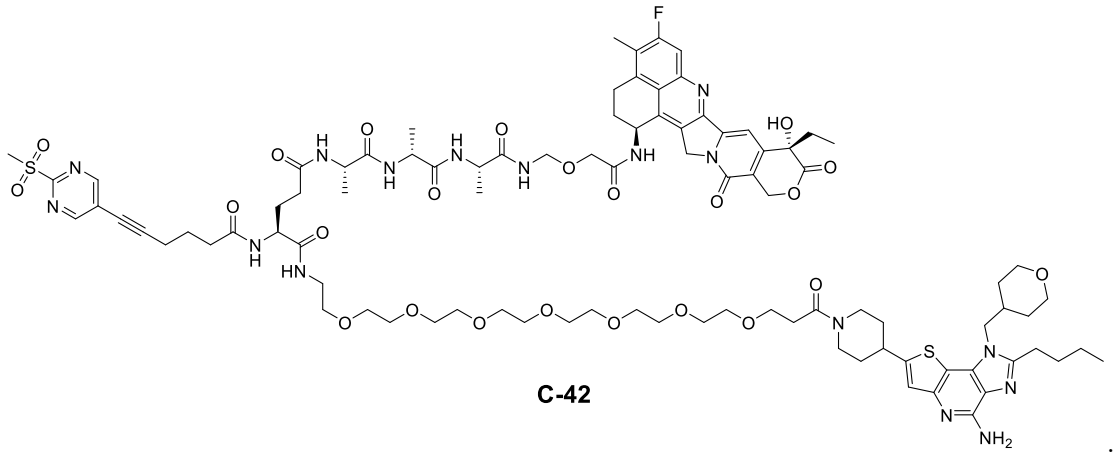
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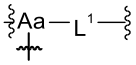
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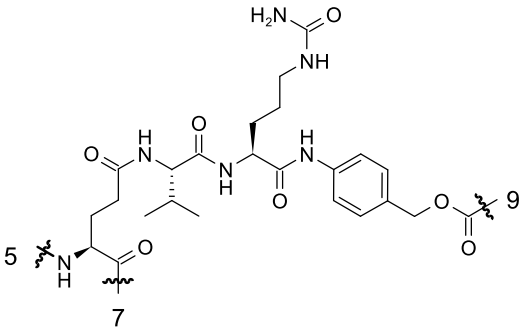
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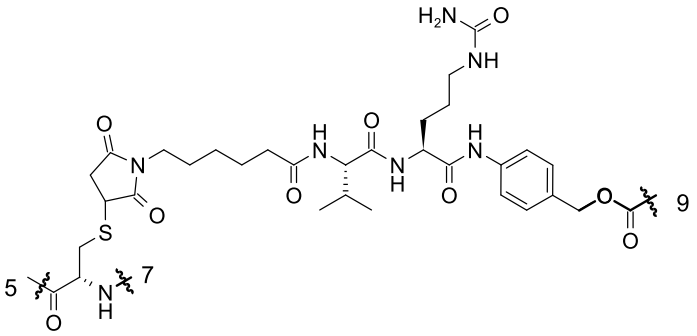


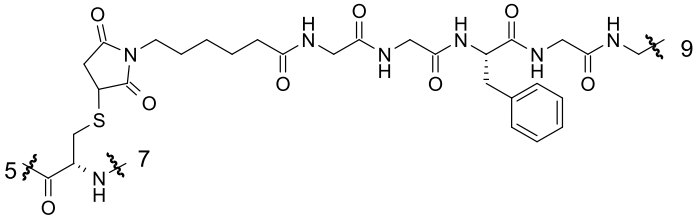
C-42

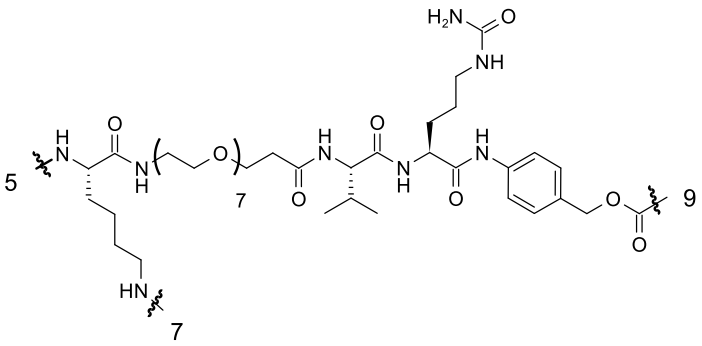
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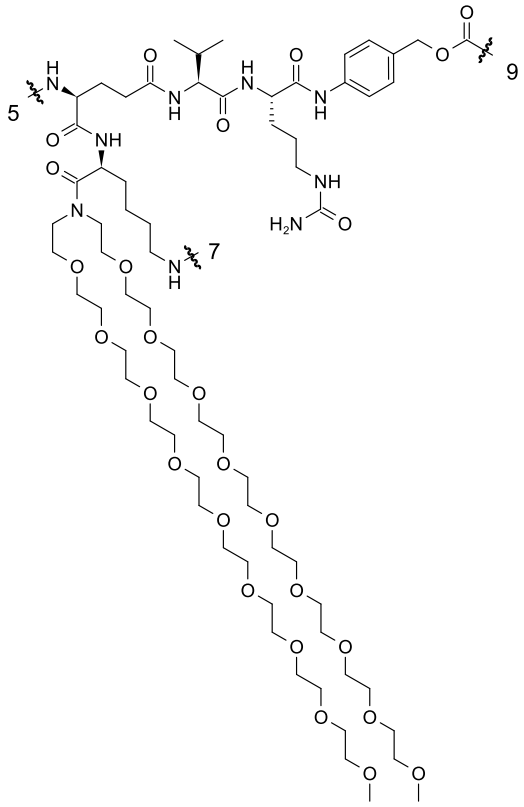
33. The antibody-drug conjugate according to any one of claims 1 to 27, or the compound according to any one of claims 28 to 32, wherein  is selected from the group consisting of the following:

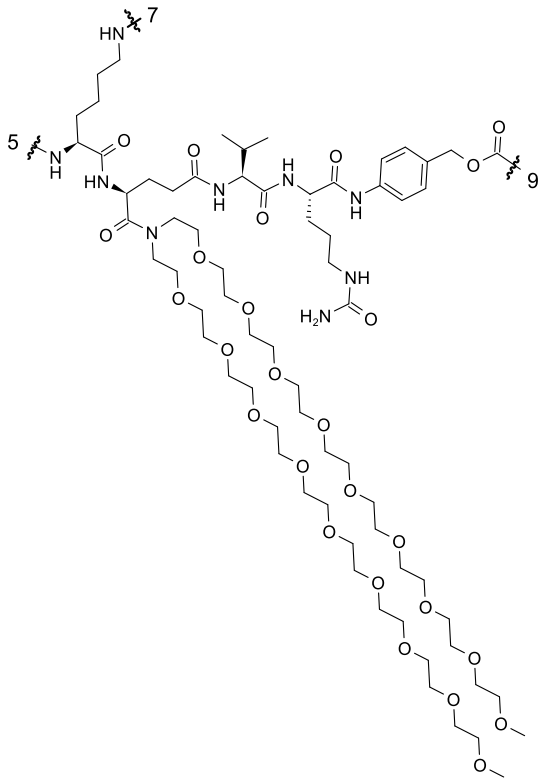


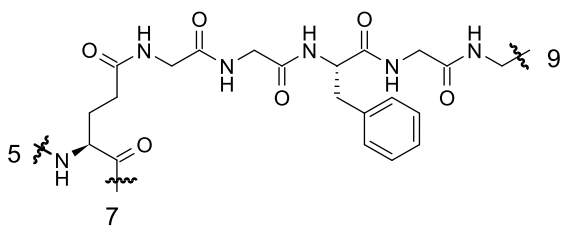


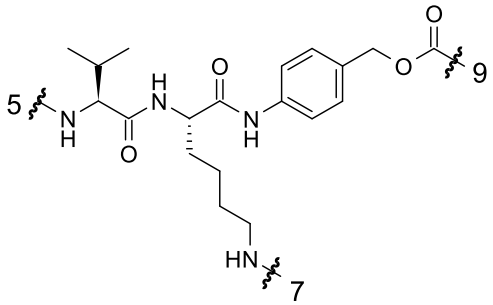


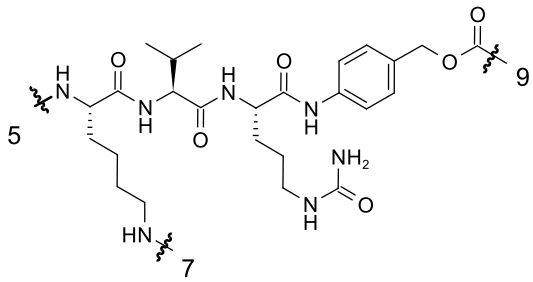


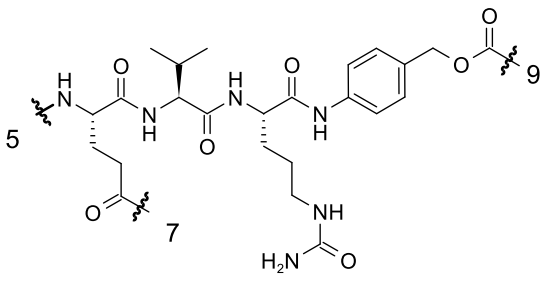


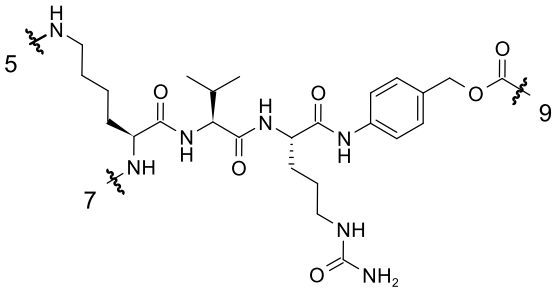


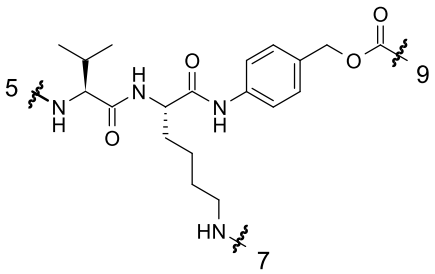


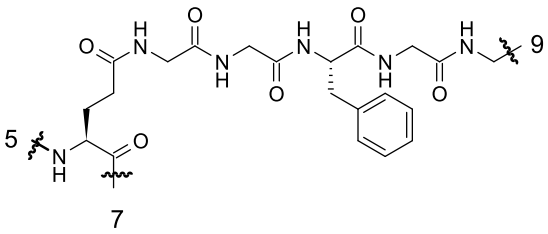


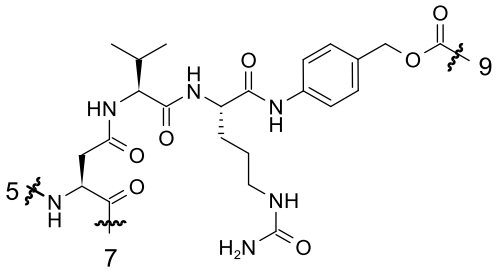


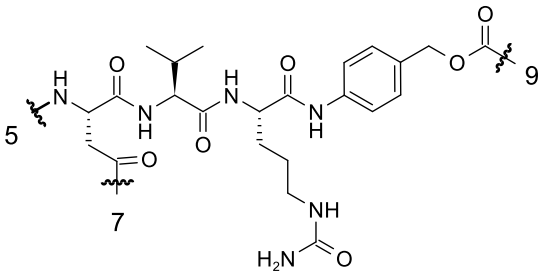


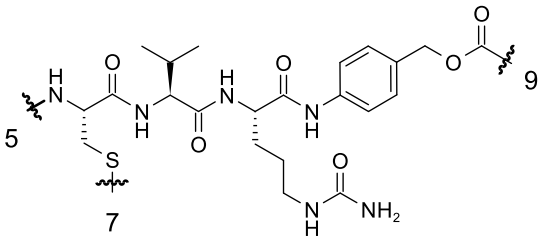


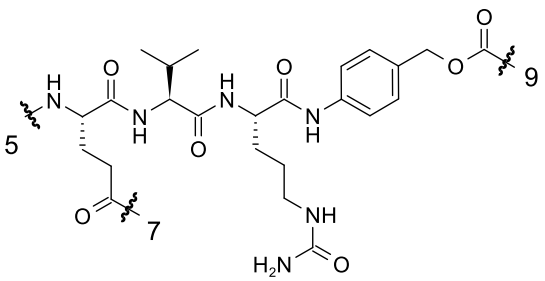


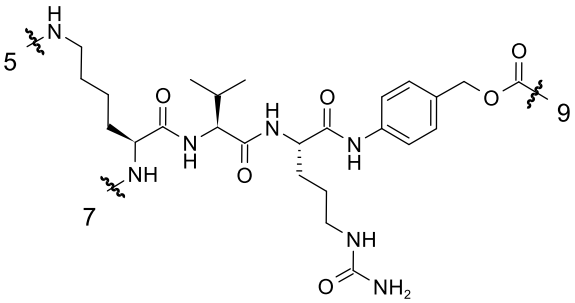


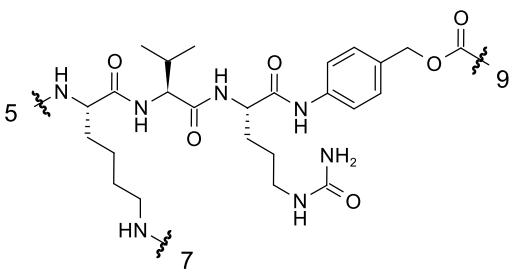


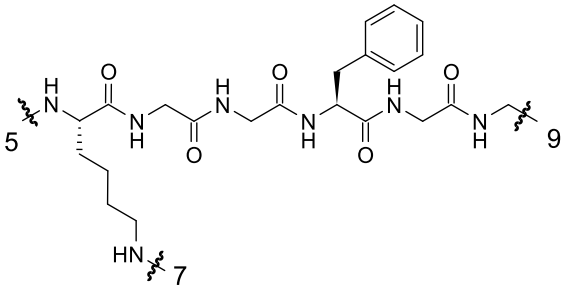


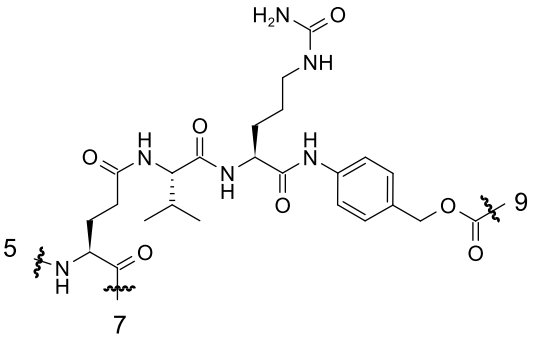


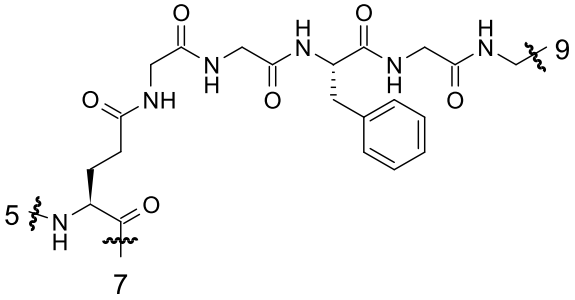


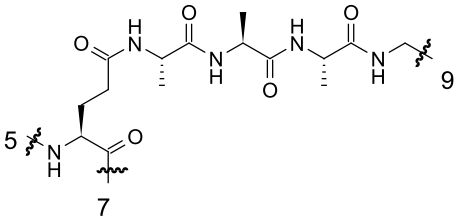


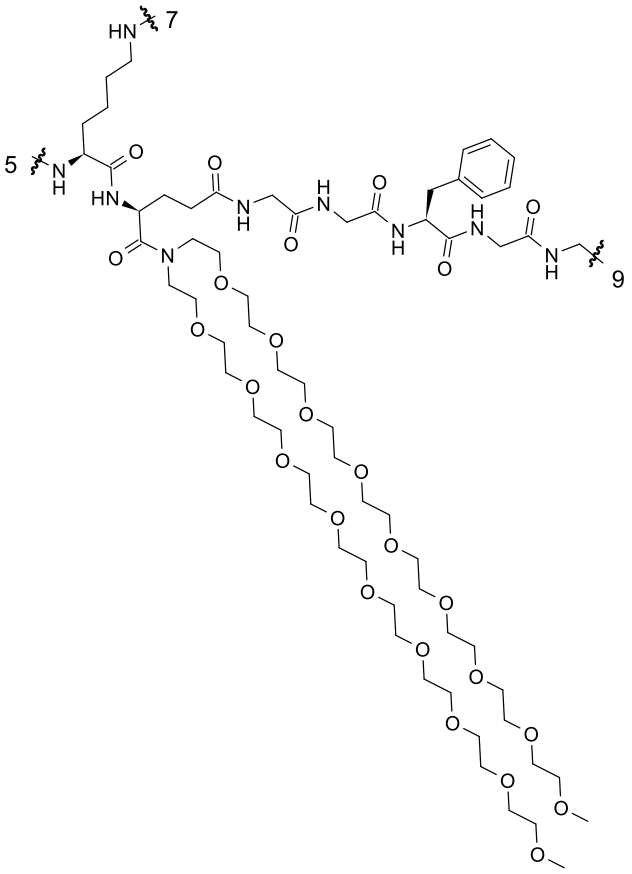


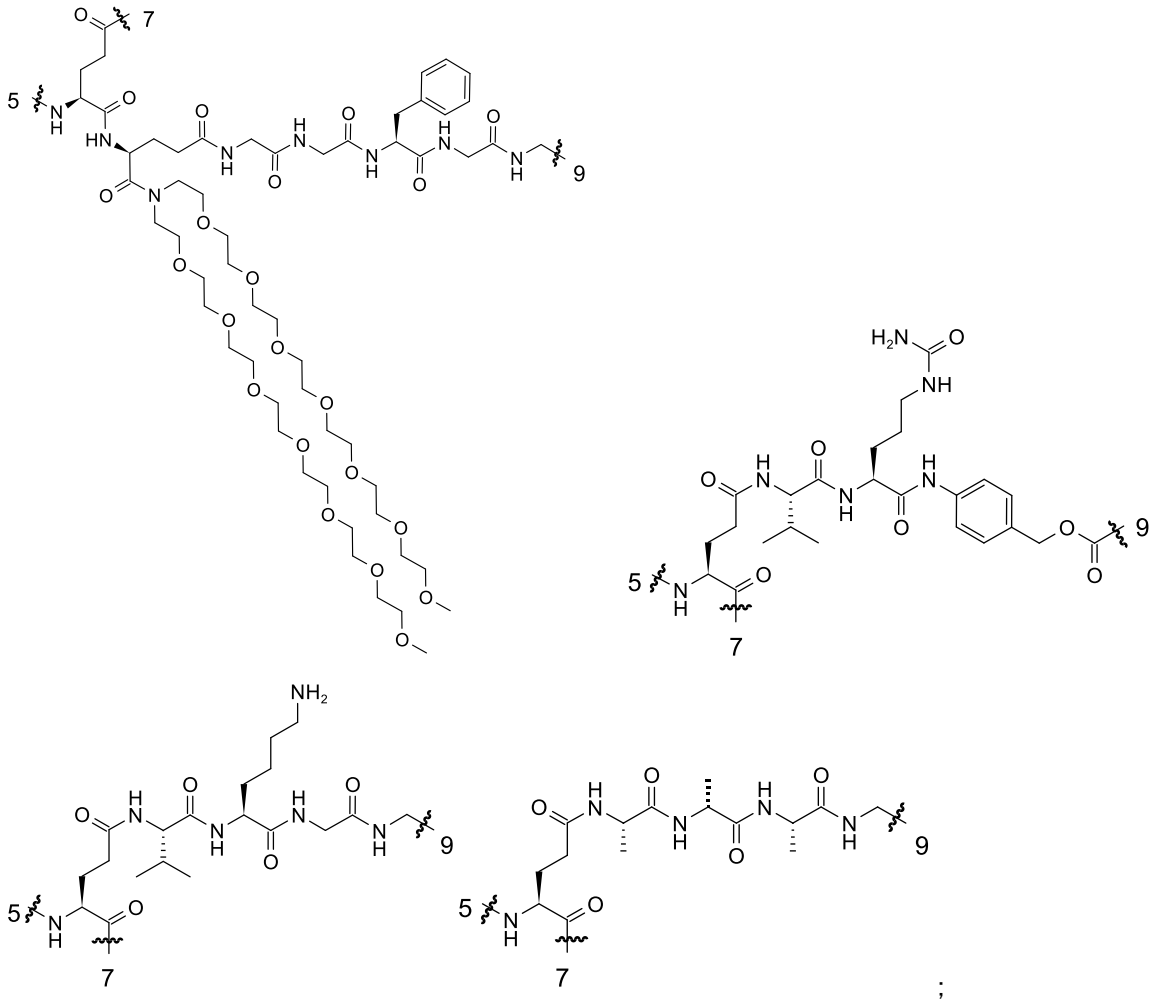




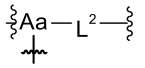


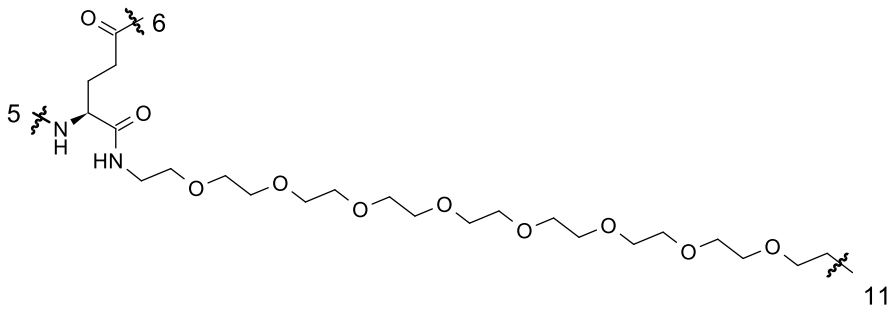


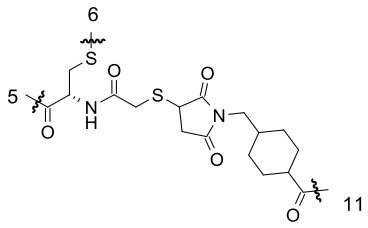


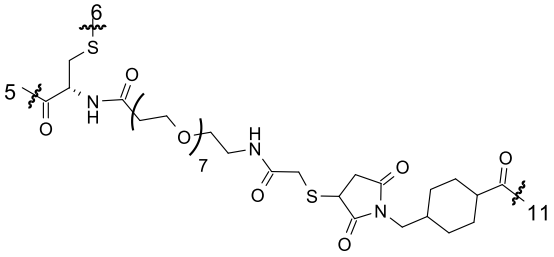


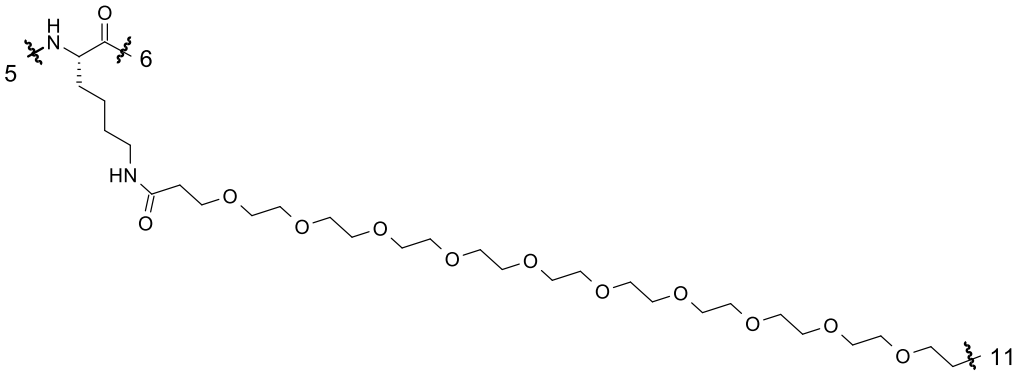
wherein, Position 5 is connected to X**,** Position 9 is connected to D^1, and Position 7 is connected to L^2.

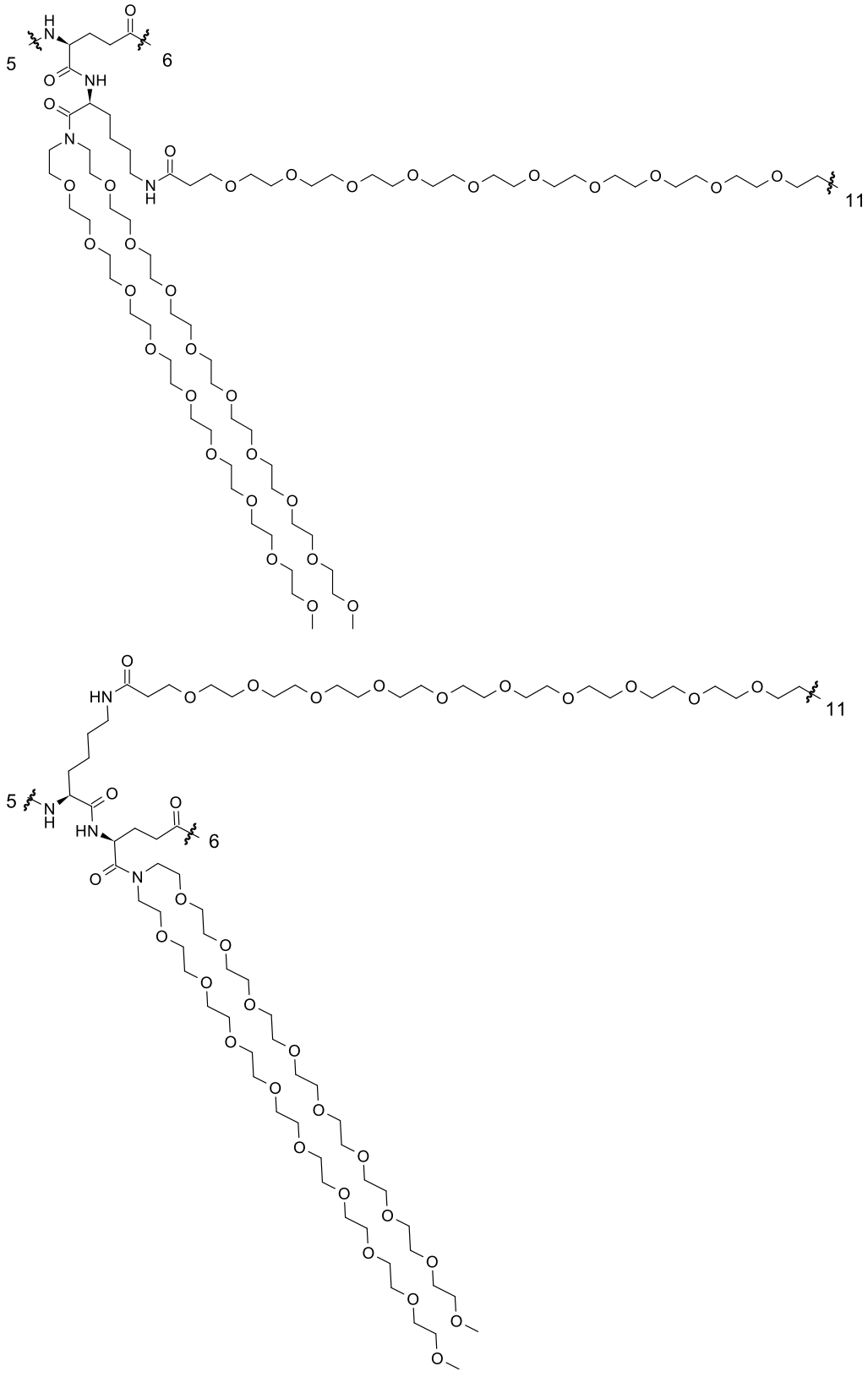
34. The antibody-drug conjugate according to any one of claims 1 to 27, and 33, or the compound according to any one of claims 28 to 33, wherein  is selected from the group consisting of the following:

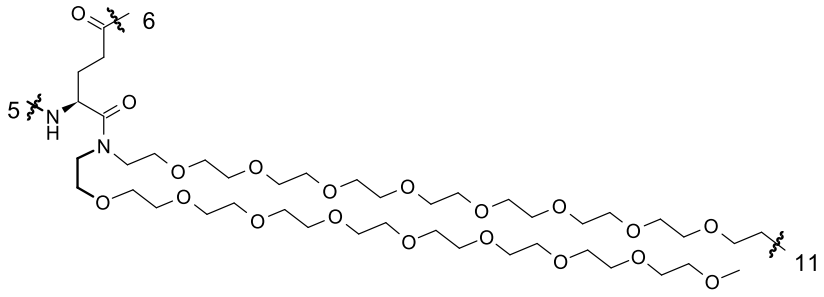


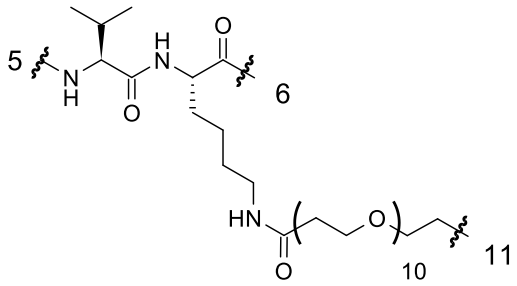


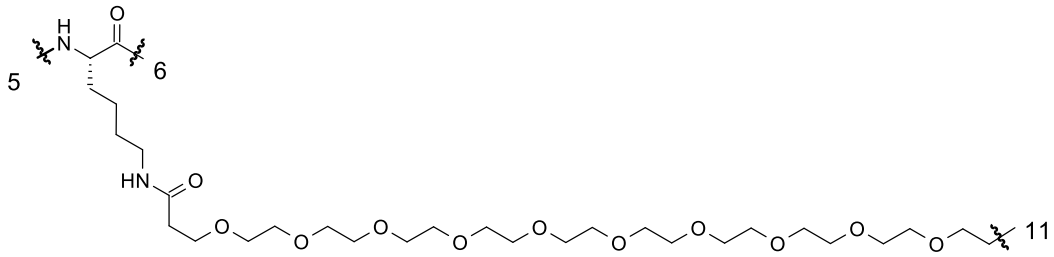


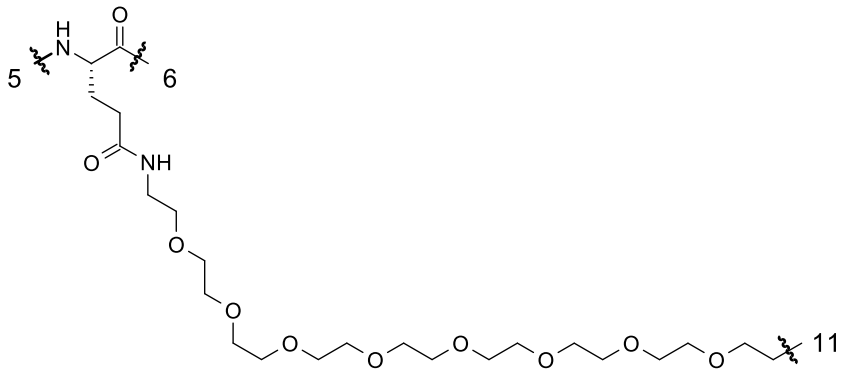


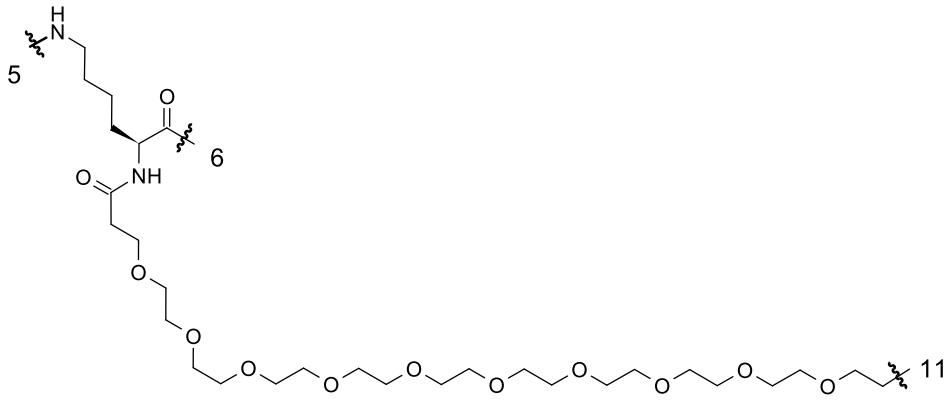


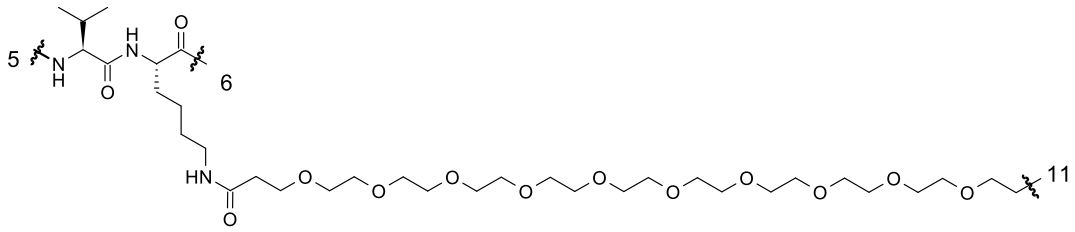


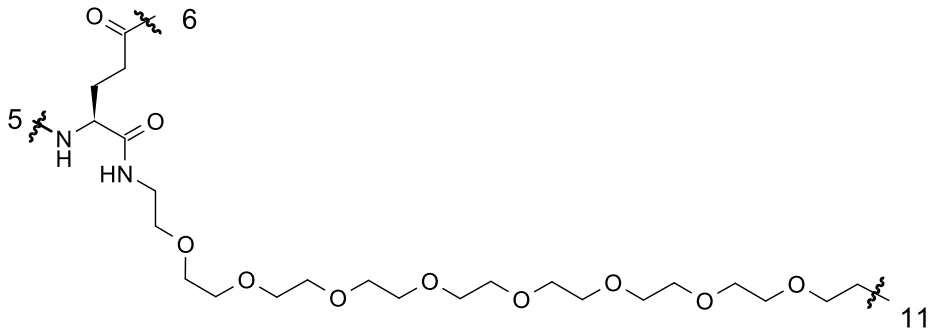


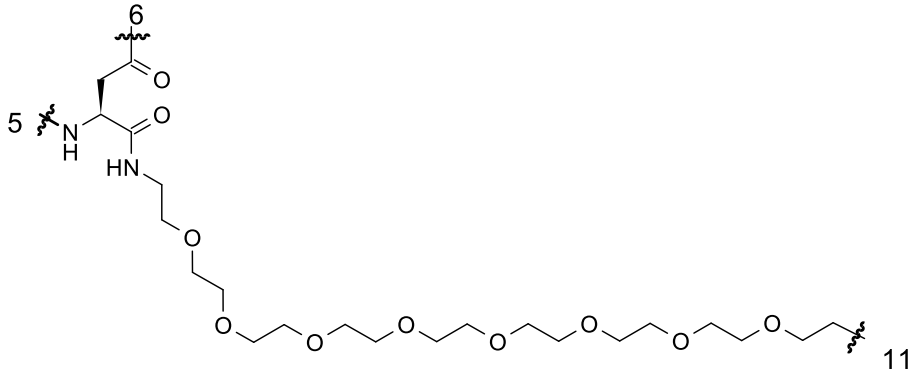


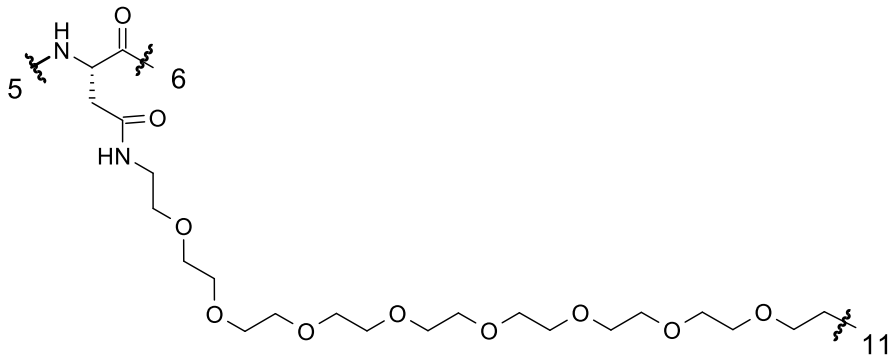


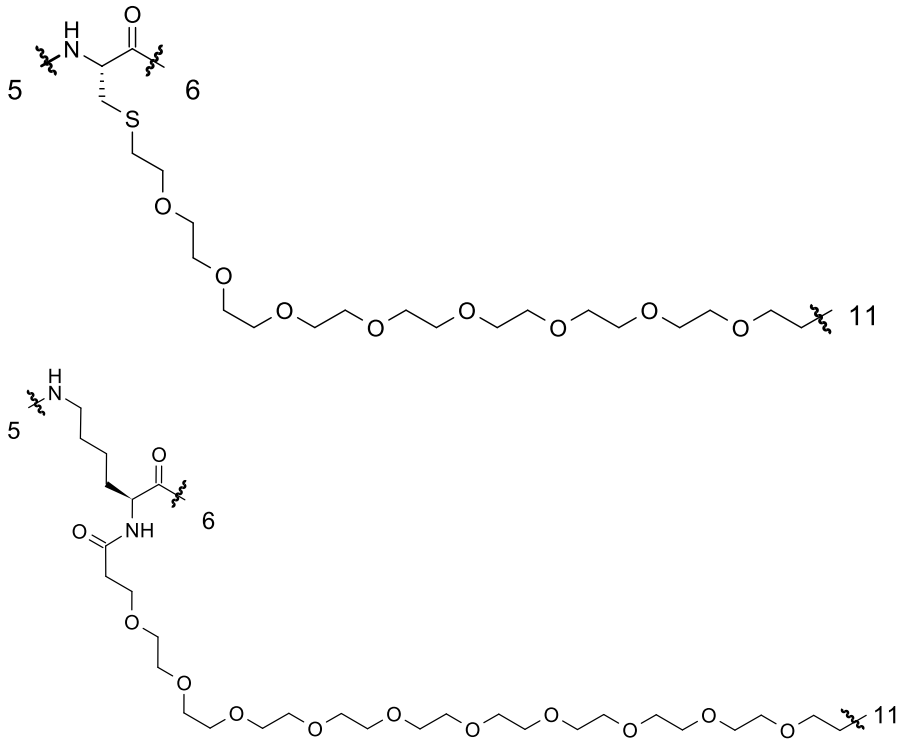


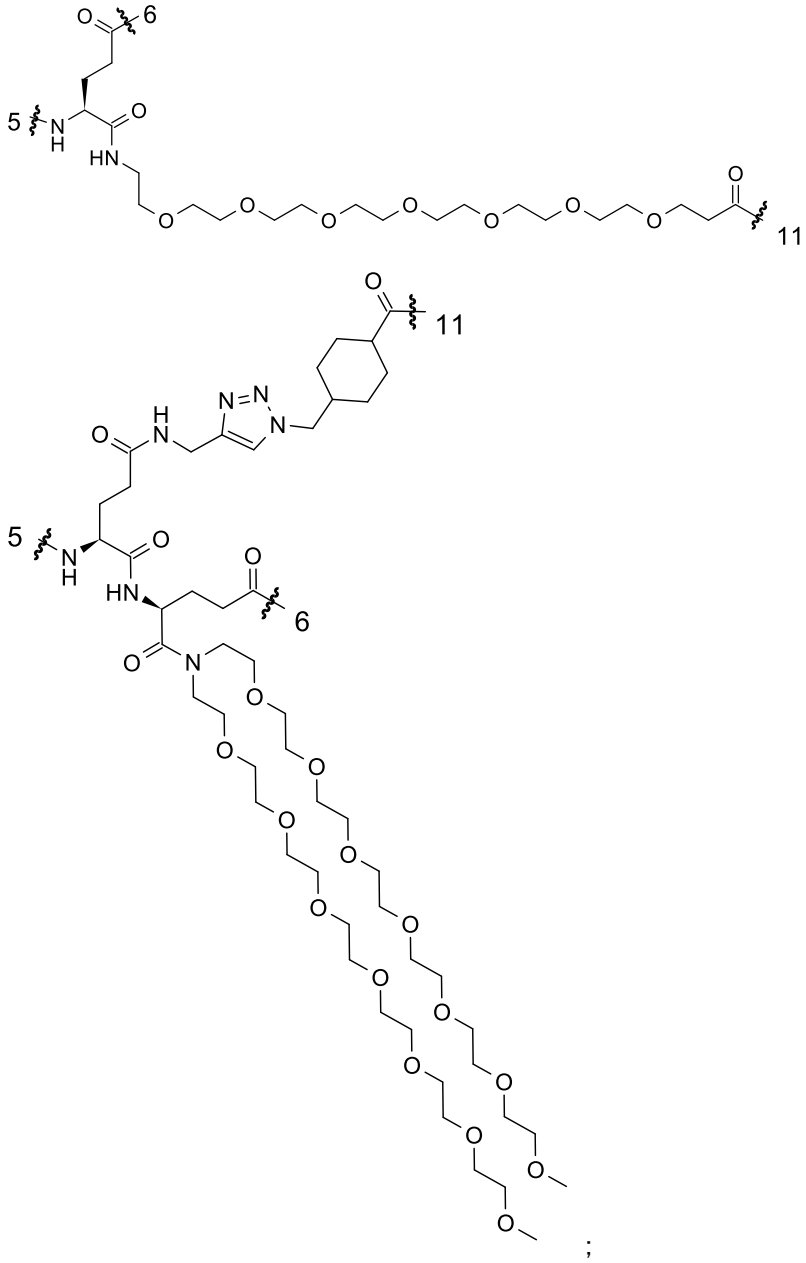






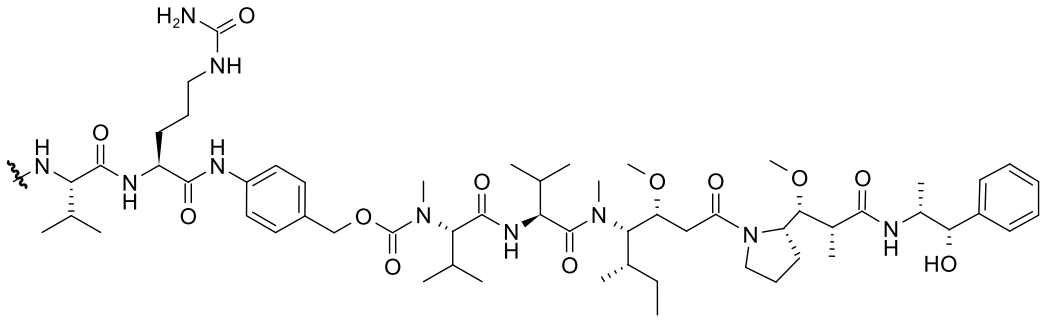


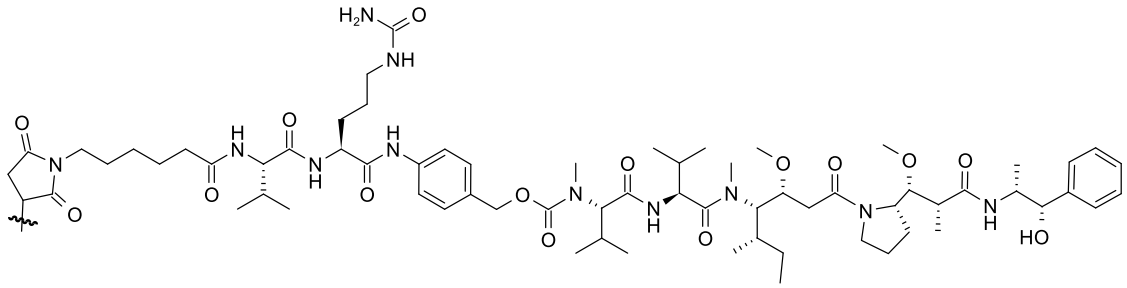


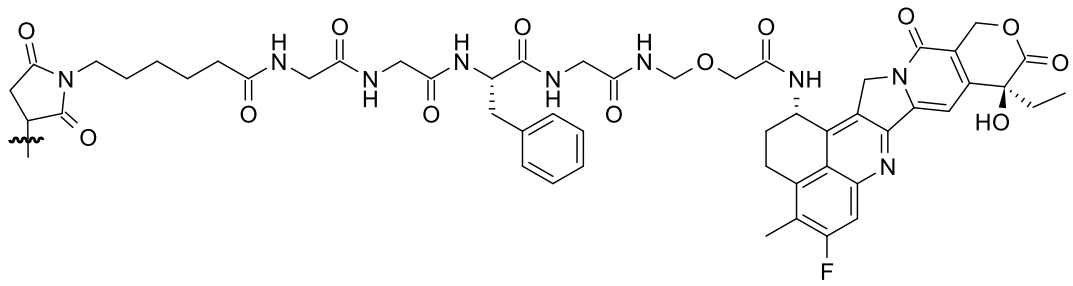


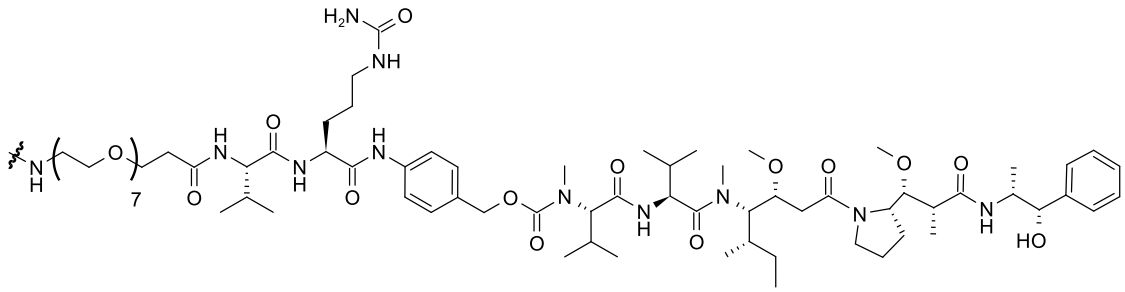
wherein, Position 5 is connected to X, Position 6 is connected to L^1, and Position 11 is connected to D^2.

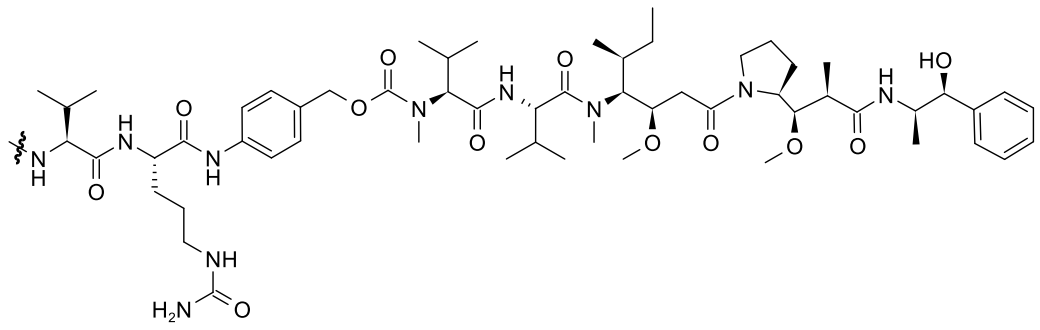
35. The antibody-drug conjugate according to any one of claims 1 to 27, 33 and 34, or the compound according to any one of claims 28 to 34, wherein  is selected from the group consisting of the following:

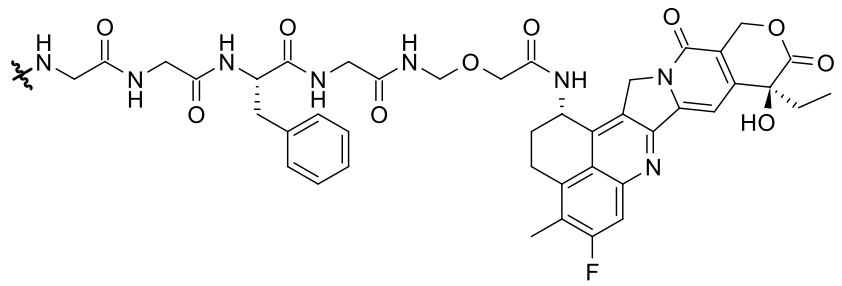


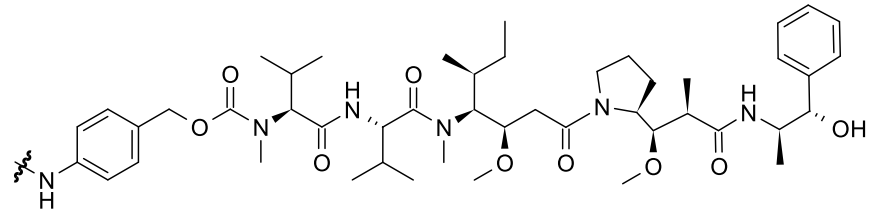


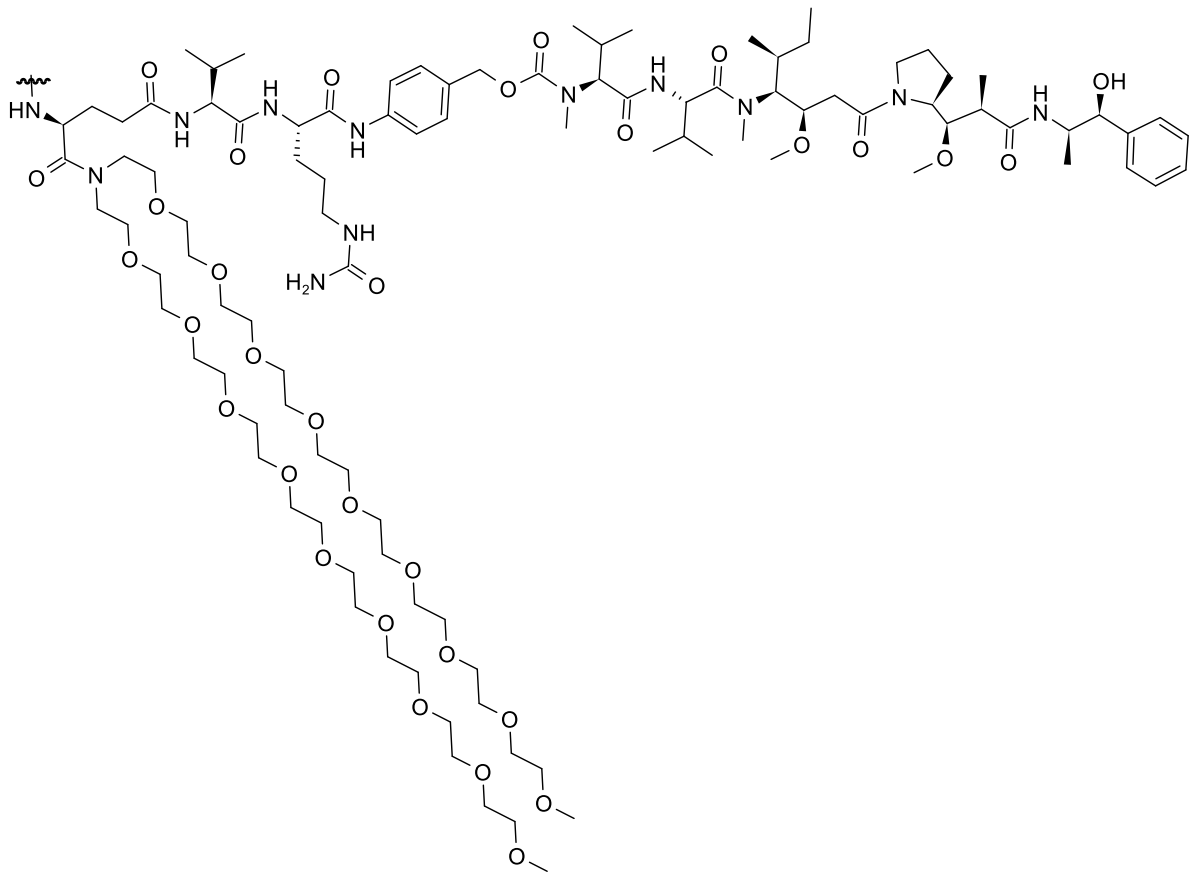


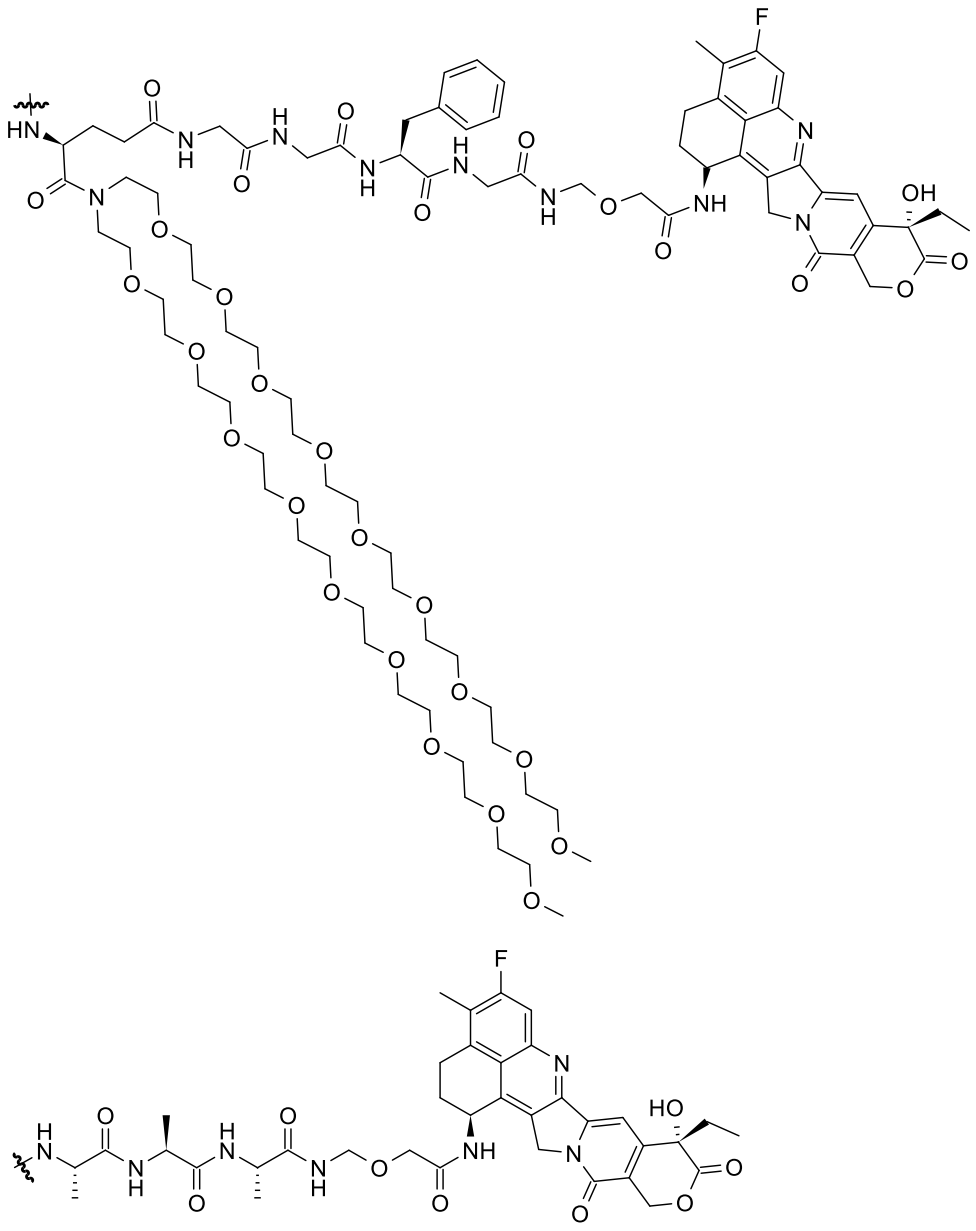


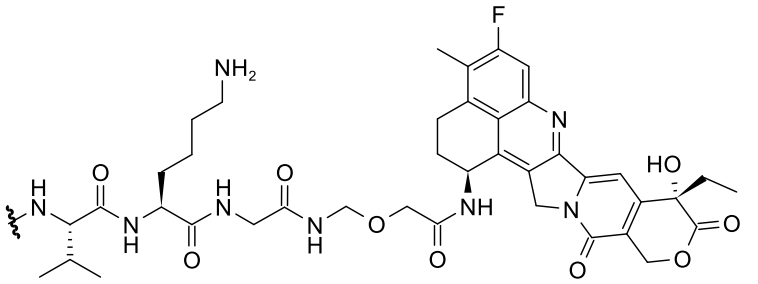


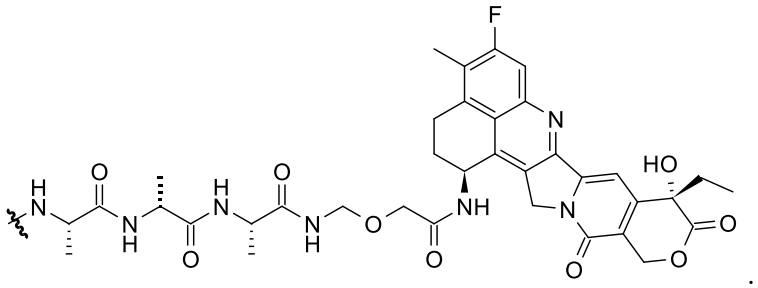




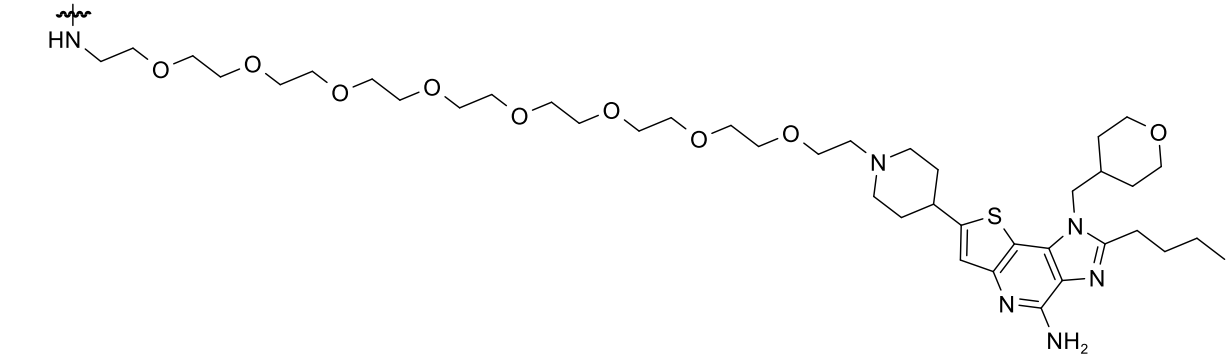


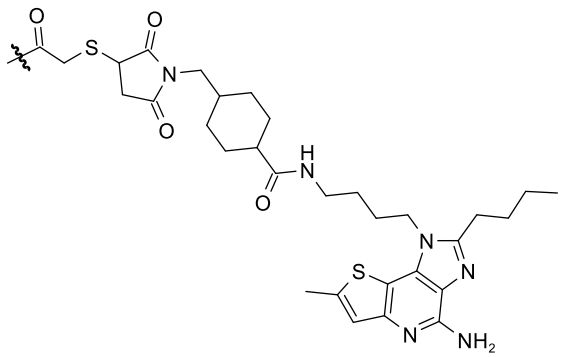


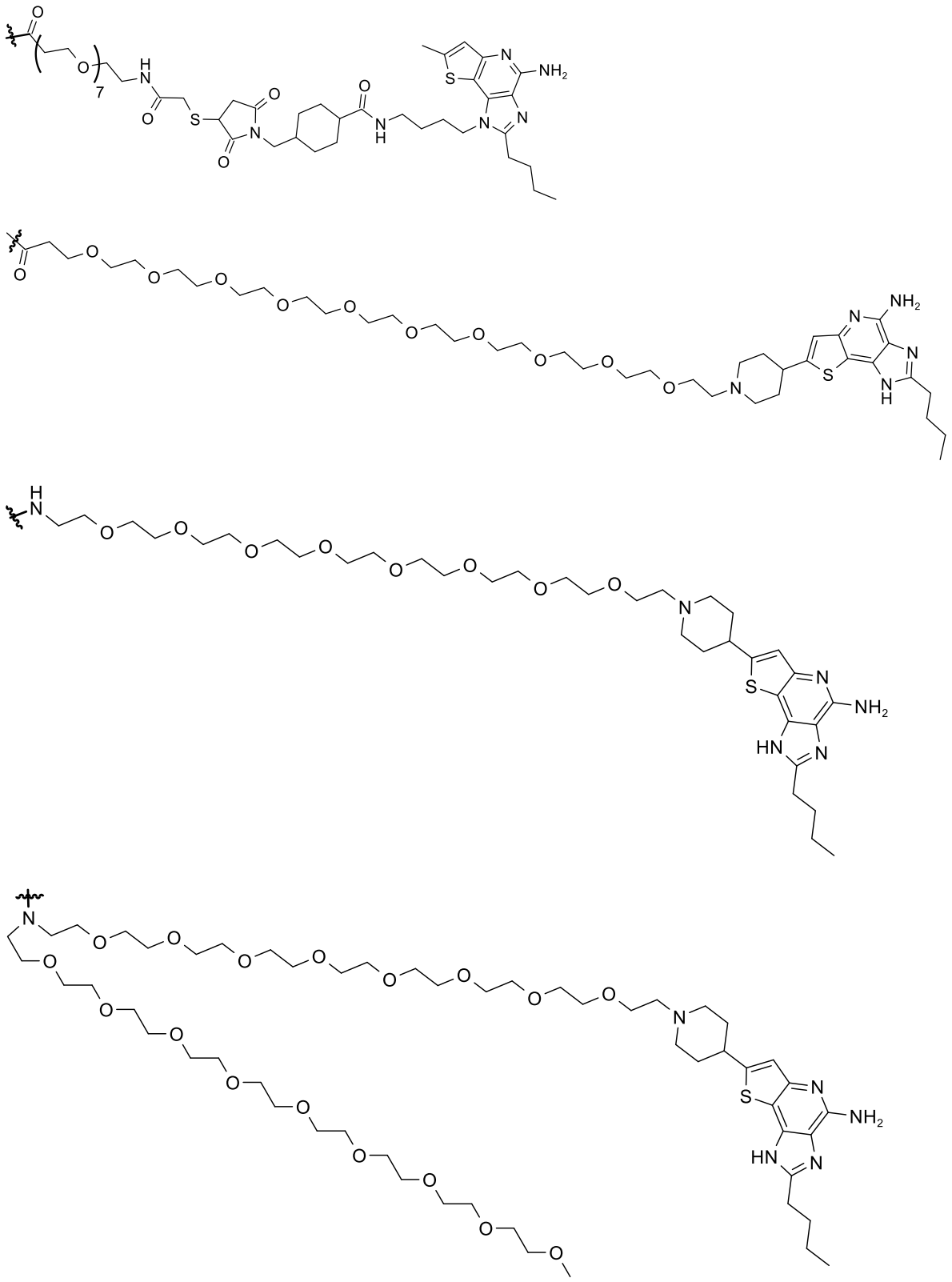


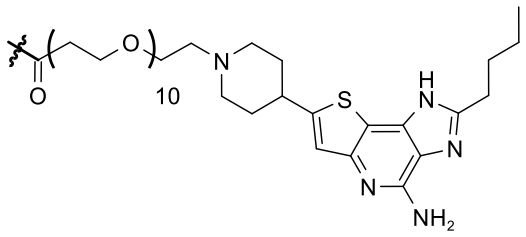


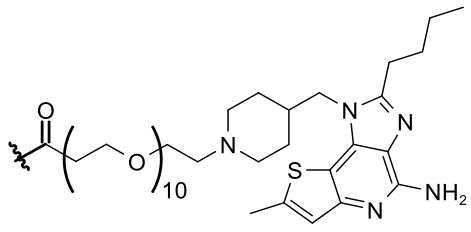
36. The antibody-drug conjugate according to any one of claims 1 to 27, 33 to 35, or the compound according to any one of claims 28 to 35, wherein  is selected from the group consisting of the following:

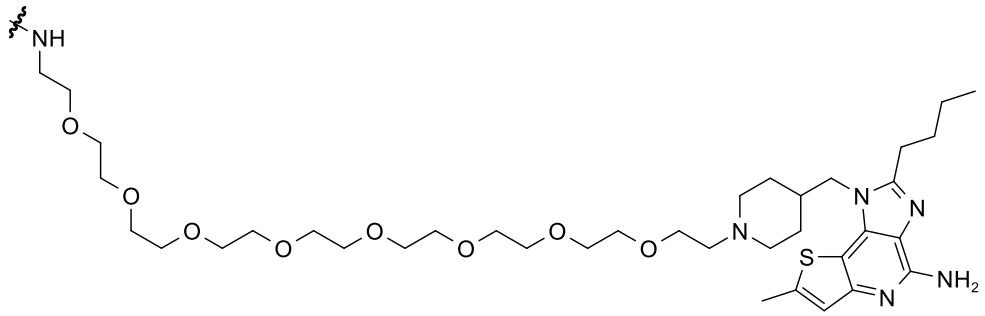


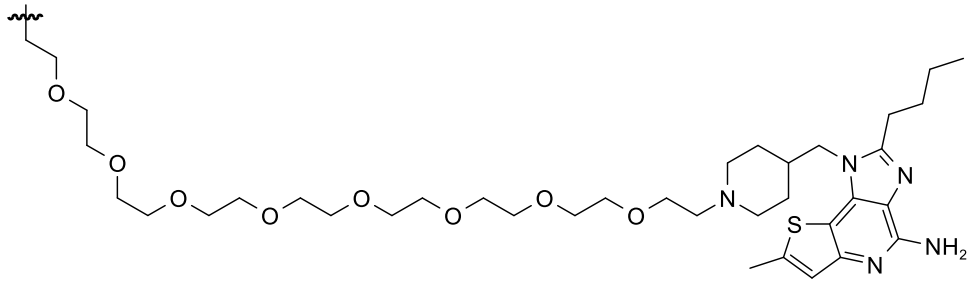


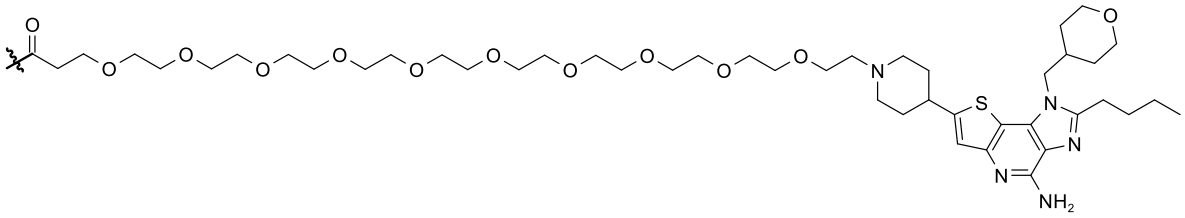


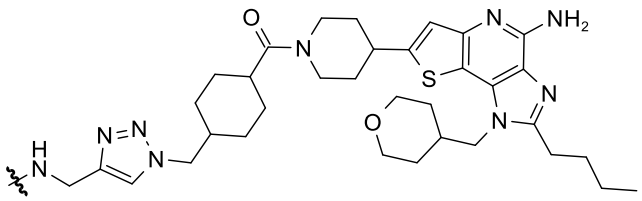


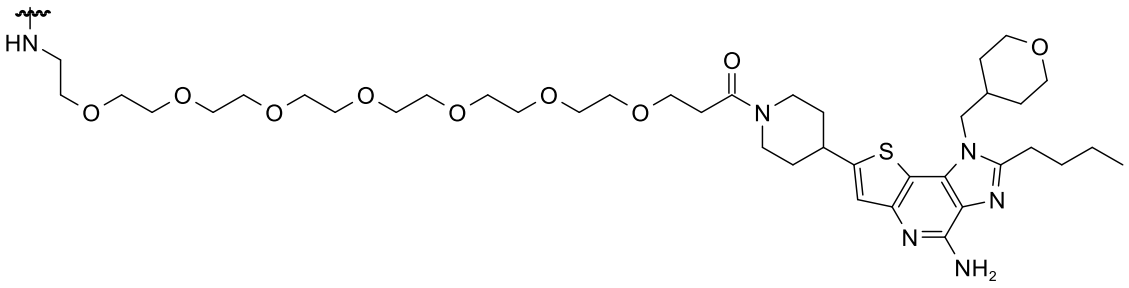




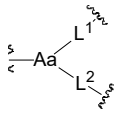


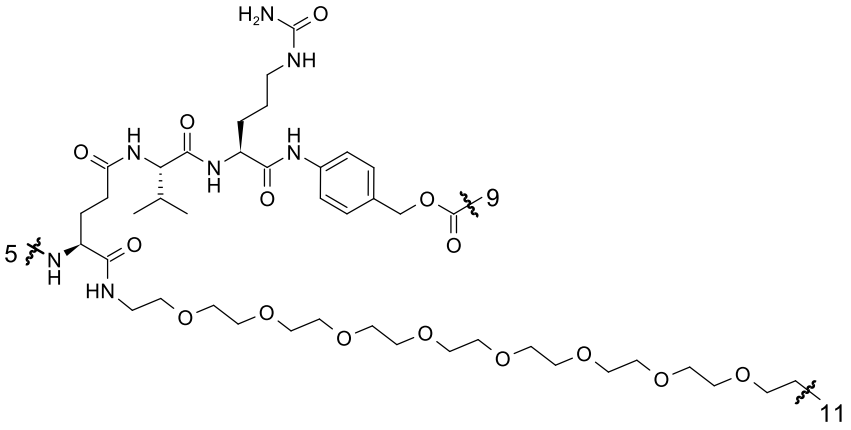


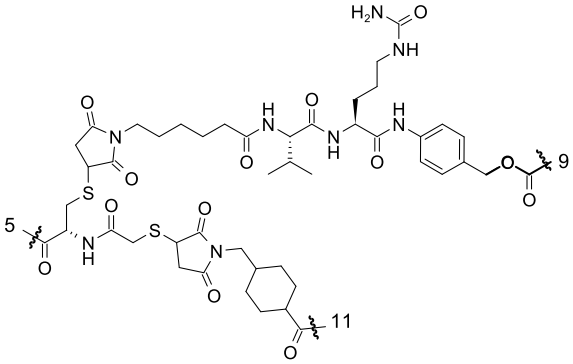


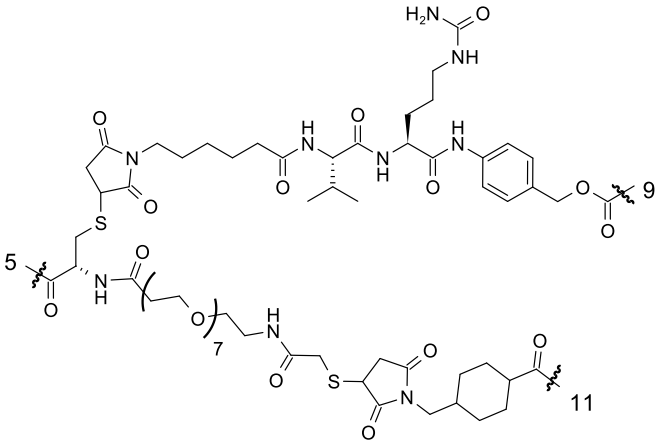


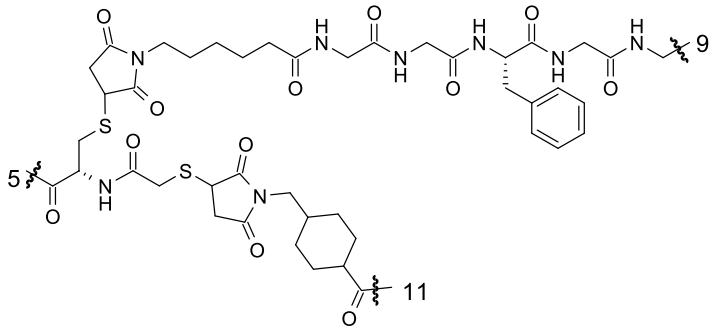
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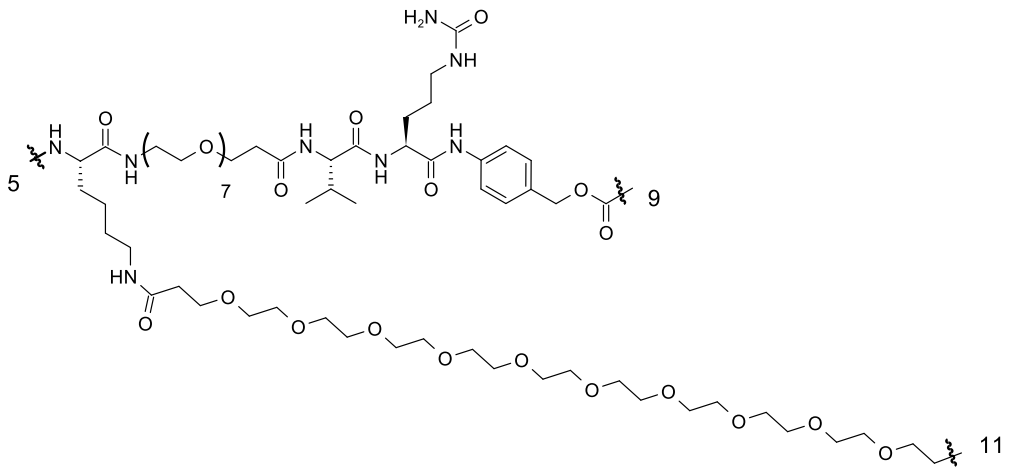
37. The antibody-drug conjugate according to any one of claims 1 to 27, 33 to 36, or the compound according to any one of claims 28 to 36, wherein  is selected from the group consisting of the following:

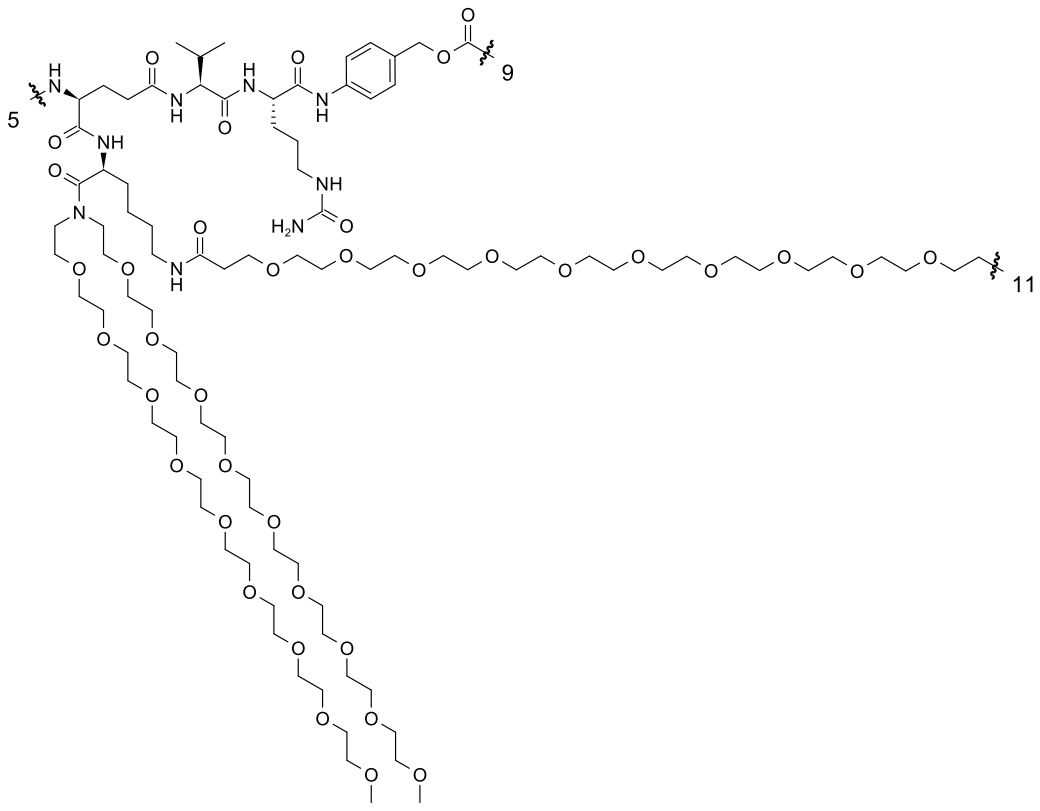


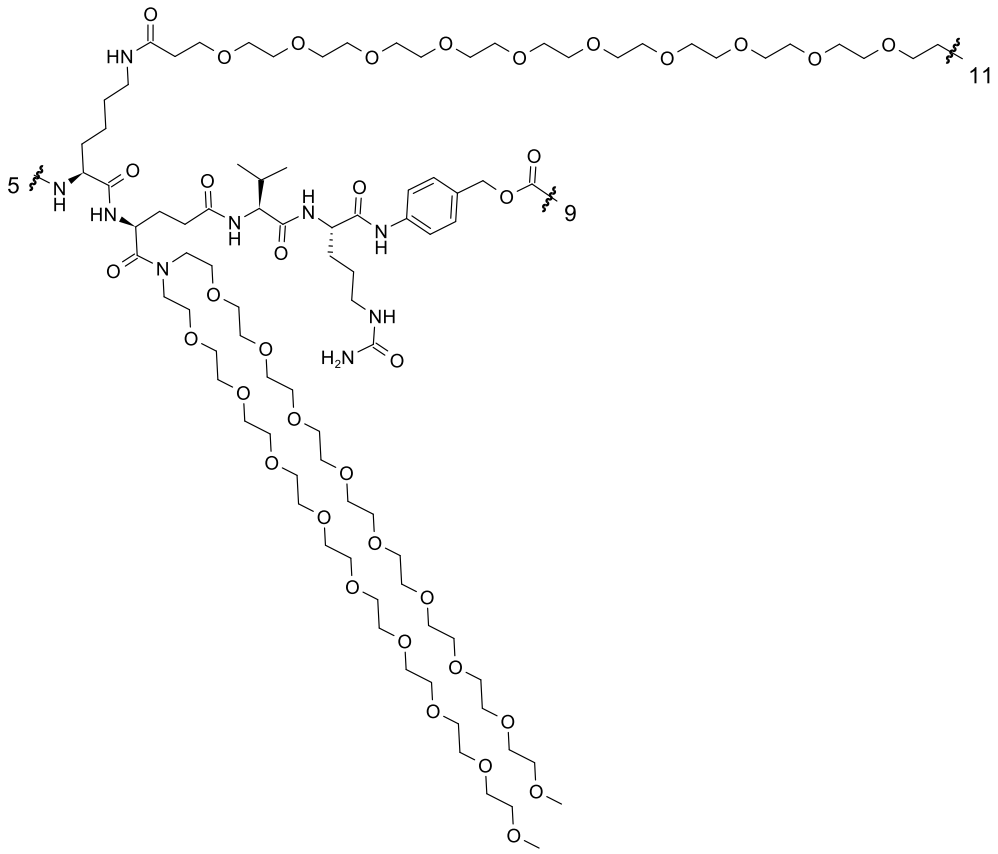


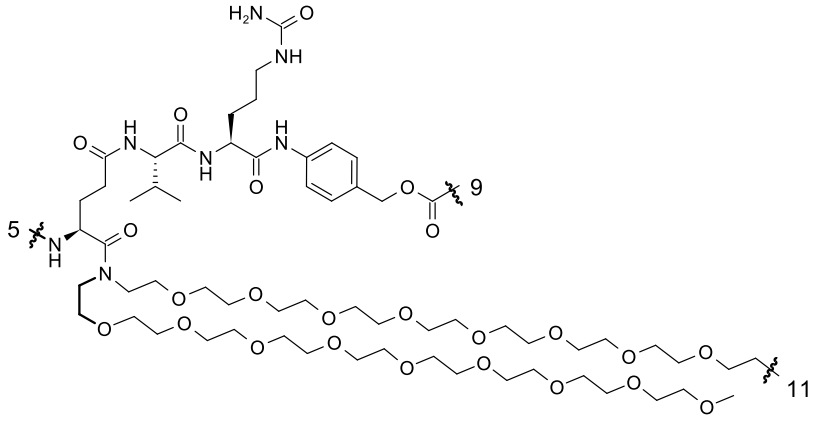


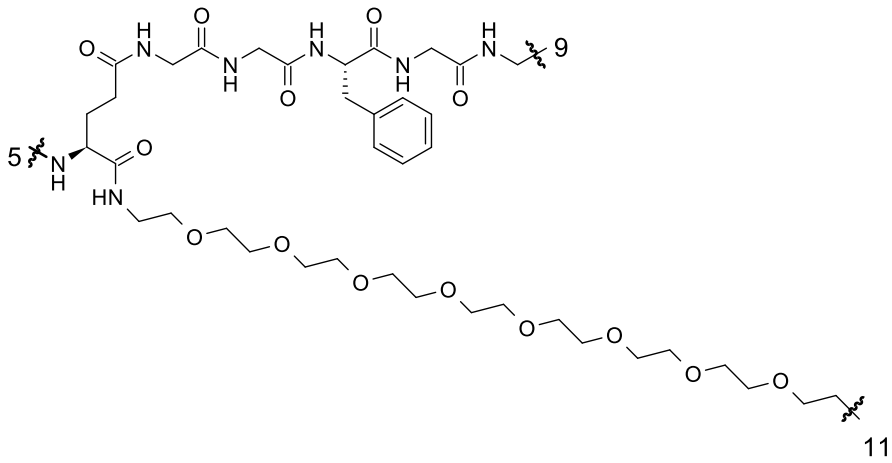


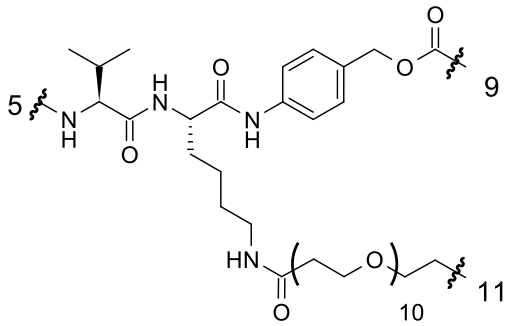


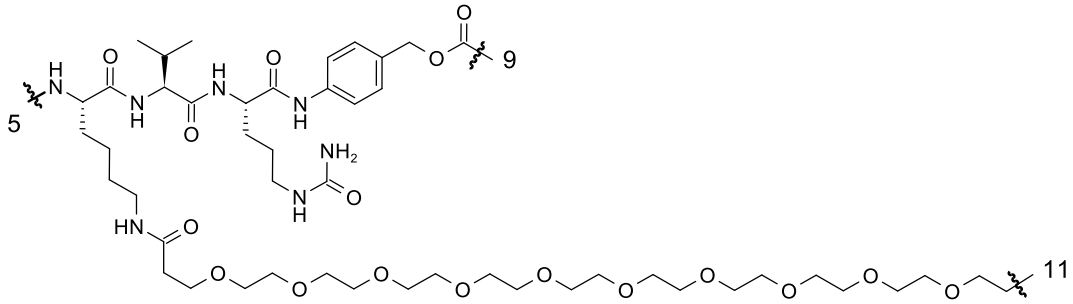


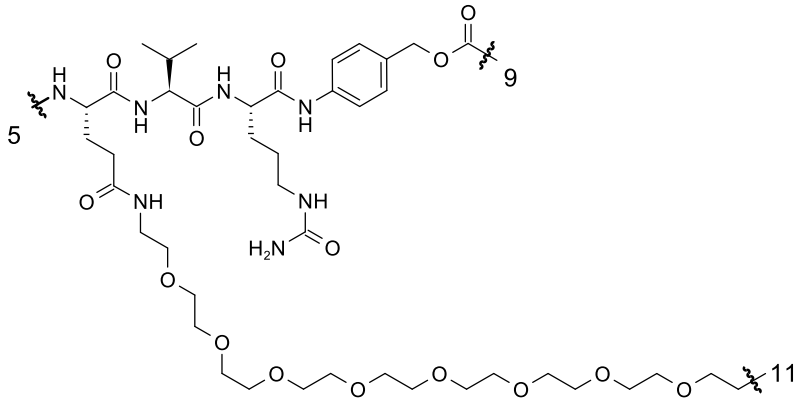


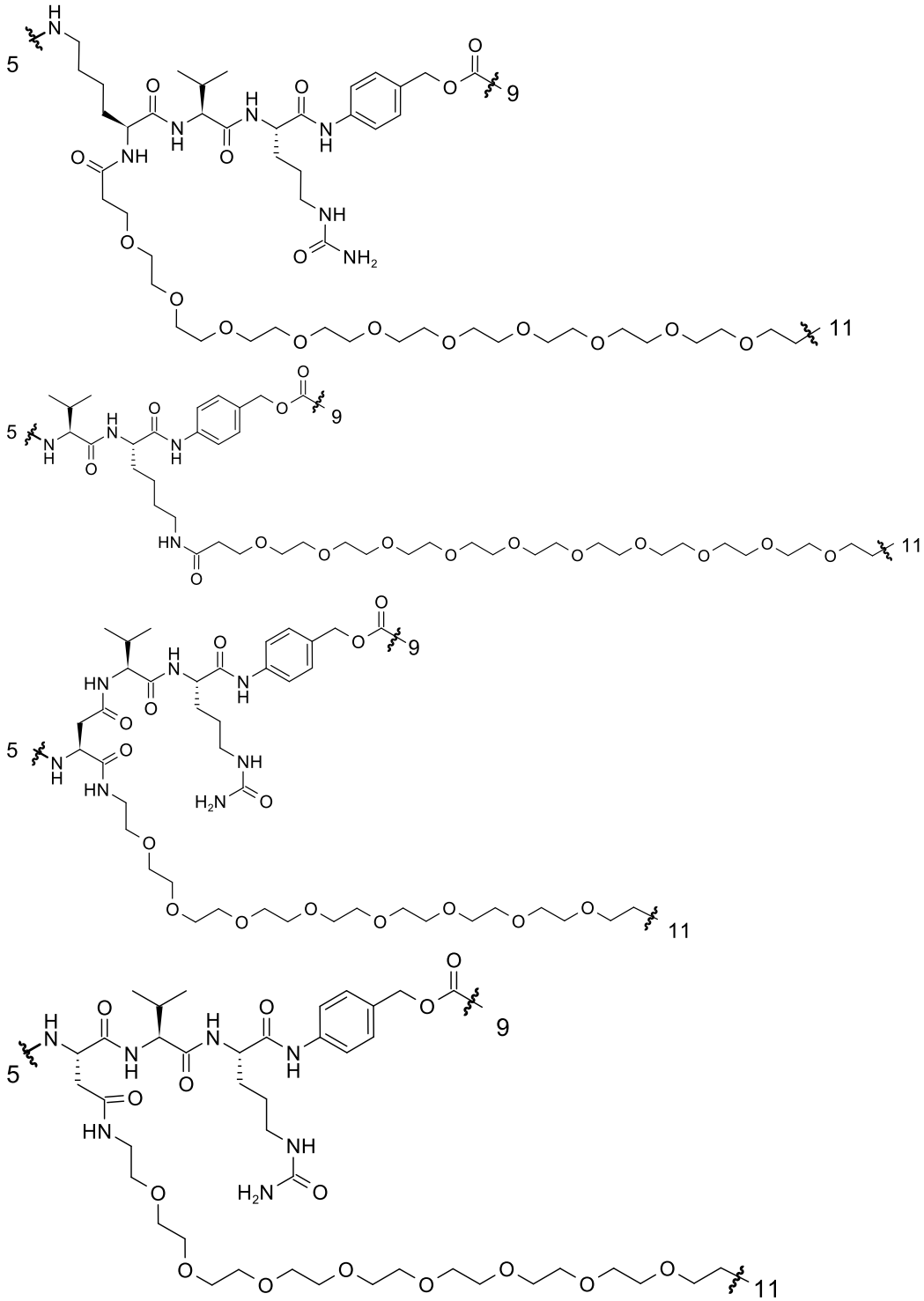


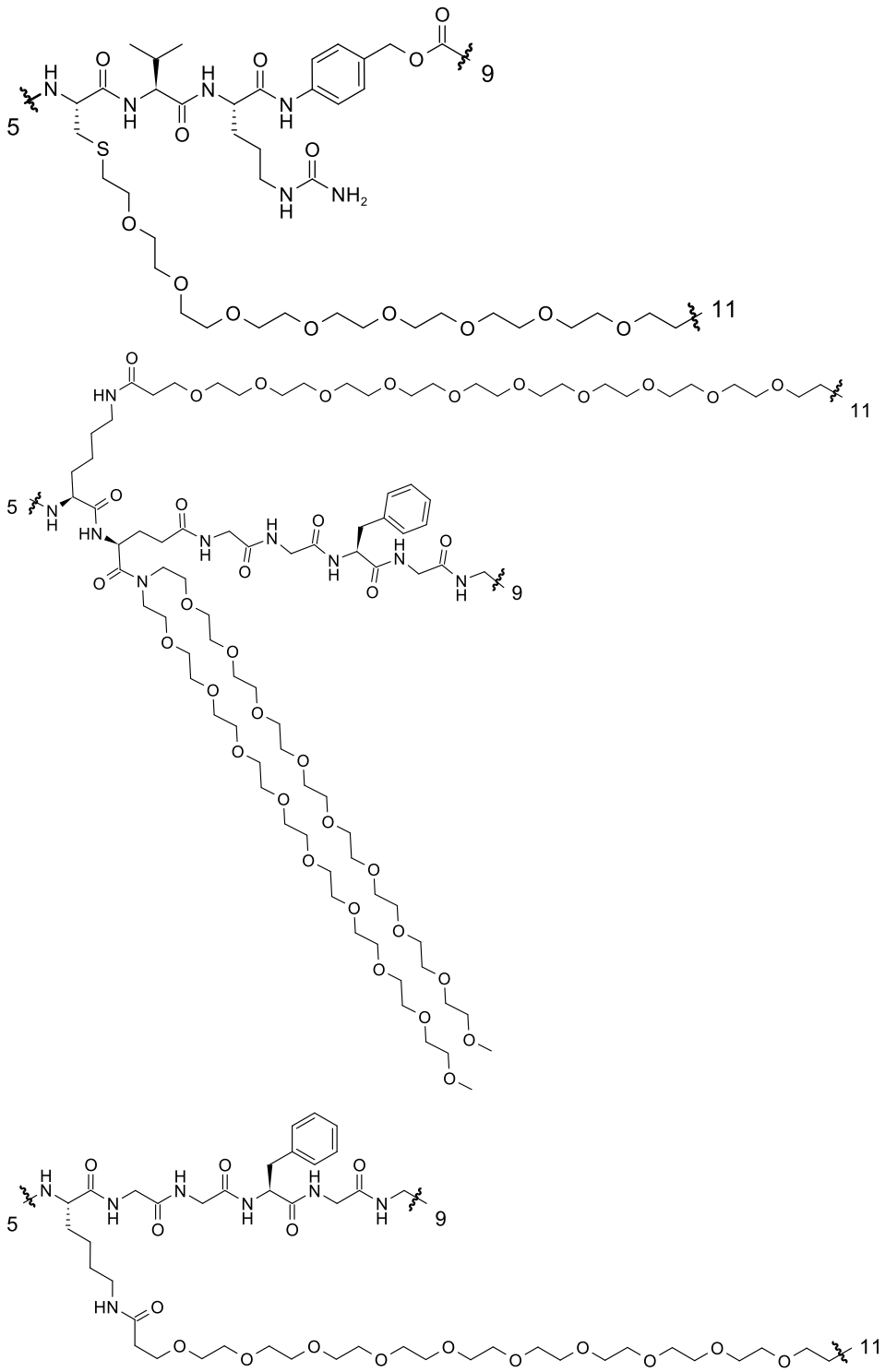


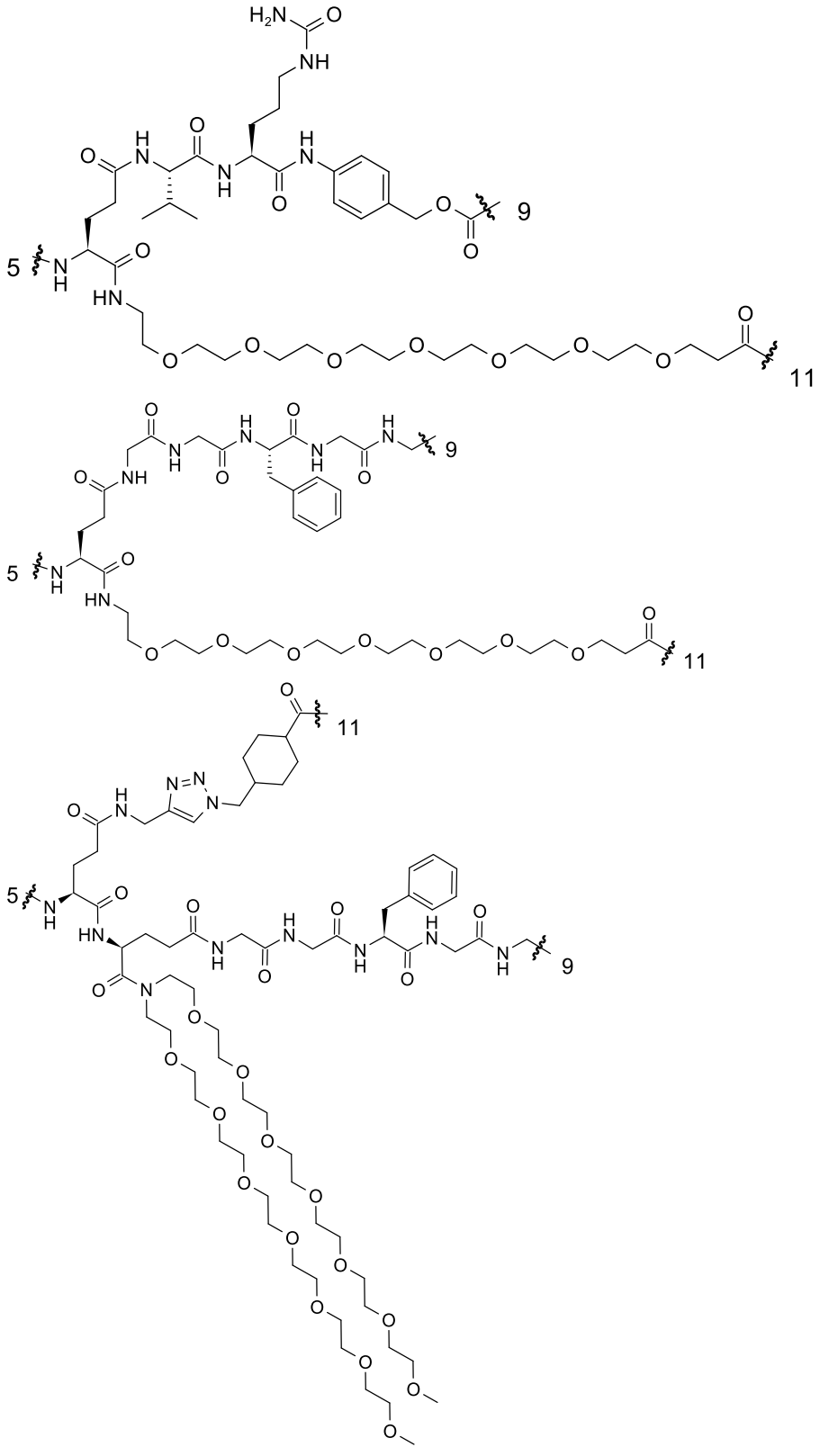


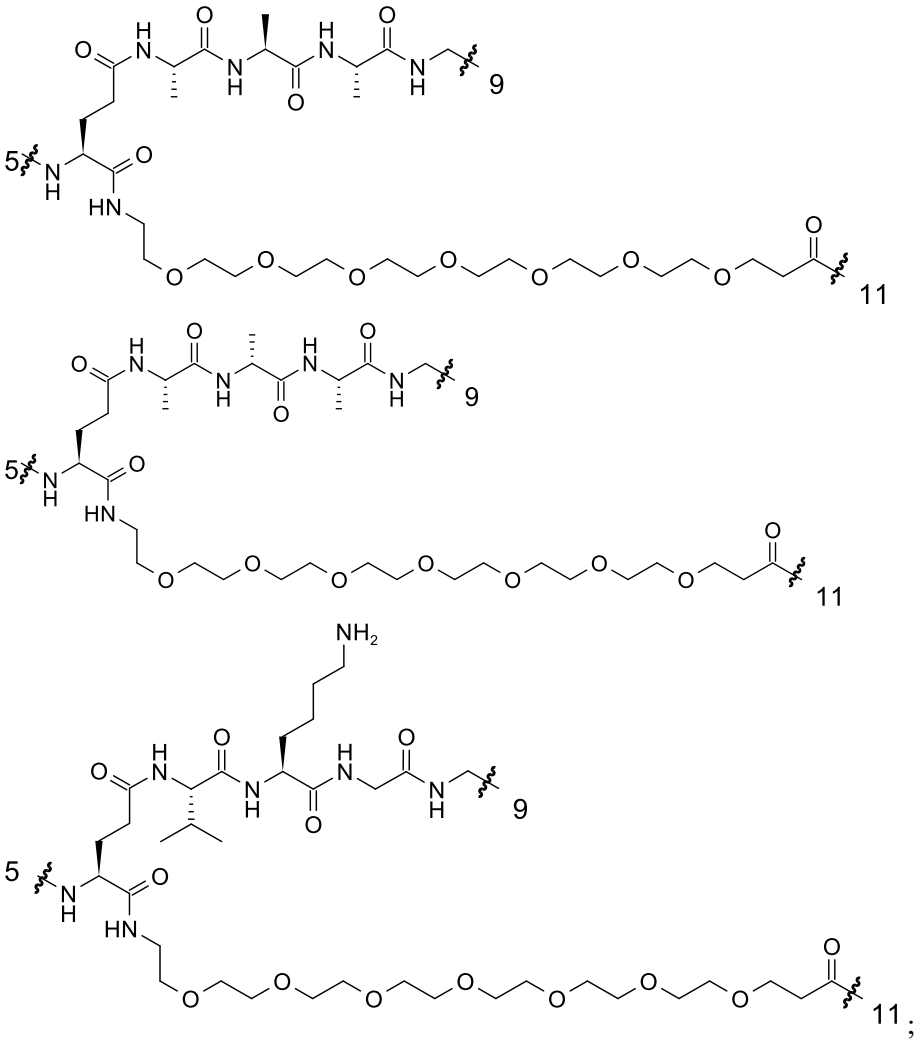






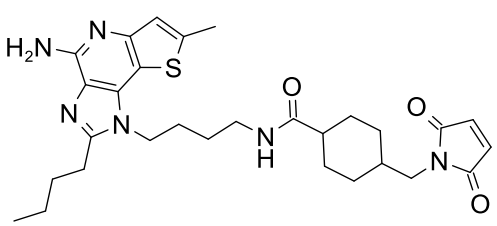


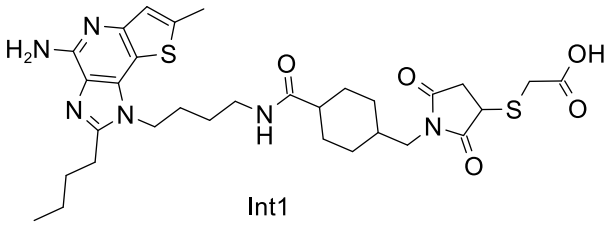




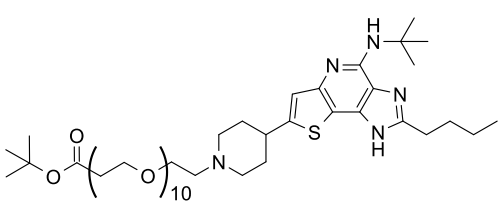
wherein, Position 5 is connected to X, Position 9 is connected to D^1, and Position 11 is connected to D^2.

38. An intermediate for preparing the antibody-drug conjugate according to any one of claims 1 to 27, 33 to 37, or the compound according to any one of claims 28 to 37, which is selected from the group consisting of the following compounds:

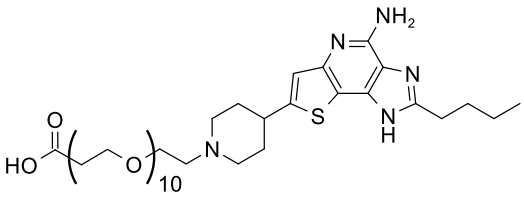




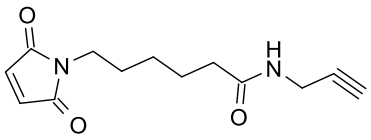
Int1



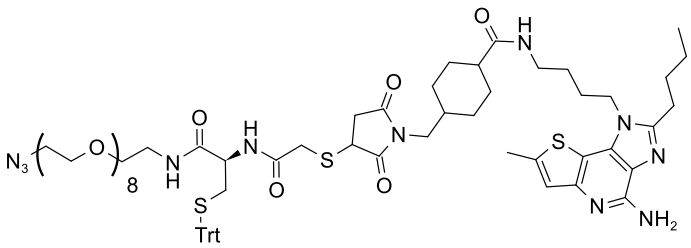
Int3-3



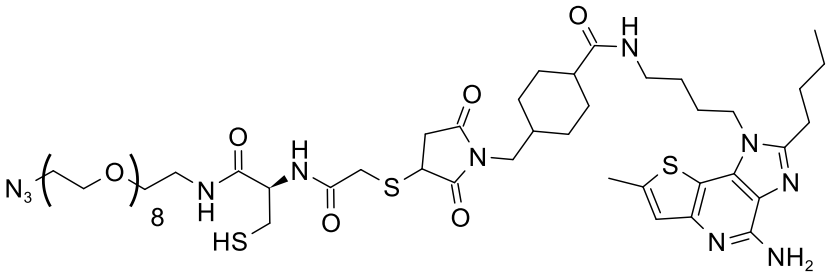
Int3



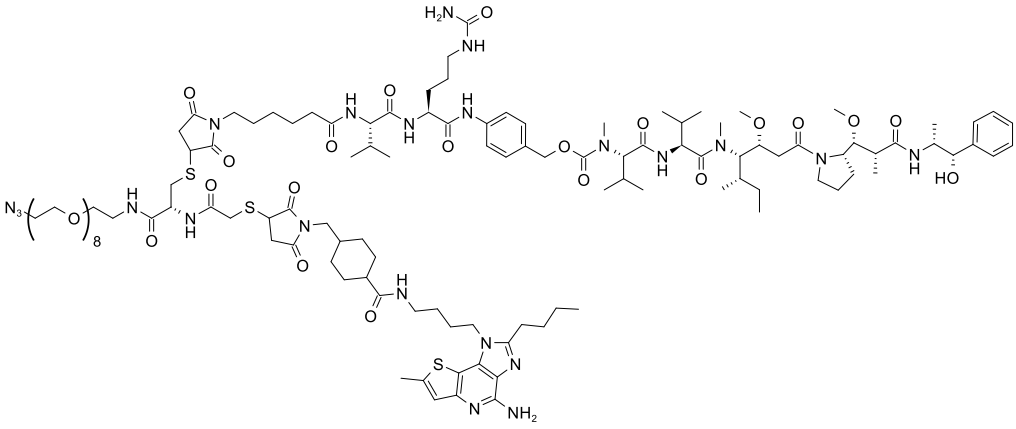
Int4



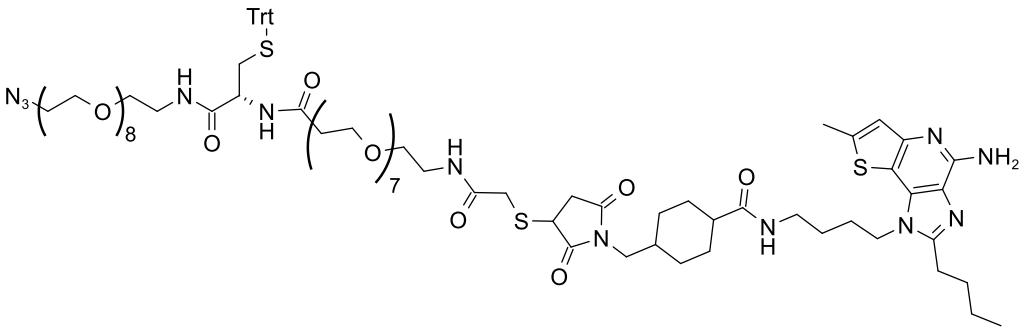
Int5-4



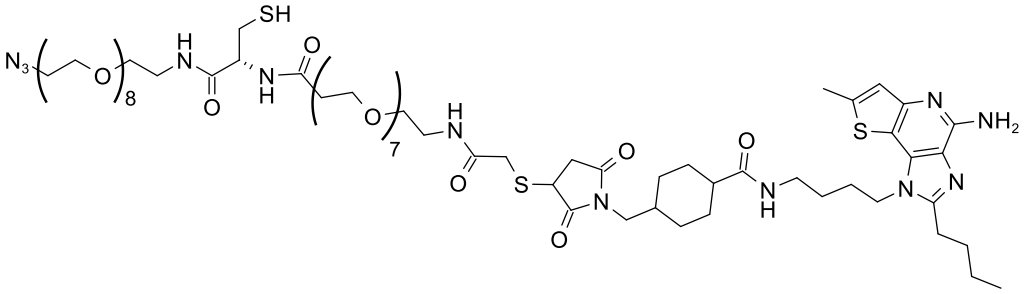
Int5-5



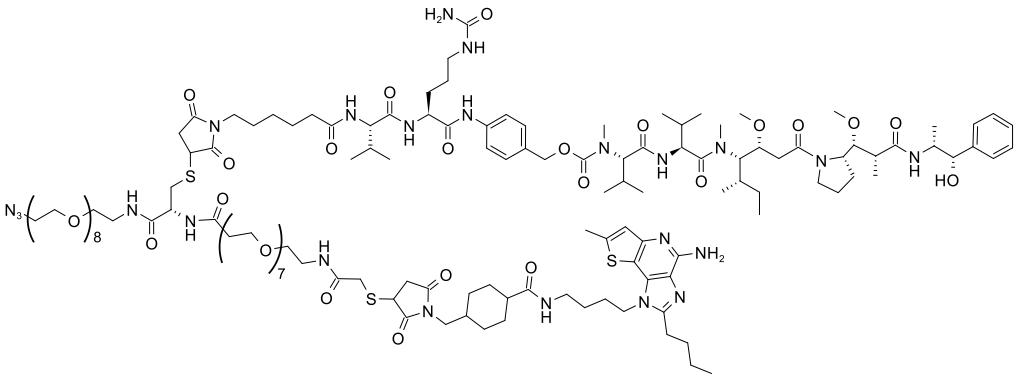
Int5



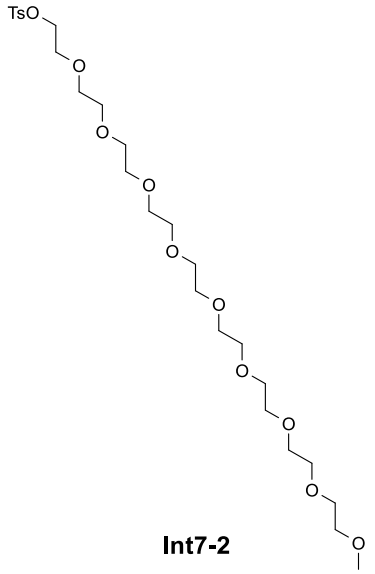
Int6-3



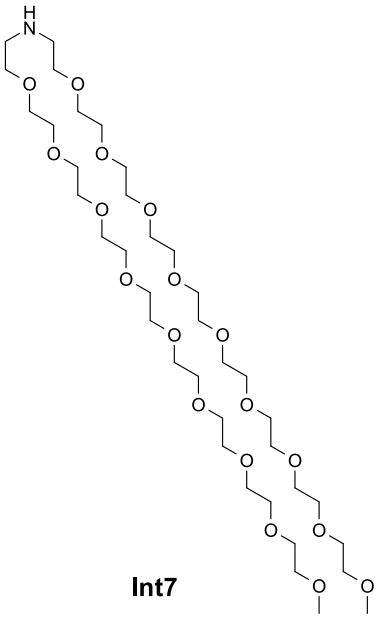
Int6-4



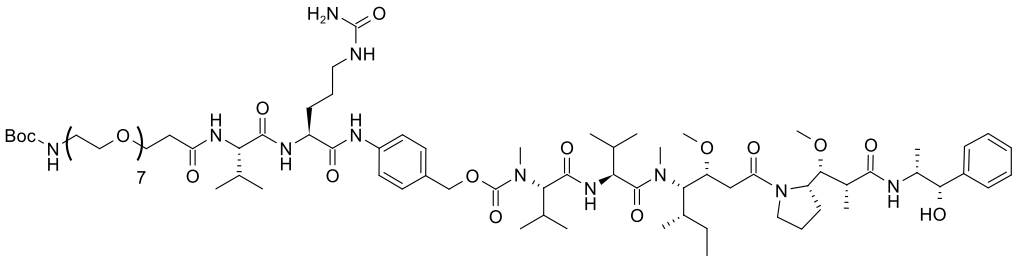
Int6



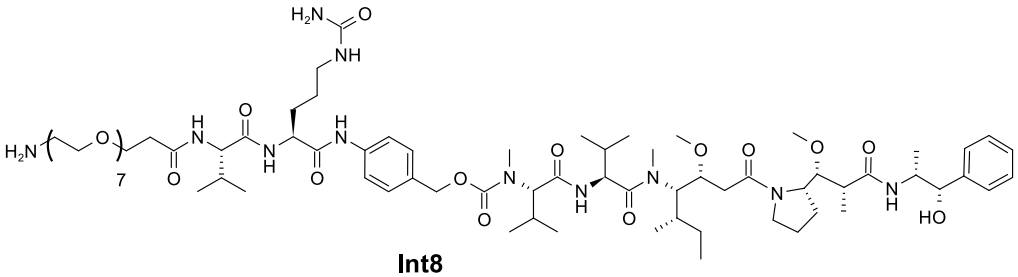
Int7-2



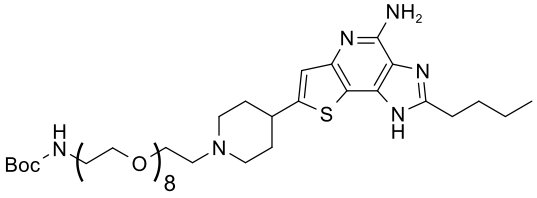
Int7



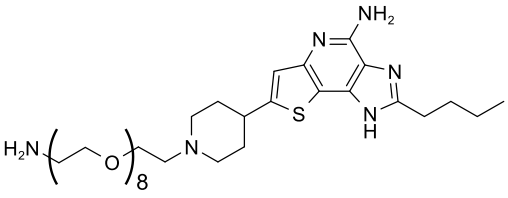
Int8-2



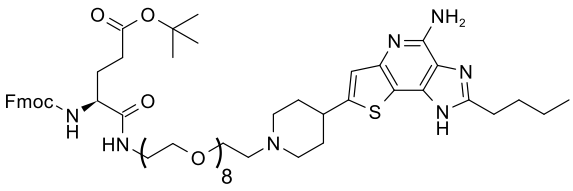
Int8



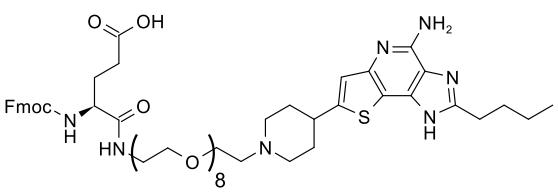
Int9-3



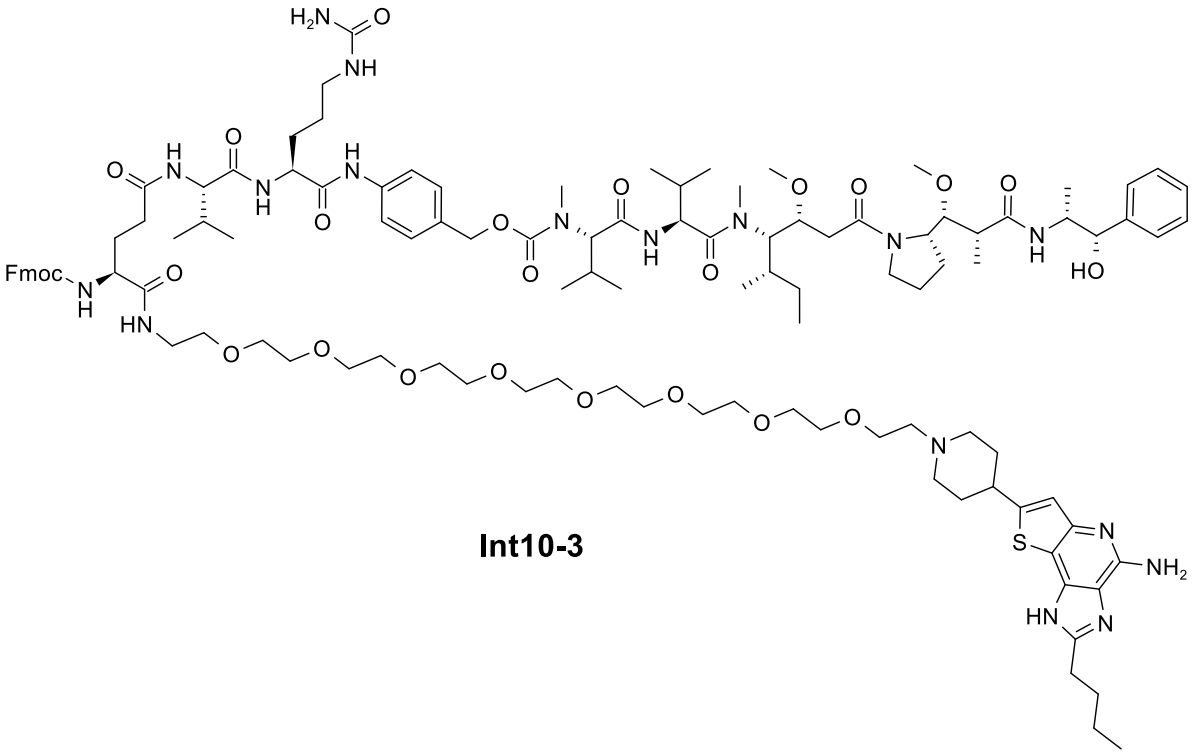
Int9



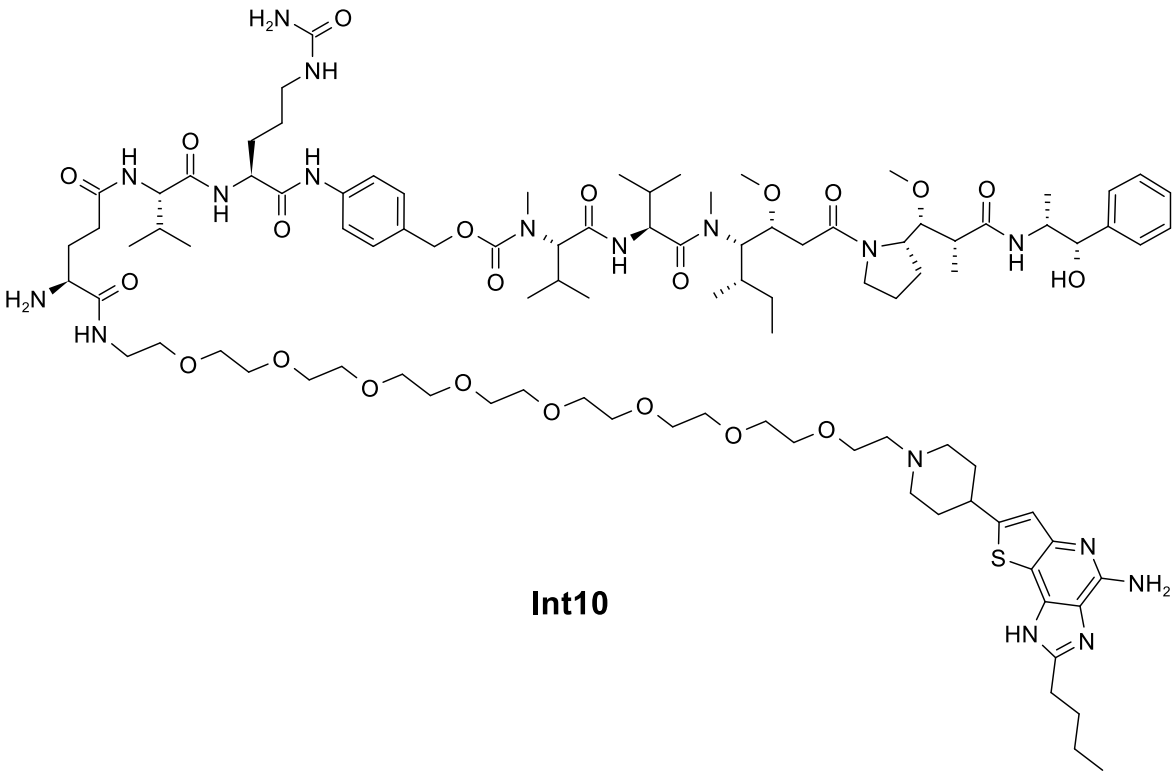
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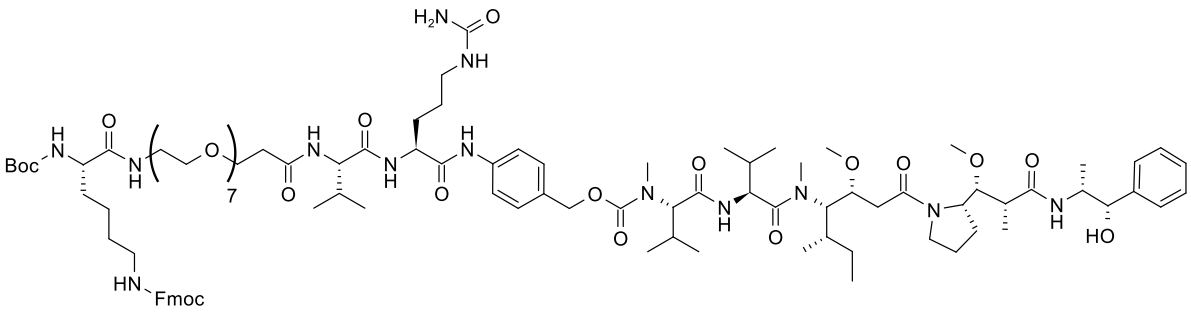
Int10-2



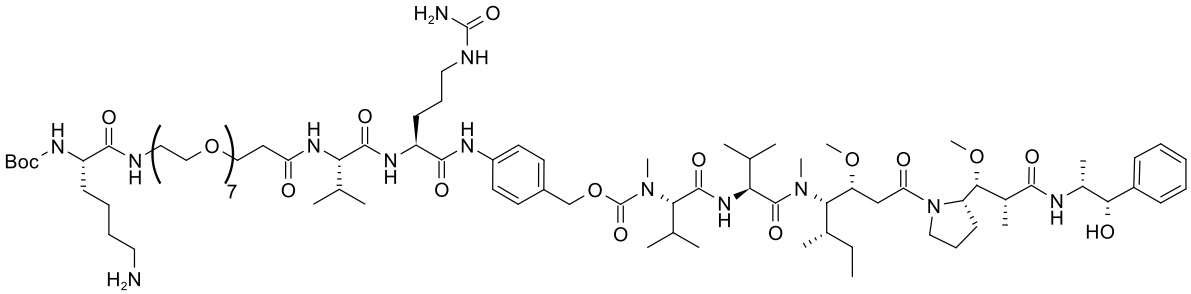
Int10-3



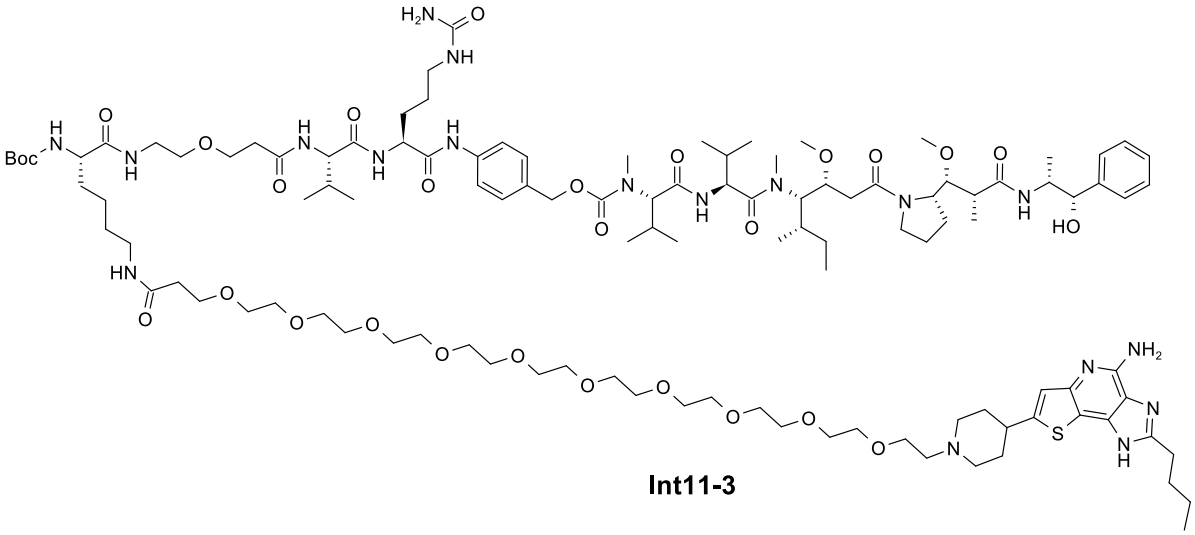
Int10



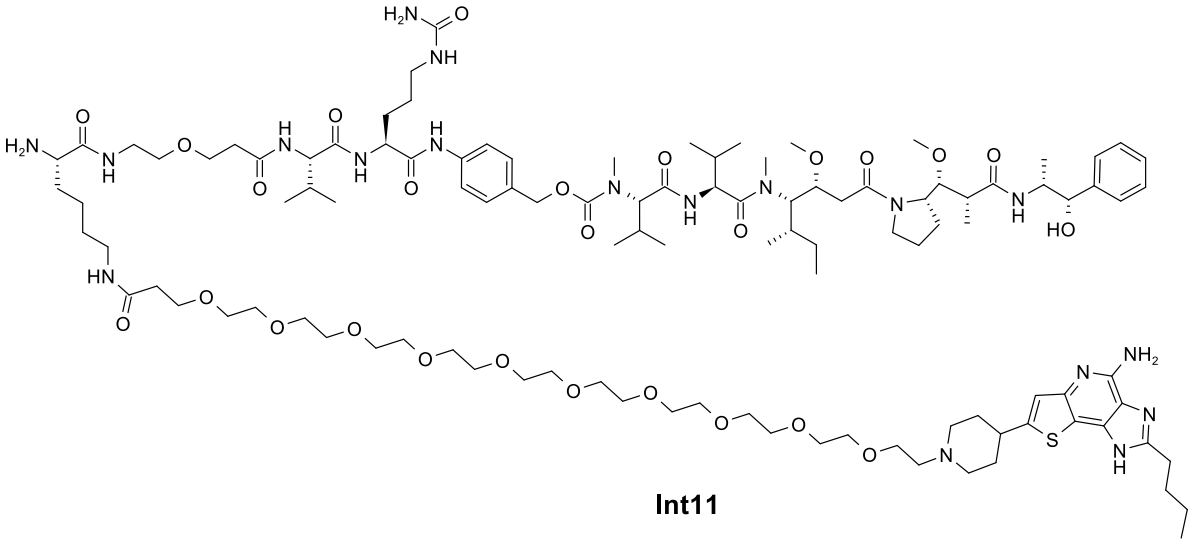
Int11-1



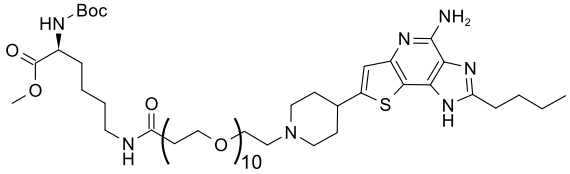
Int11-2



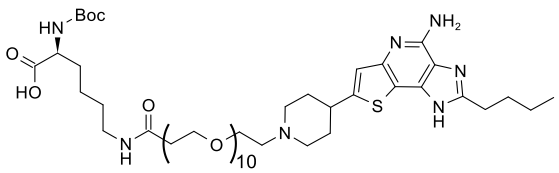
Int11-3



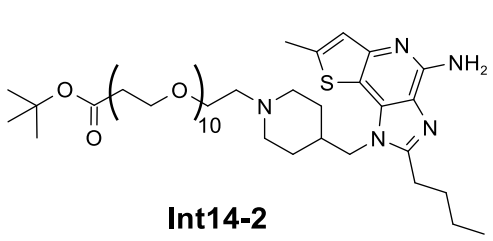
Int11



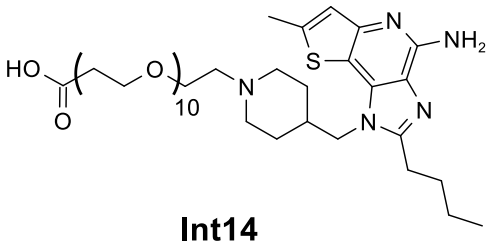
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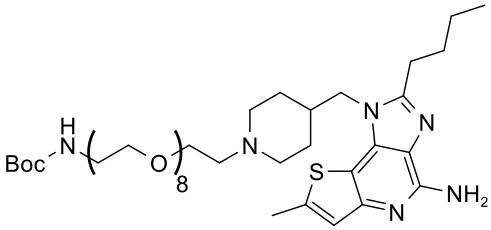
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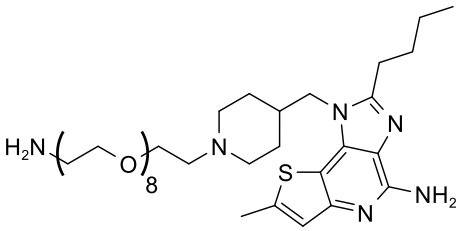
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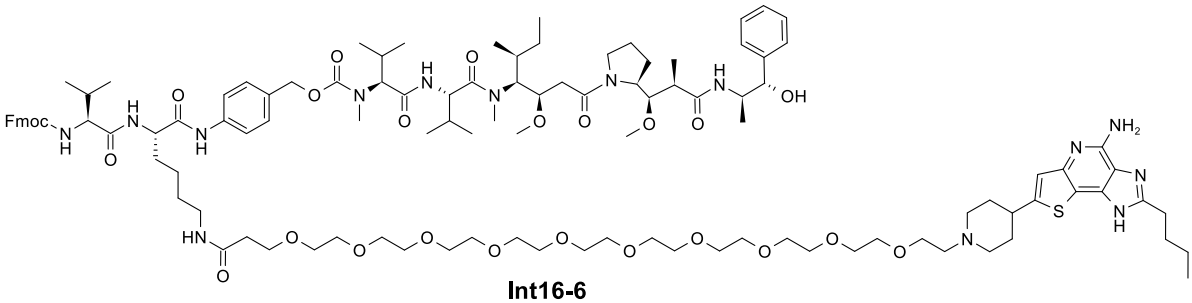
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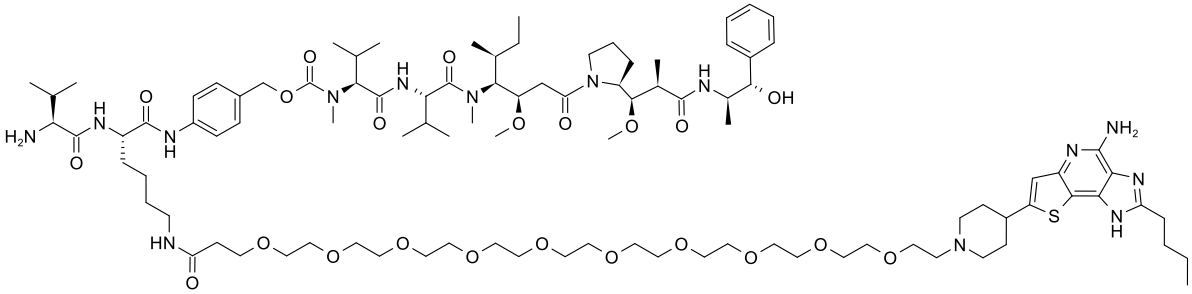
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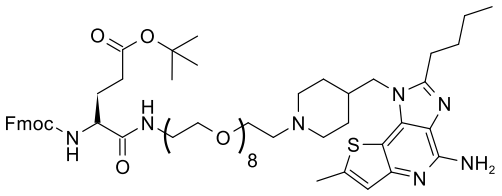
Int15



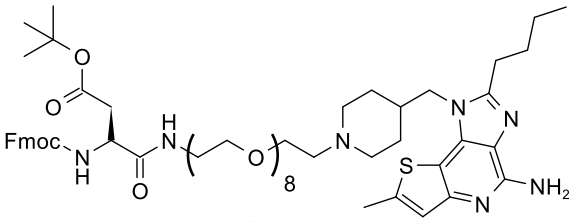
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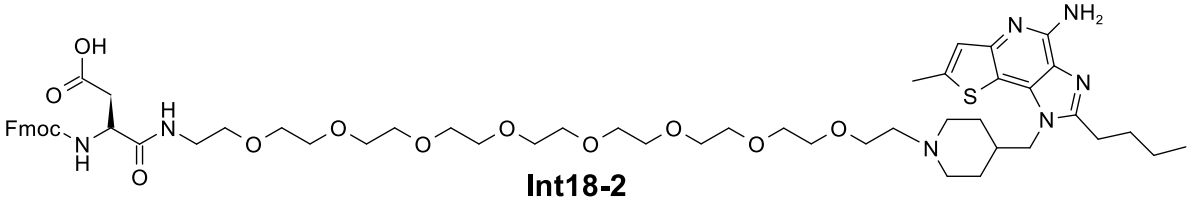
Int16



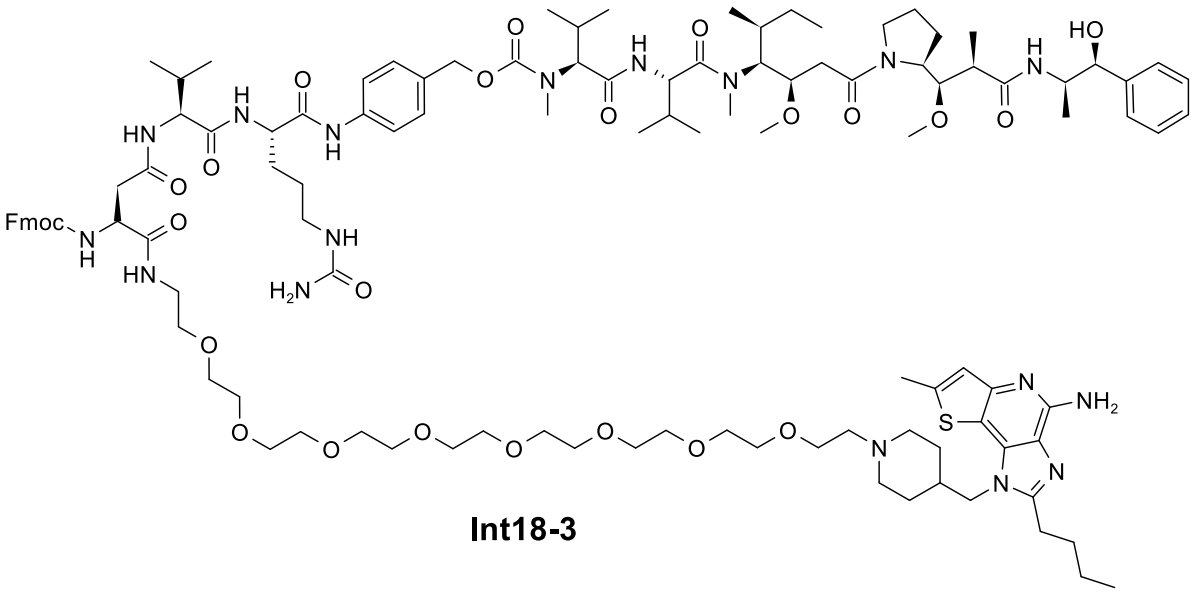
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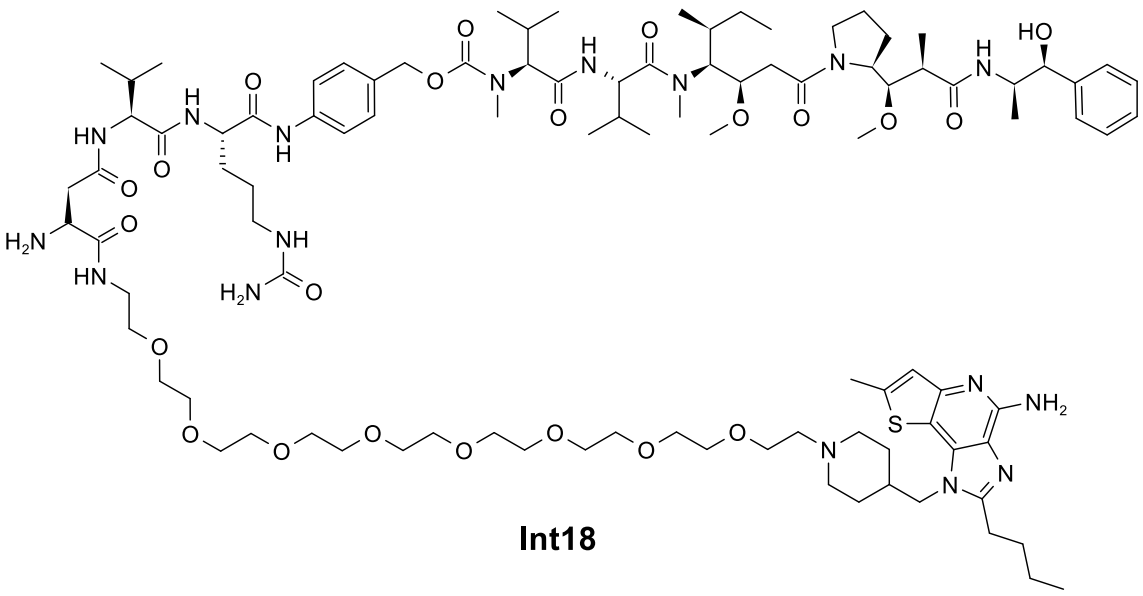
Int18-1



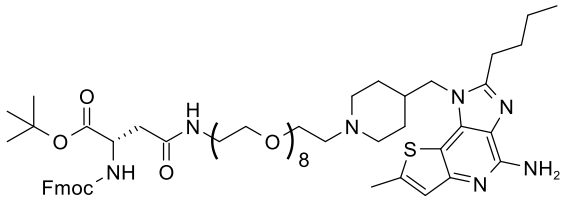
Int18-2



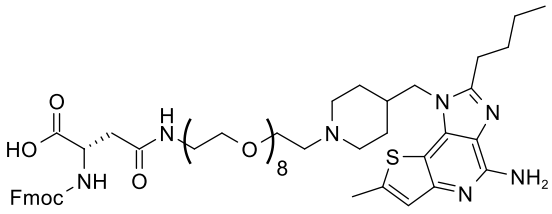
Int18-3



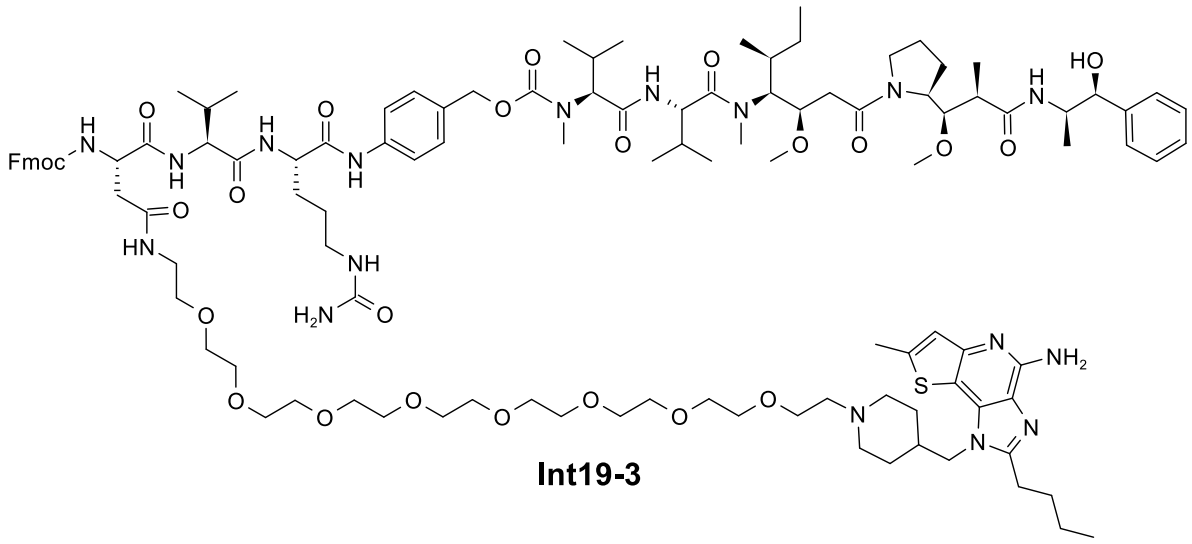
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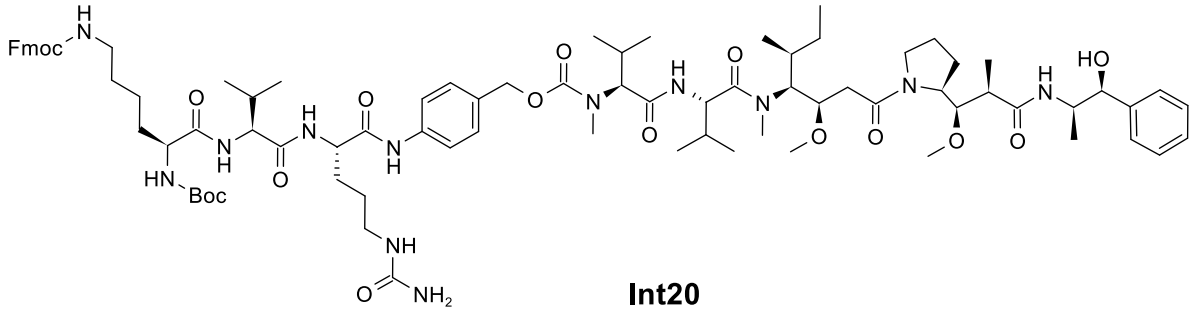
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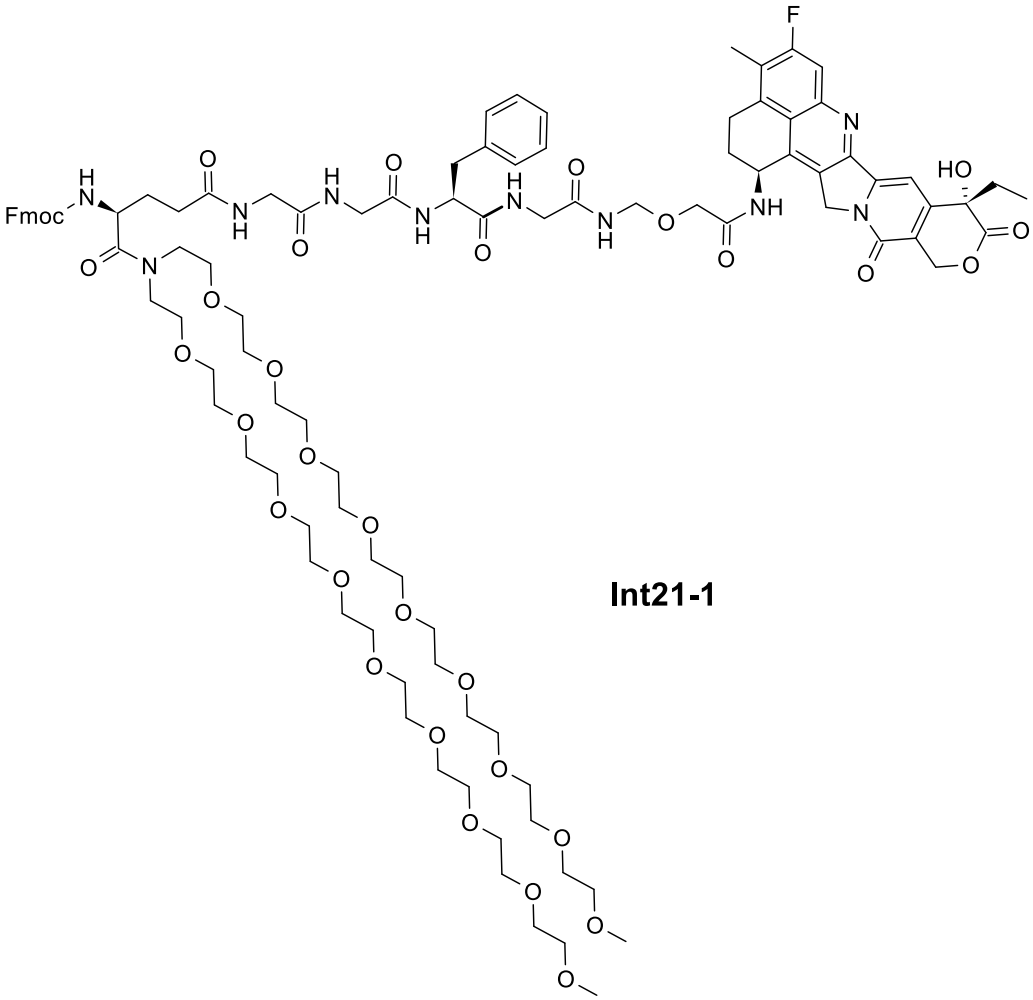
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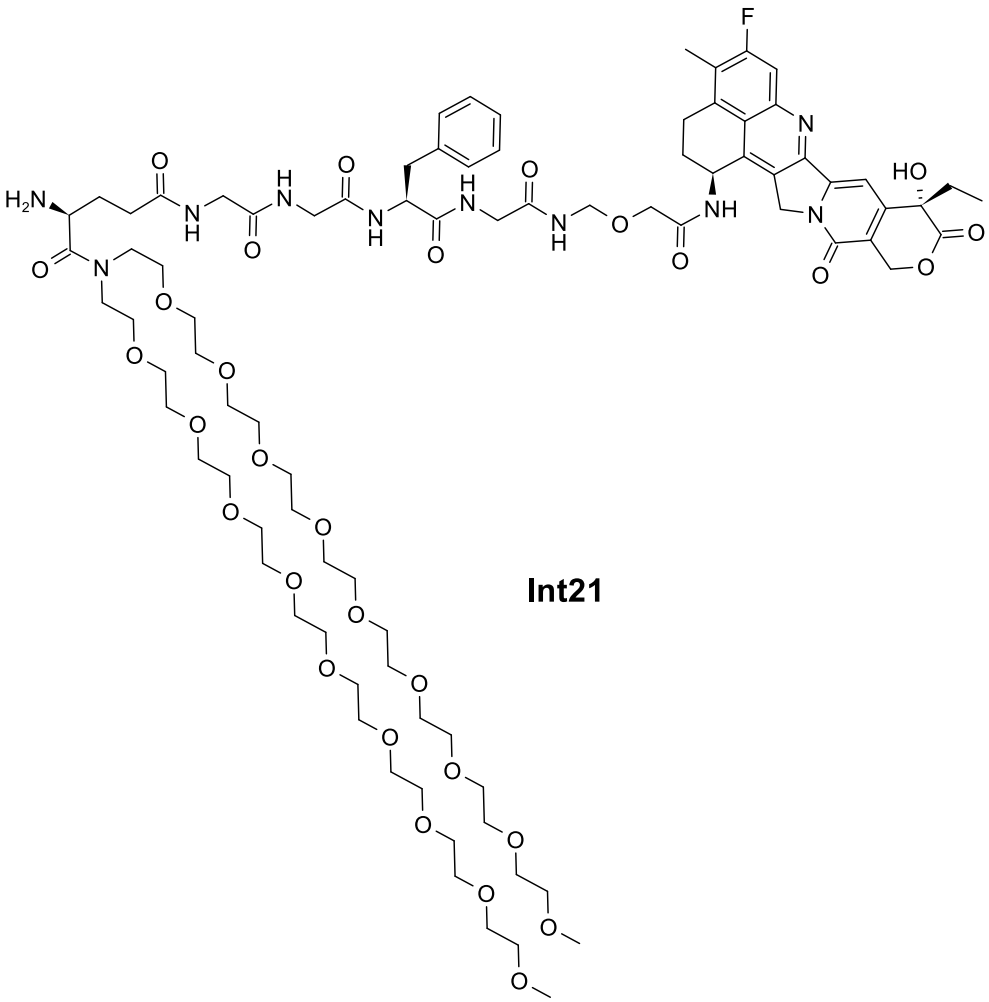
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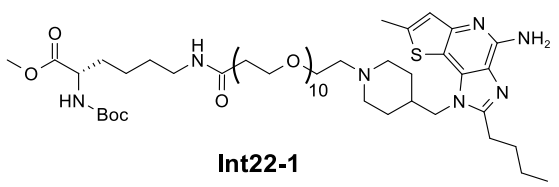
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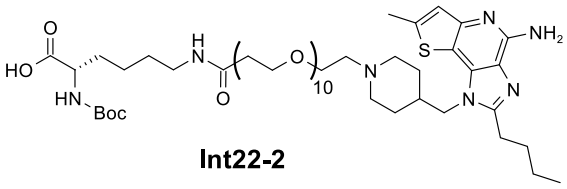
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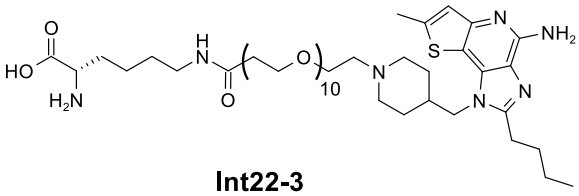
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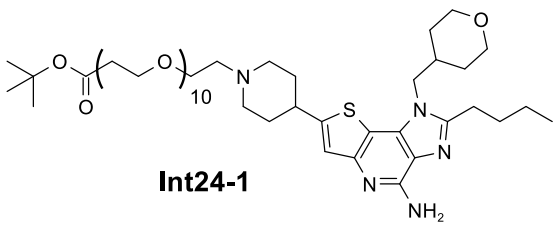
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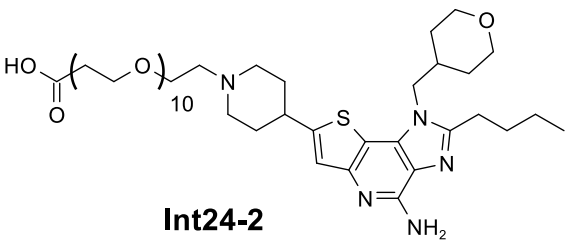
Int22-2



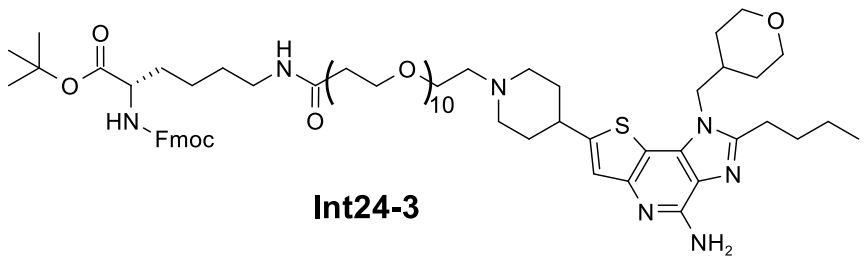
Int22-3



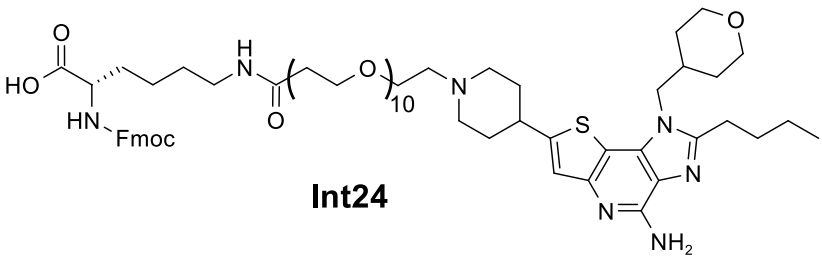
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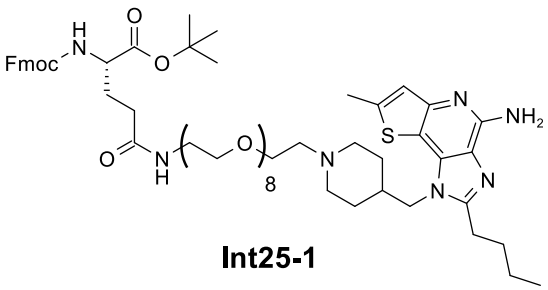
Int24-2



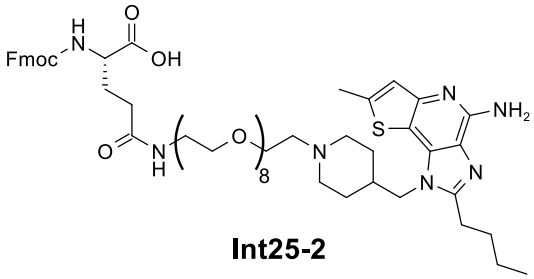
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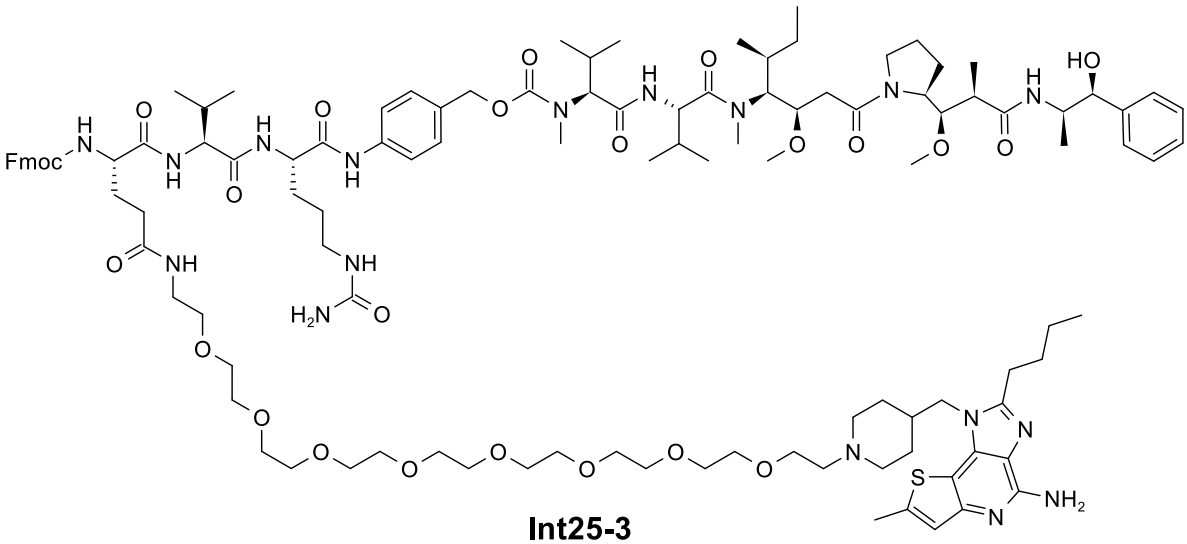
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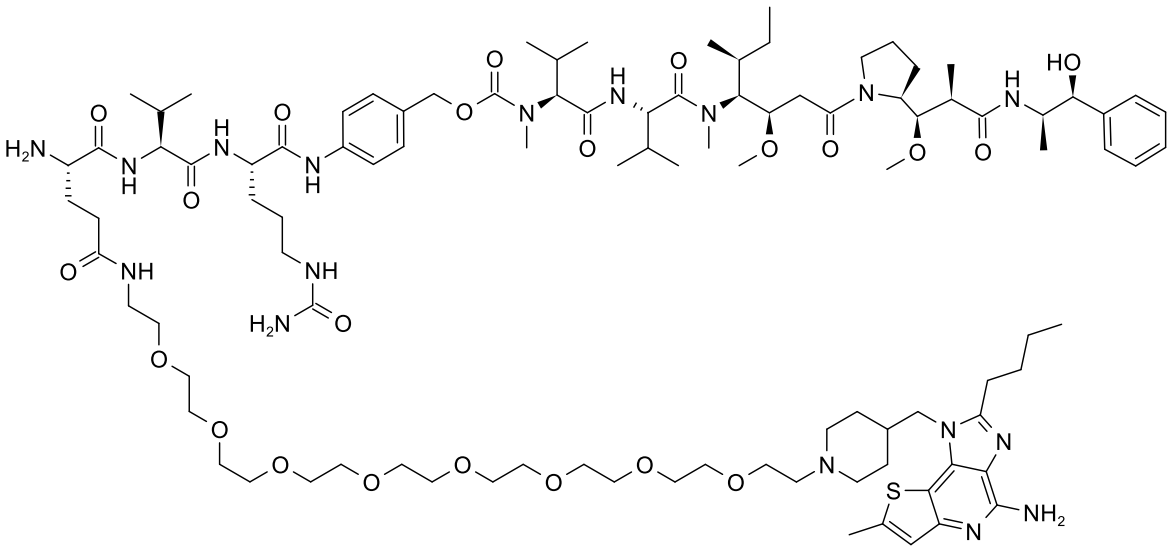
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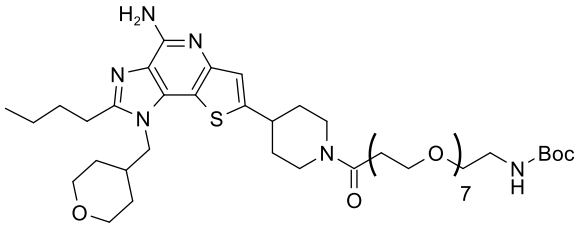
Int25-2



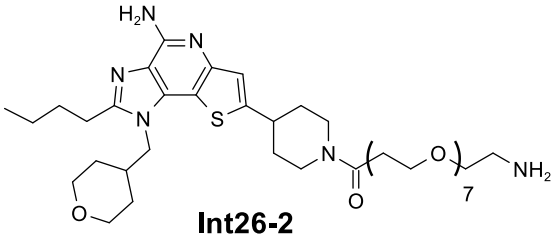
Int25-3



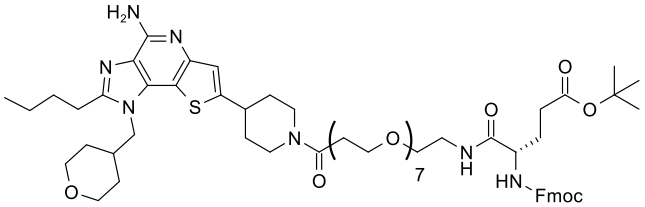
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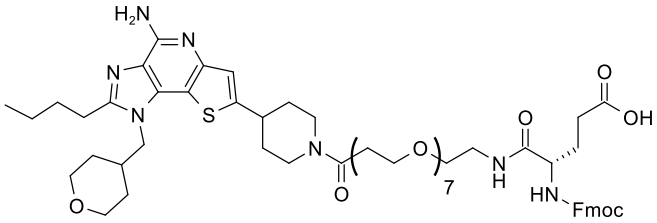
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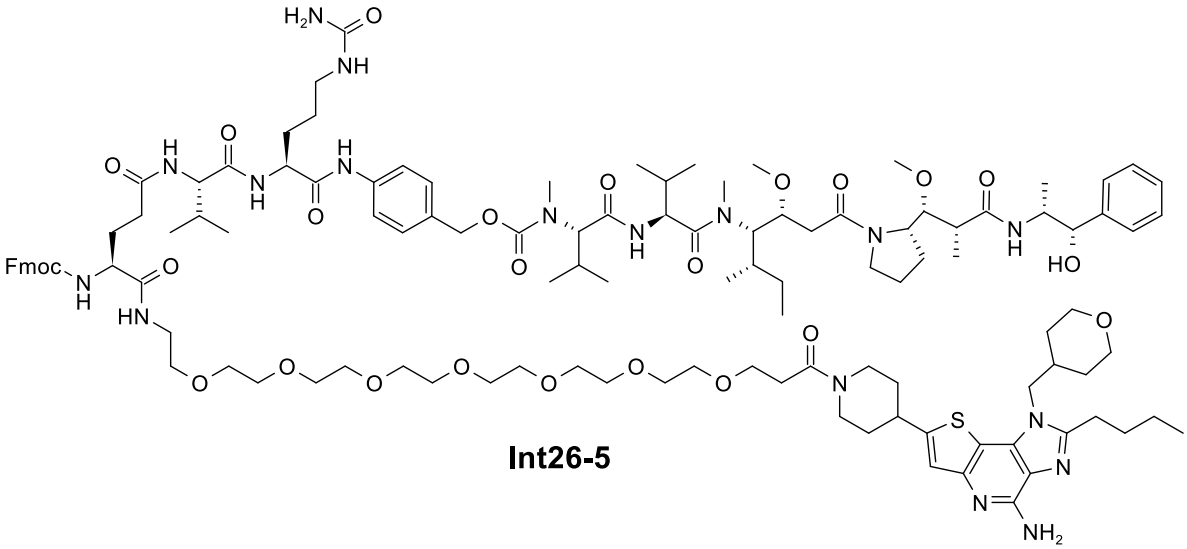
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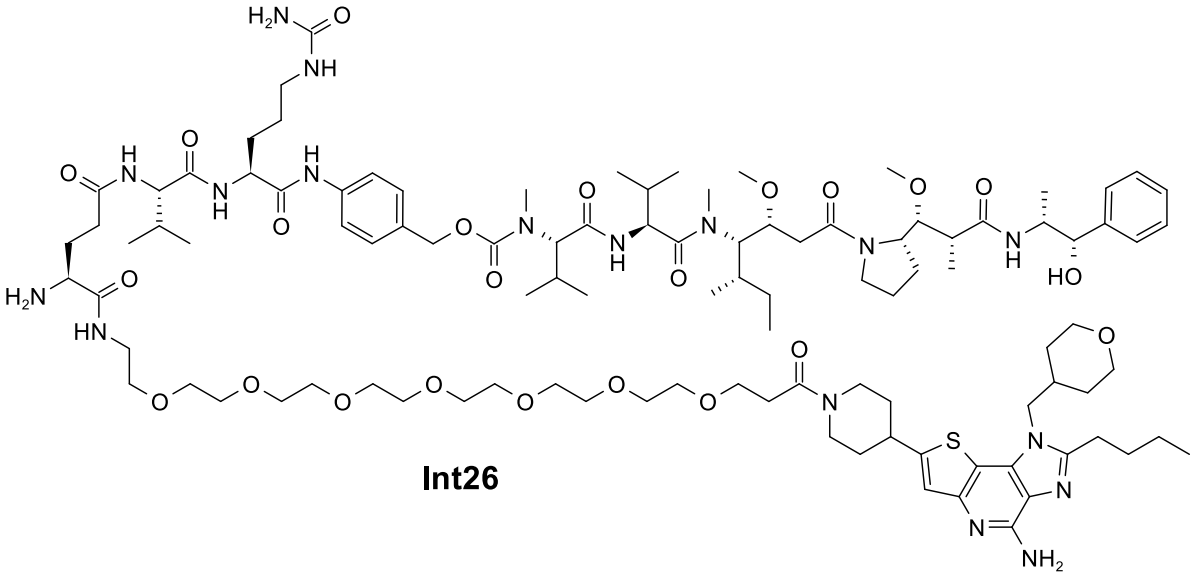
Int26-3



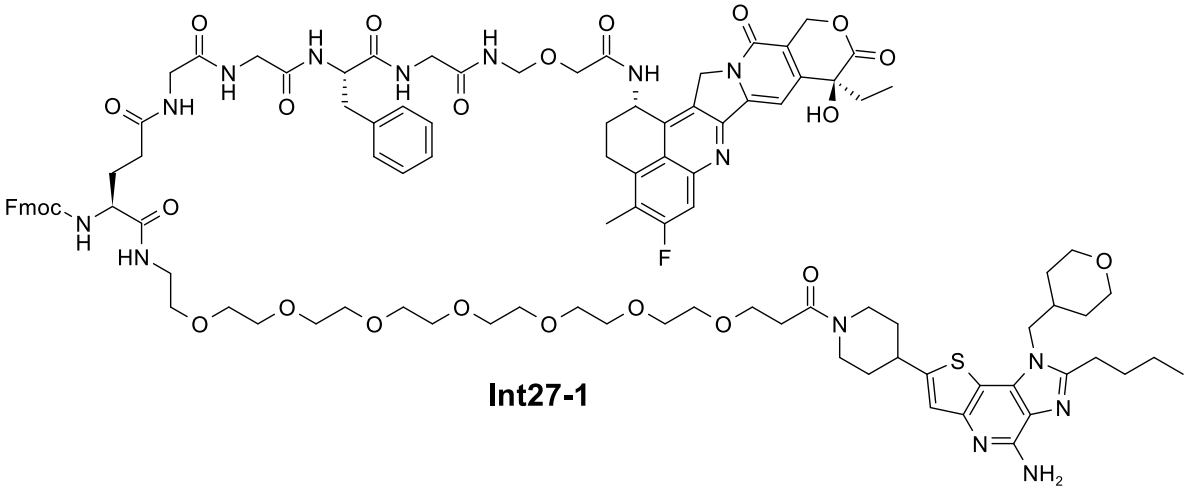
Int26-4



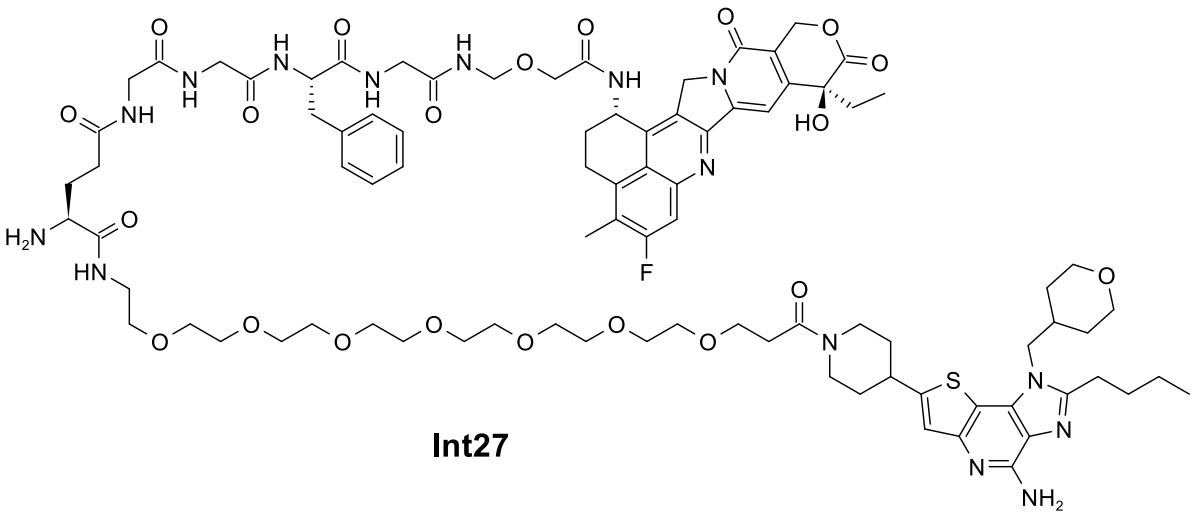
Int26-5



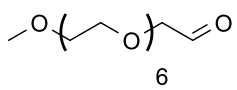
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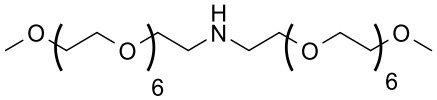
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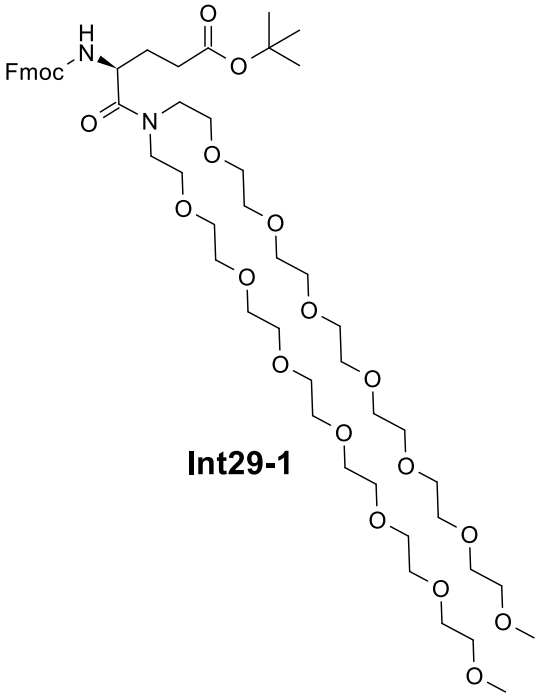
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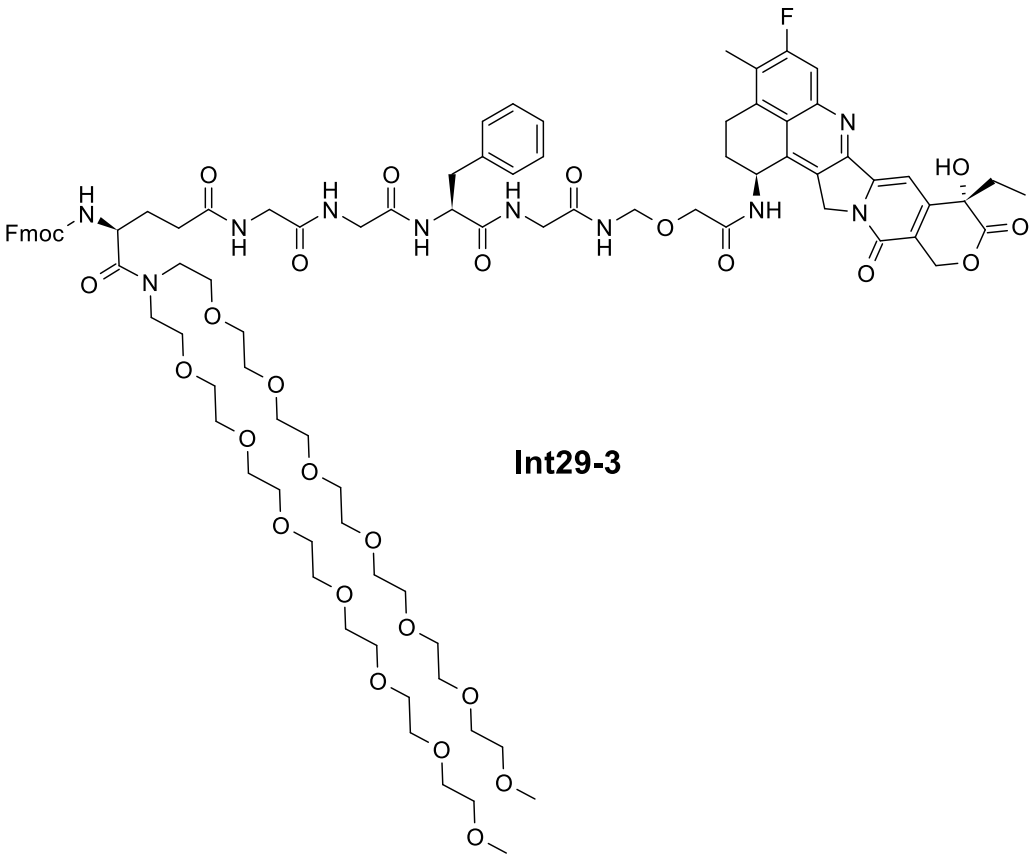
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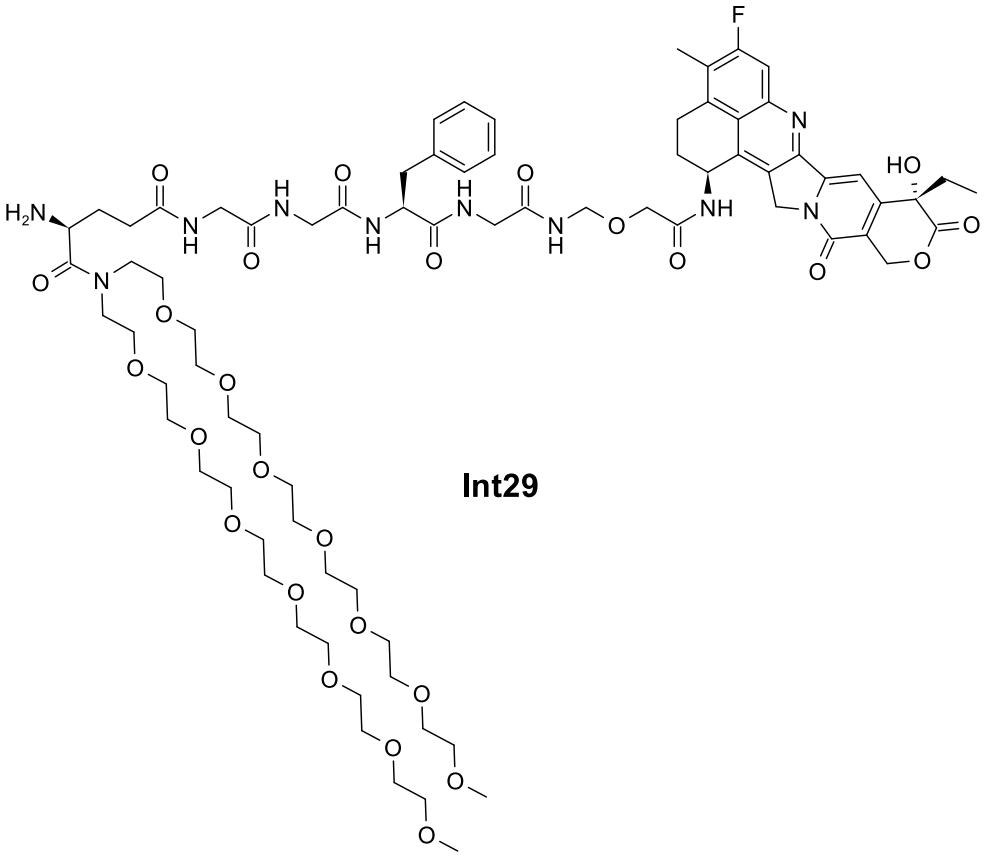
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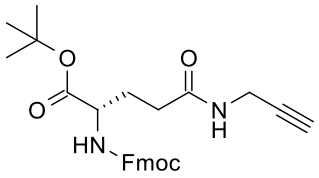
Int29-1



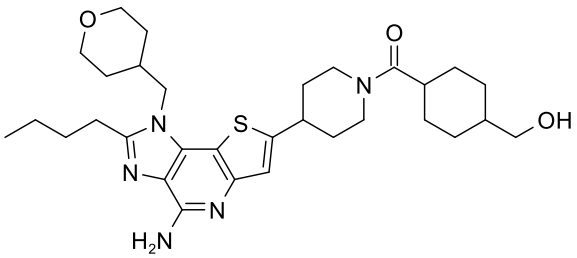
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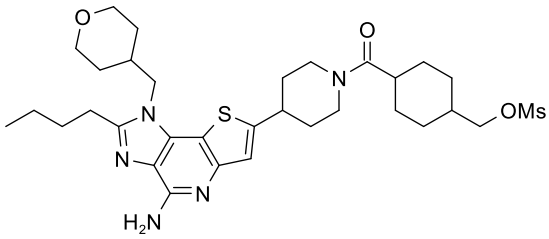
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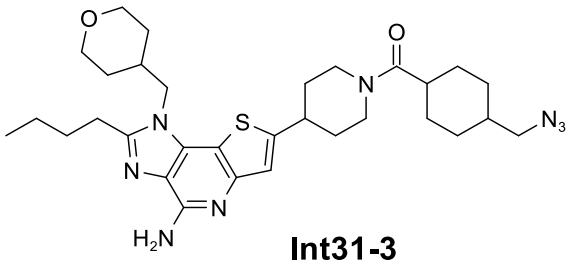
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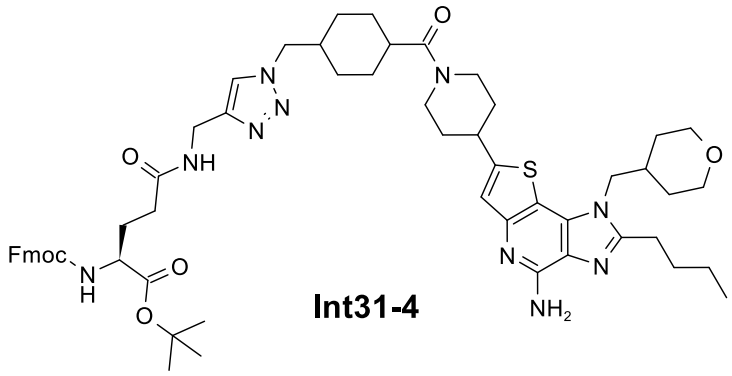
Int31-1



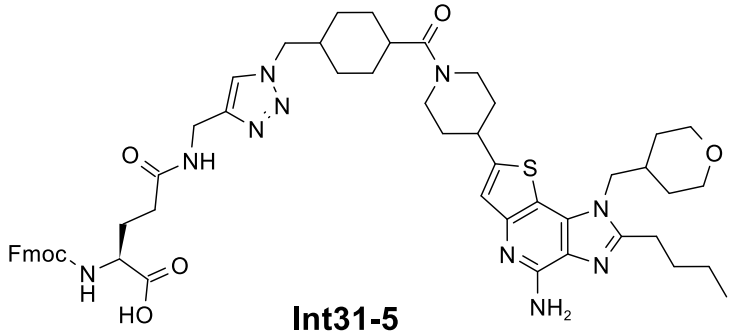
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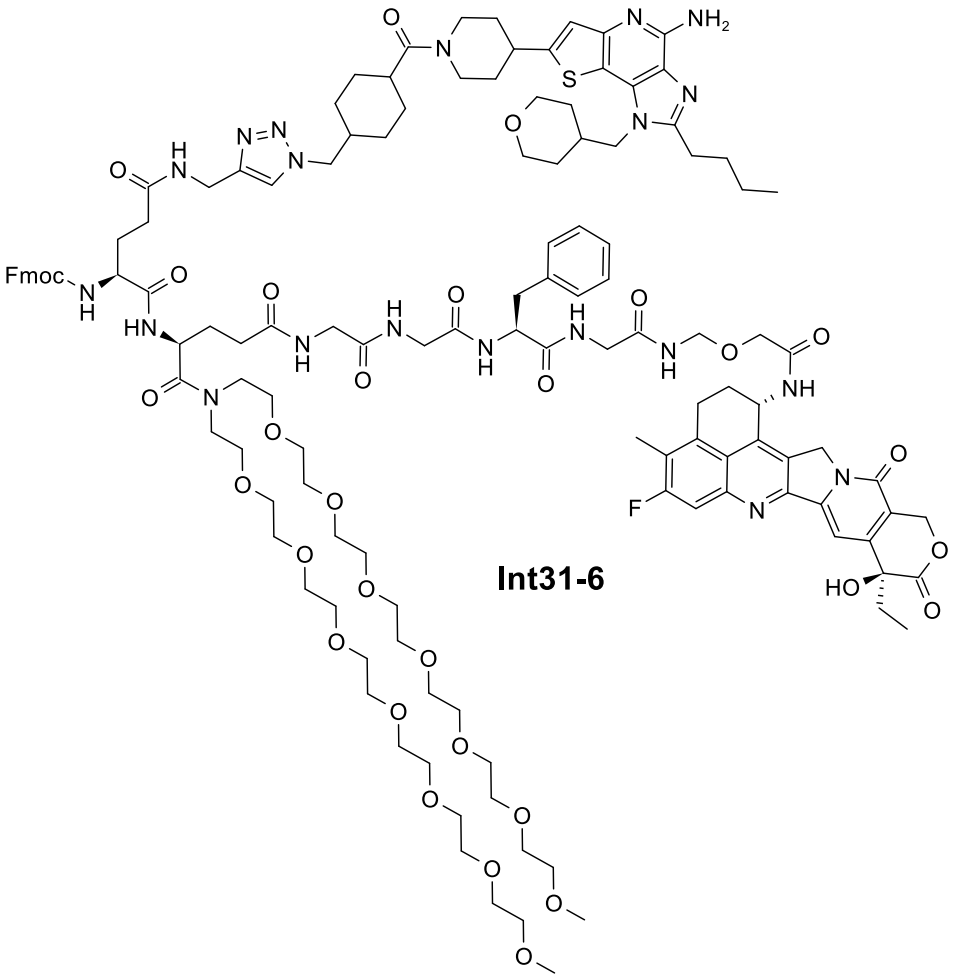
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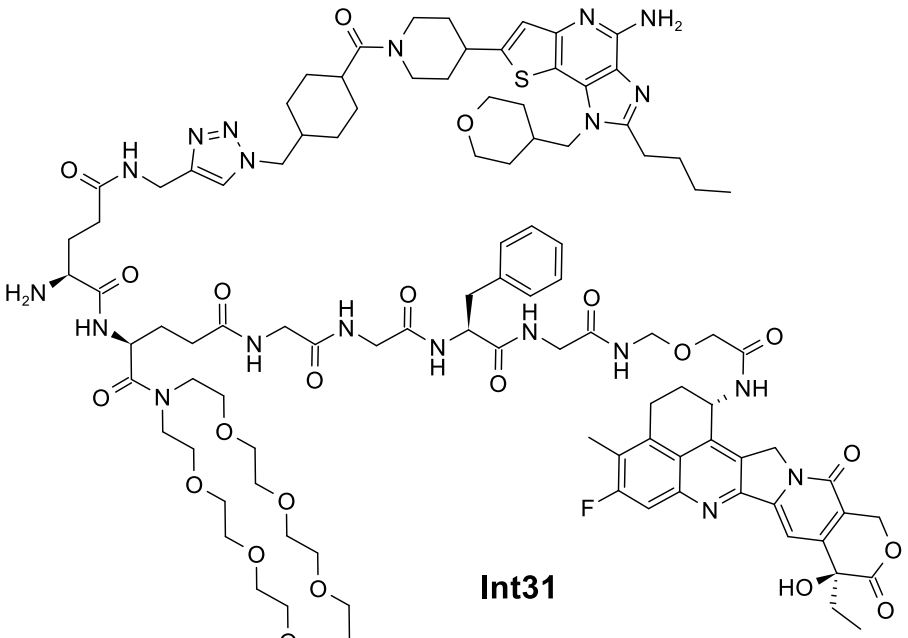
Int31-4



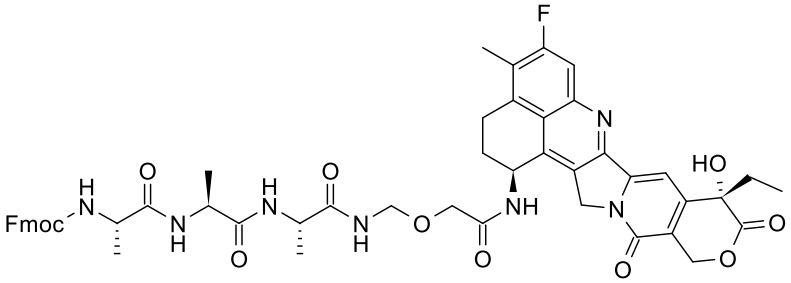
Int31-5



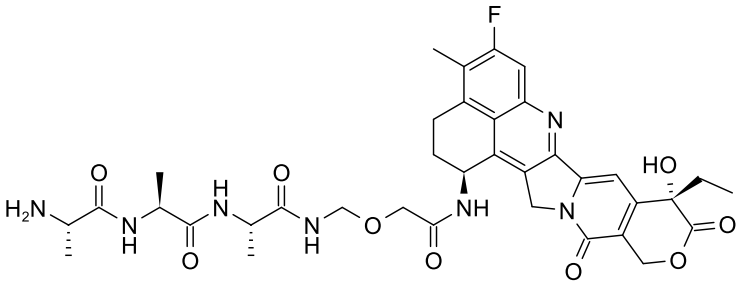
Int31-6



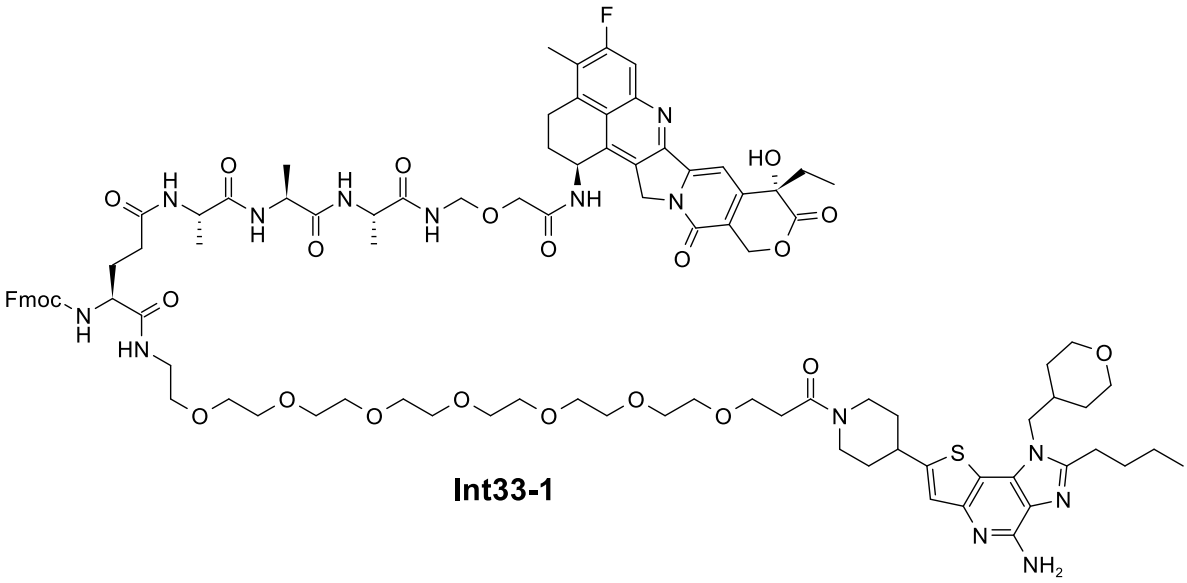
Int31



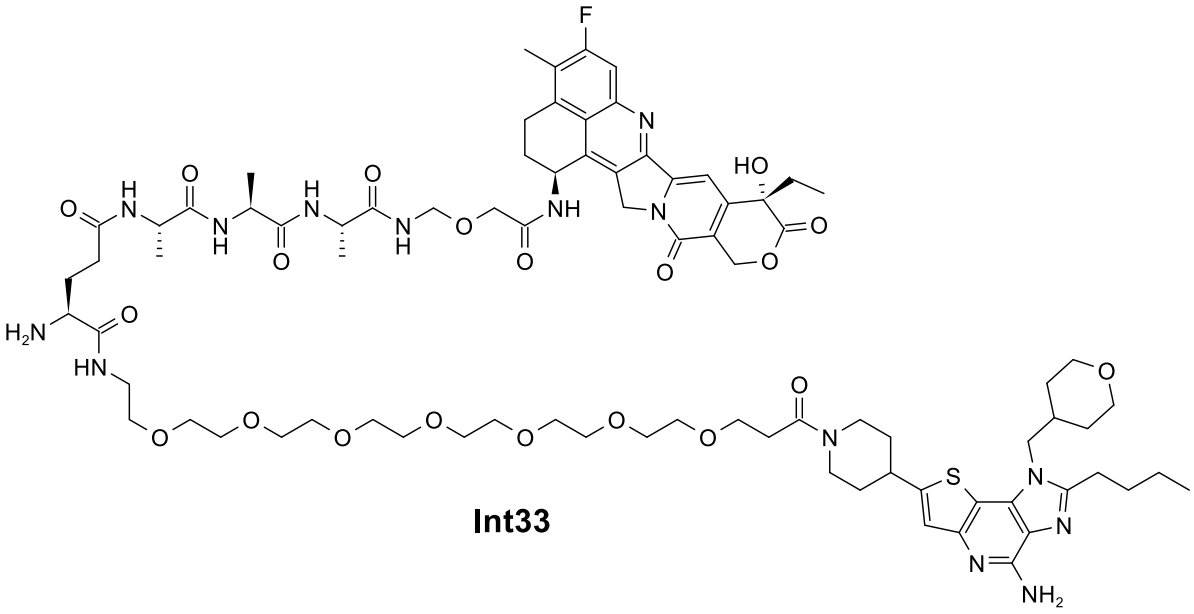
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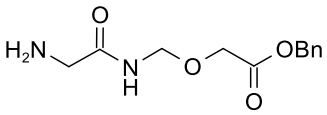
Int32



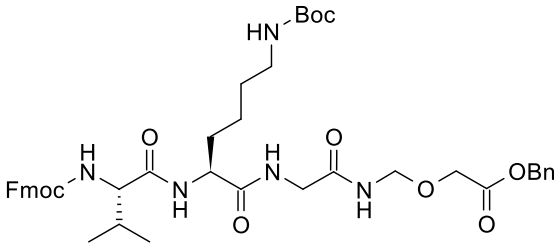
Int33-1



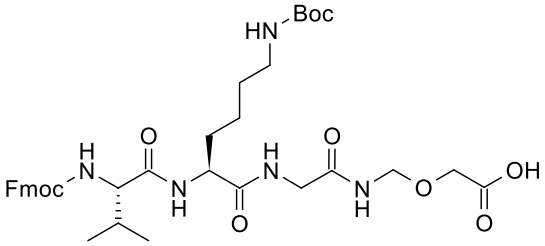
Int33



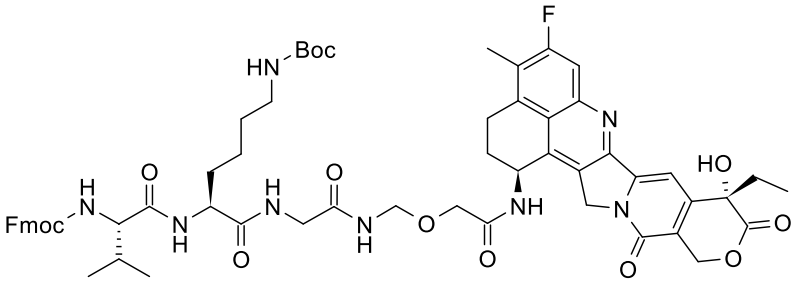
Int34-2



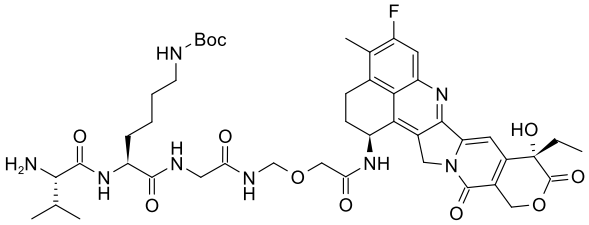
Int34-3



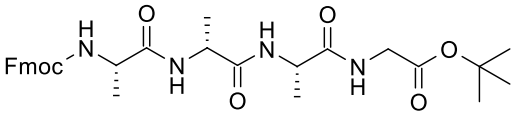
Int34-4



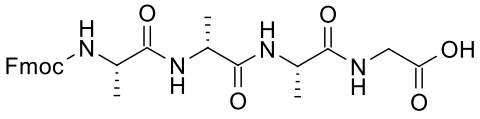
Int34-5



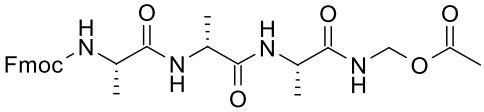
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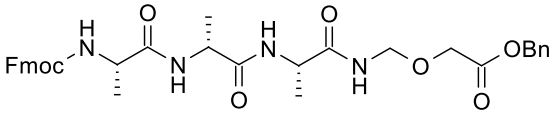
Int35-2



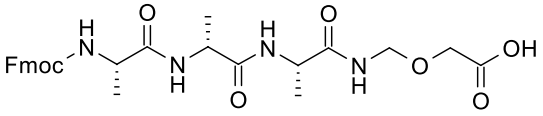
Int35-3



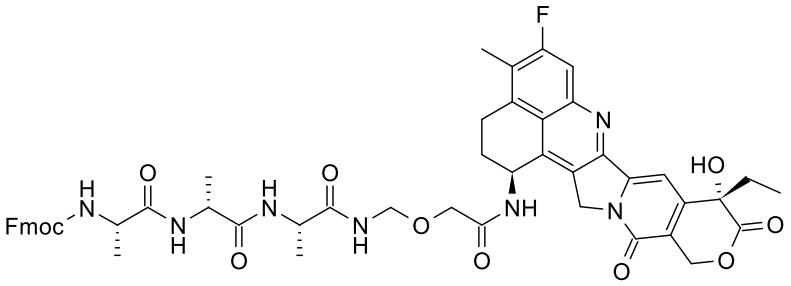
Int35-4



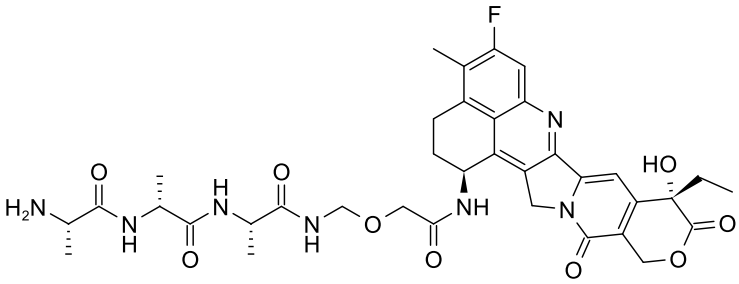
Int35-5



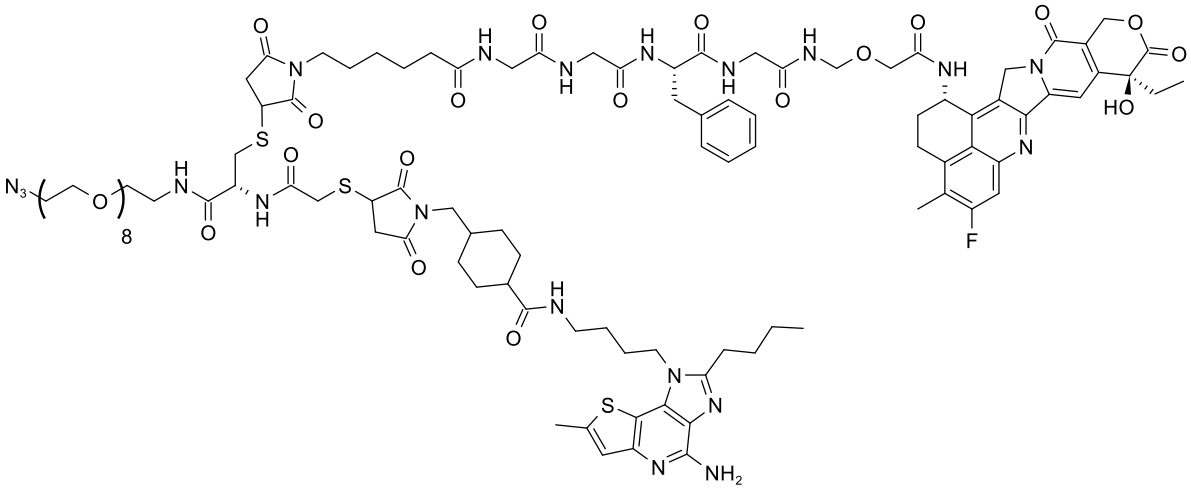
Int35-6



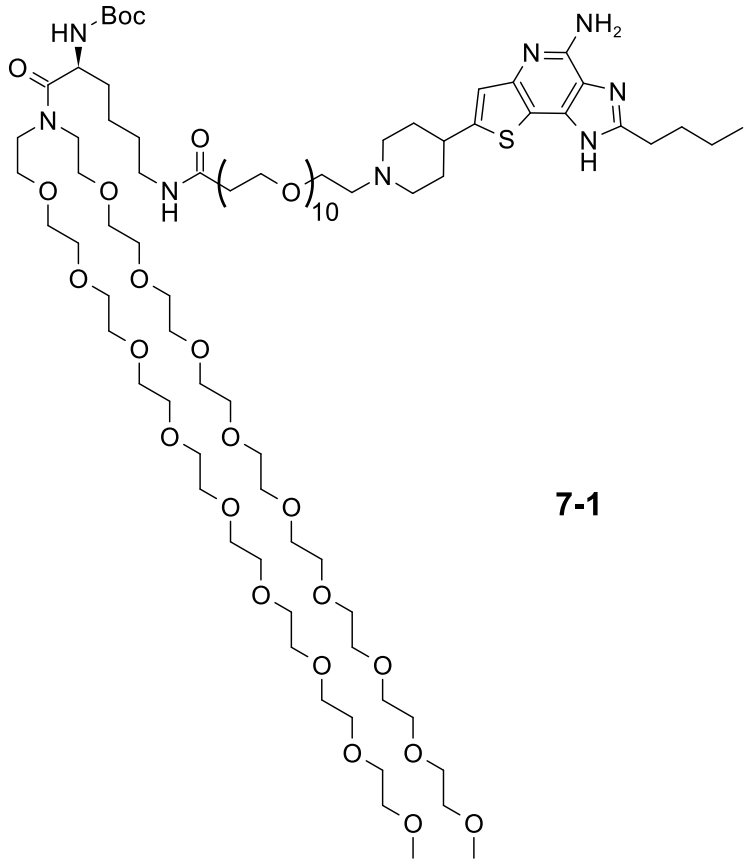
Int35-7



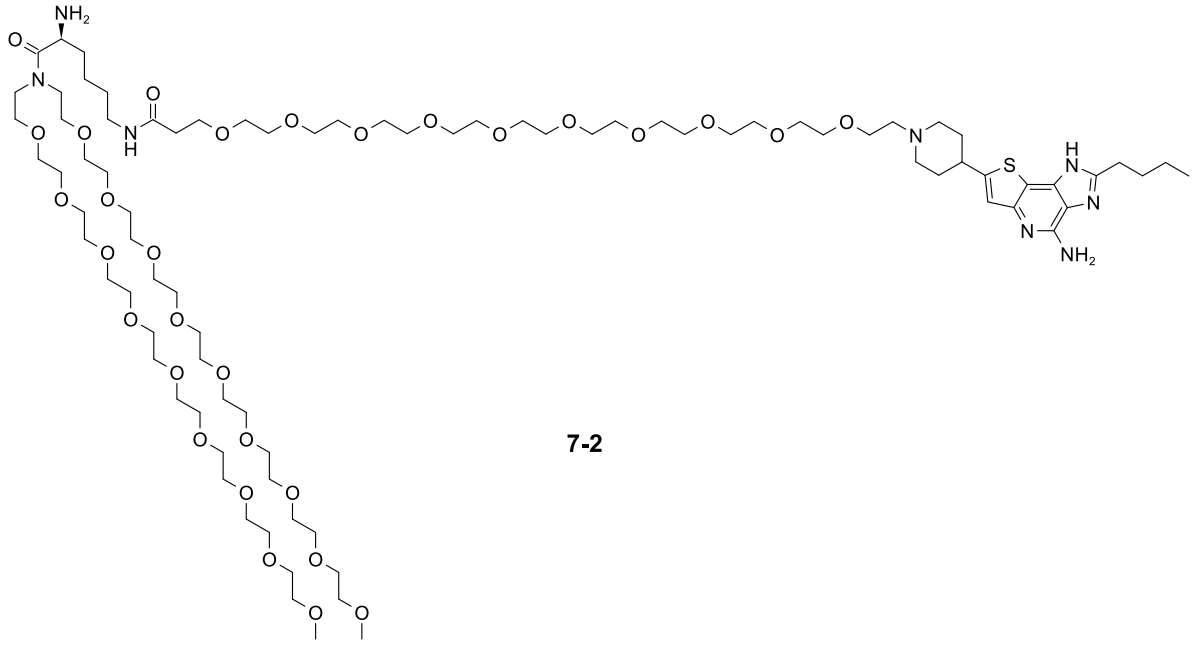
Int35



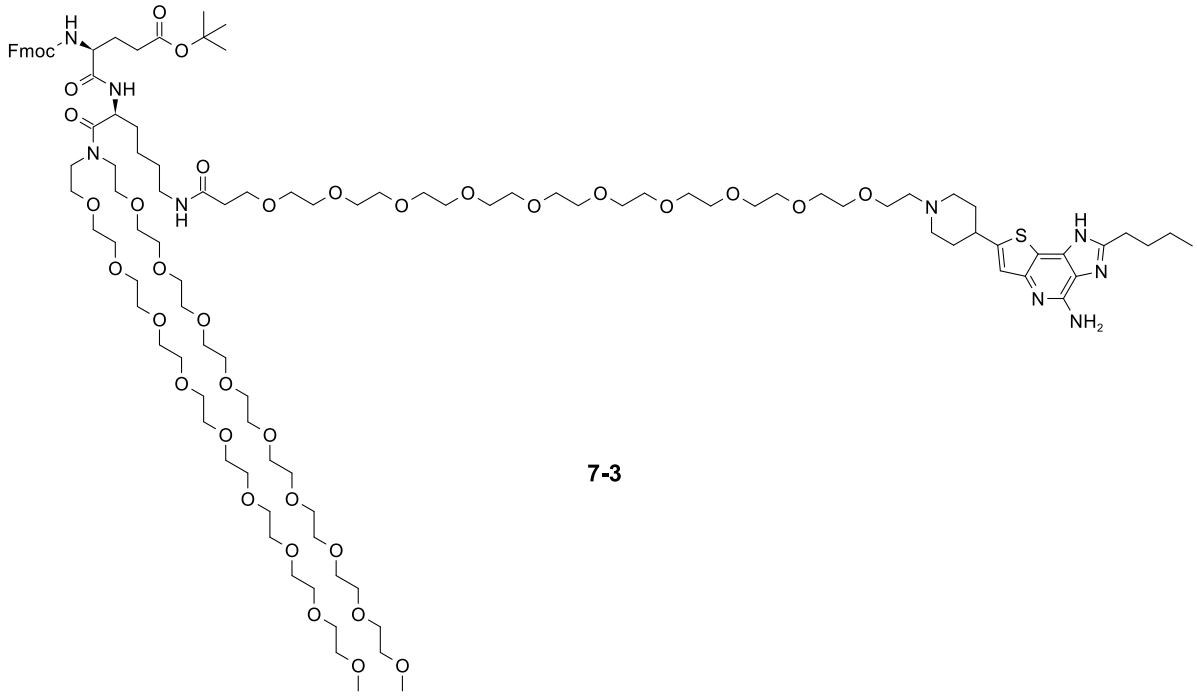
5-1



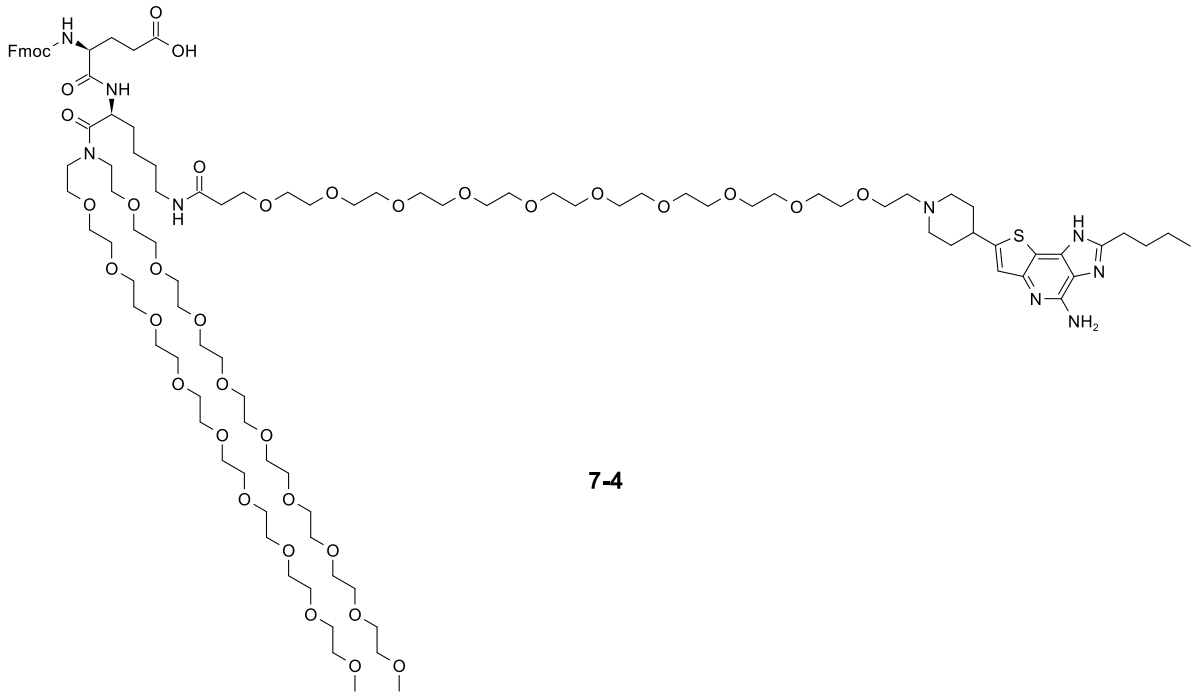
7-1



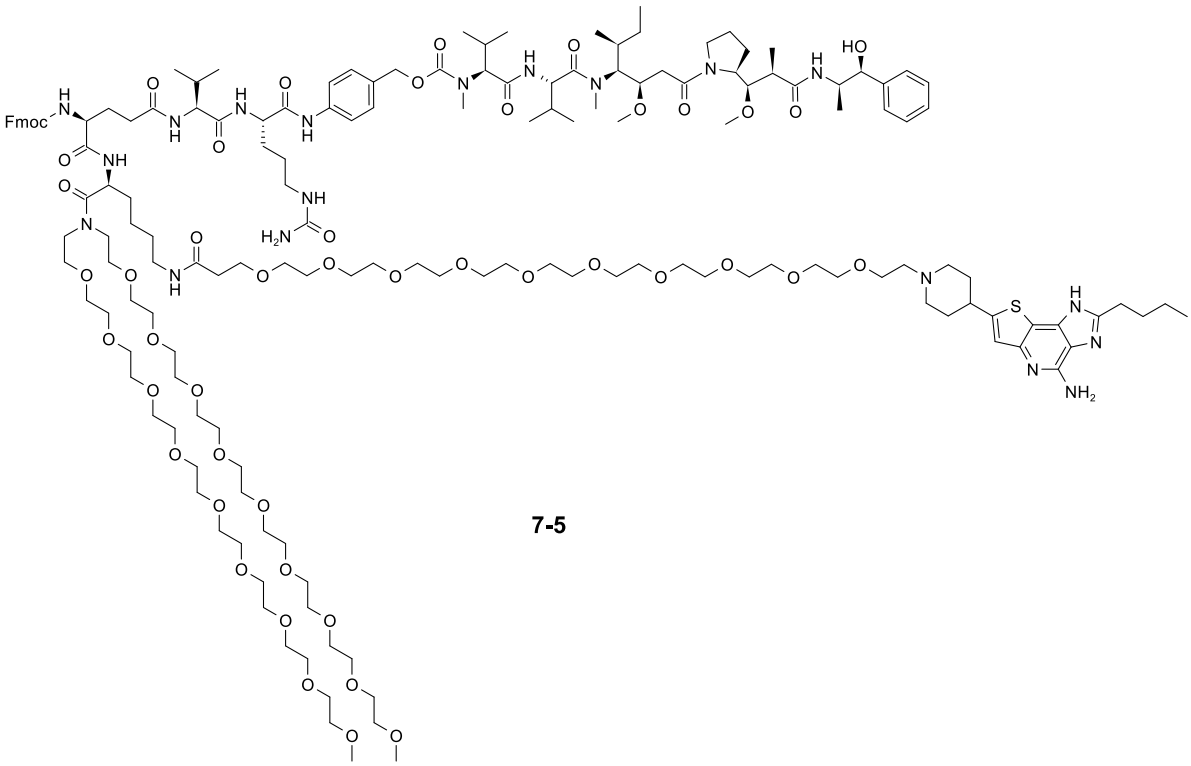
7-2



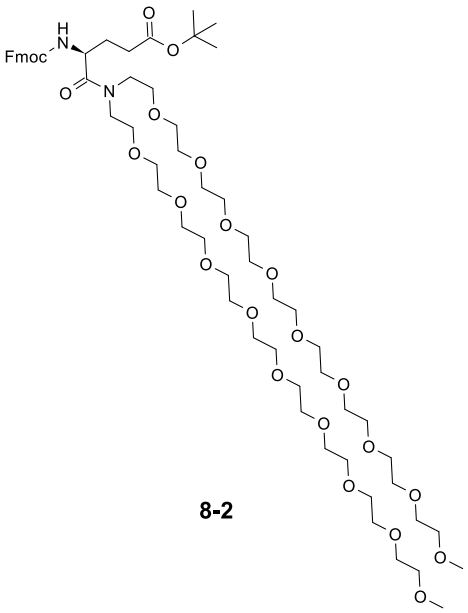
7-3



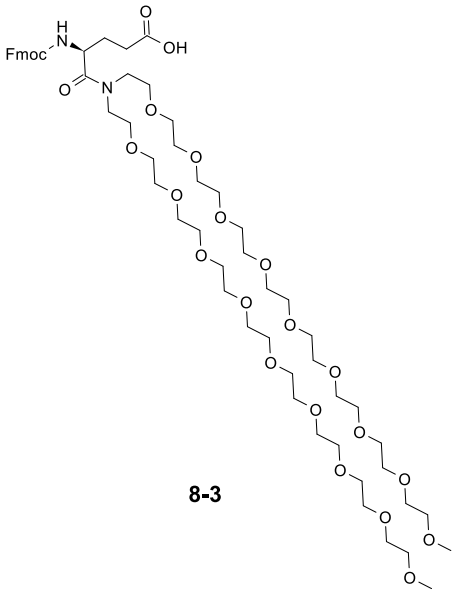
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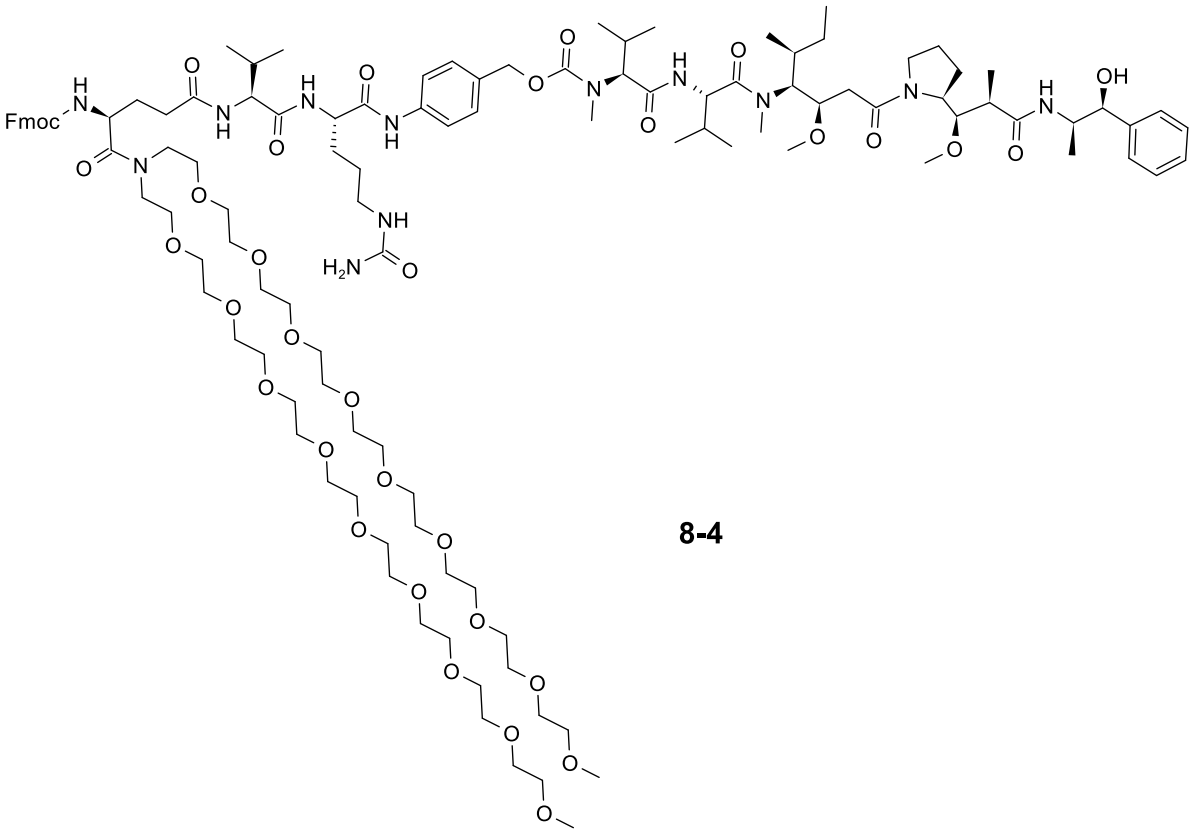
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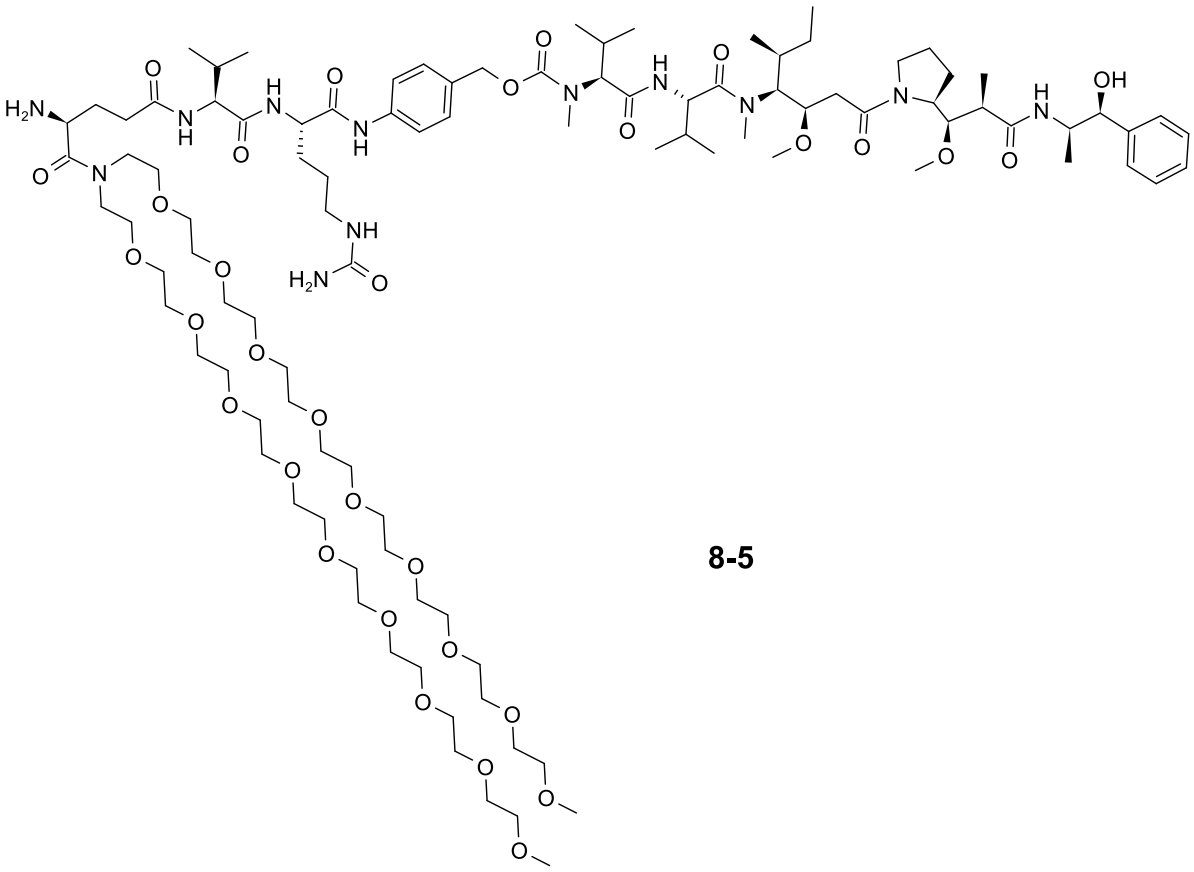
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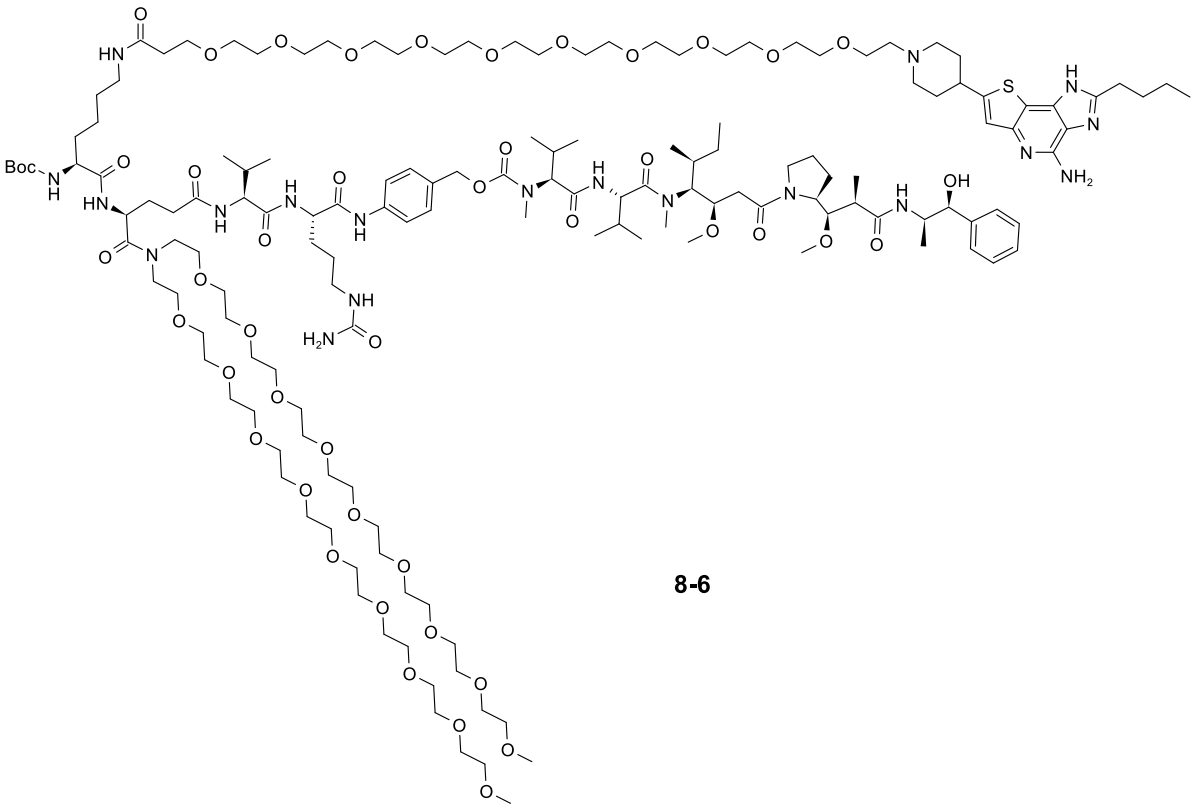
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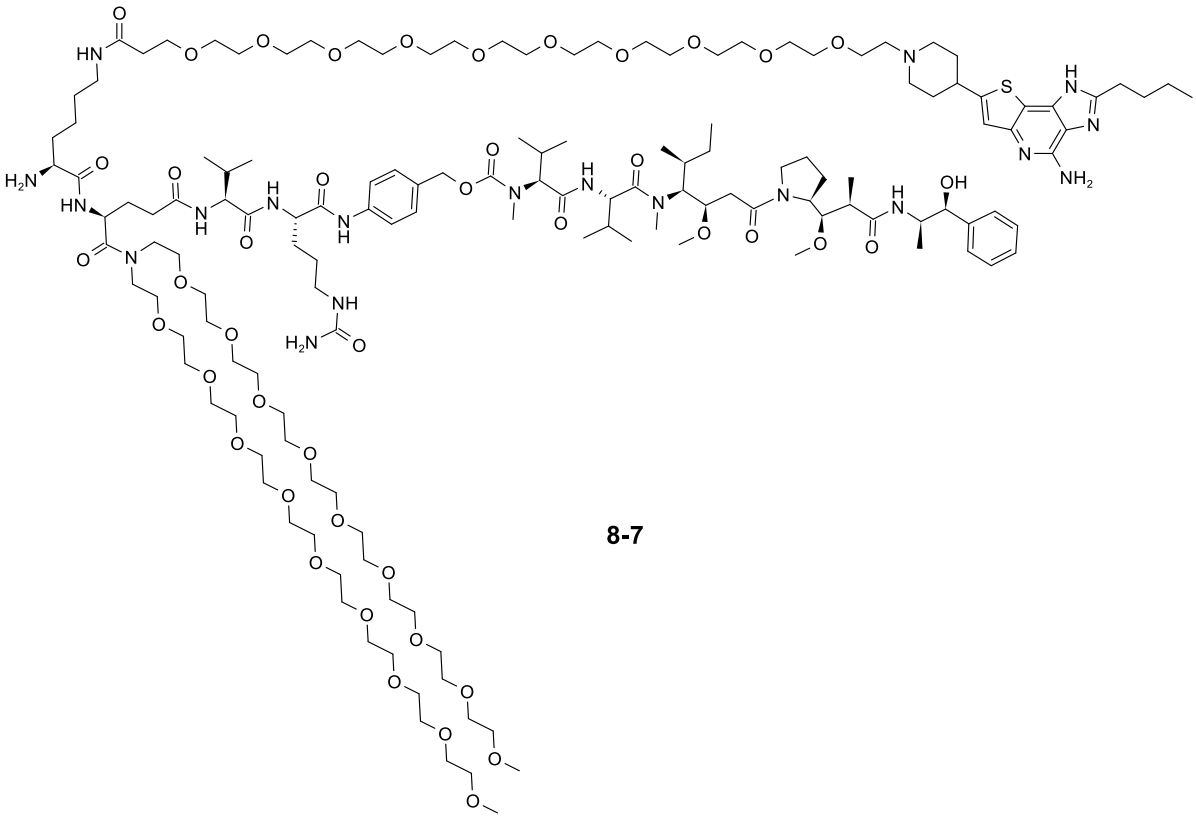
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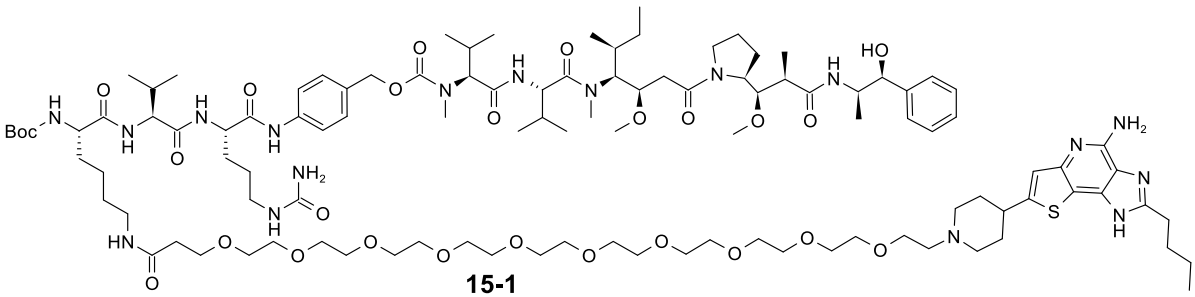
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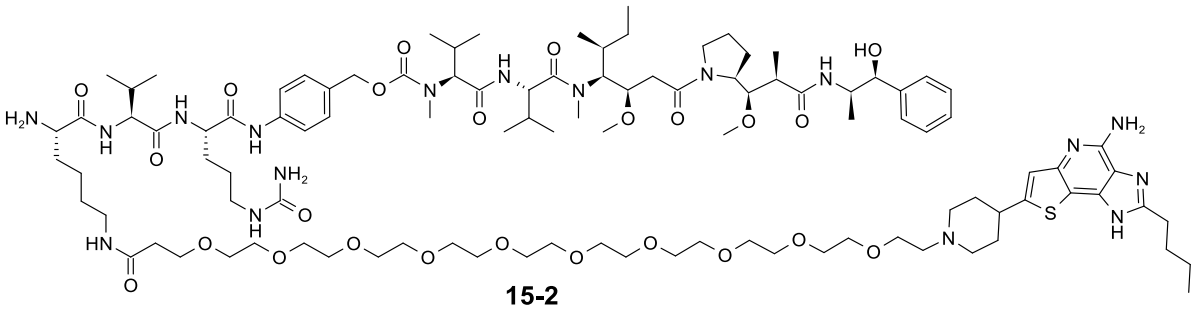
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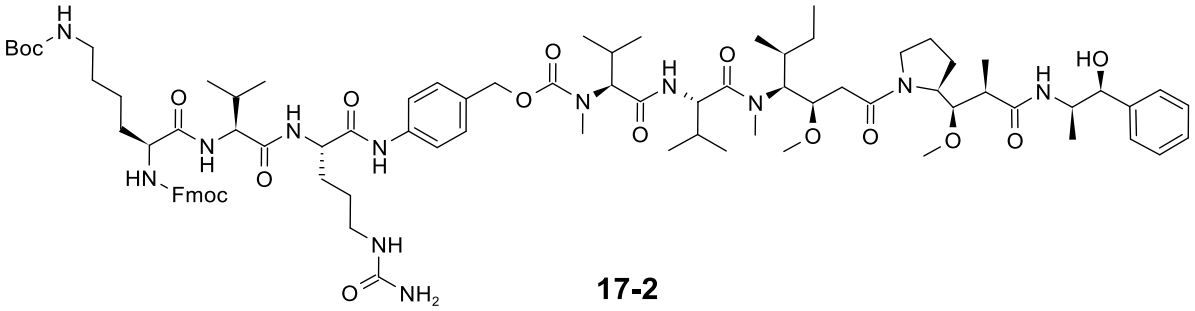
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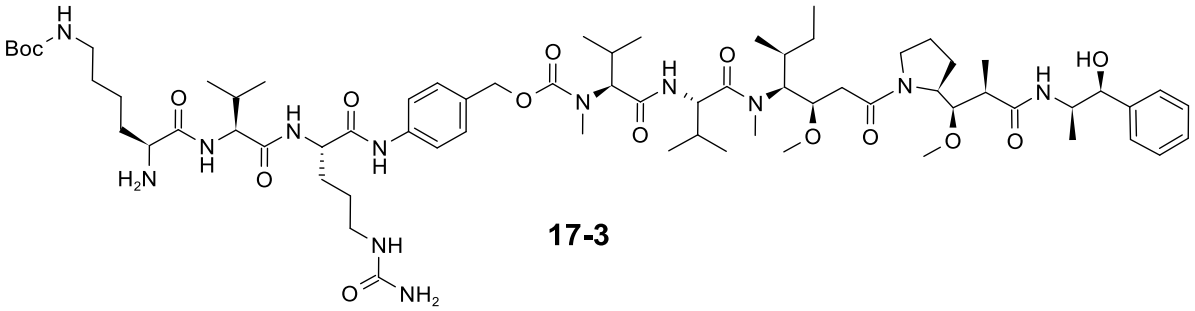
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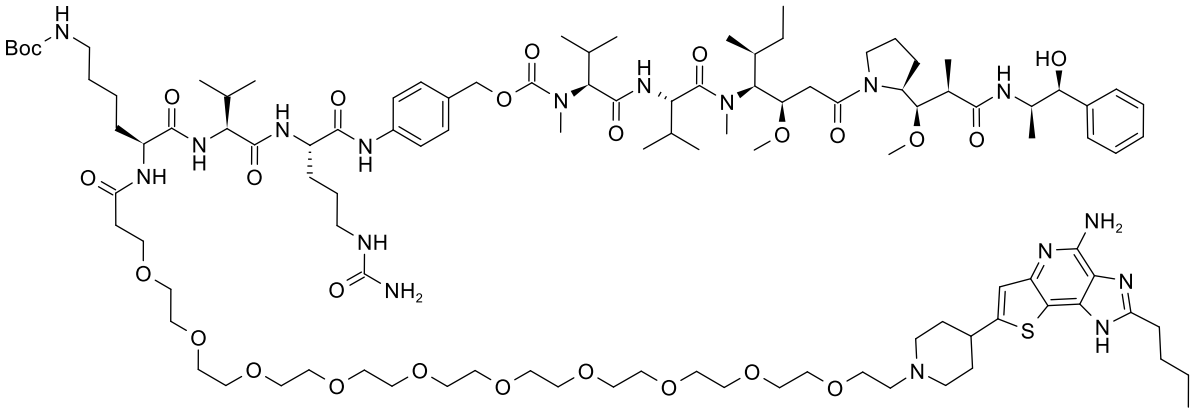
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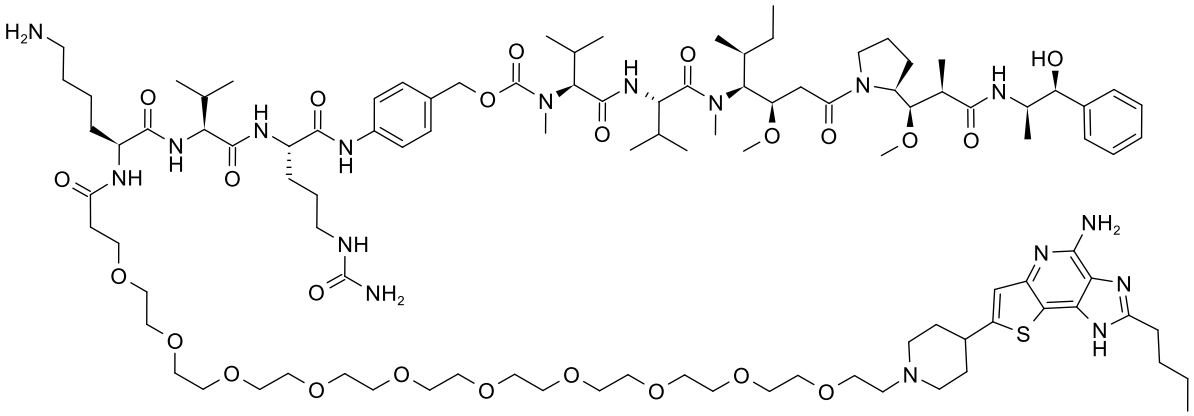
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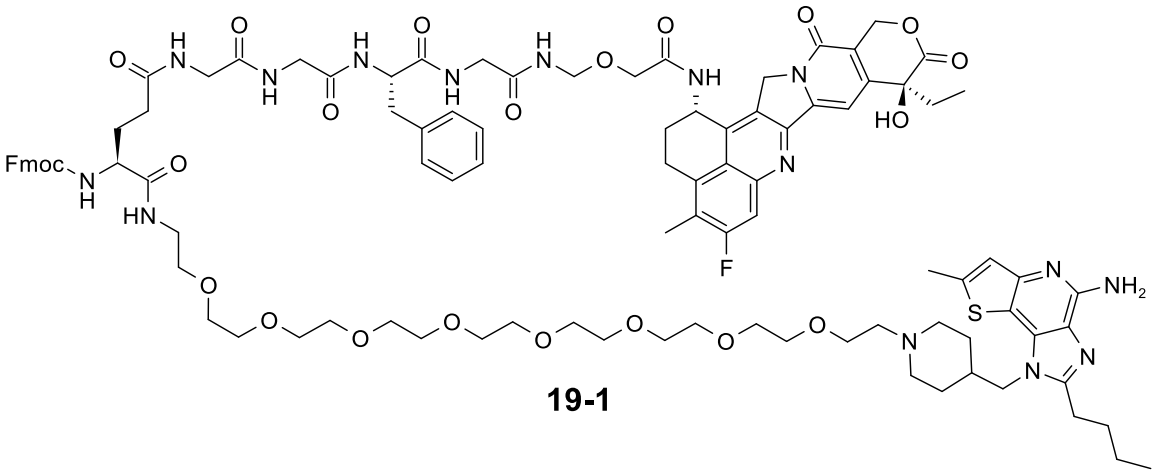
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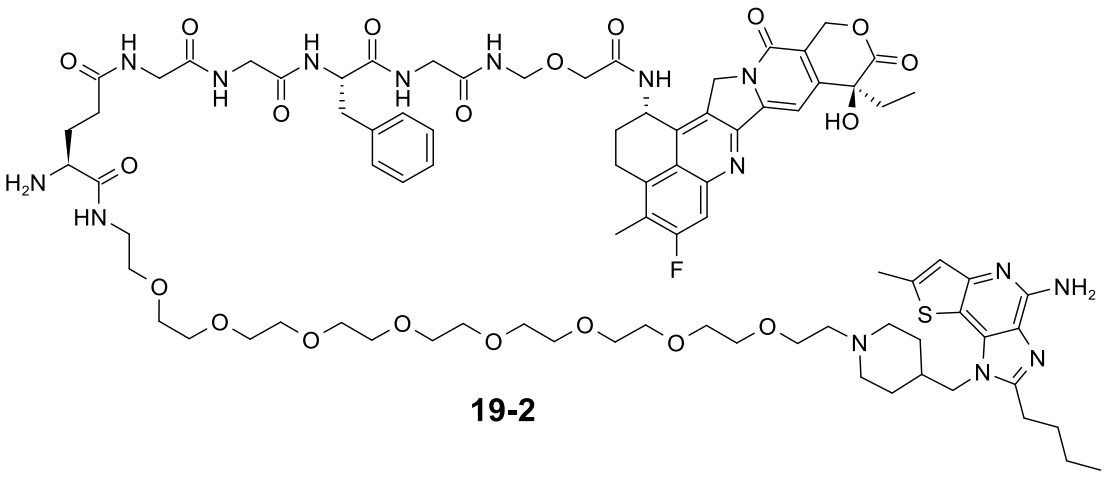
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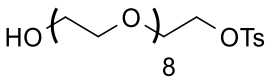
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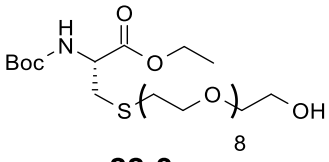
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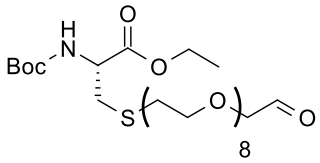
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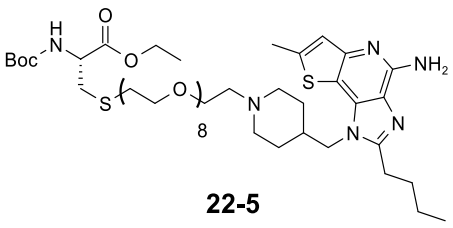
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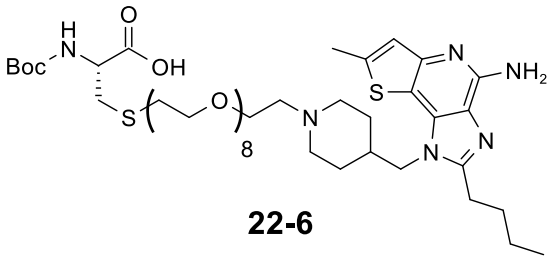
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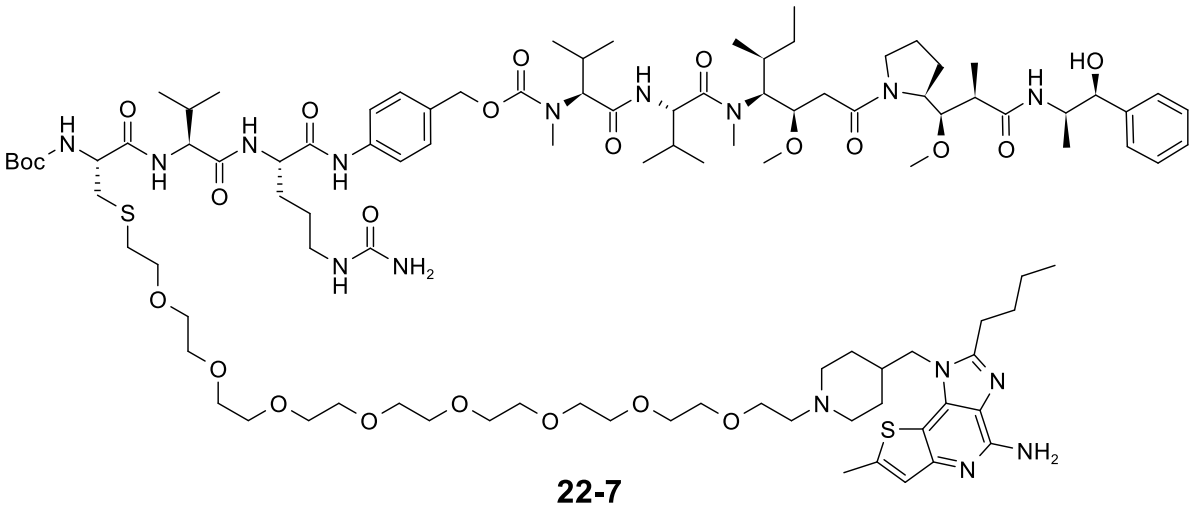
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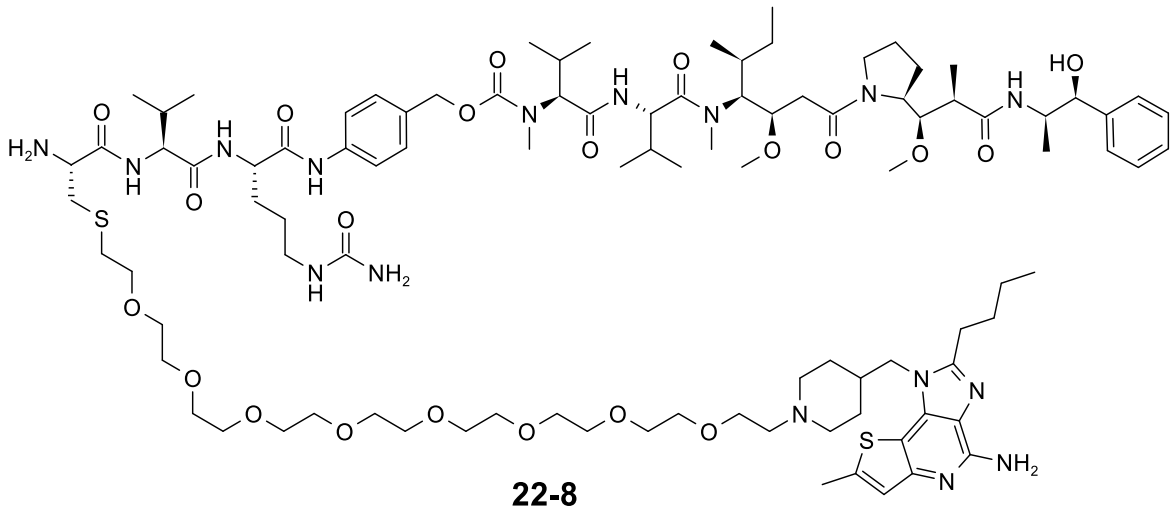
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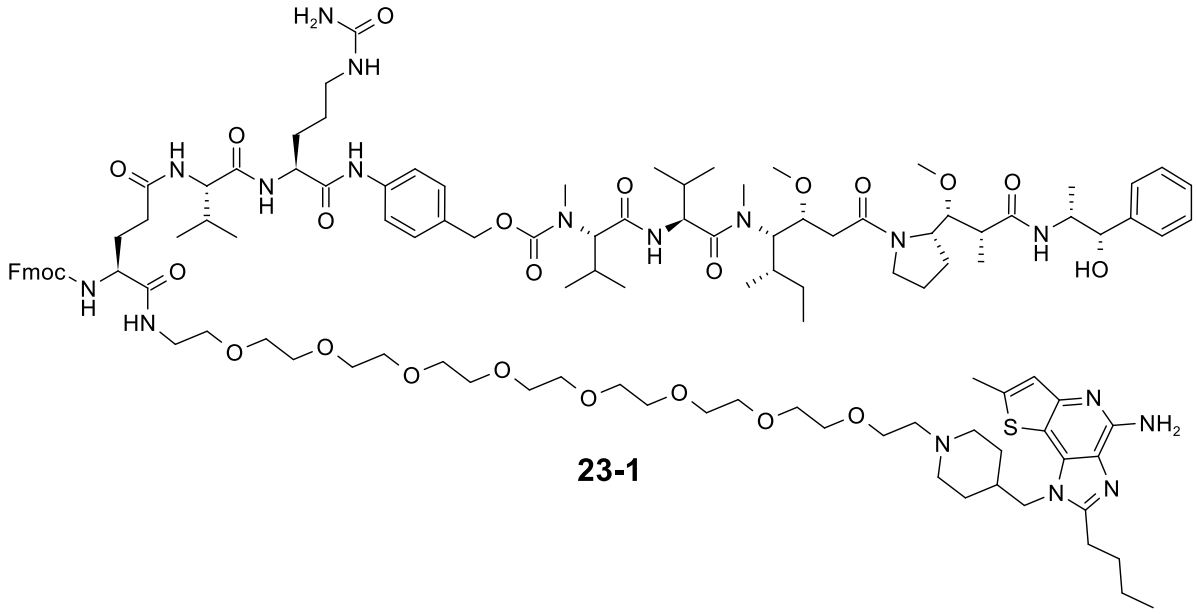
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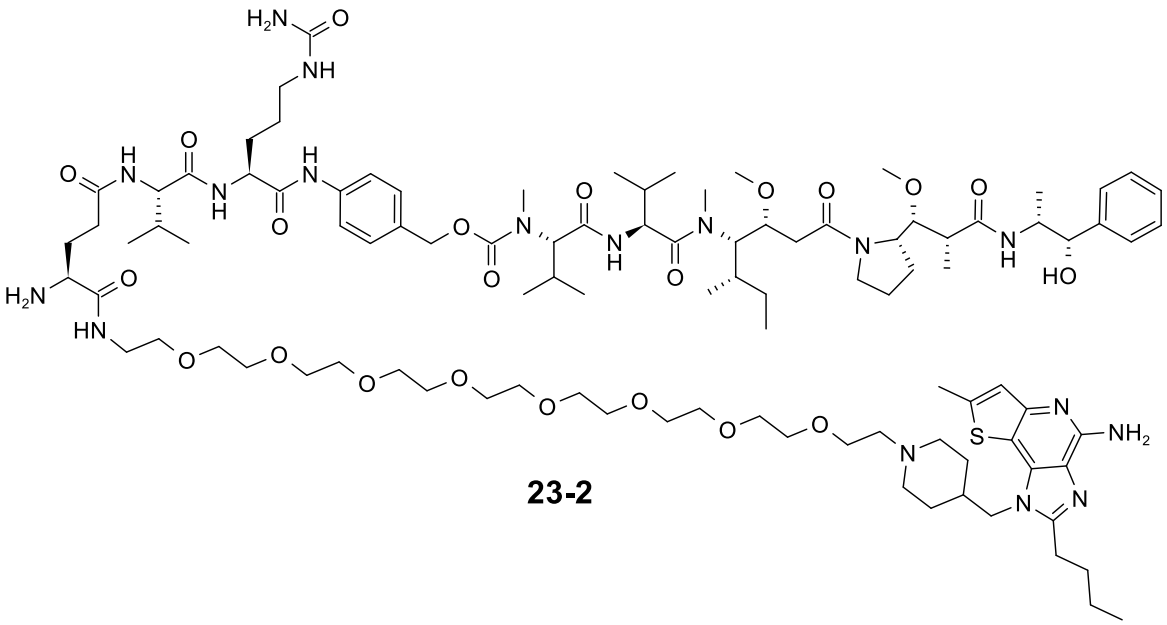
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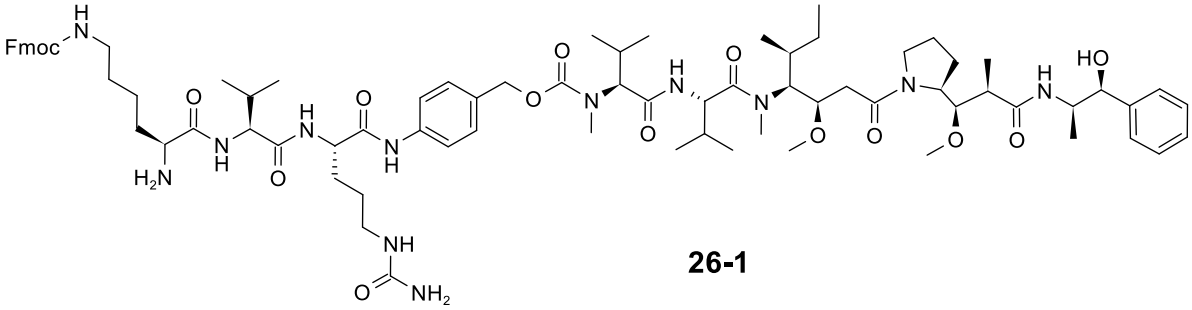
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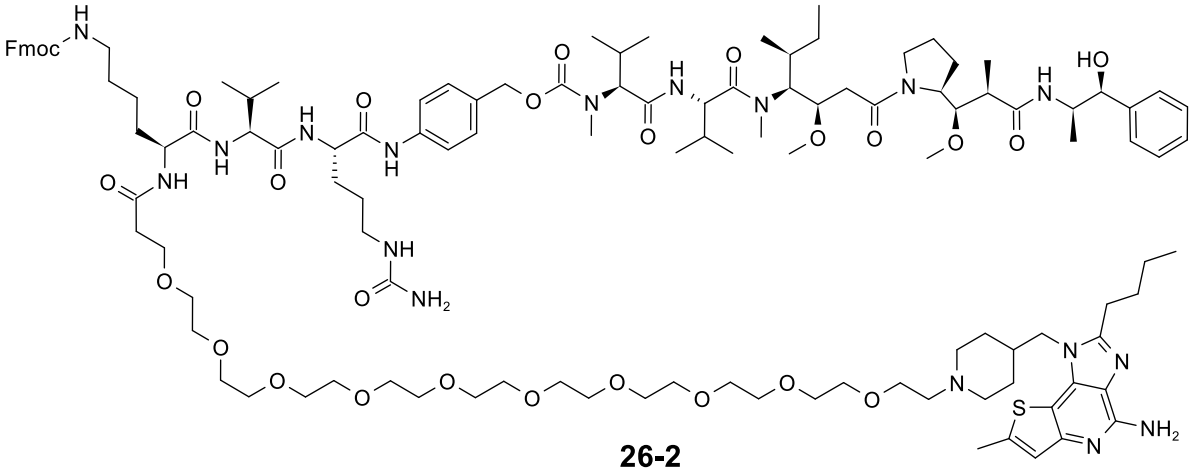
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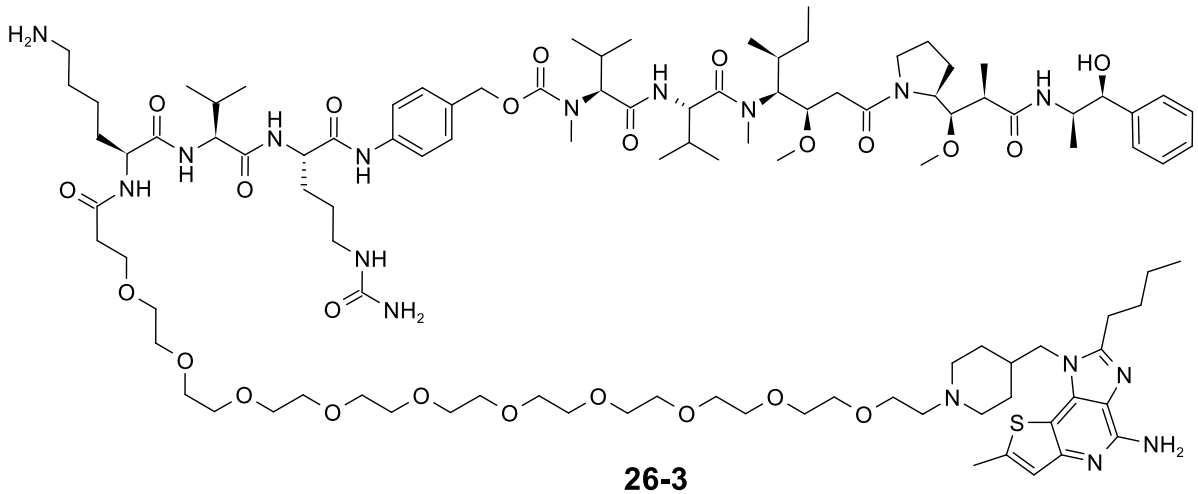
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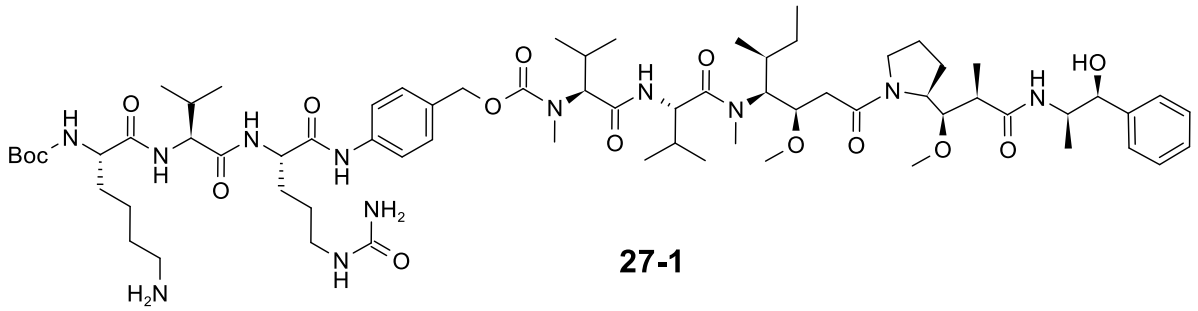
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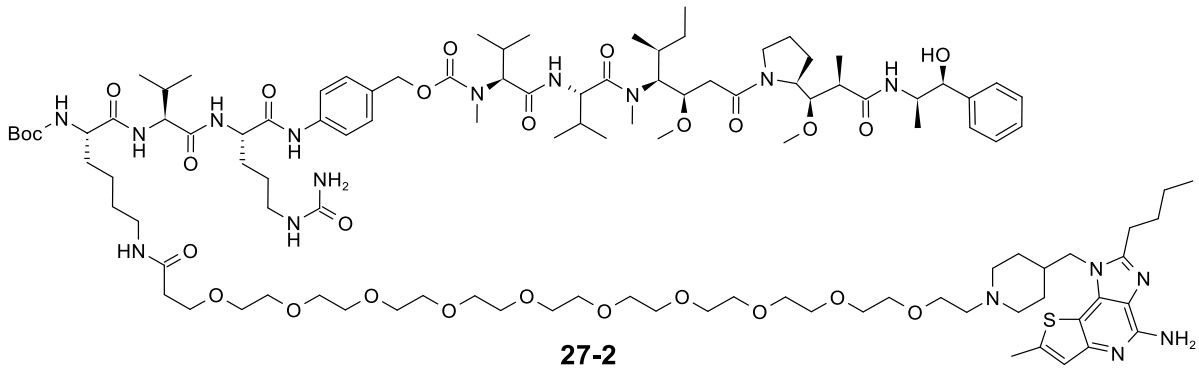
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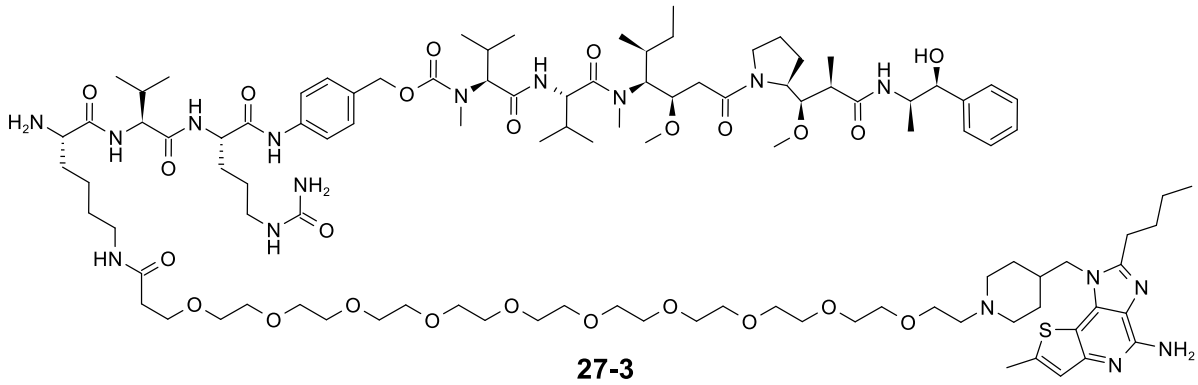
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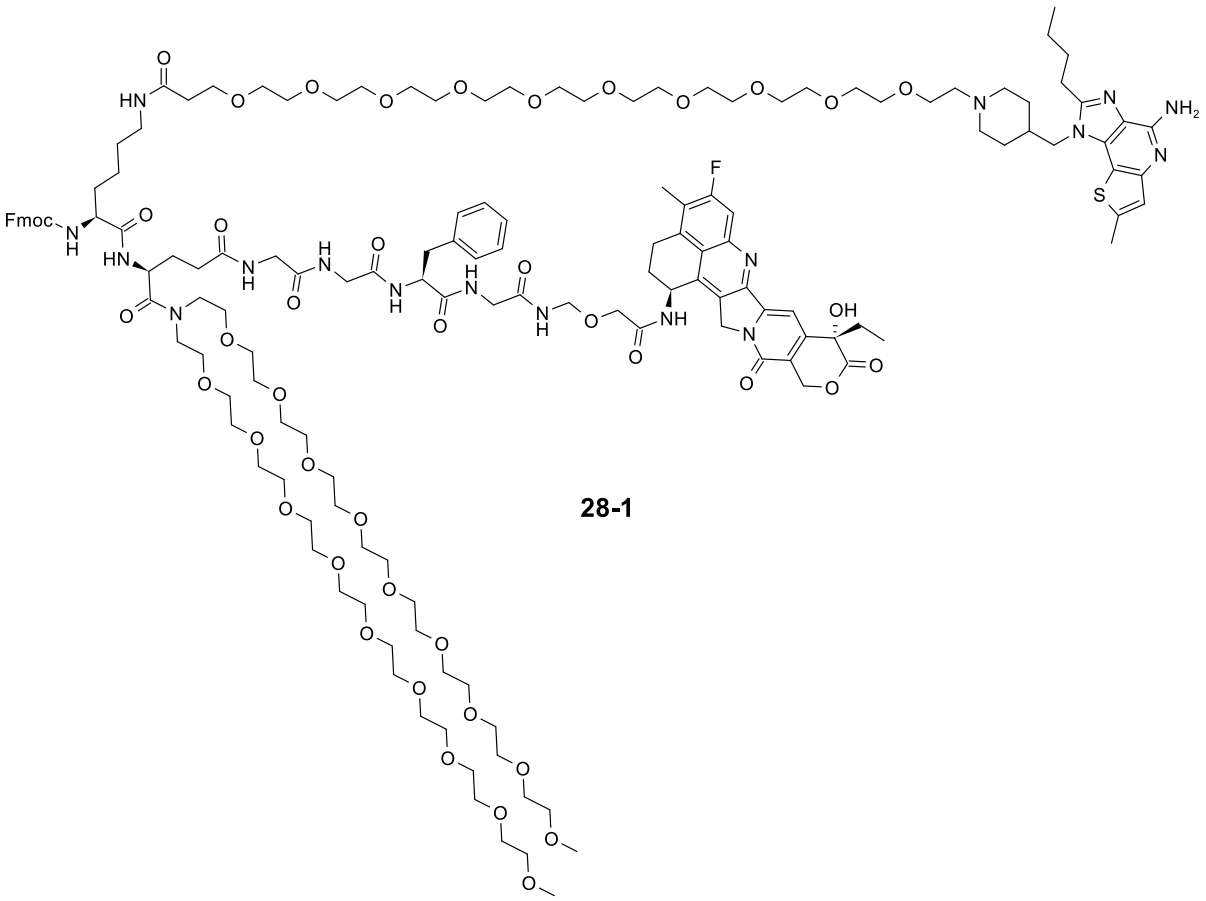
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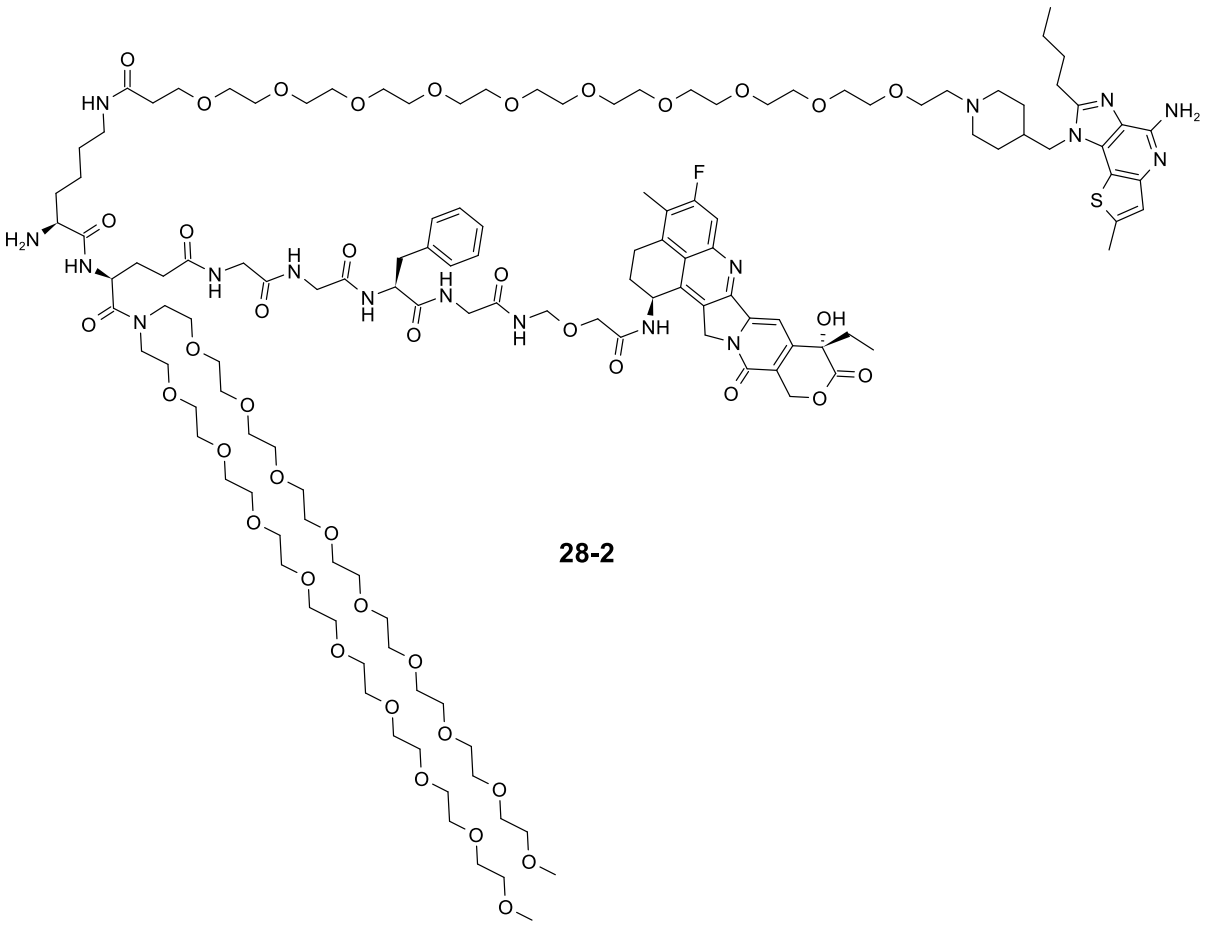
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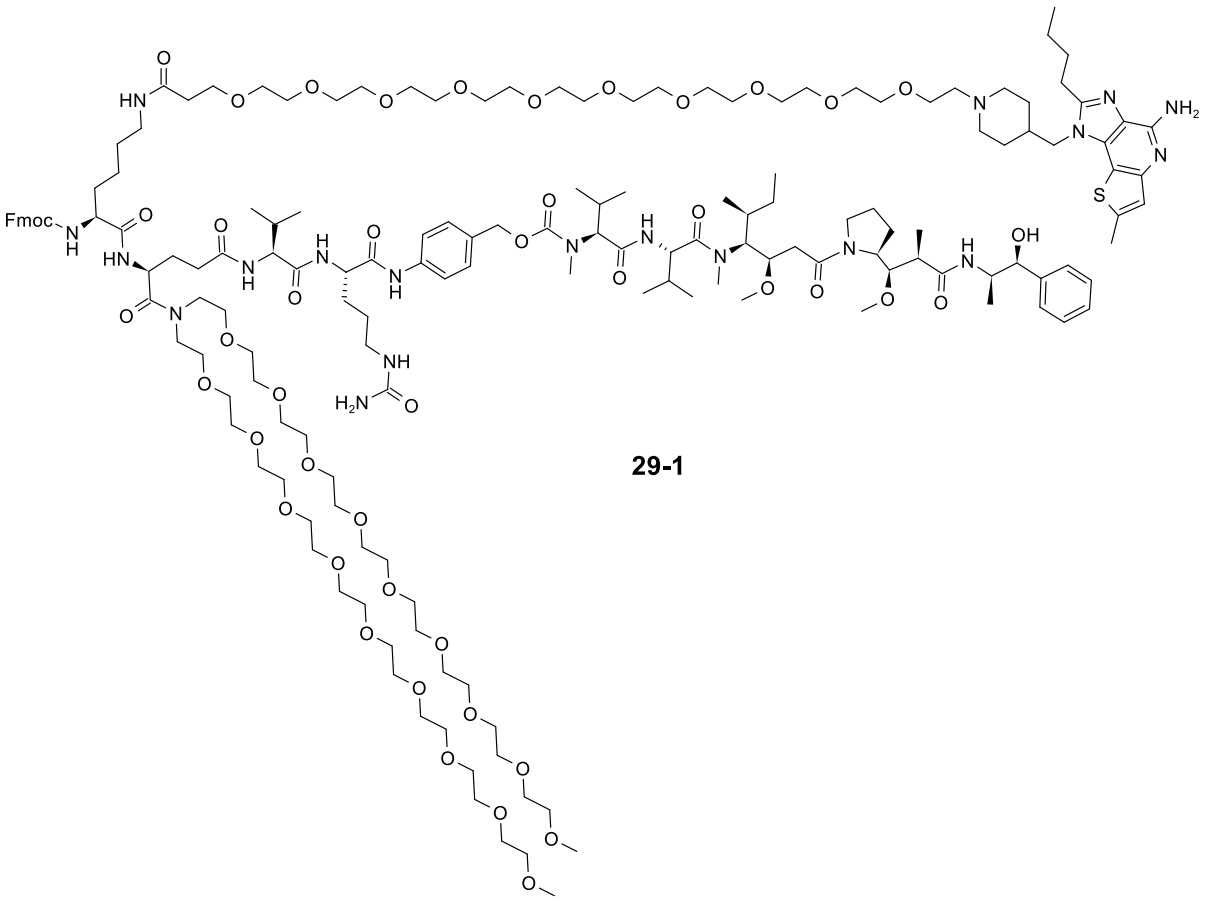
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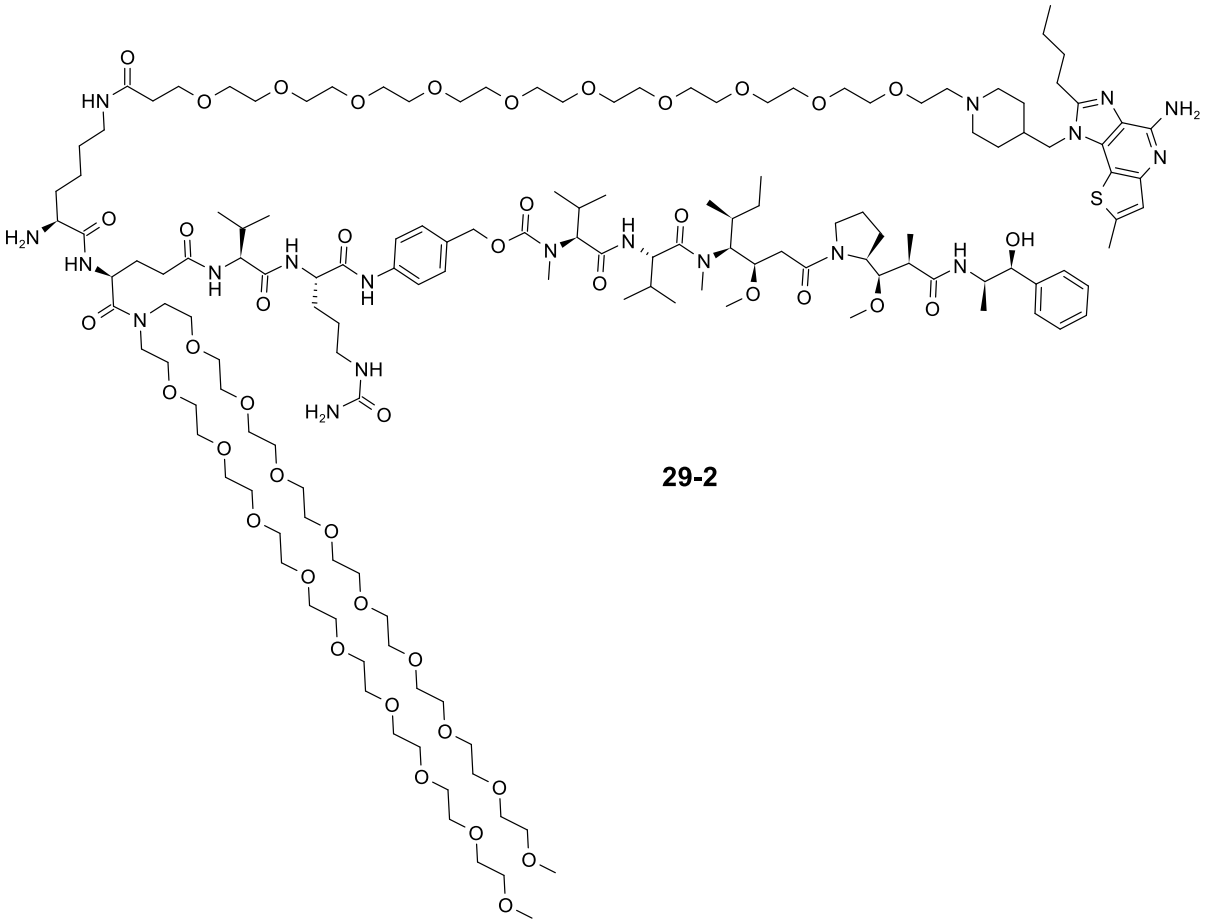
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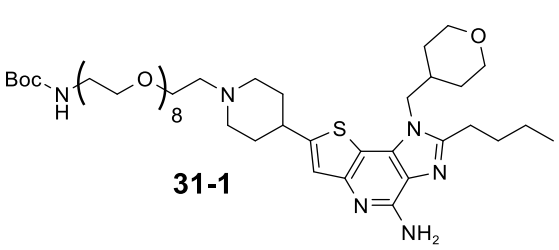
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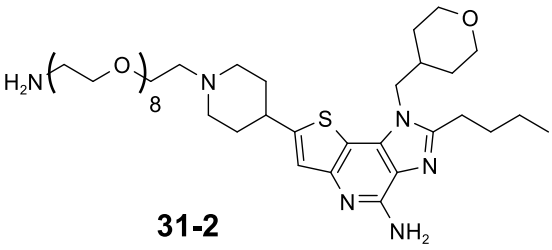
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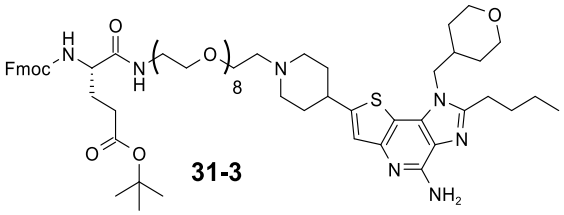
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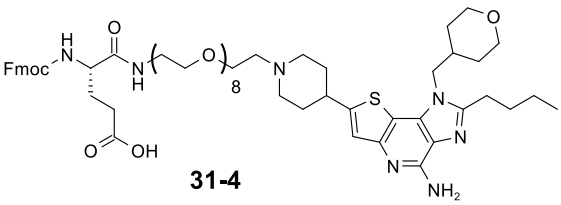
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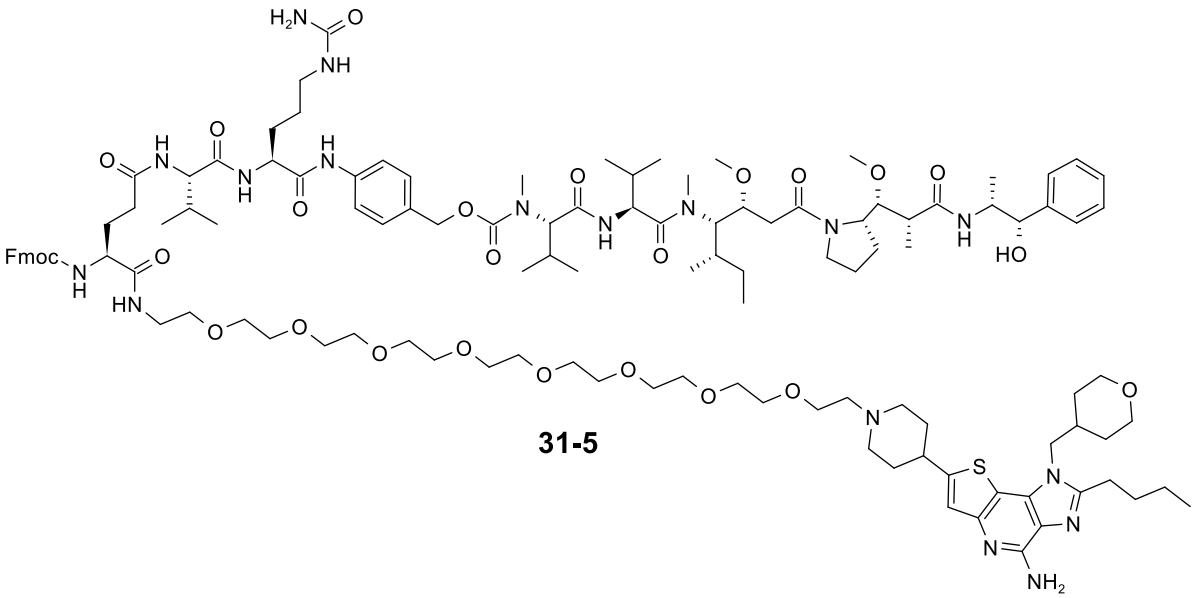
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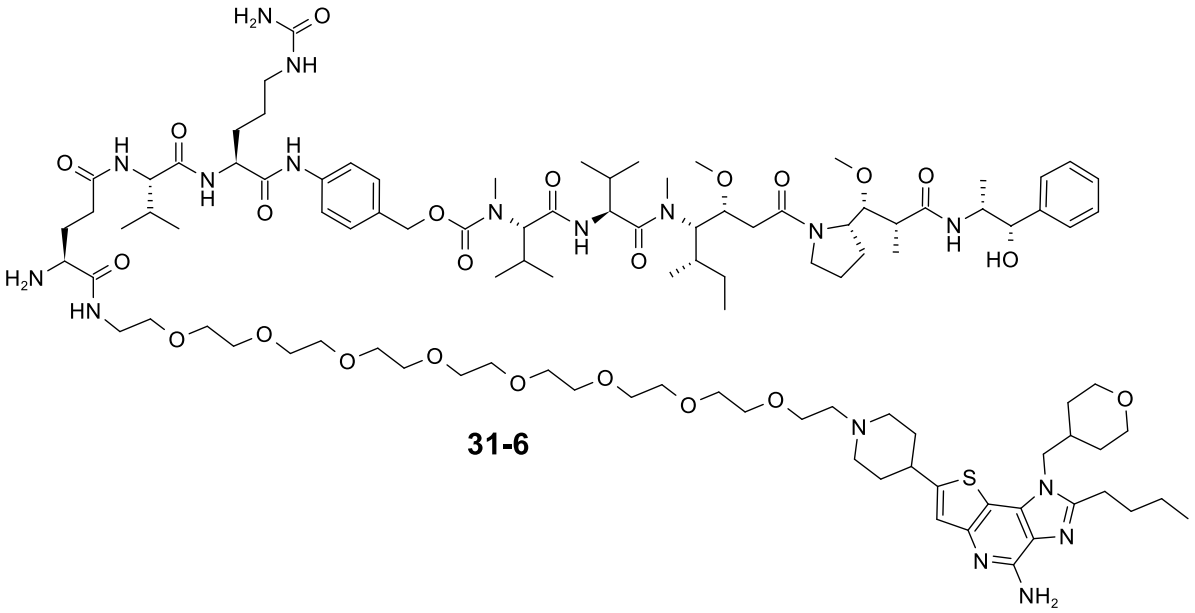
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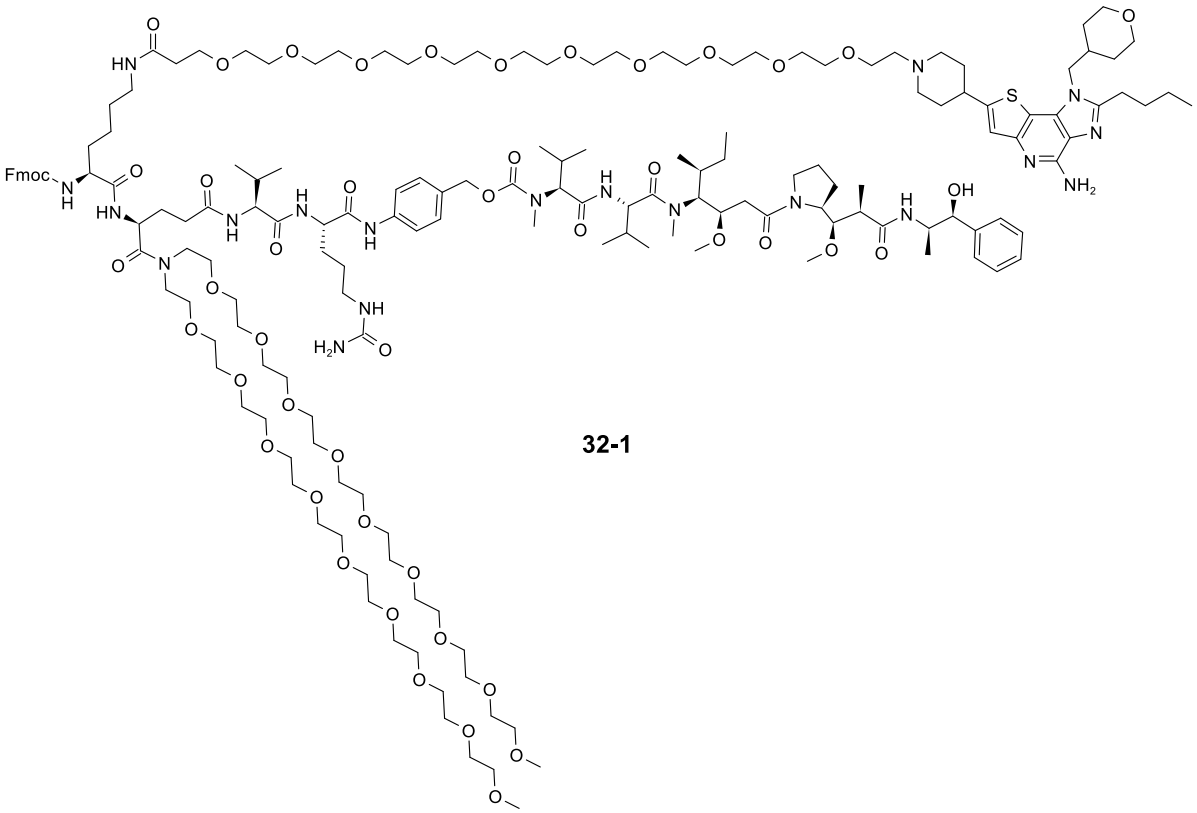
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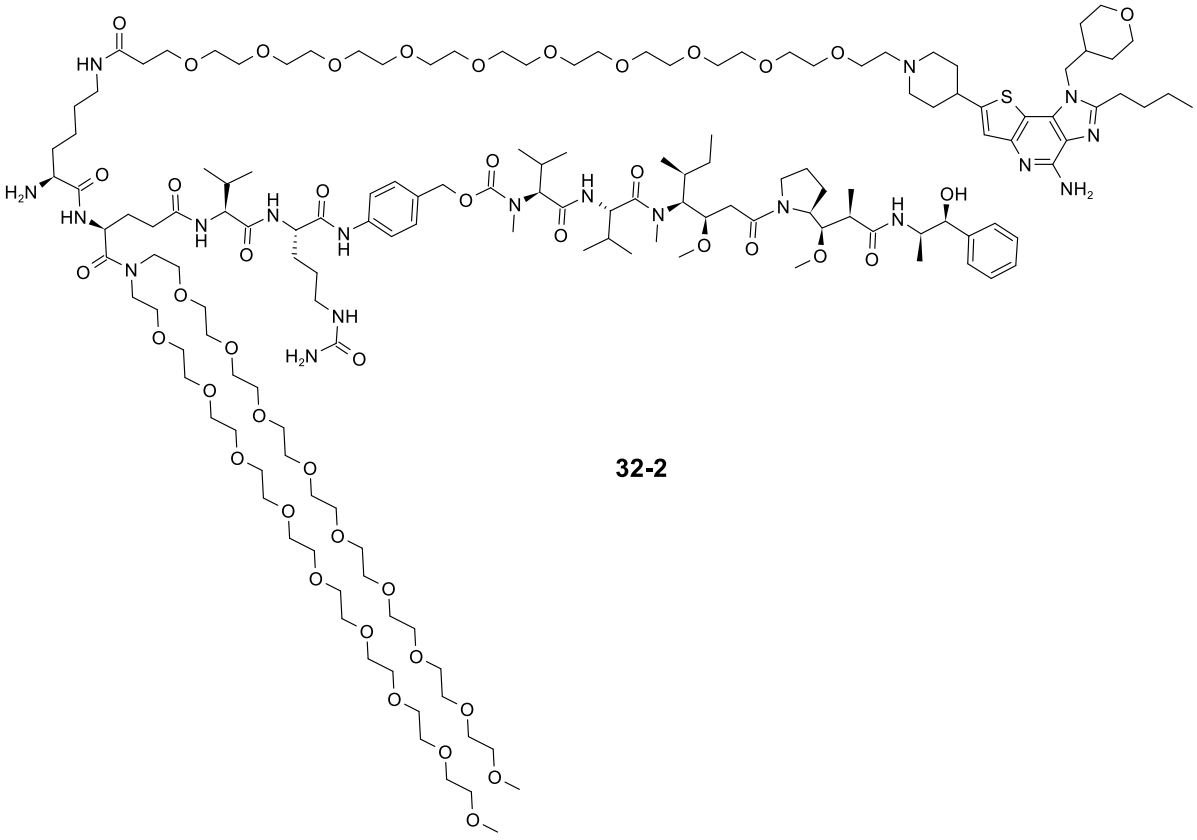
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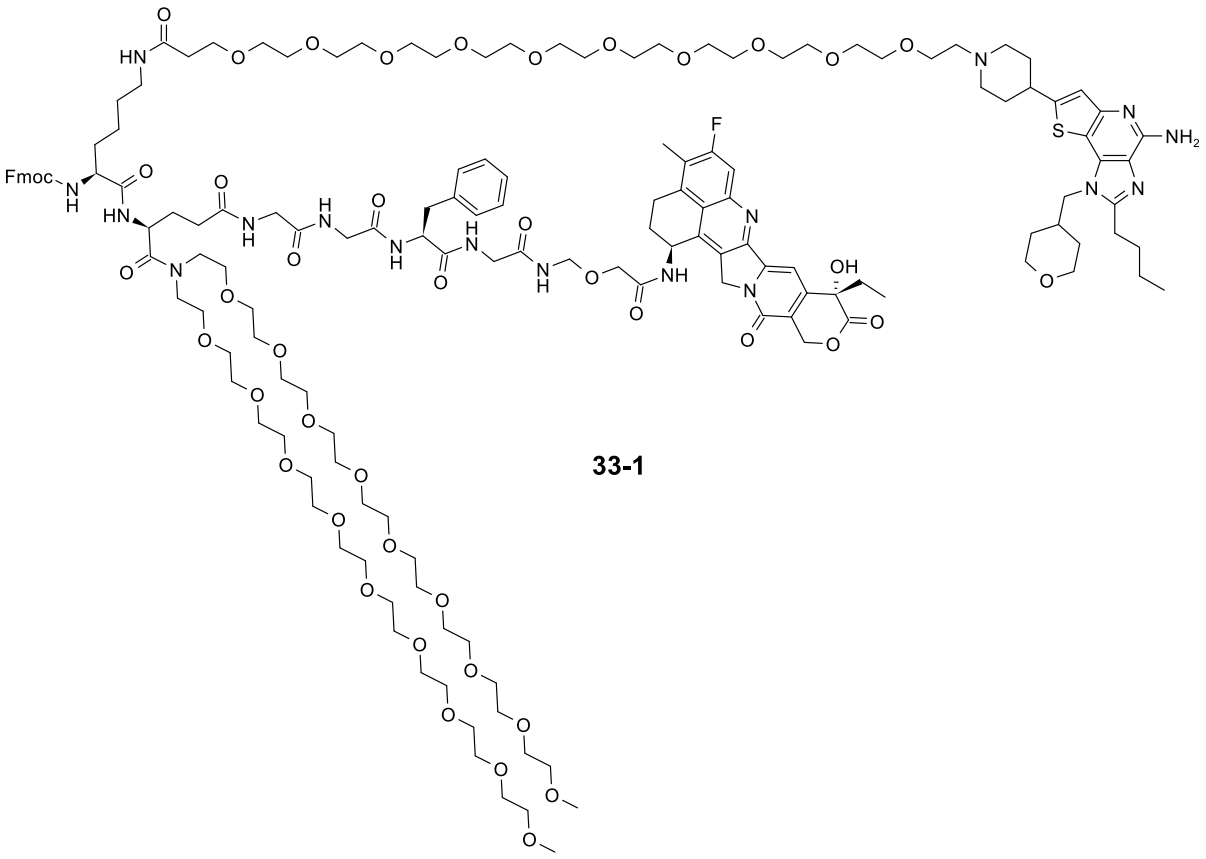
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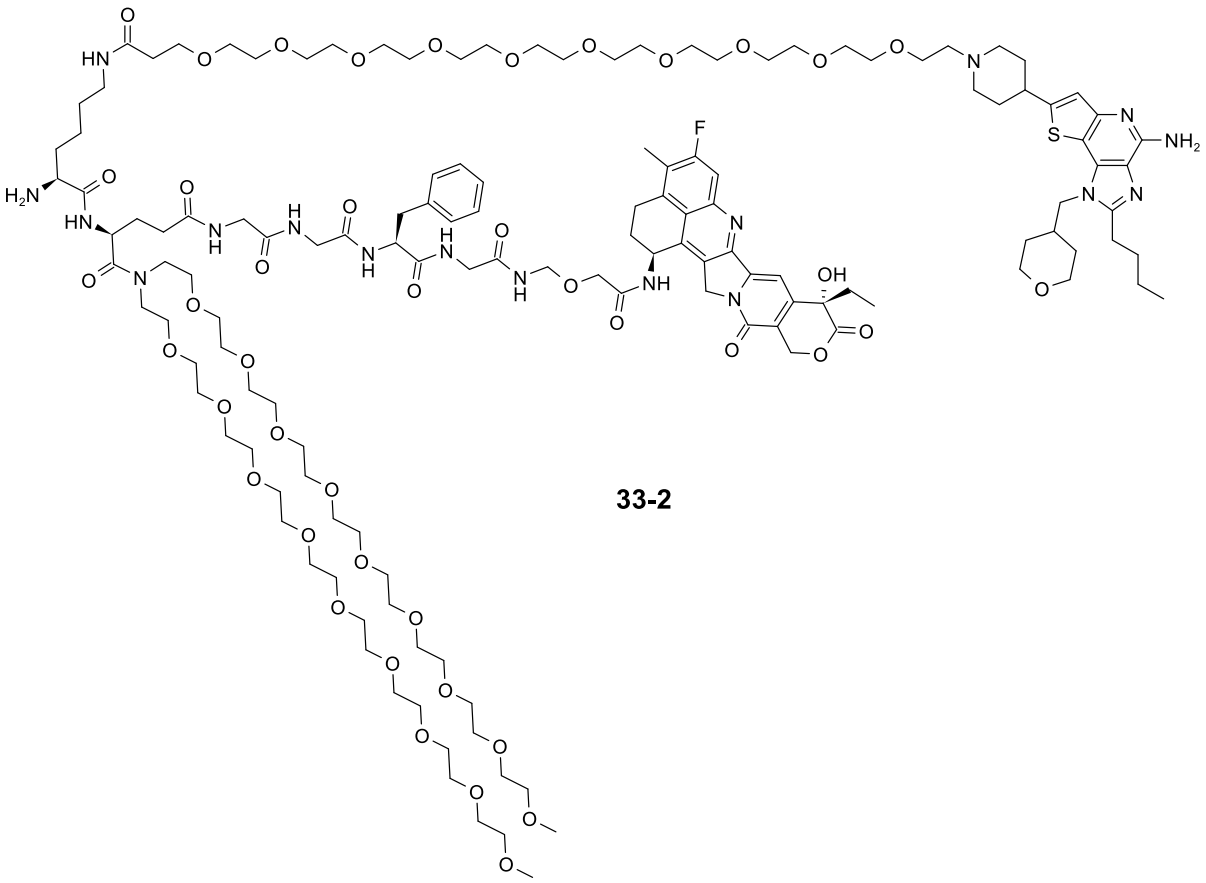
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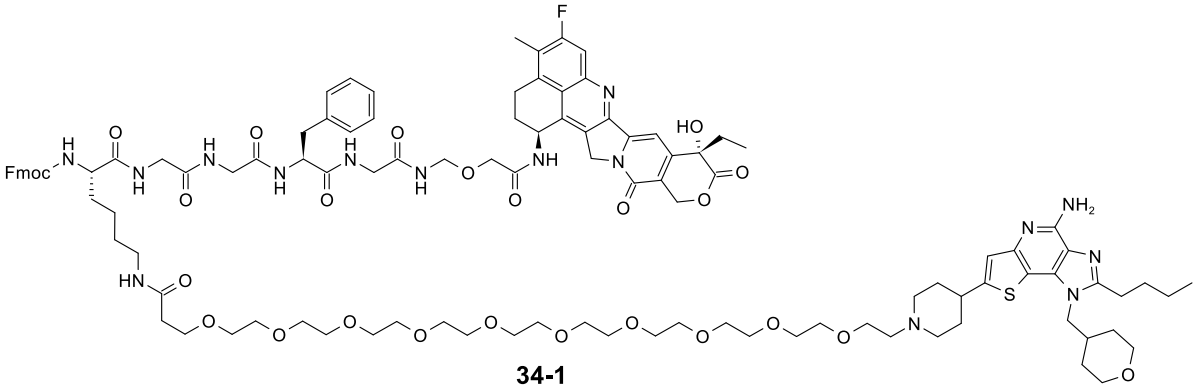
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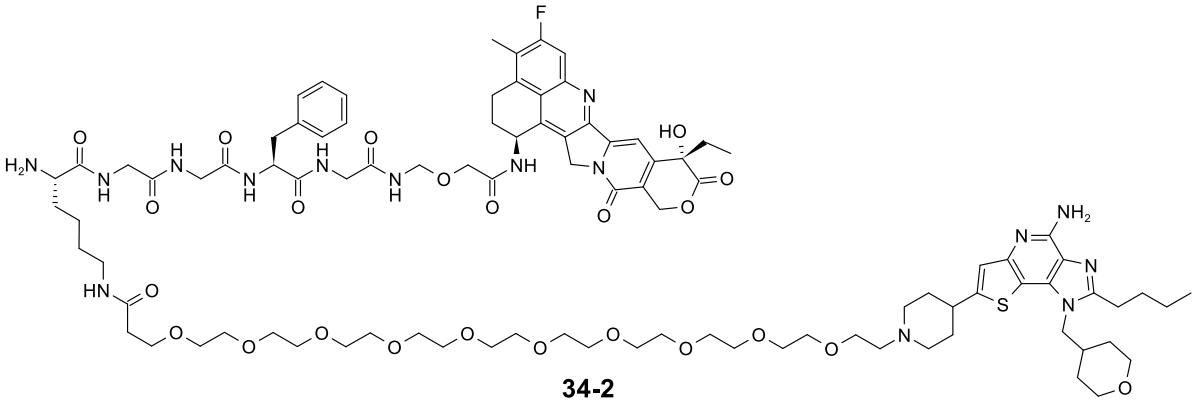
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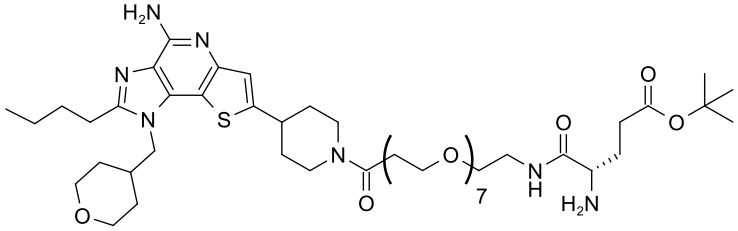
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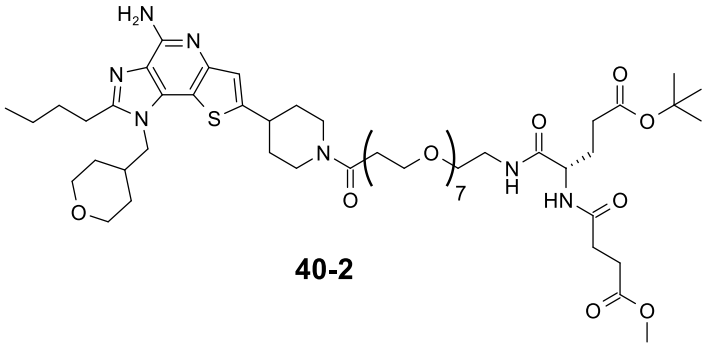
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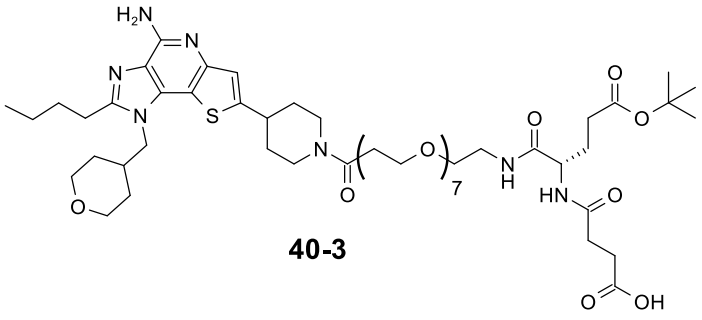
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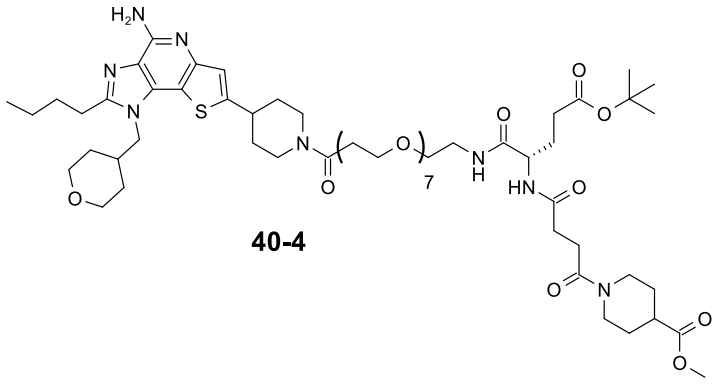
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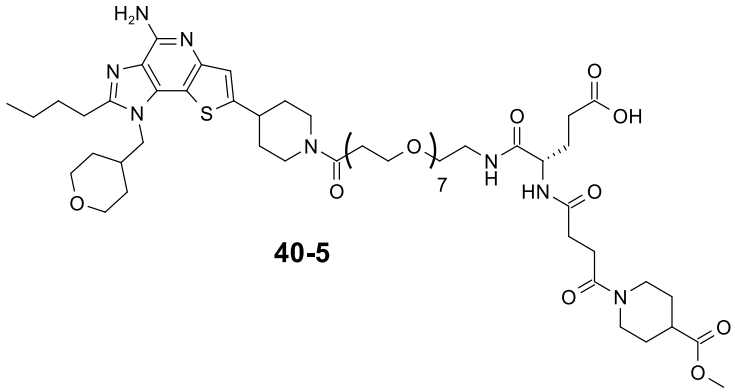
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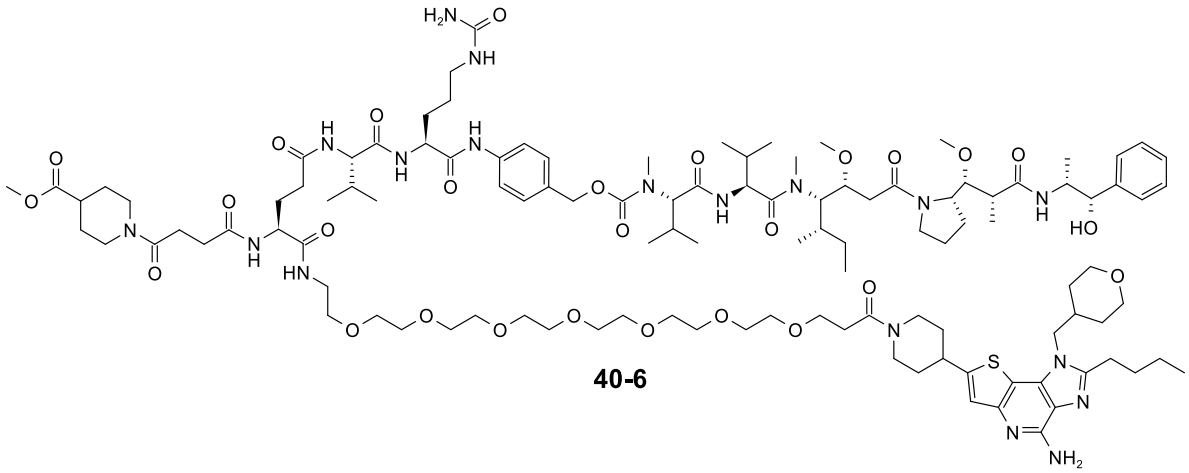
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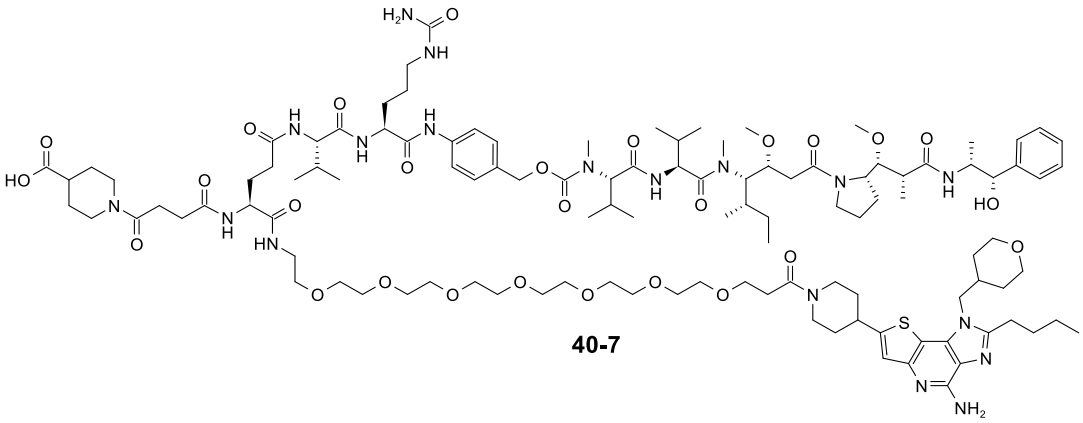
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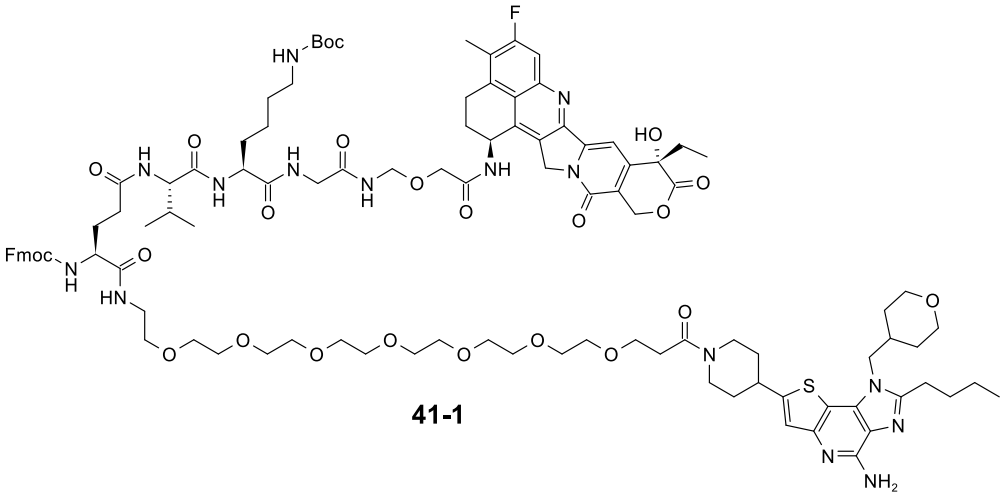
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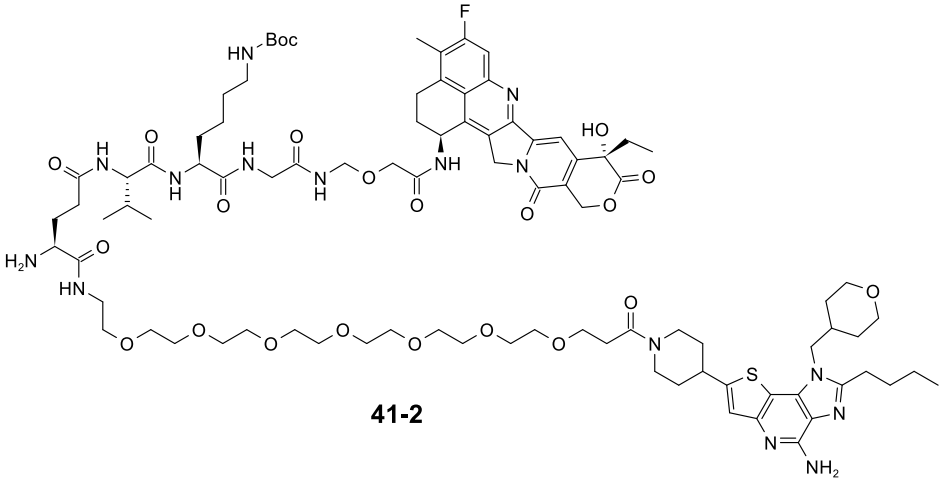
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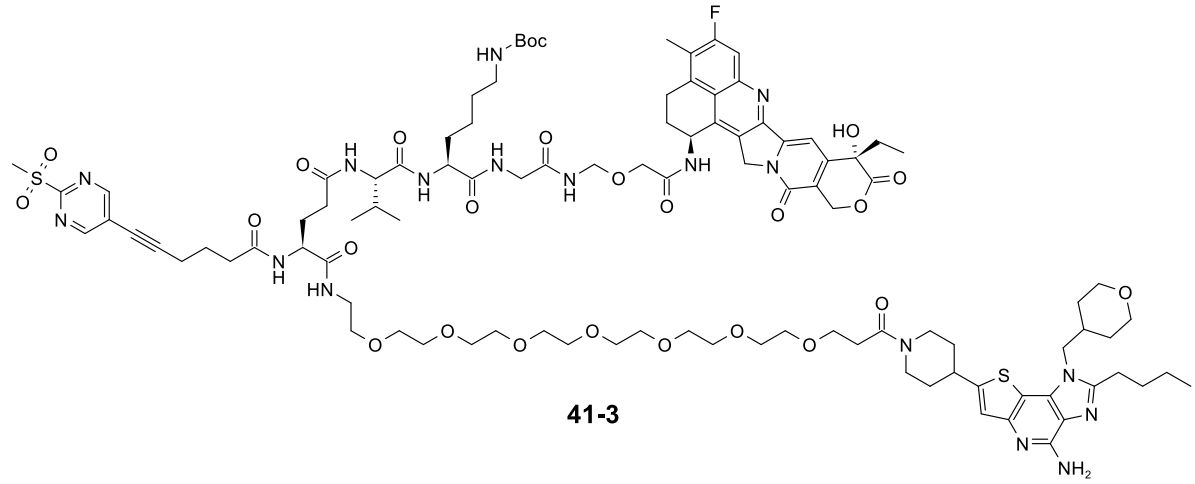
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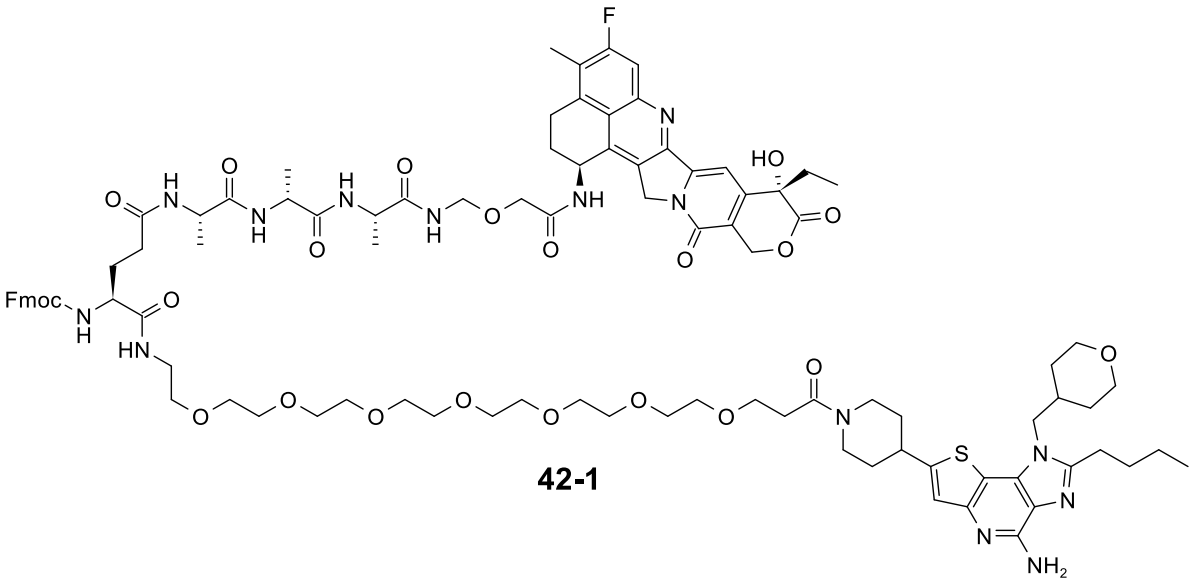
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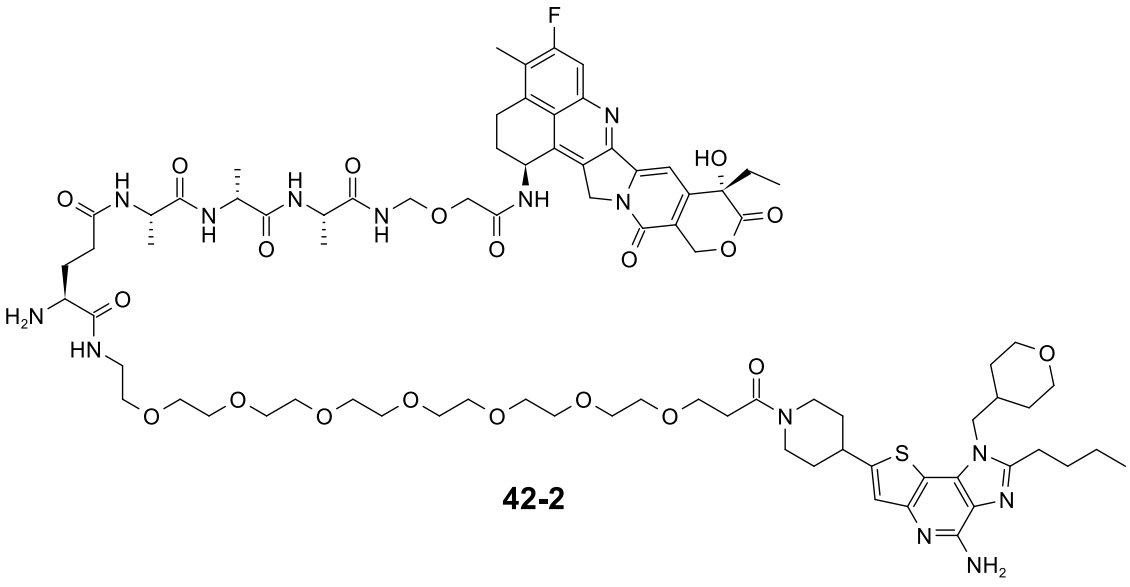
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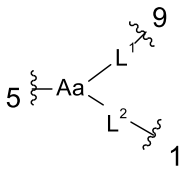
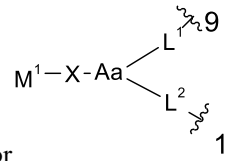
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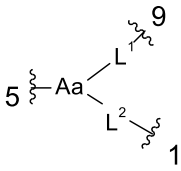


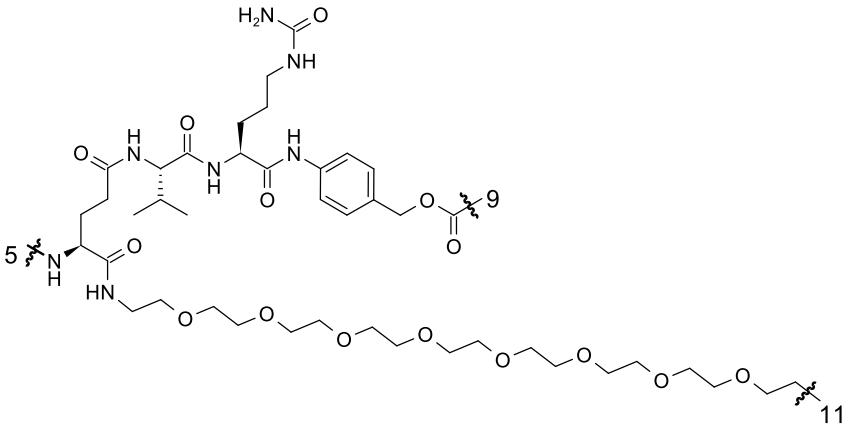
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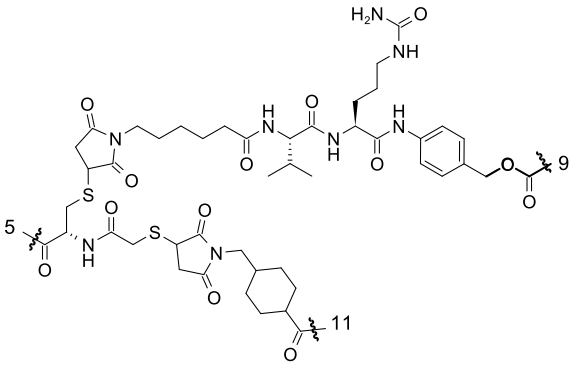


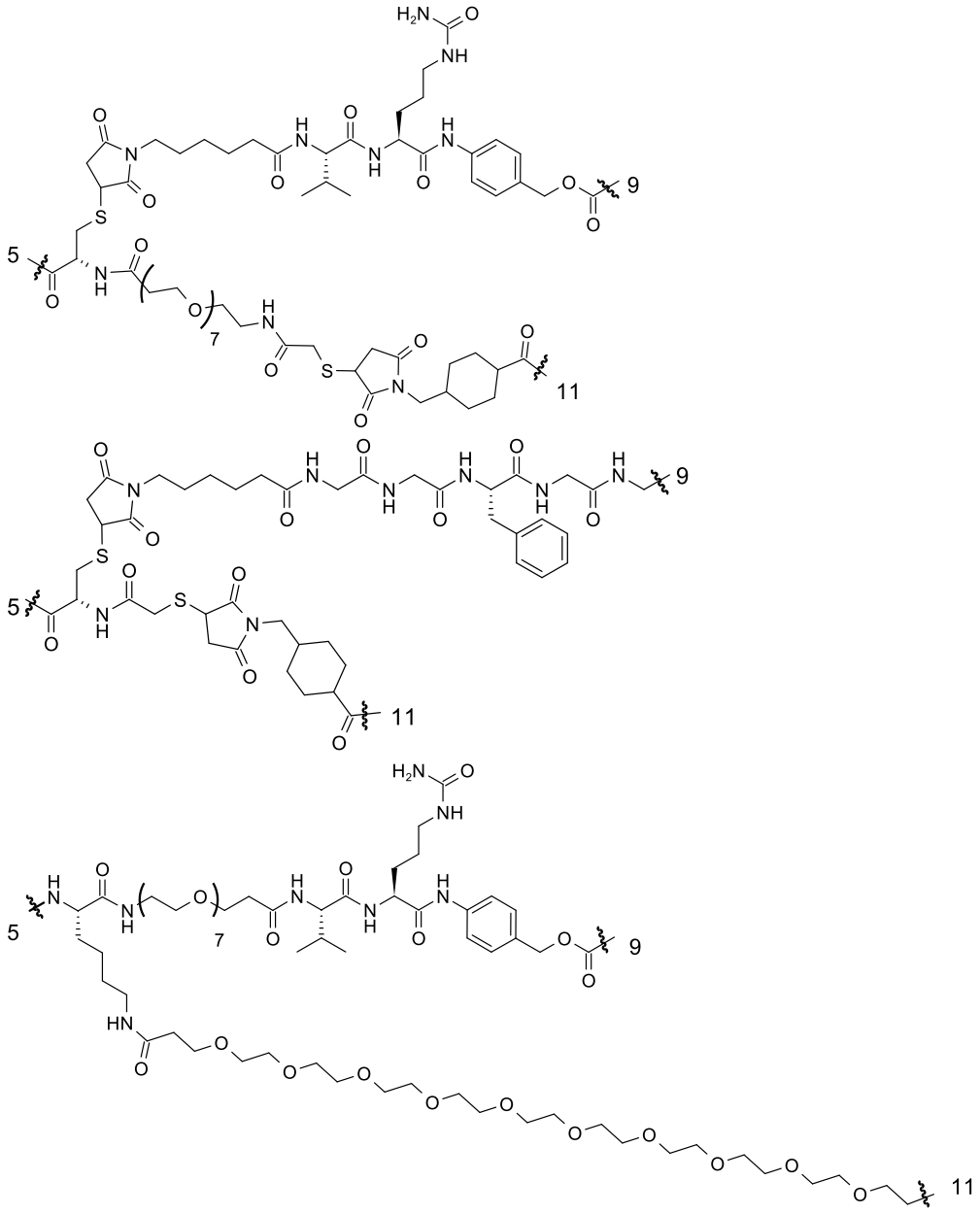
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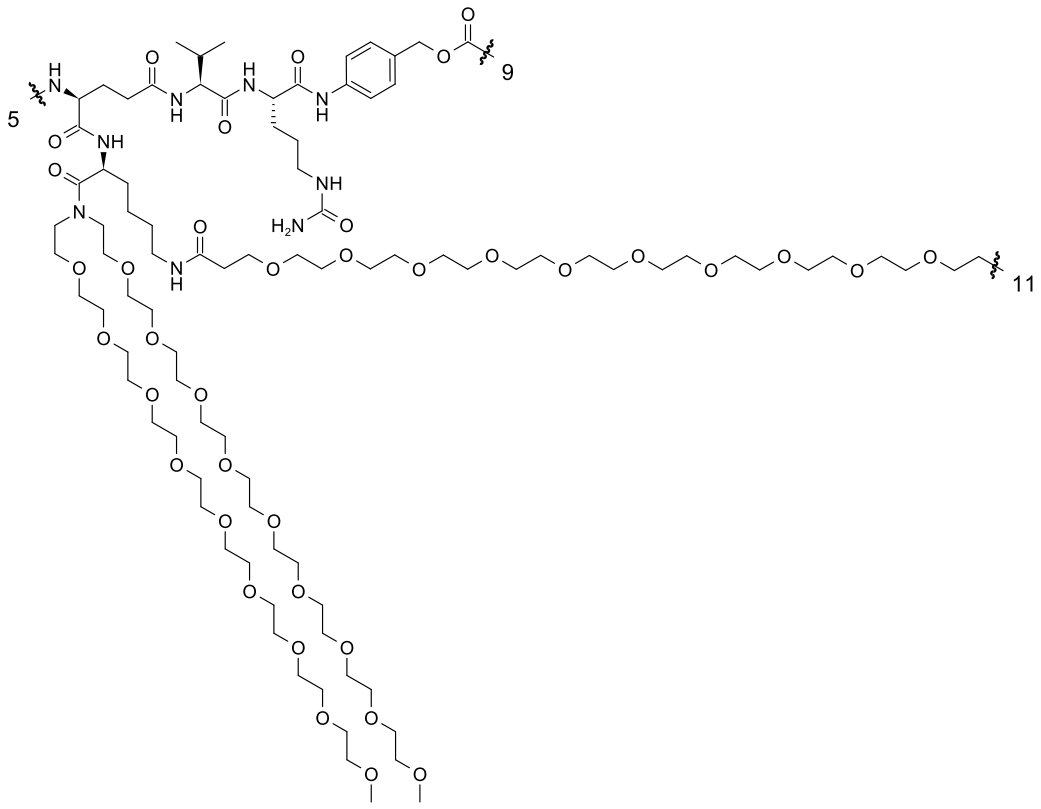
39. A linker, which is a compound of the formula  or ,

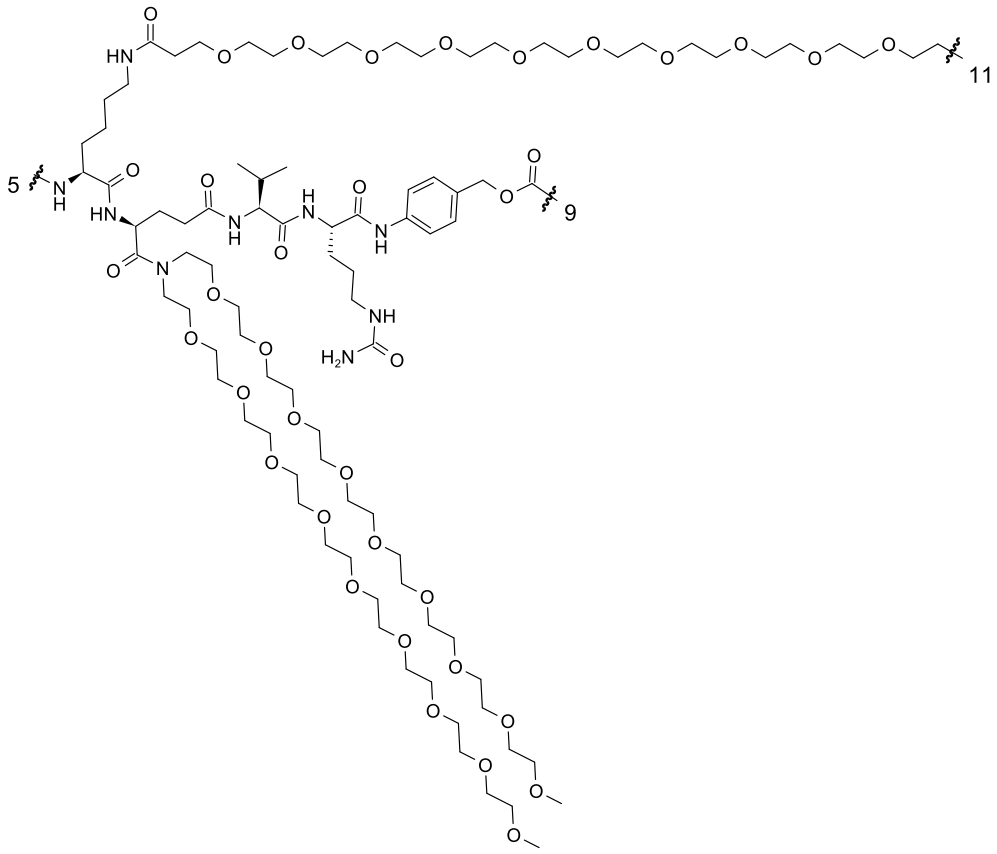
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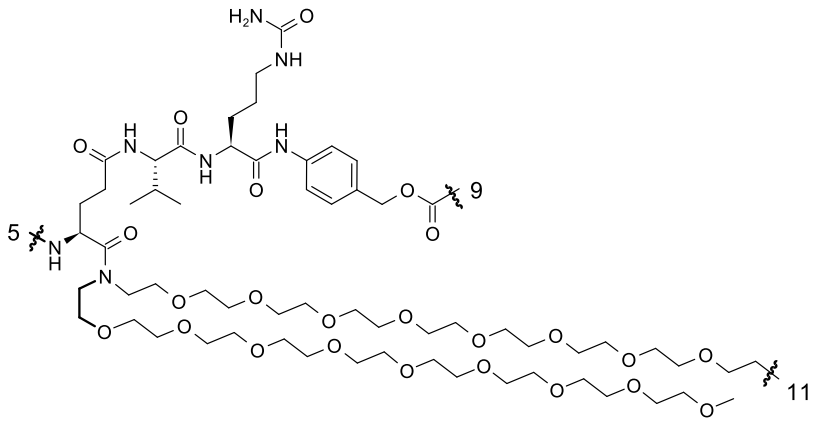


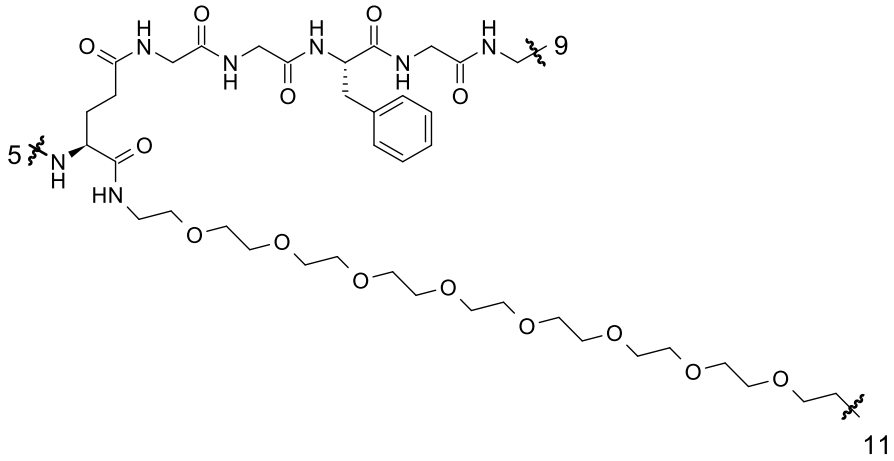


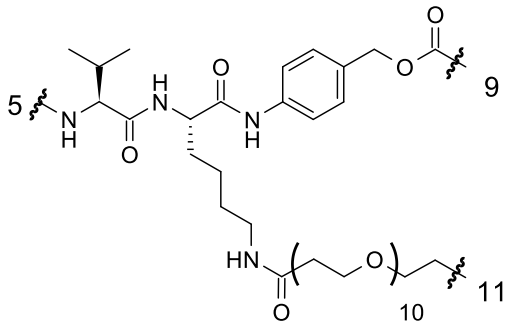


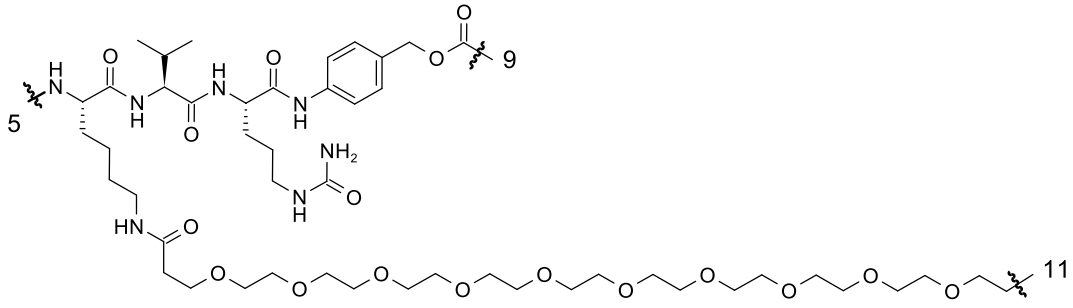


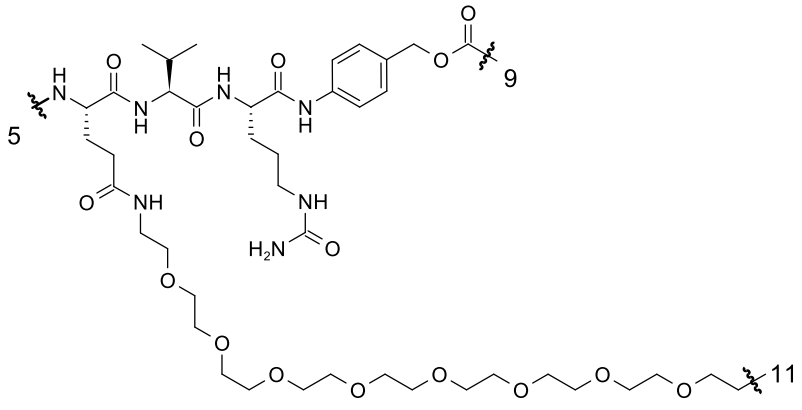


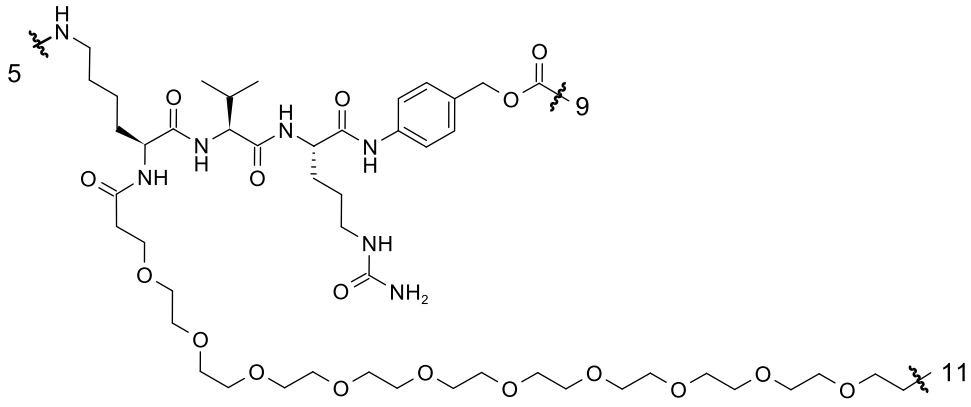


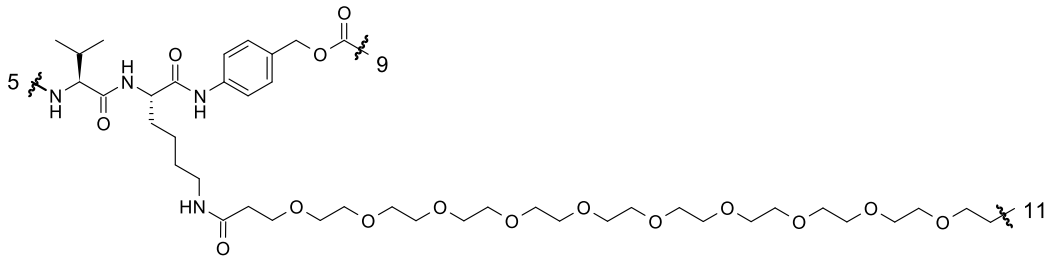


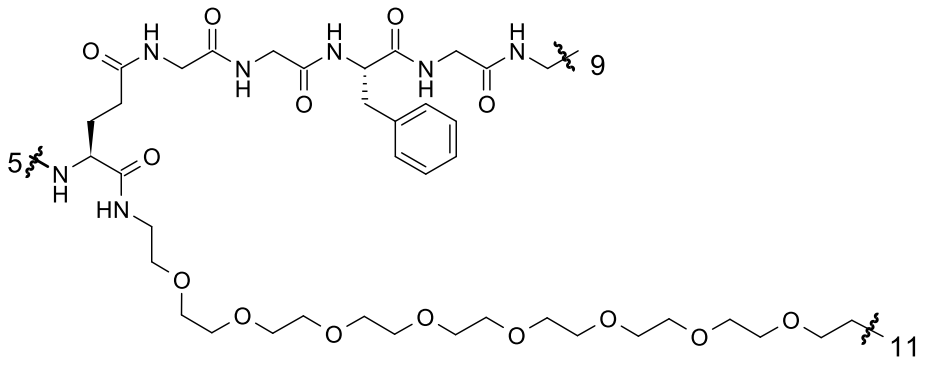


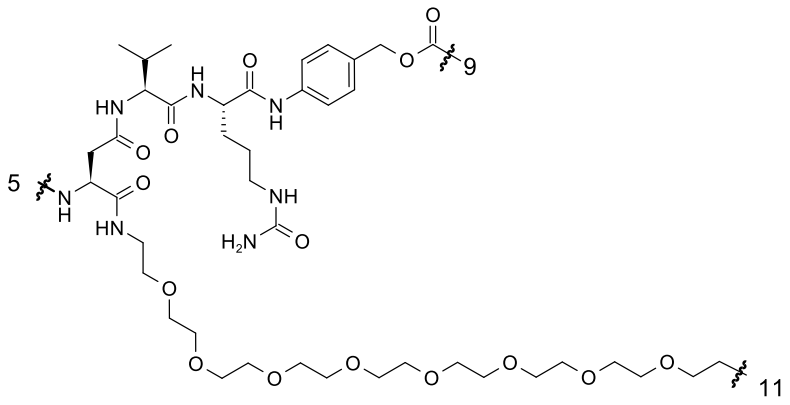


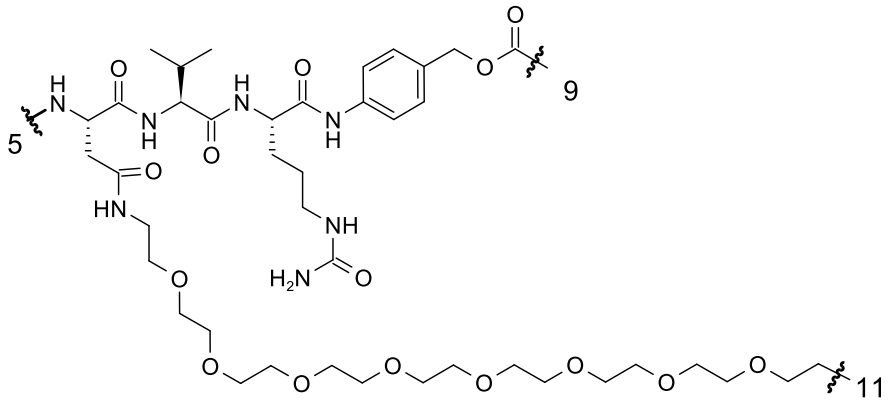


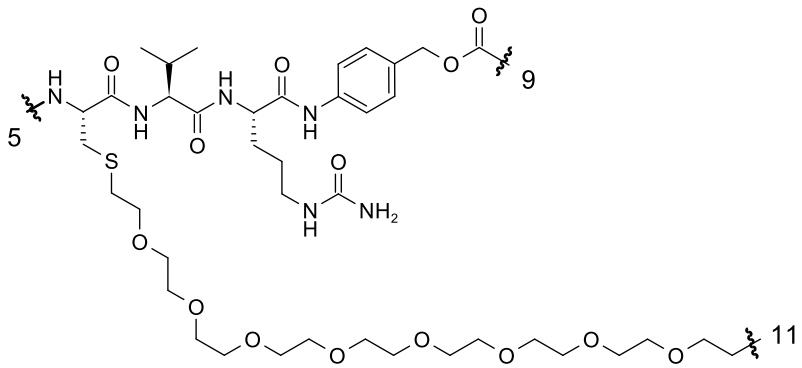


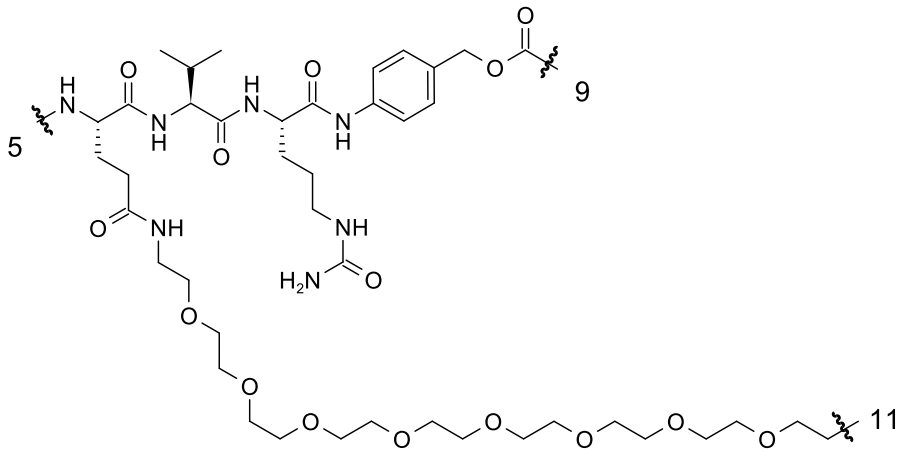


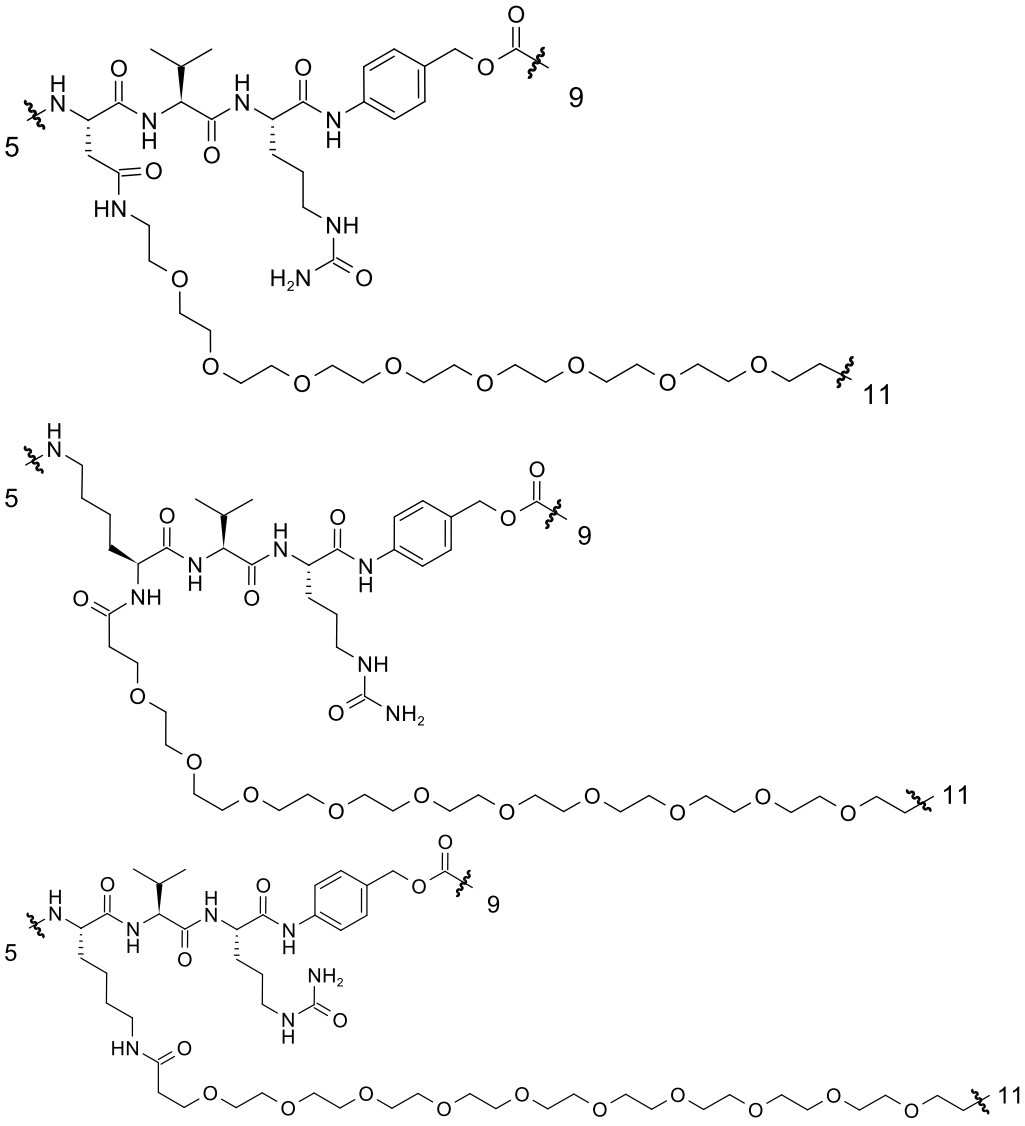


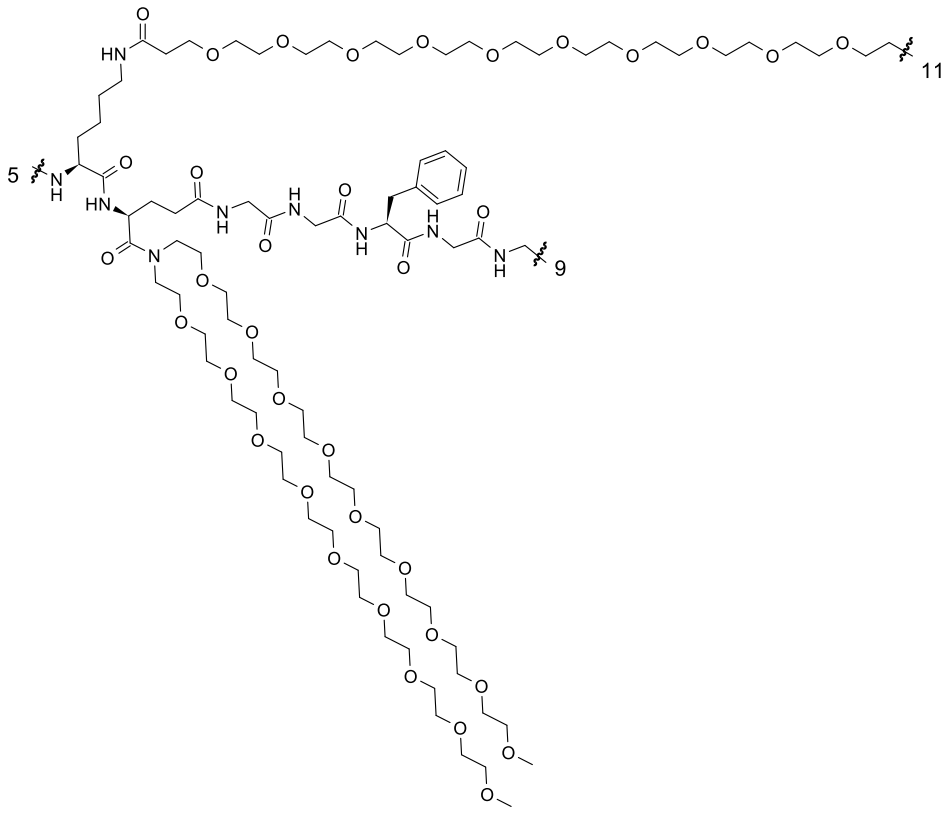


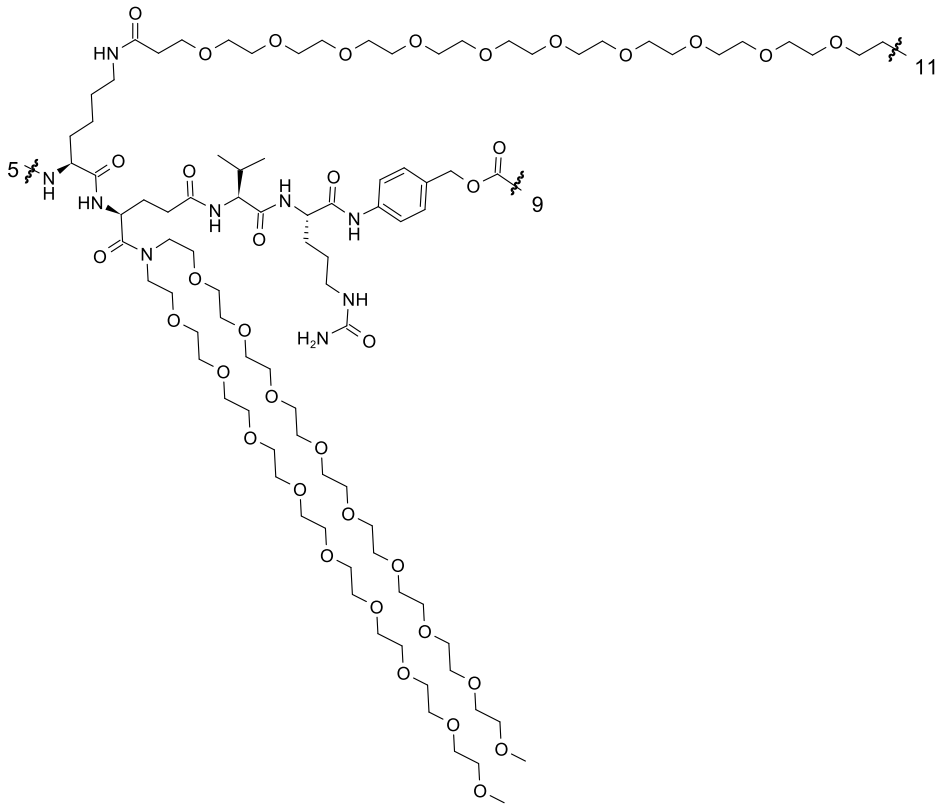


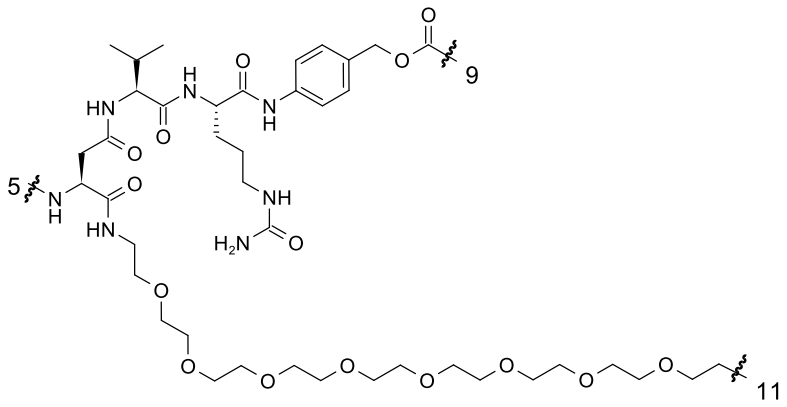


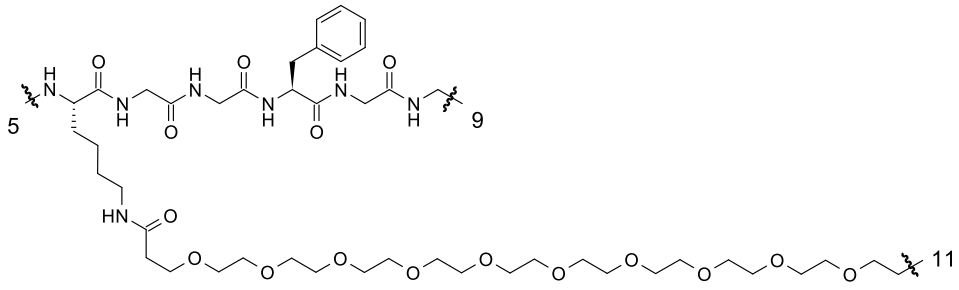


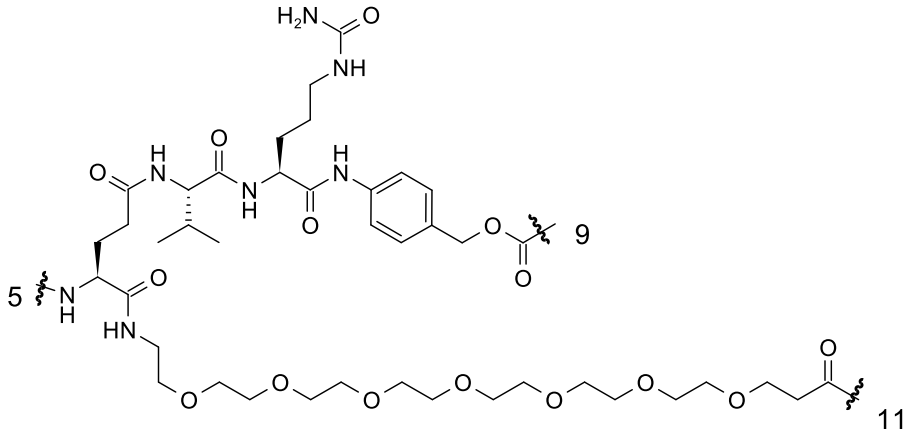


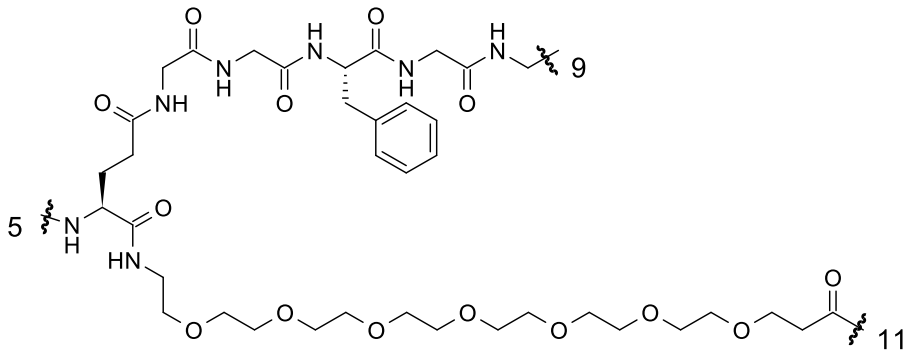


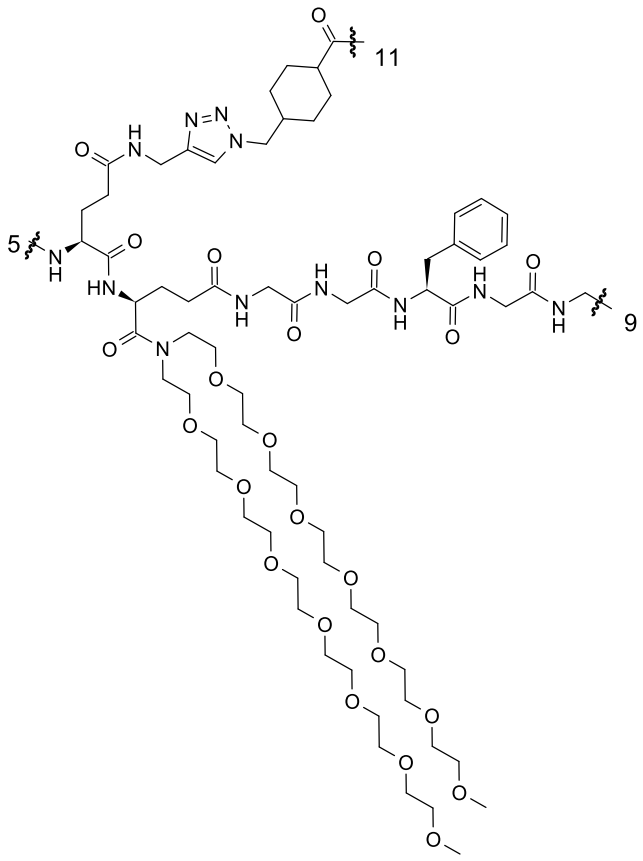


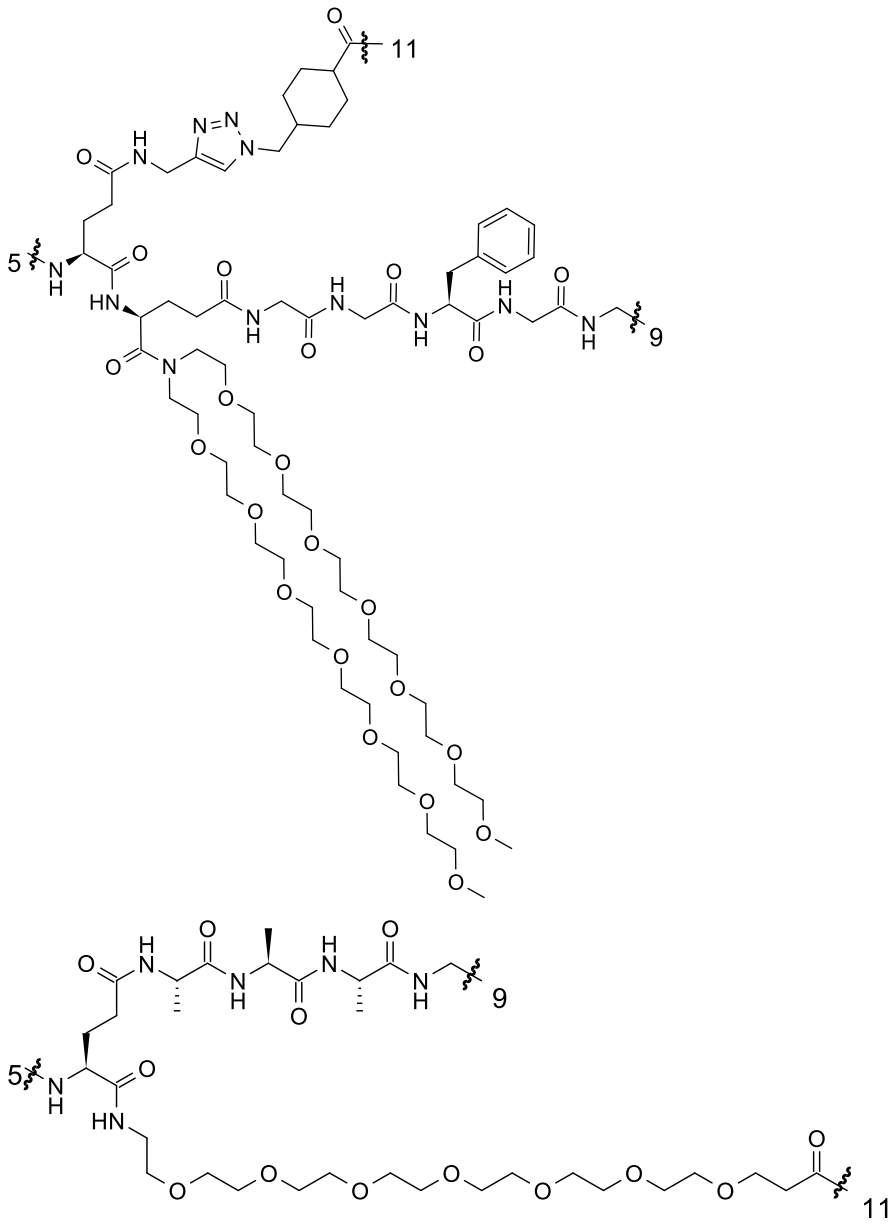


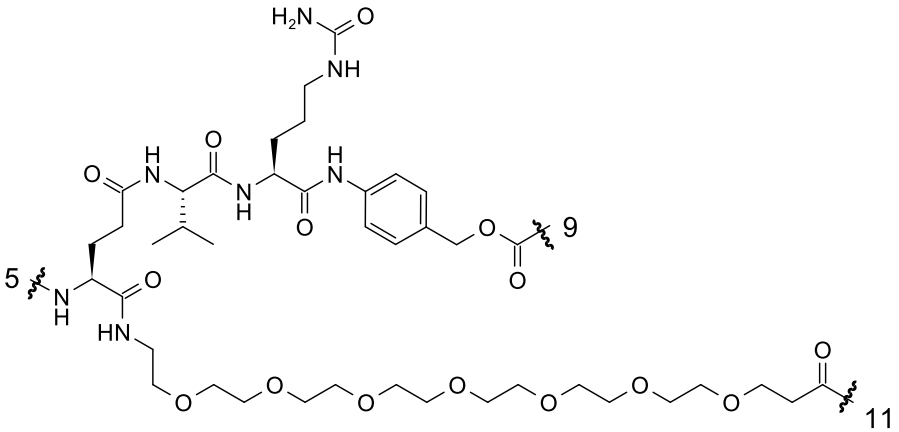


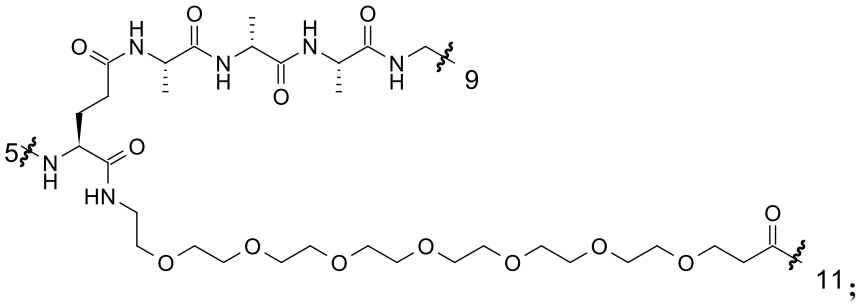


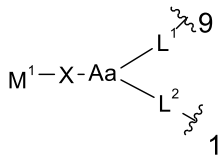



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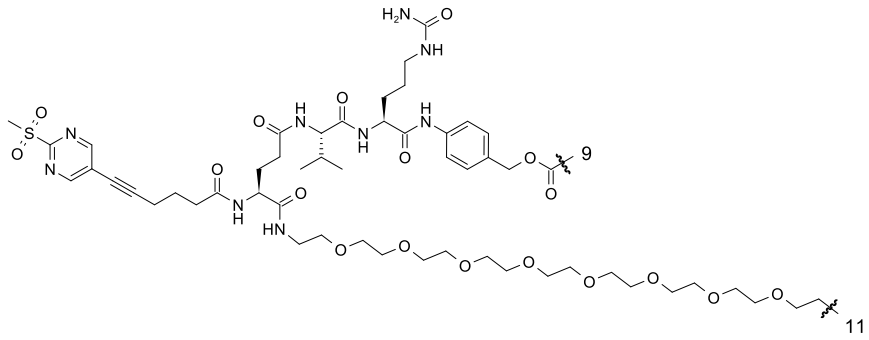


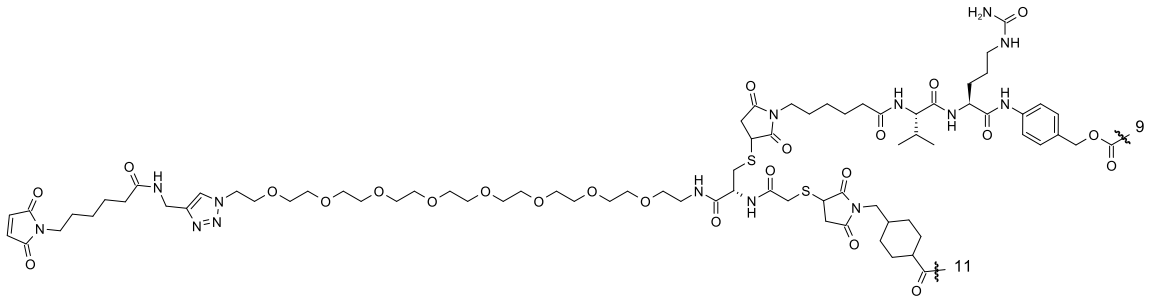


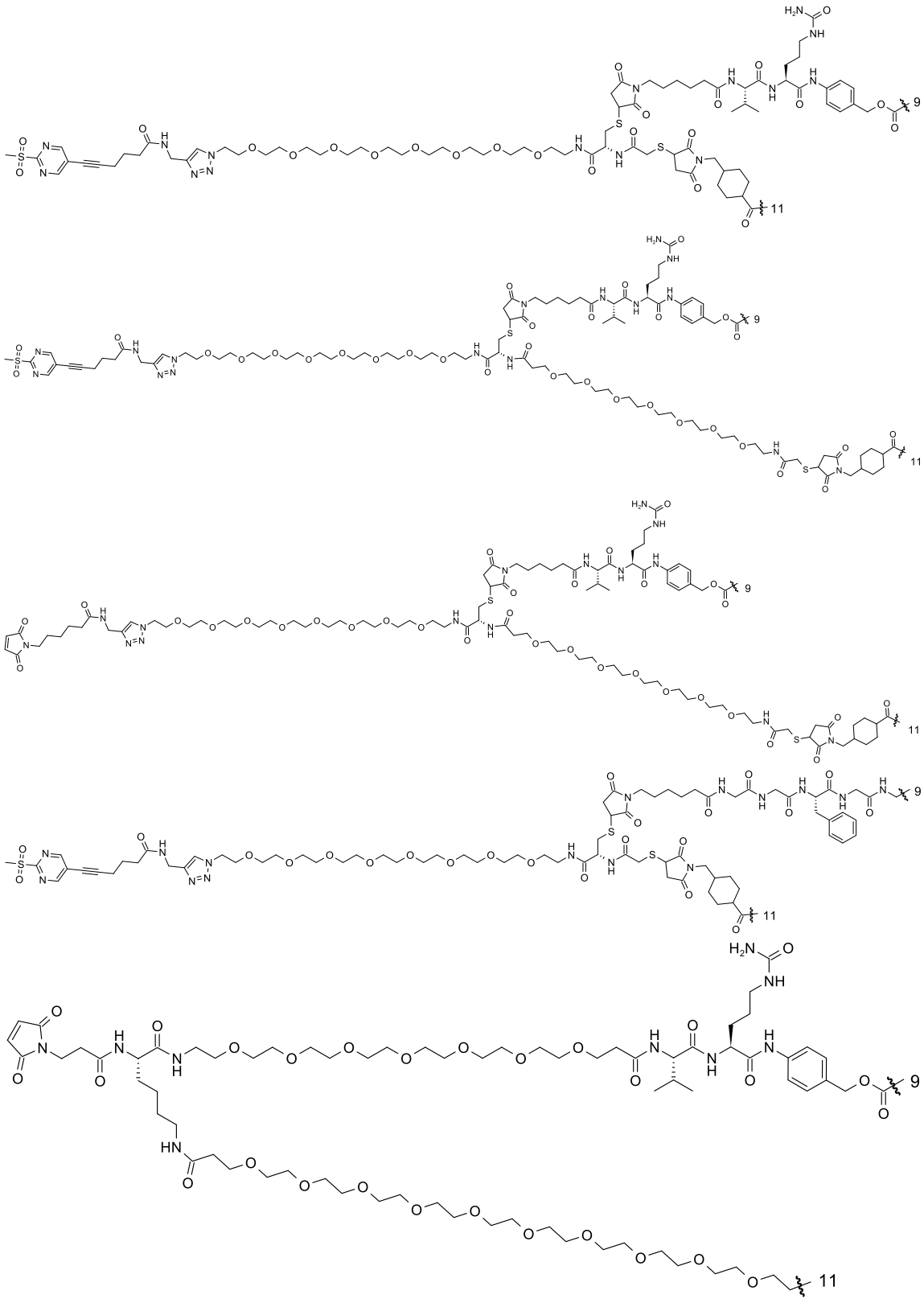


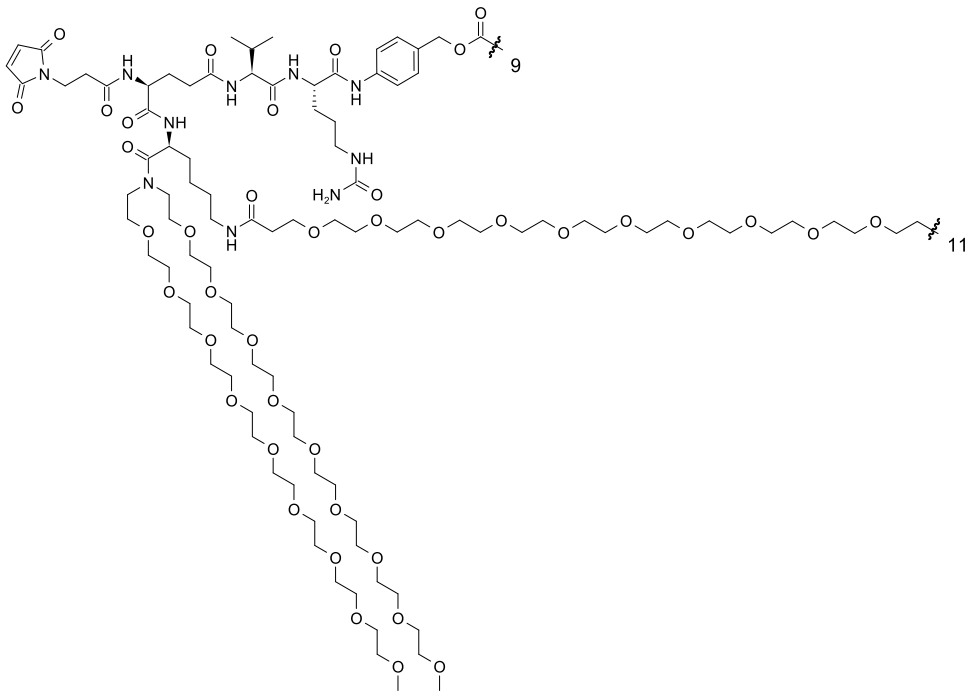


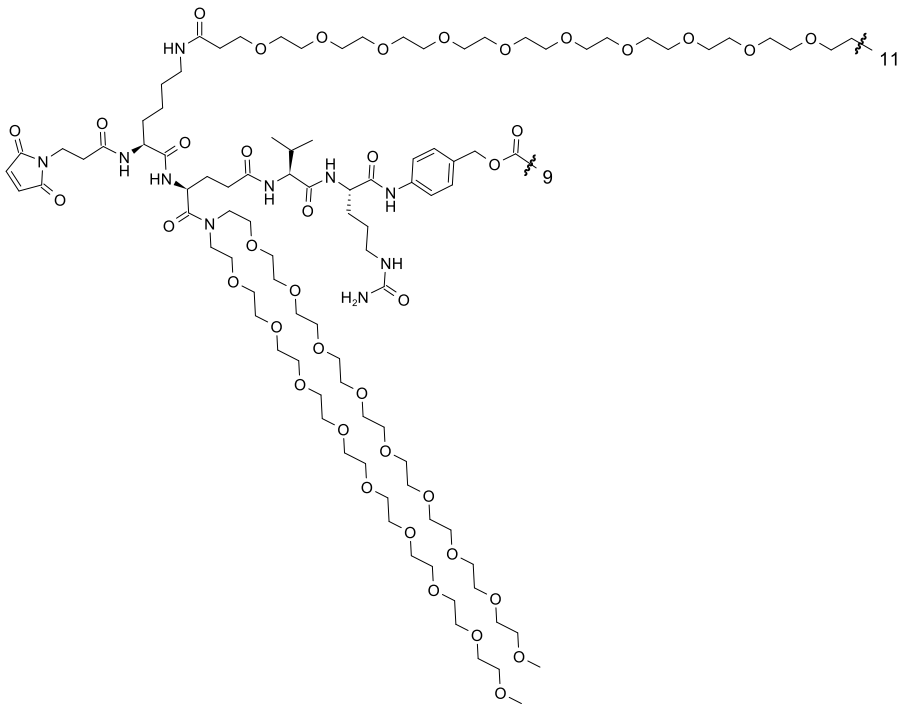
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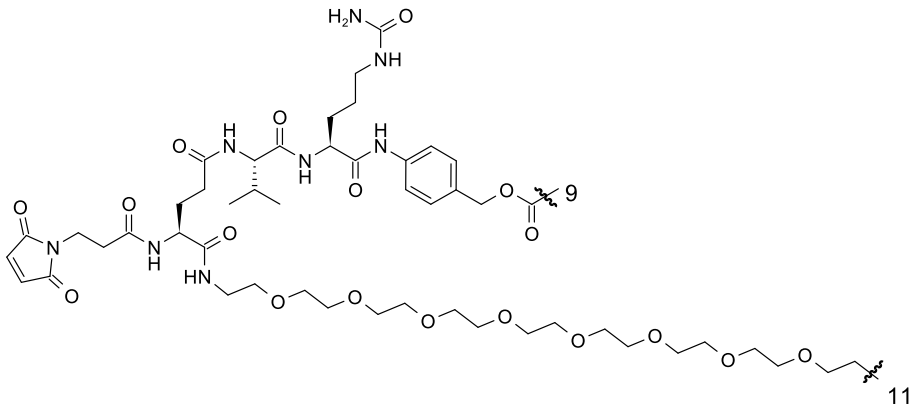


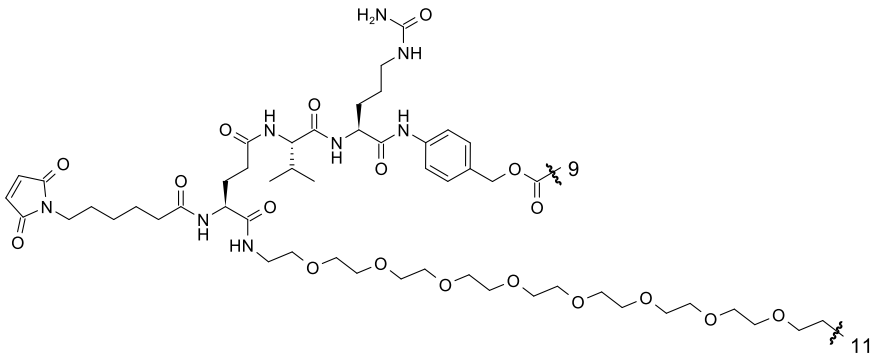


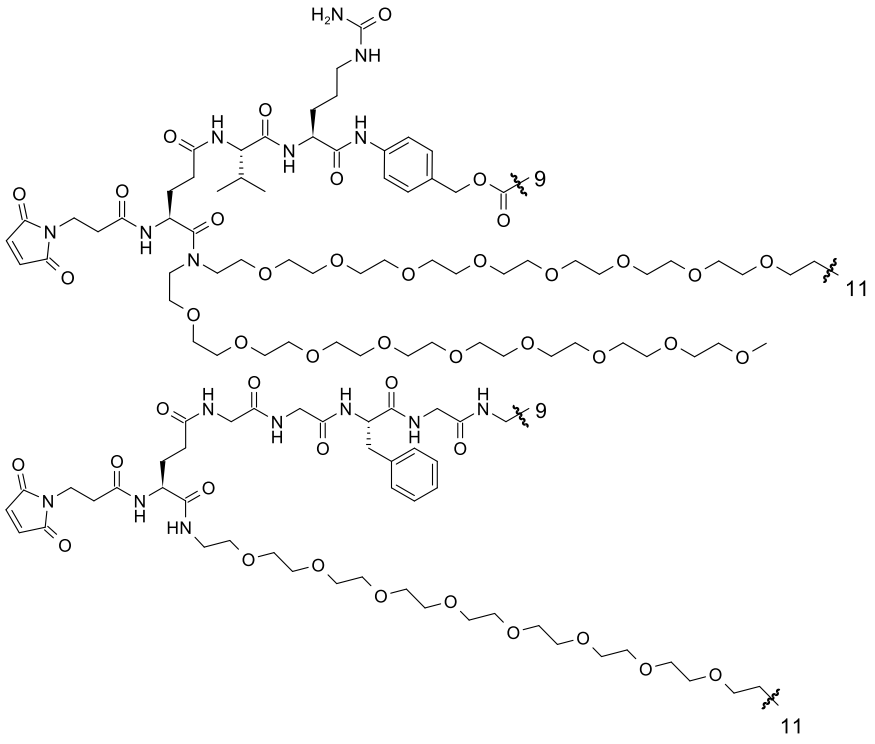


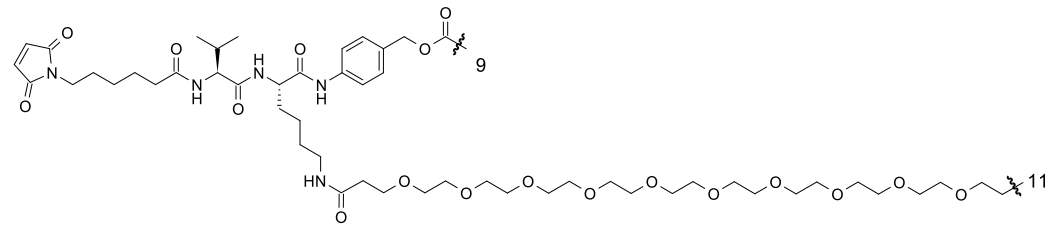


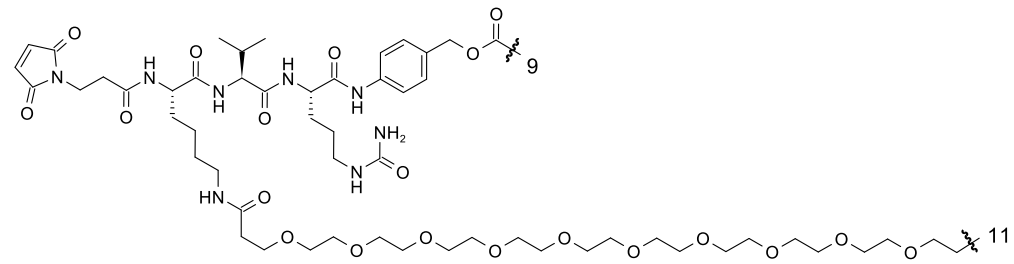


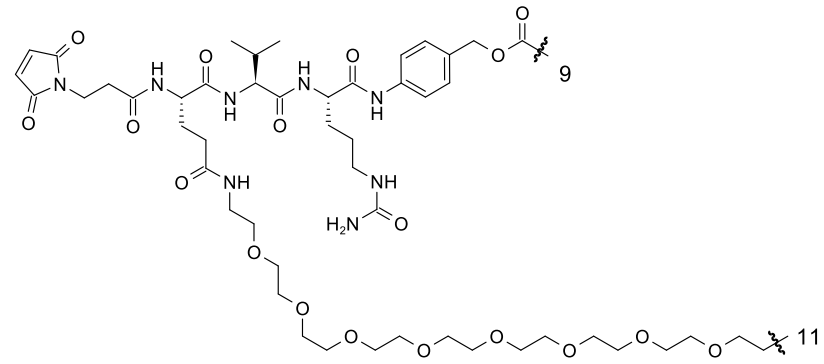


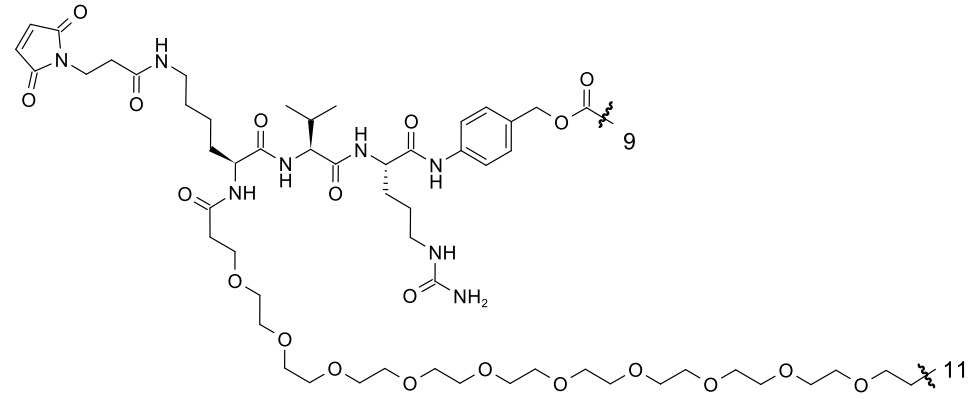


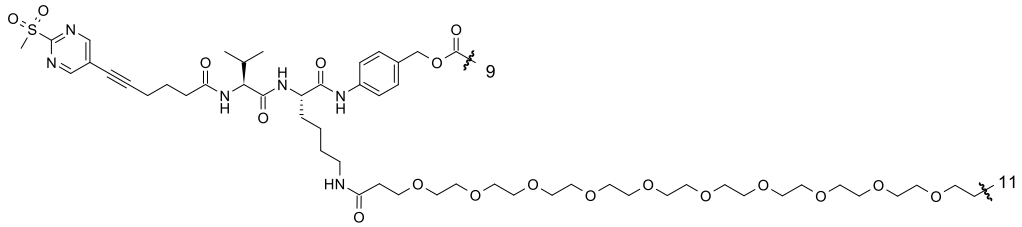


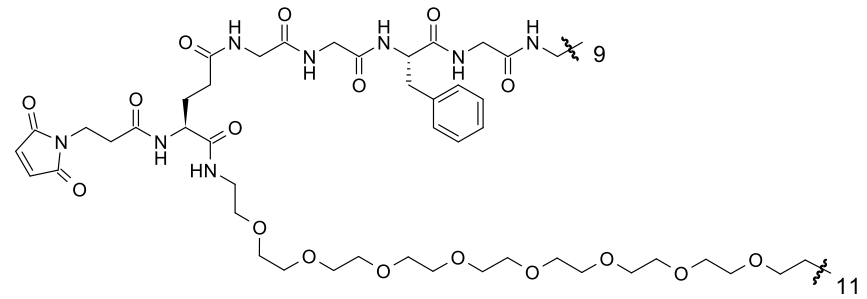


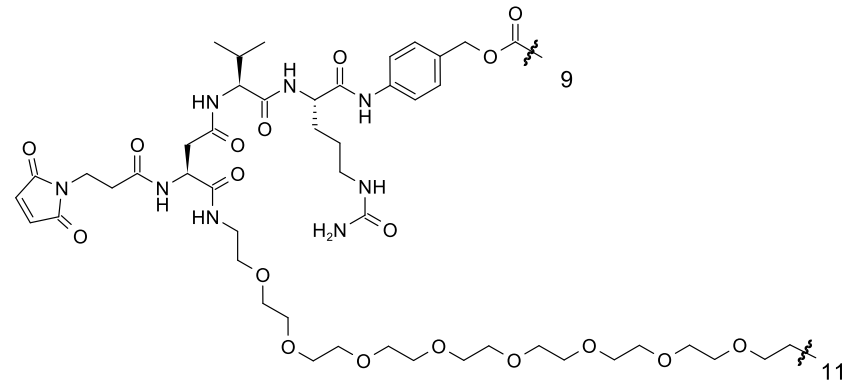


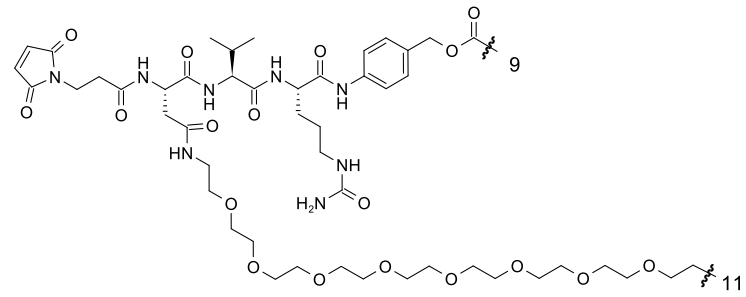


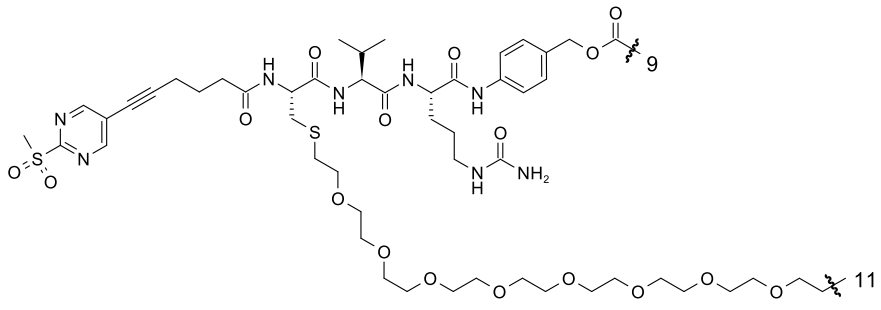


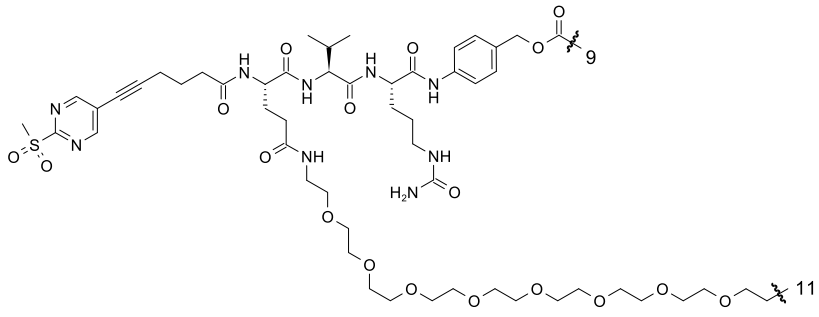


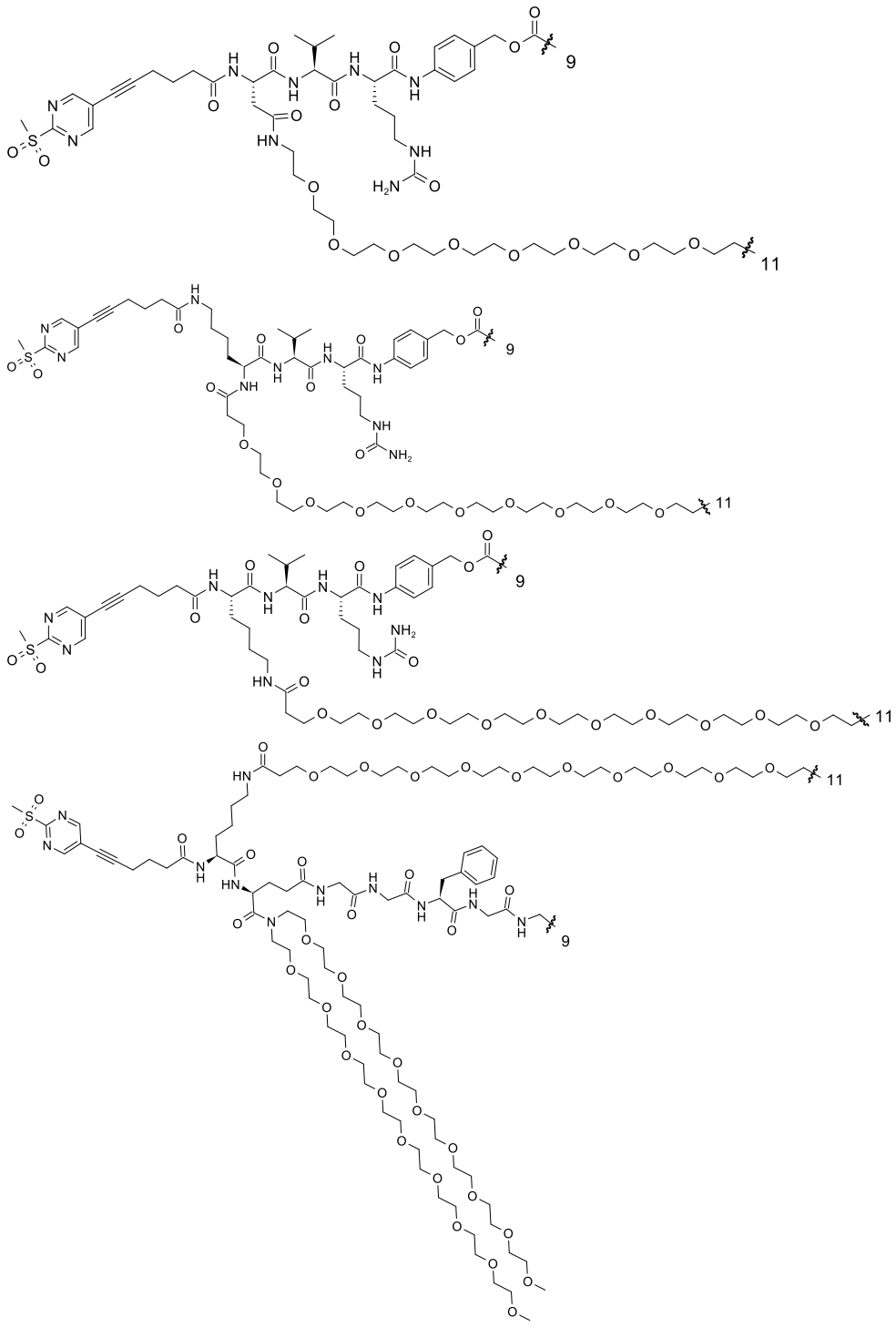


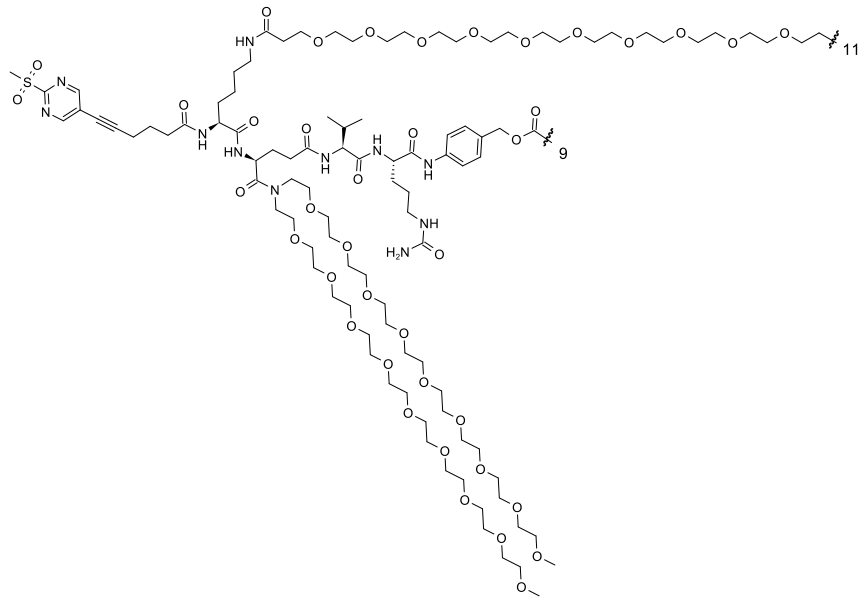


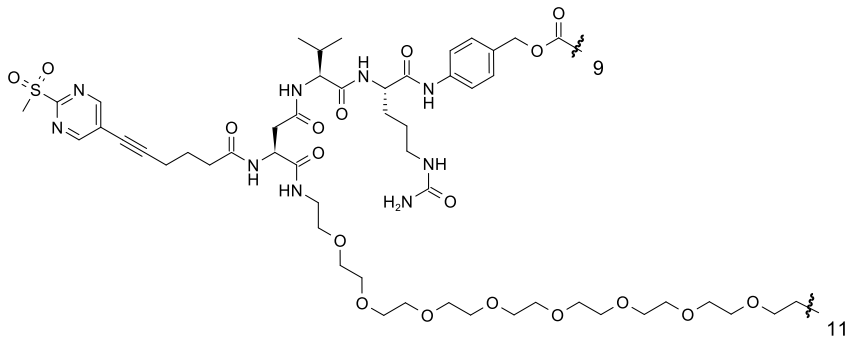


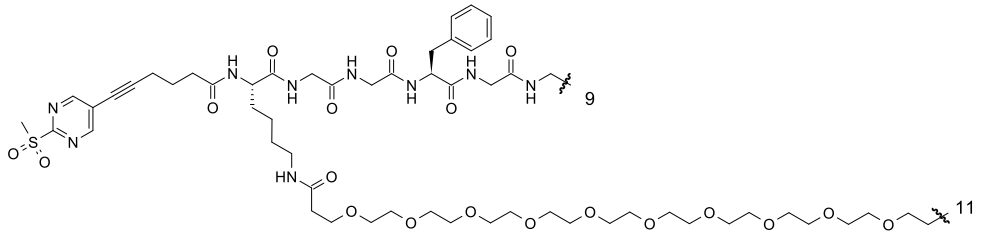


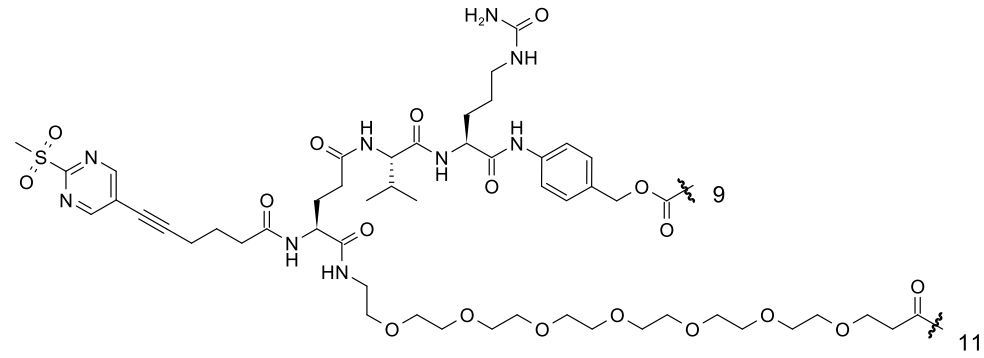


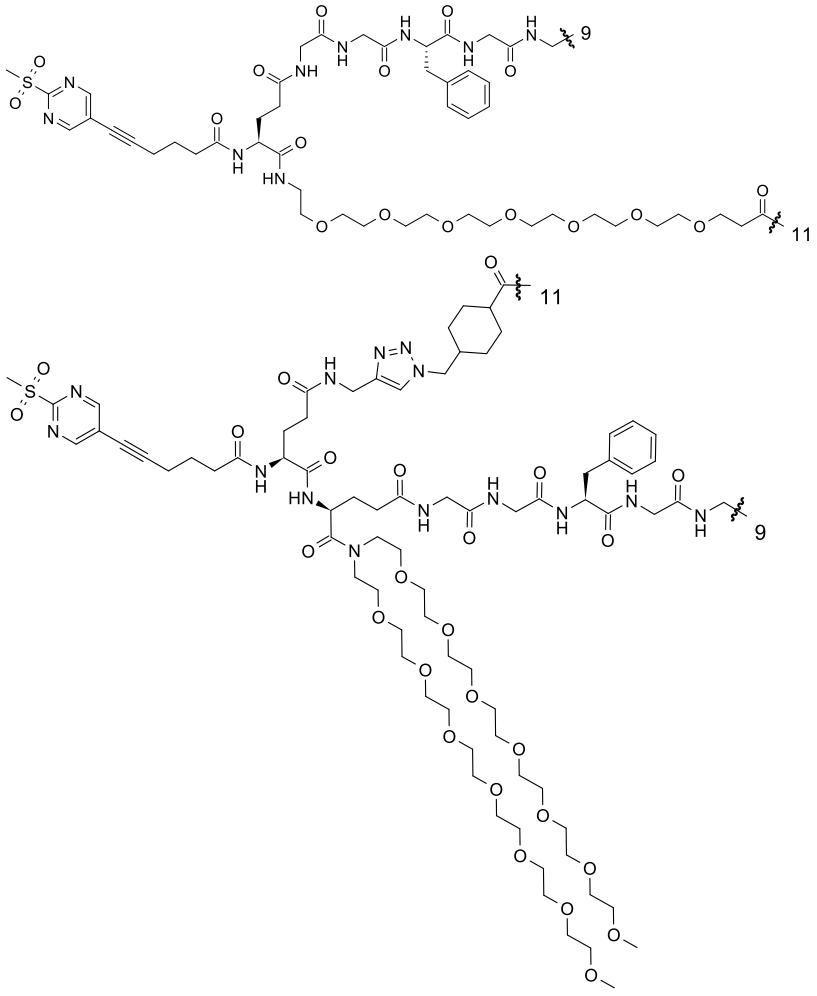


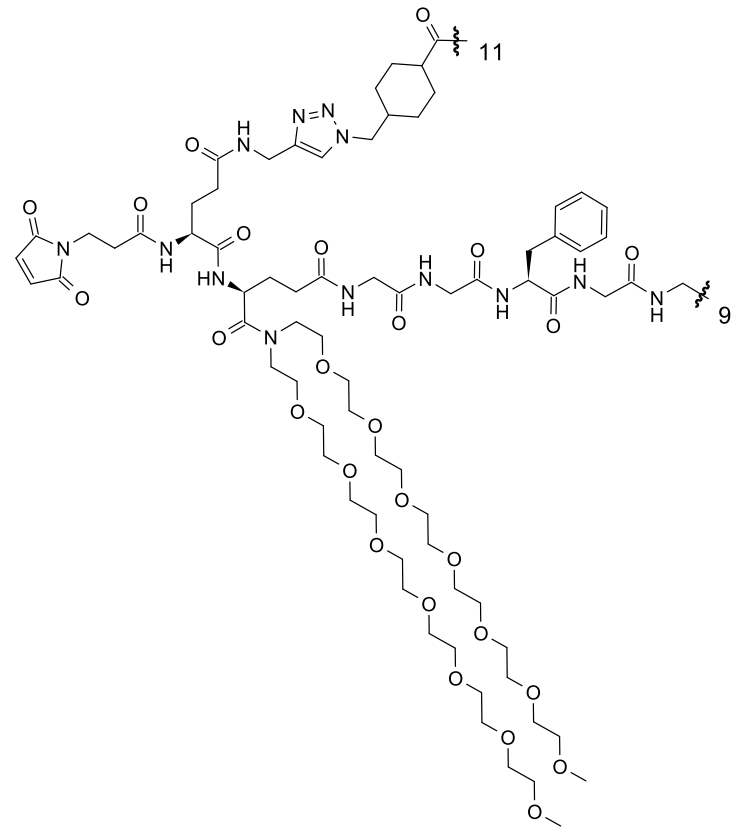


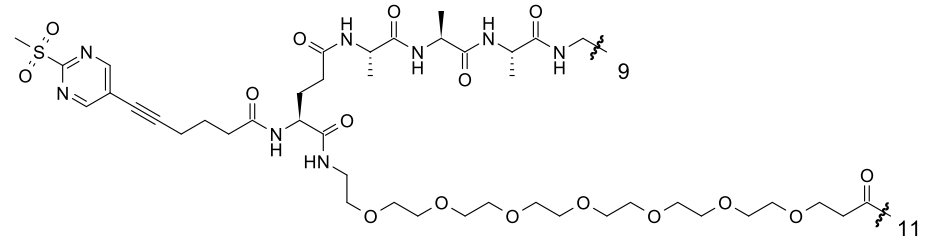


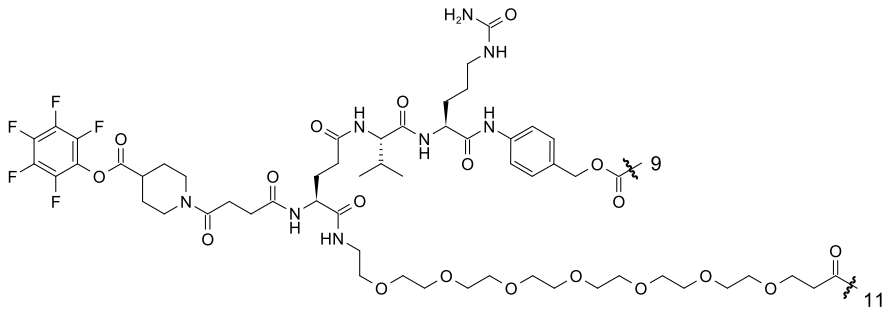


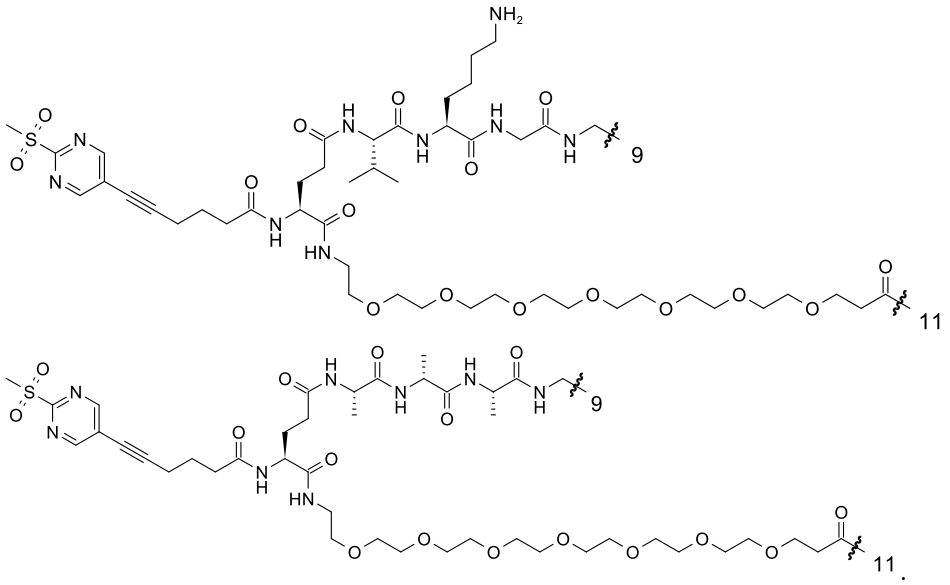












40. A compound or pharmaceutically acceptable salt, ester, stereoisomer, tautomer, polymorph, solvate, *N*-oxide, isotopically labeled compound, metabolite or prodrug thereof, wherein the compound comprises the linker of claim 39 linked to at least one of D^1, D2 or M^1-X-, wherein D^1 is linked to position 9 of the linker, D^2 is linked to position 11 of the linker, M^1-X- is linked to position 5 of the linker, X, D^1 and D^2 are as defined in any one of claims 1 to 27, M^1 is as defined in any one of claims 28 to 32.

41. A pharmaceutical composition, which comprises the antibody-drug conjugate according to any one of claims 1 to 27, 33 to 37, and optionally the compound or pharmaceutically acceptable salt, ester, stereoisomer, tautomer, polymorph, solvate, *N*-oxide, isotopically labeled compound, metabolite or prodrug thereof according to any one of claims 28 to 37, and one or more pharmaceutical excipients.

42. Use of the antibody-drug conjugate according to any one of claims 1 to 27, 33 to 37, the compound or pharmaceutically acceptable salt, ester, stereoisomer, tautomer, polymorph, solvate, *N*-oxide, isotopically labeled compound, metabolite or prodrug thereof according to any one of claims 28 to 37, or the pharmaceutical composition according to claim 41, in the manufacture of a medicament for treating and/or preventing a cancer (e.g., a HER2-positive cancer, such as HER2-positive gastric cancer, breast cancer, or non-small cell lung cancer).

43. The antibody-drug conjugate according to any one of claims 1 to 27, 33 to 37, the compound or pharmaceutically acceptable salt, ester, stereoisomer, tautomer, polymorph, solvate, *N*-oxide, isotopically labeled compound, metabolite or prodrug thereof according to any one of claims 28 to 37, or the pharmaceutical composition according to claim 41, for use in treating and/or preventing a cancer (e.g., a HER2-positive cancer, such as HER2-positive gastric cancer, breast cancer, or non-small cell lung cancer).