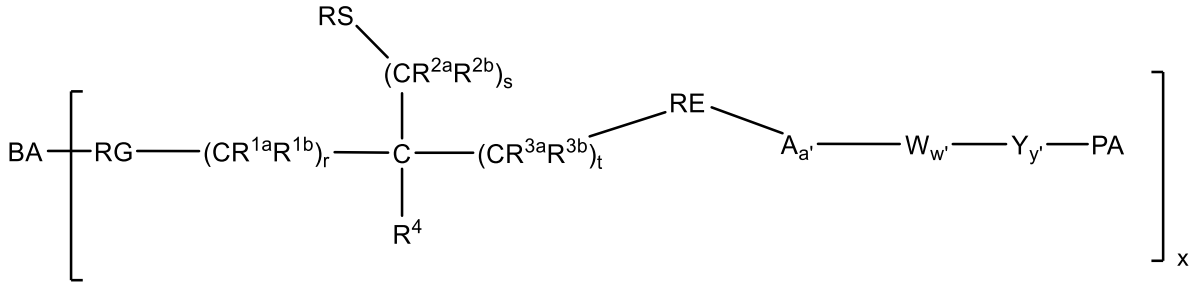
What is claimed is:

1. A compound of Formula (I):



(I)

or a pharmaceutically acceptable salt, tautomer, solvate, or stereoisomer thereof, wherein:

BA is a binding agent selected from a humanized, chimeric, or human antibody or an antigen-binding fragment thereof;

RG is a reactive group residue;

RS is an open-ring stabilizing group;

RE is an open-ring enhancer;

each of R^{1a} and R^{1b} is, independently, H; substituted or unsubstituted C_{1-4} alkyl; substituted or unsubstituted C_{3-5} cycloalkyl; or R^{1a} and R^{1b} together with the atom to which they are attached form a substituted or unsubstituted C_{3-5} cycloalkyl;

each of R^{2a} and R^{2b} is, independently, H; substituted or unsubstituted C_{1-4} alkyl; substituted or unsubstituted C_{3-5} cycloalkyl; or R^{2a} and R^{2b} together with the atom to which they are attached form a substituted or unsubstituted C_{3-5} cycloalkyl;

each of R^{3a} and R^{3b} is, independently, H; substituted or unsubstituted C_{1-4} alkyl; substituted or unsubstituted C_{3-5} cycloalkyl; or R^{3a} and R^{3b} together with the atom to which they are attached form a substituted or unsubstituted C_{3-5} cycloalkyl;

R^4 is H; substituted or unsubstituted C_{1-4} alkyl; or substituted or unsubstituted C_{3-5} cycloalkyl;

each of r, s, and t is, independently, 0, 1, or 2;

A is a Stretcher unit residue;

subscript a' is 0 or 1;

W is a Cleavable unit;

subscript w' is 0 or 1;

Y is a Spacer unit;

subscript y' is 0 or 1;

PA is a payload residue; and

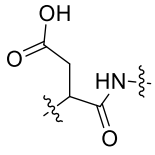
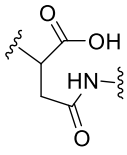
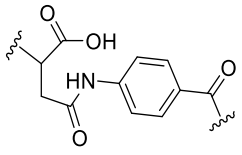
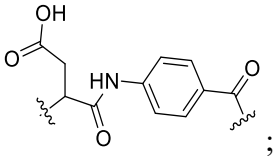
subscript x is from 1 to 15.

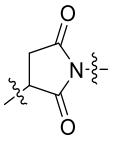
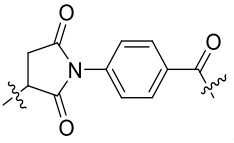
2. The compound of claim 1, wherein:

(a) each of R^{1a}, R^{1b}, R^{2a}, R^{2b}, R^{3a}, R^{3b}, and R^4 is H; and/or

(b) RS is an amino group or $-NR^{5a}R^{5b}$, wherein each of R^{5a} and R^{5b} is, independently, H or substituted or unsubstituted C_{1-4} alkyl; optionally wherein RS is an amino group or $-N(CH_3)_2$; and/or

(c) RE is a bond, -O-, -OC(=O)-, $-OC(=O)NR^6$-, $-NHC(=O)NR^6$-, $-OS(=O)_2NR^6$-, $-NHS(=O)_2NR^6$-, or $-OC(=O)NHS(=O)_2NR^6$-; and R^6 is H, or substituted or unsubstituted C_{1-4} alkyl, optionally wherein R^6 is H, methyl, ethyl, or isopropyl; optionally wherein RE is $-OC(=O)NR^6$-; and optionally wherein RE is -OC(=O)NH-; and/or

(d) RG is  ,  ,  , or  ; or

(e) RG is  or  ; and/or

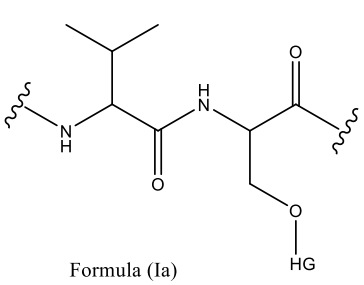
(f) r is 0; and/or

(g) s is 1; and/or

(h) t is 1 or 2; and/or

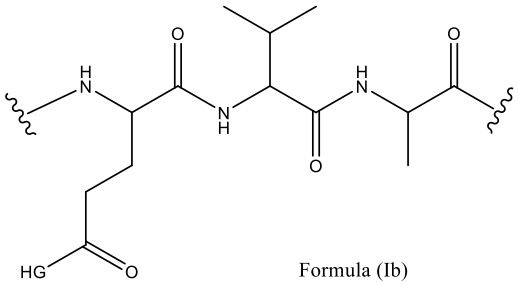
(i) A is $-(CH_2)_n$-C(=O)-, $-CH_2$-C(=O)-NH-$(CH_2)_n$-C(=O)-, -(CH2CH2O)n-CH2CH2-C(=O)-, -CH[-$(CH_2)_n$-COOH]-C(=O)-, $-CH_2$-C(=O)-NH-$(CH_2)_n$-C(=O)-NH-$(CH_2)_n$-C(=O)-, or -C(=O)-$(CH_2)_n$-C(=O)-, wherein each n independently represents an integer of 1, 2, 3, 4, or 5; and/or

(j) $W_{w'}$ is one of the following formulae:



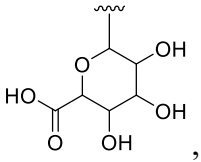
Formula (Ia)

or



Formula (Ib)

, wherein HG is a hydrophilic moiety or hydrogen; optionally wherein HG is a saccharide, phosphate ester, sulfate ester, a phosphodiester, or a phosphonate; optionally wherein HG is a saccharide and the saccharide is β-D-galactose, N-acetyl-P-D-galactosamine, N-acetyl-a-D-galactosamine, N-acetyl-P-D-glucosamine, β-D-glucuronic acid, a-L-iduronic acid, a-D-galactose, a-D-glucose, β-D-glucose, a-D-mannose, β-D-mannose, a-L-fucose, β-D-xylose, or a neuraminic acid, sulfate, phosphate, carboxyl, amino, or an O-acetyl modification thereof; and optionally wherein HG is



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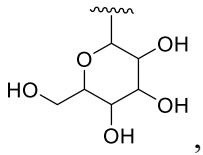

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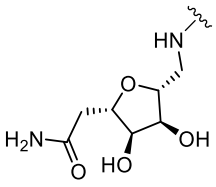
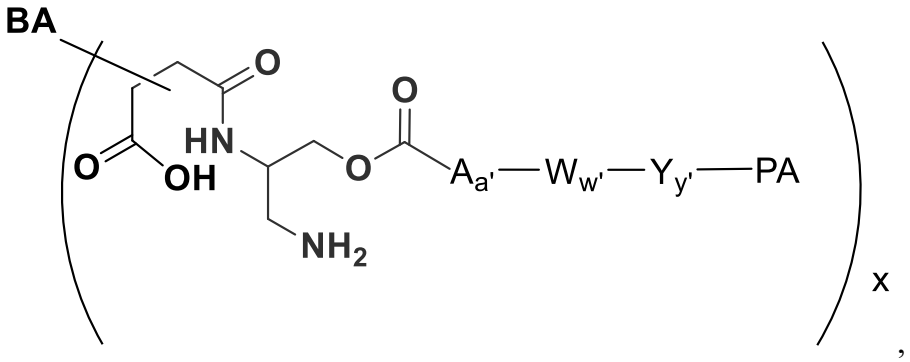


; and/or

(k) $Y_{y'}$ is a p-aminobenzyl alcohol (PAB) unit.

3. The compound of claim 1 or 2, wherein the compound is one of the following formulae:



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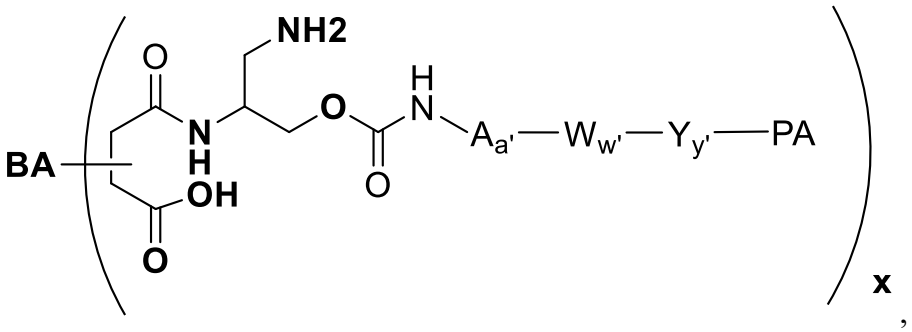

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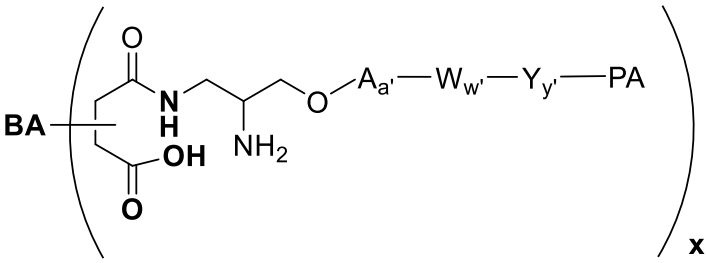

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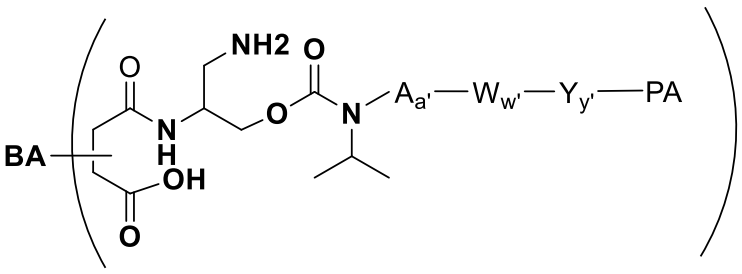

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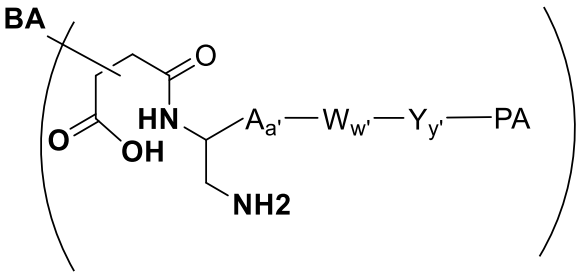

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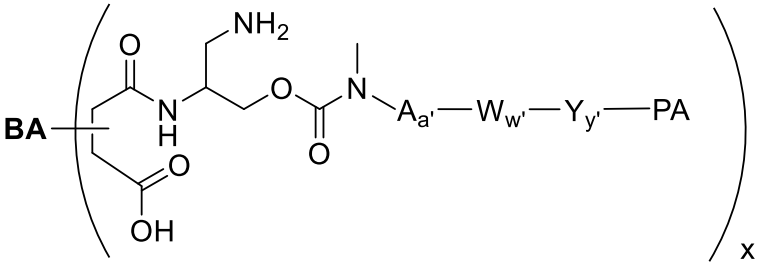

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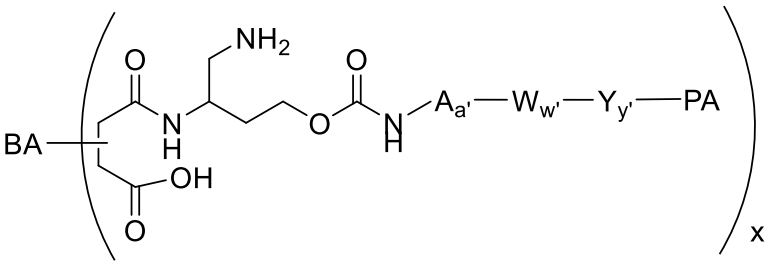

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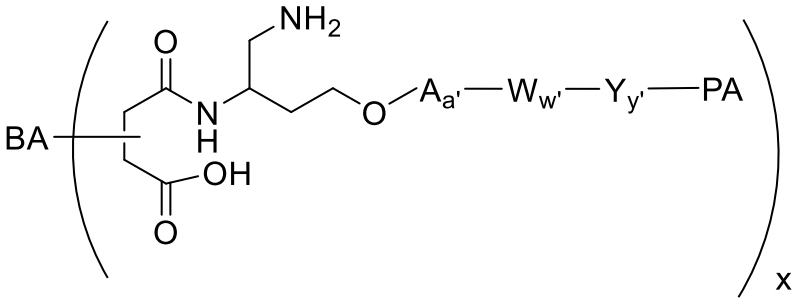

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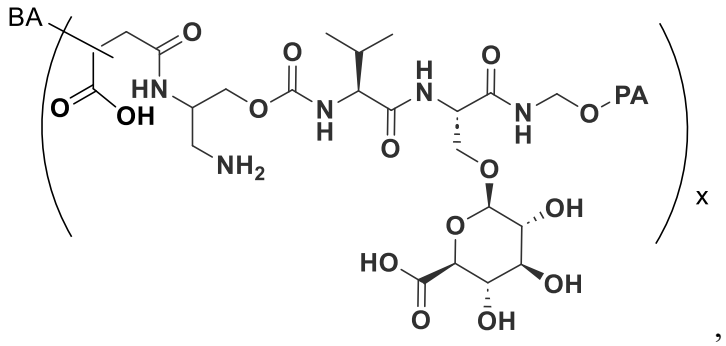
4. The compound of claim 1, wherein the compound is one of the following formulae:



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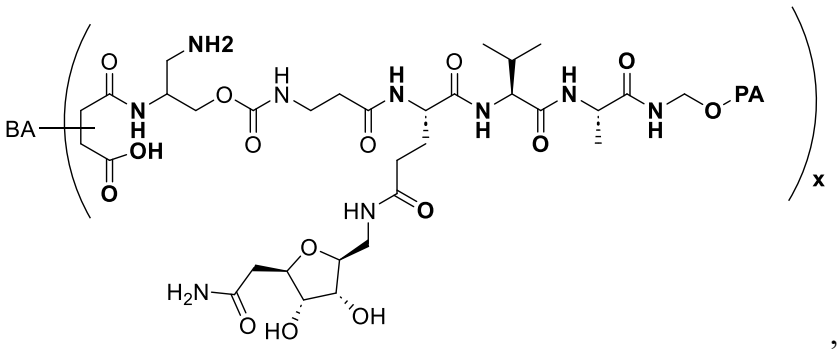

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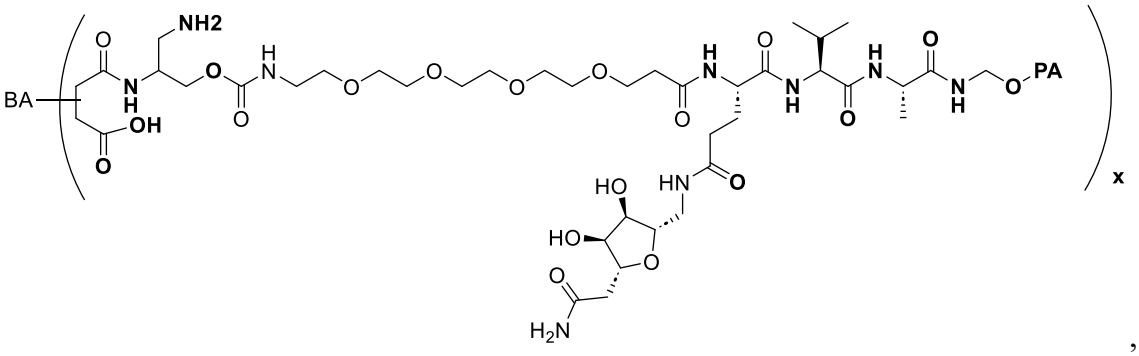
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NH2
OH
HO
HN
HO
O
H2N
PA
x

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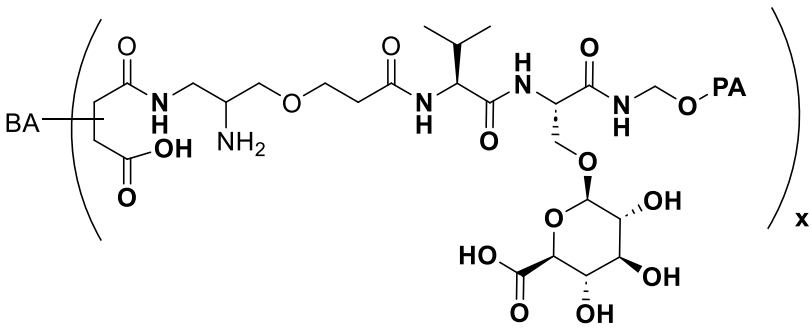
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HO
OH
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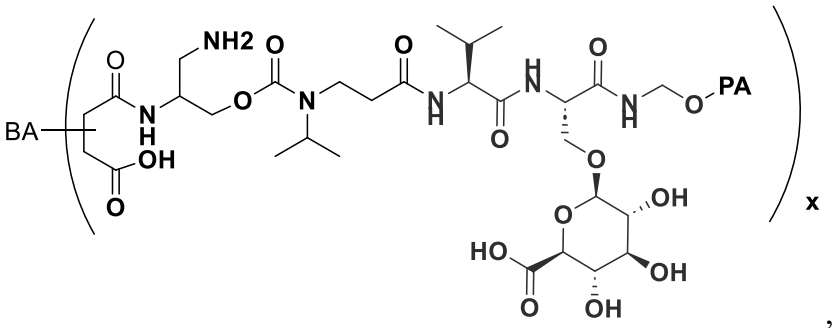
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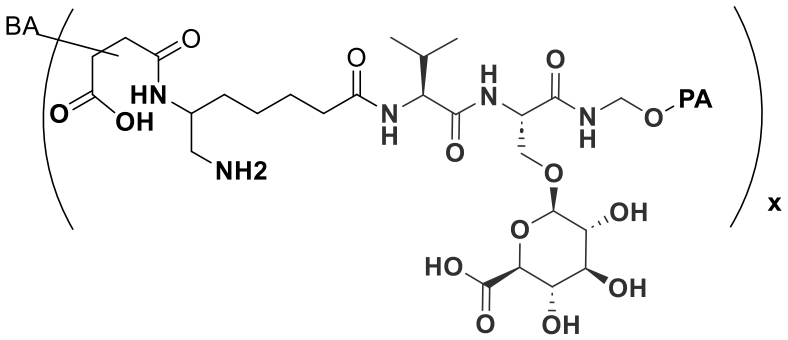
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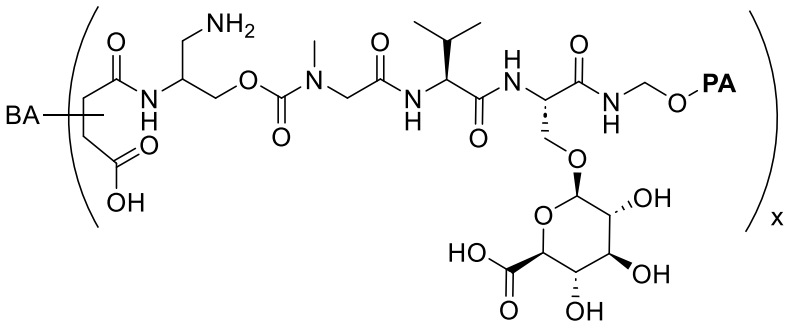
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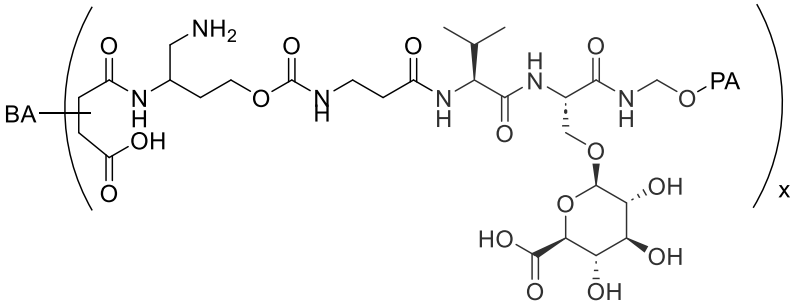
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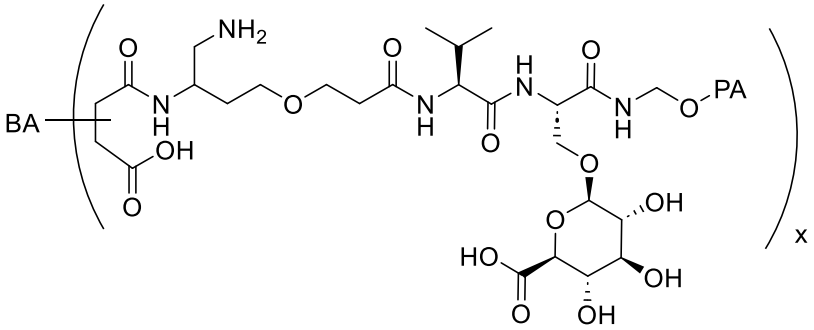
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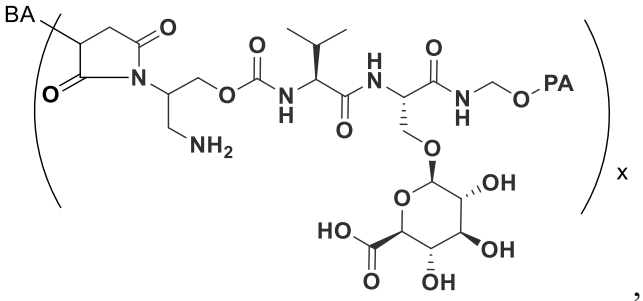
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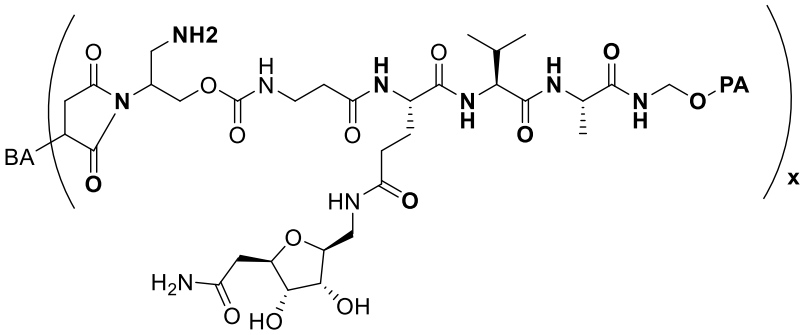
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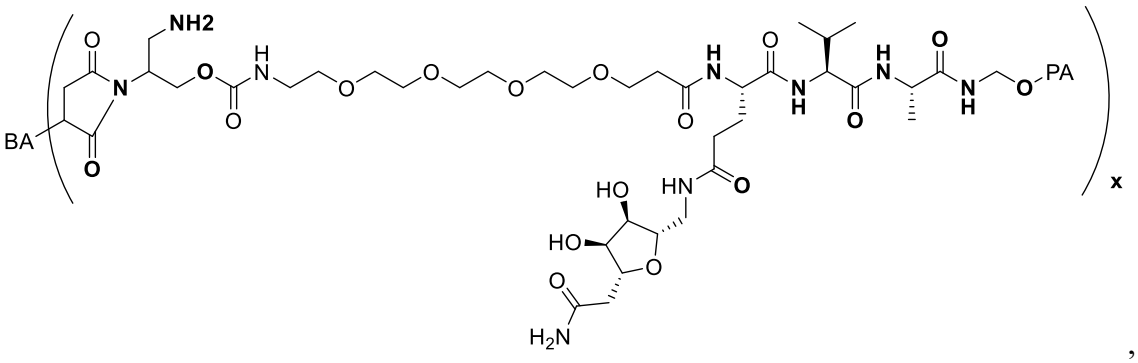
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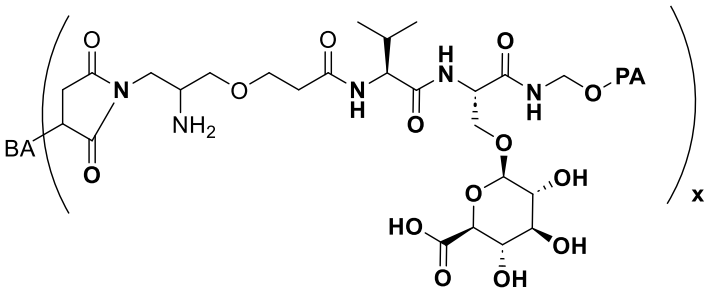
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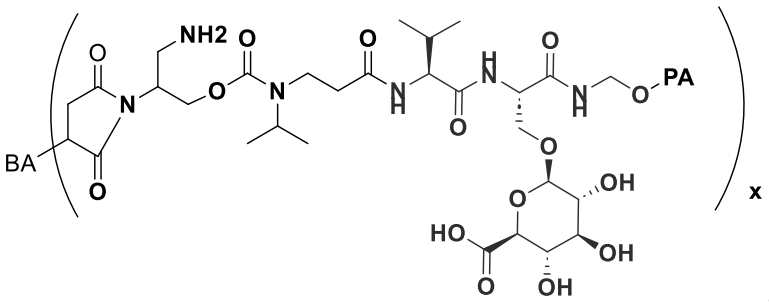
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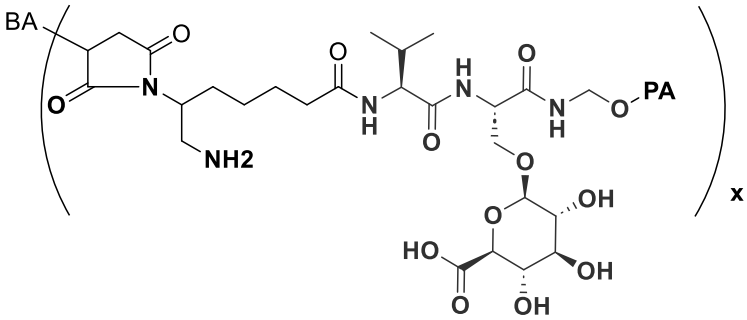
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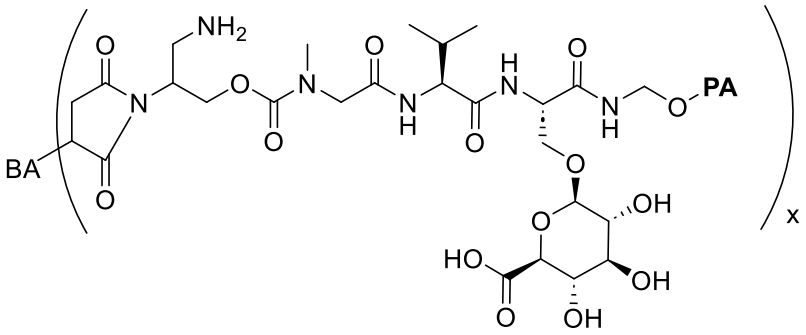
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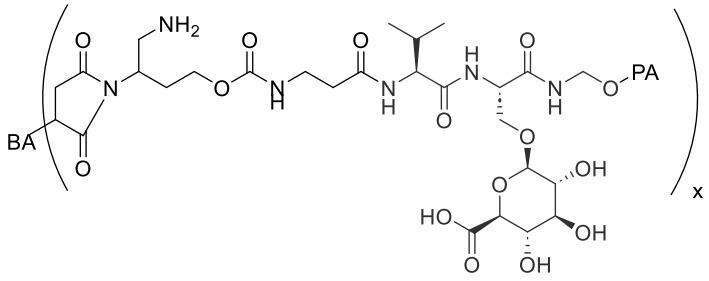

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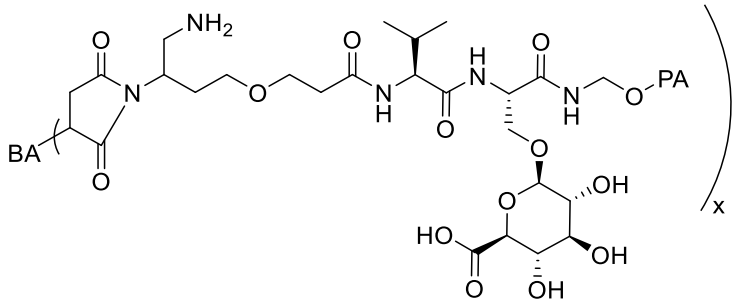

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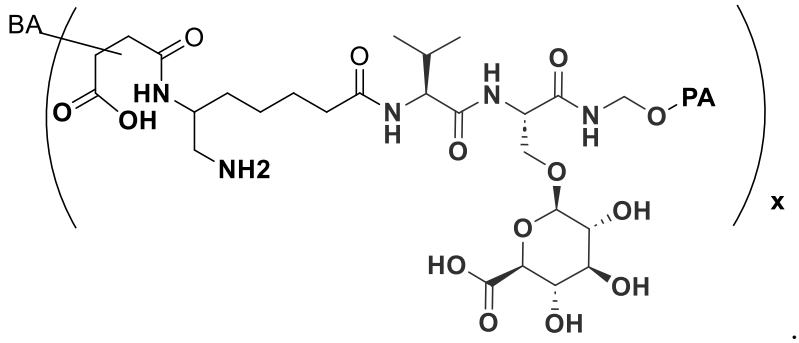
5. The compound of claim 1, wherein the compound has the following formula:



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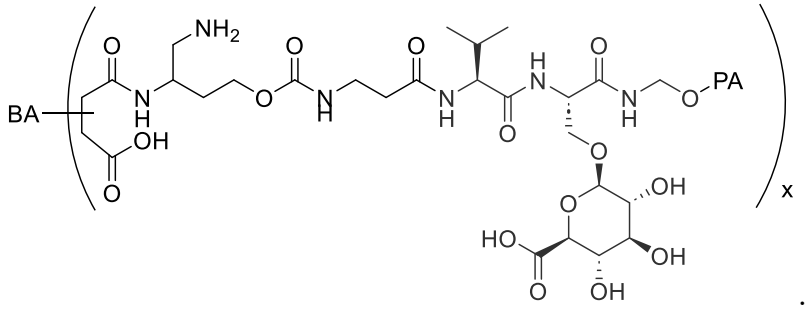
6. The compound of claim 1, wherein the compound has the following formula:



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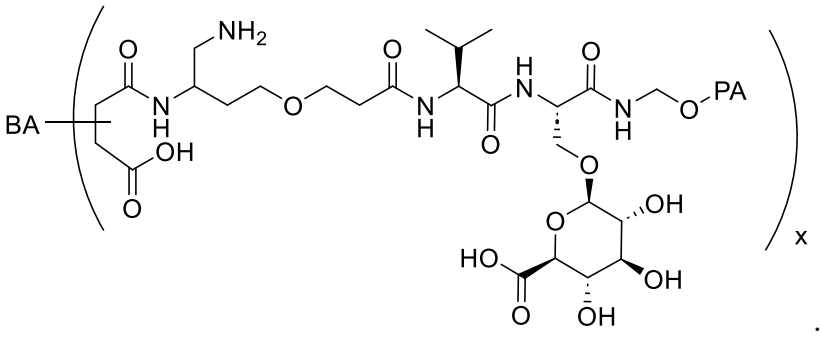
7. The compound of claim 1, wherein the compound has the following formula:



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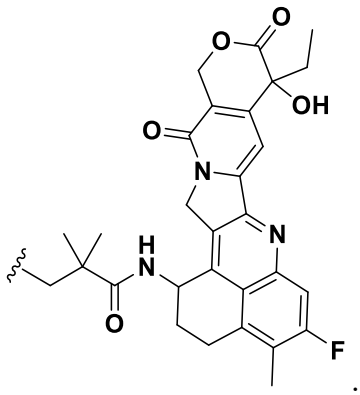


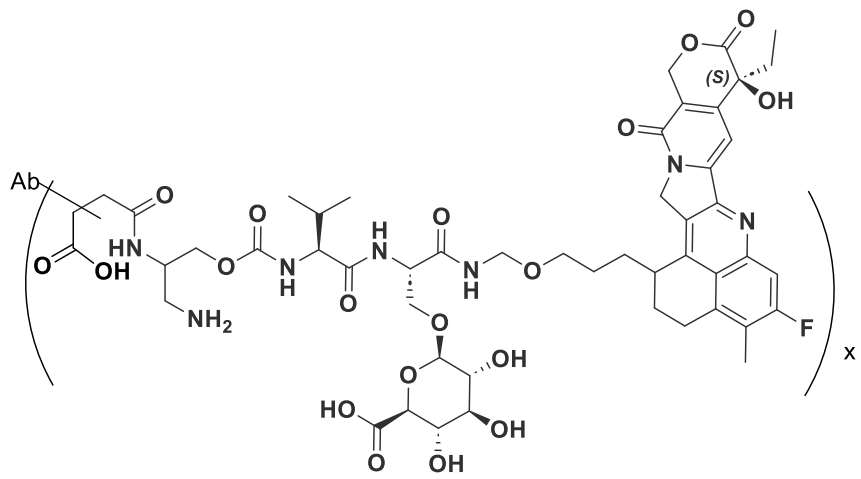
8. The compound of any one of claims 4-7, wherein PA is: .

9. The compound of any one of claims 1-8, wherein:

(a) BA is ifinatamab, cofetuzumab, patritumab, or trastuzumab, or an antigen-binding fragment of ifinatamab, cofetuzumab, patritumab, or trastuzumab; or

(b) BA is a humanized, chimeric, or human antibody or an antigen-binding fragment thereof which binds to one or more of receptors selected from HER2, HER3, PTK7, and B7H3.

10. The compound of claim 1, wherein the compound is of one of the following formulae:



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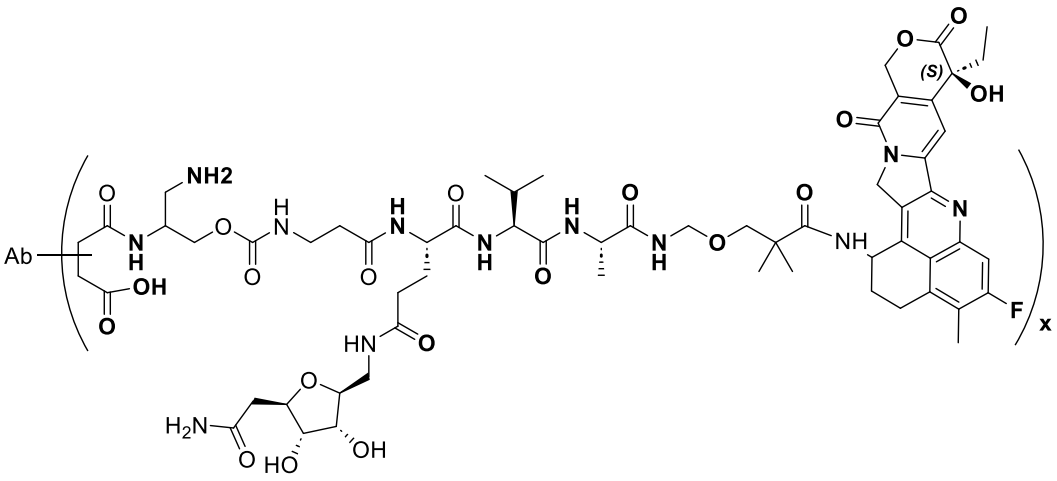

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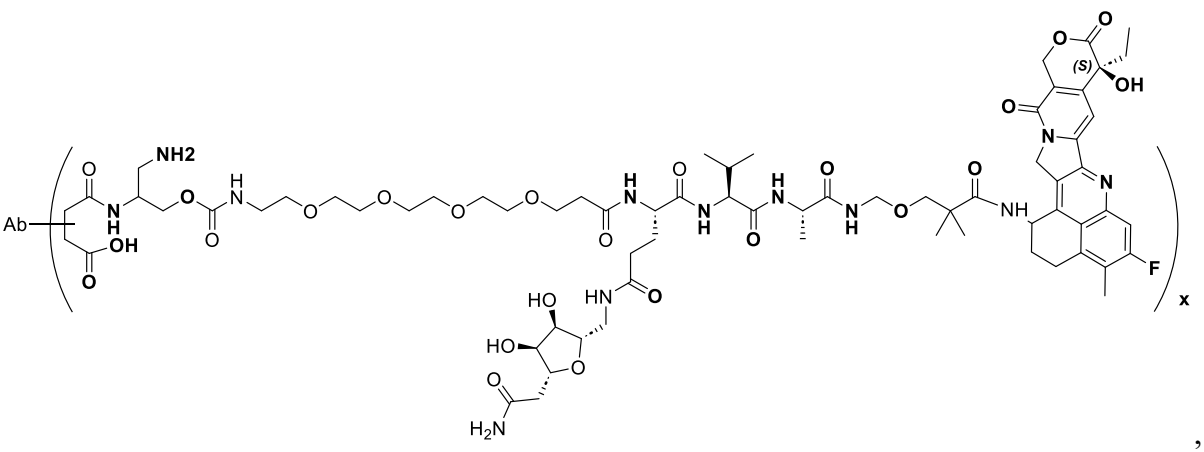

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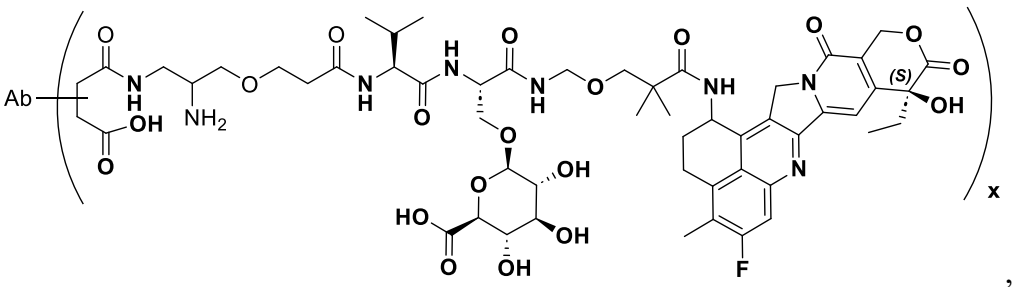

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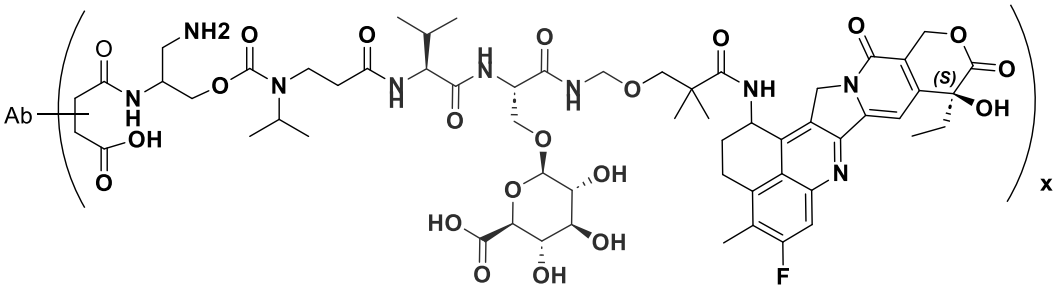

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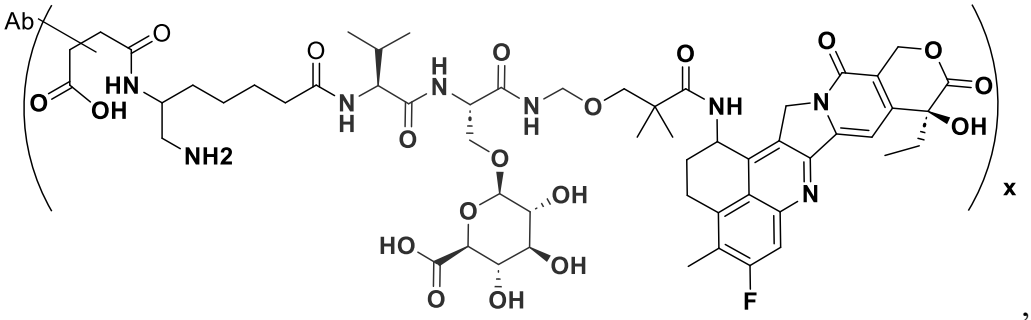

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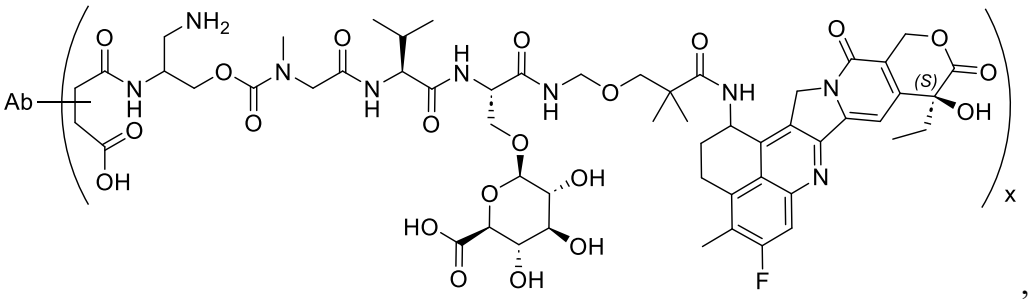

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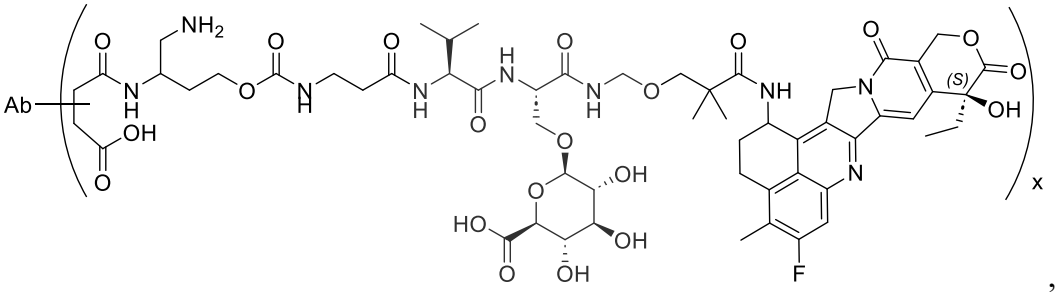

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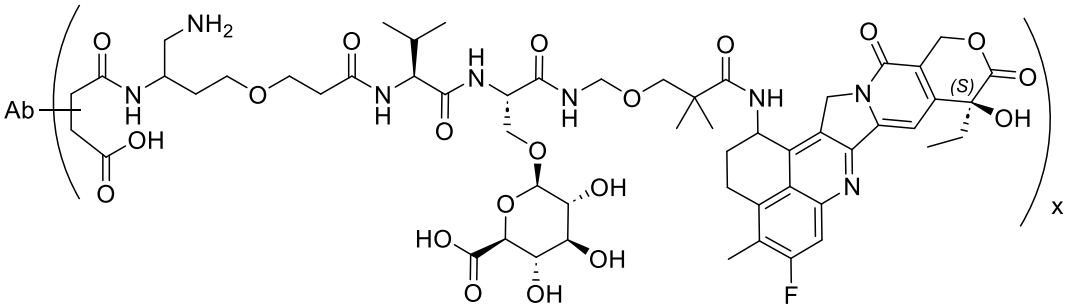

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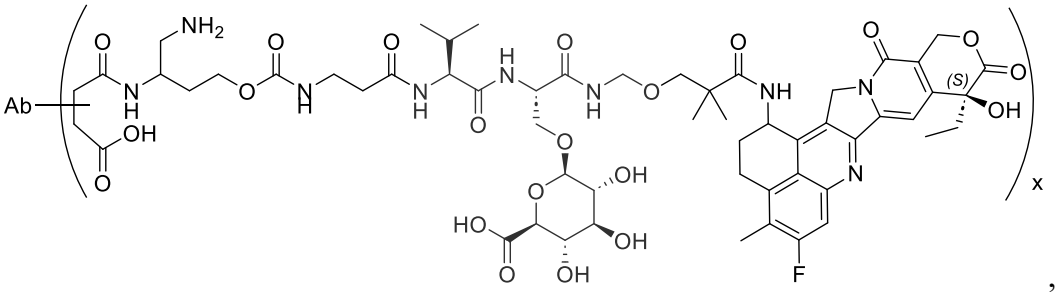
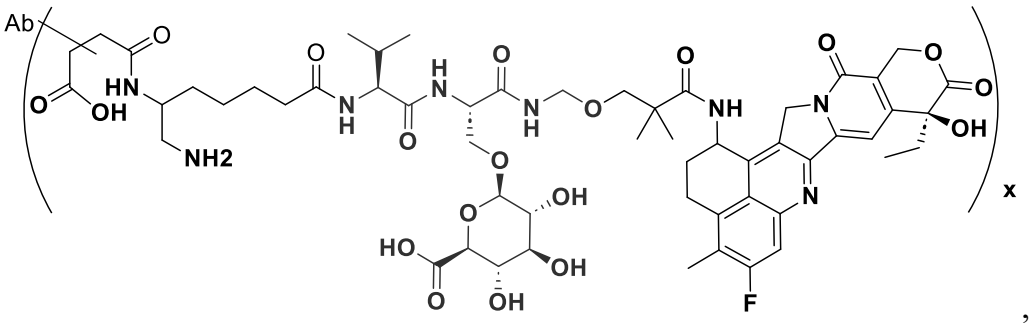
wherein Ab is a humanized, chimeric, or human antibody or an antigen-binding fragment thereof.

11. The compound of claim 1, wherein the compound is of the following formula:



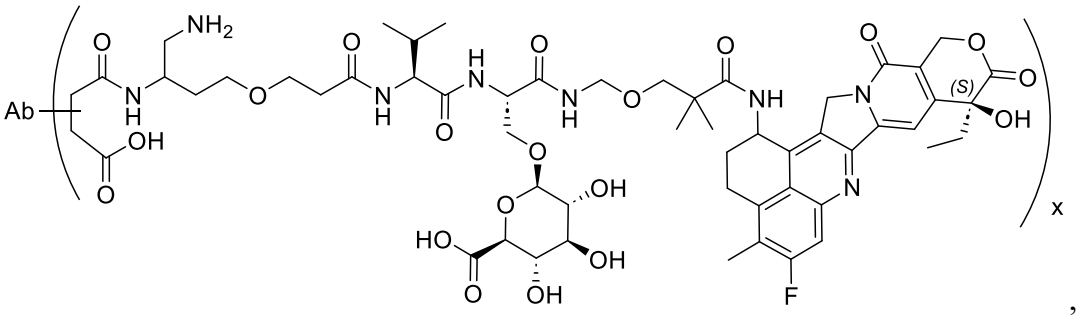
wherein Ab is a humanized, chimeric, or human antibody or an antigen-binding fragment thereof.

12. The compound of claim 1, wherein the compound is of the following formula:



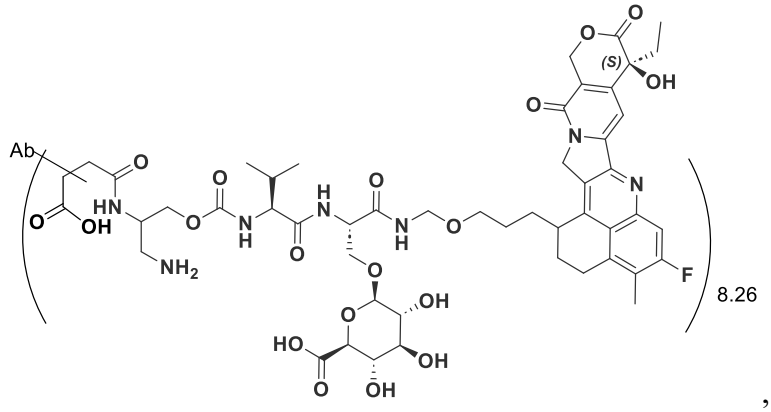
wherein Ab is a humanized, chimeric, or human antibody or an antigen-binding fragment thereof.

13. The compound of claim 1, wherein the compound is of the following formula:



wherein Ab is a humanized, chimeric, or human antibody or an antigen-binding fragment thereof.

14. The compound of claim 1, wherein the compound is of one of the following formulae:



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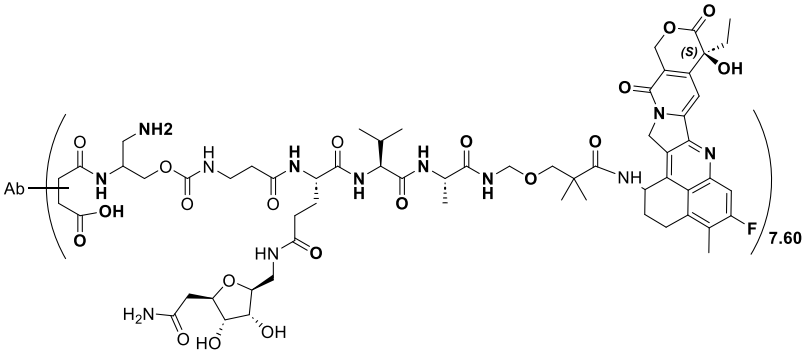

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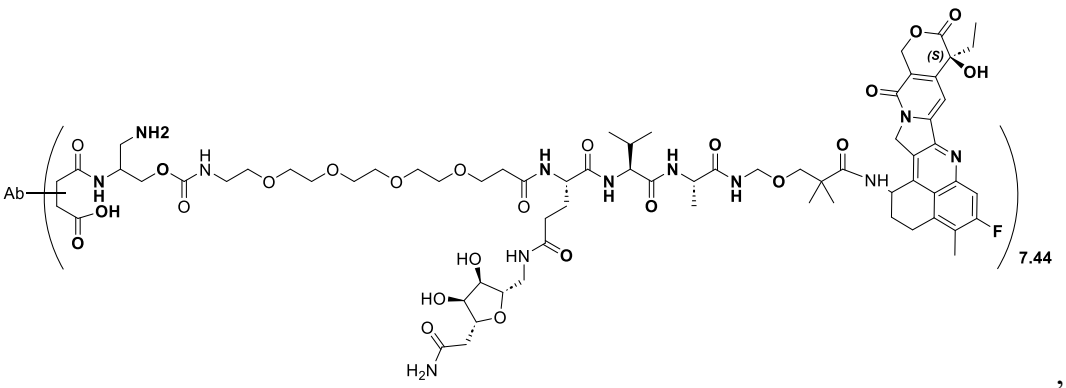

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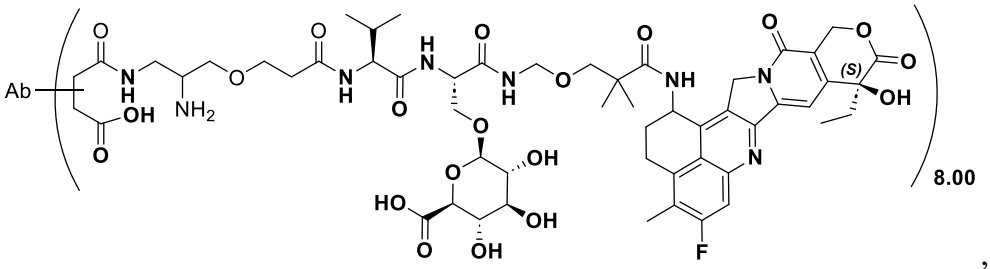

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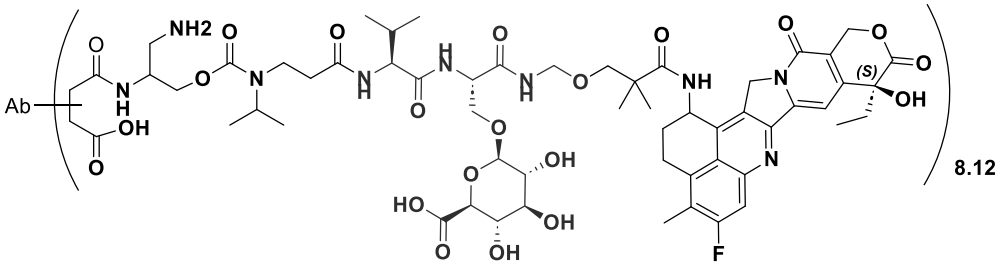

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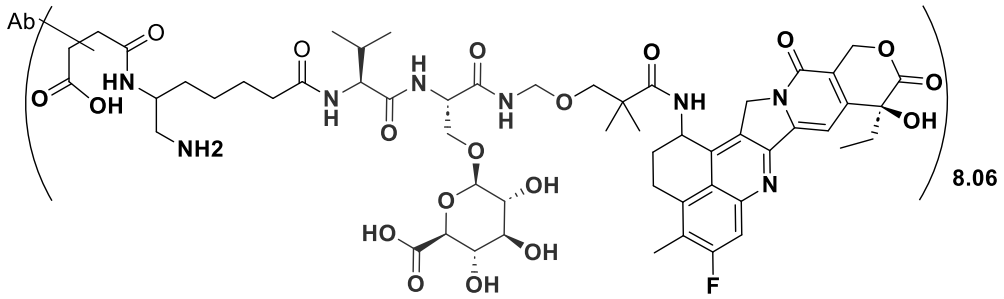

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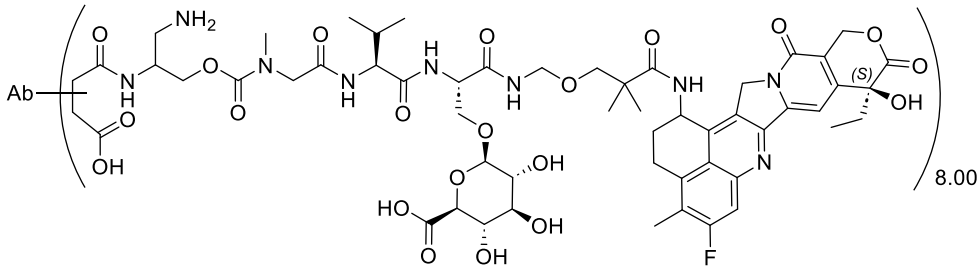

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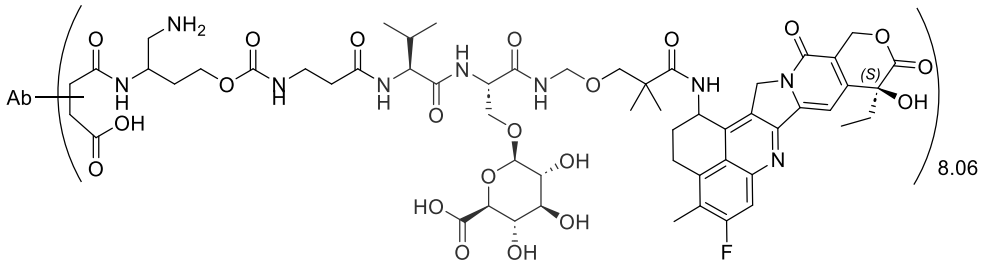

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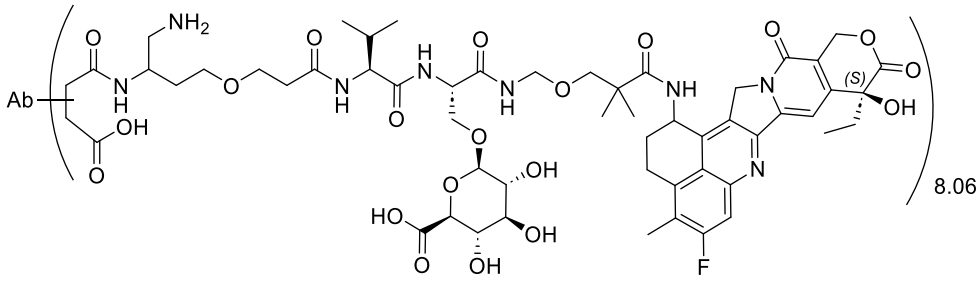
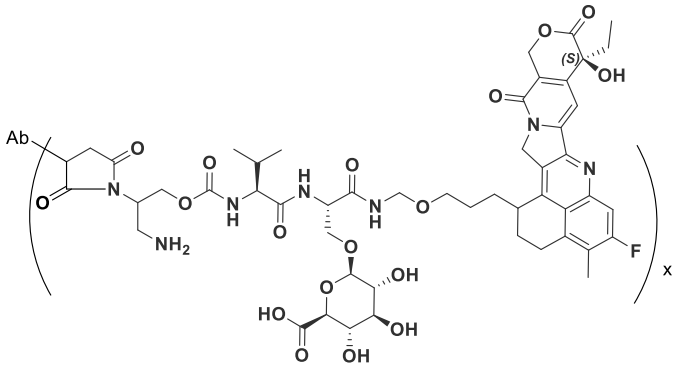


,

wherein Ab is a humanized, chimeric, or human antibody or an antigen-binding fragment thereof.

15. The compound of claim 1, wherein the compound is of one of the following formulae:



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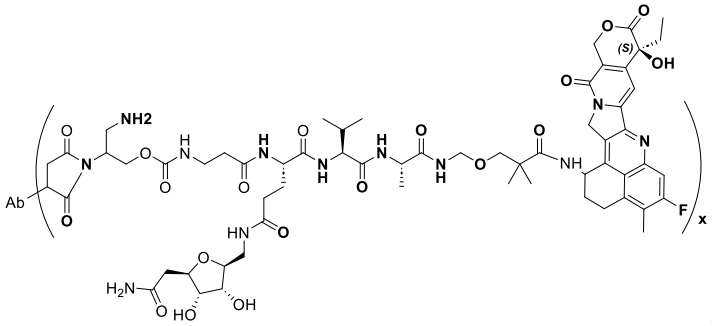

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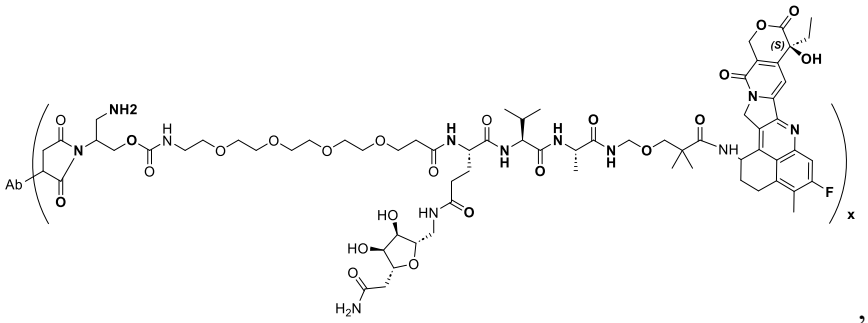

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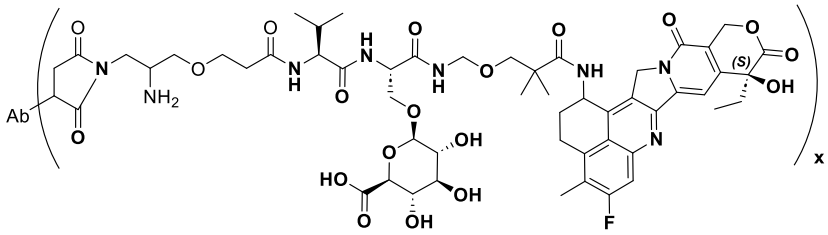

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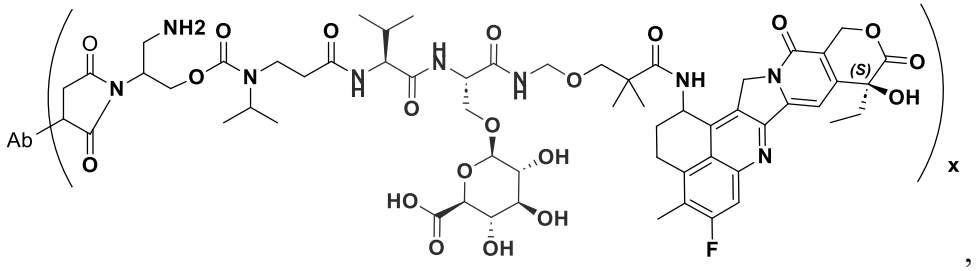

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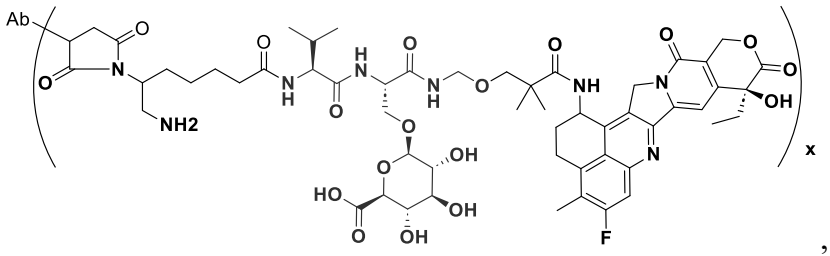

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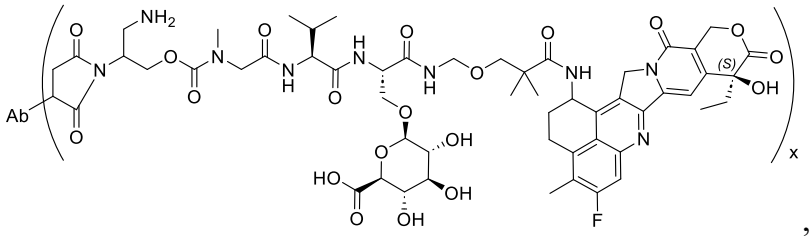

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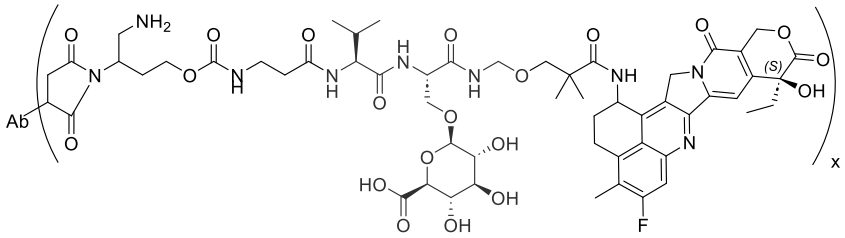

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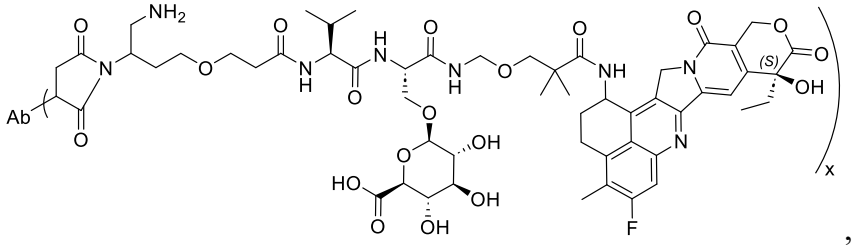
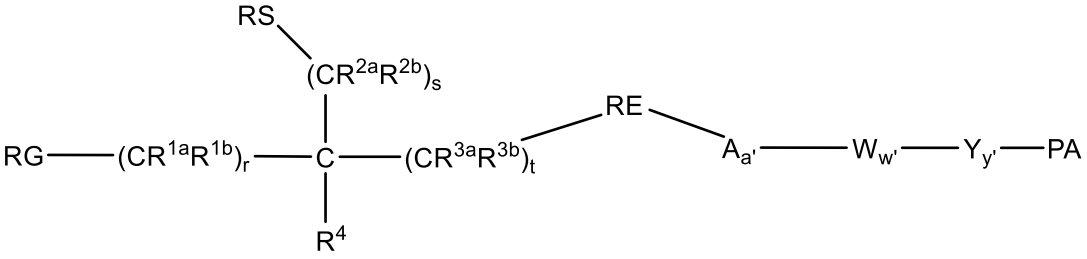


,

wherein Ab is a humanized, chimeric, or human antibody or an antigen-binding fragment thereof.

16. A pharmaceutical composition comprising a compound of any one of claims 1-15, or a pharmaceutically acceptable salt, tautomer, solvate, or stereoisomer thereof, and a pharmaceutically acceptable excipient.

17. A compound of Formula (II):



(II)

or a pharmaceutically acceptable salt, tautomer, solvate, or stereoisomer, wherein:

RG is a reactive group;

RS is an open-ring stabilizing group;

RE is an open-ring enhancer;

each of R^{1a} and R^{1b} is, independently, H; substituted or unsubstituted C_{1-4} alkyl; substituted or unsubstituted C_{3-5} cycloalkyl; or R^{1a} and R^{1b} together with the atom to which they are attached form a substituted or unsubstituted C_{3-5} cycloalkyl;

each of R^{2a} and R^{2b} is, independently, H; substituted or unsubstituted C_{1-4} alkyl; substituted or unsubstituted C_{3-5} cycloalkyl; or R^{2a} and R^{2b} together with the atom to which they are attached form a substituted or unsubstituted C_{3-5} cycloalkyl;

each of R^{3a} and R^{3b} is, independently, H; substituted or unsubstituted C_{1-4} alkyl; substituted or unsubstituted C_{3-5} cycloalkyl; or R^{3a} and R^{3b} together with the atom to which they are attached form a substituted or unsubstituted C_{3-5} cycloalkyl;

R^4 is H, substituted or unsubstituted C_{1-4} alkyl; or substituted or unsubstituted C_{3-5} cycloalkyl;

each of r, s, and t is, independently, 0, 1, or 2;

A is a Stretcher unit residue;

subscript a' is 0 or 1;

W is a Cleavable unit;

subscript w' is 0 or 1;

Y is a Spacer unit;

subscript y' is 0 or 1; and

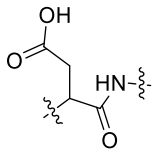
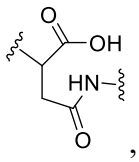
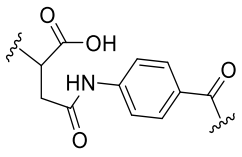
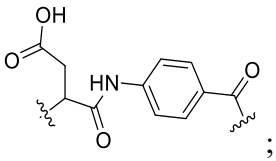
PA is a payload residue.

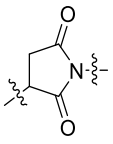
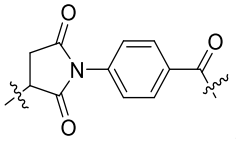
18. The compound of claim 17, wherein:

(a) each of R^{1a}, R^{1b}, R^{2a}, R^{2b}, R^{3a}, R^{3b}, and R^4 is H; and/or

(b) RS is an amino group or $-NR^{5a}R^{5b}$, wherein each of R^{5a} and R^{5b} is, independently, H or substituted or unsubstituted C_{1-4} alkyl; optionally wherein RS is an amino group or $-N(CH_3)_2$; and/or

(c) RE is a bond, -O-, -OC(=O)-, $-OC(=O)NR^6-$, $-NHC(=O)NR^6-$, $-OS(=O)_2NR^6-$, $-NHS(=O)_2NR^6-$, or $-OC(=O)NHS(=O)_2NR^6-$; and R^6 is H, or substituted or unsubstituted C_{1-4} alkyl, optionally wherein R^6 is H, methyl, ethyl, or isopropyl; optionally wherein RE is $-OC(=O)NR^6-$; and optionally wherein RE is -OC(=O)NH-; and/or

(d) RG is  ,  ,  , or  ; or

(e) RG is  or  ; and/or

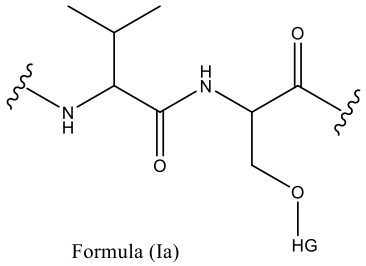
(f) r is 0; and/or

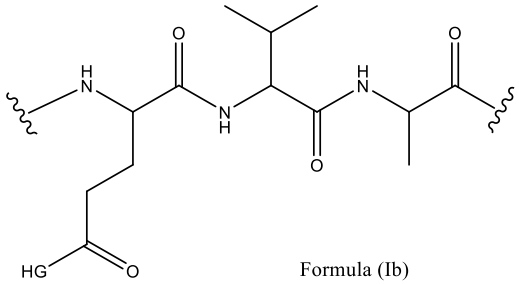
(g) s is 1; and/or

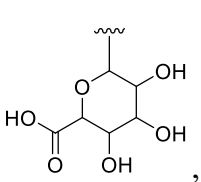
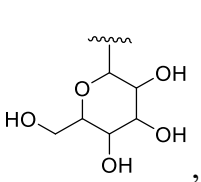
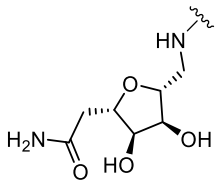
(h) t is 1 or 2; and/or

(i) A is -$(CH_2)_n$-C(=O)-, -CH_2-C(=O)-NH-$(CH_2)_n$-C(=O)-, -(CH_2CH_2O)n-CH_2CH_2-C(=O)-, -CH[-$(CH_2)_n$-COOH]-C(=O)-, -CH_2-C(=O)-NH-$(CH_2)_n$-C(=O)-NH-$(CH_2)_n$-C(=O)-, or -C(=O)-$(CH_2)_n$-C(=O)-, wherein each n independently represents an integer of 1, 2, 3, 4, or 5; and/or

(j) $W_{w'}$ is one of the following formulae:

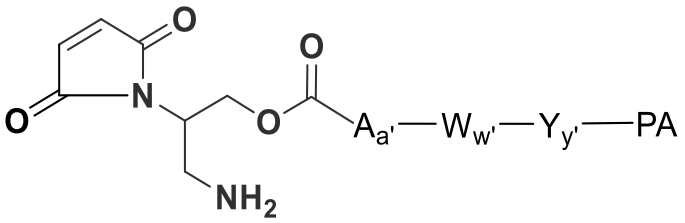

Formula (Ia)

or 
Formula (Ib)

, wherein HG is a hydrophilic moiety or hydrogen; optionally wherein HG is a saccharide, phosphate ester, sulfate ester, a phosphodiester, or a phosphonate; optionally wherein HG is a saccharide and the saccharide is β-D-galactose, N-acetyl-P-D-galactosamine, N-acetyl-a-D-galactosamine, N-acetyl-P-D-glucosamine, β-D-glucuronic acid, a-L-iduronic acid, a-D-galactose, a-D-glucose, β-D-glucose, a-D-mannose, β-D-mannose, a-L-fucose, β-D-xylose, or a neuraminic acid, sulfate, phosphate, carboxyl, amino, or an O-acetyl modification thereof; and optionally wherein HG is  ,  , or  ; and/or

(k) $Y_{y'}$ is a p-aminobenzyl alcohol (PAB) unit.

19. The compound of claim 17 or 18, wherein the compound is one of the following formulae:



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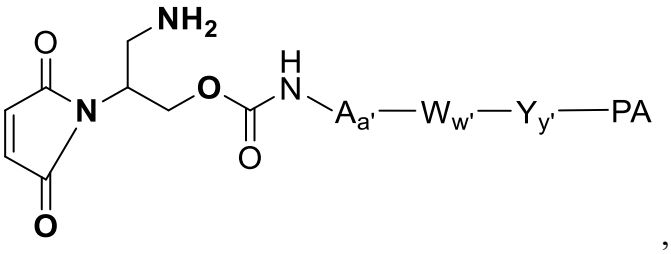

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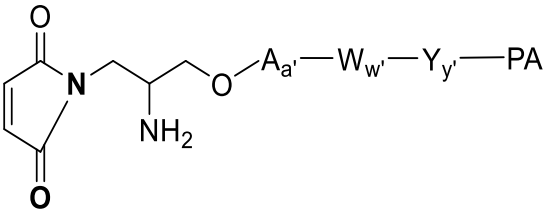

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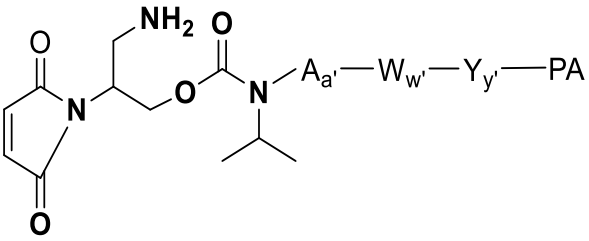

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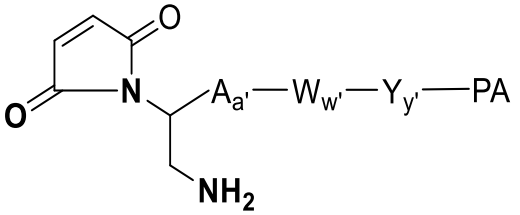

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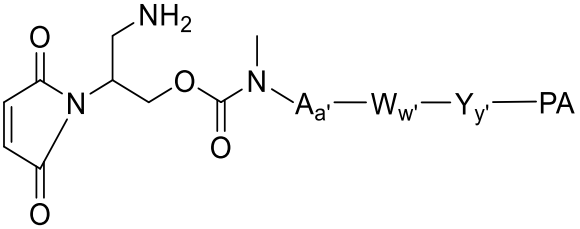

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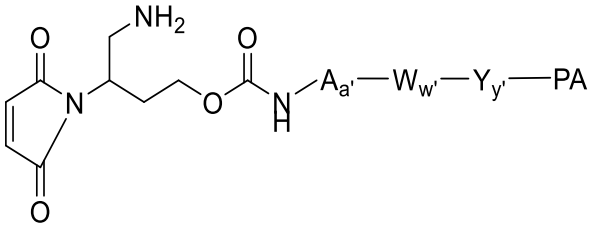

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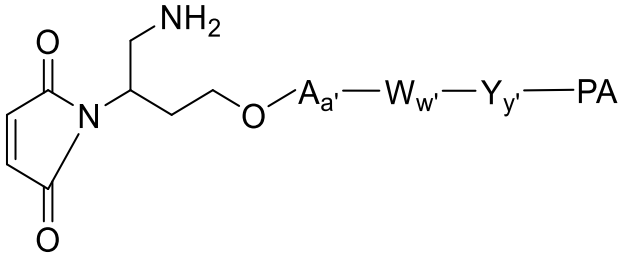

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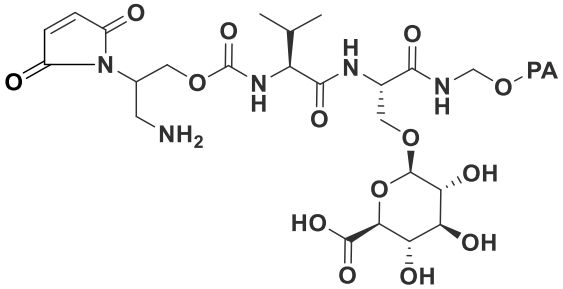
20. The compound of claim 17, wherein the compound is one of the following formulae:



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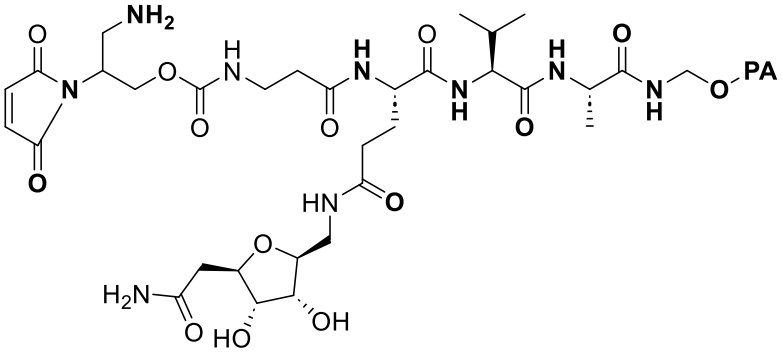

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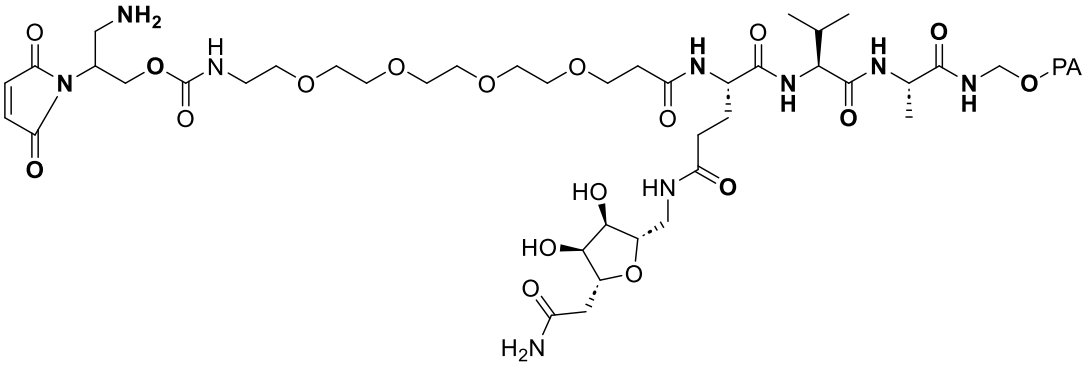

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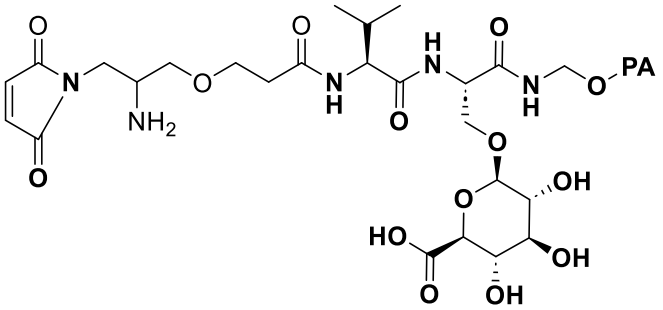
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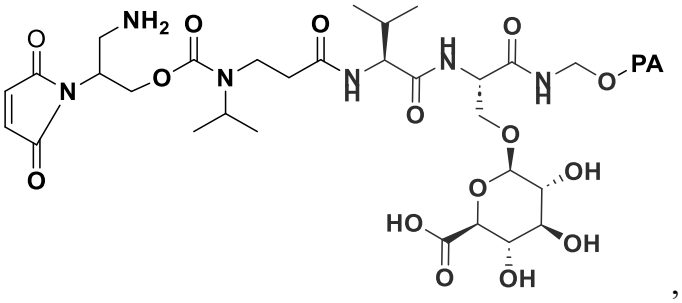
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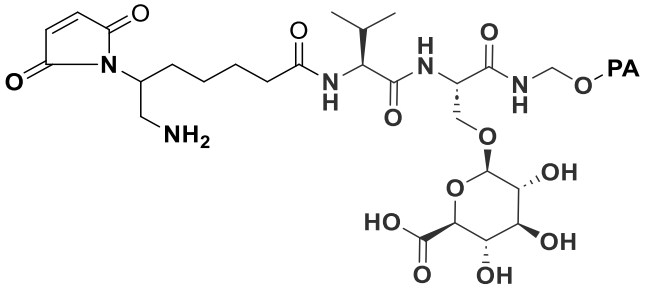
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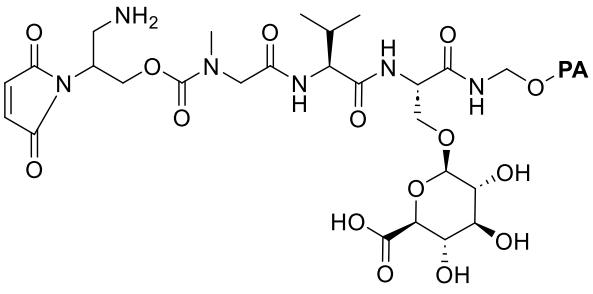
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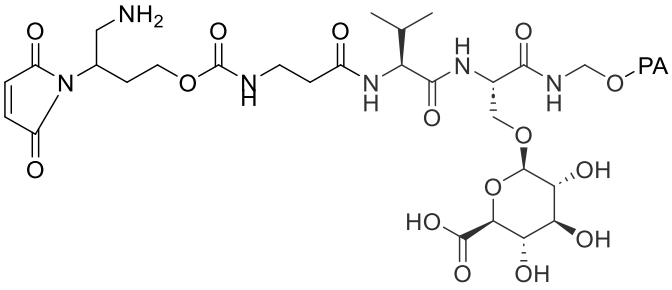

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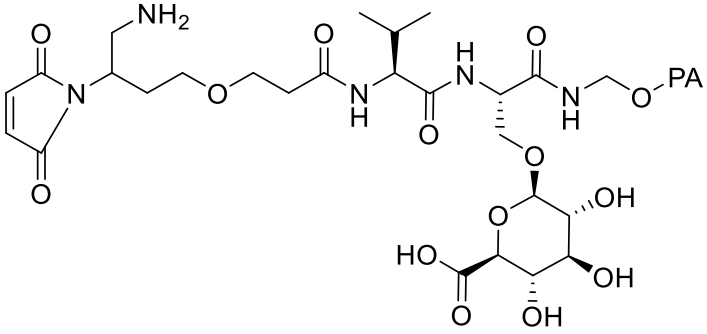

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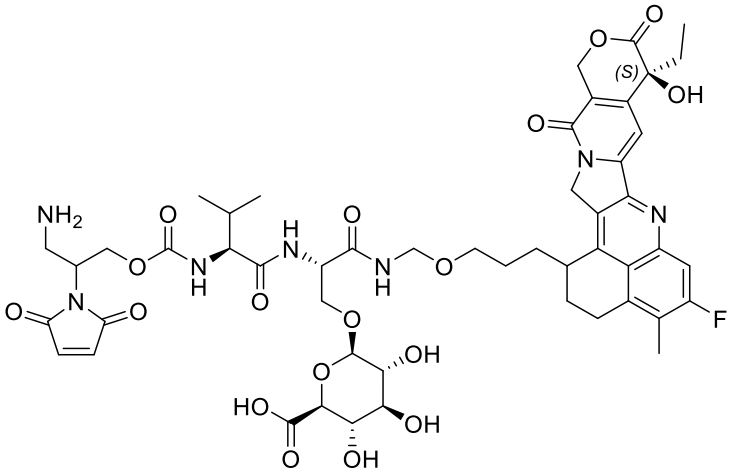
21. The compound of claim 17, wherein the compound is:



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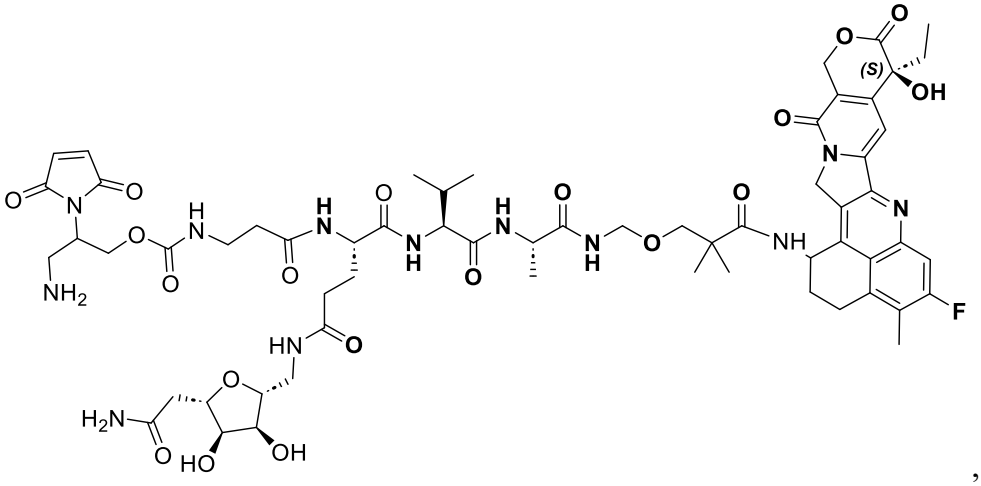

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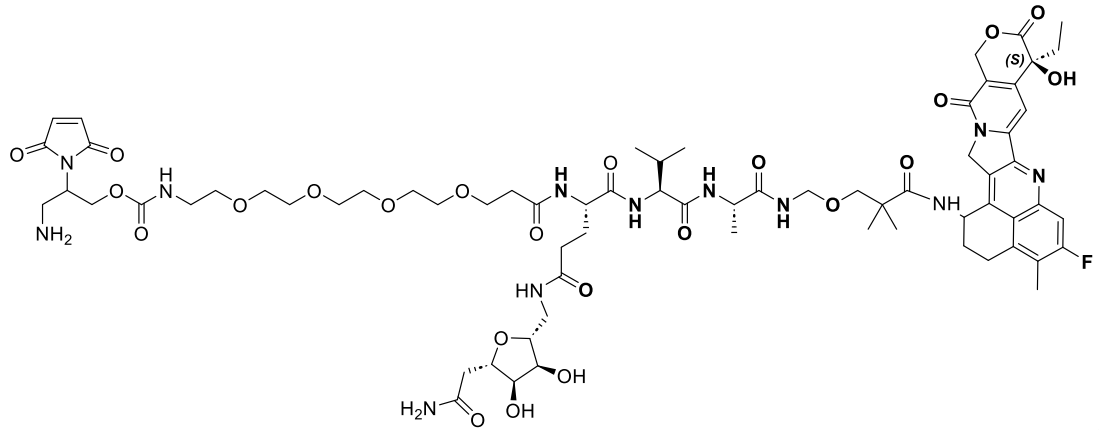

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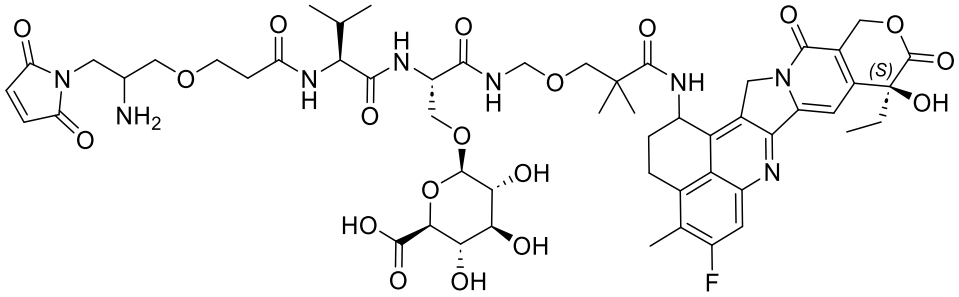

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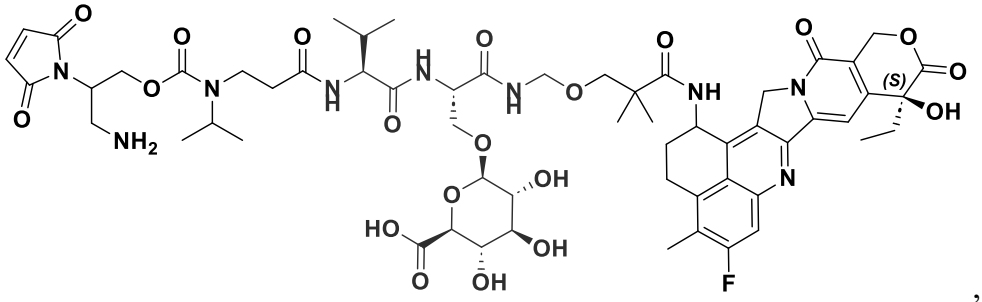

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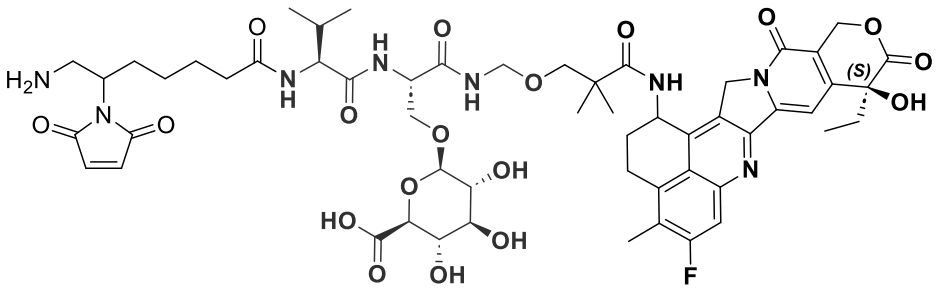

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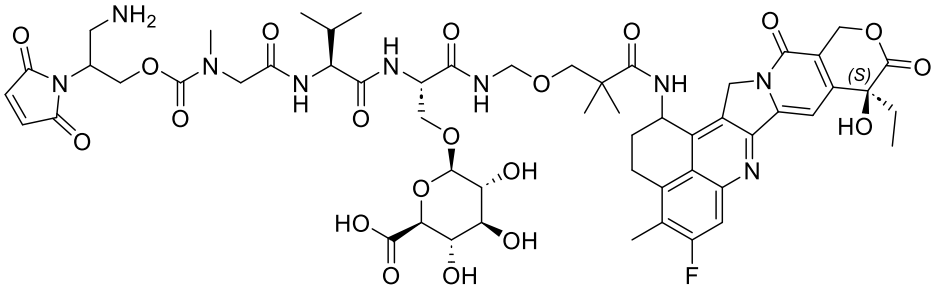

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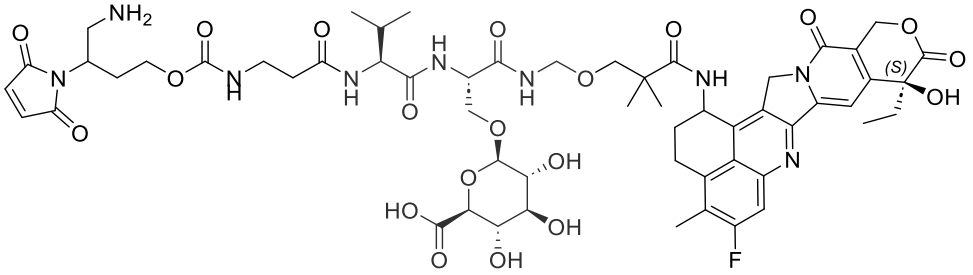

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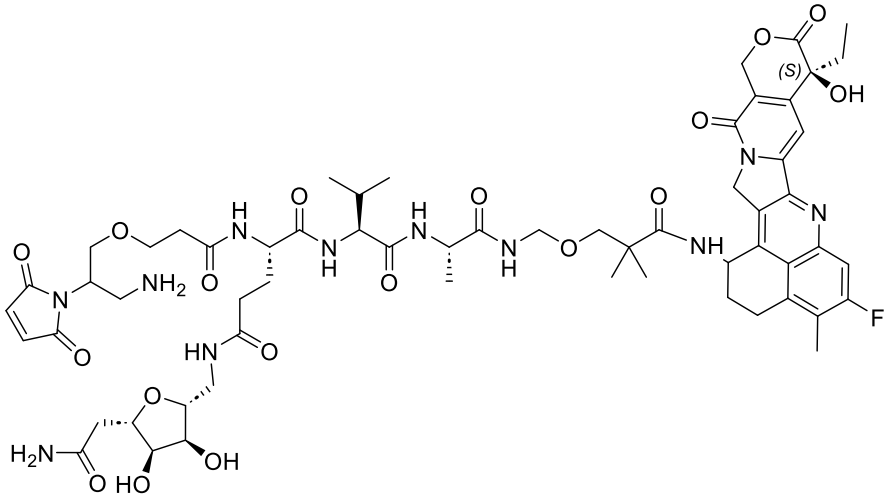

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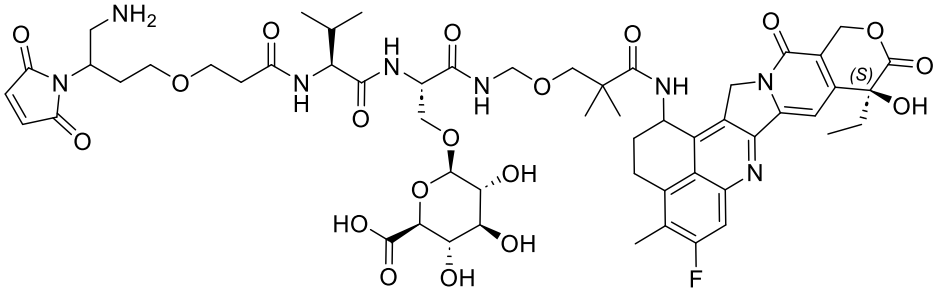

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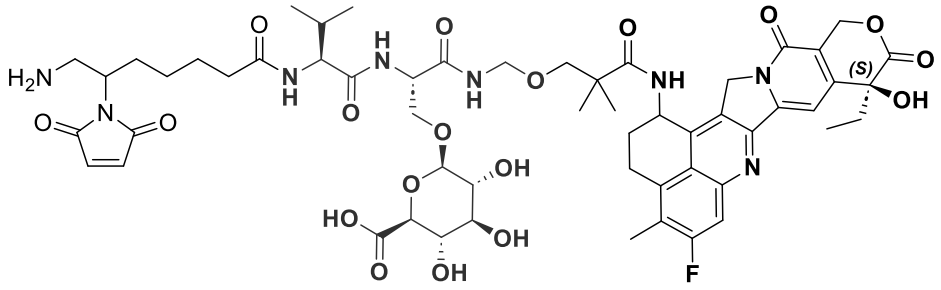
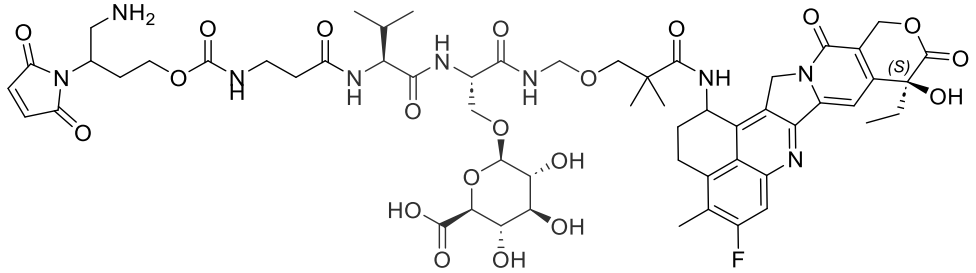
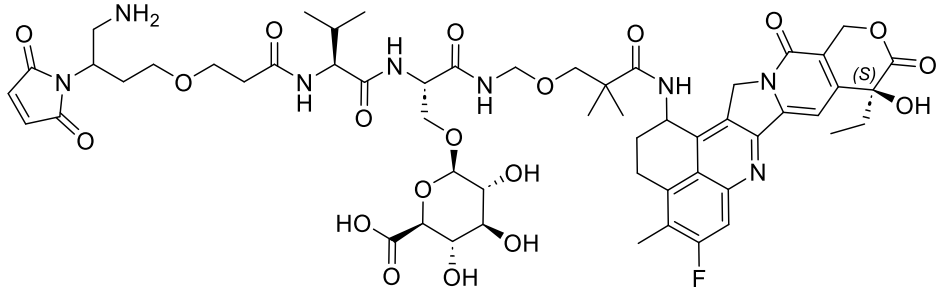
22. The compound of claim 17, wherein the compound is:



23. The compound of claim 17, wherein the compound is:



24. The compound of claim 17, wherein the compound is:

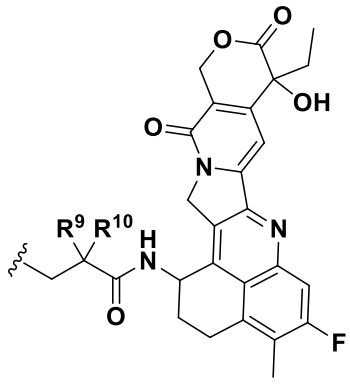


25. The compound of any one of claims 1-7, 9, and 17-20, wherein:

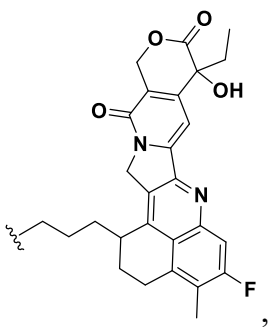
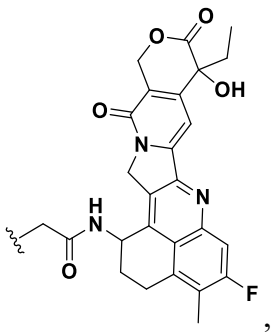
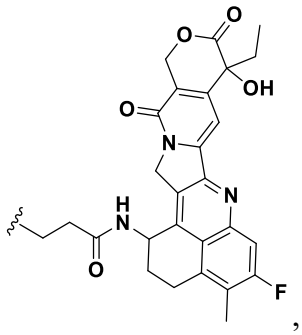
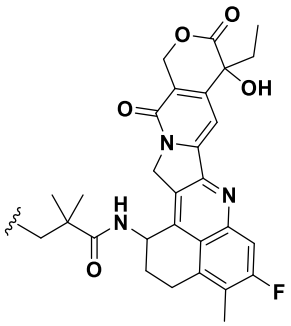
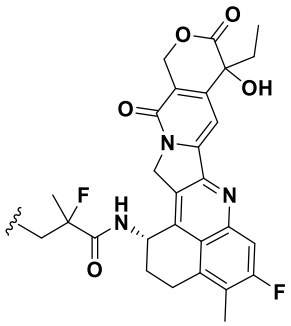
each PA is independently a cytotoxic agent; optionally wherein

each PA is independently selected from the group consisting of DXd, 7-ethyl-10-hydroxy-camptothecin (SN-38), and monomethyl auristatin E (MMAE); optionally wherein

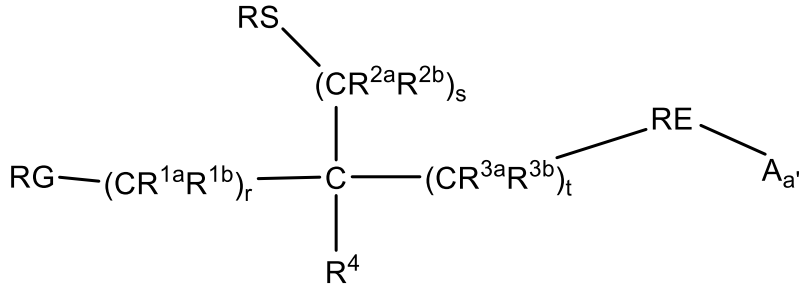
each PA independently represents a compound of Formula (VI):



(VI), wherein each of R^9 and R^{10} is independently hydrogen, halogen, or substituted or unsubstituted C_{1-4} alkyl; and optionally wherein

each PA independently represents  ,  ,  ,  , or  .

26. A compound of Formula (III):



(III)

or a pharmaceutically acceptable salt, tautomer, solvate, or stereoisomer thereof,

wherein:

RG is a reactive group;

RS is an open-ring stabilizing group;

RE is an open-ring enhancer;

each of R^{1a} and R^{1b} is, independently, H; substituted or unsubstituted C_{1-4} alkyl; substituted or unsubstituted C_{3-5} cycloalkyl; or R^{1a} and R^{1b} together with the atom to which they are attached form a substituted or unsubstituted C_{3-5} cycloalkyl;

each of R^{2a} and R^{2b} is, independently, H; substituted or unsubstituted C_{1-4} alkyl; substituted or unsubstituted C_{3-5} cycloalkyl; or R^{2a} and R^{2b} together with the atom to which they are attached form a substituted or unsubstituted C_{3-5} cycloalkyl;

each of R^{3a} and R^{3b} is, independently, H; substituted or unsubstituted C_{1-4} alkyl; substituted or unsubstituted C_{3-5} cycloalkyl; or R^{3a} and R^{3b} together with the atom to which they are attached form a substituted or unsubstituted C_{3-5} cycloalkyl;

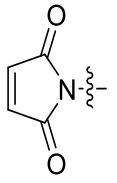
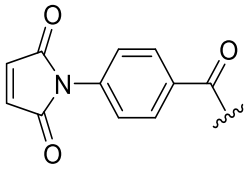
R^4 is H; substituted or unsubstituted C_{1-4} alkyl; or substituted or unsubstituted C_{3-5} cycloalkyl;

each of r, s, and t is, independently, 0, 1, or 2;

A is a Stretcher unit; and

subscript a' is 0 or 1.

27. The compound of claim 26, wherein:

(a) RG is  or  ; and/or

(b) each of R^{1a}, R^{1b}, R^{2a}, R^{2b}, R^{3a}, R^{3b}, and R^4 is H; and/or

(c) RS is an amino group or $-NR^{5a}R^{5b}$, wherein each of R^{5a} and R^{5b} is, independently, H, or substituted or unsubstituted C_{1-4} alkyl; optionally wherein RS is an amino group or $-N(CH_3)_2$; and/or

(d) RE is a bond, -O-, -OC(=O)-, $-OC(=O)NR^6$-, $-NHC(=O)NR^6$-, $-OS(=O)_2NR^6$-, $-NHS(=O)_2NR^6$-, or - $OC(=O)NHS(=O)_2NR^6$-; and R^6 is H, or substituted or unsubstituted C_{1-4} alkyl, optionally wherein R^6 is H, methyl, ethyl, or isopropyl; optionally wherein RE is $-OC(=O)NR^6$-; and optionally wherein RE is -OC(=O)NH-; and/or

(e) r is 0; and/or

(f) s is 1; and/or

(g) t is 1 or 2.

28. The compound of claim 26 or 27, wherein:

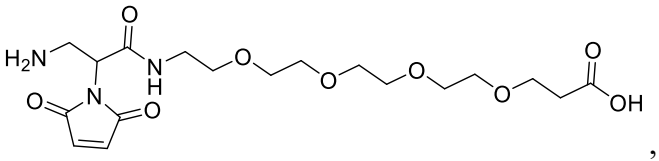
A is a bond, -$(CH_2)_n$-C(=O)R^7, -CH_2-C(=O)-NH-$(CH_2)_n$-C(=O)R^7, -$(CH_2CH_2O)_n$-CH_2CH_2-C(=O)R^7, -CH[-$(CH_2)_n$-COOH]-C(=O)R^7, -CH_2-C(=O)-NH-$(CH_2)_n$-C(=O)-NH-$(CH_2)_n$-C(=O)R^7, or -C(=O)-$(CH_2)_n$-C(=O)R^7,

each n independently represents an integer of 1, 2, 3, 4, or 5;

R^7 is -OH or -$NR^{8a}R^{8b}$, optionally wherein R^7 is -OH, -NH_2, -$NHCH_3$, or -$N(CH_3)_2$; and

each of R^{8a} and R^{8b} is, independently, H; substituted or unsubstituted C_{1-4} alkyl; substituted or unsubstituted C_{3-5} cycloalkyl; or R^{8a} and R^{8b} together with the atom to which they are attached form a substituted or unsubstituted C_{3-5} cycloalkyl.

29. The compound of claim 26, wherein the compound is: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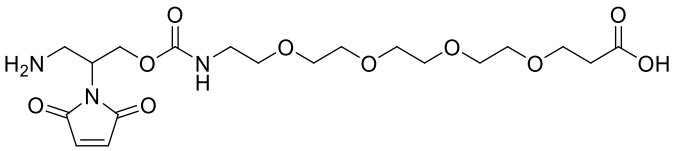
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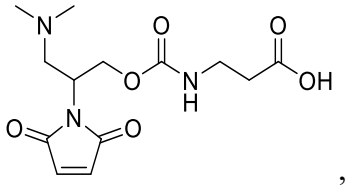
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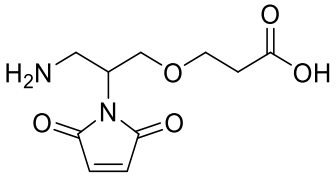
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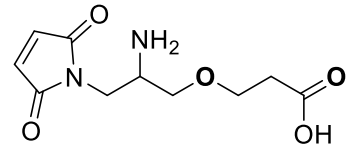
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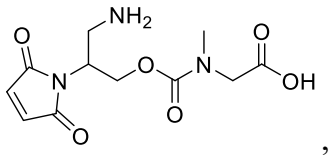
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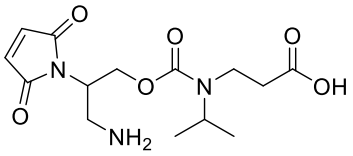
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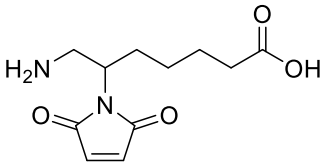
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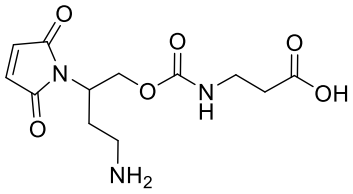
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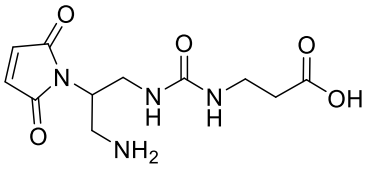
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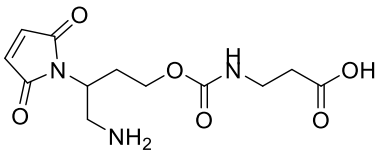
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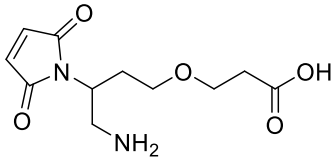
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