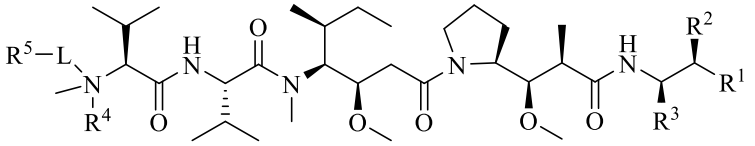
What is claimed is:

1. A compound of Formula (I):



(I)

or an enantiomer, a mixture of enantiomers, a diastereomer, a mixture of two or more diastereomers, a tautomer, a mixture of two or more tautomers, or an isotopic variant thereof; or a pharmaceutically acceptable salt, solvate, hydrate, or prodrug thereof; wherein:

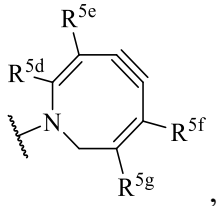
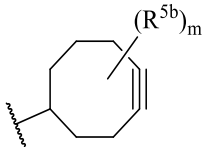
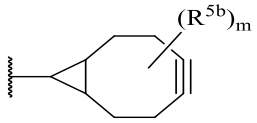
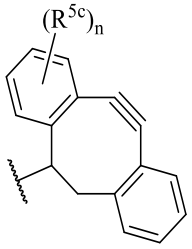
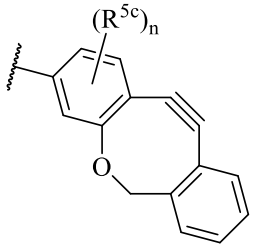
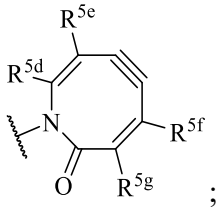
L is a self-immolative linker;

$R^1$ is $C_{6-14}$ aryl or heteroaryl;

$R^2$ is $–OR^{1a}$ or hydrogen;

$R^3$ is (i) $C_{1-6}$ alkyl, $C_{1-6}$ heteroalkyl, or heteroaryl; (ii) hydrogen; or (iii) $–C(O)OR^{1a}$;

$R^4$ is (i) absent; or (ii) $C_{1-6}$ alkyl or $C_{1-6}$ heteroalkyl, and the N atom to which $R^4$ is attached is positively charged;

$R^5$ is , $–C{\equiv}CR^{5a}$, , , , , or ;

$R^{5a}$ is hydrogen or deuterium;

each $R^{5b}$ and $R^{5c}$ is independently (i) deuterium, cyano, halo, or nitro; (ii) $C_{1-6}$ alkyl, $C_{1-6}$ heteroalkyl, $C_{2-6}$ alkenyl, $C_{2-6}$ alkynyl, $C_{3-10}$ cycloalkyl, $C_{6-14}$ aryl, $C_{7-15}$ aralkyl, heteroaryl, or heterocyclyl; or (iii) $–C(O)R^{1a}$, $–C(O)OR^{1a}$, $–C(O)NR^{1b}R^{1c}$, $–C(O)SR^{1a}$, $–C(NR^{1a})NR^{1b}R^{1c}$, $–C(S)R^{1a}$, $–C(S)OR^{1a}$, $–C(S)NR^{1b}R^{1c}$, $–OR^{1a}$, $–OC(O)R^{1a}$, $–OC(O)OR^{1a}$, $–OC(O)NR^{1b}R^{1c}$, $–OC(O)SR^{1a}$, $–OC(NR^{1a})NR^{1b}R^{1c}$, $–OC(S)R^{1a}$, $–OC(S)OR^{1a}$, $–OC(S)NR^{1b}R^{1c}$, $–OS(O)R^{1a}$, $–OS(O)_2R^{1a}$, $–OS(O)NR^{1b}R^{1c}$, $–OS(O)_2NR^{1b}R^{1c}$, $–NR^{1b}R^{1c}$, $–NR^{1a}C(O)R^{1d}$, $–NR^{1a}C(O)OR^{1d}$, $–NR^{1a}C(O)NR^{1b}R^{1c}$, $–NR^{1a}C(O)SR^{1d}$, $–NR^{1a}C(NR^{1d})NR^{1b}R^{1c}$, $–NR^{1a}C(S)R^{1d}$, $–NR^{1a}C(S)OR^{1d}$, $–NR^{1a}C(S)NR^{1b}R^{1c}$, $–NR^{1a}S(O)R^{1d}$, $–NR^{1a}S(O)_2R^{1d}$, $–NR^{1a}S(O)NR^{1b}R^{1c}$, $–NR^{1a}S(O)_2NR^{1b}R^{1c}$, $–SR^{1a}$, $–S(O)R^{1a}$, $–S(O)_2R^{1a}$, $–S(O)_2OR^{1a}$, $–S(O)NR^{1b}R^{1c}$, or $–S(O)_2NR^{1b}R^{1c}$;

each pair of $R^{5d}$ and $R^{5e}$ together with the carbon atoms to which they are attached independently forms $C_{3-10}$ cycloalkylene, $C_{6-14}$ arylene, heteroarylene, or heterocyclylene;

each pair of $R^{5f}$ and $R^{5g}$ together with the carbon atoms to which they are attached independently forms $C_{3-10}$ cycloalkylene, $C_{6-14}$ arylene, heteroarylene, or heterocyclylene;

each $R^{1a}$, $R^{1b}$, $R^{1c}$, and $R^{1d}$ is independently hydrogen, deuterium, $C_{1-6}$ alkyl, $C_{1-6}$ heteroalkyl, $C_{2-6}$ alkenyl, $C_{2-6}$ alkynyl, $C_{3-10}$ cycloalkyl, $C_{6-14}$ aryl, $C_{7-15}$ aralkyl, heteroaryl, and heterocyclyl; and

each m and n is independently an integer of 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, or 10;

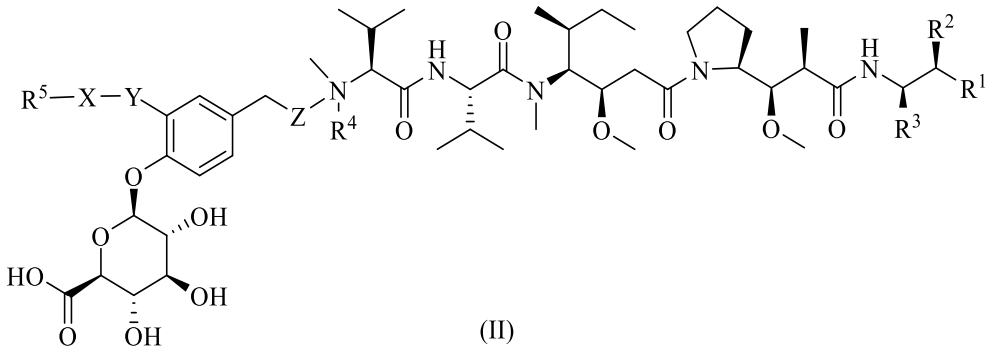
wherein each alkyl, heteroalkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylene, aryl, arylene, aralkyl, heteroaryl, heteroarylene, heterocyclyl, and heterocyclylene is optionally substituted with one or more substituents Q, wherein each Q is independently selected from: (a) deuterium, cyano, halo, nitro, and oxo; (b) $C_{1-6}$ alkyl, $C_{1-6}$ heteroalkyl, $C_{2-6}$ alkenyl, $C_{2-6}$ alkynyl, $C_{3-10}$ cycloalkyl, $C_{6-14}$ aryl, $C_{7-15}$ aralkyl, heteroaryl, and heterocyclyl, each of which is further optionally substituted with one or more substituents $Q^a$; and (c) $–C(O)R^a$, $–C(O)OR^a$, $–C(O)NR^bR^c$, $–C(O)SR^a$, $–C(NR^a)NR^bR^c$, $–C(S)R^a$, $–C(S)OR^a$, $–C(S)NR^bR^c$, $–OR^a$, $–OC(O)R^a$, $–OC(O)OR^a$, $–OC(O)NR^bR^c$, $–OC(O)SR^a$, $–OC(NR^a)NR^bR^c$, $–OC(S)R^a$, $–OC(S)OR^a$, $–OC(S)NR^bR^c$, $–OP(O)(OR^b)OR^c$, $–OS(O)R^a$, $–OS(O)_2R^a$, $–OS(O)NR^bR^c$, $–OS(O)_2NR^bR^c$, $–NR^bR^c$, $–NR^aC(O)R^d$, $–NR^aC(O)OR^d$, $–NR^aC(O)NR^bR^c$, $–NR^aC(O)SR^d$, $–NR^aC(NR^d)NR^bR^c$, $–NR^aC(S)R^d$, $–NR^aC(S)OR^d$, $–NR^aC(S)NR^bR^c$, $–NR^aS(O)R^d$, $–NR^aS(O)_2R^d$, $–NR^aS(O)NR^bR^c$,

$–NR^aS(O)_2NR^bR^c$, $–SR^a$, $–S(O)R^a$, $–S(O)_2R^a$, $–S(O)_2OR^a$, $–S(O)NR^bR^c$, and $–S(O)_2NR^bR^c$, wherein each $R^a$, $R^b$, $R^c$, and $R^d$ is independently (i) hydrogen or deuterium; (ii) $C_{1-6}$ alkyl, $C_{1-6}$ heteroalkyl, $C_{2-6}$ alkenyl, $C_{2-6}$ alkynyl, $C_{3-10}$ cycloalkyl, $C_{6-14}$ aryl, $C_{7-15}$ aralkyl, heteroaryl, or heterocyclyl, each of which is optionally substituted with one or more substituents $Q^a$; or (iii) $R^b$ and $R^c$ together with the N atom to which they are attached form heterocyclyl, optionally substituted with one or more, substituents $Q^a$;

wherein each $Q^a$ is independently selected from: (a) deuterium, cyano, halo, nitro, and oxo; (b) $C_{1-6}$ alkyl, $C_{1-6}$ heteroalkyl, $C_{2-6}$ alkenyl, $C_{2-6}$ alkynyl, $C_{3-10}$ cycloalkyl, $C_{6-14}$ aryl, $C_{7-15}$ aralkyl, heteroaryl, and heterocyclyl; and (c) $–C(O)R^e$, $–C(O)OR^e$, $–C(O)NR^fR^g$, $–C(O)SR^c$, $–C(NR^e)NR^fR^g$, $–C(S)R^e$, $–C(S)OR^e$, $–C(S)NR^fR^g$, $–OR^e$, $–OC(O)R^e$, $–OC(O)OR^e$, $–OC(O)NR^fR^g$, $–OC(O)SR^e$, $–OC(NR^e)NR^fR^g$, $–OC(S)R^e$, $–OC(S)OR^e$, $–OC(S)NR^fR^g$, $–OS(O)R^e$, $–OS(O)_2R^e$, $–OS(O)NR^fR^g$, $–OS(O)_2NR^fR^g$, $–NR^fR^g$, $–NR^eC(O)R^h$, $–NR^eC(O)OR^f$, $–NR^eC(O)NR^fR^g$, $–NR^eC(O)SR^f$, $–NR^eC(NR^h)NR^fR^g$, $–NR^eC(S)R^h$, $–NR^eC(S)OR^f$, $–NR^eC(S)NR^fR^g$, $–NR^eS(O)R^h$, $–NR^eS(O)_2R^h$, $–NR^eS(O)NR^fR^g$, $–NR^eS(O)_2NR^fR^g$, $–SR^e$, $–S(O)R^e$, $–S(O)_2R^e$, $–S(O)_2OR^e$, $–S(O)NR^fR^g$, and $–S(O)_2NR^fR^g$; wherein each $R^e$, $R^f$, $R^g$, and $R^h$ is independently (i) hydrogen or deuterium; (ii) $C_{1-6}$ alkyl, $C_{2-6}$ alkenyl, $C_{2-6}$ alkynyl, $C_{3-10}$ cycloalkyl, $C_{6-14}$ aryl, $C_{7-15}$ aralkyl, heteroaryl, or heterocyclyl; or (iii) $R^f$ and $R^g$ together with the N atom to which they are attached form heterocyclyl.

2. The compound of claim 1,
wherein L is a self-immolative linker cleavable by a glucuronidase; or
wherein L is a self-immolative linker cleavable by a galactosidase; or
wherein L is a self-immolative linker cleavable by a cathepsin.

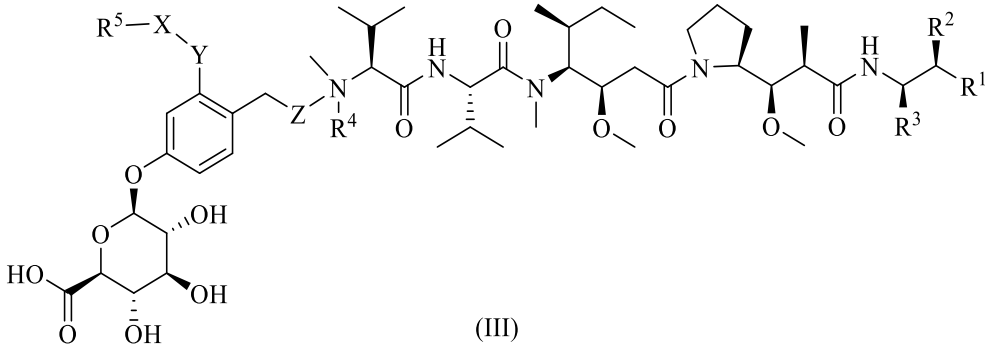
3. The compound of claim 1 or 2,
having the structure of Formula (II):



(II)

or an enantiomer, a mixture of enantiomers, a diastereomer, a mixture of two or more diastereomers, a tautomer, a mixture of two or more tautomers, or an isotopic variant thereof; or a pharmaceutically acceptable salt, solvate, hydrate, or prodrug thereof; wherein X is a divalent linker; Y is a bond, –O–, or –N($R^{1b}$)–; Z is a bond or –OC(O)–; or

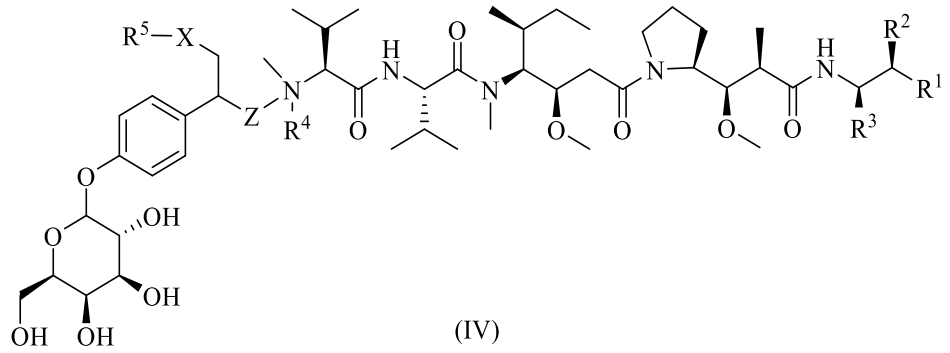
having the structure of Formula (III):



(III)

or an enantiomer, a mixture of enantiomers, a diastereomer, a mixture of two or more diastereomers, a tautomer, a mixture of two or more tautomers, or an isotopic variant thereof; or a pharmaceutically acceptable salt, solvate, hydrate, or prodrug thereof; wherein X is a divalent linker; Y is a bond, –O–, or –N($R^{1b}$)–; Z is a bond or –OC(O)–; or

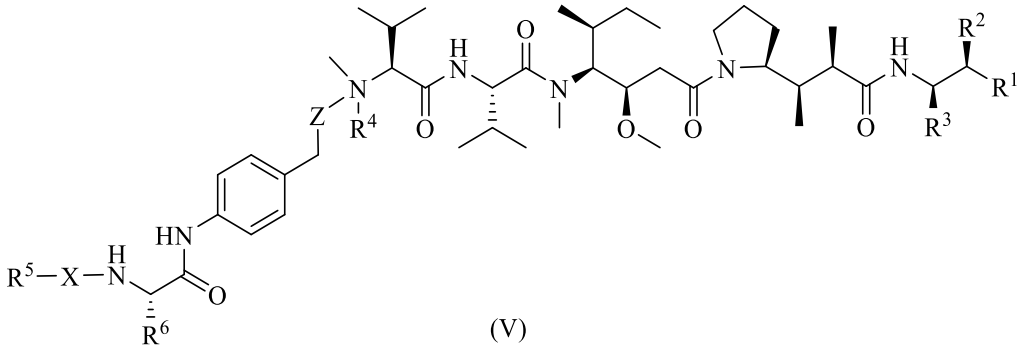
having the structure of Formula (IV):



(IV)

or an enantiomer, a mixture of enantiomers, a diastereomer, a mixture of two or more diastereomers, a tautomer, a mixture of two or more tautomers, or an isotopic variant thereof; or a pharmaceutically acceptable salt, solvate, hydrate, or prodrug thereof; wherein X is a divalent linker; and Z is a bond or –OC(O)–; or

having the structure of Formula (V):



(V)

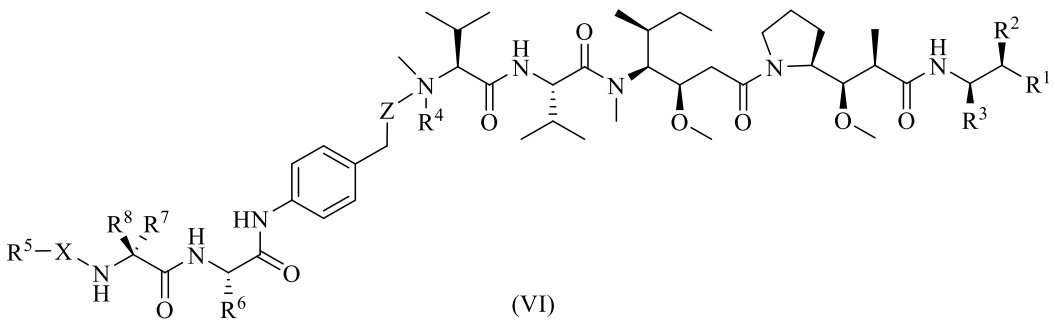
or an enantiomer, a mixture of enantiomers, a diastereomer, a mixture of two or more diastereomers, a tautomer, a mixture of two or more tautomers, or an isotopic variant thereof; or a pharmaceutically acceptable salt, solvate, hydrate, or prodrug thereof; wherein:

$R^6$ is (i) hydrogen or deuterium; or (ii) $C_{1-6}$ alkyl, $C_{1-6}$ heteroalkyl, $C_{2-6}$ alkenyl, $C_{2-6}$ alkynyl, $C_{3-10}$ cycloalkyl, $C_{6-14}$ aryl, $C_{7-15}$ aralkyl, heteroaryl, or heterocyclyl, each optionally substituted with one or more substituents Q;

X is a divalent linker; and

Z is a bond or –OC(O)–; or

having the structure of Formula (VI):



(VI)

or an enantiomer, a mixture of enantiomers, a diastereomer, a mixture of two or more diastereomers, a tautomer, a mixture of two or more tautomers, or an isotopic variant thereof; or a pharmaceutically acceptable salt, solvate, hydrate, or prodrug thereof; wherein:

$R^6$ is (i) hydrogen or deuterium; or (ii) $C_{1-6}$ alkyl, $C_{1-6}$ heteroalkyl, $C_{2-6}$ alkenyl, $C_{2-6}$ alkynyl, $C_{3-10}$ cycloalkyl, $C_{6-14}$ aryl, $C_{7-15}$ aralkyl, heteroaryl, or heterocyclyl, each optionally substituted with one or more substituents Q;

$R^7$ and $R^8$ are each independently (i) hydrogen or deuterium; or (ii) $C_{1-6}$ alkyl, $C_{1-6}$ heteroalkyl, $C_{2-6}$ alkenyl, $C_{2-6}$ alkynyl, $C_{3-10}$ cycloalkyl, $C_{6-14}$ aryl, $C_{7-15}$ aralkyl, heteroaryl, or heterocyclyl, each optionally substituted with one or more substituents Q; or $R^7$ and $R^8$ together with the carbon atom to which they are attached form $C_{3-10}$ cycloalkylene or heterocyclylene, each optionally substituted with one or more substituents Q;

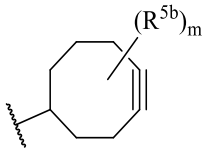
X is a divalent linker; and

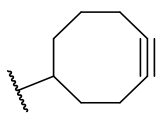
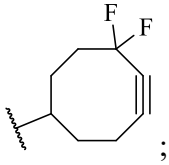
Z is a bond or –OC(O)–.

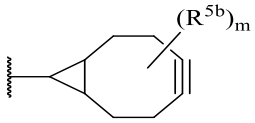
4. The compound of any one of claims 1 to 3,

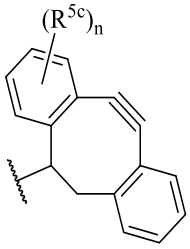
wherein $R^5$ is $–C{\equiv}CR^{5a}$; or

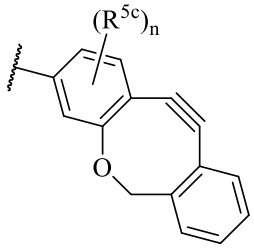
wherein $R^5$ is ethynyl; or

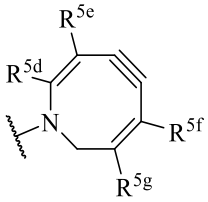
wherein $R^5$ is  ; or

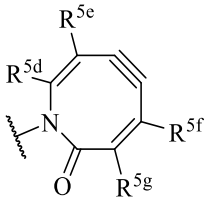
wherein $R^5$ is  or  ; or

wherein $R^5$ is  ; or

wherein $R^5$ is  ; or

wherein $R^5$ is  ; or

wherein $R^5$ is  ; or

wherein $R^5$ is  .

5. The compound of any one of claims 1 to 4,

wherein $R^{5d}$ and $R^{5e}$ together with the carbon atoms to which they are attached form $C_{6-14}$ arylene, optionally substituted with one or more substituents Q; or

wherein $R^{5d}$ and $R^{5e}$ together with the carbon atoms to which they are attached form

phenylene, optionally substituted with one or more substituents Q; or

wherein $R^{5d}$ and $R^{5e}$ together with the carbon atoms to which they are attached form heteroarylene, optionally substituted with one or more substituents Q; or

wherein $R^{5d}$ and $R^{5e}$ together with the carbon atoms to which they are attached form monocyclic heteroarylene, optionally substituted with one or more substituents Q; or

wherein $R^{5d}$ and $R^{5e}$ together with the carbon atoms to which they are attached form 5- or 6-membered heteroarylene, each optionally substituted with one or more substituents Q; and/or

wherein $R^{5f}$ and $R^{5g}$ together with the carbon atoms to which they are attached form $C_{6-14}$ arylene, optionally substituted with one or more substituents Q; or

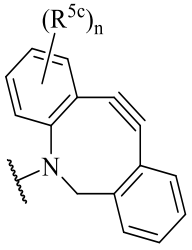
wherein $R^{5f}$ and $R^{5g}$ together with the carbon atoms to which they are attached form phenylene, optionally substituted with one or more substituents Q; or

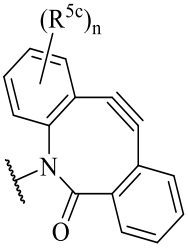
wherein $R^{5f}$ and $R^{5g}$ together with the carbon atoms to which they are attached form heteroarylene, optionally substituted with one or more substituents Q; or

wherein $R^{5f}$ and $R^{5g}$ together with the carbon atoms to which they are attached form monocyclic heteroarylene, optionally substituted with one or more substituents Q; or

wherein $R^{5f}$ and $R^{5g}$ together with the carbon atoms to which they are attached form 5- or 6-membered heteroarylene, each optionally substituted with one or more substituents Q.

6. The compound of any one of claims 3 to 5,

wherein $R^5$ is 

; or wherein $R^5$ is 

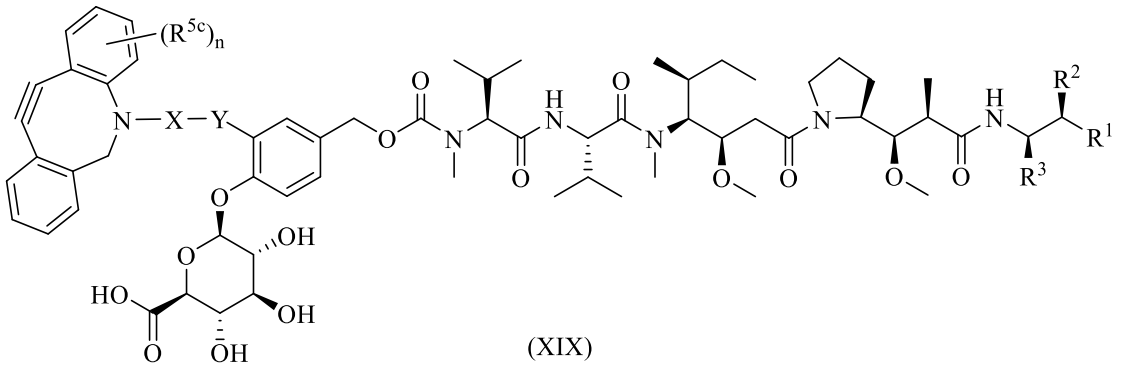
; and/or

wherein $R^4$ is absent, or wherein $R^4$ is $C_{1-6}$ alkyl, optionally substituted with one or more substituents Q; and the N atom to which $R^4$ is attached is positively charged; or wherein $R^4$ is methyl; and the N atom to which $R^4$ is attached is positively charged; and/or

wherein Z is a bond; or wherein Z is –OC(O)–.

7. The compound of claim 3,

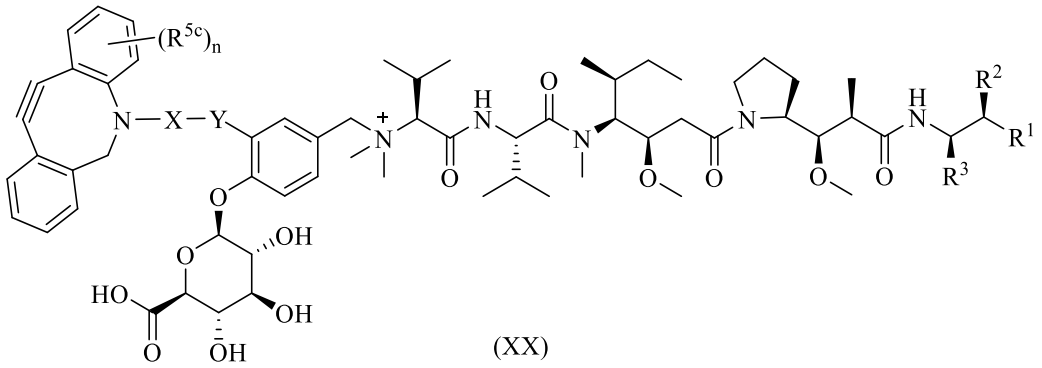
having the structure of Formula (XIX):



(XIX)

or an enantiomer, a mixture of enantiomers, a diastereomer, a mixture of two or more diastereomers, a tautomer, a mixture of two or more tautomers, or an isotopic variant thereof; or a pharmaceutically acceptable salt, solvate, hydrate, or prodrug thereof; or

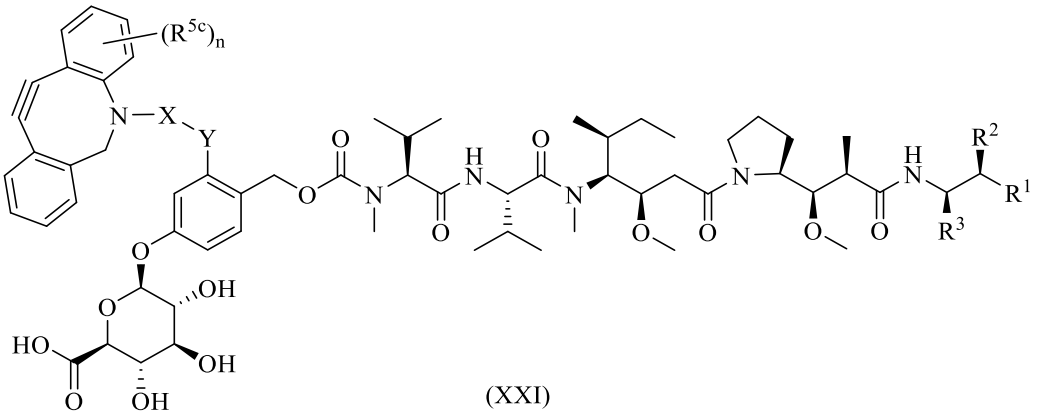
having the structure of Formula (XX):



(XX)

or an enantiomer, a mixture of enantiomers, a diastereomer, a mixture of two or more diastereomers, a tautomer, a mixture of two or more tautomers, or an isotopic variant thereof; or a pharmaceutically acceptable salt, solvate, hydrate, or prodrug thereof; or

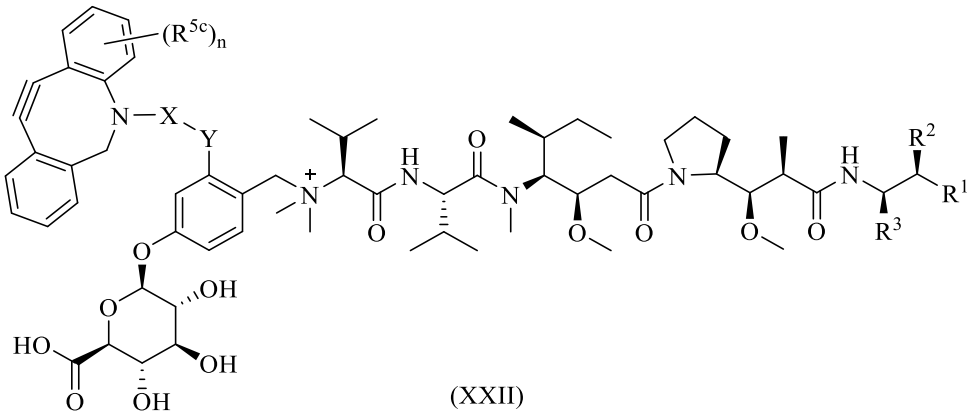
having the structure of Formula (XXI):



(XXI)

or an enantiomer, a mixture of enantiomers, a diastereomer, a mixture of two or more diastereomers, a tautomer, a mixture of two or more tautomers, or an isotopic variant thereof; or a pharmaceutically acceptable salt, solvate, hydrate, or prodrug thereof; or

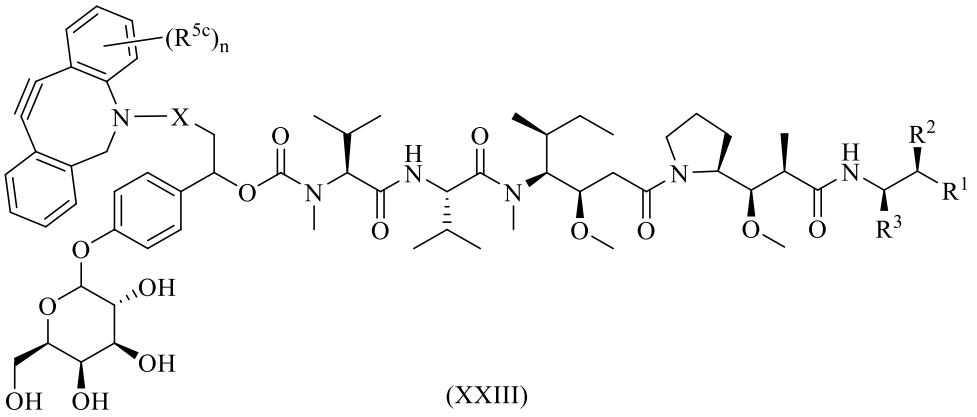
having the structure of Formula (XXII):



(XXII)

or an enantiomer, a mixture of enantiomers, a diastereomer, a mixture of two or more diastereomers, a tautomer, a mixture of two or more tautomers, or an isotopic variant thereof; or a pharmaceutically acceptable salt, solvate, hydrate, or prodrug thereof; or

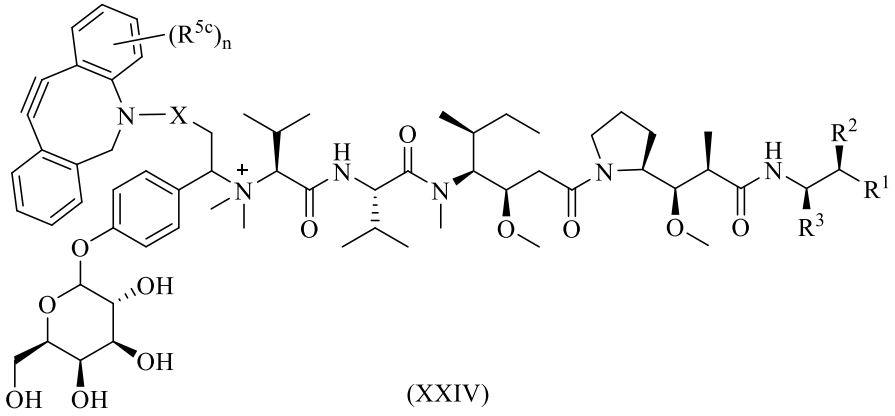
having the structure of Formula (XXIII):



(XXIII)

or an enantiomer, a mixture of enantiomers, a diastereomer, a mixture of two or more diastereomers, a tautomer, a mixture of two or more tautomers, or an isotopic variant thereof; or a pharmaceutically acceptable salt, solvate, hydrate, or prodrug thereof; or

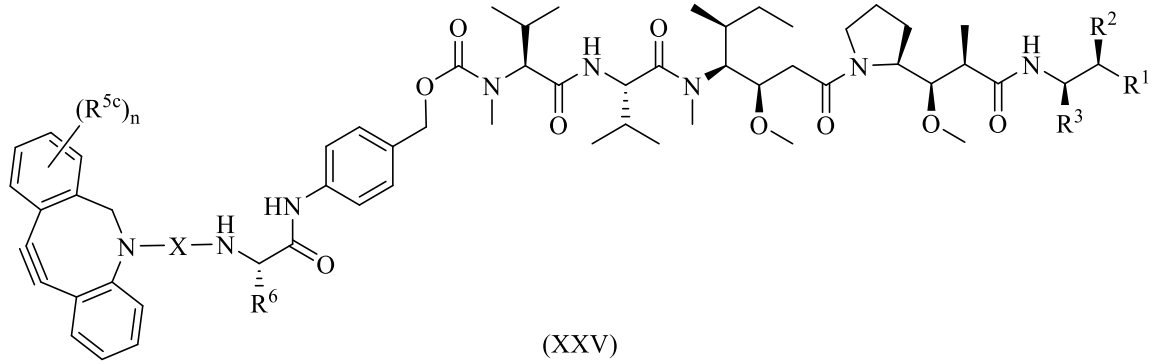
having the structure of Formula (XXIV):



(XXIV)

or an enantiomer, a mixture of enantiomers, a diastereomer, a mixture of two or more diastereomers, a tautomer, a mixture of two or more tautomers, or an isotopic variant thereof; or a pharmaceutically acceptable salt, solvate, hydrate, or prodrug thereof; or

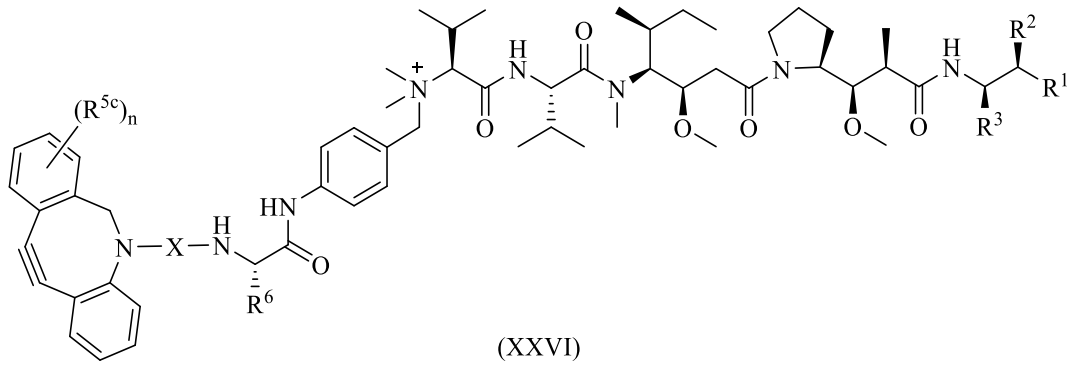
having the structure of Formula (XXV):



(XXV)

or an enantiomer, a mixture of enantiomers, a diastereomer, a mixture of two or more diastereomers, a tautomer, a mixture of two or more tautomers, or an isotopic variant thereof; or a pharmaceutically acceptable salt, solvate, hydrate, or prodrug thereof; or

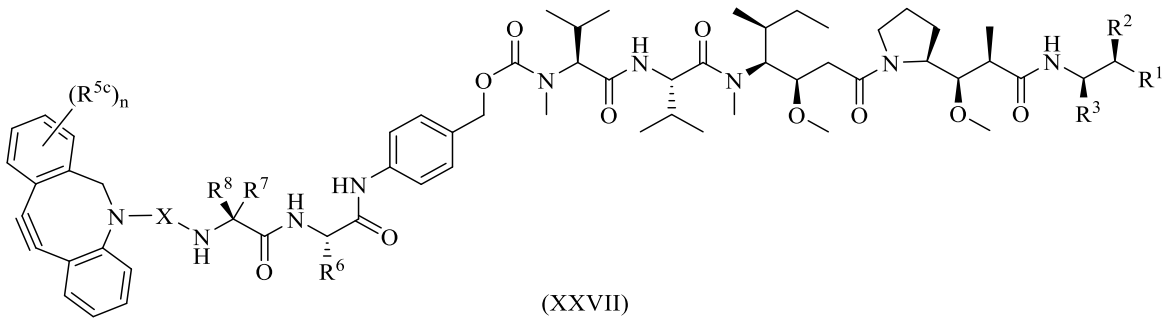
having the structure of Formula (XXVI):



(XXVI)

or an enantiomer, a mixture of enantiomers, a diastereomer, a mixture of two or more diastereomers, a tautomer, a mixture of two or more tautomers, or an isotopic variant thereof; or a pharmaceutically acceptable salt, solvate, hydrate, or prodrug thereof; wherein X is a divalent linker; and Z is a bond or –OC(O)–; or

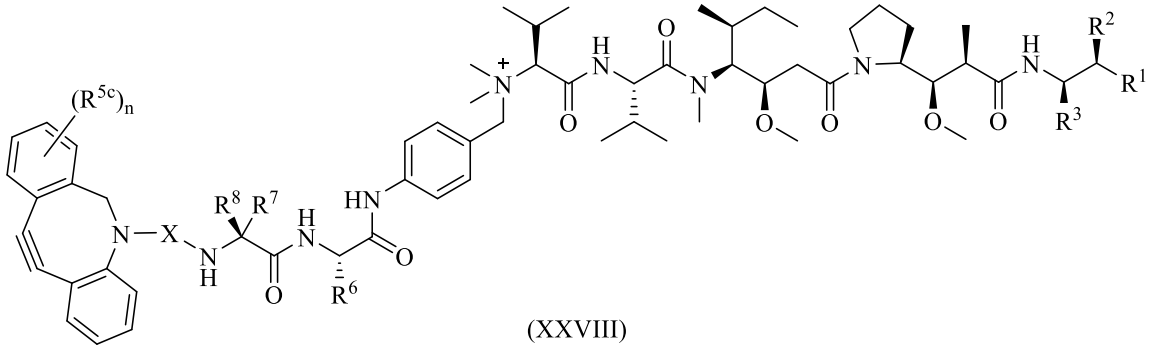
having the structure of Formula (XXVII):



(XXVII)

or an enantiomer, a mixture of enantiomers, a diastereomer, a mixture of two or more diastereomers, a tautomer, a mixture of two or more tautomers, or an isotopic variant thereof; or a pharmaceutically acceptable salt, solvate, hydrate, or prodrug thereof; or

having the structure of Formula (XXVIII):



(XXVIII)

or an enantiomer, a mixture of enantiomers, a diastereomer, a mixture of two or more diastereomers, a tautomer, a mixture of two or more tautomers, or an isotopic variant thereof; or a pharmaceutically acceptable salt, solvate, hydrate, or prodrug thereof.

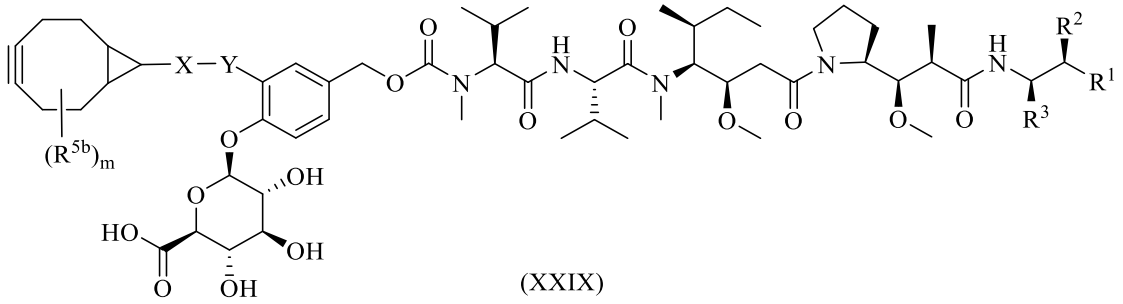
8. The compound of any one of claims 1 to 7,

wherein n is an integer of 0, 1, or 2; or wherein n is an integer of 0; or wherein n is an integer of 1; or wherein n is an integer of 2; and/or

wherein each $R^{5c}$ is independently halo or nitro; or wherein each $R^{5c}$ is independently fluoro, chloro, bromo, or nitro.

9. The compound of claim 3,

having the structure of Formula (XXIX):

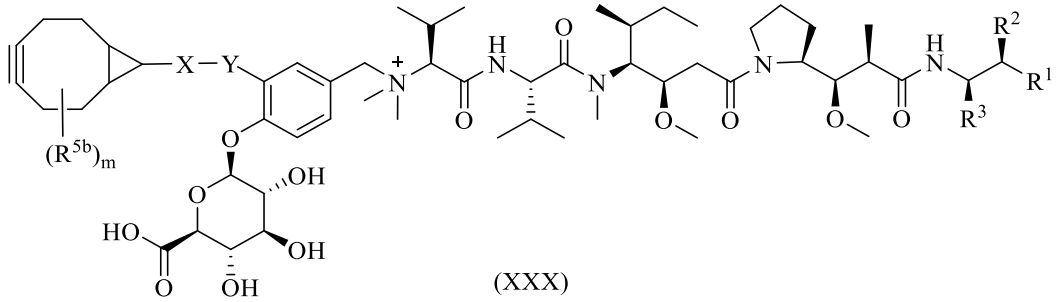


(XXIX)

or an enantiomer, a mixture of enantiomers, a diastereomer, a mixture of two or more

diastereomers, a tautomer, a mixture of two or more tautomers, or an isotopic variant thereof; or a pharmaceutically acceptable salt, solvate, hydrate, or prodrug thereof; or

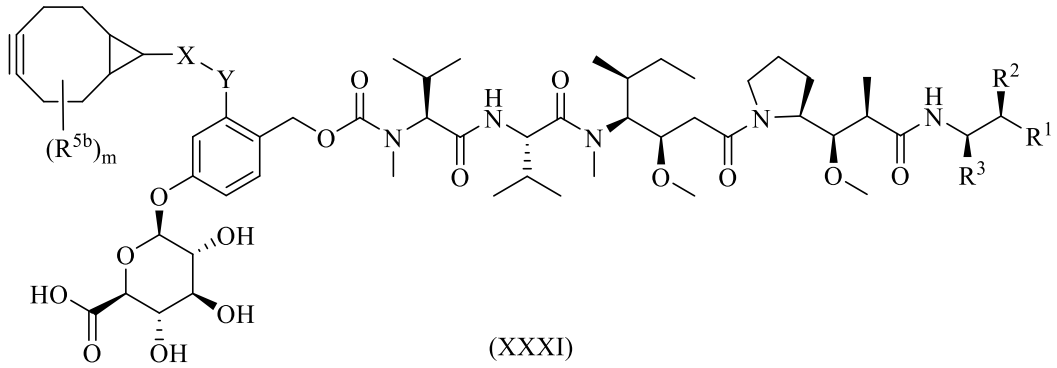
having the structure of Formula (XXX):



(XXX)

or an enantiomer, a mixture of enantiomers, a diastereomer, a mixture of two or more diastereomers, a tautomer, a mixture of two or more tautomers, or an isotopic variant thereof; or a pharmaceutically acceptable salt, solvate, hydrate, or prodrug thereof; or

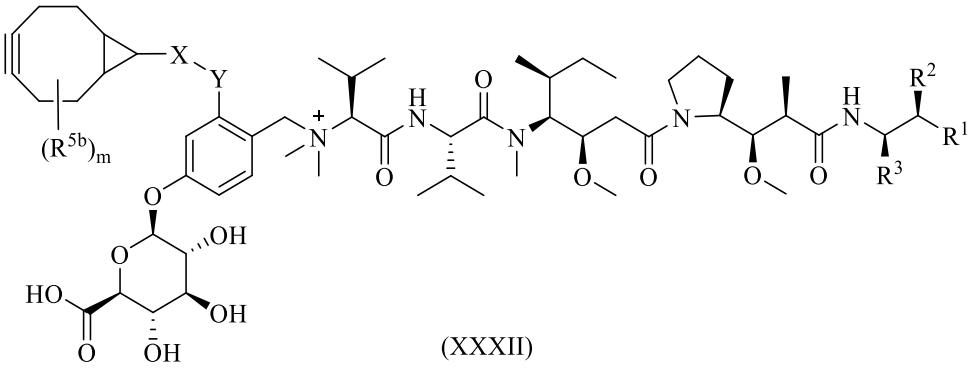
having the structure of Formula (XXXI):



(XXXI)

or an enantiomer, a mixture of enantiomers, a diastereomer, a mixture of two or more diastereomers, a tautomer, a mixture of two or more tautomers, or an isotopic variant thereof; or a pharmaceutically acceptable salt, solvate, hydrate, or prodrug thereof; or

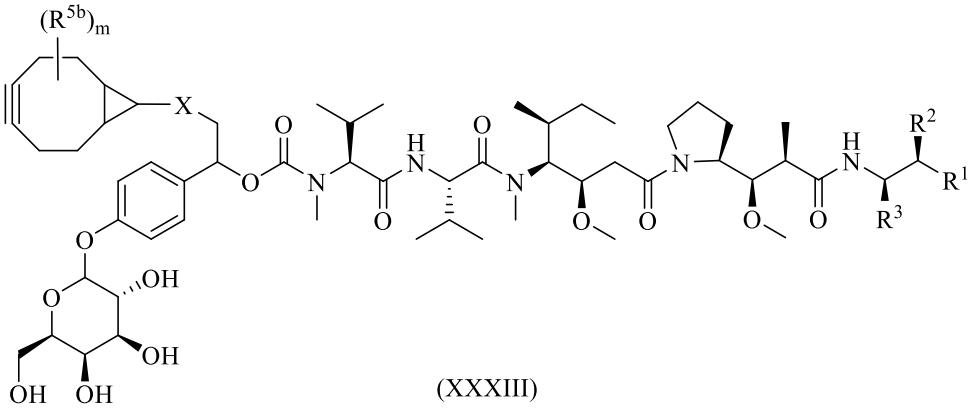
having the structure of Formula (XXXII):



(XXXII)

or an enantiomer, a mixture of enantiomers, a diastereomer, a mixture of two or more diastereomers, a tautomer, a mixture of two or more tautomers, or an isotopic variant thereof; or a pharmaceutically acceptable salt, solvate, hydrate, or prodrug thereof; or

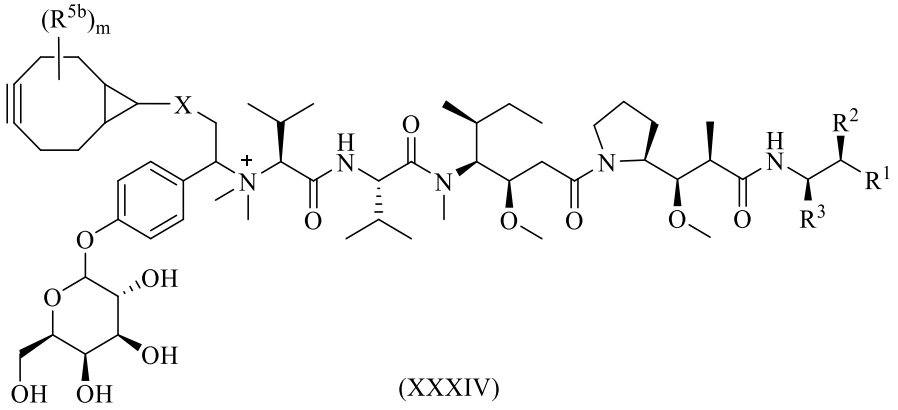
having the structure of Formula (XXXIII):



(XXXIII)

or an enantiomer, a mixture of enantiomers, a diastereomer, a mixture of two or more diastereomers, a tautomer, a mixture of two or more tautomers, or an isotopic variant thereof; or a pharmaceutically acceptable salt, solvate, hydrate, or prodrug thereof; or

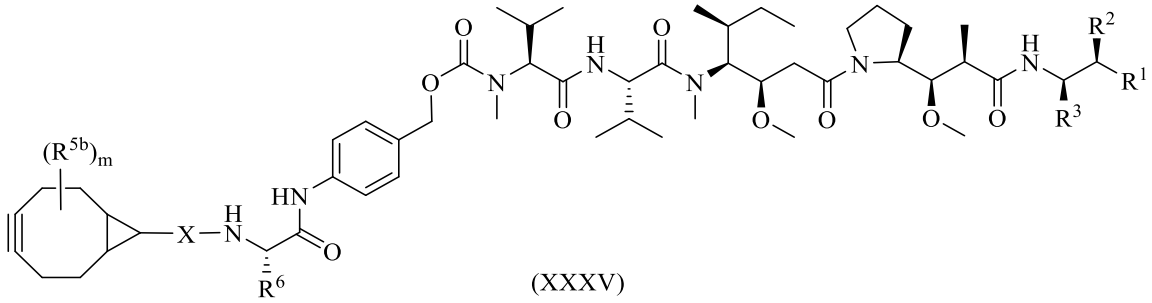
having the structure of Formula (XXXIV):



(XXXIV)

or an enantiomer, a mixture of enantiomers, a diastereomer, a mixture of two or more diastereomers, a tautomer, a mixture of two or more tautomers, or an isotopic variant thereof; or a pharmaceutically acceptable salt, solvate, hydrate, or prodrug thereof; or

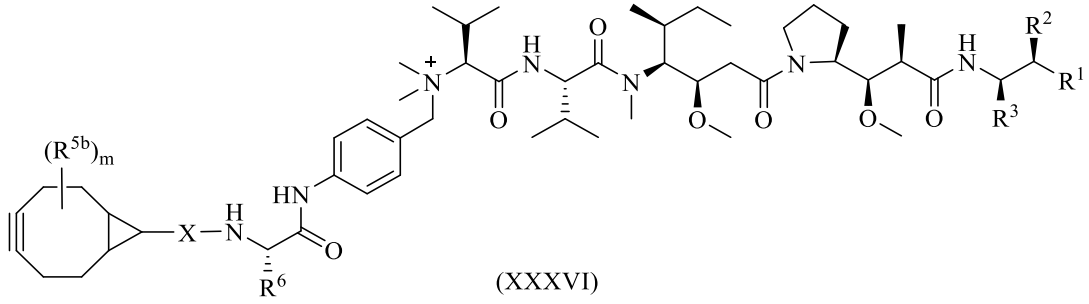
having the structure of Formula (XXXV):



(XXXV)

or an enantiomer, a mixture of enantiomers, a diastereomer, a mixture of two or more diastereomers, a tautomer, a mixture of two or more tautomers, or an isotopic variant thereof; or a pharmaceutically acceptable salt, solvate, hydrate, or prodrug thereof; or

having the structure of Formula (XXXVI):

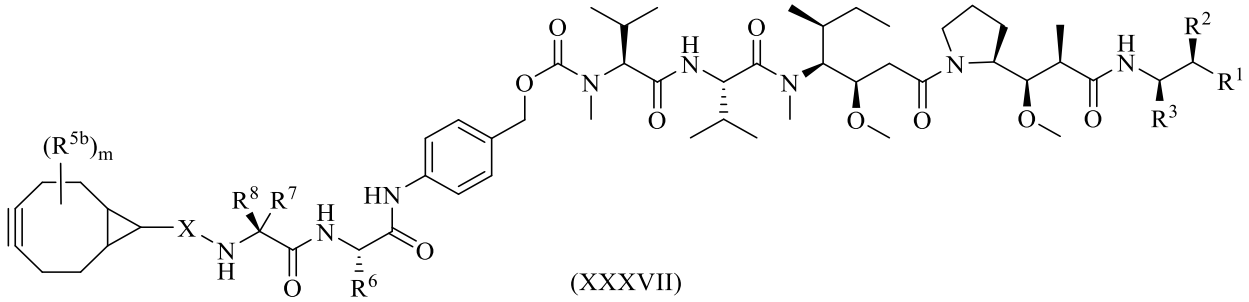


(XXXVI)

or an enantiomer, a mixture of enantiomers, a diastereomer, a mixture of two or more diastereomers, a tautomer, a mixture of two or more tautomers, or an isotopic variant thereof; or

a pharmaceutically acceptable salt, solvate, hydrate, or prodrug thereof; wherein X is a divalent linker; and Z is a bond or –OC(O)–; or

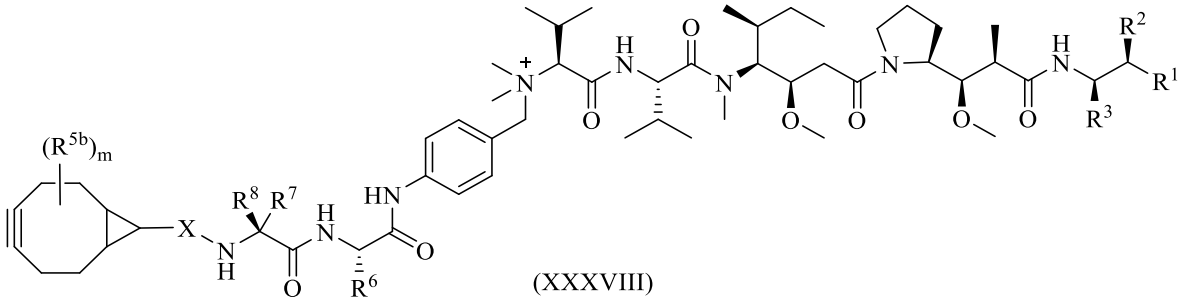
having the structure of Formula (XXXVII):



(XXXVII)

or an enantiomer, a mixture of enantiomers, a diastereomer, a mixture of two or more diastereomers, a tautomer, a mixture of two or more tautomers, or an isotopic variant thereof; or a pharmaceutically acceptable salt, solvate, hydrate, or prodrug thereof; or

having the structure of Formula (XXXVIII):



(XXXVIII)

or an enantiomer, a mixture of enantiomers, a diastereomer, a mixture of two or more diastereomers, a tautomer, a mixture of two or more tautomers, or an isotopic variant thereof; or a pharmaceutically acceptable salt, solvate, hydrate, or prodrug thereof.

10. The compound of any one of claims 1 to 4 and 9,

wherein m is an integer of 0, 1, or 2; or wherein m is an integer of 0; or wherein m is an integer of 1; or wherein m is an integer of 2; and/or

wherein each $R^{5b}$ is independently halo; or wherein each $R^{5b}$ is independently fluoro, chloro, or bromo.

11. The compound of any one of claims 3 to 10,

wherein $R^6$ is (i) hydrogen; or (ii) $C_{1\text{-}6}$ alkyl, optionally substituted with one or more substituents Q; or wherein $R^6$ is $C_{1\text{-}6}$ alkyl, optionally substituted with one or more substituents Q; or wherein $R^6$ is $C_{1\text{-}6}$ alkyl, optionally substituted with a substituent, which is (i) $C_{6\text{-}14}$ aryl or heteroaryl, each optionally substituted with one or more substituents Q; or (ii) $–C(O)OR^{1a}$, $–C(O)NR^{1b}R^{1c}$, $–OR^{1a}$, $–NR^{1b}R^{1c}$, $–NR^{1a}C(O)NR^{1b}R^{1c}$, $–NR^{1a}C(NR^{1d})NR^{1b}R^{1c}$, or $–SR^{1a}$; or wherein $R^6$ is methyl, ethyl, propyl, or butyl, each optionally substituted with a substituent, which is phenyl, 4-hydroxyphenyl, imidazol-4-yl, indol-3-yl, $–C(O)OH$, $–C(O)NH_2$, $–OH$, $–NH_2$, $–NHC(O)NH_2$, $–NHC(NH)NH_2$, $–SH$, or $–SCH_3$; or wherein $R^6$ is methyl, isopropyl, isobutyl, *sec*-butyl, benzyl, 4-hydroxybenzyl, imidazol-4-ylmethyl, indol-3-ylmethyl, carboxymethyl, 2-carboxyethyl, aminocarbonylmethyl, 2-aminocarbonylethyl, hydroxymethyl, 1-hydroxyethyl, 3-aminopropyl, 4-aminobutyl, 3-ureidopropyl, 3-guanidinylpropyl, mercaptomethyl, or 2-methylthioethyl; or wherein $R^6$ is 3-aminopropyl, 4-aminobutyl, 3-ureidopropyl, or 3-guanidinylpropyl; or wherein $R^6$ is 4-aminobutyl; and/or

wherein $R^7$ is (i) hydrogen; or (ii) $C_{1\text{-}6}$ alkyl, optionally substituted with one or more substituents Q; or wherein $R^7$ is hydrogen; and/or

wherein $R^8$ is (i) hydrogen; or (ii) $C_{1\text{-}6}$ alkyl, optionally substituted with one or more substituents Q; or wherein $R^8$ is $C_{1\text{-}6}$ alkyl, optionally substituted with one or more substituents Q; or wherein $R^8$ is $C_{1\text{-}6}$ alkyl, optionally substituted with a substituent, which is (i) $C_{6\text{-}14}$ aryl or heteroaryl, each optionally substituted with one or more substituents Q; or (ii) $–C(O)OR^{1a}$, $-C(O)NR^{1b}R^{1c}$, $–OR^{1a}$, $–NR^{1b}R^{1c}$, $–NR^{1a}C(O)NR^{1b}R^{1c}$, $–NR^{1a}C(NR^{1d})NR^{1b}R^{1c}$, or $–SR^{1a}$; or wherein $R^8$ is methyl, ethyl, propyl, or butyl, each optionally substituted with a substituent, which is phenyl, 4-hydroxyphenyl, imidazol-4-yl, indol-3-yl, $–C(O)OH$, $–C(O)NH_2$, $–OH$, $–NH_2$, $–NHC(O)NH_2$, $–NHC(NH)NH_2$, $–SH$, or $–SCH_3$; or wherein $R^8$ is methyl, isopropyl, isobutyl, *sec*-butyl, benzyl, 4-hydroxybenzyl, imidazol-4-ylmethyl, indol-3-ylmethyl, carboxymethyl, 2-carboxyethyl, aminocarbonylmethyl, 2-aminocarbonylethyl, hydroxymethyl, 1-hydroxyethyl, 3-aminopropyl, 4-aminobutyl, 3-ureidopropyl, 3-guanidinyl-propyl, mercaptomethyl, or 2-methylthioethyl; or wherein $R^8$ is methyl, isopropyl, or benzyl; or

wherein $R^7$ and $R^8$ together with the carbon atom to which they are attached form $C_{3\text{-}10}$ cycloalkylene, optionally substituted with one or more substituents Q; or wherein $R^7$ and $R^8$

together with the carbon atom to which they are attached form monocyclic $C_{3-10}$ cycloalkylene, optionally substituted with one or more substituents Q; or wherein $R^7$ and $R^8$ together with the carbon atom to which they are attached form monocyclic $C_{3-10}$ cycloalkylene, optionally substituted with one or more substituents Q; or wherein $R^7$ and $R^8$ together with the carbon atom to which they are attached form cyclopropane-1,1-diyl, cyclobutane-1,1-diyl, cyclopentane-1,1-diyl, or cyclohexane-1,1-diyl, each optionally substituted with one or more substituents Q; or

wherein $R^6$ is methyl; $R^7$ is hydrogen; and $R^8$ is isopropyl; or wherein $R^6$ is 3-ureidopropyl; $R^7$ is hydrogen; and $R^8$ is isopropyl; or wherein $R^6$ is 3-ureidopropyl; and $R^7$ and $R^8$ together with the carbon atom to which they are attached form cyclobutane-1,1-diyl.

12. The compound of any one of claims 1 to 11,

wherein $R^1$ is $C_{6-14}$ aryl, optionally substituted with one or more substituents Q; or wherein $R^1$ is phenyl, optionally substituted with one or more substituents Q; or wherein $R^1$ is heteroaryl, optionally substituted with one or more substituents Q; or wherein $R^1$ is monocyclic heteroaryl, optionally substituted with one or more substituents Q; or wherein $R^1$ is 5- or 6-membered heteroaryl, each optionally substituted with one or more substituents Q; or wherein $R^1$ is pyridinyl; and/or

wherein $R^2$ is hydrogen; or wherein $R^2$ is $–OR^{1a}$; or wherein $R^2$ is hydroxyl; and/or

wherein $R^3$ is hydrogen; or wherein $R^3$ is $C_{1-6}$ alkyl, optionally substituted with one or more substituents Q; or wherein $R^3$ is methyl; or wherein $R^3$ is heteroaryl, optionally substituted with one or more substituents Q; or wherein $R^3$ is monocyclic heteroaryl, optionally substituted with one or more substituents Q; or wherein $R^3$ is 5- or 6-membered heteroaryl, each optionally substituted with one or more substituents Q; or wherein $R^3$ is thiazolyl; or wherein $R^3$ is $–C(O)OR^{1a}$; or wherein $R^3$ is carboxy or methoxycarbonyl; or wherein $R^3$ is carboxy.

13. The compound of any one of claims 1 to 12,

wherein $R^1$ is phenyl; $R^2$ is hydroxyl; and $R^3$ is methyl; or

wherein $R^1$ is phenyl; $R^2$ is hydrogen; and $R^3$ is carboxy; or

wherein $R^1$ is phenyl; $R^2$ is hydrogen; and $R^3$ is thiazol-2-yl.

14. The compound of any one of claims 3 to 13,

wherein X is a divalent linker comprising sulfo; or

wherein X is a divalent linker having the structure of $–Z^n–(R^n–Z^n)_z–$, wherein:

each $R^n$ is independently $C_{1-6}$ alkylene, $C_{2-6}$ alkenylene, $C_{2-6}$ alkynylene, $C_{3-10}$ cycloalkylene, $C_{6-14}$ arylene, heteroarylene, or heterocyclylene, each of which is optionally substituted with one or more substituents Q;

each $Z^n$ is independently a bond, –C(O)–, –C(O)O–, $–C(O)NR^{1b}–$, –C(O)S–, $–C(NR^{1a})NR^{1b}–$, –C(S)–, –C(S)O–, $–C(S)NR^{1b}–$, –O–, –OC(O)O–, $–OC(O)NR^{1b}–$, –OC(O)S–, $–OC(NR^{1a})NR^{1b}–$, –OC(S)O–, $–OC(S)NR^{1b}–$, –OS(O)–, $–OS(O)_2–$, $–OS(O)NR^{1b}–$, $–OS(O)_2NR^{1b}–$, $–NR^{1b}–$, $–NR^{1a}C(O)NR^{1b}–$, $–NR^{1a}C(O)S–$, $–NR^{1a}C(NR^{1d})NR^{1b}–$, $–NR^{1a}C(S)NR^{1b}–$, $–NR^{1a}S(O)NR^{1b}–$, $–NR^{1a}S(O)_2NR^{1b}–$, –S–, –S(O)–, $–S(O)_2–$, $–S(O)NR^{1b}–$, or $–S(O)_2NR^{1b}–$; wherein each $R^{1a}$, $R^{1b}$, and $R^{1d}$ is as defined herein; and

z is an integer of 1, 2, 3, 4, 5, 6, 7, 8, 9, or 10.

15. The compound of claim 14,

wherein each $R^n$ is independently $C_{1-6}$ alkylene, $C_{3-6}$ cycloalkylene, or heterocyclylene, each optionally substituted with one or more substituents Q; or wherein $R^n$ is independently $C_{1-6}$ alkylene, optionally substituted with one or more substituents Q; or wherein $R^n$ is independently methanediyl, ethanediyl, propanediyl, butanediyl, pentanediyl, or hexanediyl, each optionally substituted with one or more substituents Q; or wherein $R^n$ is independently methanediyl, ethane-1,2-diyl, propane-1,3-diyl, butane-1,4-diyl, pentane-1,5-diyl, or hexane-1,6-diyl, each optionally substituted with one or more substituents Q; or wherein $R^n$ is independently methanediyl, ethane-1,2-diyl, or 1-sulfoethane-1,2-diyl; and/or

wherein each $Z^n$ is independently a bond, –C(O)–, –C(O)O–, $–C(O)NR^{1b}–$, –O–, -OC(O)$NR^{1b}$–, $–NR^{1b}–$, $–NR^{1a}C(O)NR^{1b}–$, $–NR^{1a}S(O)NR^{1b}–$, $–NR^{1a}S(O)_2NR^{1b}–$, –S–, –S(O)–, $–S(O)_2–$, $–S(O)NR^{1b}–$, or $–S(O)_2NR^{1b}–$; or wherein each $Z^n$ is independently –C(O)–, –C(O)O–, $–C(O)NR^{1b}–$, $–OC(O)NR^{1b}–$, or –O–; or wherein each $Z^n$ is independently –C(O)–, –C(O)O–, –C(O)NH–, –OC(O)NH–, or –O–.

16. The compound of claim 15,

wherein each $R^n$ is independently $C_{1-6}$ alkylene, optionally substituted with one or more substituents Q; each $Z^n$ is independently –C(O)–, –C(O)O–, –C(O)$NR^{1b}$–, –OC(O)$NR^{1b}$–, or –O–; and z is an integer of 1, 2, 3, 4, 5, 6, 7, 8, 9, or 10; or

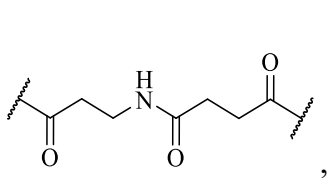
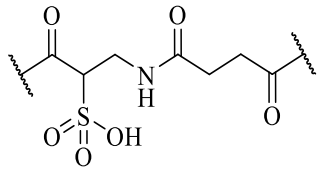
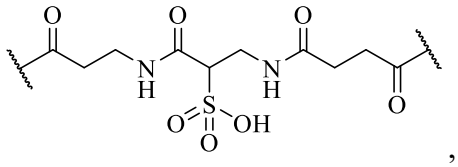
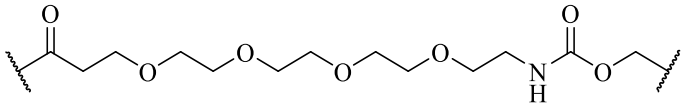
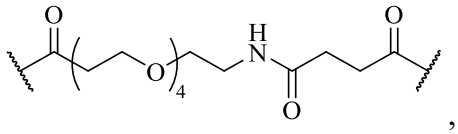
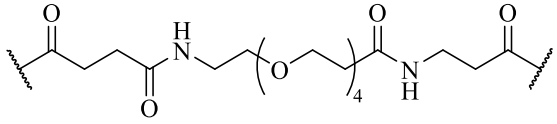
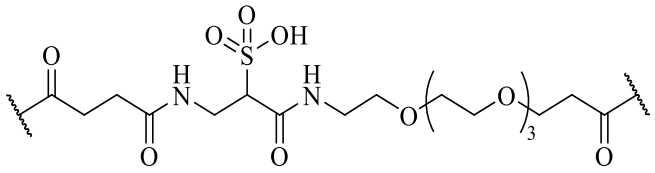
wherein each $R^n$ is independently methanediyl, ethanediyl, propanediyl, butanediyl, pentanediyl, or hexanediyl, each optionally substituted with one or more substituents Q; each $Z^n$ is independently –C(O)–, –C(O)O–, –C(O)NH–, –OC(O)NH–, or –O–; and z is an integer of 1, 2, 3, 4, 5, 6, 7, 8, 9, or 10; or

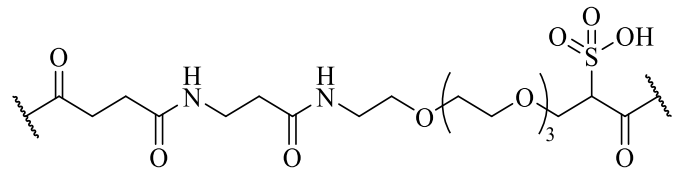
wherein each $R^n$ is independently methanediyl, ethane-1,2-diyl, propane-1,3-diyl, butane-1,4-diyl, pentane-1,5-diyl, or hexane-1,6-diyl, each optionally substituted with one or more substituents Q; each $Z^n$ is independently –C(O)–, –C(O)O–, –C(O)NH–, –OC(O)NH–, or –O–; and z is an integer of 1, 2, 3, 4, 5, 6, 7, 8, 9, or 10; or

wherein each $R^n$ is independently methanediyl, ethane-1,2-diyl or 1-sulfoethane-1,2-diyl; each $Z^n$ is independently –C(O)–, –C(O)O–, –C(O)NH–, –OC(O)NH–, or –O–; and z is an integer of 1, 2, 3, 4, 5, 6, 7, 8, 9, or 10.

17. The compound of any one of claims 3 to 14,

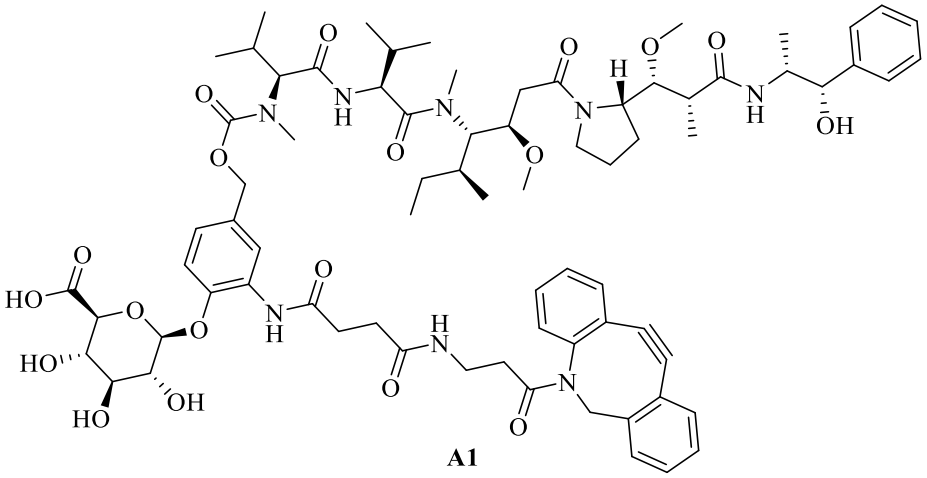
wherein X is:


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or  ; and/or

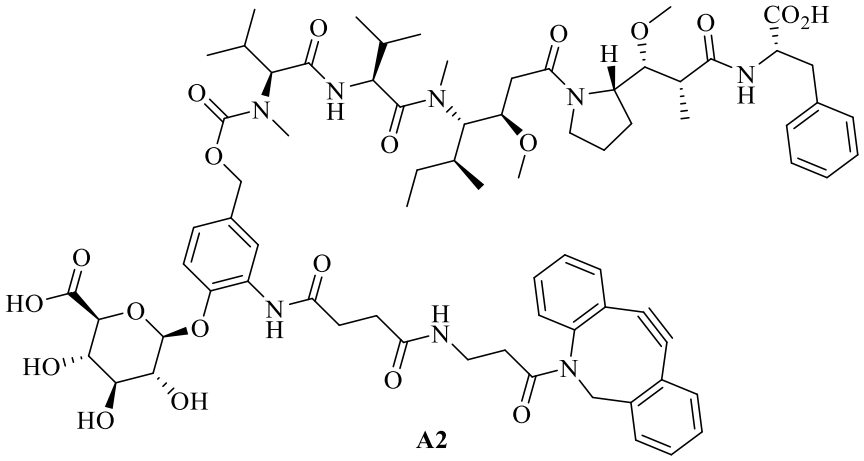
wherein Y is a bond; or wherein Y is –O–; or wherein Y is –N($R^{1b}$)–; or wherein Y is –N(H)–.

18. The compound of claim 1, wherein the compound is:



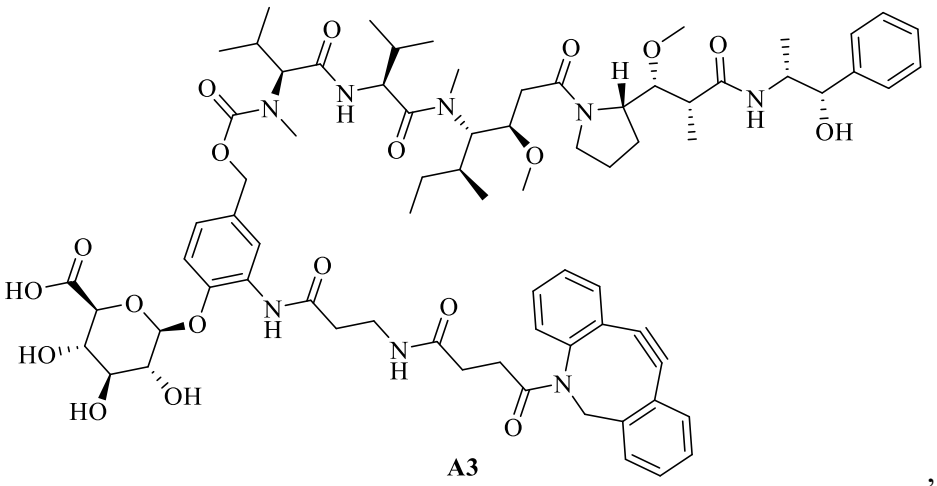
**A1**

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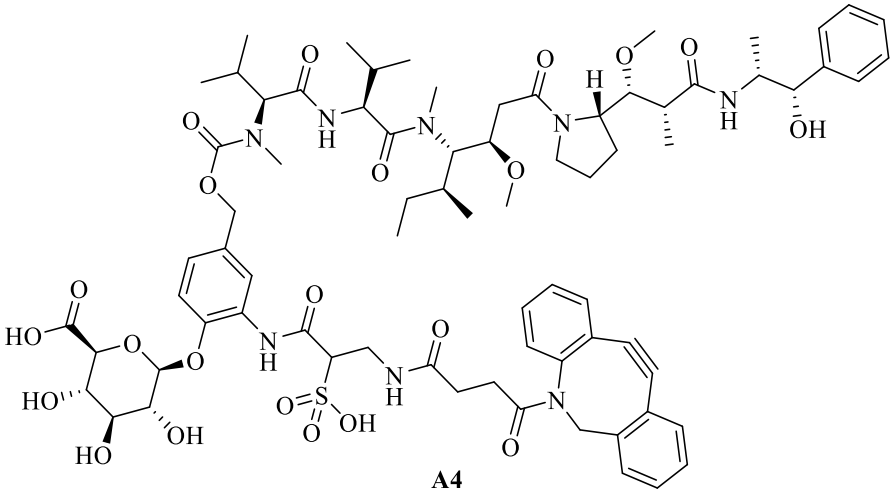
**A2**

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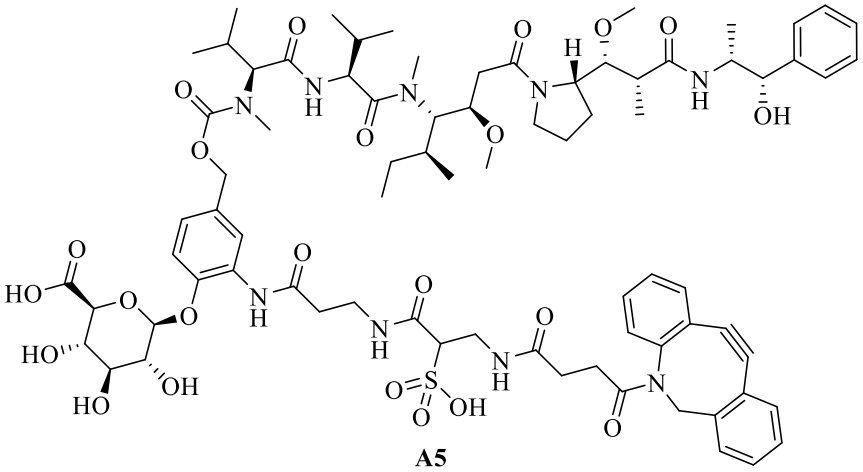
**A3**

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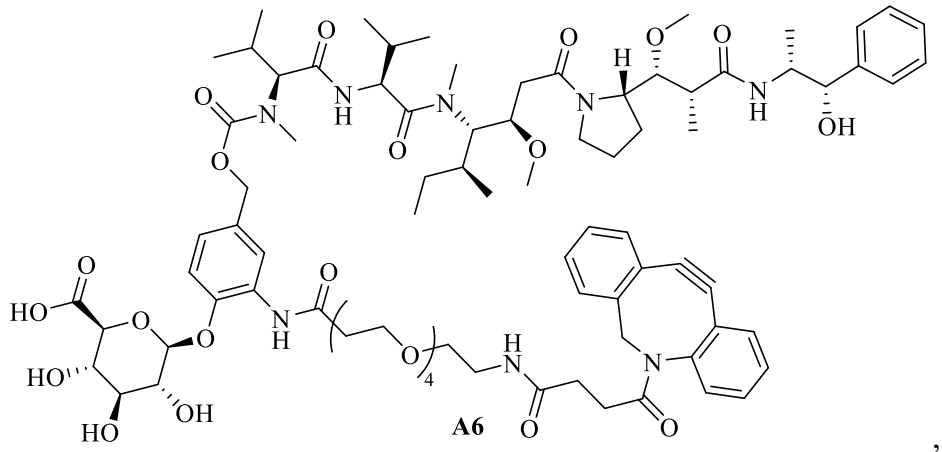
**A4**

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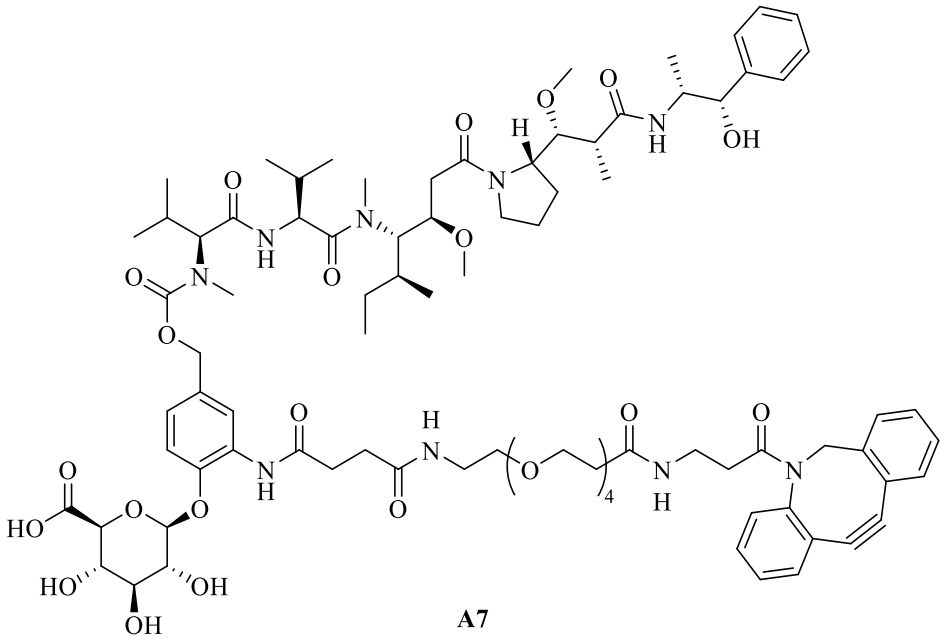
**A5**

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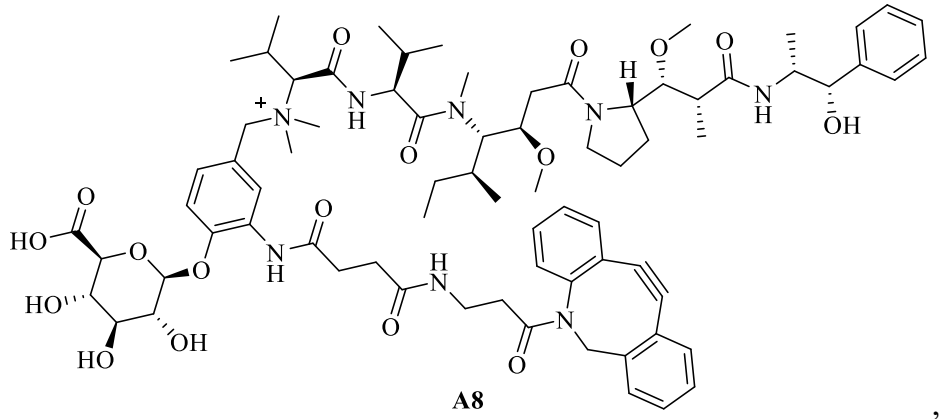
**A6**

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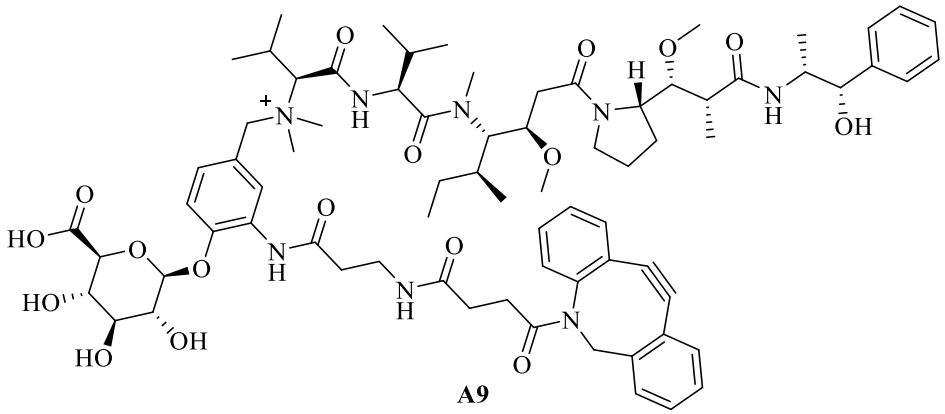
**A7**

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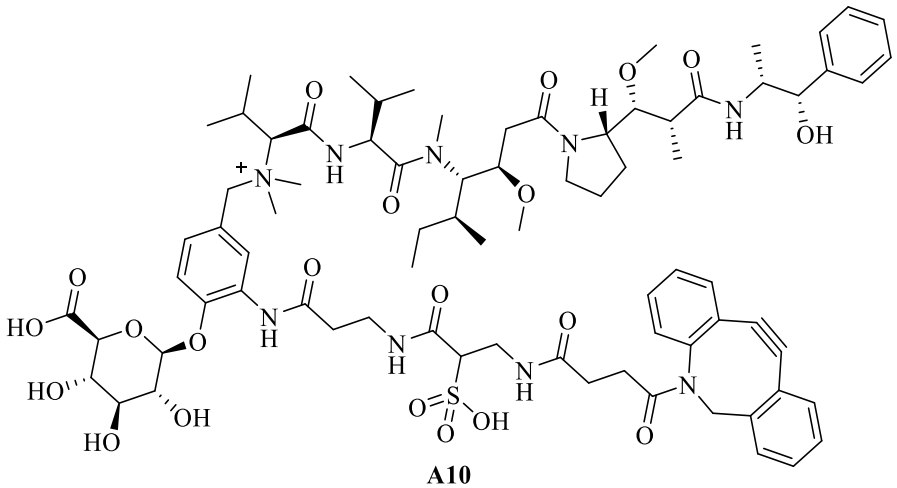
**A8**

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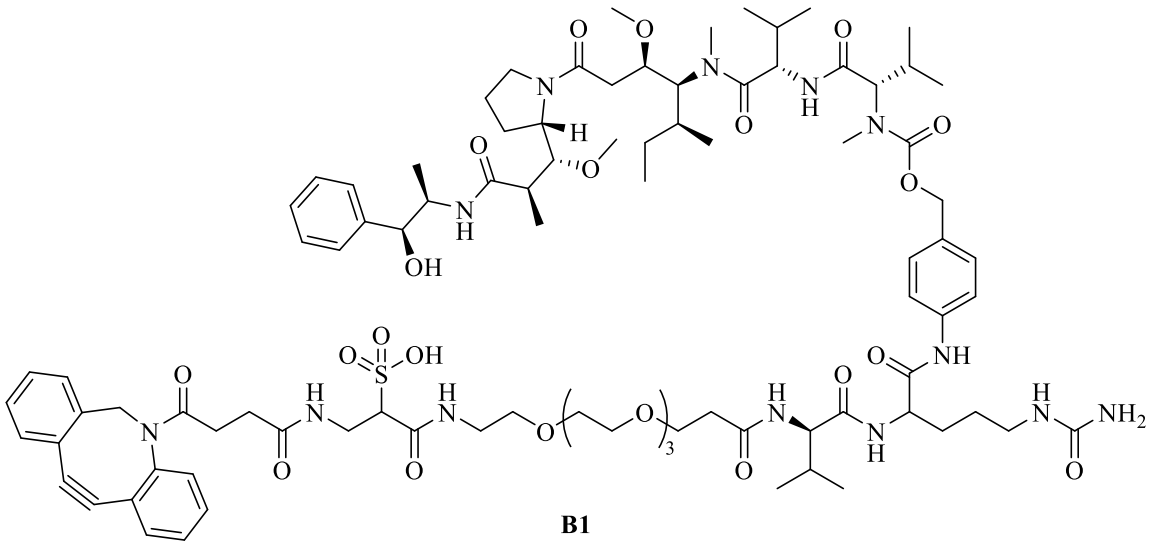
**A9**

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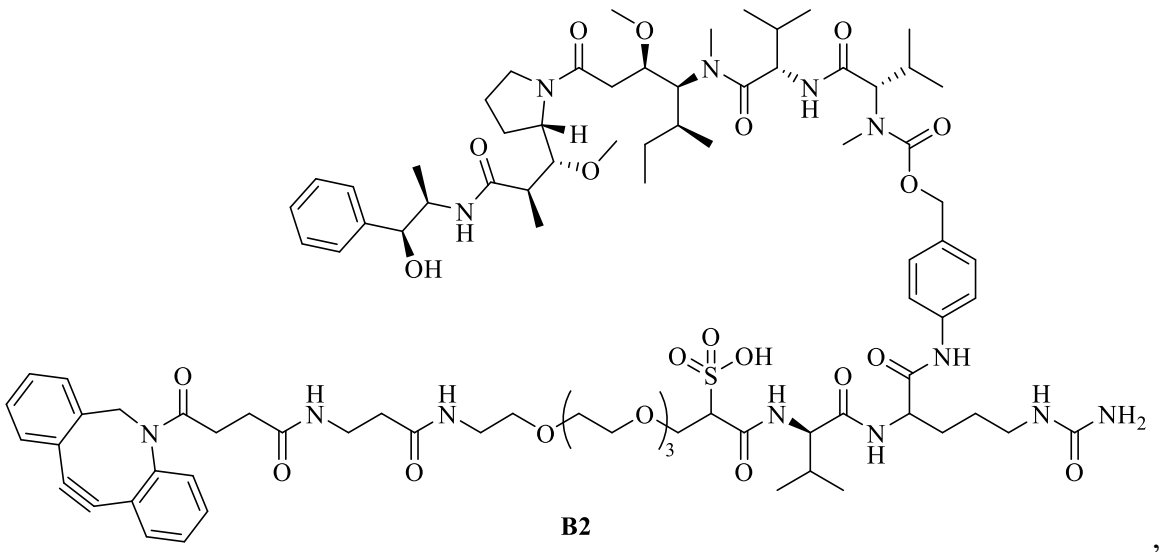
**A10**

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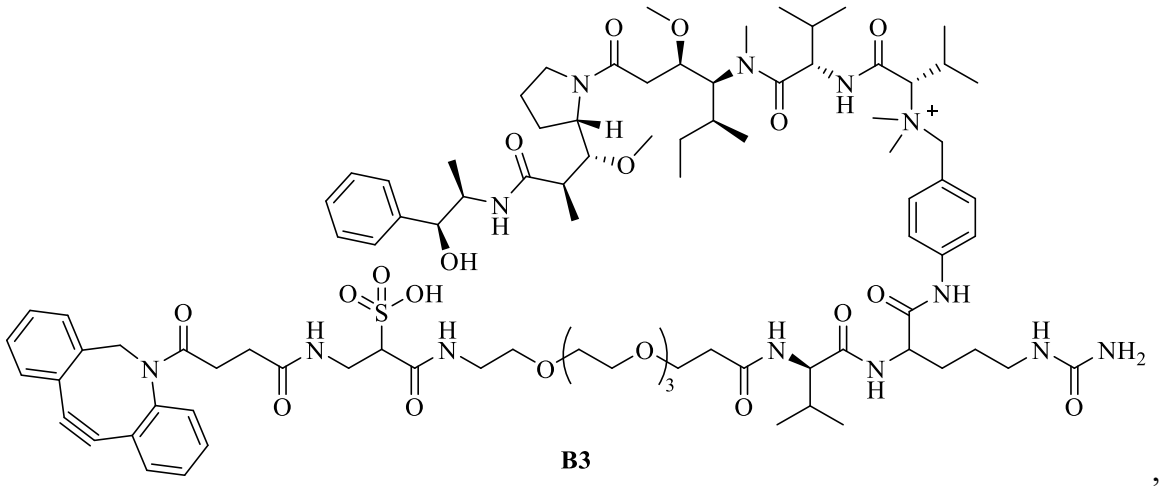
**B1**

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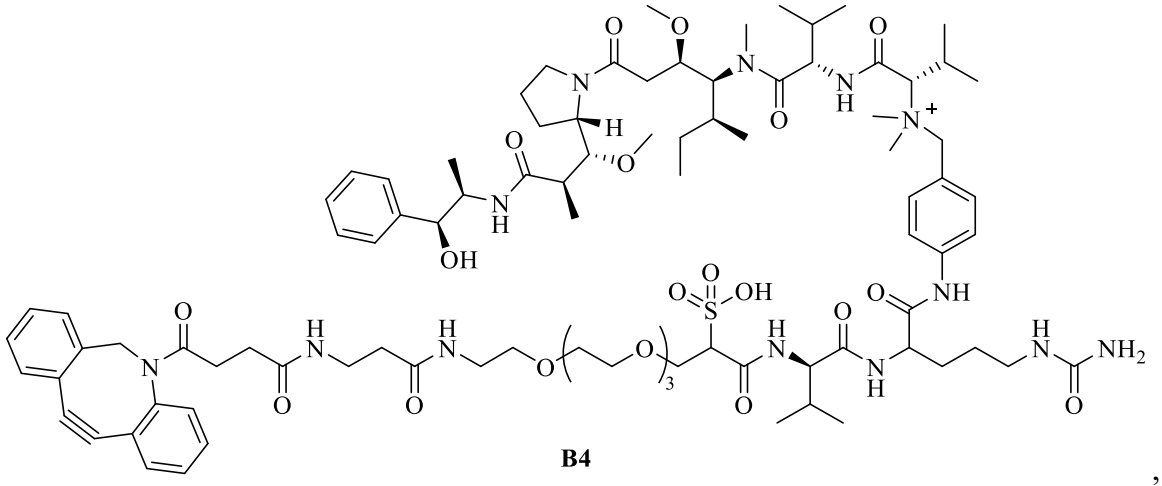
**B2**

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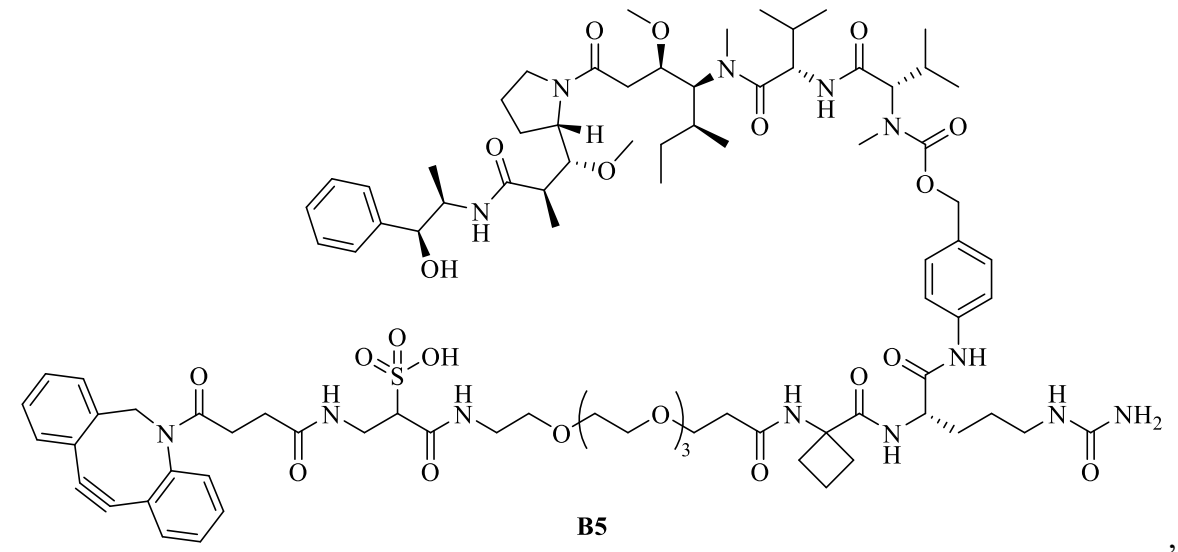
**B3**

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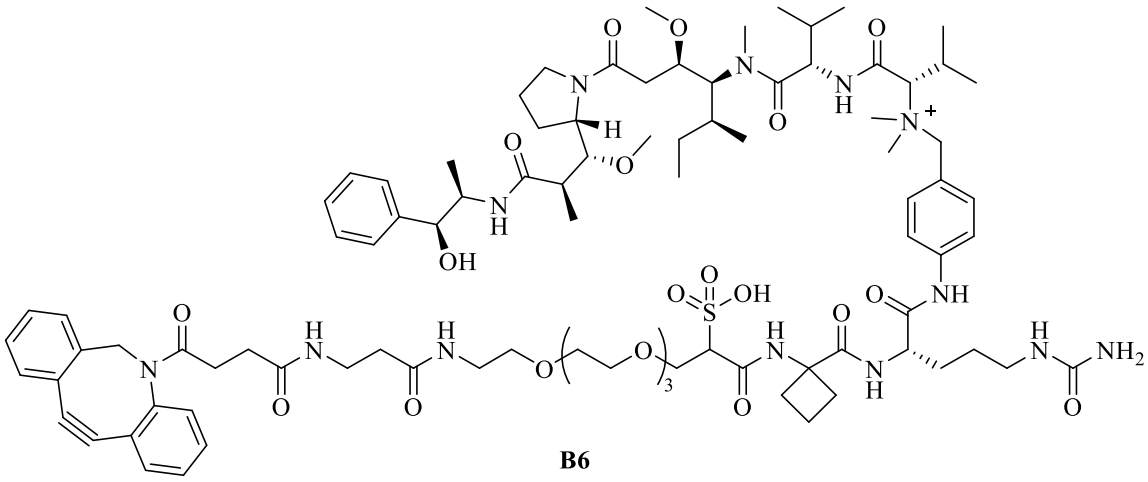
**B4**

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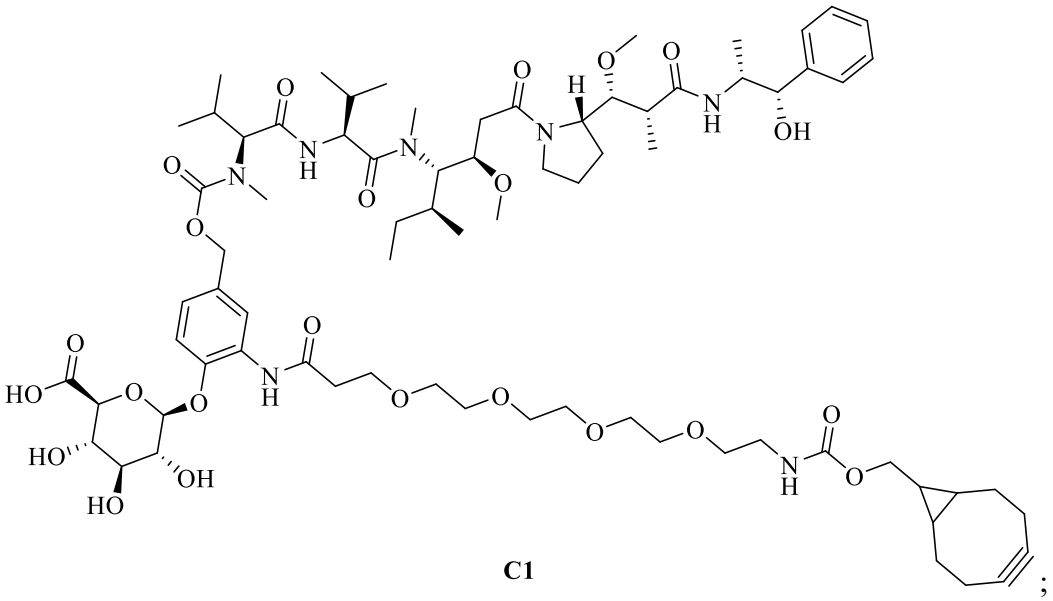
**B5**

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**B6**

, or



**C1**

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or an enantiomer, a mixture of enantiomers, a diastereomer, a mixture of two or more diastereomers, a tautomer, a mixture of two or more tautomers, or an isotopic variant thereof; or a pharmaceutically acceptable salt, solvate, hydrate, or prodrug thereof.

19. A pharmaceutical composition comprising the compound of any one of claims 1 to 18, or an enantiomer, a mixture of enantiomers, a diastereomer, a mixture of two or more diastereomers, a tautomer, a mixture of two or more tautomers, or an isotopic variant thereof; or a pharmaceutically acceptable salt, solvate, or hydrate thereof; and a pharmaceutically acceptable excipient.

20. A compound of any one of claims 1 to 18 or a pharmaceutical composition of claim 19 for use in treating, preventing, or ameliorating one or more symptoms of cancer.