CLAIMS

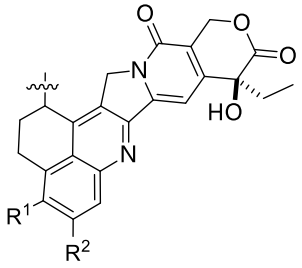
1. A compound represented by general formula (A) or a pharmaceutically acceptable salt thereof,

$$L\text{-}L_2\text{-}L_1\text{-}Dr$$

(A)

wherein:

Dr has the following structure:

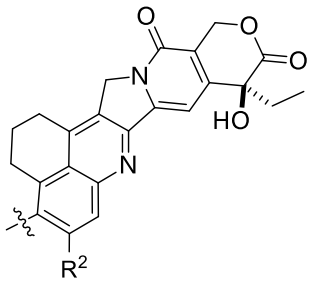


;

wherein R^1 is selected from hydrogen, C_1-C_6 alkyl, C_1-C_6 alkoxy, and -$(CH_2)_m$-OH; and

L_1 is selected from -NR^a-* and -$NR^bC(=O)O$-$(CH_2)_{4\text{-}6}$-O-*, wherein * is a connection site with L_2; or

Dr has the following structure:



;

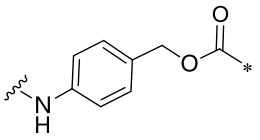
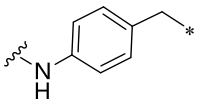
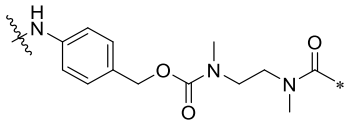
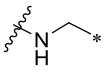
wherein L_1 is selected from -$(CH_2)_m$-O-*, -$(CH_2)_m$-NR^a-*, -NR^b-$(CH_2)_m$-O-*, -NR^b-$(CH_2)_m$-NR^a-*, -O-$(CH_2)_m$-O-*, and -O-$(CH_2)_m$-NR^a-*, wherein * is a connection site with L_2;

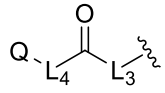
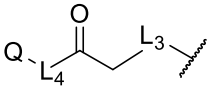
R^a is selected from hydrogen and C_1-C_6 alkyl;

R^b is selected from hydrogen and C_1-C_6 alkyl;

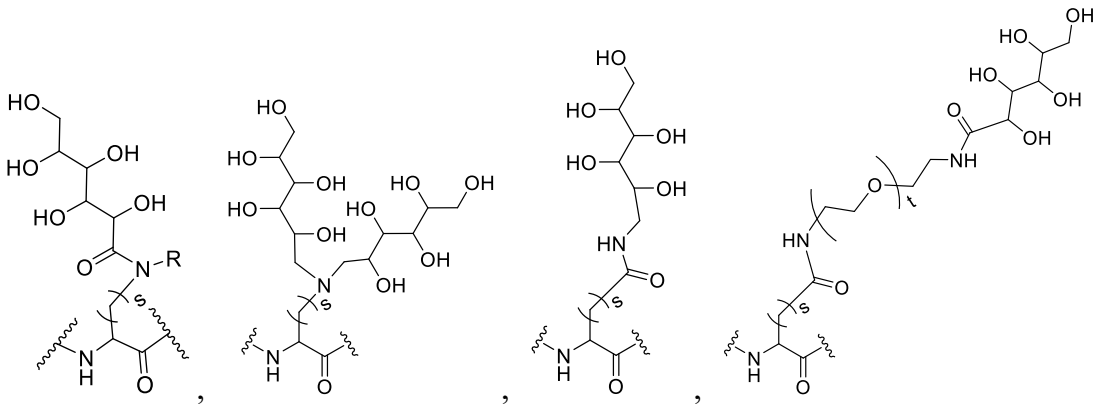
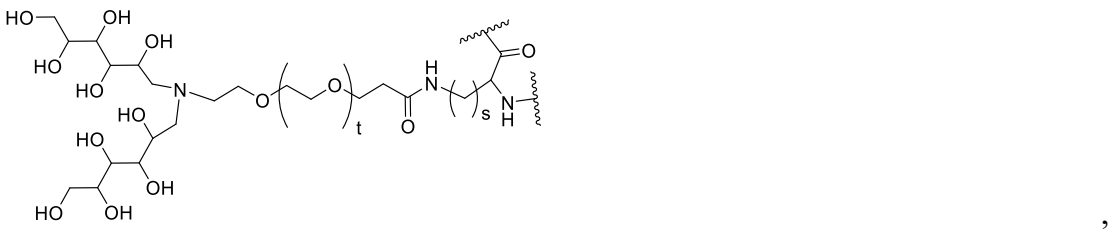
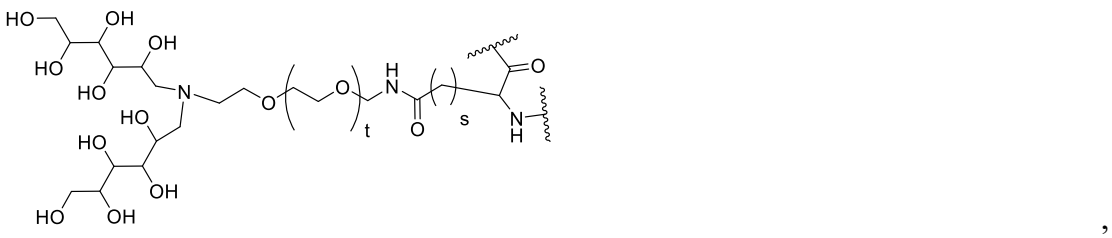
m is an integer from 1 to 6; preferably an integer from 1 to 4;

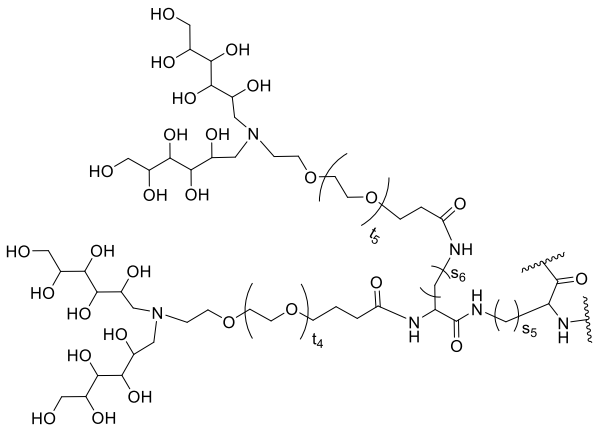
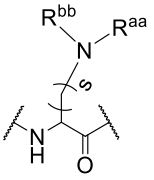
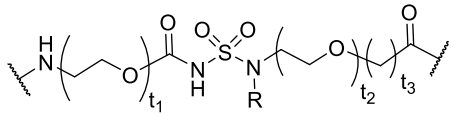
R^2 is selected from hydrogen, halogen, hydroxyl, amino, cyano, alkyl, alkoxy, alkenyl, and alkynyl, wherein the alkyl, alkoxy, alkenyl, and alkynyl are optionally further substituted by one or more groups selected from halogen;

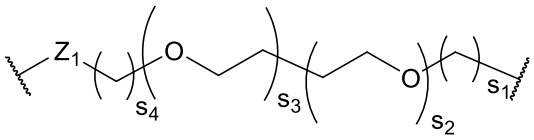
L_2 is selected from a bond, , , , and , wherein * is a connection site with L_1;

L is  or ;

L_3 is an amino acid residue formed by two or more amino acids, L_3 optionally comprises one or more of the following structures, and L_6 is selected from one or more of the following structures:

, , ,


, , or , wherein R, R^{aa}, and R^{bb} are each independently selected from hydrogen and alkyl;

L_4 is ;

Z_1 is selected from a bond, -$(CH_2)_p$-, -$(C_2H_4O)_q$-, -$(CH_2)_p$-C(O)NH-, -$(CH_2)_p$-O-$(CH_2)_p$-C(O)NH-, -$(CH_2)_p$-C(O)-L_6-NH-, -$(CH_2)_p$-O-$(CH_2)_p$-C(O)-L_6-NH-, -C(O)NH-, -C(O)O-, -C(O)-, -OC(O)-, -NH-, -O-, and -OC(O)NH-;

s is an integer from 1 to 6;

t is an integer from 0 to 10;

s_1, s_2, s_3, and s_4 are each independently an integer from 0 to 10;

s_5 and s_6 are each independently an integer from 1 to 6;

t_1 is an integer from 1 to 6;

t_2 is an integer from 0 to 6;

t_3 is an integer from 1 to 6;

t_4 is an integer from 0 to 10;

t_5 is an integer from 0 to 10;

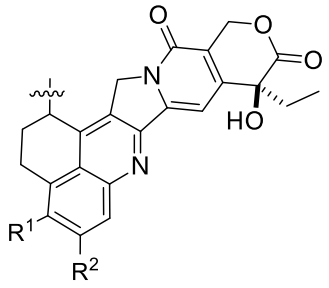
p is an integer from 1 to 10;

q is an integer from 1 to 10; and

Q is a linker unit.

2. The compound represented by the general formula (A) or the pharmaceutically acceptable salt thereof according to claim 1, wherein

Dr has the following structure:



;

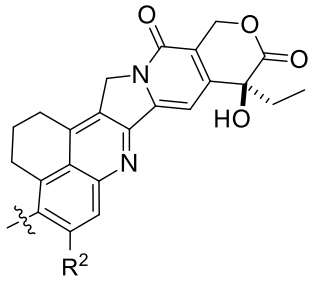
L_1 is -$NR^bC(=O)O-(CH_2)_4-NR^a$-*, wherein * is a connection site with L_2;

R^1 is selected from hydrogen, halogen, C_1-C_6 alkyl;

R^2 is selected from hydrogen, halogen, hydroxyl, amino, cyano, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_2-C_6 alkenyl, and C_2-C_6 alkynyl, wherein the C_1-C_6 alkyl, C_1-C_6 alkoxy, C_2-C_6 alkenyl, and C_2-C_6 alkynyl are optionally further substituted by one or more groups selected from halogen; wherein halogen is preferred.

3. The compound represented by the general formula (A) or the pharmaceutically acceptable salt thereof according to claim 1, wherein

Dr is selected from the following structures:

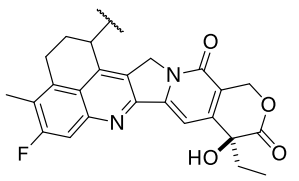


;

L_1 is selected from -$(CH_2)_m$-O-* and -$(CH_2)_m$-NR^a-*, wherein * is a connection site with L_2; and

R^2 is selected from hydrogen, halogen, hydroxyl, amino, cyano, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_2-C_6 alkenyl, and C_2-C_6 alkynyl, wherein the C_1-C_6 alkyl, C_1-C_6 alkoxy, C_2-C_6 alkenyl, and C_2-C_6 alkynyl are optionally further substituted by one or more groups selected from halogen; wherein halogen is preferred.

4. The compound represented by the general formula (A) or the pharmaceutically acceptable salt thereof according to claim 1, wherein Dr is selected from:



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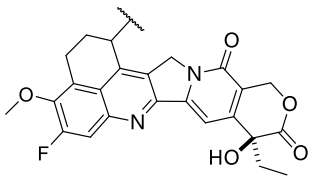

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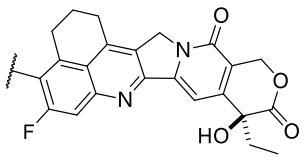

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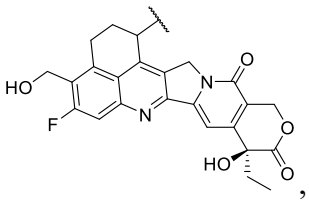
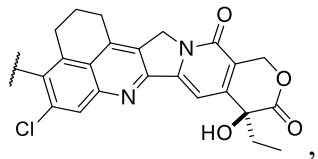
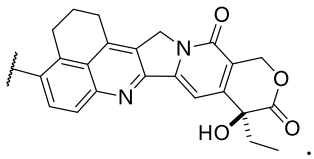


, 

, and 

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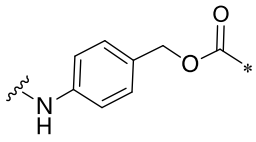
5. The compound represented by the general formula (A) or the pharmaceutically acceptable salt thereof according to any one of claims 1 to 4, wherein

when L_1 is selected from -NR^a-*, -$(CH_2)_m$-NR^a-*, -NR^b-$(CH_2)_m$-NR^a-*, and -O-$(CH_2)_m$-NR^a-*, wherein * is a connection site with L_2,

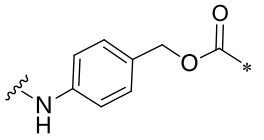
L_2 is selected from a bond and 

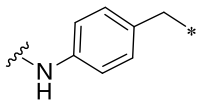
, wherein * is a connection site with L_1; and

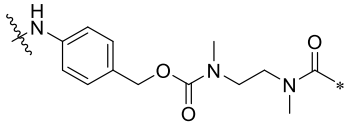
R^a, R^b, and m are defined as those in any one of claims 1 to 4.

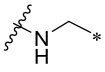
6. The compound represented by the general formula (A) or the pharmaceutically acceptable salt thereof according to any one of claims 1 to 4, wherein

when L_1 is selected from -$(CH_2)_m$-O-*, -NR^b-$(CH_2)_m$-O-*, -O-$(CH_2)_m$-O-*, and -$NR^bC(=O)O$-$(CH_2)_{4-6}$-O-*, wherein * is a connection site with L_2,

L_2 is selected from a bond, 

, 

, 

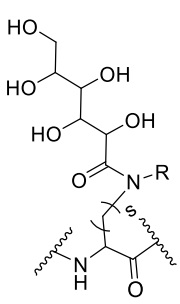
, and 

, wherein * is a connection site with L_1; and

R^b and m are defined as those in any one of claims 1 to 4.

7. The compound represented by the general formula (A) or the pharmaceutically acceptable salt thereof according to any one of claims 1 to 6, wherein

L_3 is an amino acid residue formed by two or more amino acids selected from phenylalanine, alanine, glycine, valine, leucine, isoleucine, tryptophan, tyrosine, histidine, lysine, citrulline, serine, threonine, cysteine, glutamic acid, glutamine, aspartic acid, asparagine, methionine, and arginine, and L_3 optionally comprises one or more of the following structures: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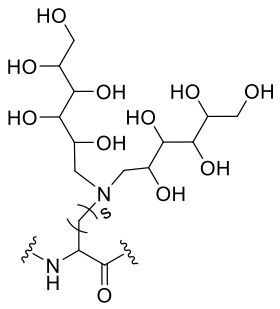
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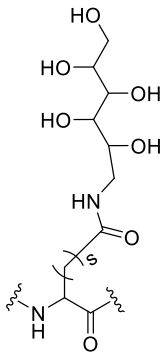
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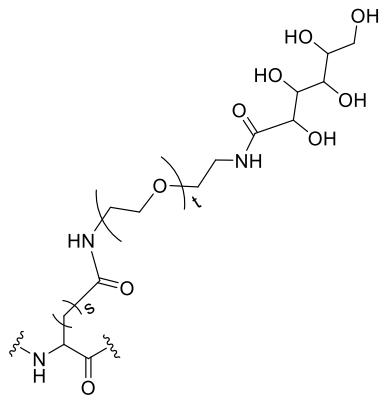
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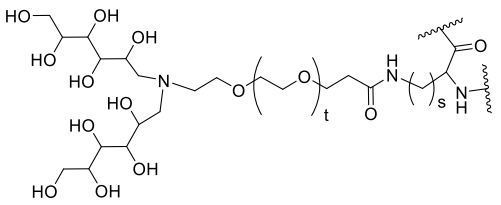
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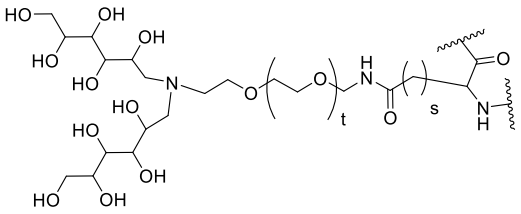
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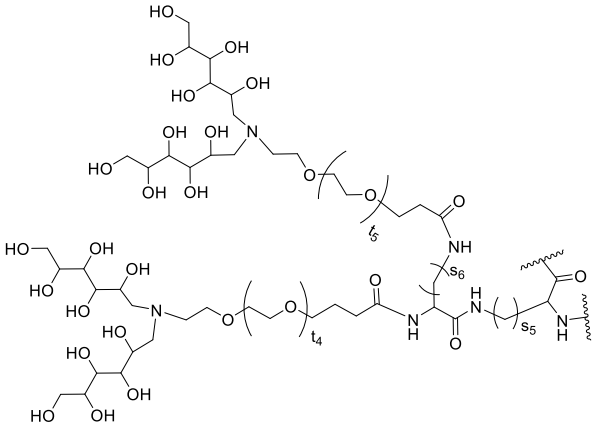
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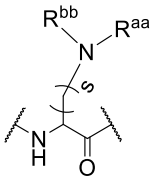
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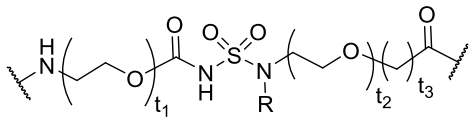
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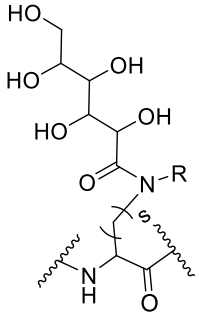
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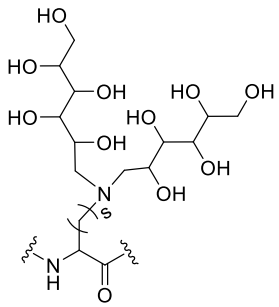
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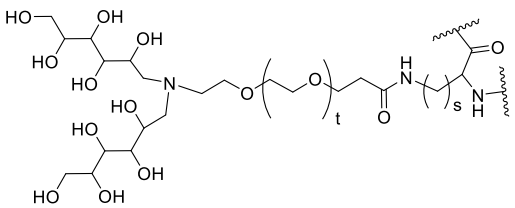
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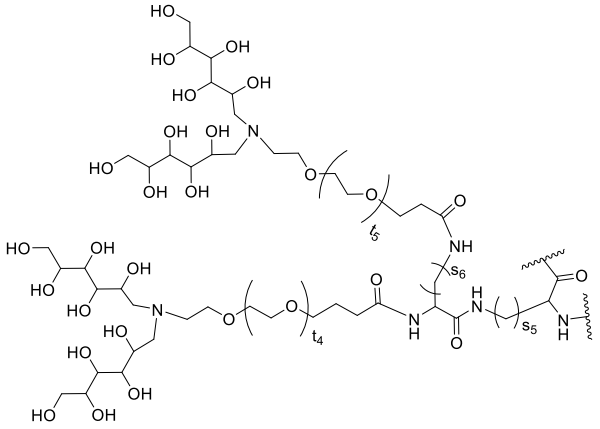
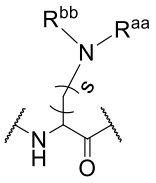
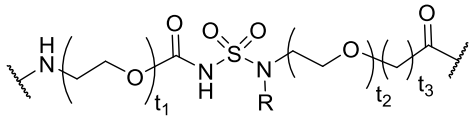

, , or ,

wherein R, R^{aa}, and R^{bb} are each independently selected from hydrogen and C_1-C_6 alkyl;

s is an integer from 1 to 6; preferably, an integer from 2 to 6; more preferably, an integer from 2 to 4;

s_5 and s_6 are each independently an integer from 1 to 6; preferably, an integer from 2 to 6; more preferably, an integer from 2 to 4;

t is an integer from 0 to 10;

t_1 is an integer from 1 to 6; preferably, an integer from 1 to 4; more preferably, an integer from 2 to 4; more preferably 1 or 2;

t_2 is an integer from 0 to 6; preferably, an integer from 1 to 4; more preferably 1 or 2;

t_3 is an integer from 1 to 6; preferably, an integer from 1 to 4; more preferably 1 or 2;

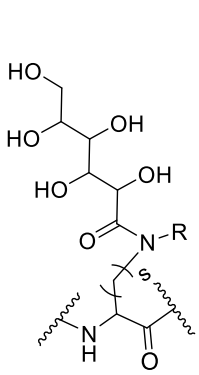
t_4 is an integer from 0 to 10; and

t_5 is an integer from 0 to 10.

8. The compound represented by the general formula (A) or the pharmaceutically acceptable salt thereof according to any one of claims 1 to 7, wherein L_3 is , , or , * is a connection site with L_2, and ·is a connection site with carbonyl or methylene;

L_{1b} and L'_{1b} are each independently an amino acid residue formed by one or more amino acids selected from phenylalanine, alanine, glycine, valine, leucine, isoleucine, tryptophan, tyrosine, histidine, lysine, citrulline, serine, threonine, cysteine, glutamic acid, glutamine, aspartic acid, asparagine, methionine, and arginine;

L_{1a} is a bond or selected from one or more of the following structures: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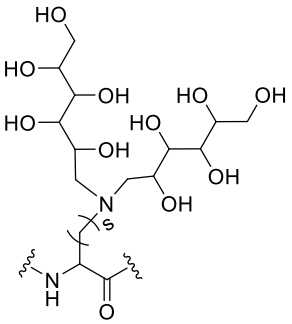
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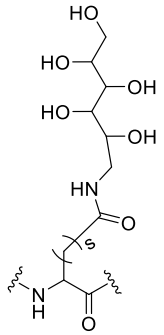
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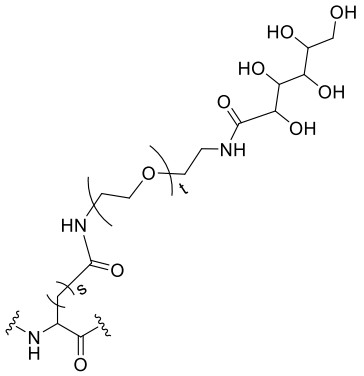
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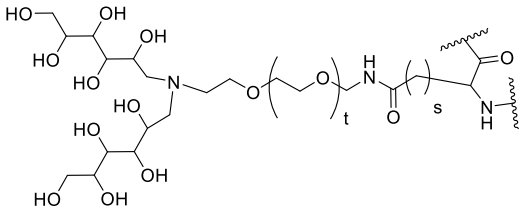
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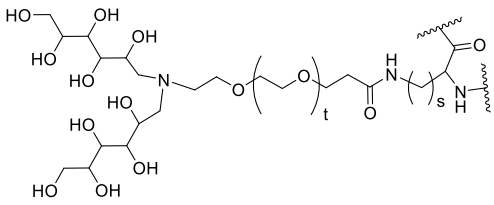
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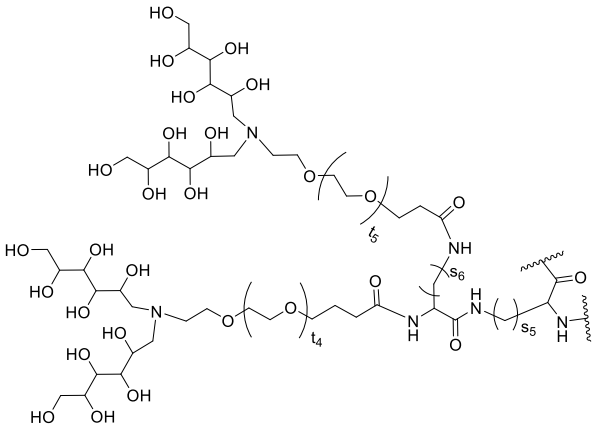
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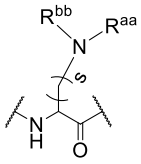
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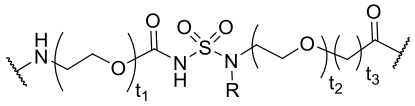
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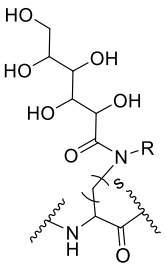
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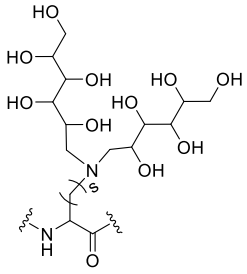
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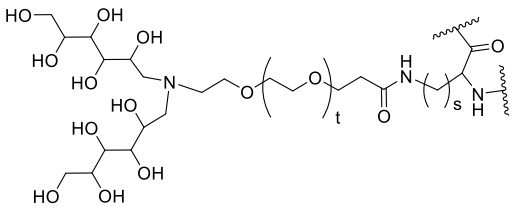
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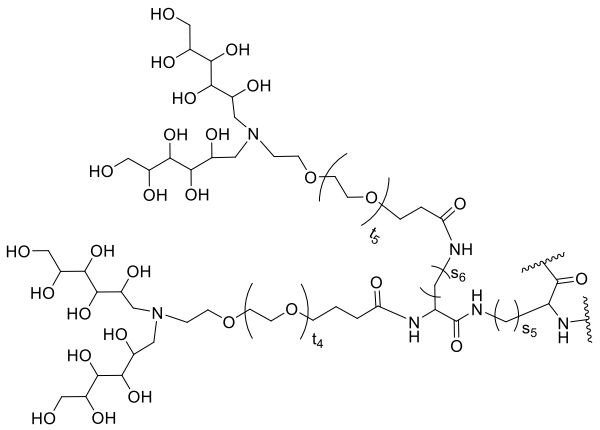
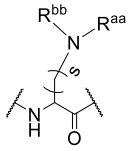
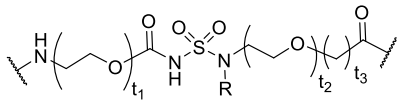

, , or , wherein R is selected from hydrogen and C_1-C_6 alkyl, and is preferably hydrogen;

R^{aa} and R^{bb} are each independently selected from C_1-C_6 alkyl;

s is an integer from 1 to 6; preferably, an integer from 2 to 6; more preferably, an integer from 2 to 4;

s_5 and s_6 are each independently an integer from 1 to 6; preferably, an integer from 2 to 6; more preferably, an integer from 2 to 4;

t is an integer from 0 to 10;

t_1 is an integer from 1 to 6; preferably, an integer from 1 to 4; more preferably, an integer from 2 to 4; more preferably 1 or 2;

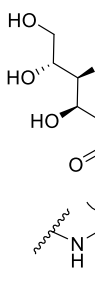
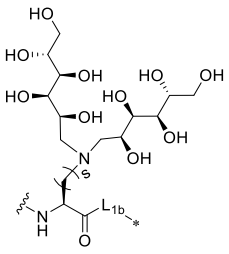
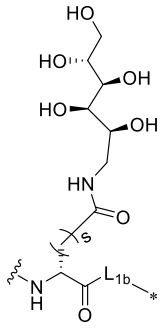
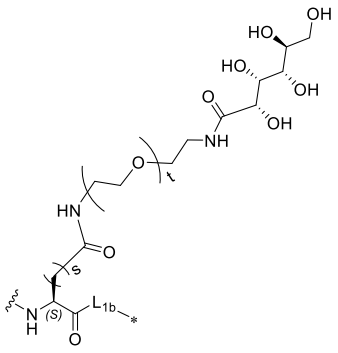
t_2 is an integer from 0 to 6; preferably, an integer from 1 to 4; more preferably 1 or 2;

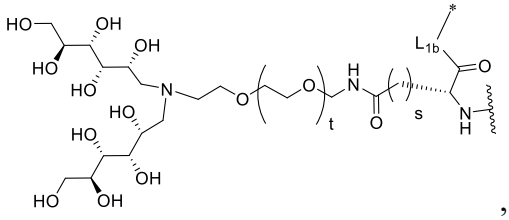
t_3 is an integer from 1 to 6; preferably, an integer from 1 to 4; more preferably 1 or 2;

t_4 is an integer from 0 to 10; and

t_5 is an integer from 0 to 10.

9. The compound represented by the general formula (A) or the pharmaceutically acceptable salt thereof according to any one of claims 1 to 8, wherein L_3 is selected from:


, , , ,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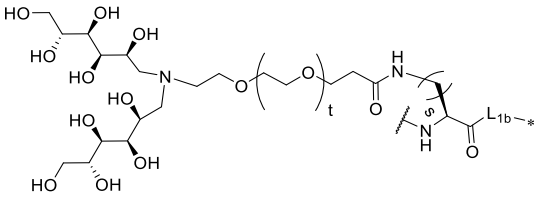
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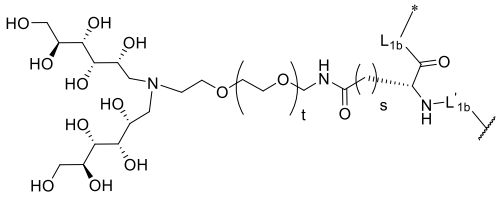
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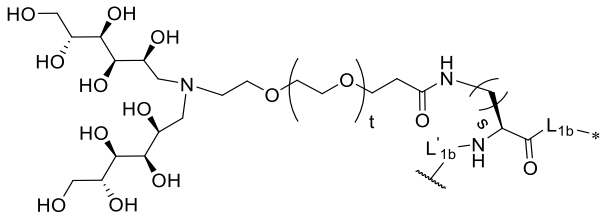
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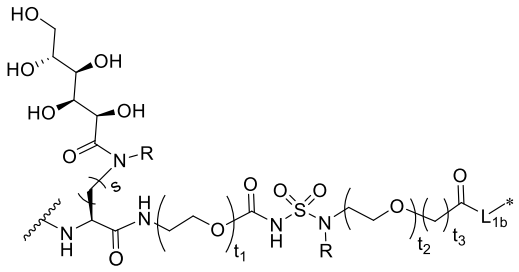
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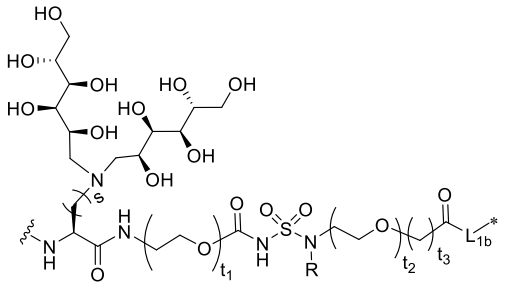
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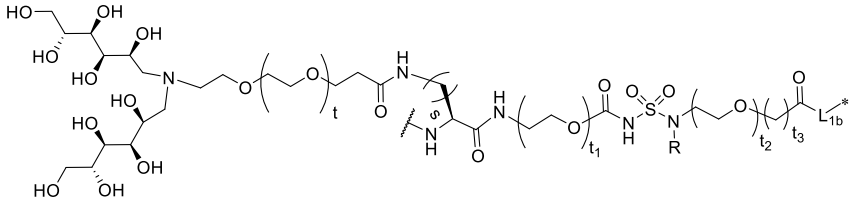
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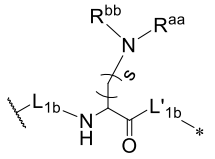
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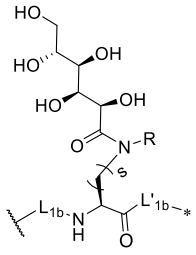
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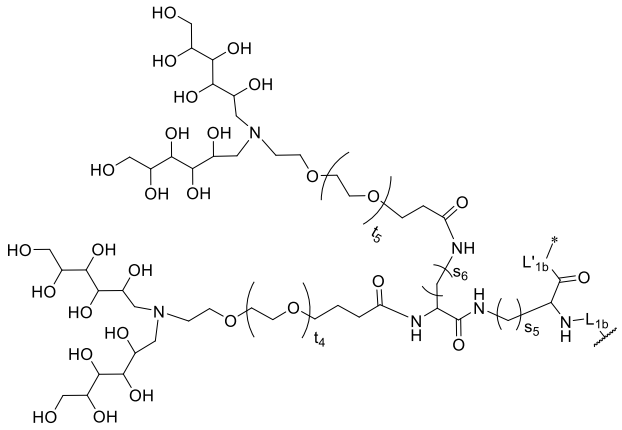
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wherein:

L_{1b} and L'_{1b} are each independently an amino acid residue formed by one or more amino acids selected from phenylalanine, alanine, glycine, valine, leucine, isoleucine,

tryptophan, tyrosine, histidine, lysine, citrulline, serine, threonine, cysteine, glutamic acid, glutamine, aspartic acid, asparagine, methionine, and arginine;

R is selected from hydrogen and C_1-C_6 alkyl, and is preferably hydrogen;

R^{aa} and R^{bb} are each independently selected from C_1-C_6 alkyl;

s is an integer from 1 to 6; preferably, an integer from 2 to 6; more preferably, an integer from 2 to 4;

s_5 and s_6 are each independently an integer from 1 to 6; preferably, an integer from 2 to 6; more preferably, an integer from 2 to 4;

t is an integer from 0 to 10;

t_1 is an integer from 1 to 6; preferably, an integer from 1 to 4; more preferably, an integer from 2 to 4; even more preferably 1 or 2;

t_2 is an integer from 0 to 6; preferably, an integer from 1 to 4; more preferably 1 or 2;

t_3 is an integer from 1 to 6; preferably, an integer from 1 to 4; more preferably 1 or 2;

t_4 is an integer from 0 to 10;

t_5 is an integer from 0 to 10;

* is a connection site with L_2; and

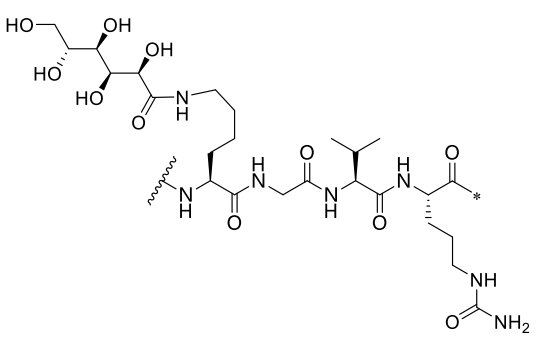
 is a connection site with carbonyl or methylene.

10. The compound represented by the general formula (A) or the pharmaceutically acceptable salt thereof according to claim 8 or 9, wherein L_{1b} and L'_{1b} are each independently an amino acid residue formed by one or more amino acids selected from glycine, phenylalanine, citrulline, leucine, isoleucine, alanine, valine, asparagine, glutamine, arginine, glutamic acid, and lysine; preferably an amino acid residue formed by two or more amino acids selected from glycine, phenylalanine, citrulline, valine, lysine, glutamine, glutamic acid, aspartic acid, leucine, and alanine.

11. The compound represented by the general formula (A) or the pharmaceutically acceptable salt thereof according to any one of claims 8 to 10, wherein L_{1b} and L'_{1b} are each independently selected from -Gly-*, -Val-*, -Gly-Phe-Gly-*, -Phe-Gly-*, -Gly-Val-Cit-*, -Val-Cit-*, -Gly-Val-Arg-*, -Val-Arg-*, -Gly-Val-Ala-*, -Val-Ala-*, -Gly-Phe-*, -Phe-Gly-*, -Gly-Gly-Gly-*, Gly-Gly-*, -Gly-Val-Gly-*, -Gly-Ala-Gly-*, -Gly-Phe-Cit-*, -Gly-Phe-Val-*, -Gly-Phe-Ala-*, -Gly-Phe-Lys-*, -Phe-Lys-*, -Gly-Val-*, -Gly-Cit-*, -Gly-Ala-*, -Gly-Gly-Lys-*, Gly-Lys'-*, -Ala-Ala-Ala-*, -Gln-Val-Ala-*, -Gln-Val-Cit-*, -Asp-Val-Ala-*, -Asp-Val-Cit-*, -Lys-Gly-Val-Ala-*, -Lys-Gly-Val-Cit-*, -Lys-Gly-Gly-Val-Ala-*, -Lys-Gly-Gly-Val-Cit-*, Gly-Gly-Phe-Gly-*, -Lys-Gln-Val-Cit-*, -Lys-Gln-Val-Ala-*, -Lys-Glu-Val-Cit-*, -Lys-Glu-Val-ALa-*, -Lys-Asp-Val-Cit-*, -Lys-Asp-Val-Ala-*, Glu-Val-Cit-*, Glu-Val-Ala-*, -Lys-Val-Ala-*, -Lys-

Val-Cit-*, -Val-Lys-Gly-*, and -Val-Lys-*; preferably, -Gly-Phe-Gly-*, -Gly-Val-Cit-*, -Val-Cit-*, -Gly-Val-Ala-*, -Val-Ala-*, -Gly-Phe-Gly-*, -Phe-Gly-*, -Gly-Phe-Lys-, -Phe-Lys- *, -Gln-Val-Ala-*, -Gln-Val-Cit-*, -Asp-Val-Ala-*, -Lys-Gly-Val-Ala-*, -Lys-Gly-Val-Cit-*, -Lys-Gly-Gly-Val-Ala-*, -Lys-Gly-Gly-Val-Cit-*, Gly-Gly-Phe-Gly-*, -Lys-Gln-Val-Cit-*, -Lys-Gln-Val-Ala-*, -Lys-Glu-Val-Cit-*, -Lys-Glu-Val-ALa-*, -Lys-Asp-Val-Cit-*, -Lys-Asp-Val-Ala-*, Glu-Val-Cit-*, Glu-Val-Ala-*, -Lys-Val-Ala-*, -Lys-Val-Cit-*, -Val-Lys-Gly-*, -Val-Lys-*, and -Asp-Val-Cit-*, wherein * is a connection site with L_2.

12. The compound represented by the general formula (A) or the pharmaceutically acceptable salt thereof according to any one of claims 1 to 11, wherein L_3 is selected from: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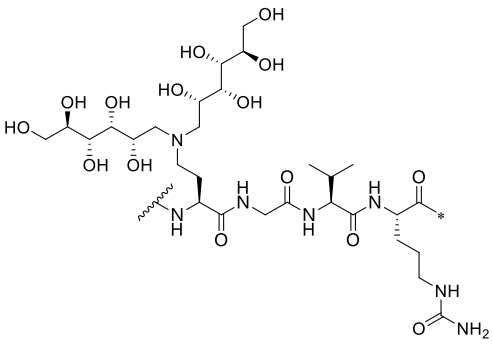
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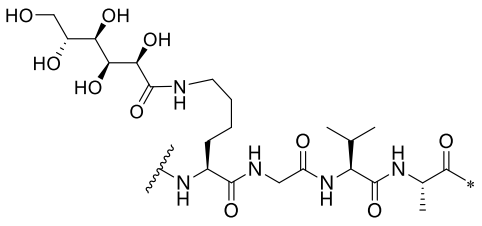
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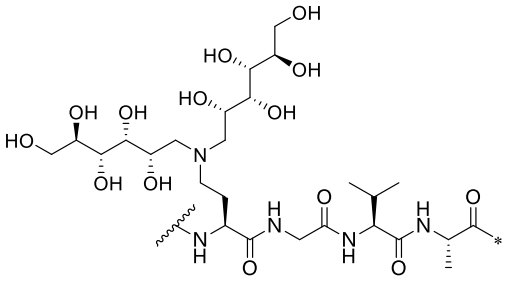
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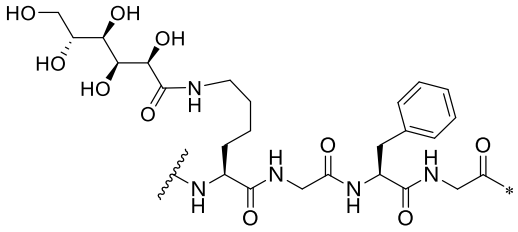
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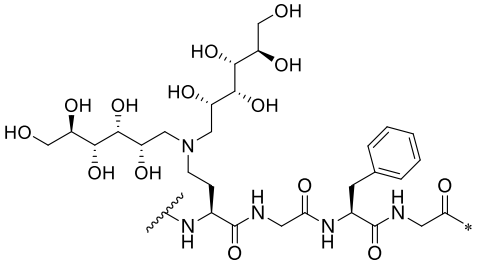
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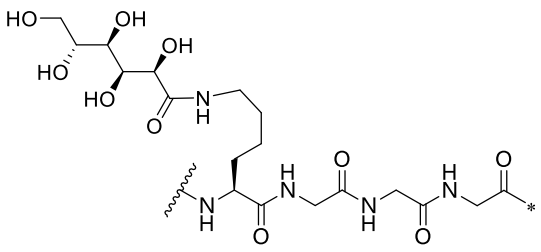
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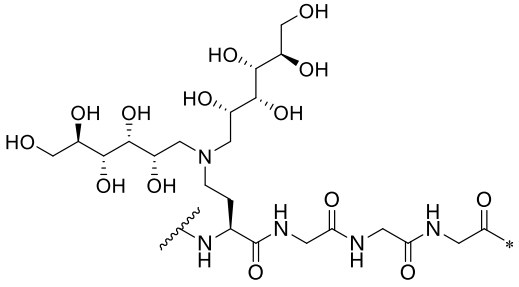
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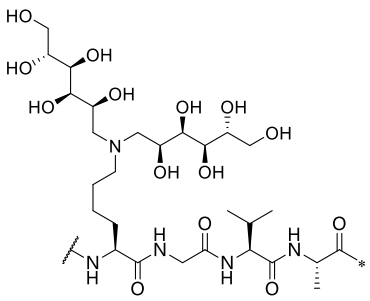
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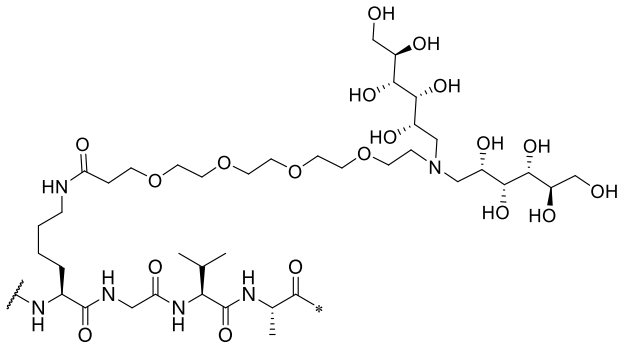
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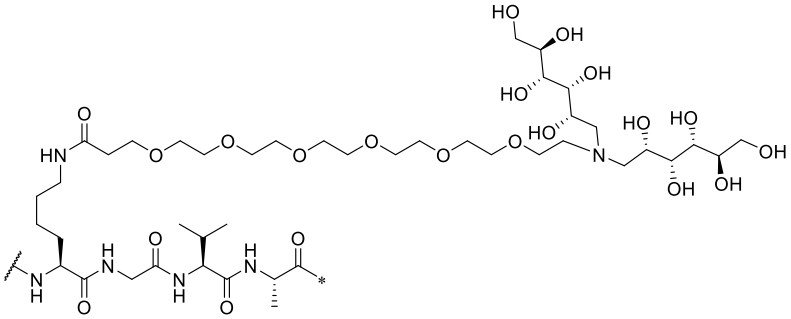
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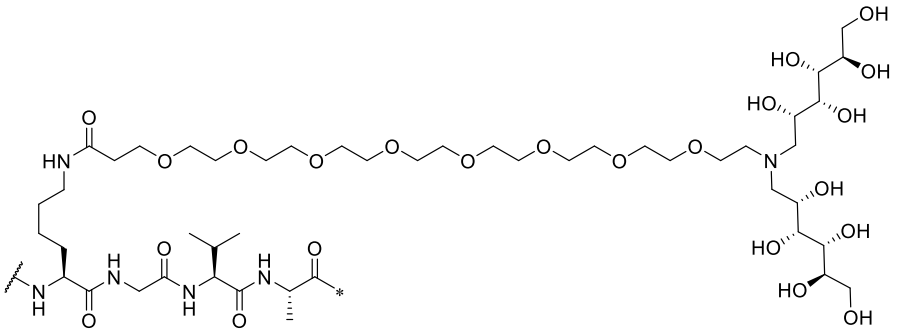
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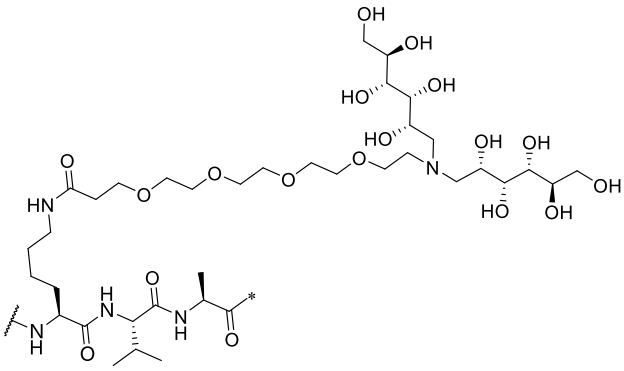
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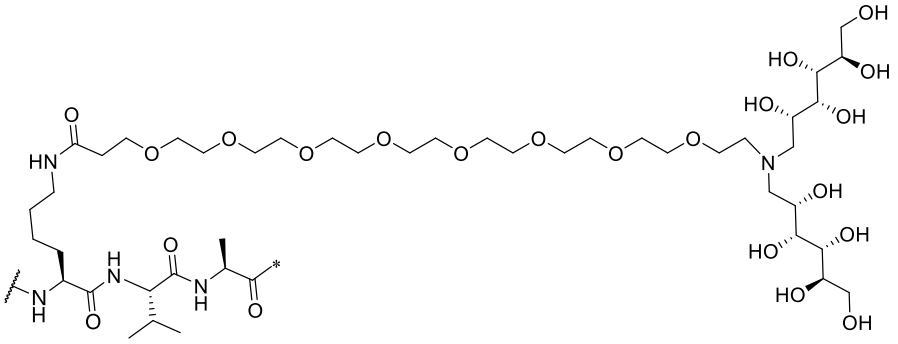
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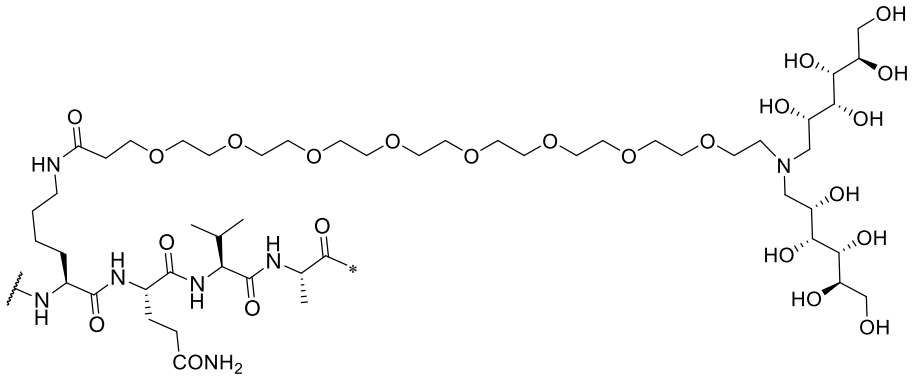

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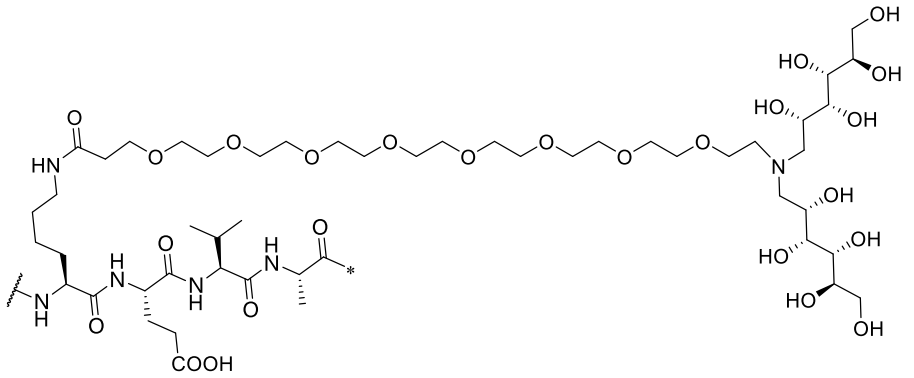

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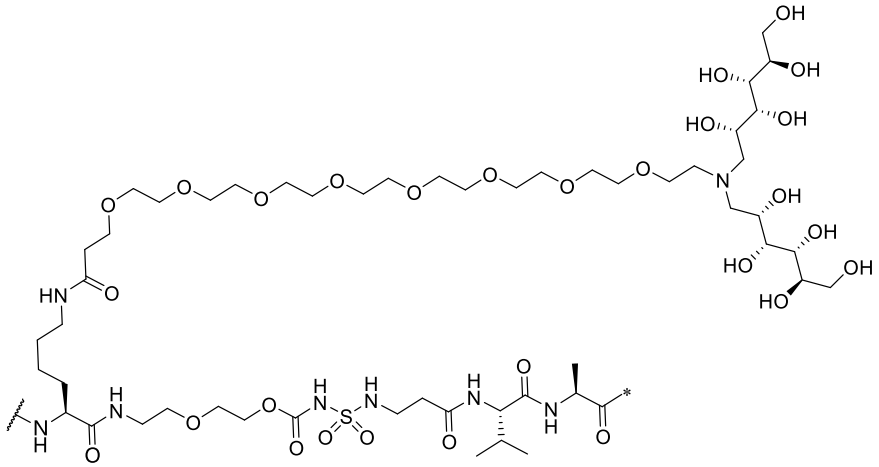

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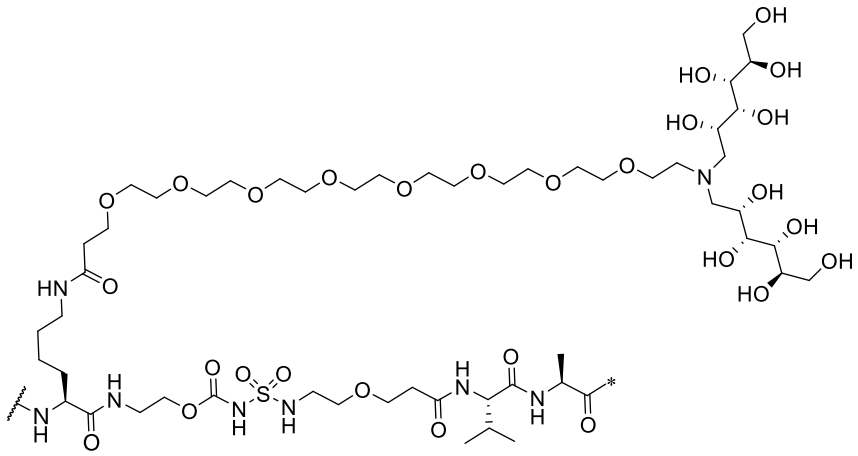

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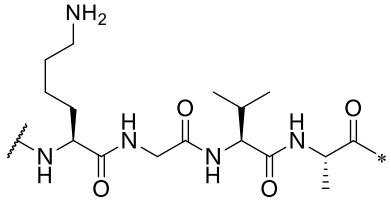
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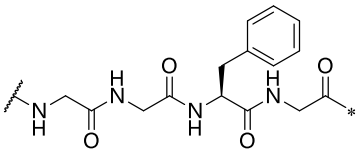
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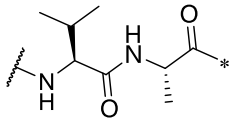
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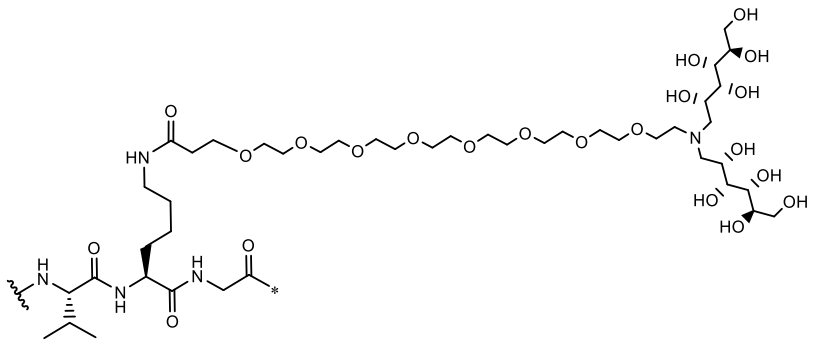
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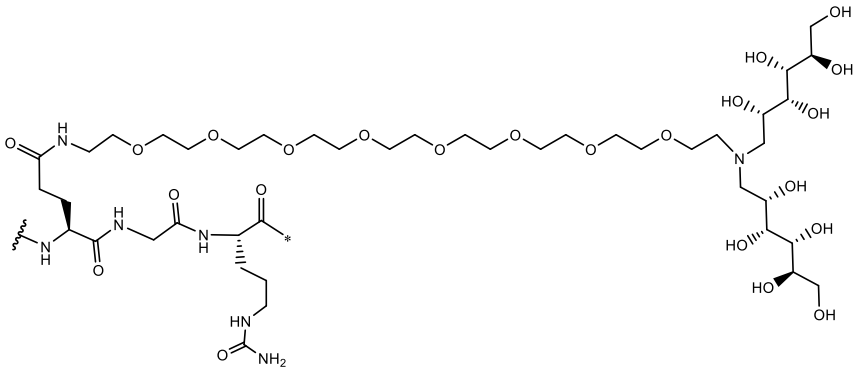
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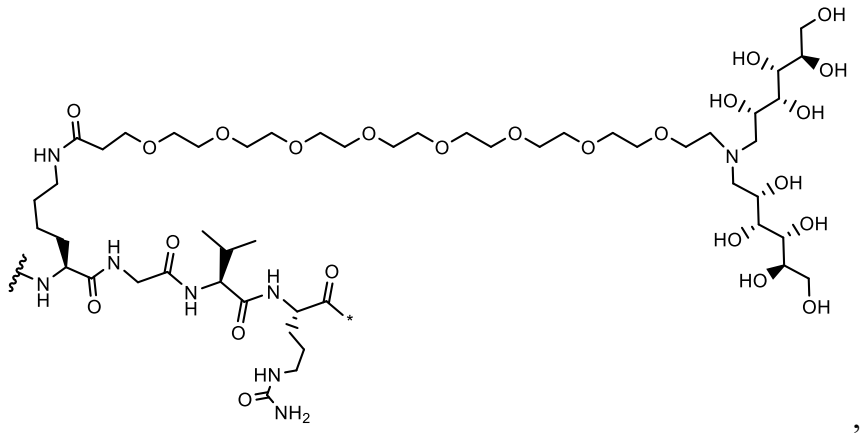
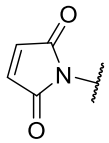
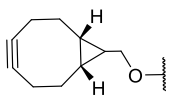
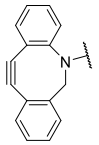
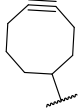
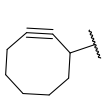
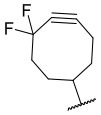
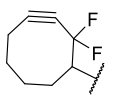
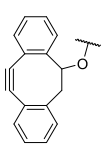
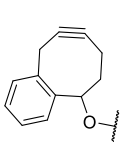
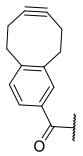
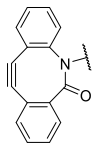
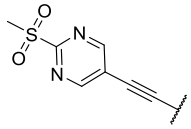
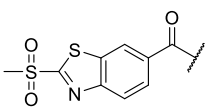
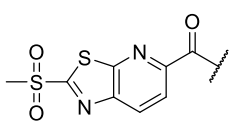
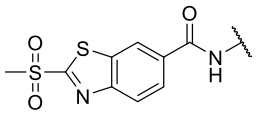
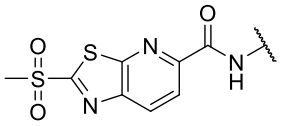

,

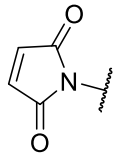
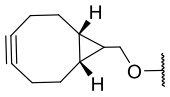
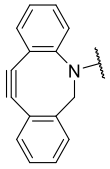
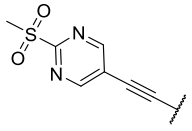
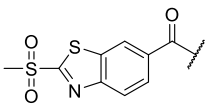
R^{aa} and R^{bb} are each independently selected from C_1-C_6 alkyl;

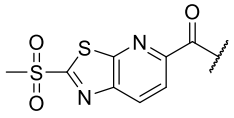
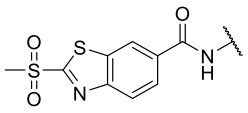
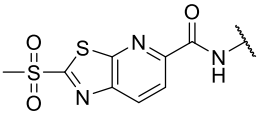
* is a connection site with L2; and

 is a connection site with carbonyl or methylene.

13. The compound represented by the general formula (A) or the pharmaceutically acceptable salt thereof according to any one of claims 1 to 12, wherein Q is selected from , , , , , , ,
, , , , , ,

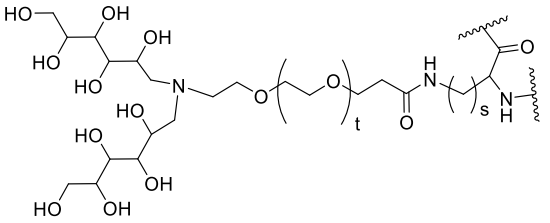
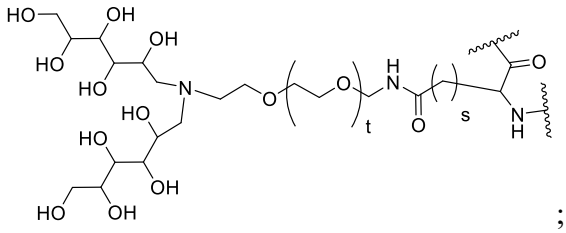
, , and ;

preferably, , , , , ,

, , and .

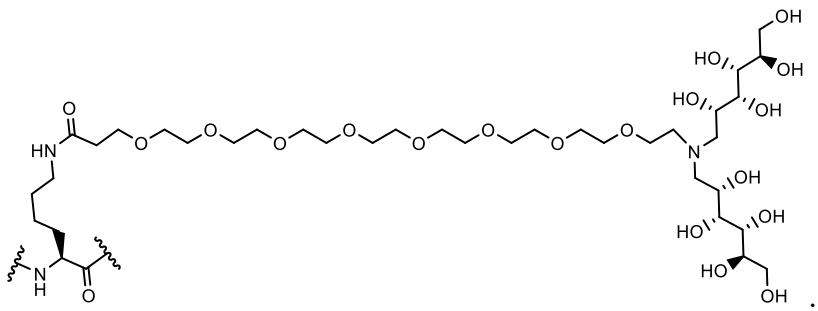
14. The compound represented by the general formula (A) or the pharmaceutically acceptable salt thereof according to any one of claims 1 to 13, wherein Z_1 is selected from a bond, -$(CH_2)_p$-, -$(CH_2)_p$-C(O)NH-, -$(CH_2)_p$-O-$(CH_2)_p$-C(O)NH-, -$(CH_2)_p$-C(O)-L_6-NH-, -$(CH_2)_p$-O-$(CH_2)_p$-C(O)-L_6-NH-, -C(O)NH-, -C(O)O-, -C(O)-, -OC(O)-, and -OC(O)NH-, wherein p is an integer from 1 to 10; preferably, an integer from 1 to 6;

s_1, s_2, s_3, and s_4 are each independently an integer from 0 to 10; preferably, an integer from 0 to 6; more preferably, an integer from 0 to 4; even more preferably, an integer from 0 to 2;

L_6 is selected from  and ;

s is an integer from 1 to 6; preferably, an integer from 2 to 6; more preferably, an integer from 2 to 4;

t is an integer from 0 to 10; and

L_6 is preferably .

15. The compound represented by the general formula (A) or the pharmaceutically acceptable salt thereof according to any one of claims 1 to 14, wherein

Z_1 is selected from a bond, -$(CH_2)_p$-, -$(CH_2)_p$-C(O)NH-, -$(CH_2)_p$-O-$(CH_2)_p$-C(O)NH-, -C(O)NH-, -C(O)O-, -C(O)-, -OC(O)-, and -OC(O)NH-;

s_1 is an integer from 0 to 6; preferably, an integer from 0 to 2;

s_2 is an integer from 0 to 6; preferably, an integer from 0 to 2;

s_3 is 0;

s_4 is 0; and

p is an integer from 1 to 10; preferably, an integer from 1 to 6.

16. The compound represented by the general formula (A) or the pharmaceutically acceptable salt thereof according to any one of claims 1 to 14, wherein

Z_1 is selected from -$(CH_2)_p$-C(O)NH-, -$(CH_2)_p$-O-$(CH_2)_p$-C(O)NH-, and -C(O)NH-;

s_1 is an integer from 1 to 6; preferably, an integer from 2 to 6;

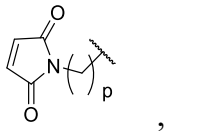
s_2 is an integer from 1 to 10; preferably, an integer from 2 to 10;

s_3 is 0;

s_4 is 0; and

p is an integer from 1 to 10; preferably, an integer from 1 to 6.

17. The compound represented by the general formula (A) or the pharmaceutically acceptable salt thereof according to any one of claims 1 to 16, wherein Q-L_4- is selected from: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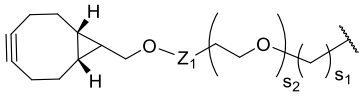
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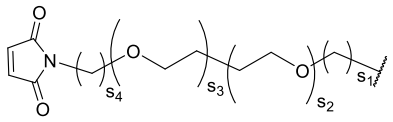
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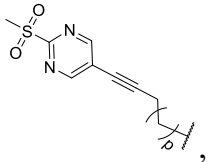
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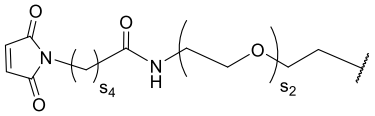
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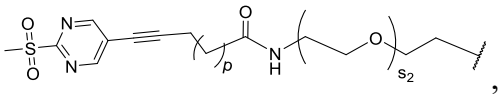
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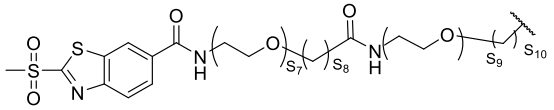
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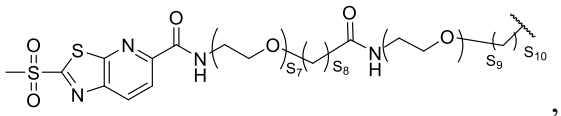

,

wherein:

Z_1 is selected from -C(O)NH-, -C(O)O-, -C(O)-, -OC(O)-, and -OC(O)NH-, preferably -C(O)NH-;

p is an integer from 1 to 10; preferably, an integer from 1 to 6;

s_1 is an integer from 0 to 6; preferably, an integer from 0 to 2;

s_2 is an integer from 1 to 10; preferably, an integer from 1 to 8;

s_3 is an integer from 0 to 6; preferably, an integer from 0 to 2;

s_4 is an integer from 1 to 6; preferably, an integer from 1 to 2;

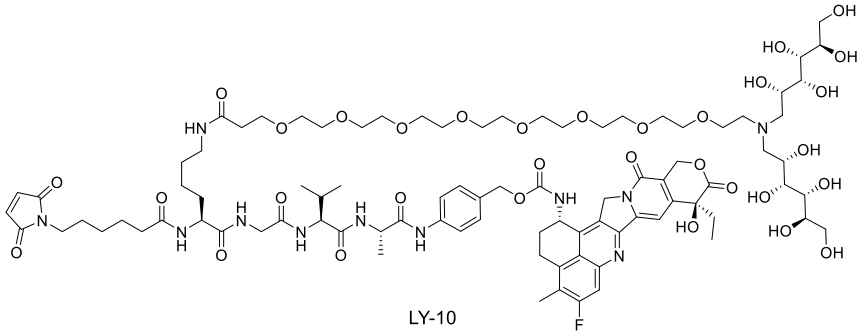
s_7 is an integer from 0 to 6; preferably, an integer from 1 to 2;

s_8 is an integer from 1 to 4; preferably, an integer from 1 to 2;

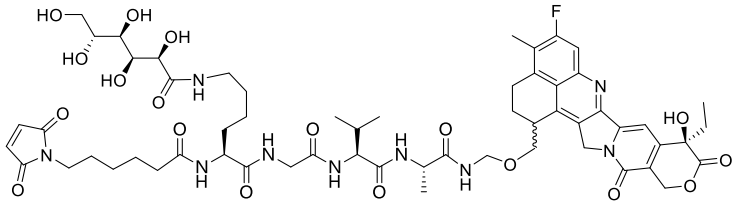
s_9 is an integer from 1 to 10; preferably, an integer from 1 to 8; and

s_{10} is an integer from 1 to 4; preferably, an integer from 1 to 2.

18. The compound represented by the general formula (A) or the pharmaceutically acceptable salt thereof according to any one of claims 1 to 17, wherein the compound is selected from:



LY-10 ,



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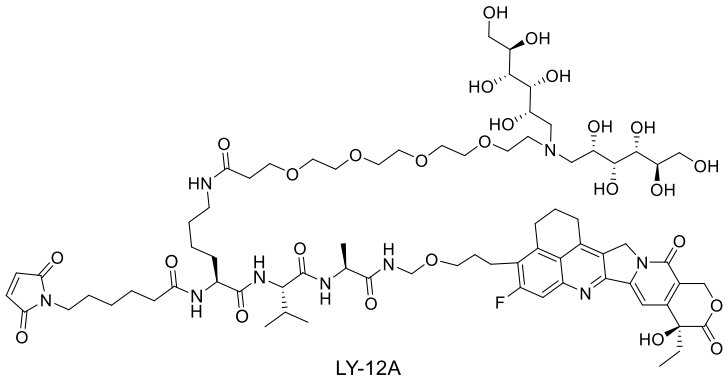
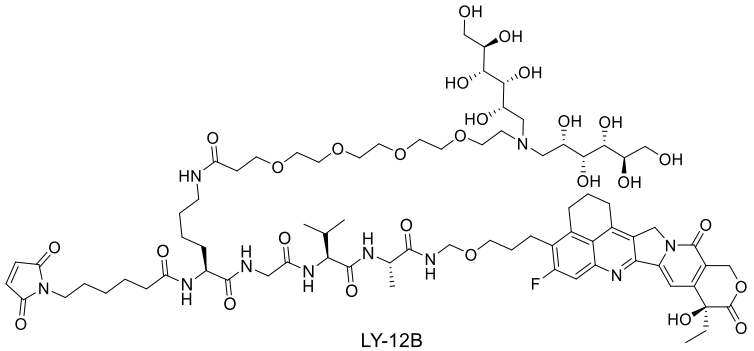


LY-12A ,



LY-12B

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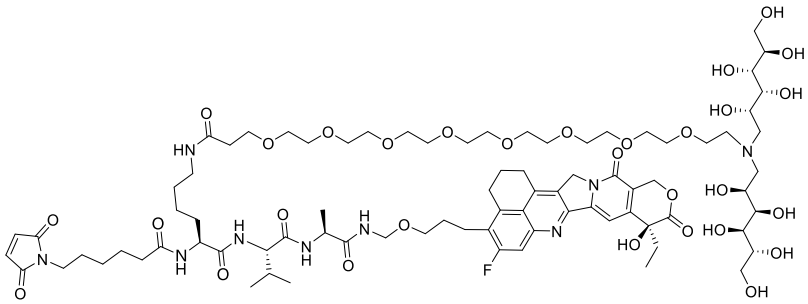

LY-18A

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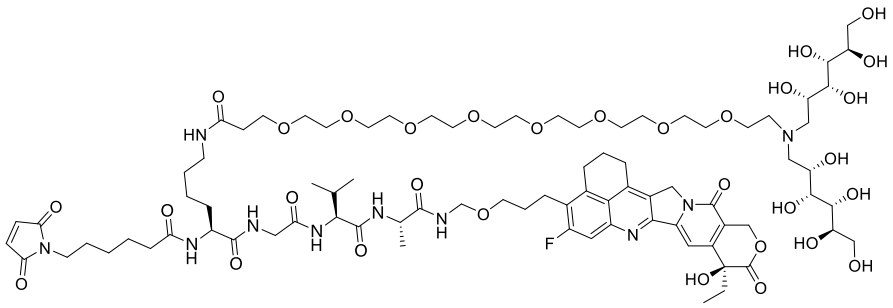

LY-18B

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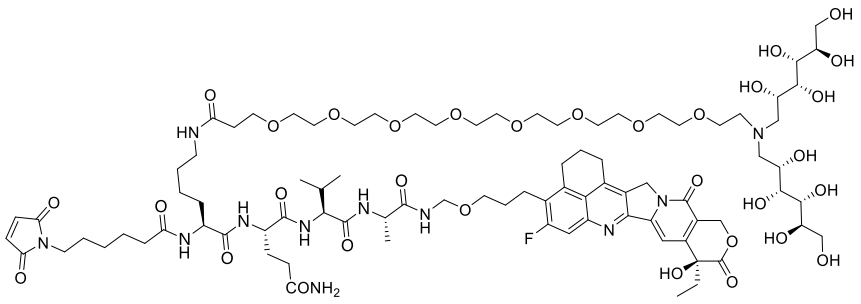

LY-18C

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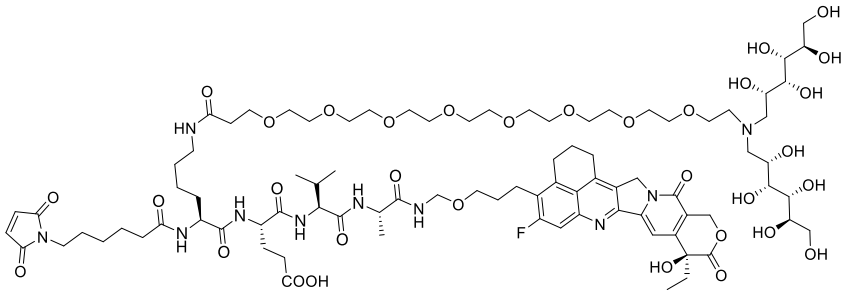

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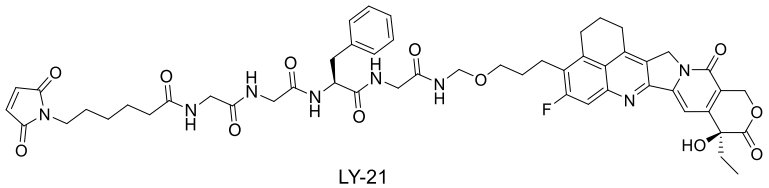

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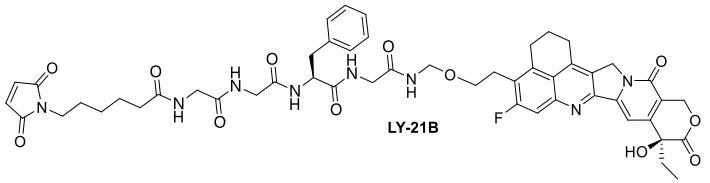

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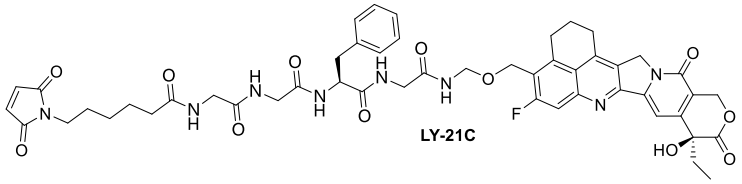

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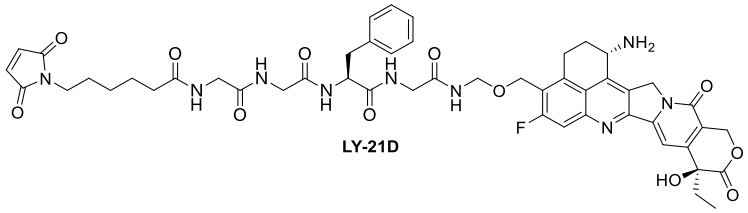

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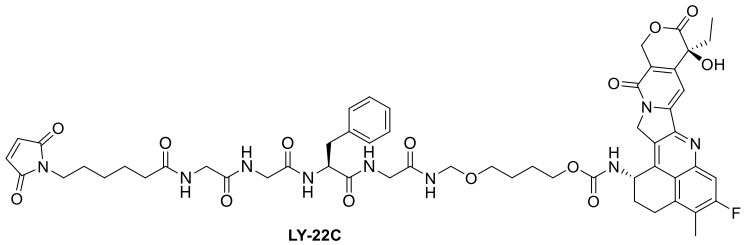

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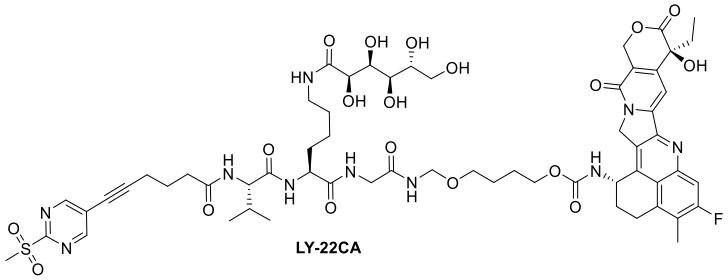

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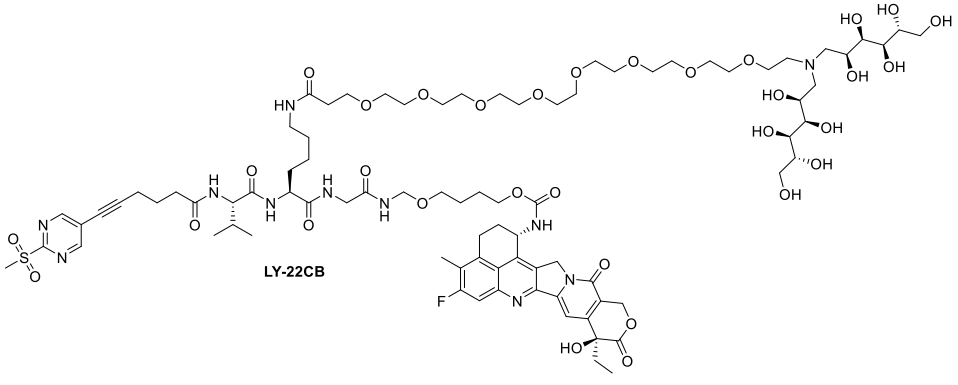

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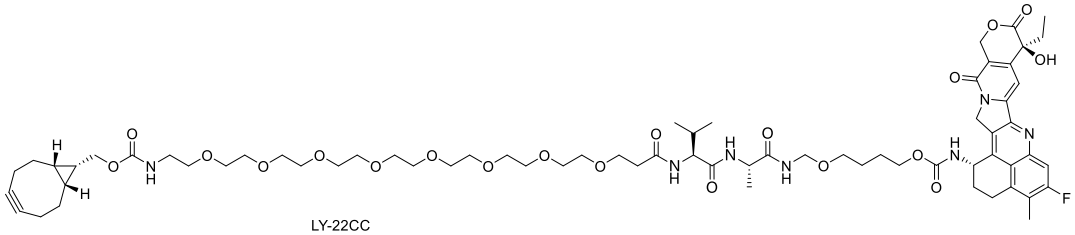

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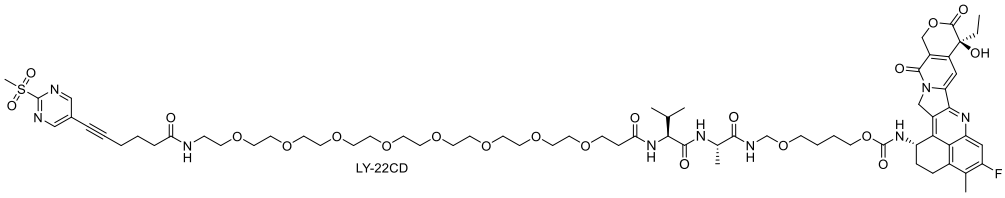

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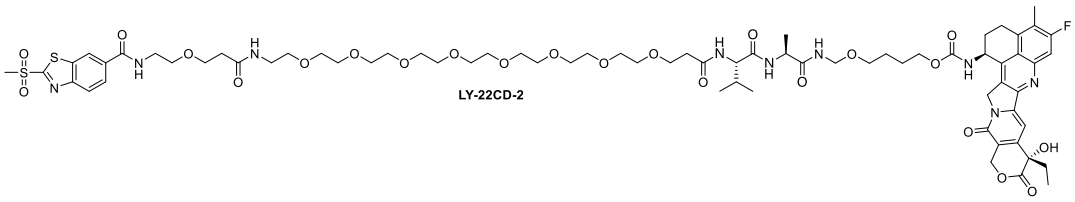

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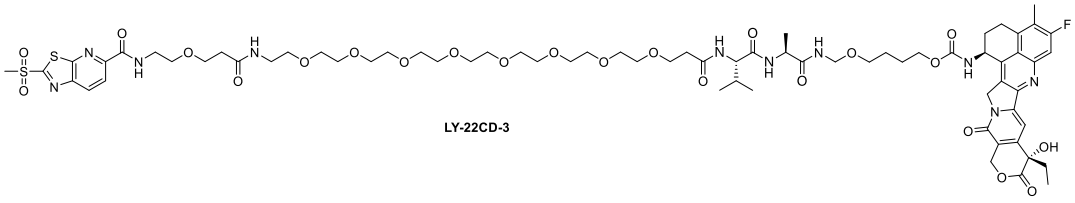

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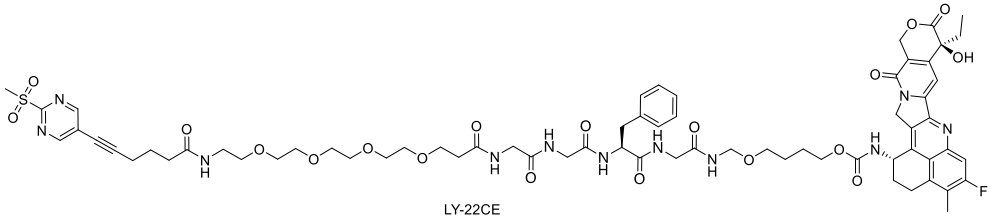

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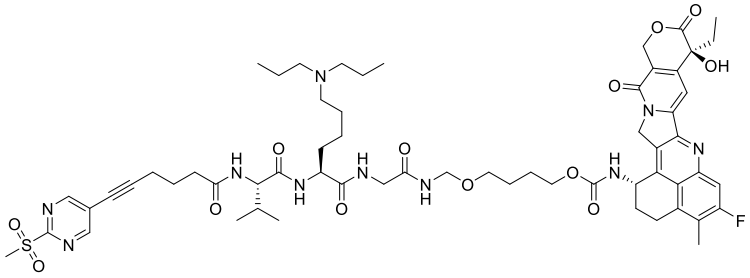

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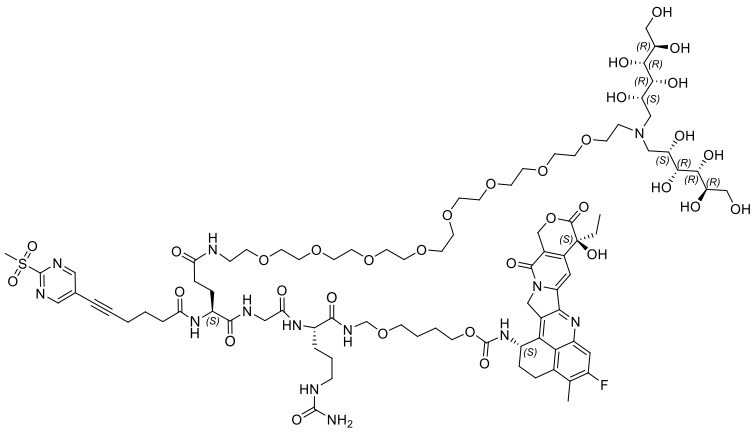

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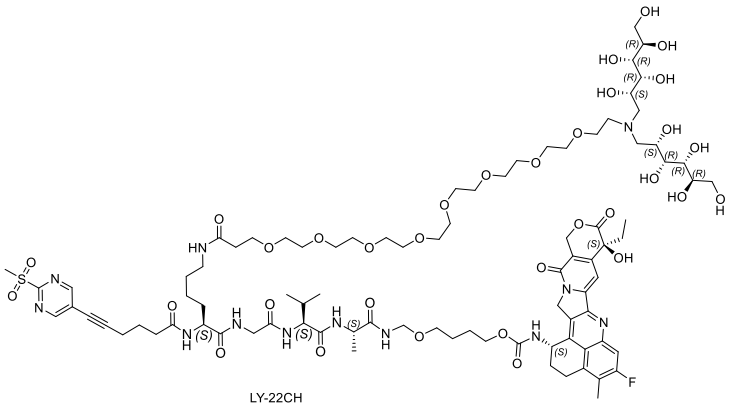

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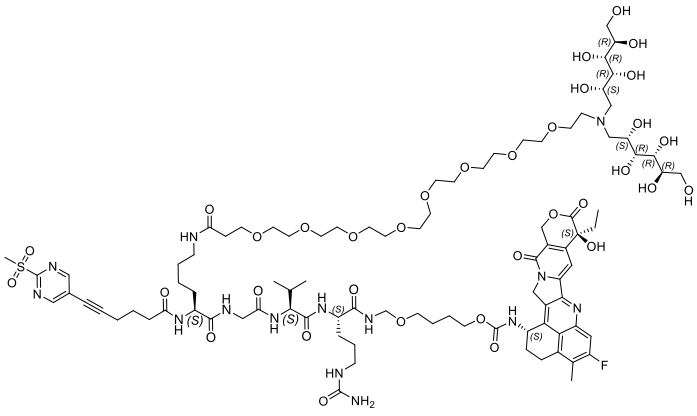

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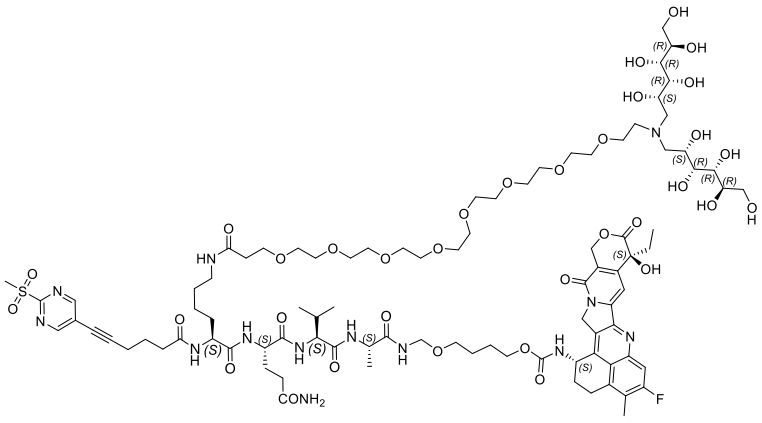

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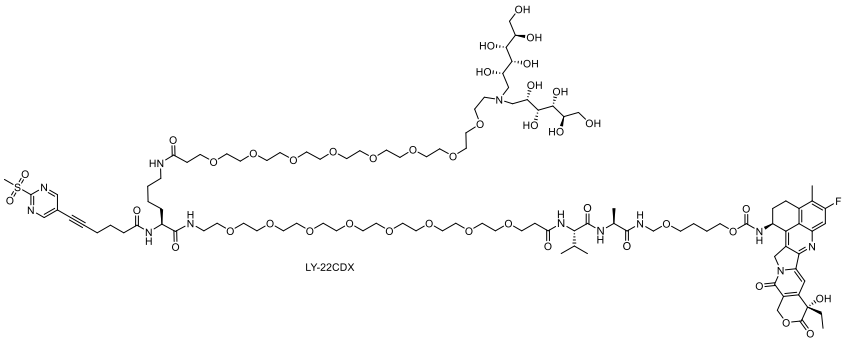

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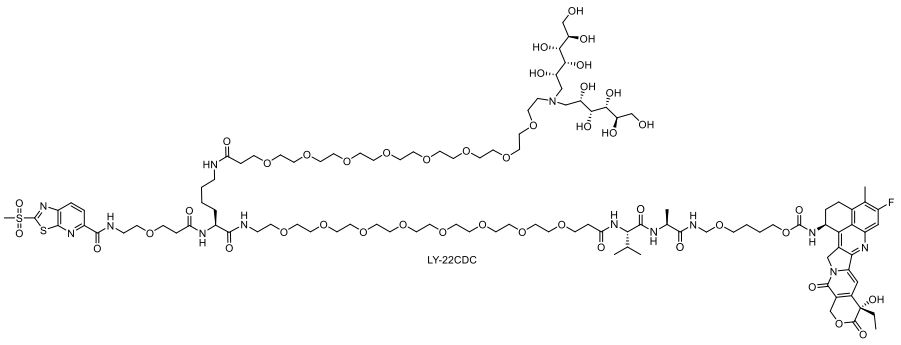

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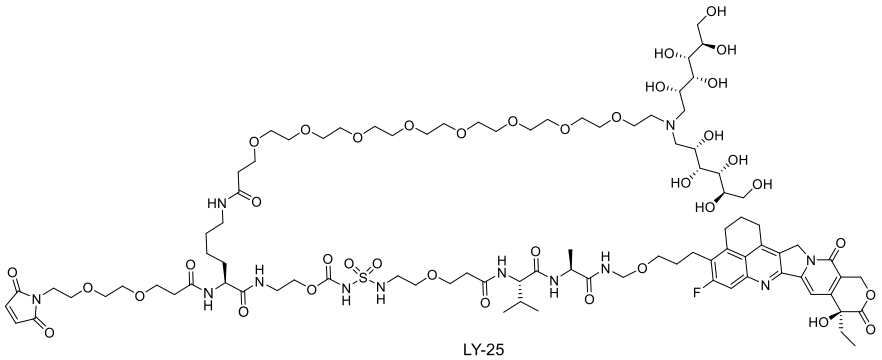

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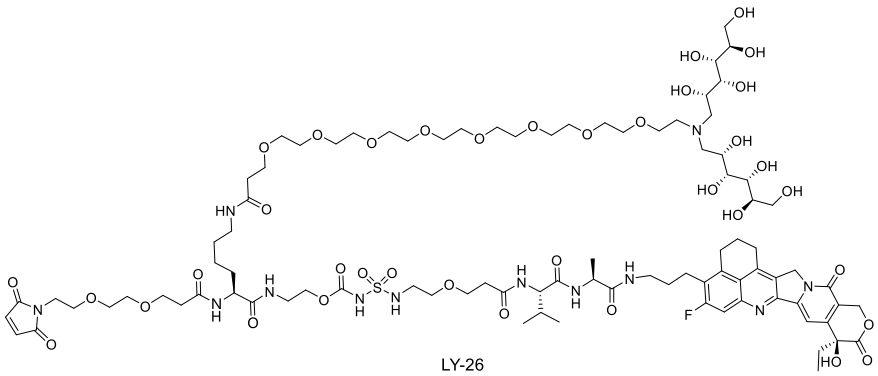

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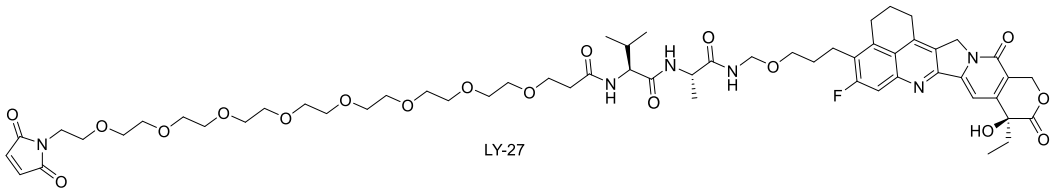

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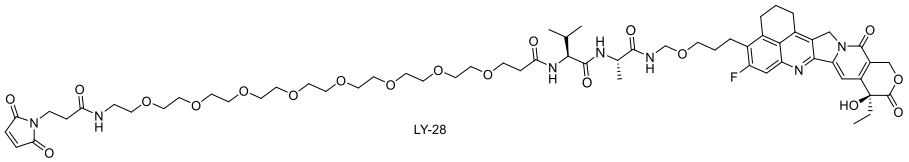

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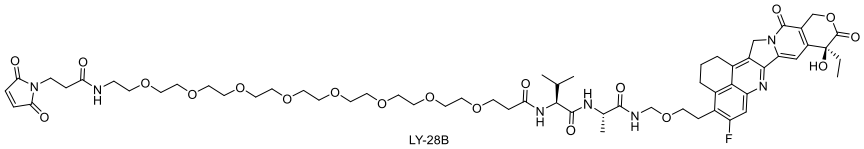

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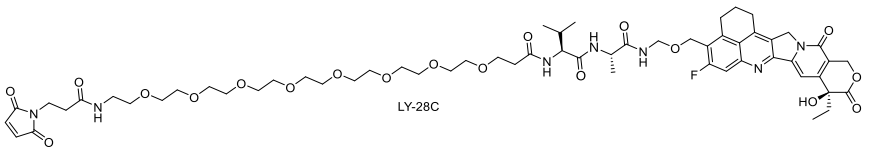

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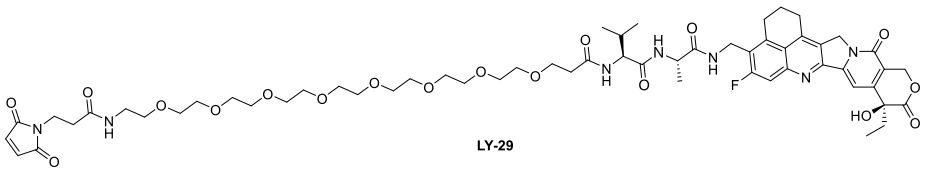

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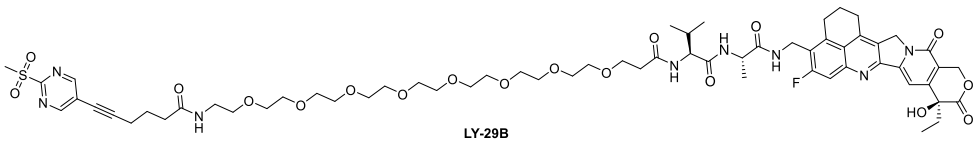

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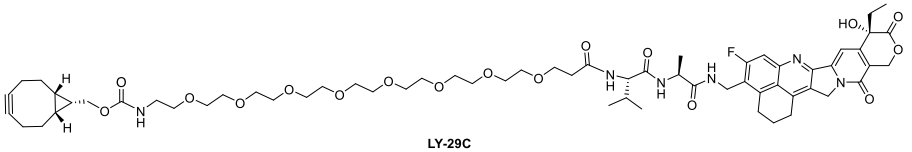

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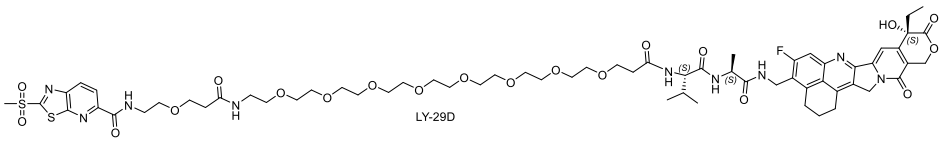

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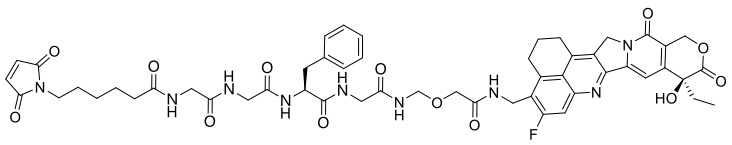

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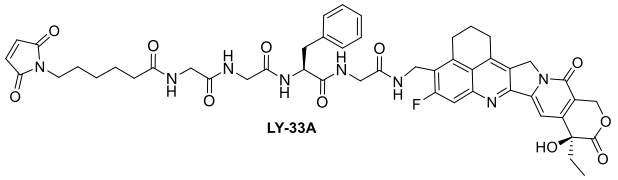

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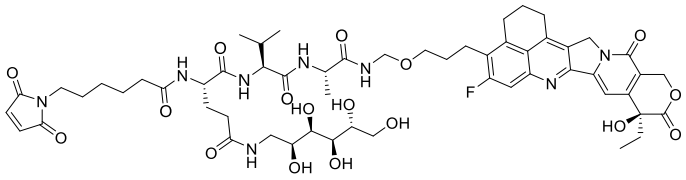

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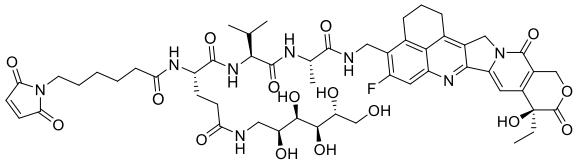

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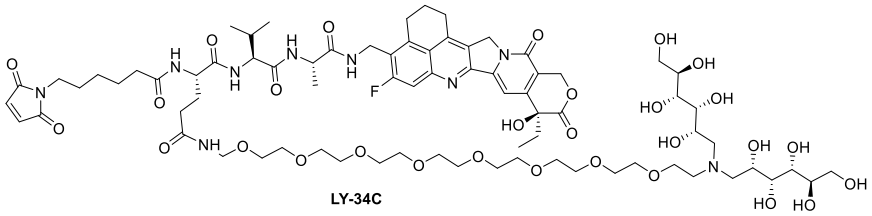

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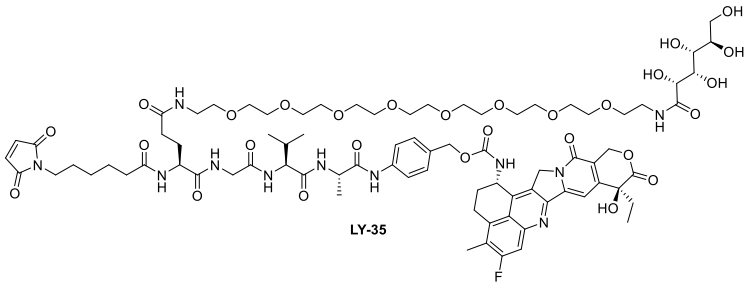

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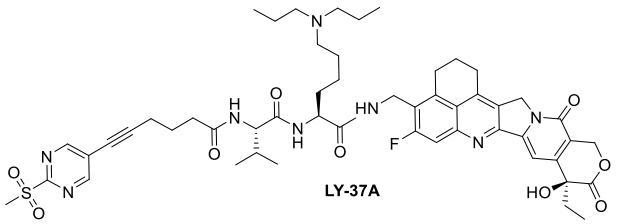

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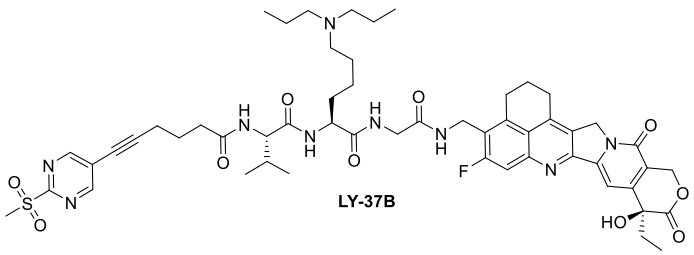

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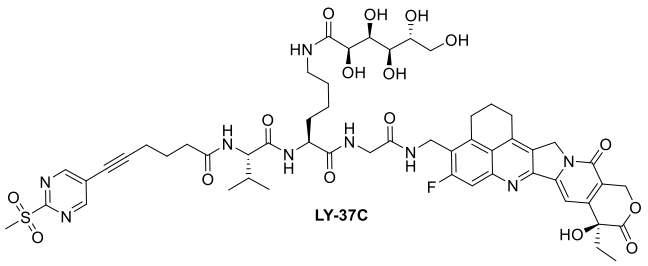

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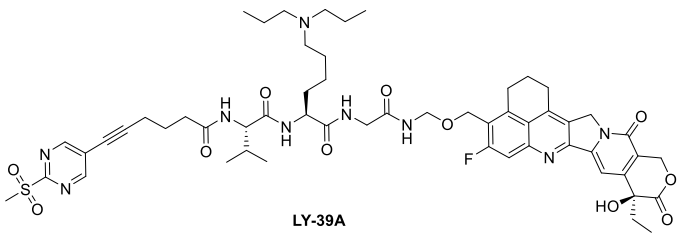

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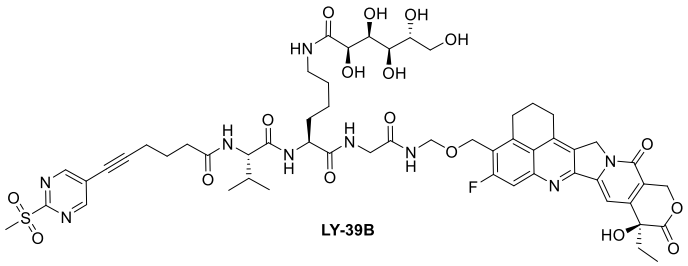

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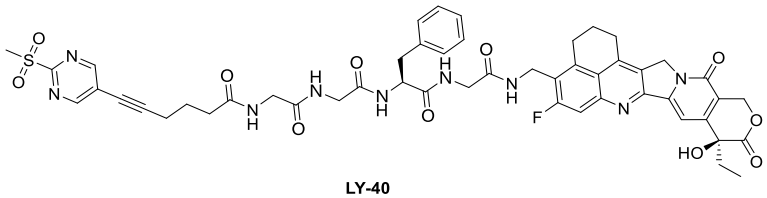

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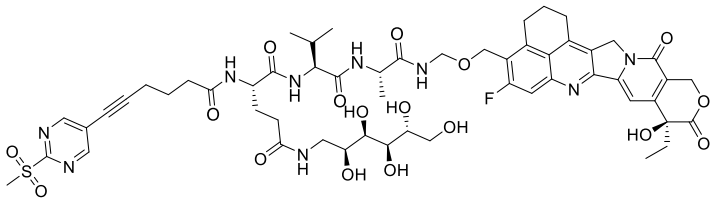

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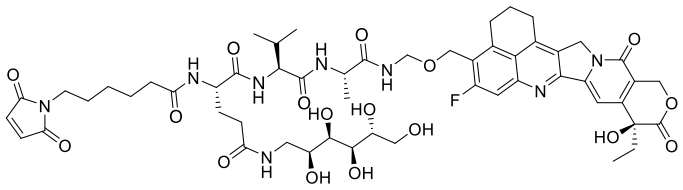

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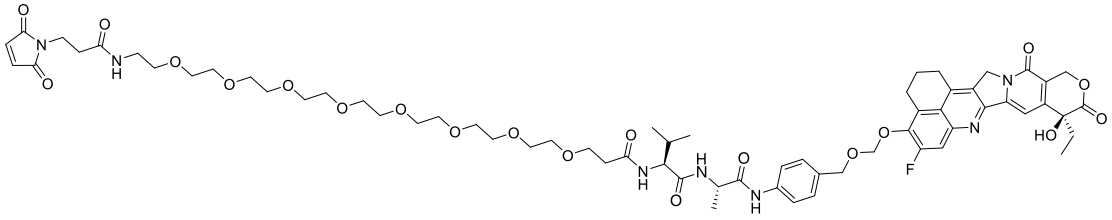

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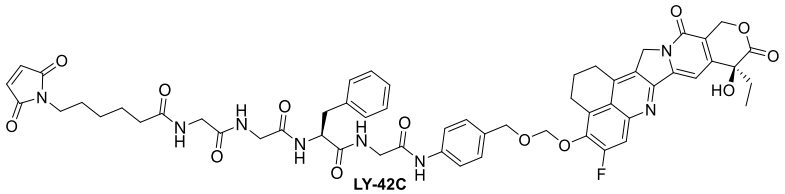
LY-42B ,



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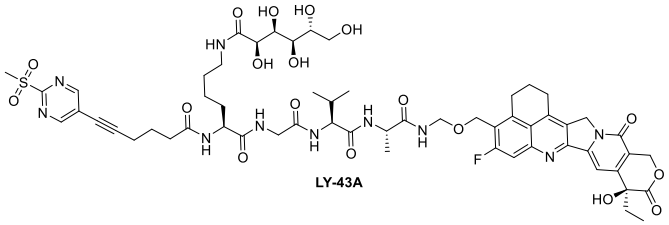

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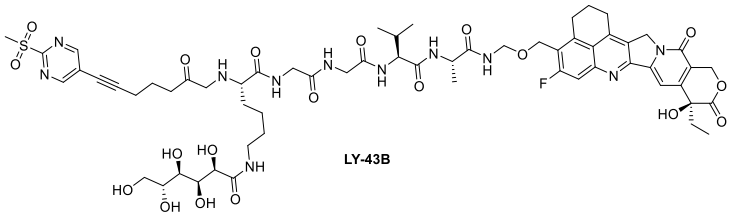

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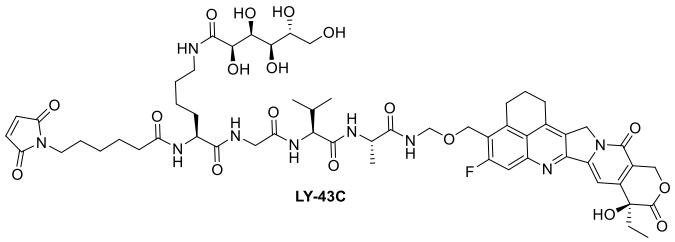

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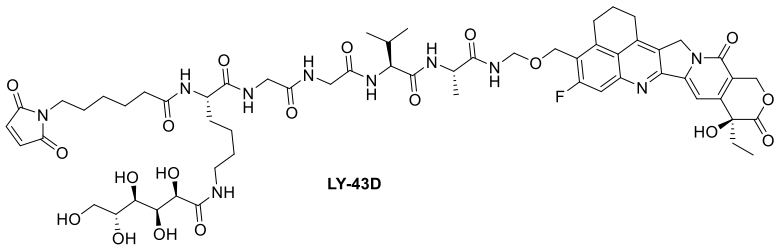

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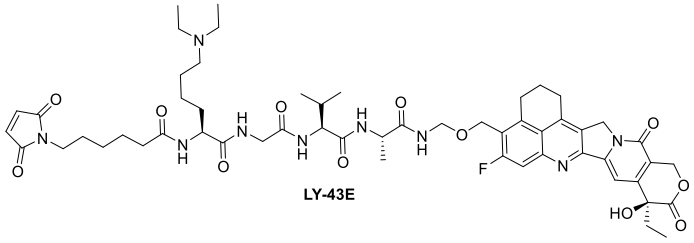

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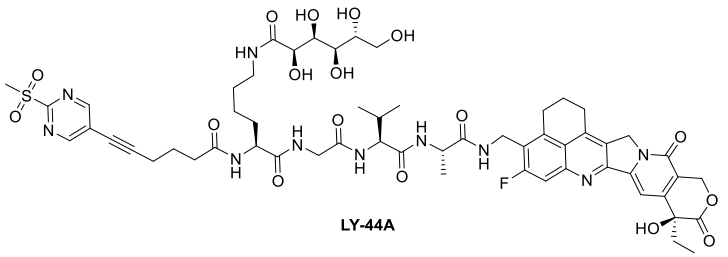

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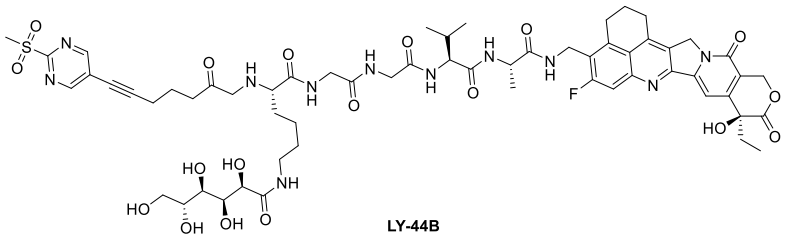

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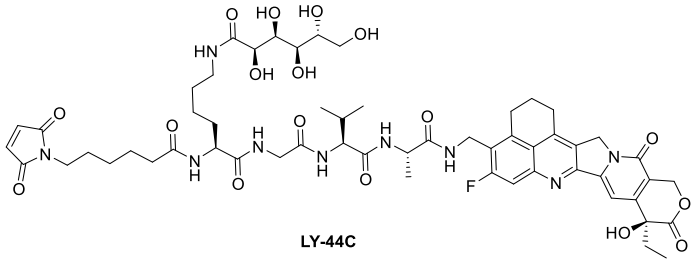

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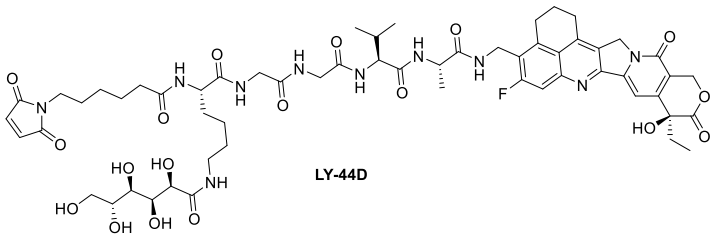

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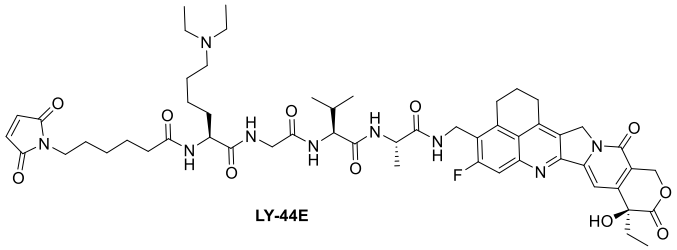

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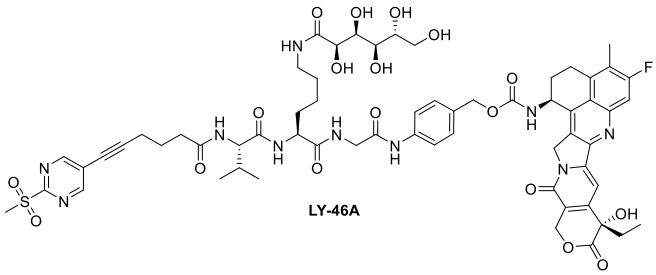

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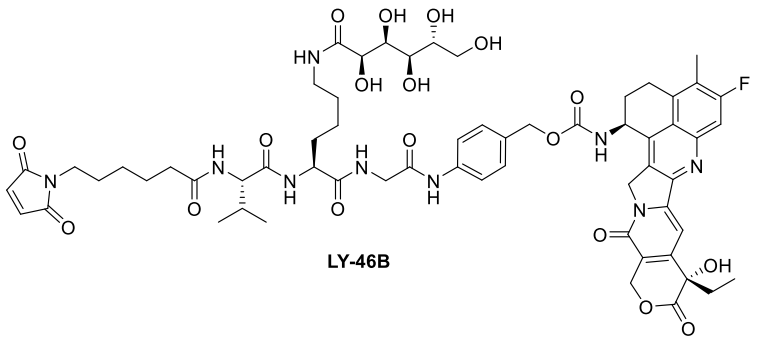

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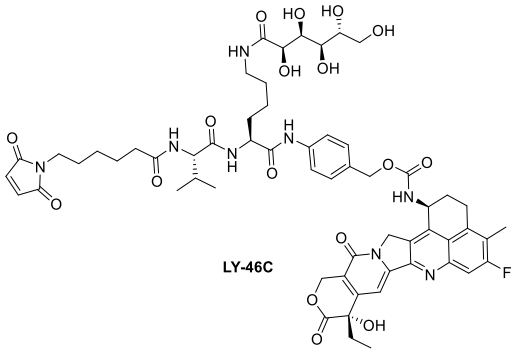

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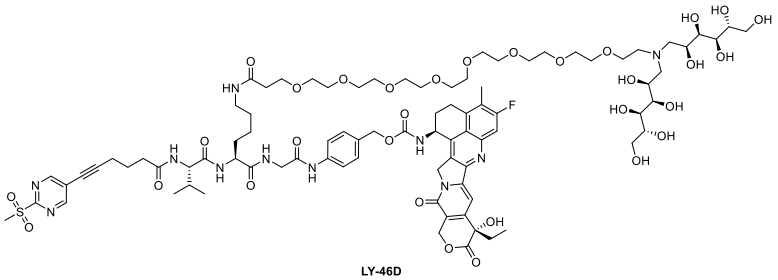

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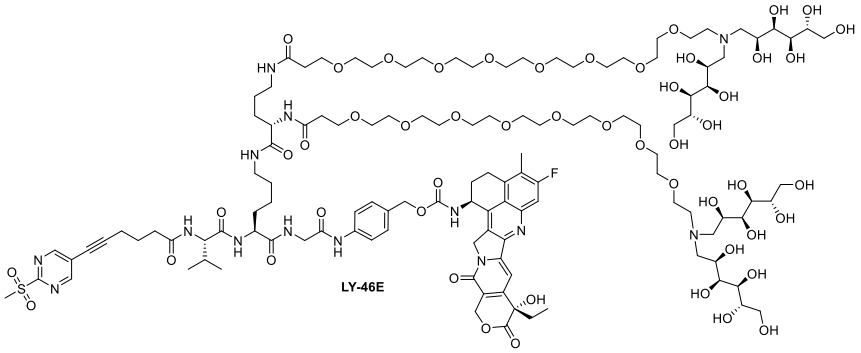

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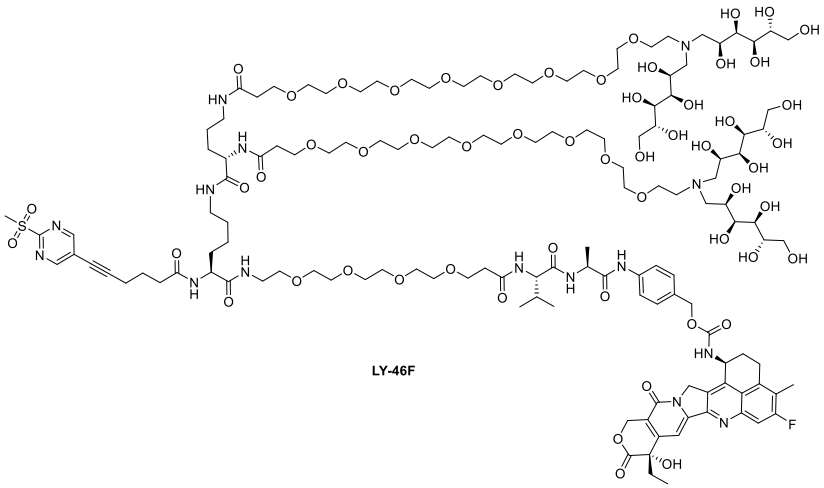

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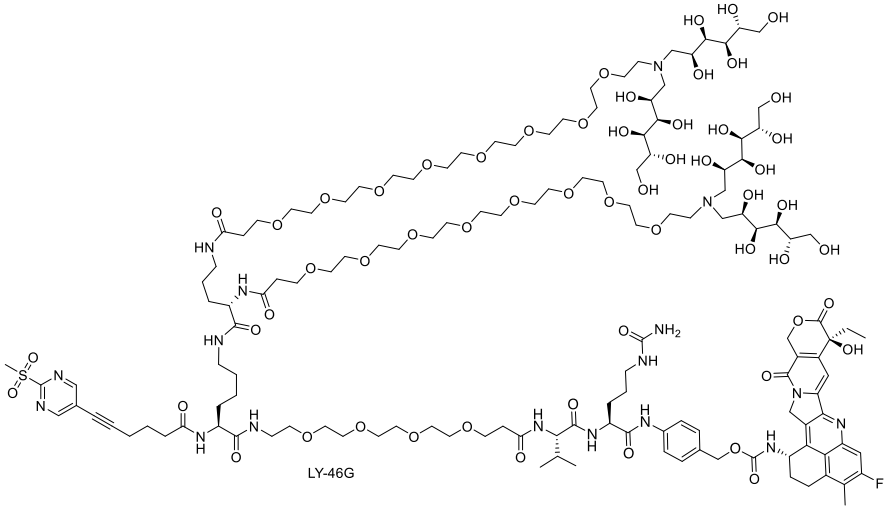

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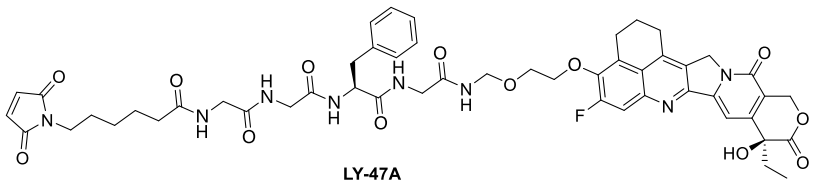

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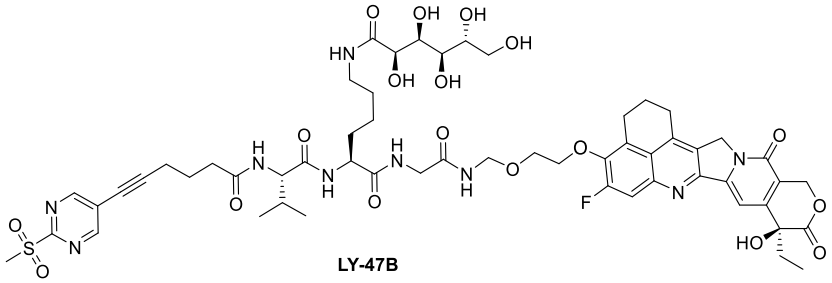

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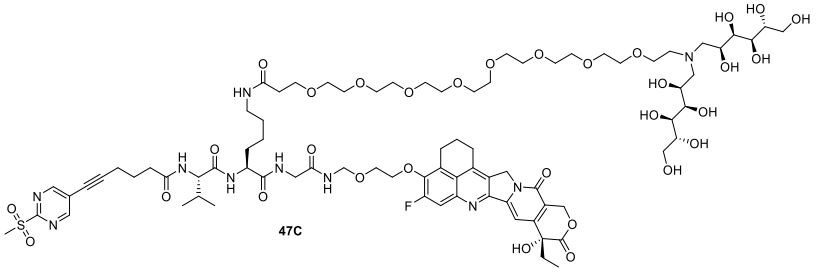

47C

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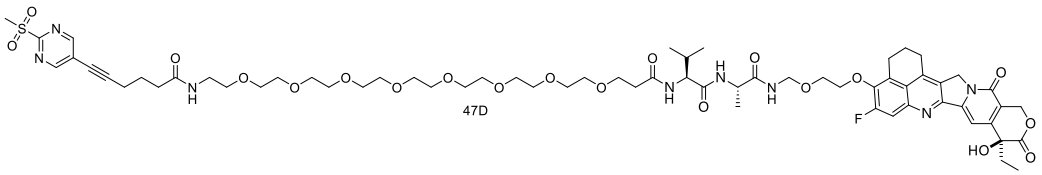
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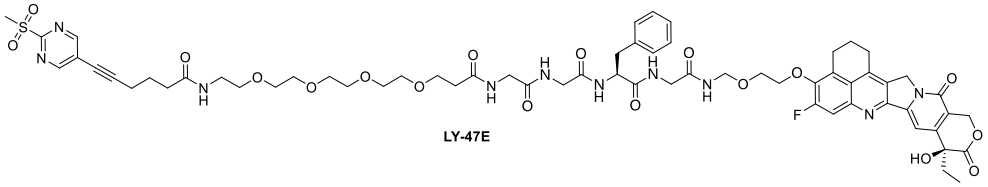
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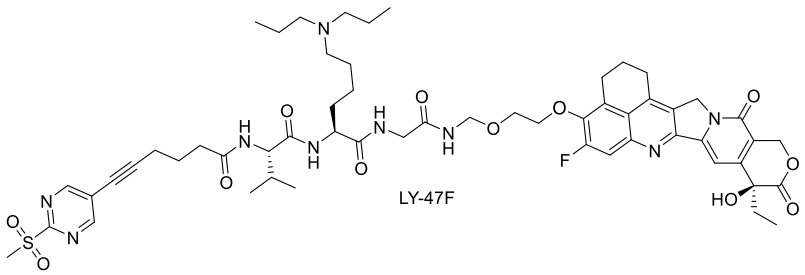
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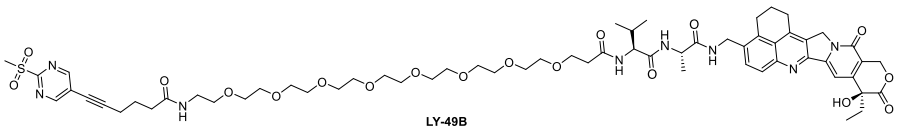
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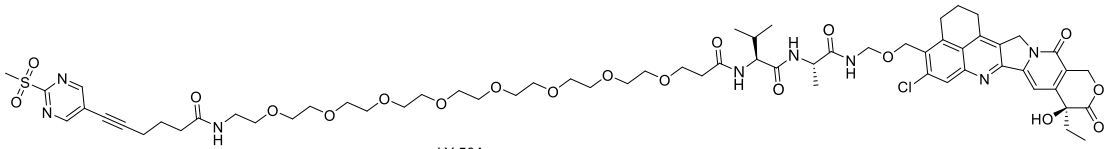
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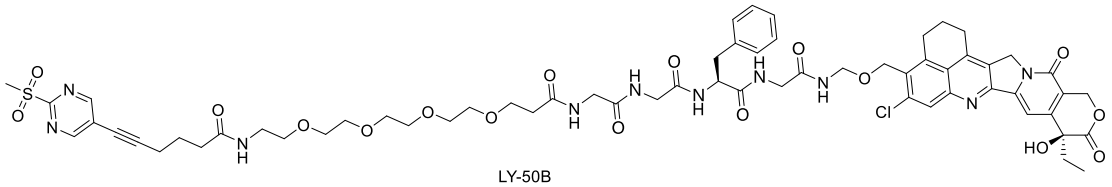
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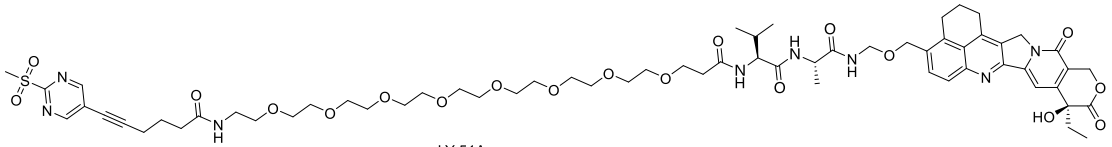
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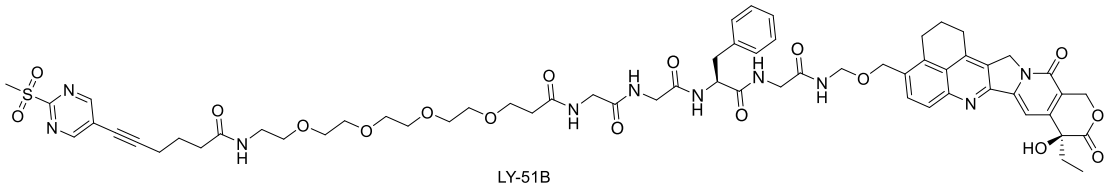
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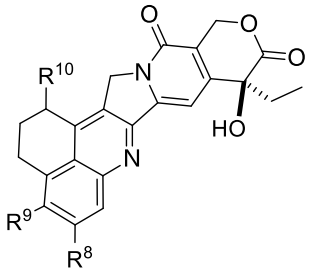
19. A compound represented by general formula (I) or a stereoisomer, tautomer, mesomer, racemate, enantiomer, or diastereomer thereof, or a mixture thereof, or a pharmaceutically acceptable salt thereof;



(I)

wherein,

R^8 is selected from hydrogen, halogen, hydroxyl, amino, cyano, alkyl, alkoxy, alkenyl, and alkynyl, wherein the alkyl, alkoxy, alkenyl, and alkynyl are optionally further substituted by one or more groups selected from halogen; wherein halogen is preferred;

R^9 is selected from hydrogen, C_1-C_6 alkyl, and C_1-C_6 alkoxy; and

R^{10} is $-NR^fC(=O)O-(CH_2)_{4\text{-}6}-OH$,

or

R^9 is selected from $-(CH_2)_m-OH$, $-(CH_2)_m-NR^dR^e$, $-O-(CH_2)_m-NR^dR^e$, $-O-(CH_2)_m-OH$, $-NR^f-(CH_2)_m-OH$, and $-NR^f-(CH_2)_m-NR^dR^e$; and

R^{10} is selected from hydrogen, hydroxyl, C_1-C_6 alkyl, $-NR^dR^e$, $-NR^f-(CH_2)_m-OH$, $-NR^f-(CH_2)_m-NR^dR^e$, $-NR^f-C(=O)R^d$, $-NR^f-C(=O)-(CH_2)_m-R^d$, $-NR^fC(=O)O-(CH_2)_m-R^d$, $-NR^fC(=O)O-(CH_2)_m-OH$, $-NR^fC(=O)NR^d-(CH_2)_m-OH$, $-NR^fC(=O)NR^d-(CH_2)_m-O-(CH_2)_n-OH$, $-NR^fC(=O)O-(CH_2)_m-O-(CH_2)_n-OH$, $-NR^fC(=O)NR^d-(CH_2)_m-O-(CH_2)_n-NR^dR^e$, $-NR^fC(=O)O-(CH_2)_m-O-(CH_2)_n-NR^dR^e$, $-NR^fC(=O)NR^d-(CH_2)_m-NR^dR^e$, and $-NR^fC(=O)O-(CH_2)_m-NR^dR^e$;

R^d and R^e are each independently selected from hydrogen and C_1-C_6 alkyl;

R^f is selected from hydrogen and C_1-C_6 alkyl;

m is an integer from 1 to 6; and

n is an integer from 1 to 6.

20. The compound represented by the general formula (I) or the stereoisomer, tautomer, mesomer, racemate, enantiomer, or diastereomer thereof, or the mixture thereof, or the pharmaceutically acceptable salt thereof according to claim 19,

wherein,

R^9 is selected from hydrogen and C_1-C_6 alkyl; and

R^{10} is $-NR^fC(=O)O-(CH_2)_4-OH$,

or

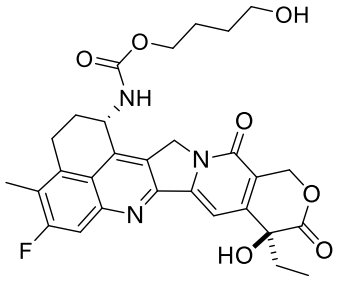
R^9 is selected from $-(CH_2)_m-OH$ and $-(CH_2)_m-NR^dR^e$; and

R^{10} is selected from hydrogen and C_1-C_6 alkyl; and

m is an integer from 1 to 6, preferably an integer from 1 to 4.

21. The compound represented by the general formula (I) or the stereoisomer, tautomer, mesomer, racemate, enantiomer, or diastereomer thereof, or the mixture thereof, or the pharmaceutically acceptable salt thereof according to any one of claims 19 to 20, wherein, R^8 is selected from halogen.

22. The compound represented by the general formula (I) or the stereoisomer, tautomer, mesomer, racemate, enantiomer, or diastereomer thereof, or the mixture thereof, or the pharmaceutically acceptable salt thereof according to claim 19, which is selected from: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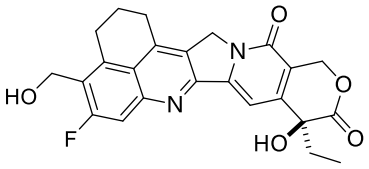
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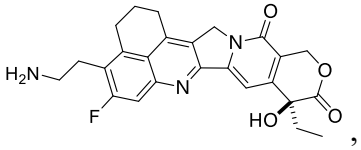
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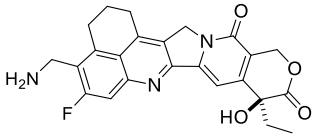
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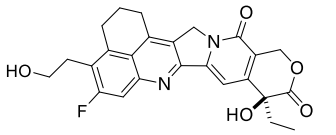
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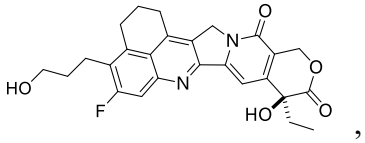
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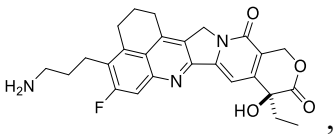
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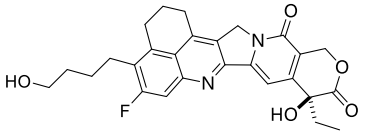
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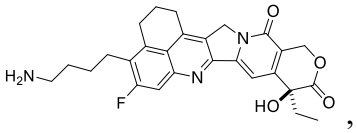
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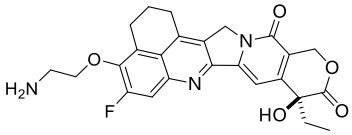
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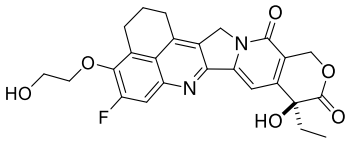
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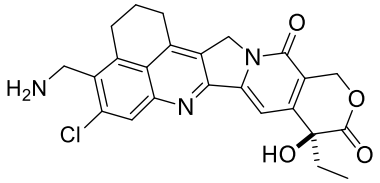
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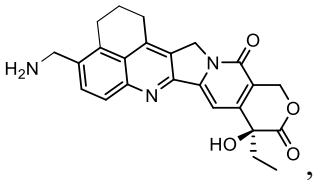
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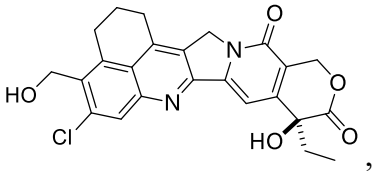
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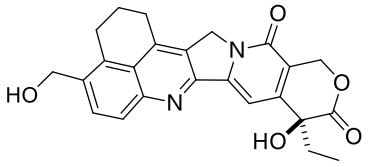
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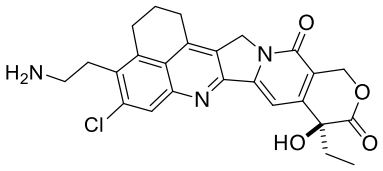
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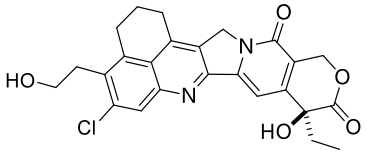
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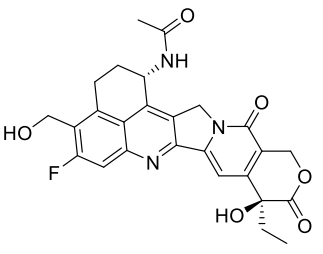
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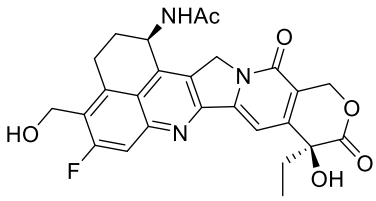
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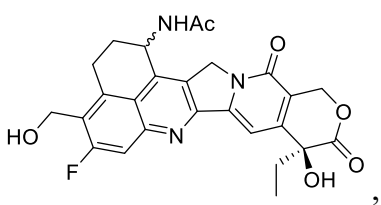
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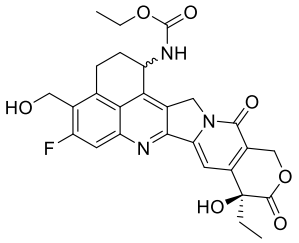
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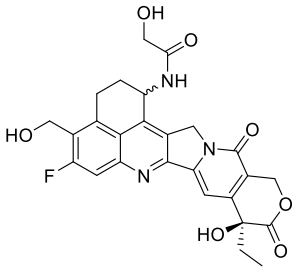
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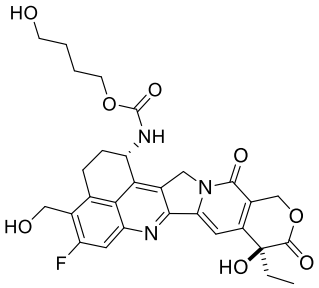
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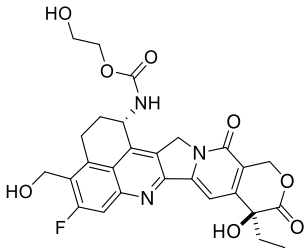
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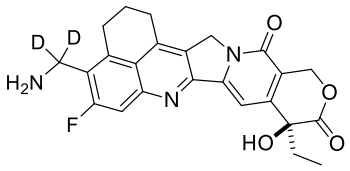
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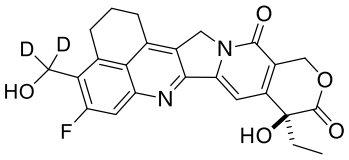
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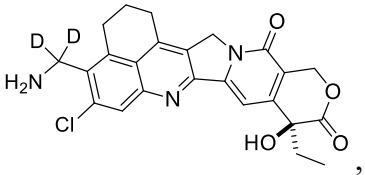
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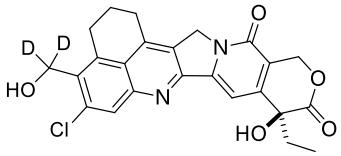
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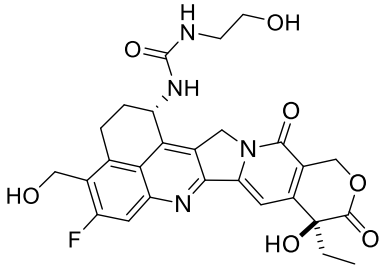
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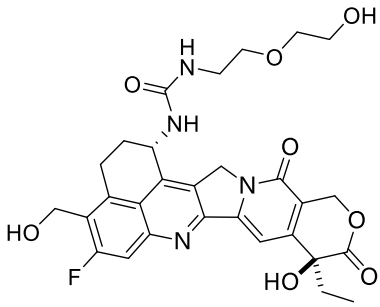
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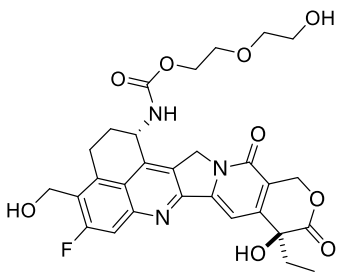
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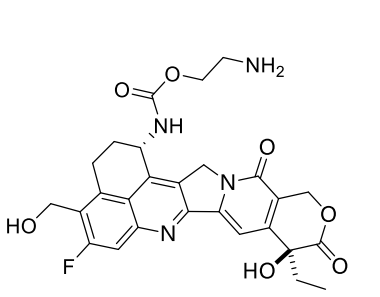
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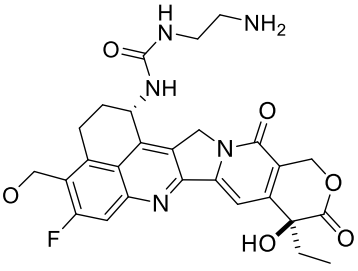
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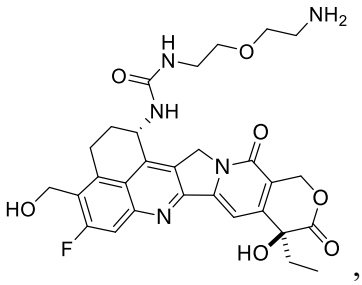
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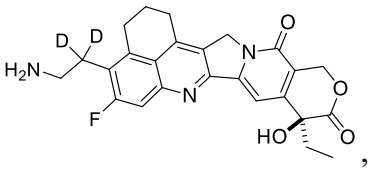
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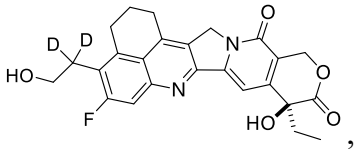
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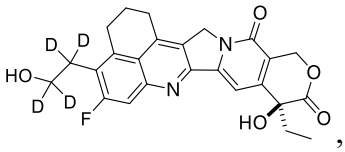
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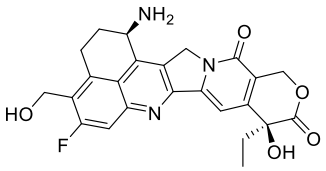
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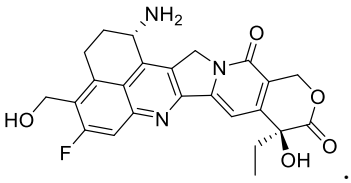
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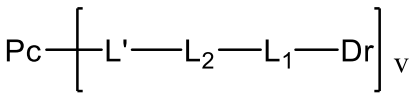
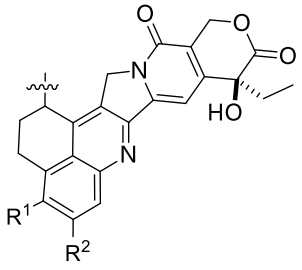
23. A ligand-drug conjugate represented by general formula (B) or a pharmaceutically acceptable salt thereof,



(B)

wherein:

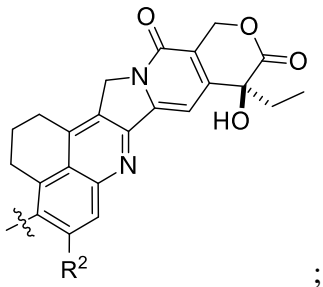
Dr has the following structure:


;

wherein R^1 is selected from hydrogen, C_1-C_6 alkyl, C_1-C_6 alkoxy, and -$(CH_2)_m$-OH;

L_1 is selected from -NR^a-* and -$NR^bC(=O)O$-$(CH_2)_{4-6}$-O-*, wherein * is a connection site with L_2; or

Dr has the following structure:


;

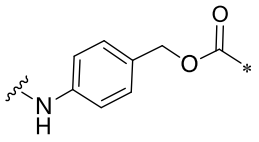
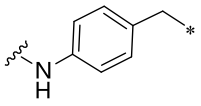
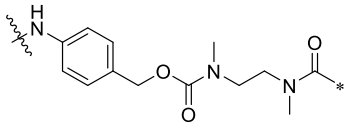
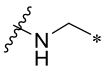
wherein L_1 is selected from -$(CH_2)_m$-O-*, -$(CH_2)_m$-NR^a-*, -NR^b-$(CH_2)_m$-O-*, -NR^b-$(CH_2)_m$-NR^a-*, -O-$(CH_2)_m$-O-*, -O-$(CH_2)_m$-NR^a-*, wherein * is a connection site with L_2;

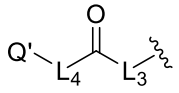
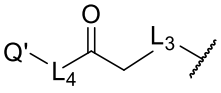
R^a is selected from hydrogen and C_1-C_6 alkyl;

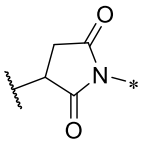
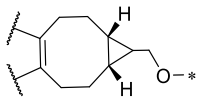
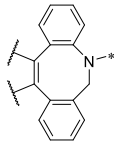
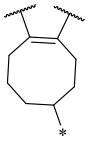
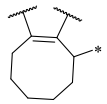
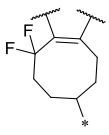
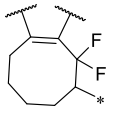
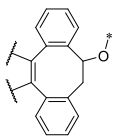
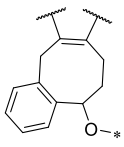
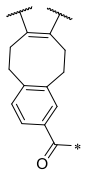
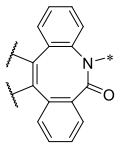
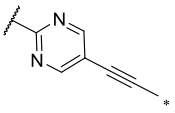
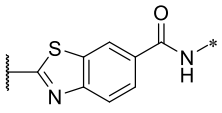
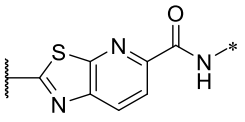
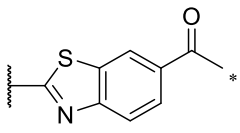
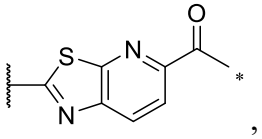
R^b is selected from hydrogen and C_1-C_6 alkyl;

m is an integer from 1 to 6;

R^2 is selected from hydrogen, halogen, hydroxyl, amino, cyano, alkyl, alkoxy, alkenyl, and alkynyl, wherein the alkyl, alkoxy, alkenyl, and alkynyl are optionally further substituted by one or more groups selected from halogen;

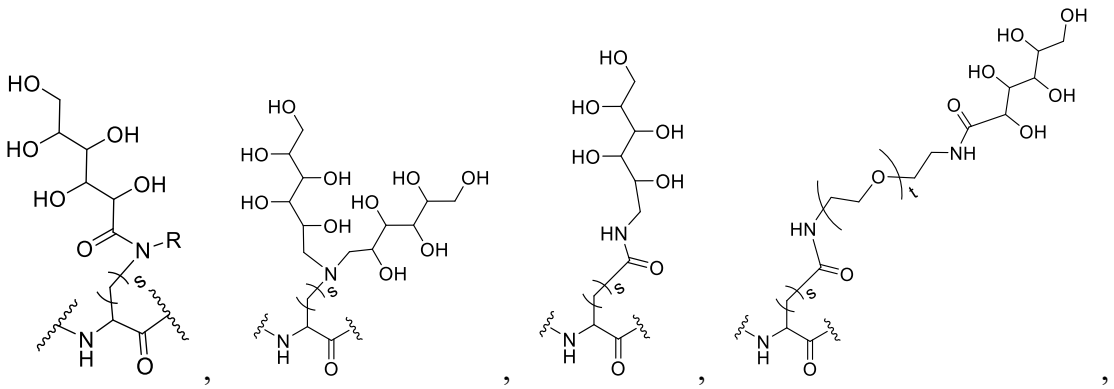
L_2 is selected from a bond, , , , and , wherein * is a connection site with L_1;

L' is  or ;

Q' is selected from , , , , , , , , , , , , , , , and ,

wherein, * is a connection site with L_4, and  is a connection site with Pc;

L_3 is an amino acid residue formed by two or more amino acids, L_3 optionally comprises one or more of the following structures, and L_6 is selected from one or more of the following structures: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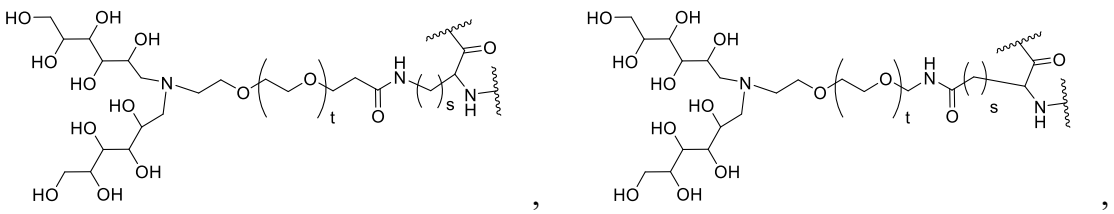
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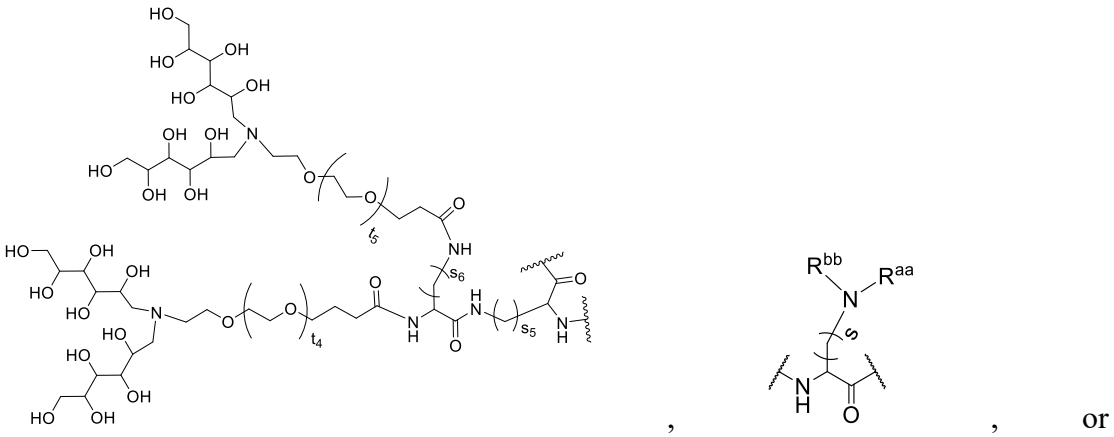
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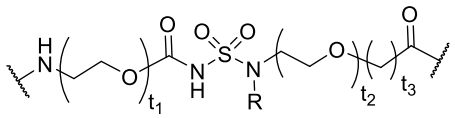
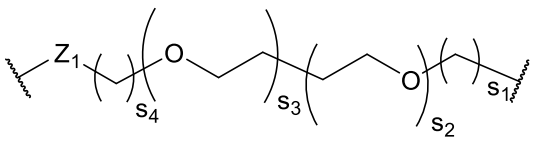
, or

;

wherein R, R^{aa}, and R^{bb} are each independently selected from hydrogen and alkyl;

L_4 is 
;

Z_1 is selected from a bond, $-(CH_2)_p-$, $-(C_2H_4O)_q-$, $-(CH_2)_p-C(O)NH-$, $-(CH_2)_p-O-(CH_2)_p-C(O)NH-$, $-(CH_2)_p-C(O)-L_6-NH-$, $-(CH_2)_p-O-(CH_2)_p-C(O)-L_6-NH-$, -C(O)NH-, -C(O)O-, -C(O)-, -OC(O)-, -NH-, -O-, and -OC(O)NH-;

s is an integer from 1 to 6;

t is an integer from 0 to 10;

s_1, s_2, s_3, and s_4 are each independently an integer from 0 to 10;

s_5 and s_6 are each independently an integer from 1 to 6;

t_1 is an integer from 1 to 6;

t_2 is an integer from 0 to 6;

t_3 is an integer from 1 to 6;

t_4 is an integer from 0 to 10;

t_5 is an integer from 0 to 10;

p is an integer from 1 to 10;

q is an integer from 1 to 10;

v is from 1 to 10, and v is a decimal or an integer;

Pc is an antibody or an antigen-binding fragment thereof, or a modified antibody;

the modified antibody has Pc'-$((L_5)_w$-F$)_x$ structure, wherein:

Pc' is an antibody;

L_5 is a linker;

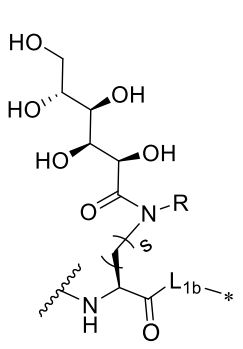
w is 0 or 1;

F is a clickable probe or sulfhydryl or a precursor thereof that can be connected to Q' after a reaction such as a metal-free click reaction, and preferably, F represents an azido group; and

x is an integer from 1 to 8.

24. The ligand-drug conjugate represented by the general formula (B) or the pharmaceutically acceptable salt thereof according to claim 23, wherein:

L_3 is selected from: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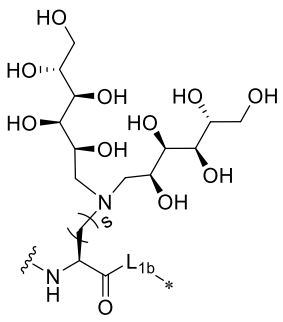
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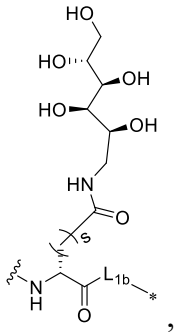
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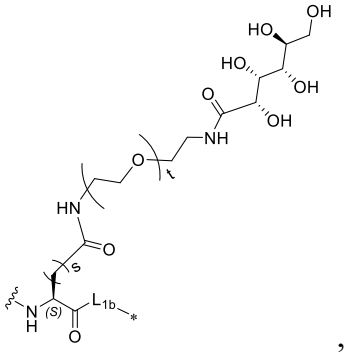
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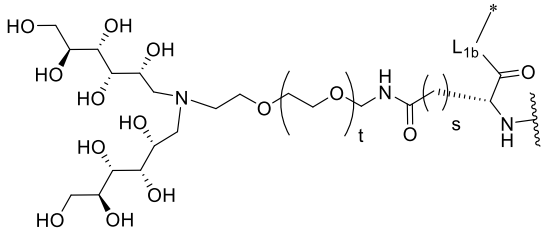
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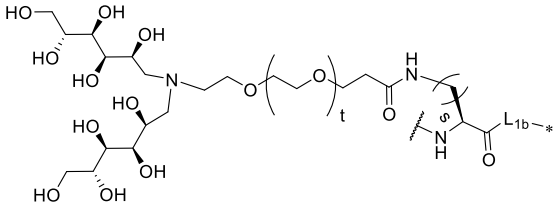
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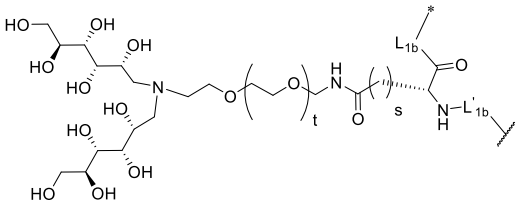
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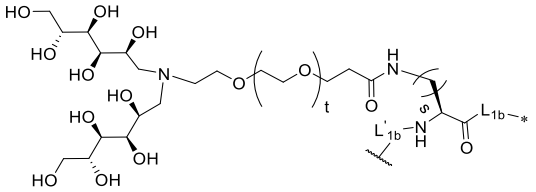
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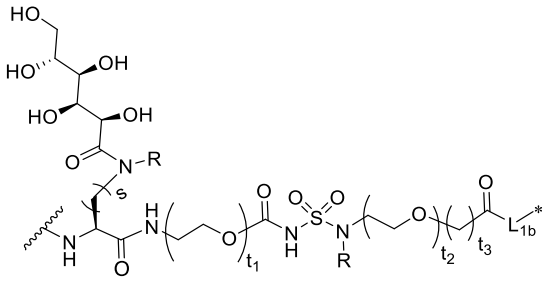
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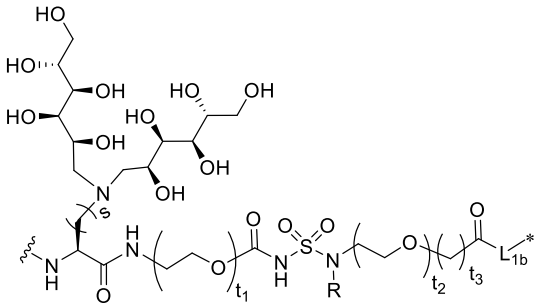
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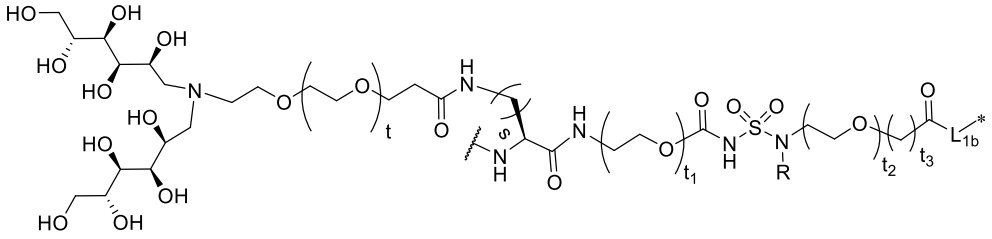
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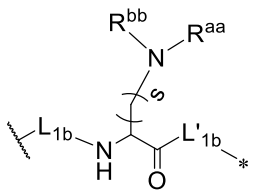
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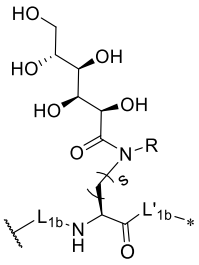
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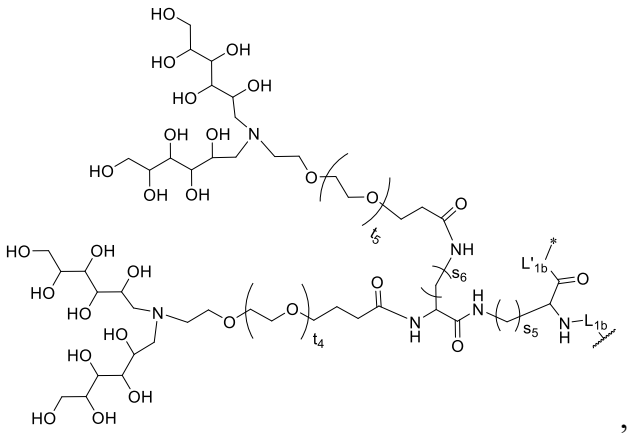


,

R is selected from hydrogen and C_1-C_6 alkyl, and is preferably hydrogen;

R^{aa} and R^{bb} are each independently selected from C_1-C_6 alkyl;

s is an integer from 1 to 6; preferably, an integer from 2 to 6; more preferably, an integer from 2 to 4;

s_5 and s_6 are each independently an integer from 1 to 6; preferably, an integer from 2 to 6; more preferably, an integer from 2 to 4;

t is an integer from 0 to 10;

t_1 is an integer from 1 to 6; preferably, an integer from 1 to 4; more preferably, an integer from 2 to 4; even more preferably 1 or 2;

t_2 is an integer from 0 to 6; preferably, an integer from 1 to 4; more preferably 1 or 2;

t_3 is an integer from 1 to 6; preferably, an integer from 1 to 4; more preferably 1 or 2;

t_4 is an integer from 0 to 10;

t_5 is an integer from 0 to 10;

* is a connection site with L_2;

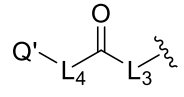
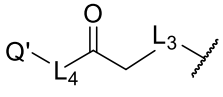
is a connection site with carbonyl or methylene;

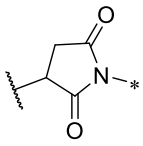
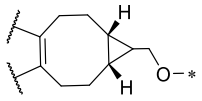
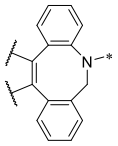
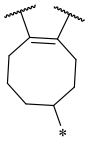
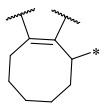
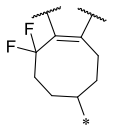
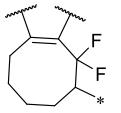
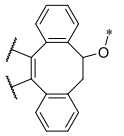
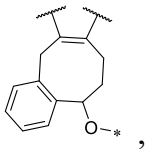
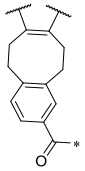
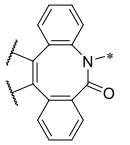
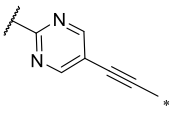
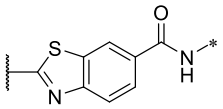
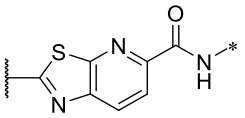
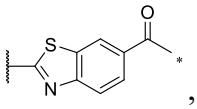
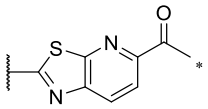
L_{1b} and L'_{1b} are each independently an amino acid residue formed by one or more amino acids selected from glycine, phenylalanine, citrulline, leucine, isoleucine, alanine, valine, asparagine, glutamine, arginine, glutamic acid, and lysine; preferably an amino acid residue formed by two or more amino acids selected from glycine, phenylalanine, citrulline, valine, lysine, glutamine, glutamic acid, leucine, and alanine; and

preferably, L_{1b} and L'_{1b} are each independently selected from -Gly-*, -Val-*, -Gly-Phe-Gly-*, -Phe-Gly-*, -Gly-Val-Cit-*, -Val-Cit-*, -Gly-Val-Arg-*, -Val-Arg-*, -Gly-Val-Ala-*, -Val-Ala-*, -Gly-Phe-*, -Phe-Gly-*, -Gly-Gly-Gly-*, Gly-Gly-*, -Gly-Val-Gly-*, -Gly-Ala-Gly-*, -Gly-Phe-Cit-*, -Gly-Phe-Val-*, -Gly-Phe-Ala-*, -Gly-Phe-Lys-*, -Phe-Lys-*, -Gly-Val-*, -Gly-Cit-*, -Gly-Ala-*, -Gly-Gly-Lys-*, Gly-Lys'-*, -Ala-Ala-Ala-*, -Gln-Val-Ala-*, -Gln-Val-Cit-*, -Asp-Val-Ala-*, -Asp-Val-Cit-*, -Lys-Gly-

Val-Ala-*, -Lys-Gly-Val-Cit-*, -Lys-Gly-Gly-Val-Ala-*, -Lys-Gly-Gly-Val-Cit-*, Gly-Gly-Phe-Gly-*, -Lys-Gln-Val-Cit-*, -Lys-Gln-Val-Ala-*, -Lys-Glu-Val-Cit-*, -Lys-Glu-Val-ALa-*, -Lys-Asp-Val-Cit-*, -Lys-Asp-Val-Ala-*, Glu-Val-Cit-*, Glu-Val-Ala-*, -Lys-Val-Ala-*, -Lys-Val-Cit-*, -Val-Lys-Gly-*, and -Val-Lys-*; preferably, -Gly-Phe-Gly-*, -Gly-Val-Cit-*, -Val-Cit-*, -Gly-Val-Ala-*, -Val-Ala-*, -Gly-Phe-Gly-*, -Phe-Gly-*, -Gly-Phe-Lys-, -Phe-Lys- *, -Gln-Val-Ala-*, -Gln-Val-Cit-*, -Asp-Val-Ala-*, -Lys-Gly-Val-Ala-*, -Lys-Gly-Val-Cit-*, -Lys-Gly-Gly-Val-Ala-*, -Lys-Gly-Gly-Val-Cit-*, Gly-Gly-Phe-Gly-*, -Lys-Gln-Val-Cit-*, -Lys-Gln-Val-Ala-*, -Lys-Glu-Val-Cit-*, -Lys-Glu-Val-ALa-*, -Lys-Asp-Val-Cit-*, -Lys-Asp-Val-Ala-*, Glu-Val-Cit-*, Glu-Val-Ala-*, -Lys-Val-Ala-*, -Lys-Val-Cit-*, -Val-Lys-Gly-*, -Val-Lys-*, and -Asp-Val-Cit-*, wherein * is a connection site with L_2.

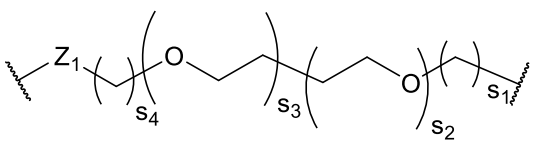
25. The ligand-drug conjugate represented by the general formula (B) or the pharmaceutically acceptable salt thereof according to claim 23, wherein:

L' is  or ;

Q' is selected from , , , , , , , , , , , , , , , and ,

wherein, * is a connection site with L_4, and  is a connection site with Pc;

L_3 is an amino acid residue formed by two or more amino acids selected from glycine, phenylalanine, citrulline, leucine, isoleucine, alanine, valine, asparagine, glutamine, arginine, glutamic acid, and lysine, preferably an amino acid residue formed by two or more amino acids selected from glycine, phenylalanine, citrulline, valine, lysine, glutamine, glutamic acid, leucine, and alanine;

L_4 is ;

Z_1 is selected from a bond, -$(CH_2)_p$-, -$(CH_2)_p$-C(O)NH-, -$(CH_2)_p$-O-$(CH_2)_p$-C(O)NH-, -$(CH_2)_p$-C(O)-L_6-NH-, -$(CH_2)_p$-O-$(CH_2)_p$-C(O)-L_6-NH-, -C(O)NH-, -C(O)O-, -C(O)-, -

OC(O)-, -NH-, -O-, and -OC(O)NH-;

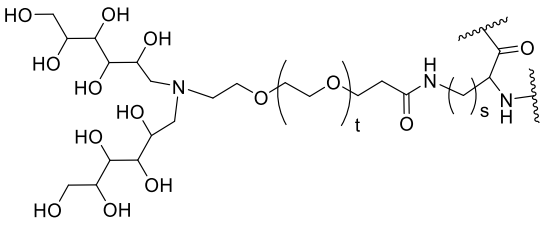
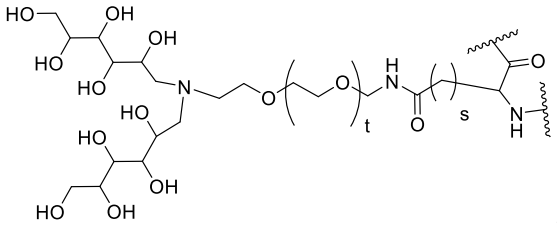
s_1 is an integer from 1 to 6; preferably, an integer from 2 to 6;

s_2 is an integer from 1 to 10; preferably, an integer from 2 to 10;

s_3 is 0;

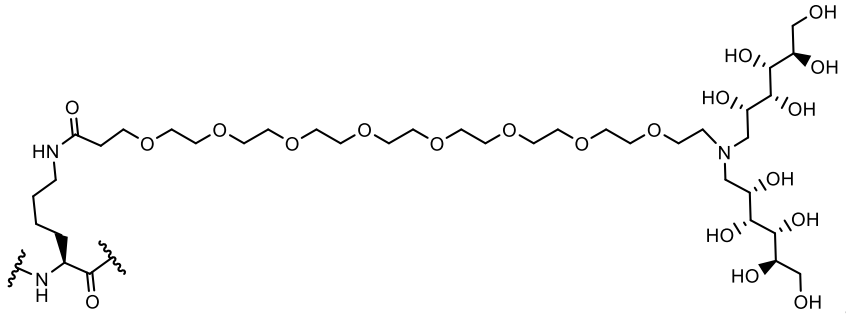
s_4 is 0;

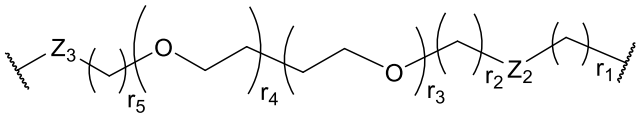
p is an integer from 1 to 10; preferably, an integer from 1 to 6;

L_6 is selected from  and ;

s is an integer from 1 to 6; preferably, an integer from 2 to 6; more preferably, an integer from 2 to 4;

t is an integer from 0 to 10; and

L_6 is preferably .

26. The ligand-drug conjugate represented by the general formula (B) or the pharmaceutically acceptable salt thereof according to any one of claims 23 to 25, wherein L_5 is ;

Z_2 and Z_3 are each independently selected from -C(O)NH-, -C(O)O-, -C(O)-, -OC(O)-, -NH-, -O-, and -OC(O)NH-, preferably -C(O)NH-;

r_1 is an integer from 1 to 8; preferably, an integer from 1 to 6; more preferably, an integer from 1 to 3;

r_2 is an integer from 1 to 6; preferably, an integer from 1 to 4; more preferably, an integer

from 1 to 2;

r_3 is an integer from 0 to 6; preferably, an integer from 0 to 4; more preferably, an integer from 0 to 2;

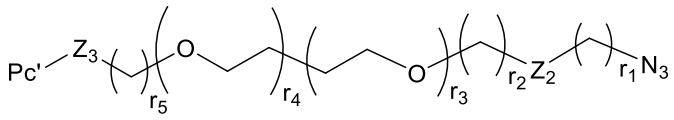
r_4 is an integer from 0 to 6; preferably, an integer from 0 to 4; more preferably, an integer from 0 to 2; and

r_5 is an integer from 1 to 6; preferably, an integer from 1 to 4; more preferably, an integer from 1 to 2.

27. The ligand-drug conjugate represented by the general formula (B) or the pharmaceutically acceptable salt thereof according to any one of claims 23 to 26, wherein when w is 0, F is sulfhydryl; when w is 1, F is a clickable probe that can be connected to Q' after a reaction such as a metal-free click reaction; and F is preferably an azido group.

28. The ligand-drug conjugate represented by the general formula (B) or the pharmaceutically acceptable salt thereof according to any one of claims 23 to 27, wherein

Pc is a modified antibody and the modified antibody has a structure of:



wherein:

Pc' is an antibody;

Z_2 and Z_3 are each independently selected from -C(O)NH-, -C(O)O-, -C(O)-, -OC(O)-, -NH-, -O-, and -OC(O)NH-, preferably -C(O)NH-;

r_1 is an integer from 1 to 8; preferably, an integer from 1 to 6; more preferably, an integer from 1 to 3;

r_2 is an integer from 1 to 6; preferably, an integer from 1 to 4; more preferably, an integer from 1 to 2;

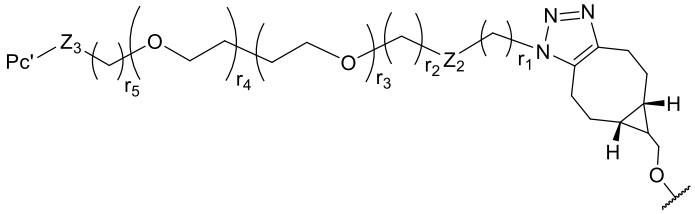
r_3 is an integer from 0 to 6; preferably, an integer from 0 to 4; more preferably, an integer from 0 to 2;

r_4 is an integer from 0 to 6; preferably, an integer from 0 to 4; more preferably, an integer from 0 to 2; and

r_5 is an integer from 1 to 6; preferably, an integer from 1 to 4; more preferably, an integer from 1 to 2.

29. The ligand-drug conjugate represented by the general formula (B) or the pharmaceutically acceptable salt thereof according to any one of claims 23 to 28,

wherein Pc is a modified antibody and Pc-Q’ is selected from: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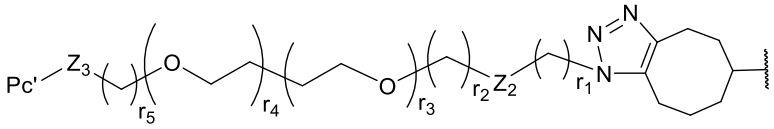
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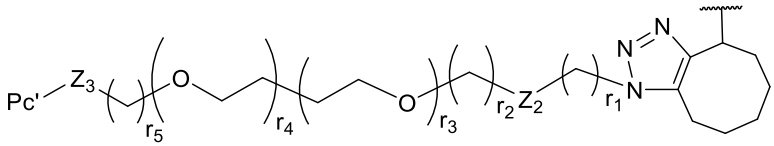
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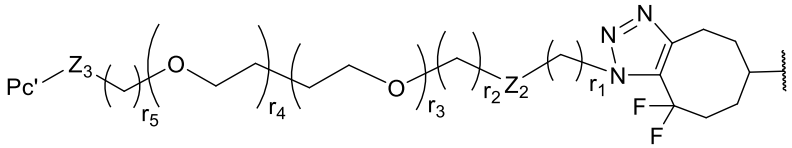
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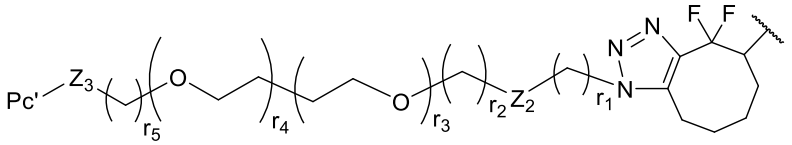
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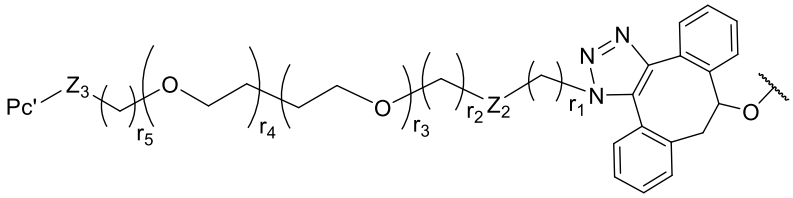
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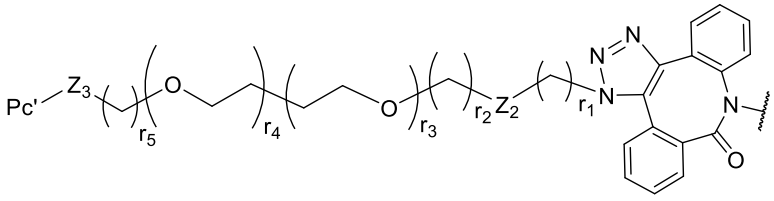
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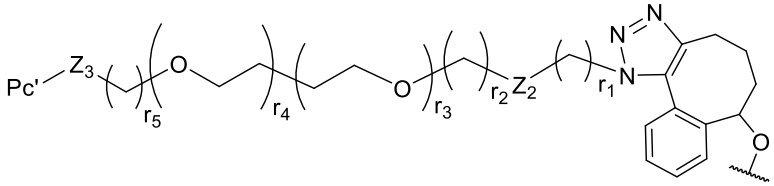
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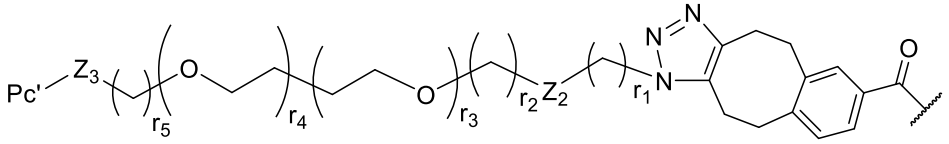

;

Pc’ is an antibody;

Z_2 and Z_3 are each independently selected from -C(O)NH-, -C(O)O-, -C(O)-, -OC(O)-, -NH-, -O-, and -OC(O)NH-, preferably -C(O)NH-;

r_1 is an integer from 1 to 8; preferably, an integer from 1 to 6; more preferably, an integer from 1 to 3;

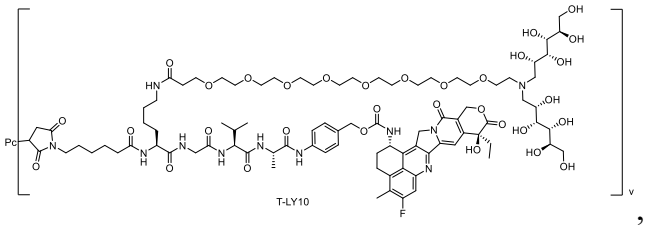
r_2 is an integer from 1 to 6; preferably, an integer from 1 to 4; more preferably, an integer from 1 to 2;

r_3 is an integer from 0 to 6; preferably, an integer from 0 to 4; more preferably, an integer from 0 to 2;

r_4 is an integer from 0 to 6; preferably, an integer from 0 to 4; more preferably, an integer from 0 to 2; and

r_5 is an integer from 1 to 6; preferably, an integer from 1 to 4; more preferably, an integer from 1 to 2.

30. The ligand-drug conjugate represented by the general formula (B) or the pharmaceutically acceptable salt thereof according to any one of claims 23 to 29, which is selected from:



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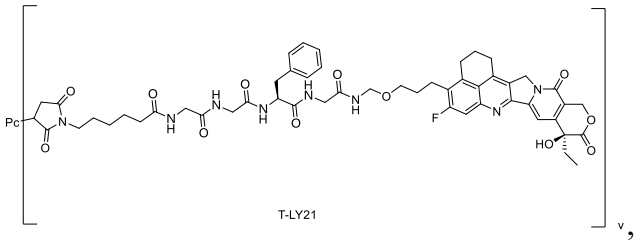

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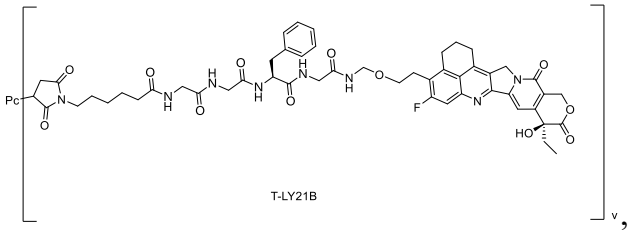

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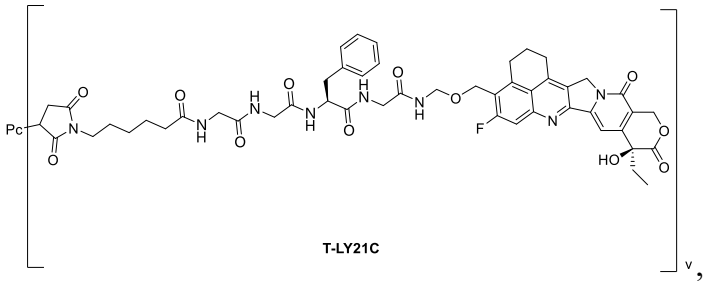

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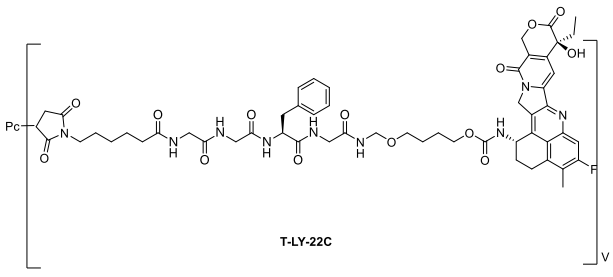

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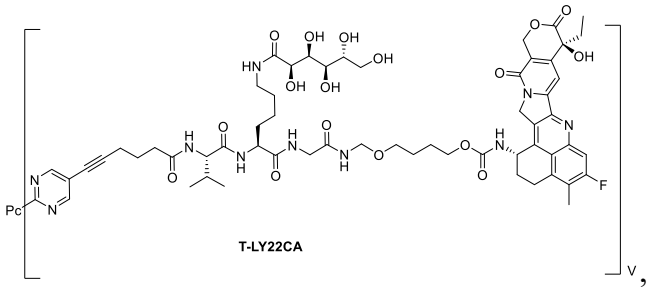

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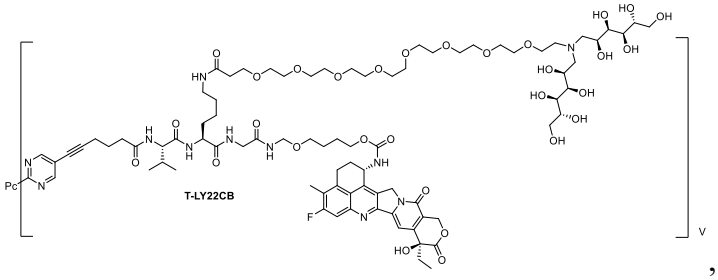

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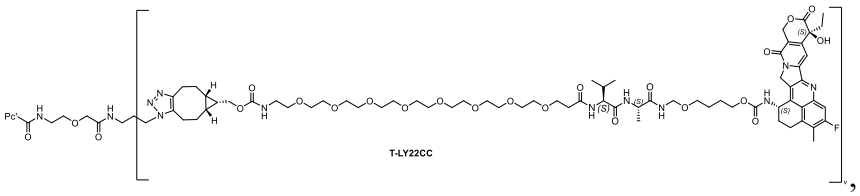

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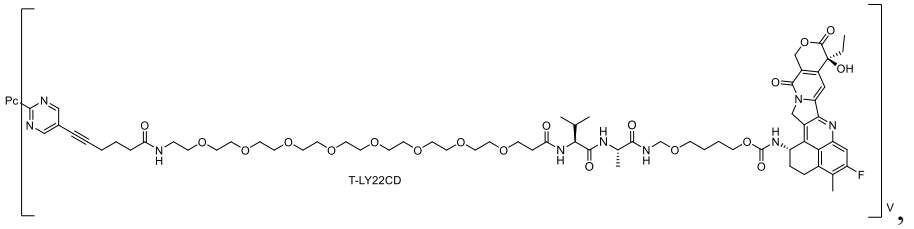

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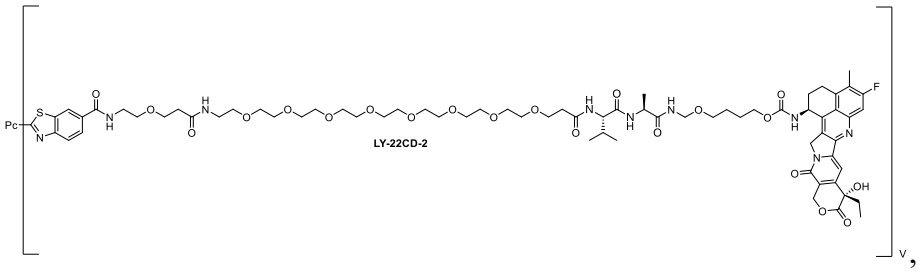

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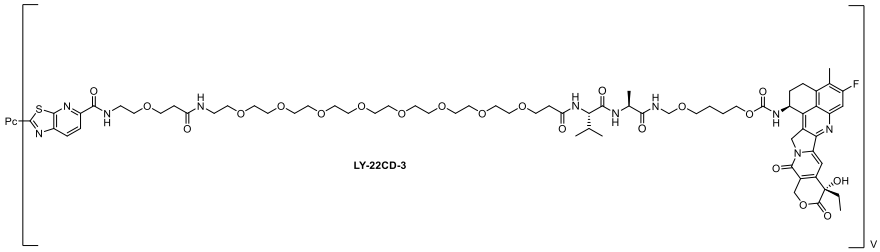

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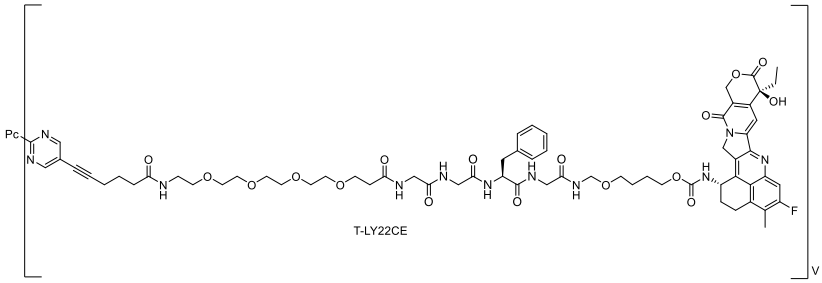

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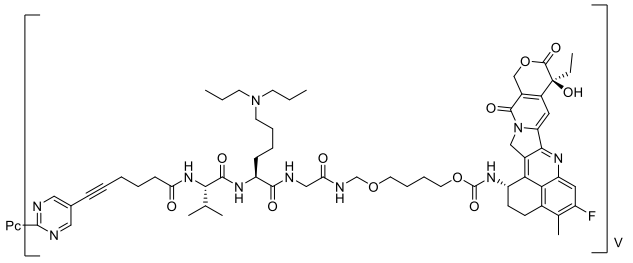

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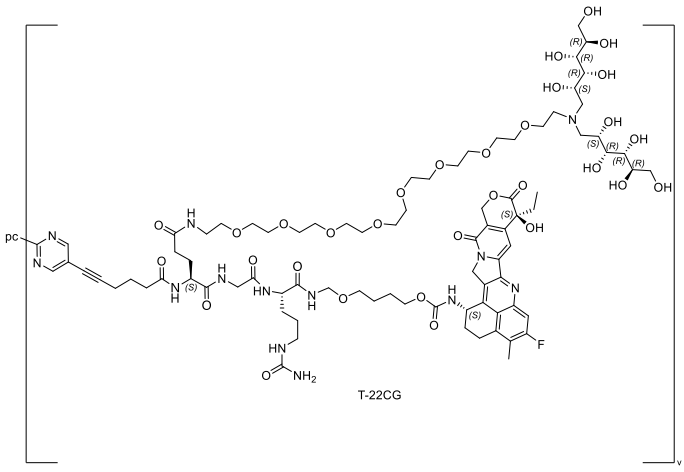

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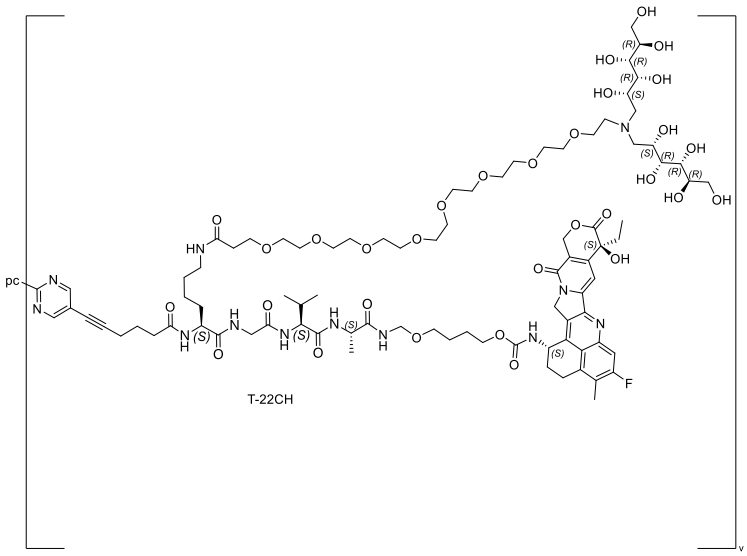
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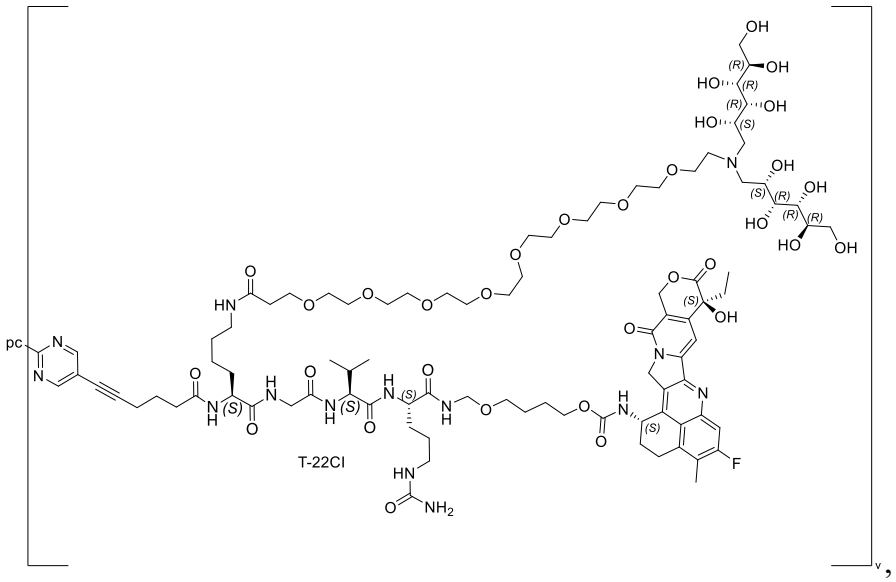
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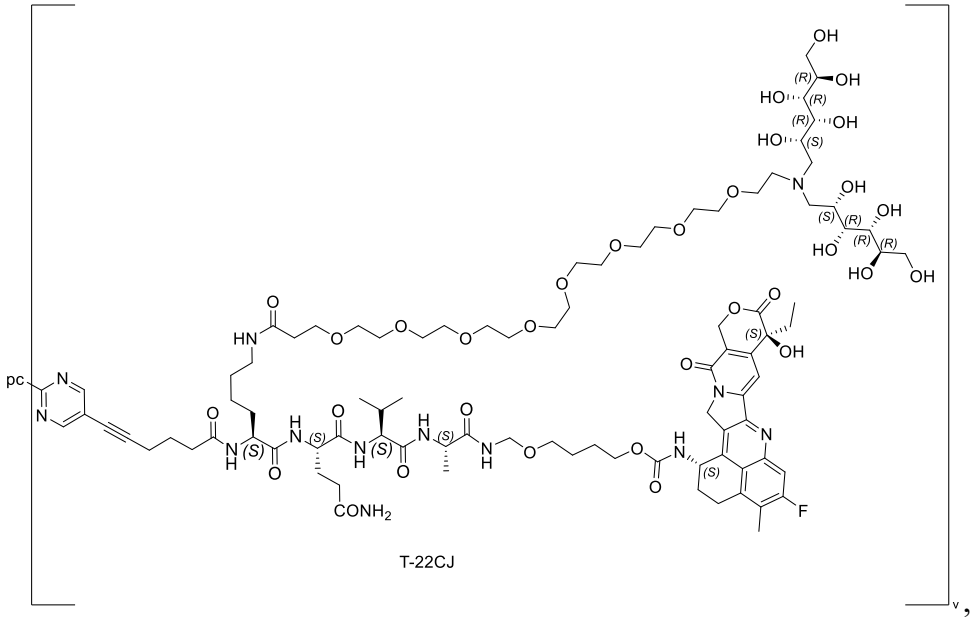
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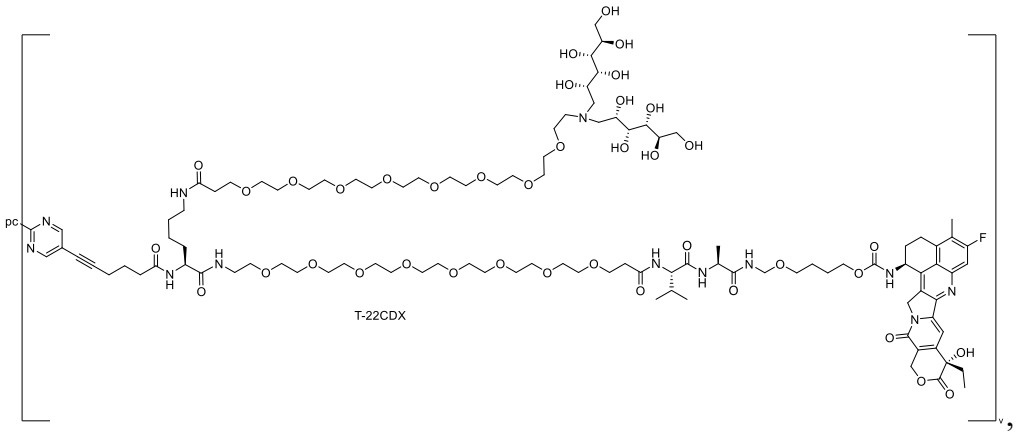
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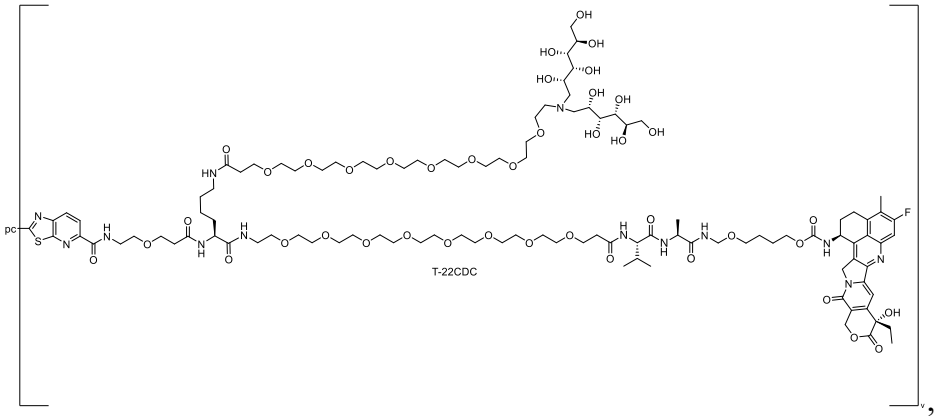
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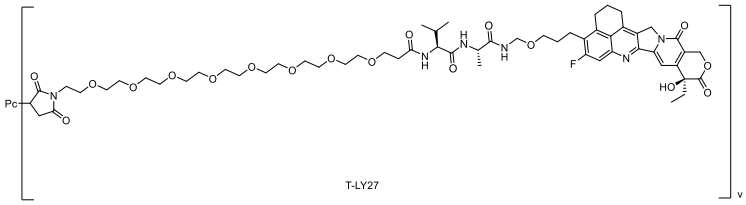
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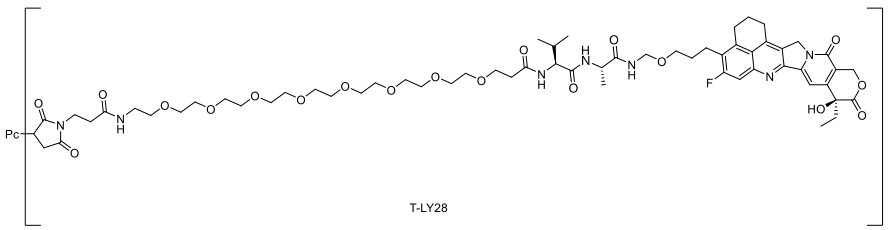
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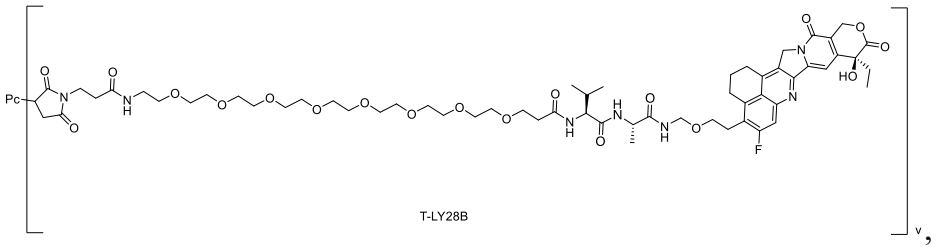
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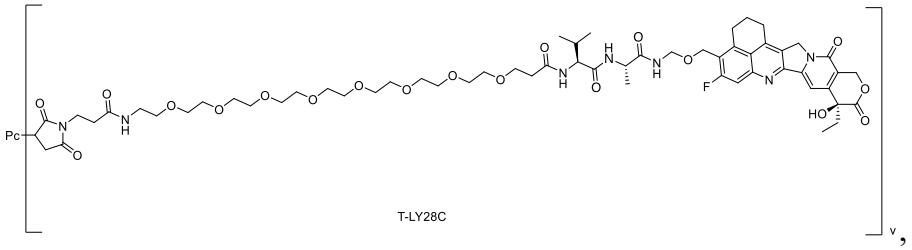

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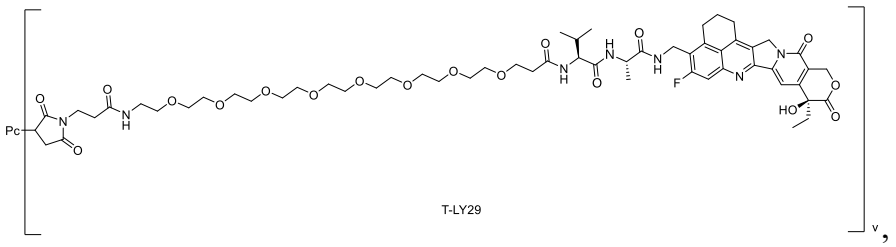

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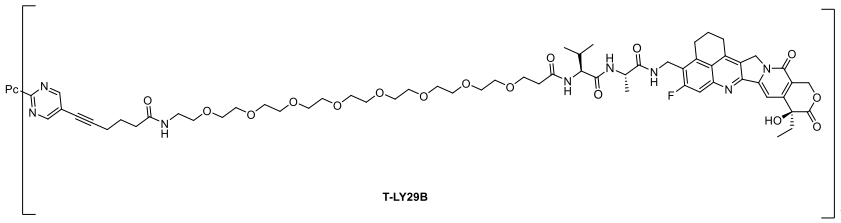

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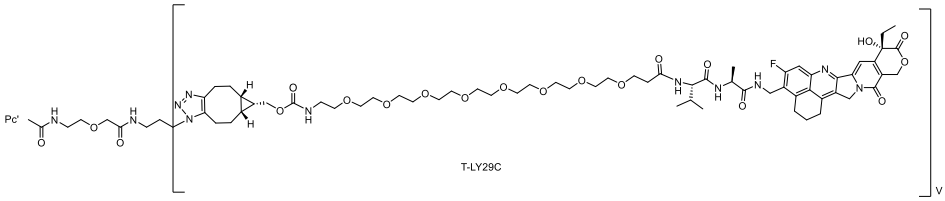

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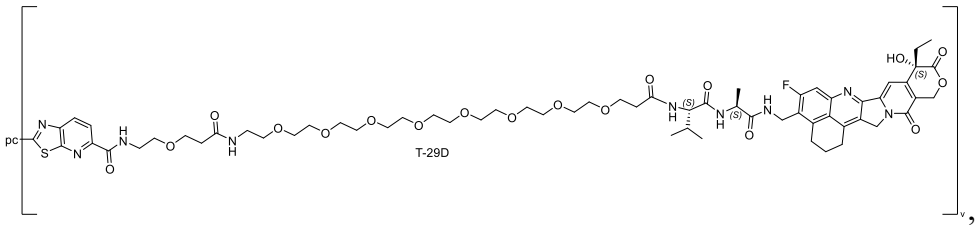

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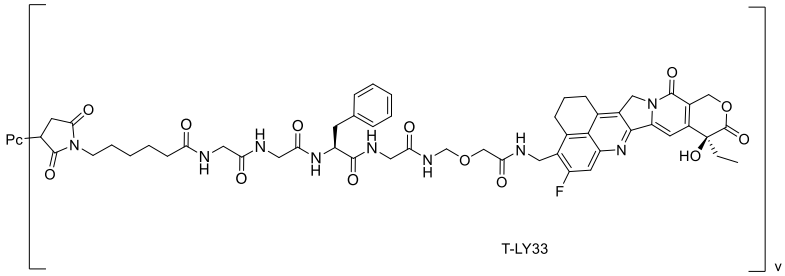

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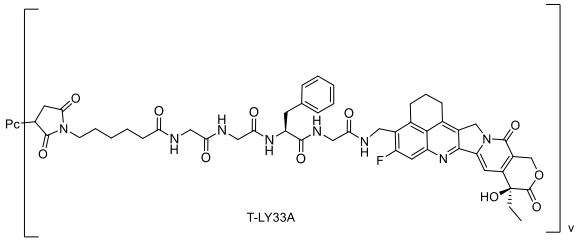

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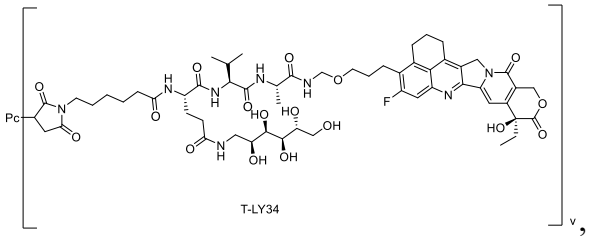
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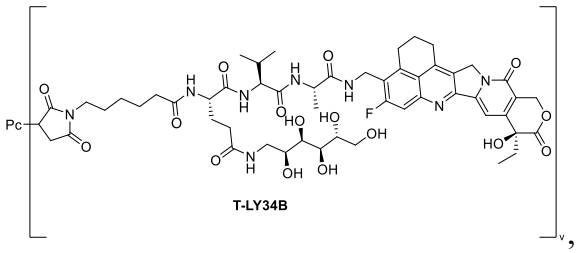
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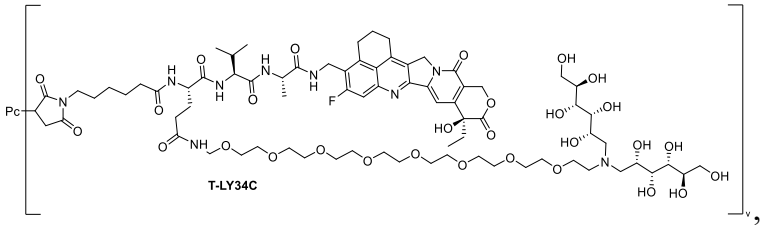
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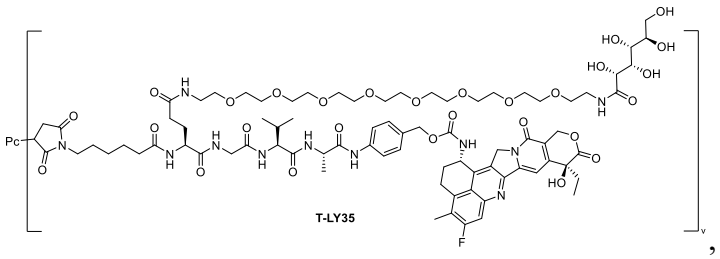
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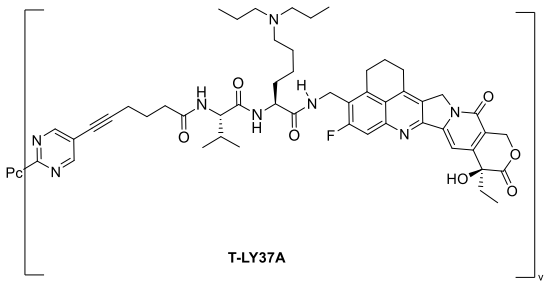
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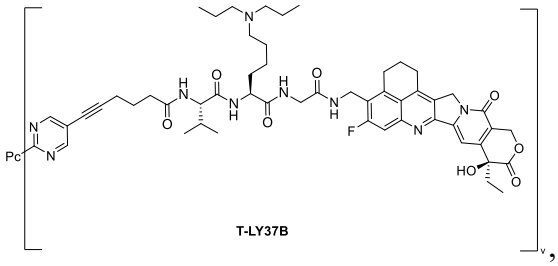
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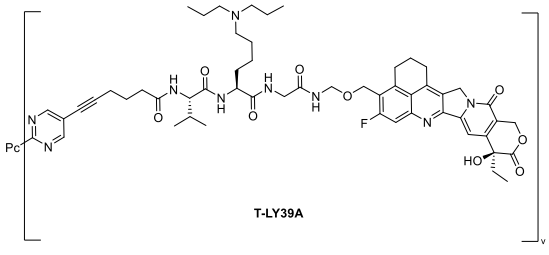

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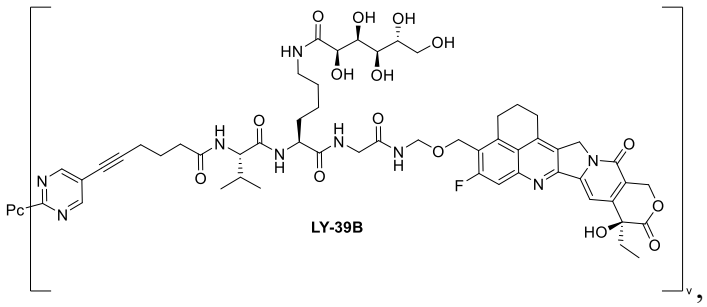

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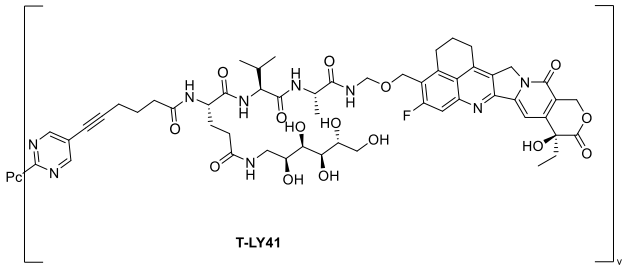

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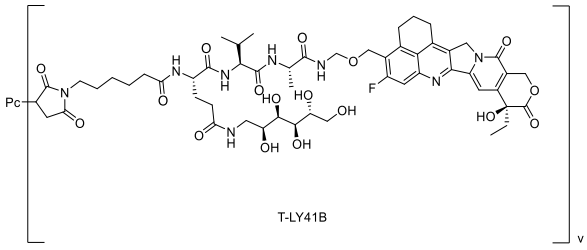

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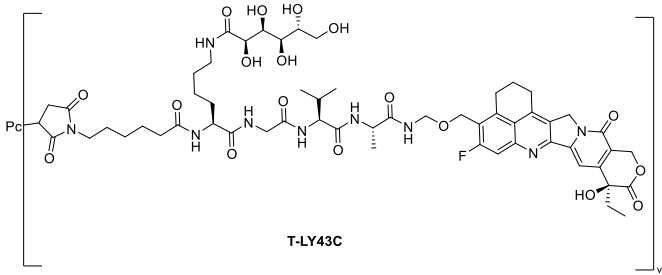

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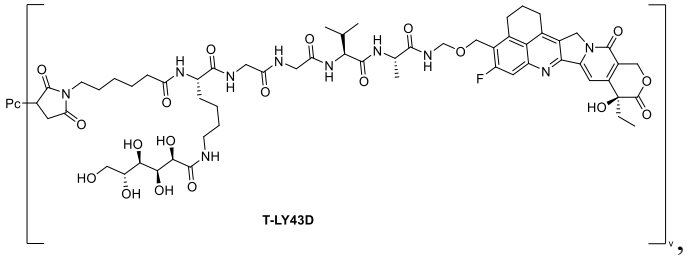

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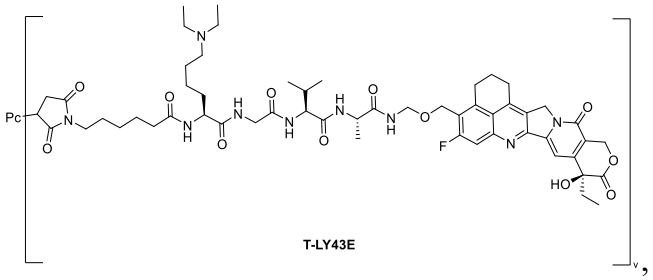

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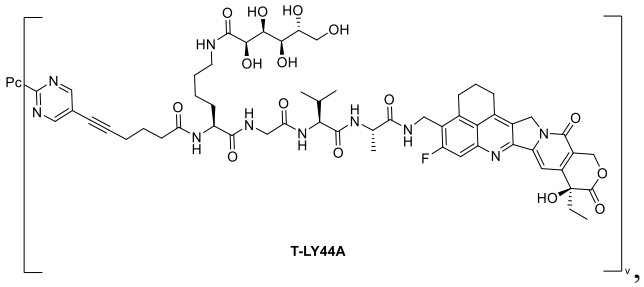

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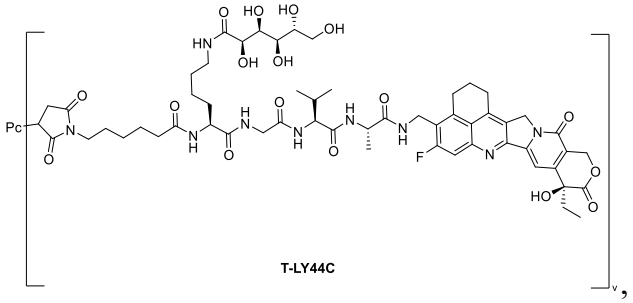

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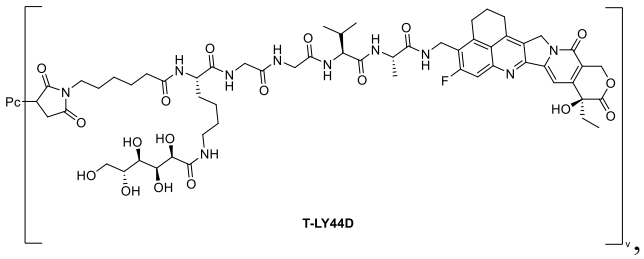

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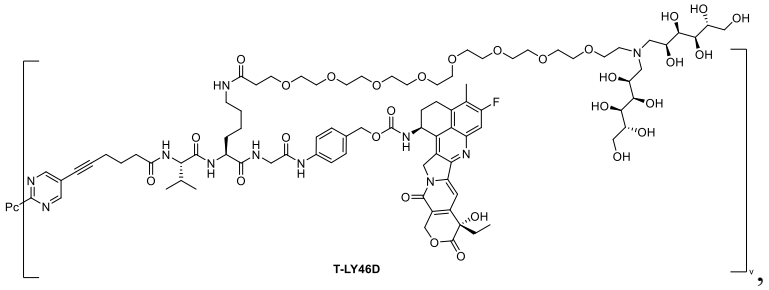

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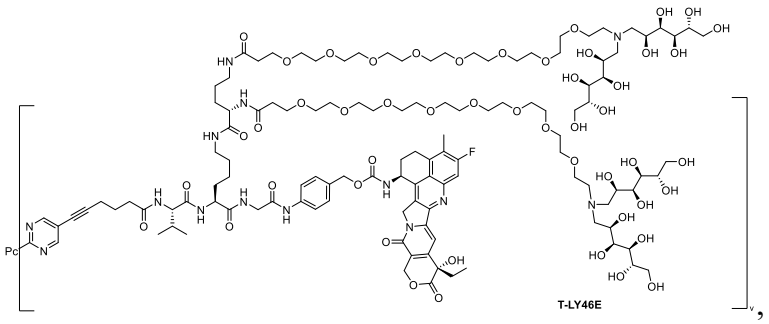

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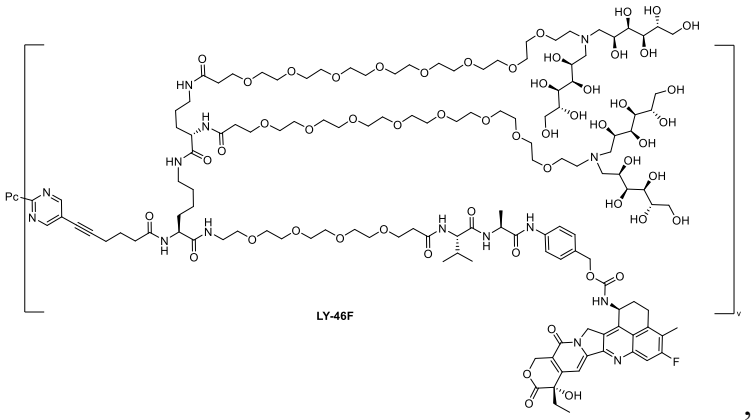
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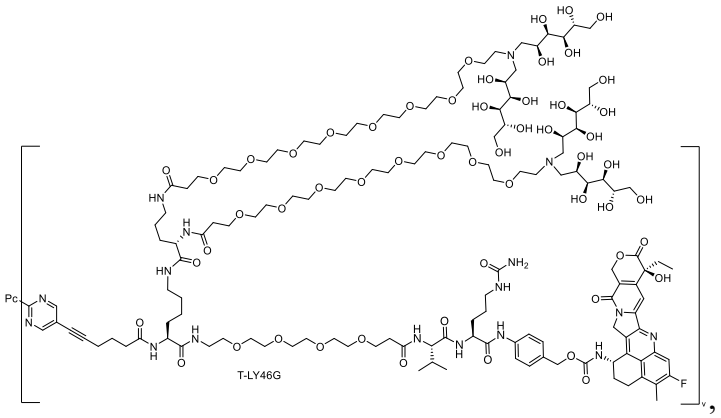
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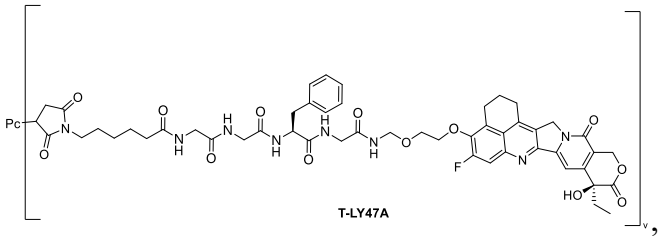
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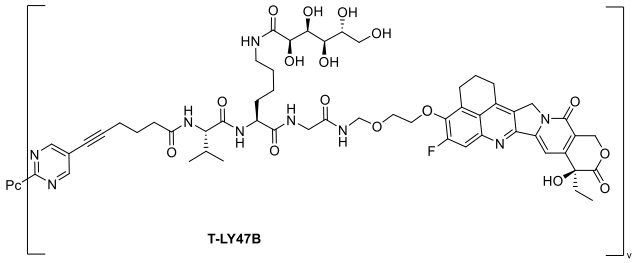
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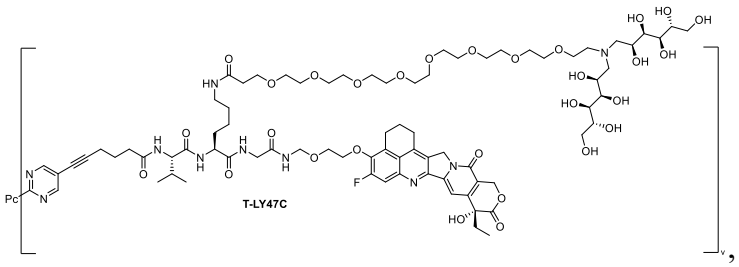
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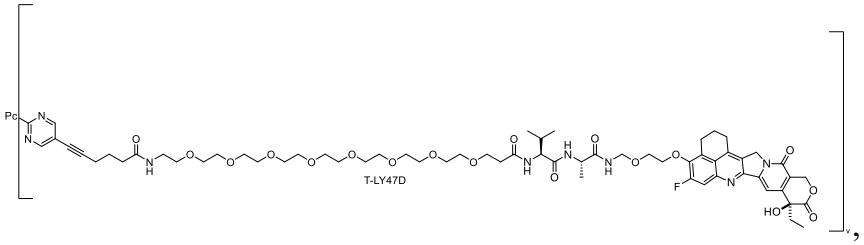
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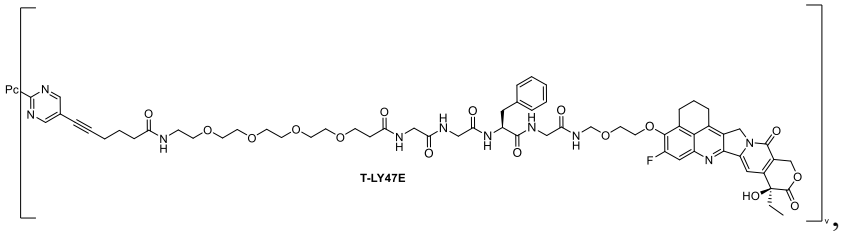
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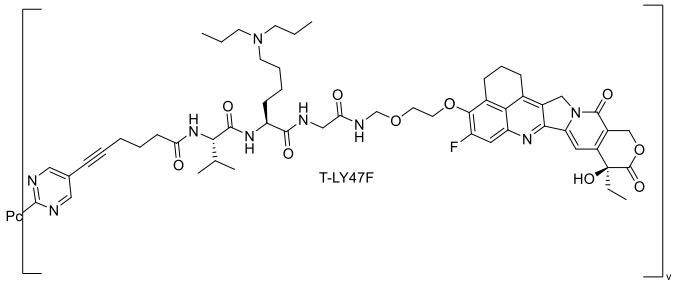
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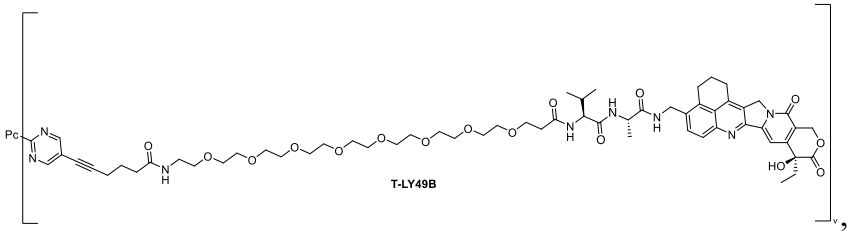
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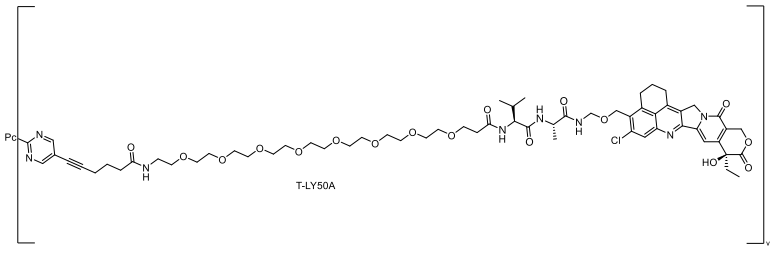
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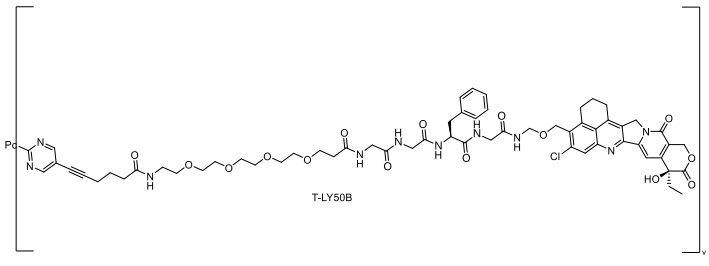
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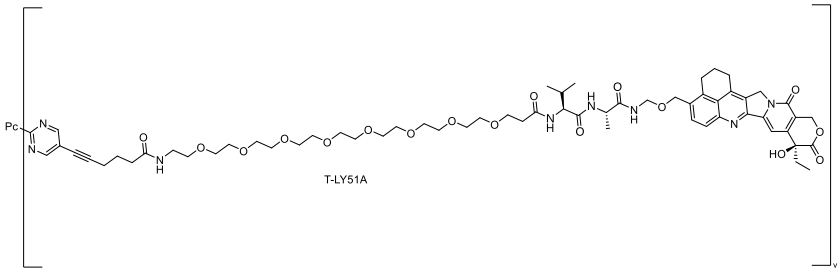

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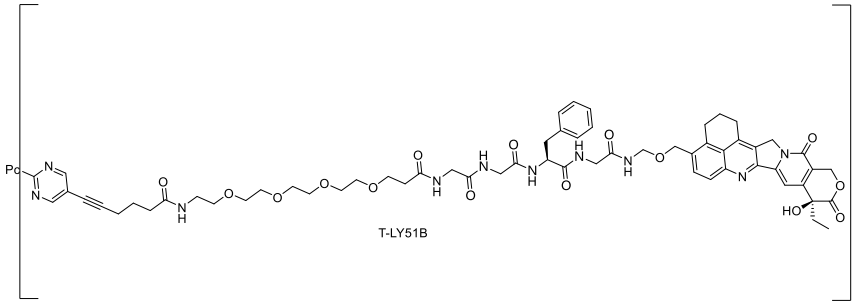


;

wherein:

v is from 1 to 10, and v is a decimal or an integer;

Pc is an antibody or an antigen-binding fragment thereof; and

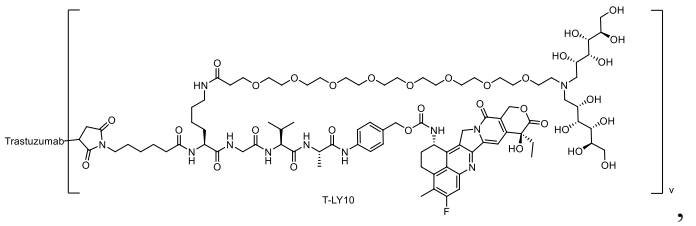
Pc' is an antibody.

31. The ligand-drug conjugate represented by the general formula (B) or the pharmaceutically acceptable salt thereof according to any one of claims 23 to 30, wherein the antibody is selected from a murine antibody, a chimeric antibody, a humanized antibody, and a fully human antibody; and preferably, the antibody or an antigen-binding fragment thereof is selected from anti-HER2 (ErbB2) antibody, anti-EGFR antibody, anti-B7-H3 antibody, anti-c-Met antibody, anti-HER3 (ErbB3) antibody, anti-HER4 (ErbB4) antibody, anti-ROR1 antibody, anti-CLDN6 antibody, anti-CLDN9 antibody, anti-CLDN18.2 antibody, anti-NaPi-2b antibody, anti-TNF-α antibody, anti-ENPP3 antibody, anti-DLL3 antibody, anti-CD20 antibody, anti-CD22 antibody, anti-CD28 antibody, anti-CD30 antibody, anti-CD33 antibody, anti-CD37 antibody, anti-CD38 antibody, anti-CD44 antibody, anti-CD45 antibody, anti-CD47 antibody, anti-CD48 antibody, anti-CD56 antibody, anti-CD70 antibody, anti-CD73 antibody, anti-CD98 antibody, anti-CD105 antibody, anti-CEA antibody, anti-EphA2 antibody, anti-MUCI antibody, anti-Lewis Y antibody, anti-VEGFR antibody, anti-GPNMB antibody, anti-Integrin antibody, anti-PSMA antibody, anti-Tenascin-C antibody, anti-SLC44A4 antibody, anti-CD79 antibody, anti-TROP-2 antibody, anti-CD79B antibody, anti-Mesothelin antibody, anti-Nectin-4 antibody, anti-TPBG antibody, or an antigen-binding fragment thereof.

32. The ligand-drug conjugate represented by the general formula (B) or the pharmaceutically acceptable salt thereof according to any one of claims 23 to 31,

wherein the antibody or the antigen-binding fragment thereof is selected from Trastuzumab, Cetuximab, Pertuzumab, Nimotuzumab, Enoblituzumab, Emibetuzumab, Inotuzumab, Pinatuzumab, Brentuximab, Gemtuzumab, Bivatuzumab, Lorvotuzumab, or an antigen-binding fragment thereof.

33. The ligand-drug conjugate represented by the general formula (B) or the pharmaceutically acceptable salt thereof according to any one of claims 23 to 32, which is selected from:



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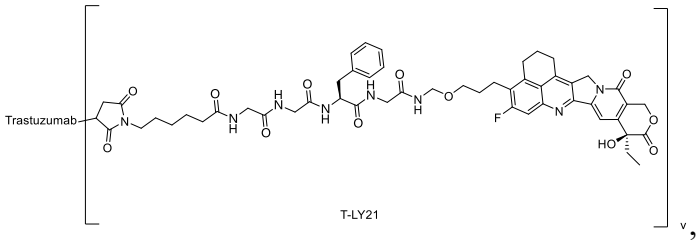

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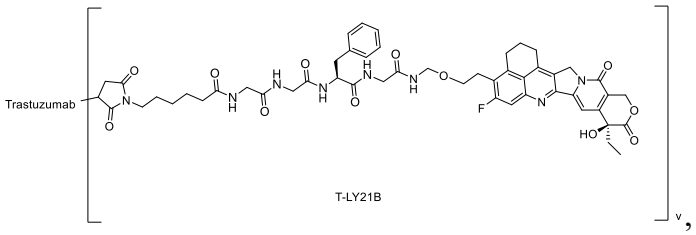

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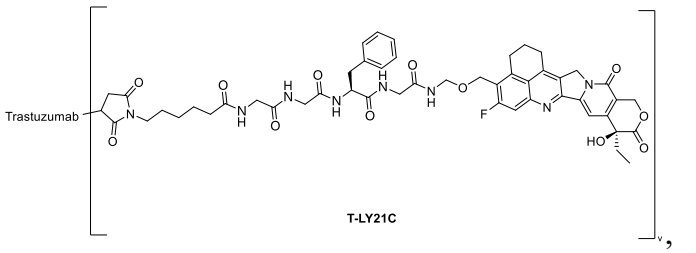

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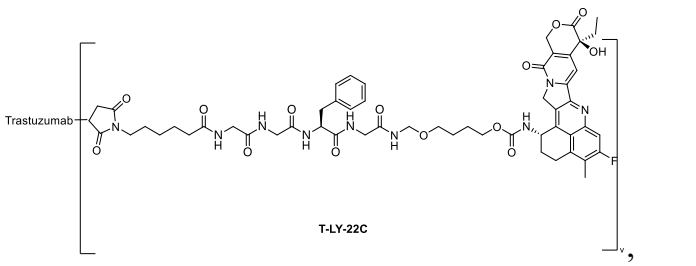

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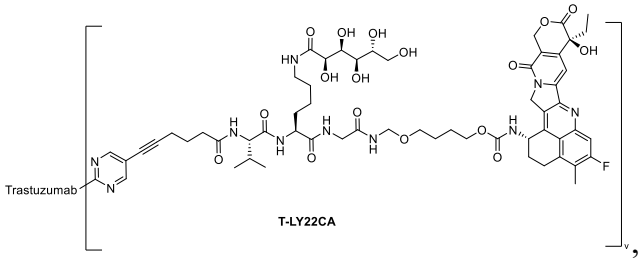
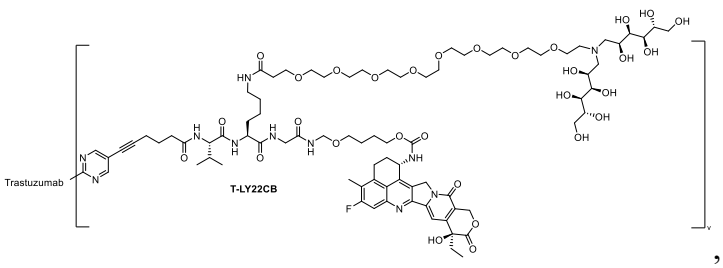
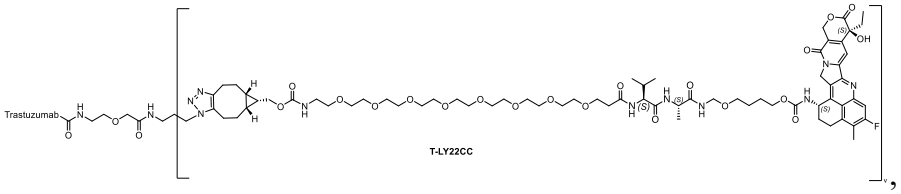

Trastuzumab
T-LY22CA


Trastuzumab
T-LY22CB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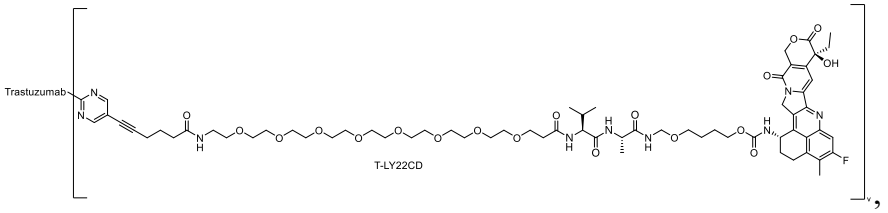
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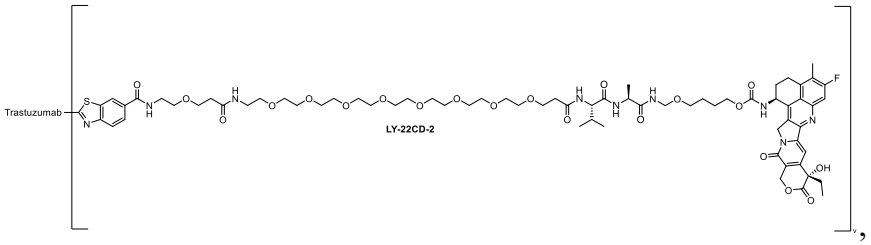
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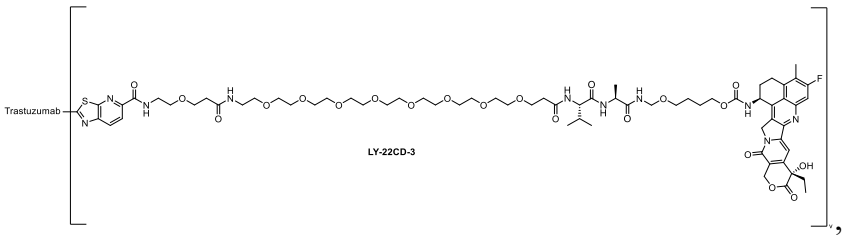
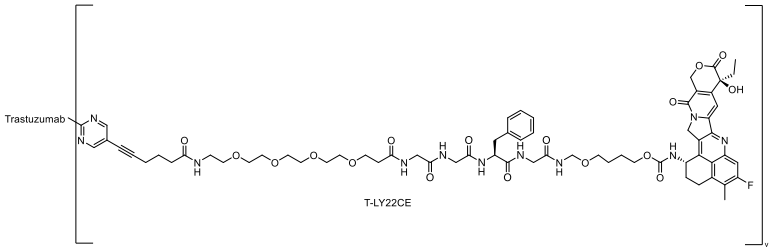

Trastuzumab
LY-22CD-3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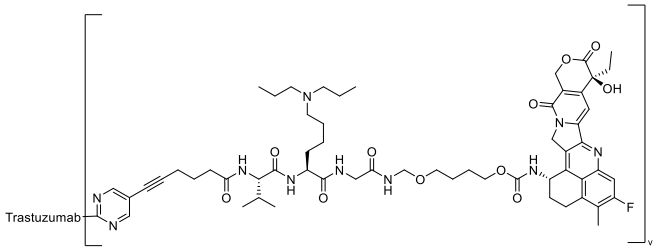
T-LY22CE



T-LY22CF

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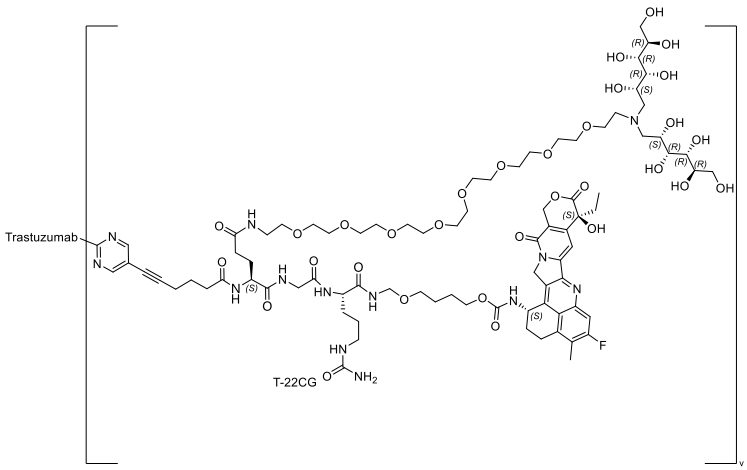

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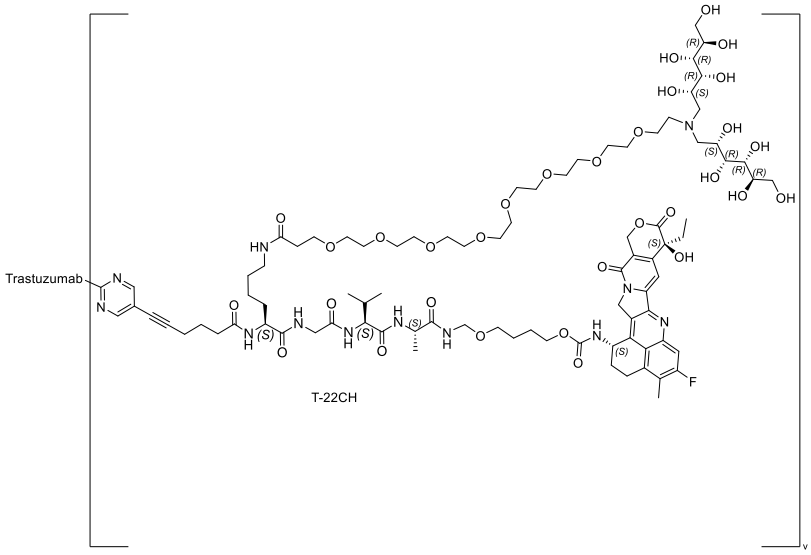

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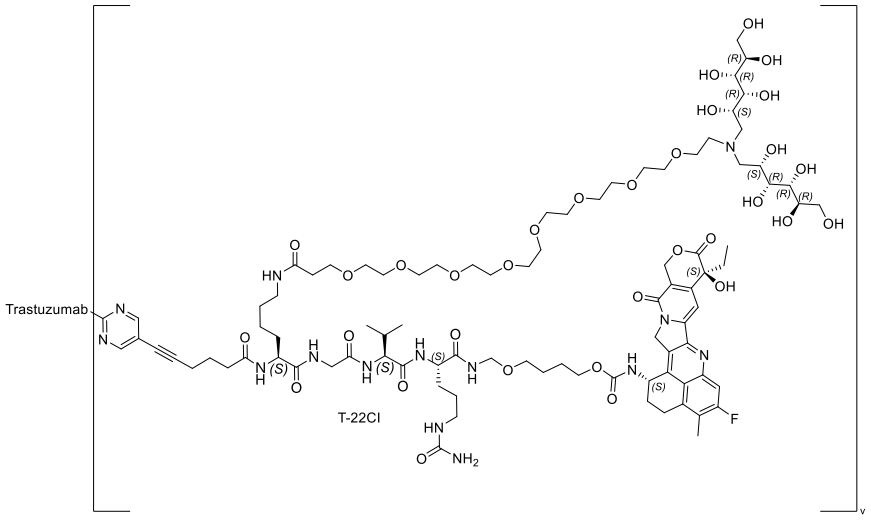
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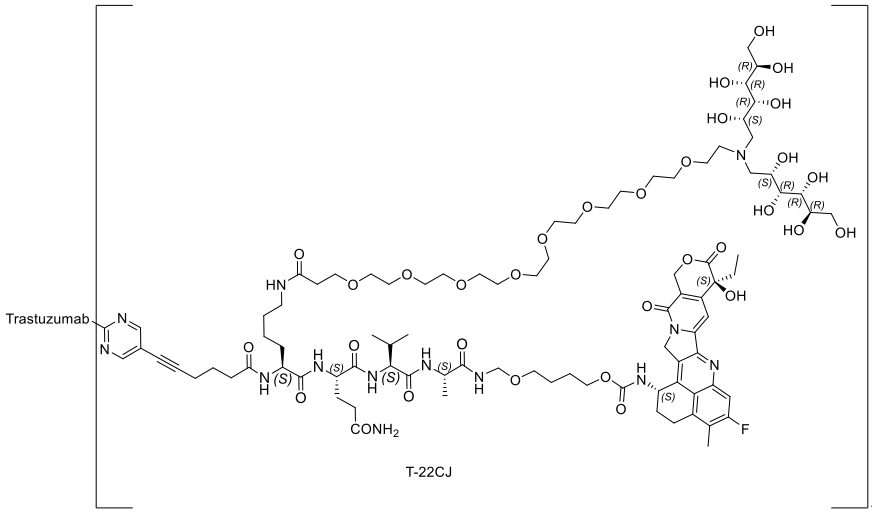
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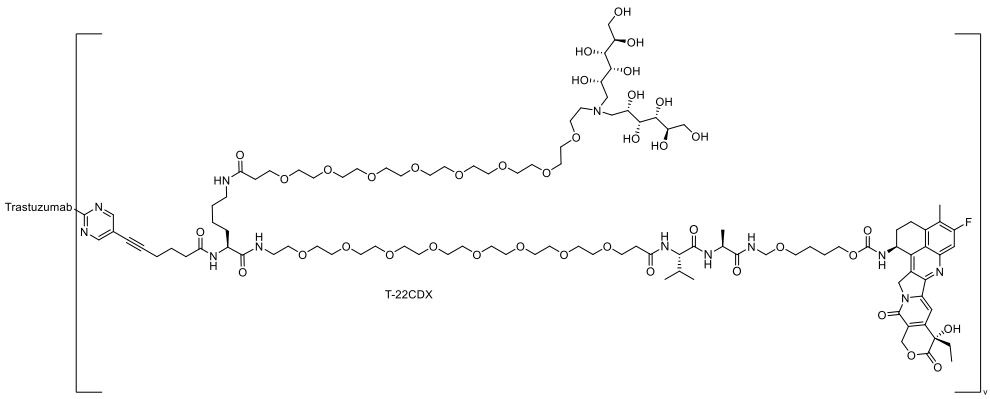
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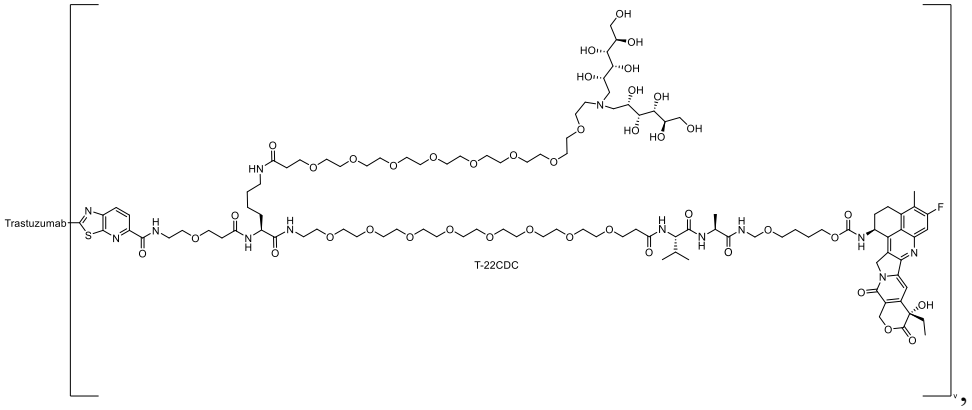
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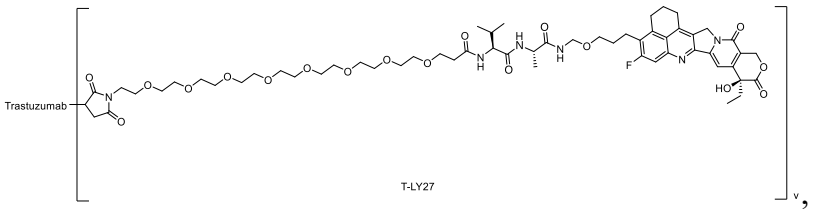
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T-LY27
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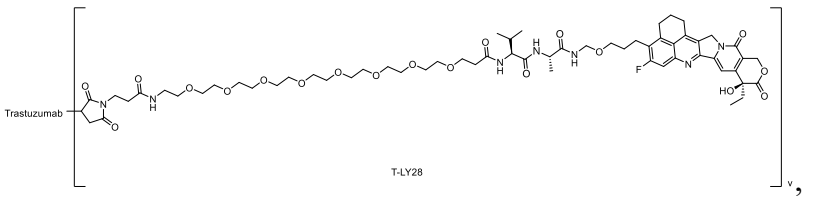
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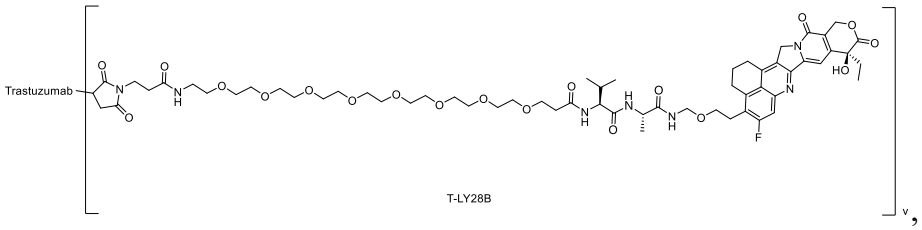
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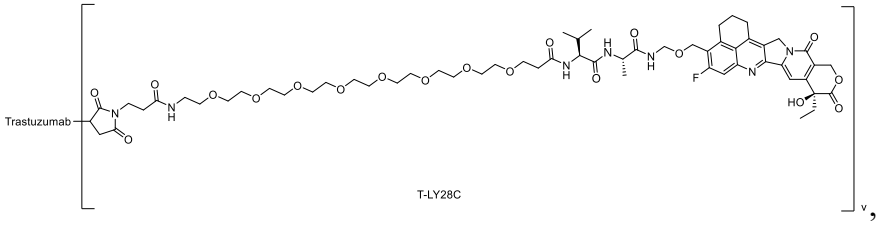
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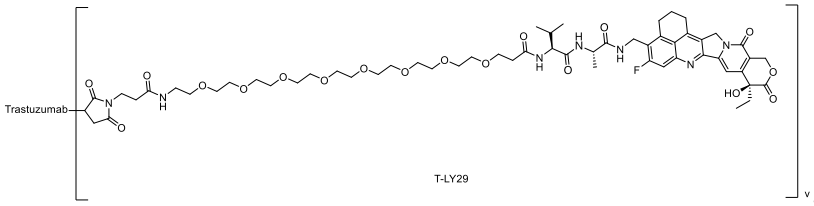
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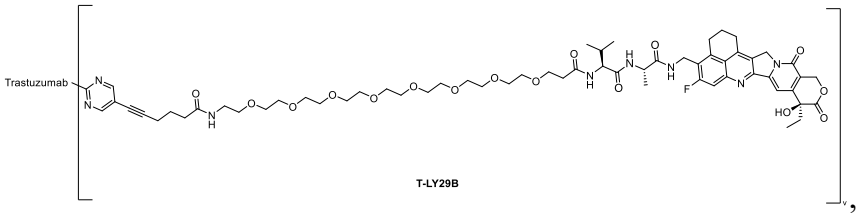
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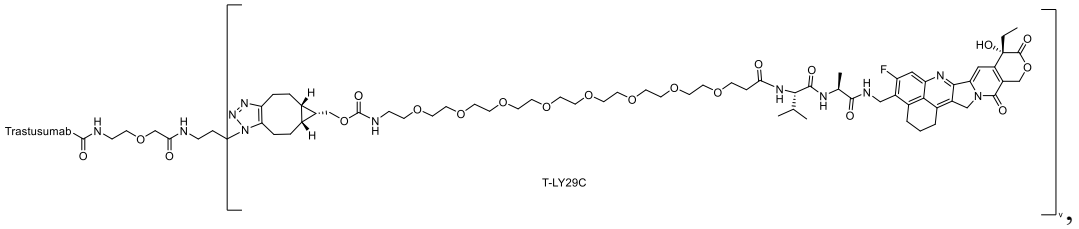
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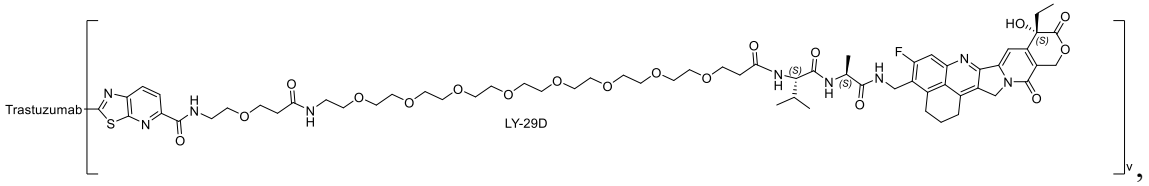
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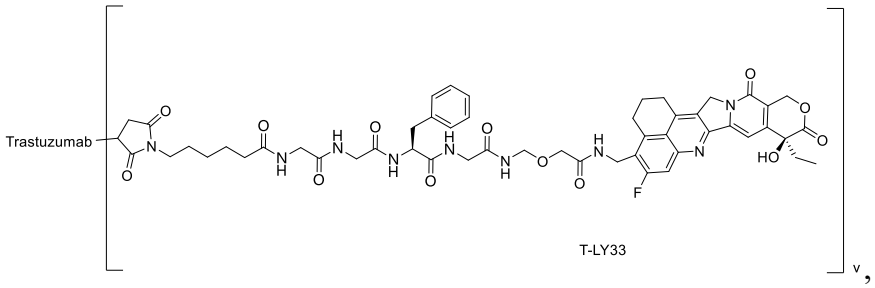
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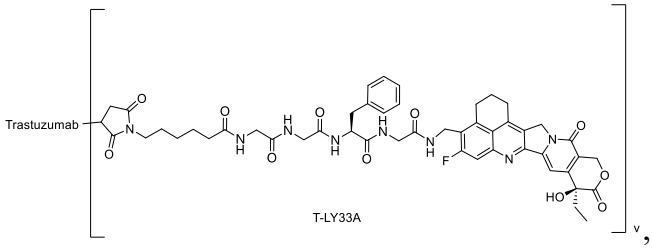
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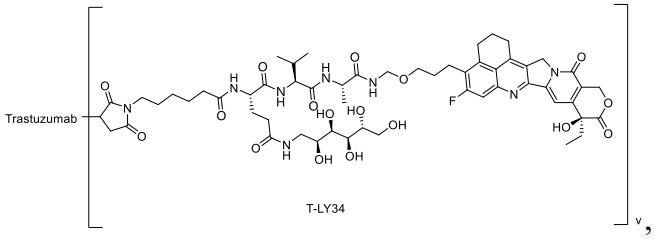
Trastuzumab
T-LY34
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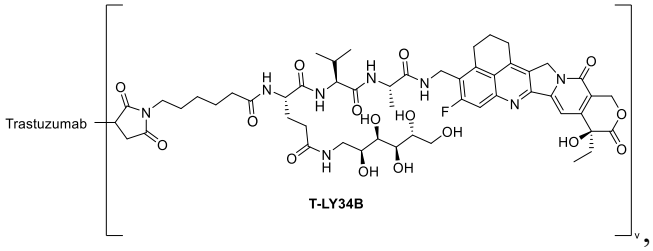
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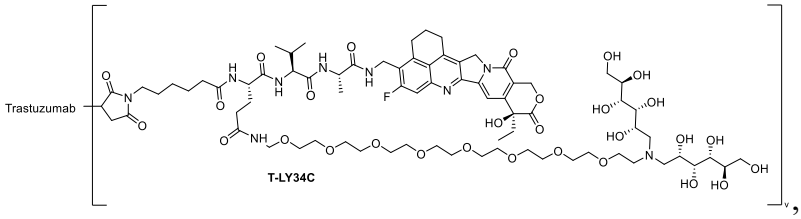
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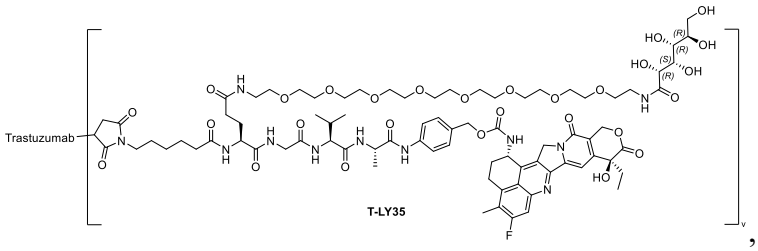
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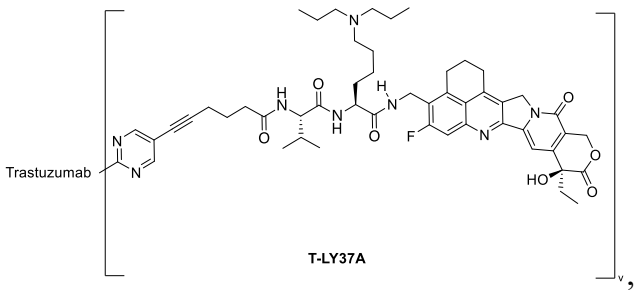
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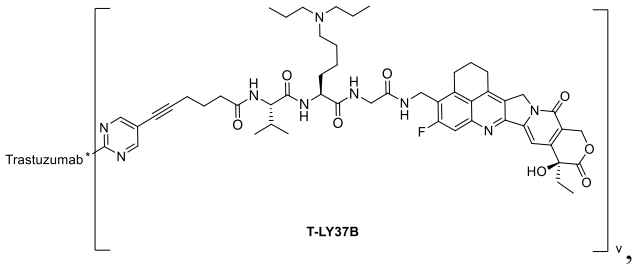
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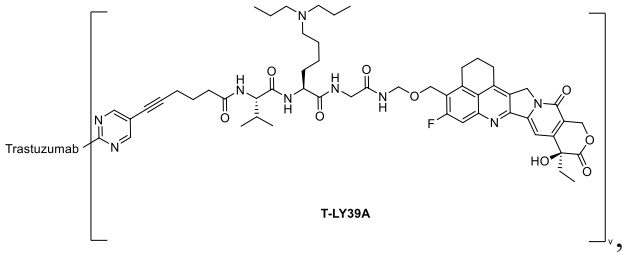
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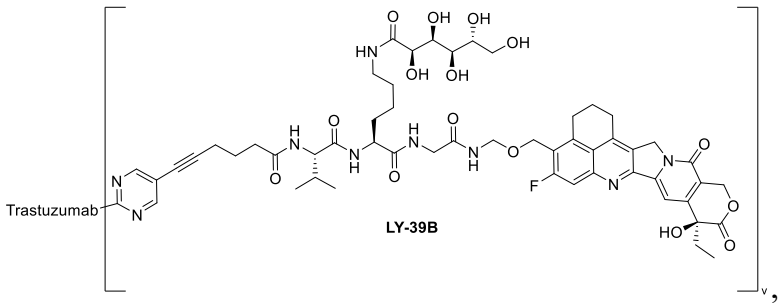
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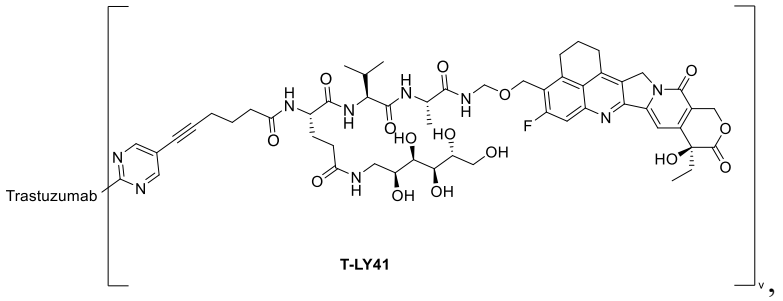
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T-LY41
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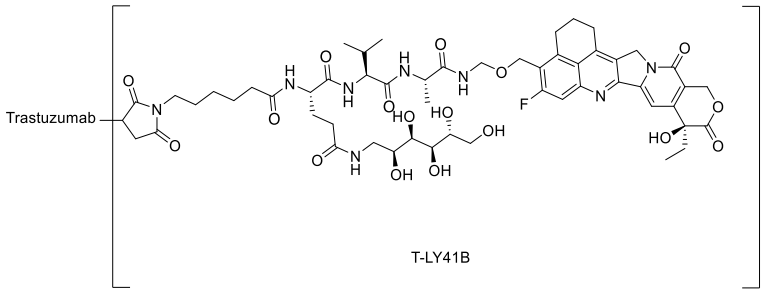
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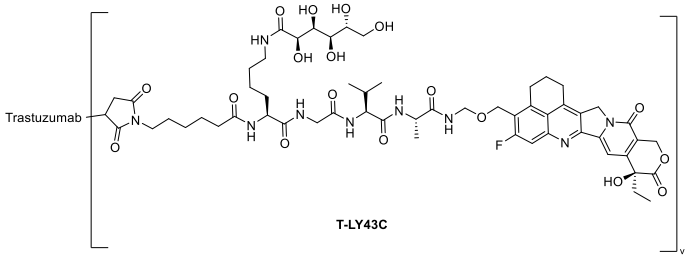
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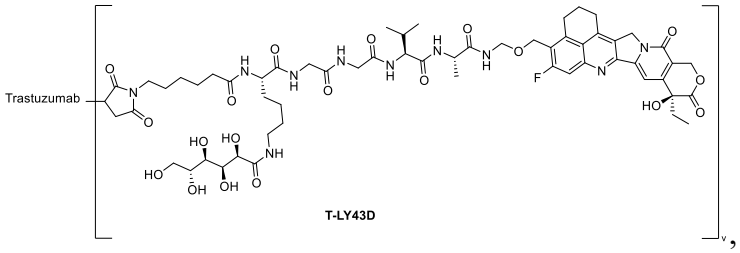
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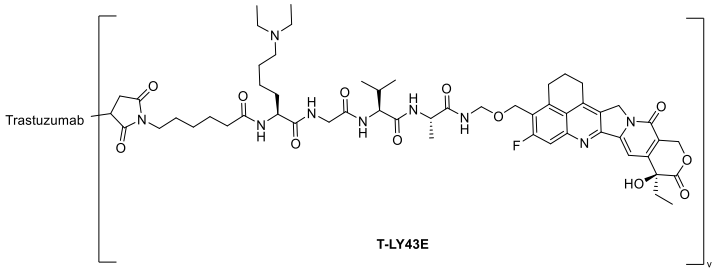
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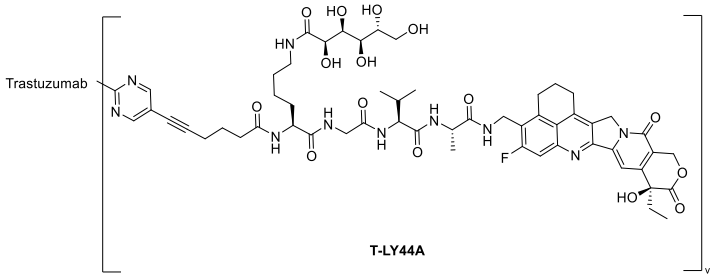
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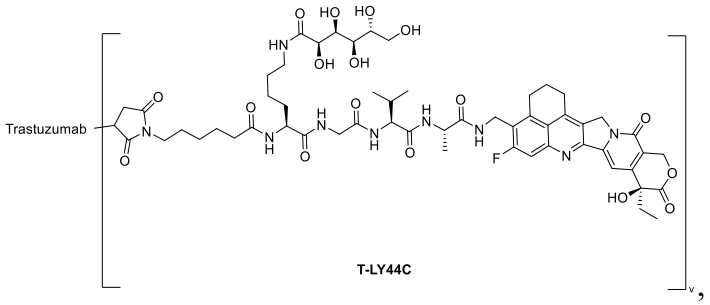
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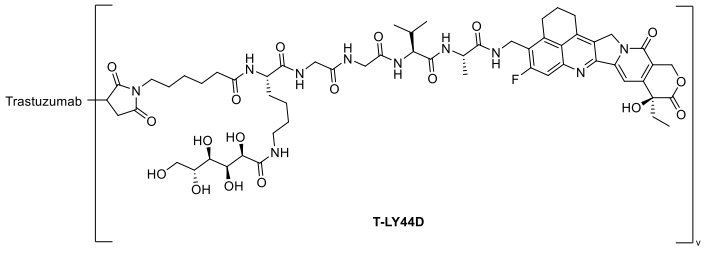
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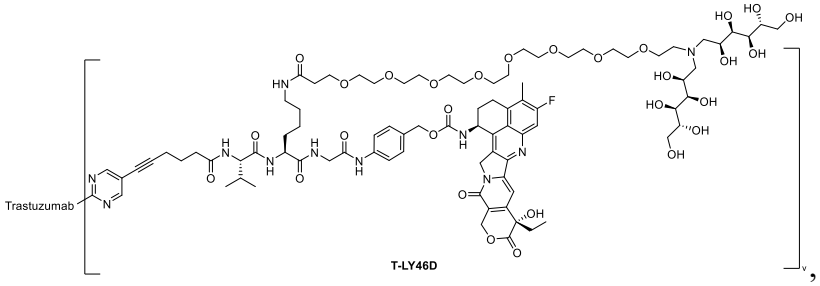
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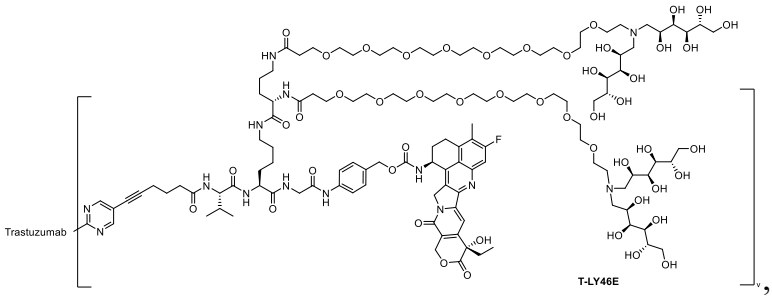
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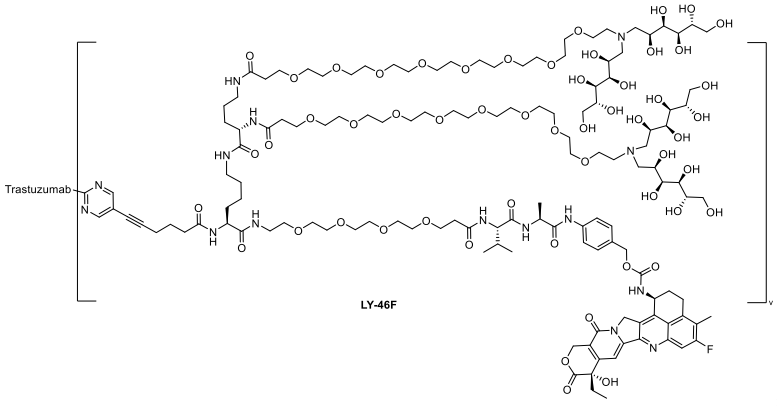
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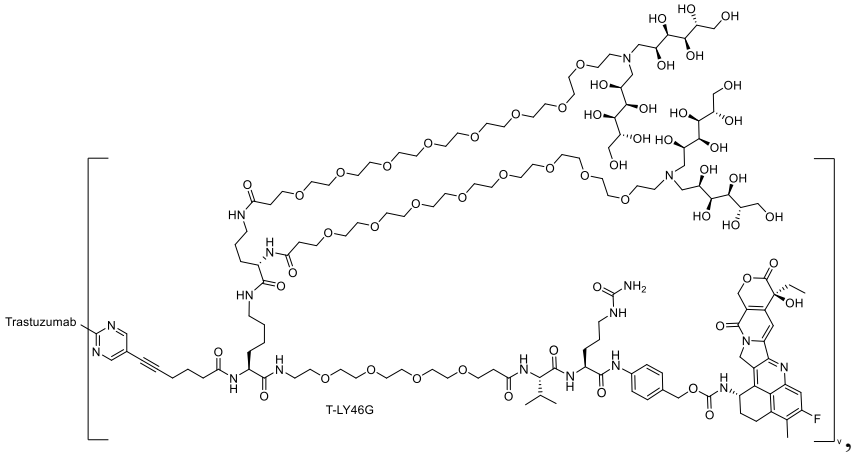
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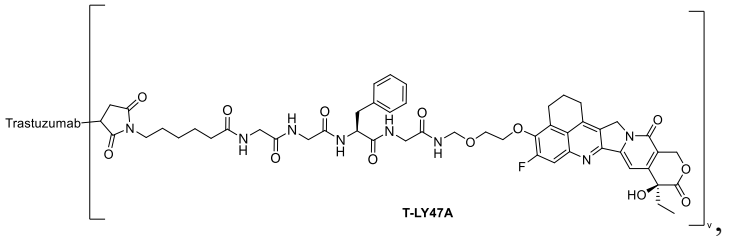
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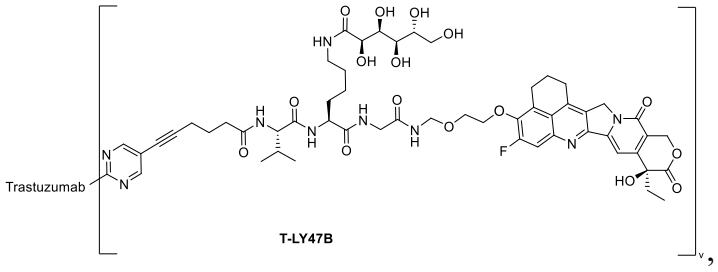
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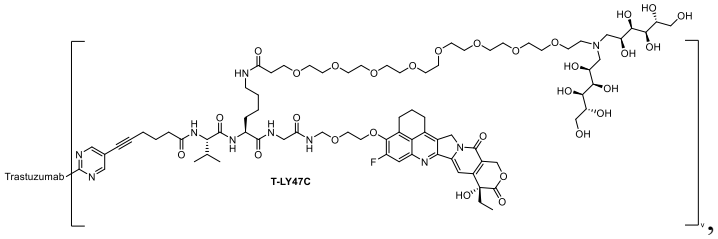
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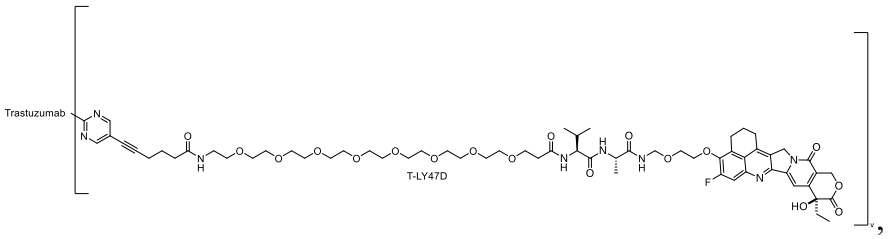
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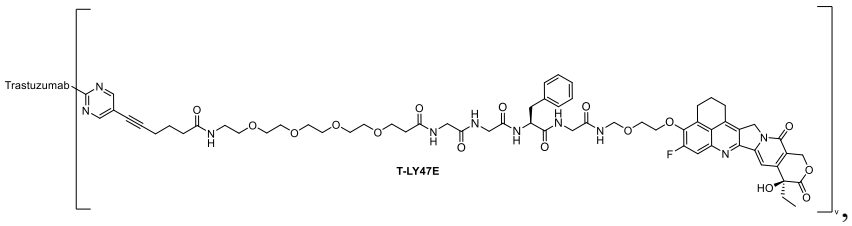
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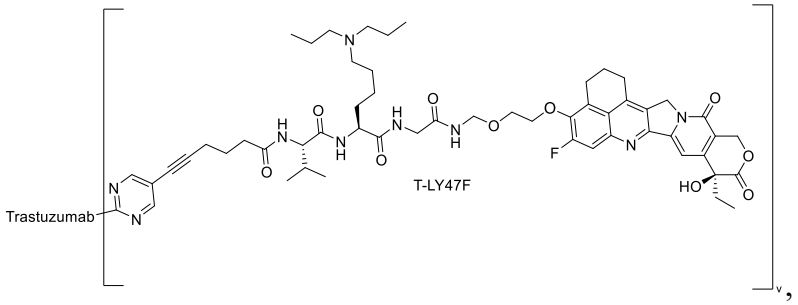
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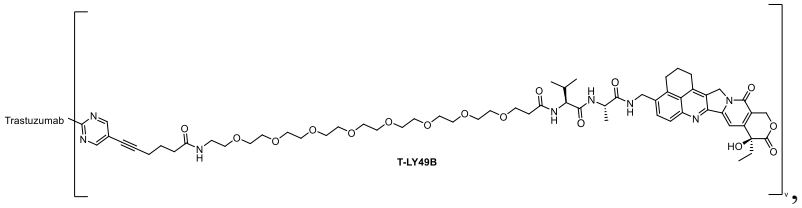
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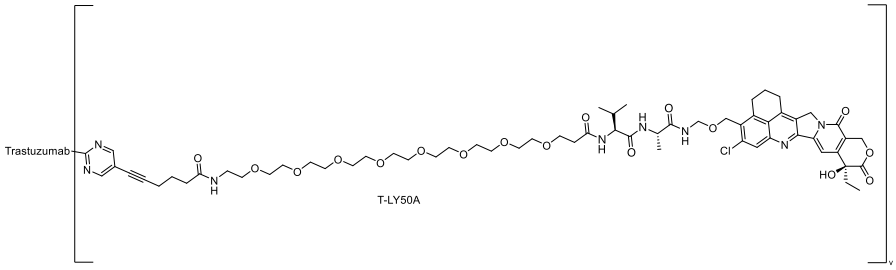

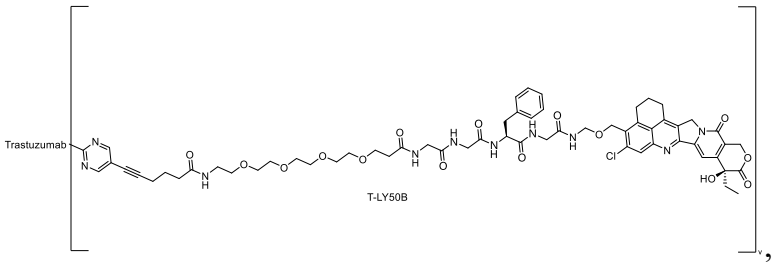

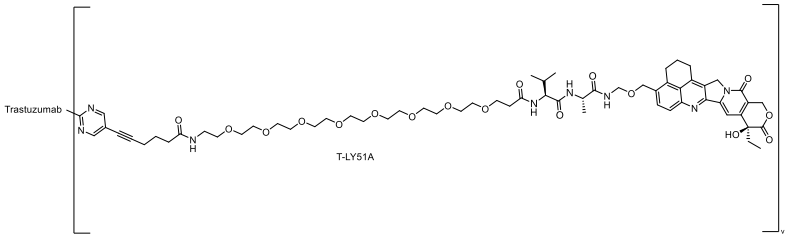

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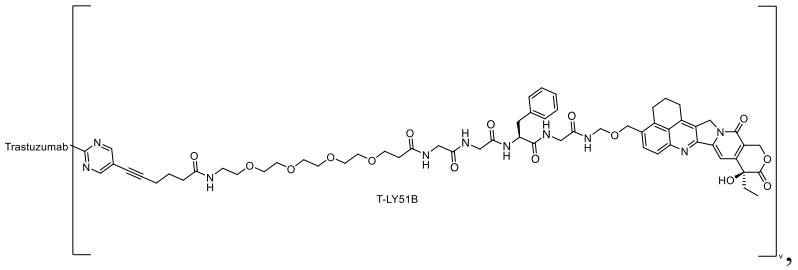

wherein v is an integer or a decimal from 1 to 10; preferably, an integer or a decimal from 2 to 8.

34. A pharmaceutical composition, comprising the ligand-drug conjugate represented by the general formula (B) or the pharmaceutically acceptable salt thereof according to any one of claims 23 to 33, and one or more pharmaceutically acceptable carriers or excipients.

35. Use of the compound represented by the general formula (A) or the pharmaceutically acceptable salt thereof according to any one of claims 1 to 18, or the compound represented by the general formula (I) or the stereoisomer, tautomer, mesomer, racemate, enantiomer, or diastereomer thereof, or the mixture thereof, or the pharmaceutically acceptable salt thereof according to any one of claims 19 to 22 in preparation of a ligand-drug conjugate.

36. Use of the ligand-drug conjugate represented by the general formula (B) or the pharmaceutically acceptable salt thereof according to any one of claims 23 to 33, or the pharmaceutical composition according to claim 34 in preparation of a medication for

treating a tumor or cancer, wherein the cancer is preferably breast cancer, ovarian cancer, soft tissue sarcoma, liposarcoma, lung cancer, non-small cell lung cancer, gastric cancer, melanoma, head and neck cancer, cervical cancer, or prostate cancer.

37. Use of the compound represented by the general formula (I) or the stereoisomer, tautomer, mesomer, racemate, enantiomer, or diastereomer thereof, or the mixture thereof, or the pharmaceutically acceptable salt thereof according to any one of claims 19 to 22 in preparation of a medication for treating a tumor or cancer, wherein the cancer is preferably breast cancer, ovarian cancer, soft tissue sarcoma, liposarcoma, lung cancer, non-small cell lung cancer, gastric cancer, melanoma, head and neck cancer, cervical cancer, or prostate cancer.