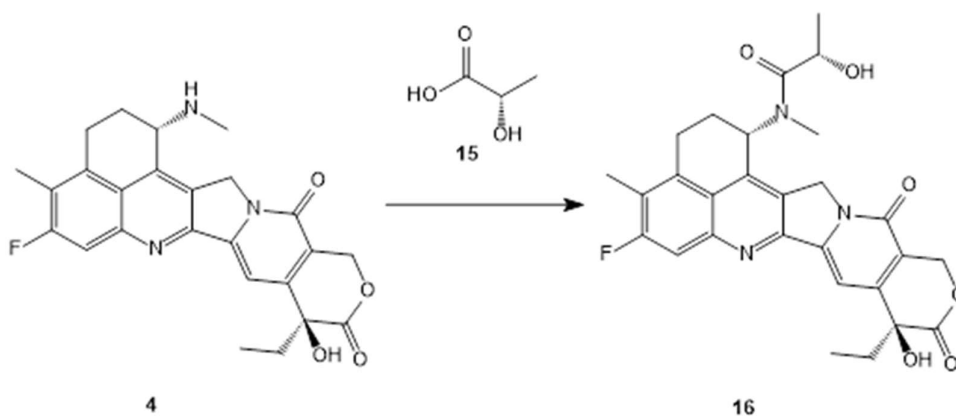


Synthesis of Compound **14**:

At room temperature, Compound **4** (3 mg, 6.7 μmol) was added to a 10 mL flask, 2 mL of DMF was added to dissolve, cooled to 0°C in an ice-water bath, and DIPEA (2.2 μL , 2 eq), 1-hydroxycyclopropyl formic acid **13** (1.4 mg, 2 eq) and 4-(4,6-dimethoxy-1,3,5-triazin-2-yl)-4-methylmorpholine chloride (DMTMM) (4 mg, 2 eq), were added to the solution in turn. Then maintained the reaction at 0 °C for 2 hours, TLC monitored the completion of the reaction, 5 mL of saturated NaCl aqueous solution was added to the resulting reaction solution at 0 °C to quench the reaction, and the aqueous phase was washed with ethyl acetate (10 mL $\times$ 3) extraction, combined the organic phases, dried over anhydrous sodium sulfate, filtered, the filtrate was concentrated under reduced pressure, purified by preparative high performance liquid chromatography (acetonitrile/pure water system), collected the target peak, removed acetonitrile under reduced pressure, and lyophilized, about 1.6 mg of Compound **14** was obtained, yellow solid, yield about 45%, MS m/z : $[\text{M}+\text{H}]^+$ 534.2.

Example 5:

Compound **16**:



Synthesis of Compound **16**:

At room temperature, Compound **4** (3 mg, 6.7 μmol) was added to a 10 mL bottle, 1 mL of absolute ethanol, 0.2 mL of DMF and 0.15 mL of NMM were added to dissolve, cooled to 0 °C in an ice-water bath, and L- (+)-lactic acid (2.4 mg, 4 eq), 1-hydroxybenzotriazole (HOBt) (3.7 mg, 4 eq) and N-(3-

12	1.10	0.13	1.6-8	200-1000
14	93.29	52.99	152.76	200-1000
Control of 16	0.03	0.0014	0.00256-0.0128	0.064-0.32

The cell experiment results show that the camptothecin derivatives of the present disclosure have significant *in vitro* antitumor activity (nanomolar level), and the toxicity is significantly lower than that of the toxin used by Daiichi Sankyo (control of compound 16). Therefore, the present disclosure the designed and synthesized camptothecin derivatives are expected to become safer anti-tumor ADC drugs.

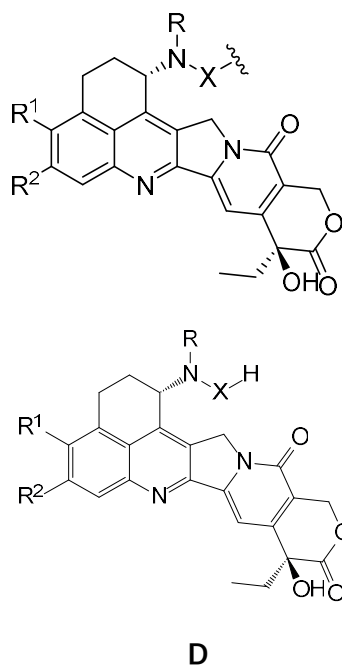
ADC *in vivo* efficacy testing

In the present disclosure, an A431 tumor-bearing mouse model is established to evaluate the *in vivo* efficacy of the toxin ADC conjugated drug. That is, 1×10^6 A431 cells were subcutaneously injected into the right side of 4-6 weeks old BALB/c nude mice, and when the average tumor size of the mice grew to $180-200 \text{ mm}^3$, they were randomly divided into groups of 5 mice in each group, 14 and 21 days were given to blank control (blank buffer solution) and antibody drug conjugate Tr000-**6**, all of which were administered intravenously at a dose of 10 mg/kg. Tumor volume measurement data are shown as mean tumor volume $\pm$ SE at the time of measurement.

Claims

What is claimed is:

1. A camptothecin derivative having a formula **D**, its tautomers, mesomers, racemates, enantiomers, diastereomers, mixtures, or pharmaceutically acceptable salts or solvates thereof:



wherein:

R is deuterium, C₁₋₆ alkyl, deuterated alkyl, substituted alkyl, aryl, substituted aryl or heteroaryl;

R¹ is hydrogen, deuterium, halogen, alkyl, deuterated alkyl, haloalkyl, cycloalkyl, cycloalkylalkyl, alkoxyalkyl, carboxyl, heterocyclyl, aryl, substituted aryl or heteroaryl;

R² is hydrogen, deuterium, halogen, alkyl, deuterated alkyl, haloalkyl, cycloalkyl, cycloalkylalkyl, alkoxyalkyl, carboxyl, heterocyclyl, aryl, substituted aryl or heteroaryl;

and

X is -C(O)-CR^aR^b-(CR³R⁴)_n-O-, -C(O)-CR^aR^b-(CR³R⁴)_n-NH- or -C(O)-CR^aR^b-(CR³R⁴)_n-S-, wherein:

R^a is hydrogen, deuterium, halogen, alkyl, deuterated alkyl, haloalkyl, cycloalkyl, cycloalkylalkyl, alkoxyalkyl, heterocyclyl, aryl, substituted aryl or heteroaryl;

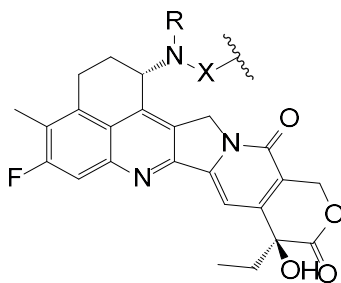
R^b is hydrogen, deuterium, halogen, alkyl, deuterated alkyl, haloalkyl, cycloalkyl, cycloalkylalkyl, alkoxyalkyl, heterocyclyl, aryl, substituted aryl or heteroaryl; or R^a and R^b together with the carbon atom to which they are attached constitute C_{3-6} cycloalkyl, cycloalkylalkyl or heterocyclyl;

R^3 and R^4 are the same or different, and are independently hydrogen, deuterium, halogen, alkyl, haloalkyl, deuterated alkyl, alkoxy, hydroxyl, amino, cyano, nitro, hydroxyalkyl, cycloalkyl or heterocyclyl; or R^3 and R^4 together with the carbon atom to which they are attached constitute C_{3-6} cycloalkyl, cycloalkylalkyl or heterocyclyl; n is an integer from 0 to 4;

and

the wavy line in the formula **D₁** is hydrogen or is covalently linked to a linker or to an antibody having a binding specificity to an antigen expressed by a target cell.

2. A camptothecin derivative of Claim 1, having a formula **D₁** its tautomers, mesomers, racemates, enantiomers, diastereomers, mixtures, or its pharmaceutically acceptable salts or solvates thereof:



D₁

wherein:

R is deuterium, C_{1-6} alkyl, deuterated alkyl, substituted alkyl, aryl, substituted aryl or heteroaryl; and

X is $-C(O)-CR^aR^b-(CR^3R^4)_n-O-$, $-C(O)-CR^aR^b-(CR^3R^4)_n-NH-$ or $-C(O)-CR^aR^b-(CR^3R^4)_n-S-$, wherein:

R^a is hydrogen, deuterium, halogen, alkyl, deuterated alkyl, haloalkyl, cycloalkyl, cycloalkylalkyl, alkoxyalkyl, heterocyclyl, aryl, substituted aryl or heteroaryl;

R^b is hydrogen, deuterium, halogen, alkyl, haloalkyl, deuterated alkyl, cycloalkyl, cycloalkylalkyl, alkoxyalkyl, heterocyclyl, aryl, substituted aryl or heteroaryl;
or R^a and R^b together with the carbon atom to which they are attached constitute C_{3-6} cycloalkyl, cycloalkylalkyl or heterocyclyl;

R^3 and R^4 are the same or different, and are each independently hydrogen, deuterium, hydroxyl, amino, cyano, nitro, halogen, alkyl, haloalkyl, deuterated alkyl, alkoxy, hydroxyalkyl, cycloalkyl or heterocyclyl;
or R^3 and R^4 together with the carbon atom to which they are attached constitute C_{3-6} cycloalkyl, cycloalkylalkyl or heterocyclyl;

n is an integer from 0 to 4; and

the wavy line in the formula **D**₁ is a hydrogen atom or is covalently linked to a linker or to an antibody having a binding specificity to an antigen expressed by a target cell.

3. The camptothecin derivative of Claim 1 or 2, its tautomers, mesomers, racemates, enantiomers, diastereomers, mixtures, or a pharmaceutically acceptable salt or solvate thereof, wherein X is $-C(O)-CR^aR^b-(CR^3R^4)_n-O-$, wherein:

R is a deuterium, a C_{1-6} alkyl group, a deuterated alkyl group, a substituted alkyl group, an aryl group, a substituted aryl group or a heteroaryl group;

R^3 and R^4 are the same or different, each independently selected from hydrogen atom, deuterium atom, halogen or alkyl;

R^a is C_{3-6} cycloalkylalkyl or C_{3-6} cycloalkyl;

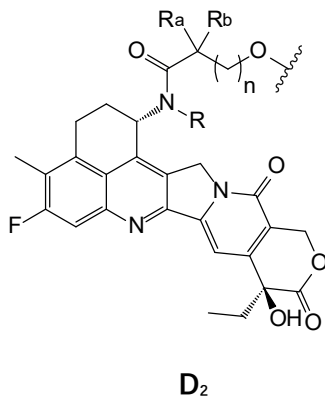
R^b is hydrogen, haloalkyl or C_{3-6} cycloalkyl;

or R^a and R^b together with the carbon atom to which they are attached form a C_{3-6} cycloalkyl; and

n is 0 or 1.

4. The camptothecin derivative of any one of Claims 1 to 3, its tautomers, mesomers, racemates, enantiomers, diastereomers, mixtures, or a pharmaceutically acceptable salt or solvate thereof, wherein R^b is hydrogen.

5. The camptothecin derivative of Claim 1 or 2, its tautomers, mesomers, racemates, enantiomers, diastereomers, mixtures, or a pharmaceutically acceptable salt or solvate thereof, having a formula **D₂**:



wherein:

R is deuterium, C₁₋₆ alkyl, deuterated alkyl, substituted alkyl, aryl, substituted aryl or heteroaryl;

R^a is cycloalkyl or cycloalkylalkyl;

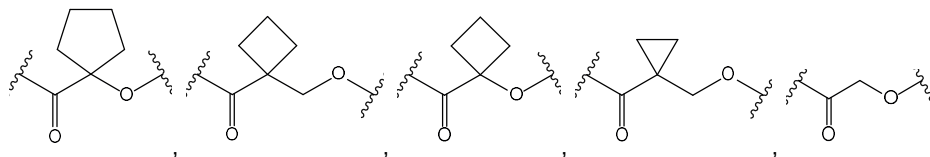
R^b is hydrogen, deuterium, alkyl, haloalkyl, cycloalkyl or cycloalkylalkyl;

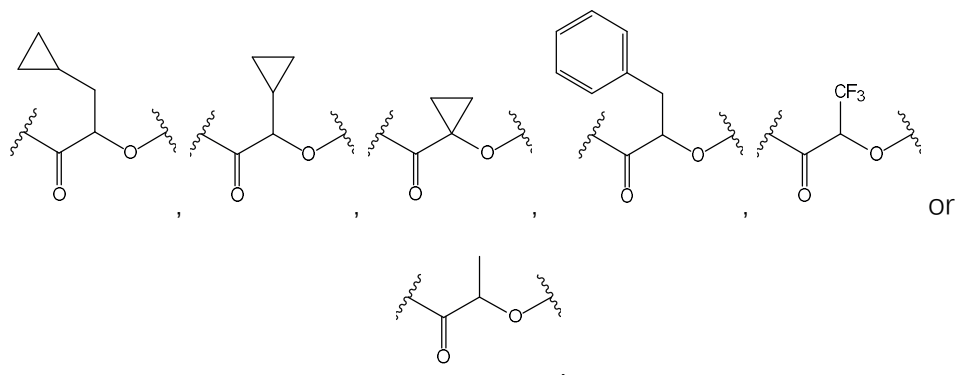
or R^a and R^b together with the carbon atom to which they are attached constitute C₃₋₆ cycloalkyl, cycloalkylalkyl or heterocyclyl;

n is 0 or 1; and

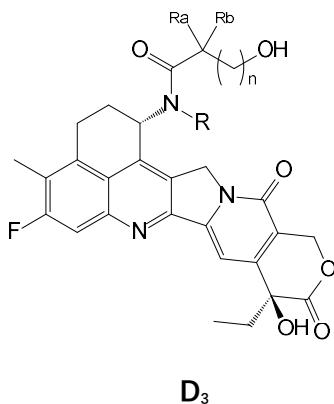
the wavy line in the formula **D₂** is hydrogen or is covalently linked to a linker, or to an antibody having a binding specificity to an antigen expressed by a target cell.

6. The camptothecin derivative of claim 1 or 2, its tautomers, mesomers, racemates, enantiomers, diastereomers, mixtures, or a pharmaceutically acceptable salt or solvate thereof, wherein X is:





7. The camptothecin derivative of claim 1 or 2, its tautomers, mesomers, racemates, enantiomers, diastereomers, mixtures, or a pharmaceutically acceptable salt or solvate thereof, having a formula **D₃**:



wherein:

R is deuterium, C_{1-6} alkyl, deuterated alkyl, substituted alkyl, aryl, substituted aryl or heteroaryl;

R^a is hydrogen, deuterium, halogen, alkyl, deuterated alkyl, haloalkyl, cycloalkyl, cycloalkylalkyl, alkoxyalkyl, heterocyclyl, aryl, substituted aryl or heteroaryl;

R^b is hydrogen, deuterium, halogen, alkyl, deuterated alkyl, haloalkyl, cycloalkyl, cycloalkylalkyl, alkoxyalkyl, heterocyclyl, aryl, substituted aryl or heteroaryl;

or R^a and R^b together with the carbon atom to which they are attached constitute C_{3-6} cycloalkyl, cycloalkylalkyl or heterocyclyl; and

n is 0 or 1.

R^b is hydrogen, deuterium, halogen, alkyl, deuterated alkyl, haloalkyl, cycloalkyl, cycloalkylalkyl, alkoxyalkyl, heterocyclyl, aryl, substituted aryl or heteroaryl;
or R^a and R^b together with the carbon atom to which they are attached constitute C_{3-6} .

6

cycloalkyl, cycloalkylalkyl or heterocyclyl;

n is 0 or 1;

L is a linker; and

the wavy line in the formula $-L-X-D_2$ is hydrogen or is covalently linked to a linker, or to an antibody having a binding specificity to an antigen expressed by a target cell.

11. The drug-linker compound of Claim 10, or its pharmaceutically acceptable salt or solvate thereof, wherein R^a is C_{3-6} cycloalkyl or cycloalkylalkyl.

12. The drug-linker compound of Claim 10 or 11, or its pharmaceutically acceptable salt or solvate thereof, wherein the O-terminal of X is connected to the linker L .

13. The drug-linker compound of any one of Claims 10-12, or its pharmaceutically acceptable salt or solvate thereof, wherein the linker $-L-$ is $-L_1-L_2-L_3-L_4-$, wherein: the L_1 end is connected to the antibody, and the L_4 end is connected to X ;

L_1 is $-(\text{succinimide-3-yl-M})-Y-C(O)-$, $-CH_2-C(O)-NR^5-Y-C(O)-$ or $-C(O)-Y-C(O)-$, wherein Y is C_{1-8} alkyl, C_{1-8} alkyl-cycloalkyl, or linear or linear-cyclic heteroalkyl with 1-8 atoms comprising 1-3 atoms selected from N, O or S; and wherein the C_{1-8} alkyl, cycloalkyl, linear or linear-cyclic heteroalkyl are each independently substituted with one or more substituents of deuterium, halogen, hydroxyl, cyano, nitro, amino, alkyl, carboxyl, heteroalkyl, substituted alkyl, alkoxy or cycloalkyl;

L_2 is $-NR^6(CH_2CH_2O)_pCH_2CH_2C(O)-$, $-NR^6(CH_2CH_2O)_pCH_2C(O)-$, $-S(CH_2)_pC(O)-$ or a chemical bond, wherein p is an integer of 0-20;

L_3 is a peptide consisting of 2-7 amino acids, wherein the amino acid is optionally substituted with one or more substituents of deuterium, halogen, hydroxyl, cyano, amino, nitro, alkyl, substituted alkyl, alkoxy, cycloalkyl or substituted cycloalkyl;

L_4 is $-NR^7(CR^8R^9)_q-$, $-C(O)NR^7$, $-C(O)NR^7(CH_2)_q-$ or a chemical bond, wherein q is an integer of 0-6;

R^5 , R^6 and R^7 are the same or different, and are each independently selected from hydrogen, deuterium, halogen, alkyl, deuterated alkyl, haloalkyl, cycloalkyl, cycloalkylalkyl, alkoxyalkyl, heterocyclyl, aryl, substituted aryl or heteroaryl; and R^8 and R^9 are the same or different, and are each independently selected from hydrogen, deuterium, halogen, alkyl, deuterated alkyl, haloalkyl, cycloalkyl, cycloalkylalkyl, alkoxyalkyl, heterocyclyl, aryl, substituted aryl or heteroaryl.

14. The drug-linker compound of any one of claims 10-13, or its pharmaceutically acceptable salt or solvate compound thereof, wherein:

L_1 is $-(\text{succinimide-3-yl-M})-Y-C(O)-$, $-CH_2-C(O)-NR^5-Y-C(O)-$ or $-C(O)-Y-C(O)-$, wherein Y is C_{1-8} alkyl, C_{1-8} alkyl-cycloalkyl, or linear or linear-cyclic heteroalkyl having 1-8 atoms comprising 1-3 atoms selected from N, O or S, wherein the C_{1-8} alkyl, cycloalkyl, linear or linear-cyclic heteroalkyl are each independently substituted with one or more substituents of deuterium, halogen, hydroxyl, cyano, nitro, amino, alkyl, carboxyl, heteroalkyl, substituted alkyl, alkoxy or cycloalkyl;

L_2 is $-NR^6(CH_2CH_2O)_pCH_2CH_2C(O)-$, $-NR^6(CH_2CH_2O)_pCH_2C(O)-$, $-S(CH_2)_pC(O)-$ or a chemical bond, wherein p is an integer of 0-20;

L_3 is a peptide residue consisting of 2-7 amino acids, wherein the amino acids is optionally substituted with deuterium, halogen, hydroxyl, cyano, amino, nitro, alkyl, substituted alkyl, carboxyl, alkoxy or substituted alkoxy, cycloalkyl or substituted cycloalkyl; preferably polypeptide residues formed by phenylalanine (F), glycine (G), valine (V), lysine (K), citrulline, serine (S), glutamic acid (E) or aspartic acid (D); preferably polypeptide residues formed by one, two or more phenylalanine and glycine; the most preferred is the tetrapeptide residue composed of GGFG (glycine-glycine-phenylalanine-glycine);

L_4 is $-NR^7(CR^8R^9)_q-$, $-C(O)NR^7$, $-C(O)NR^7(CH_2)_q-$ or a chemical bond, wherein q is an integer of 0-6;

L_4 is preferably $-NR^7CR^8R^9-$;

L_4 is more preferably $-NHCH_2-$;

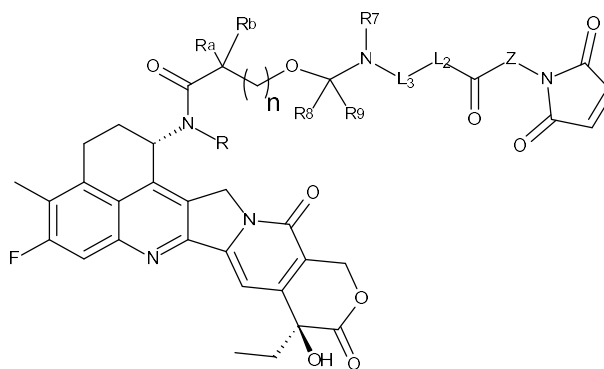
R^5 , R^6 and R^7 are the same or different, and are each independently selected from hydrogen, deuterium, halogen, alkyl, deuterated alkyl, haloalkyl, cycloalkyl, cycloalkylalkyl, alkoxyalkyl, heterocyclyl, aryl, substituted aryl or heteroaryl; and R^8 and R^9 are the same or different, and are each independently hydrogen, deuterium, halogen, alkyl, deuterated alkyl, haloalkyl, cycloalkyl, cycloalkylalkyl, alkoxyalkyl, heterocyclyl, aryl, substituted aryl or heteroaryl.

15. The drug-linker compound of any one of Claims 10-14, or its pharmaceutically acceptable salt or solvate compound thereof, wherein L_3 is selected from the peptide residues formed by the amino acids among phenylalanine (F), glycine (G), valine (V), lysine (K), citrulline, serine (S), glutamate (E), or aspartic acid (D); L_4 is selected from $-NR^7CR^8R^9-$.

16. The drug-linker compound of any one of Claims 10-15, or its pharmaceutically acceptable salt or solvate compound thereof, wherein L_3 is selected from amino acid residues formed from one, two or more amino acids selected from phenylalanine and glycine; and L_4 is selected from $-NR^7CR^8R^9-$.

17. The drug-linker compound of any one of Claims 10-16, or its pharmaceutically acceptable salt or solvate compound thereof, wherein L_3 is a tetrapeptide residue comprising GGFG (glycine-glycine-phenylalanine-glycine); L_4 is $-NHCH_2-$.

18. A drug-linker compound having a general formula (L_a-X-D_2), or its pharmaceutically acceptable salt or solvate thereof:

La-X-D₂

wherein:

Z is -Y-C(O)-, -CH₂-C(O)-NR⁵-Y-C(O)- or -C(O)-Y-C(O)-, wherein

Y comprises C₁₋₈ alkyl, C₁₋₈ alkyl-cycloalkyl or linear or linear-cyclic heteroalkyl with 1-8 atoms having 1-3 atoms selected from N, O or S; and wherein the C₁₋₈ alkyl, cycloalkyl, linear or linear-cyclic heteroalkyl are each independently substituted with one or more substituents of deuterium, halogen, hydroxyl, cyano, nitro, amino, alkyl, heteroalkyl, alkoxy, carboxyl or cycloalkyl;

L₂ is -NR⁶(CH₂CH₂O)_pCH₂CH₂C(O)-, -NR⁶(CH₂CH₂O)_pCH₂C(O)-, -S(CH₂)_pC(O)- or chemical bond, wherein p is an integer of 0-20;

L₃ is a peptide residue consisting of 2-7 amino acids, wherein the amino acid is optionally substituted with deuterium, halogen, hydroxyl, cyano, amino, nitro, alkyl, substituted alkyl, alkoxy, cycloalkyl and substituted cycloalkyl; and

R is deuterium, C₁₋₆ alkyl or substituted alkyl, aryl, substituted aryl or heteroaryl;

R^a is hydrogen, deuterium, halogen, alkyl, deuterated alkyl, haloalkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, aryl, substituted aryl, or heteroaryl;

R^b is hydrogen, deuterium, halogen, alkyl, haloalkyl, deuterated alkyl, cycloalkyl, cycloalkylalkyl, alkoxyalkyl, heterocyclyl, aryl, substituted aryl or heterocycle aryl;

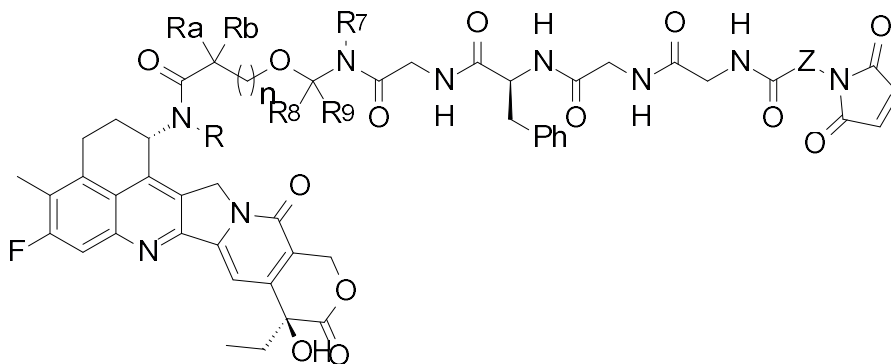
or R^a and R^b together with the carbon atoms to which they are attached constitute C₃₋₆ cycloalkyl, cycloalkylalkyl or heterocyclyl;

R^5 , R^6 and R^7 are the same or different, and are each independently hydrogen, deuterium, halogen, alkyl, deuterated alkyl, haloalkyl, cycloalkyl, cycloalkylalkyl, alkoxyalkyl, heterocyclyl, aryl, substituted aryl or heteroaryl;

R^8 and R^9 are the same or different, and are each independently hydrogen, deuterium, halogen, alkyl, deuterated alkyl, haloalkyl, cycloalkyl, cycloalkylalkyl, alkoxyalkyl, heterocyclyl, aryl, substituted aryl or heteroaryl; and

n is an integer from 0 to 4.

19. The drug-linker compound of Claim 18, wherein the compound has a formula (L_b -X-D₂), its tautomers, mesomers, racemates, enantiomers, diastereomers or mixtures thereof:



L_b -X-D₂

wherein:

Z is $-Y-C(O)-$, $-CH_2-C(O)-NR^5-Y-C(O)-$ or $-C(O)-Y-C(O)-$, wherein

Y comprises C_{1-8} alkyl, C_{1-8} alkyl-cycloalkyl, linear or linear-cyclic heteroalkyl of 1-8 atoms containing 1-3 atoms selected from N, O or S, wherein the C_{1-8} alkyl, cycloalkyl, linear or linear-cyclic heteroalkyl are each independently substituted with one or more substituents of deuterium, halogen, hydroxyl, cyano, nitro, amino, alkyl, heteroalkyl, substituted alkyl, alkoxy, carboxyl or cycloalkyl;

R is deuterium, C_{1-6} alkyl, deuterated alkyl, substituted alkyl, aryl, substituted aryl or heteroaryl;

R^a is hydrogen, deuterium, halogen, alkyl, deuterated alkyl, haloalkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, aryl, substituted aryl, or heteroaryl;

R^b is hydrogen, deuterium, halogen, alkyl, haloalkyl, deuterated alkyl, cycloalkyl, cycloalkylalkyl, alkoxyalkyl, heterocyclyl, aryl, substituted aryl or heteroaryl;

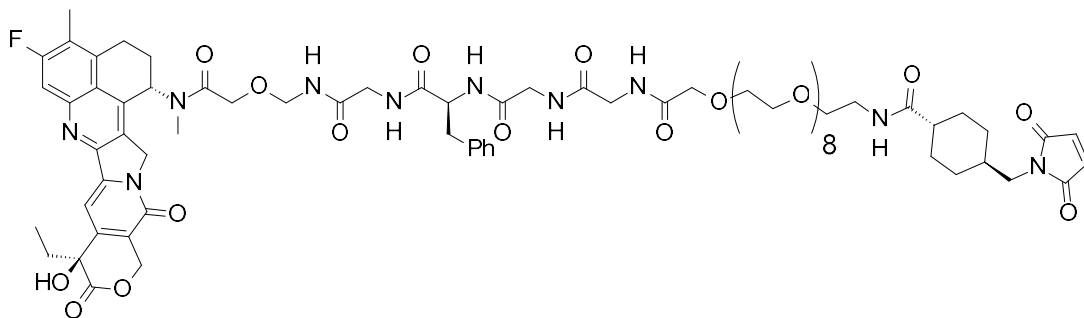
or R^a and R^b together with the carbon atom to which they are attached constitute C₃₋₆ cycloalkyl, cycloalkylalkyl or heterocyclyl;

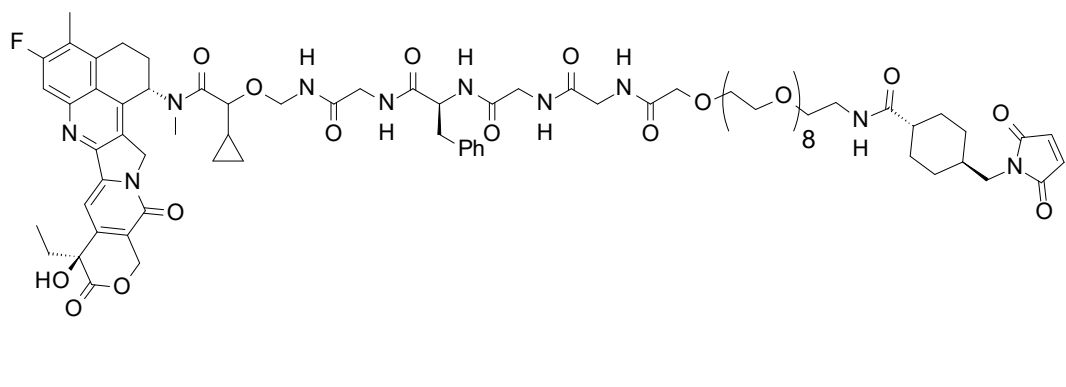
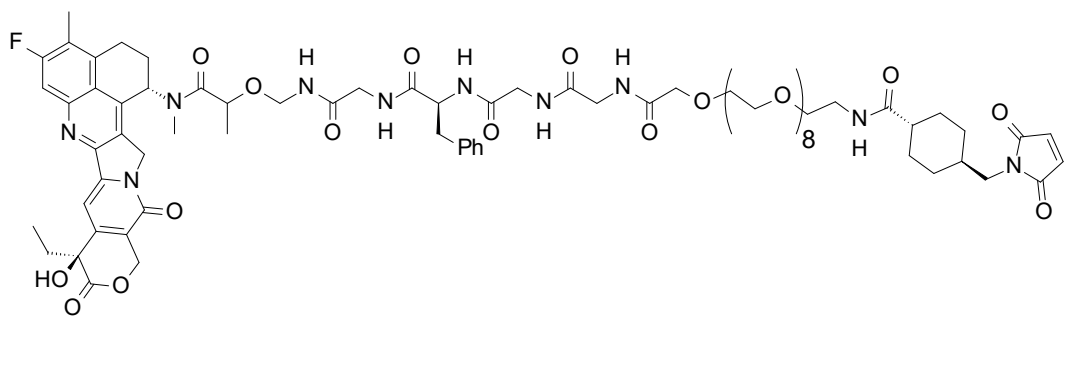
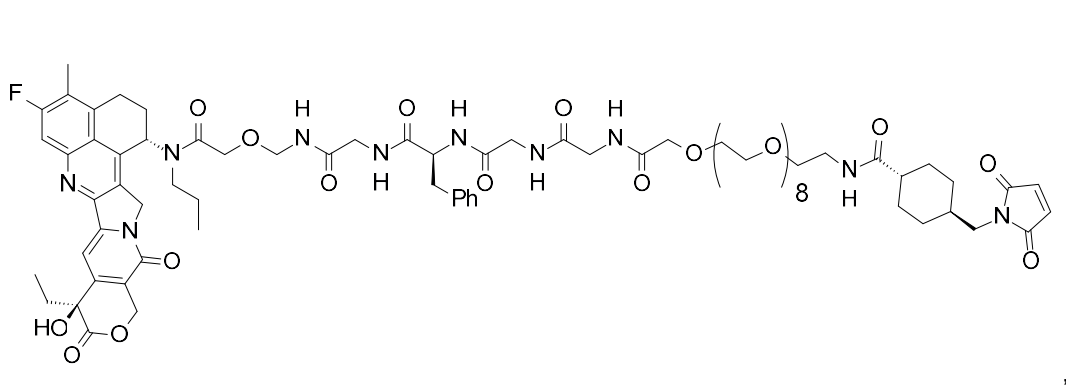
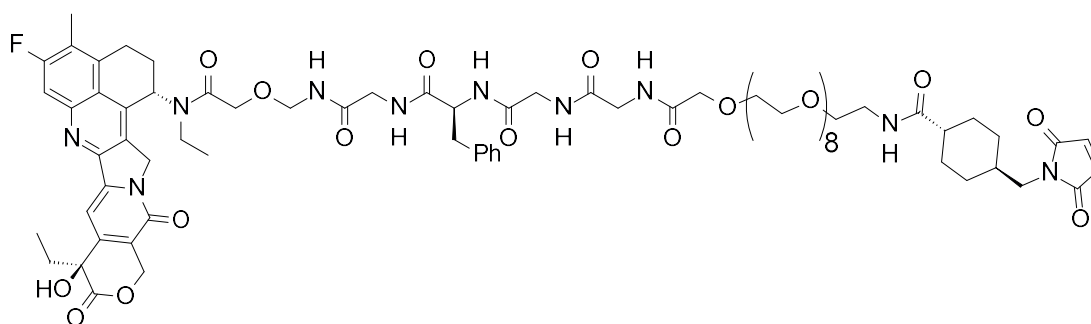
R⁵ and R⁷ are the same or different, and are each independently selected from hydrogen, deuterium, halogen, alkyl, deuterated alkyl, haloalkyl, cycloalkyl, cycloalkylalkyl, alkoxyalkyl, heterocyclyl, aryl, substituted aryl or heteroaryl;

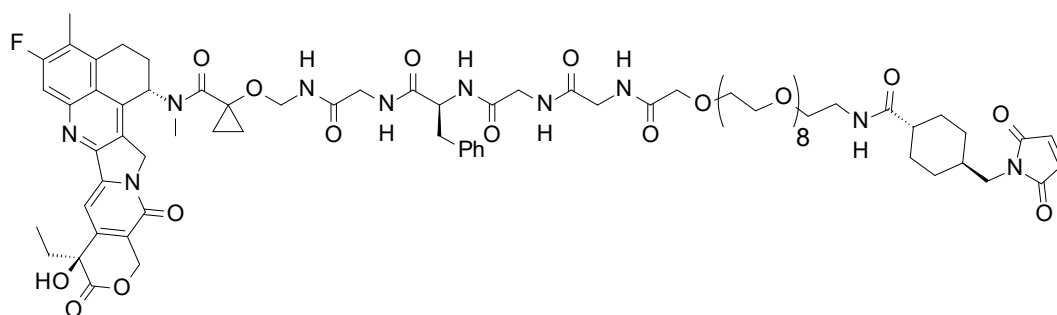
R⁸ and R⁹ are the same or different, and are each independently selected from hydrogen, deuterium, halogen, alkyl, deuterated alkyl, haloalkyl, cycloalkyl, cycloalkylalkyl, alkoxyalkyl, heterocyclyl, aryl, substituted aryl or heteroaryl; and

n is an integer from 0 to 4.

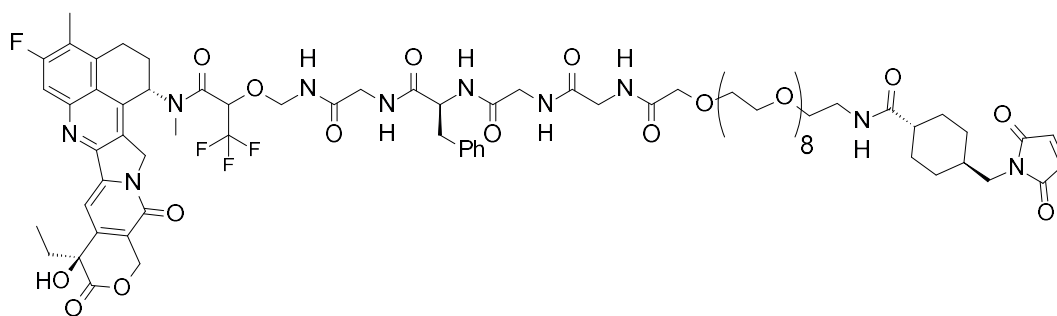
20. The drug-linker compound having the general formula (L_a-X-D₂) according to claim 17, or its pharmaceutically acceptable salt or solvate thereof, wherein the drug-linker compound is selected from the following structures:



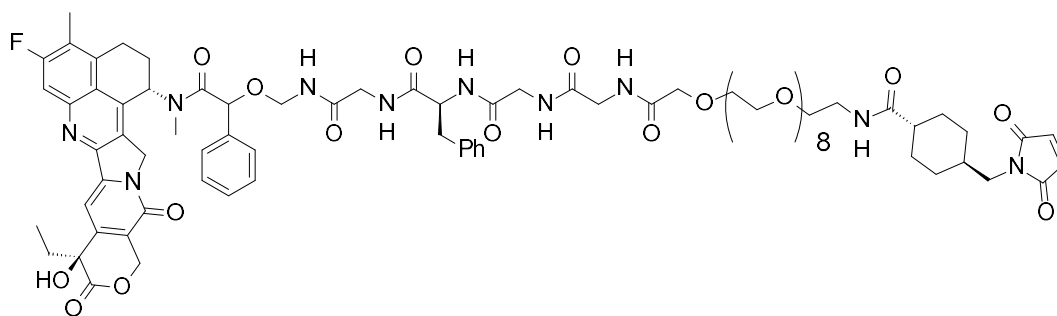




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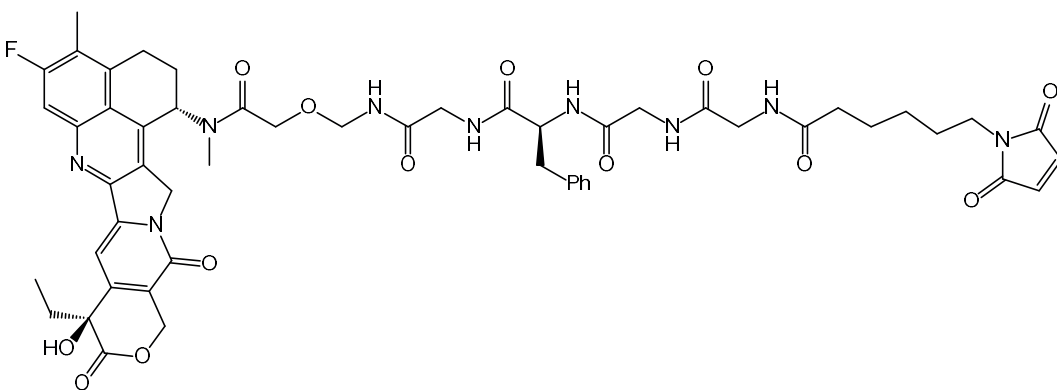


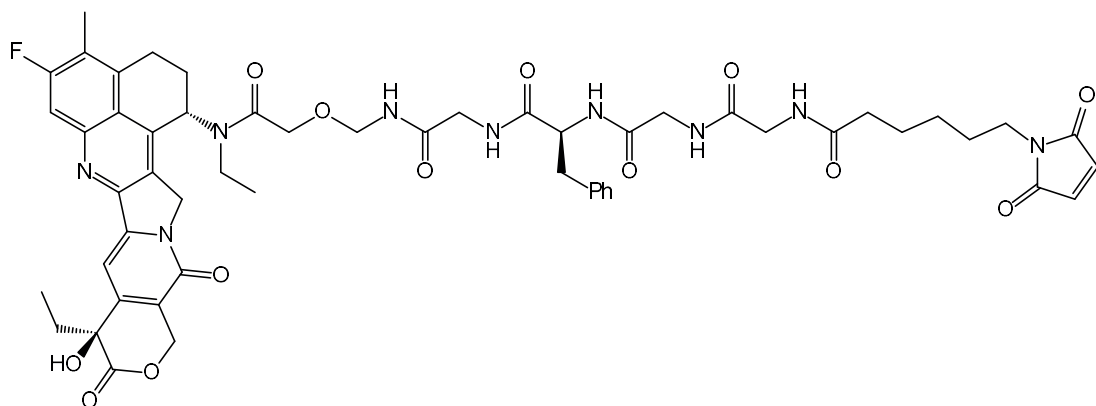
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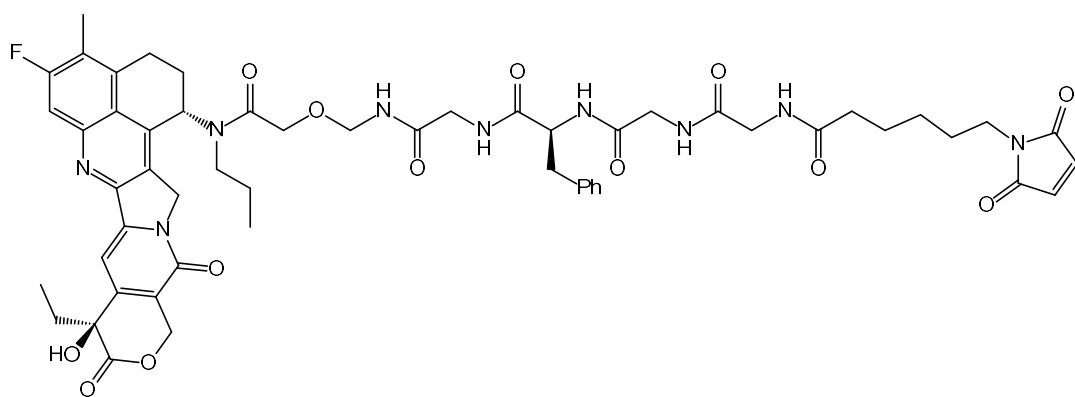
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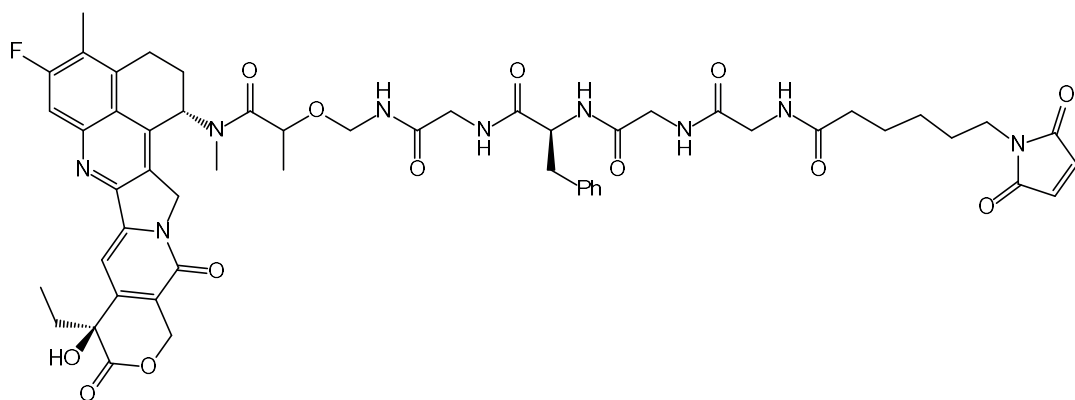




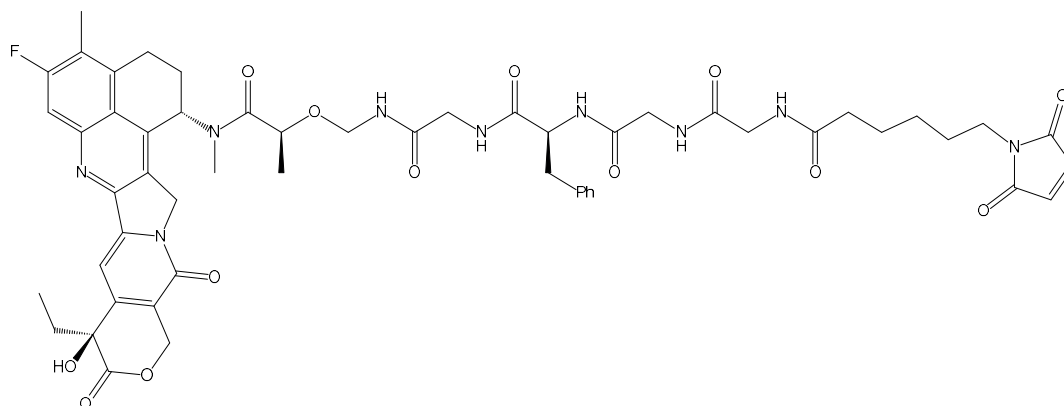
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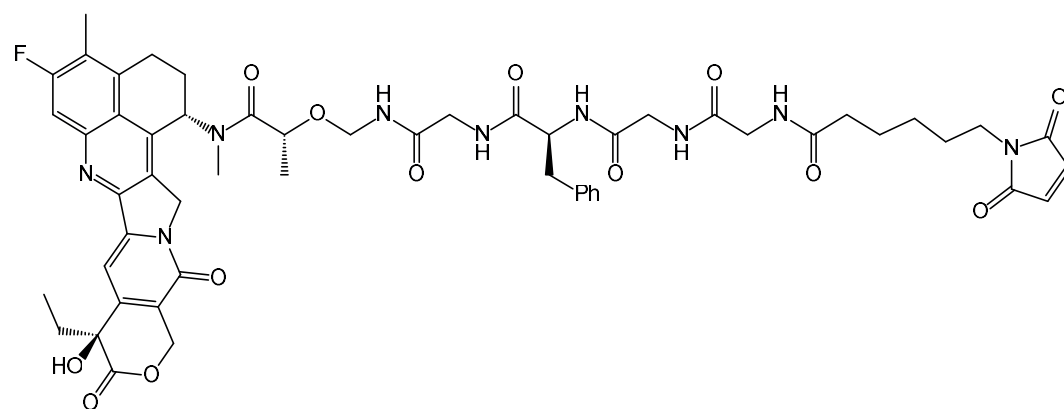
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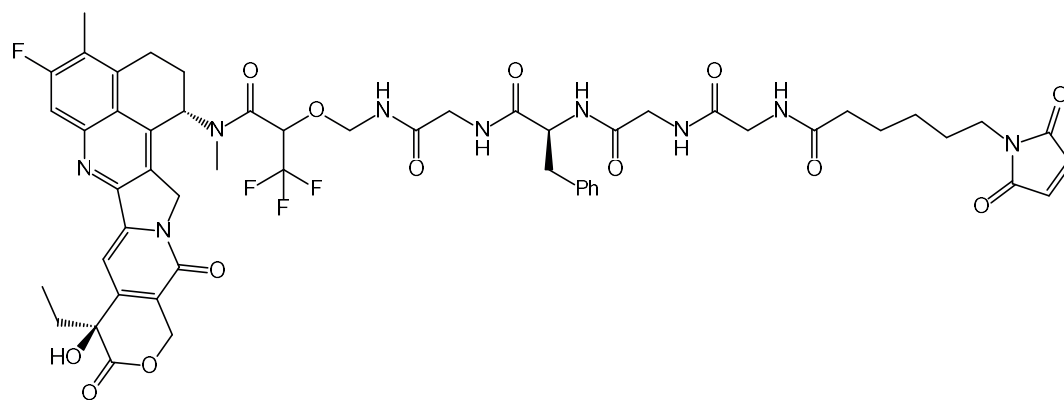
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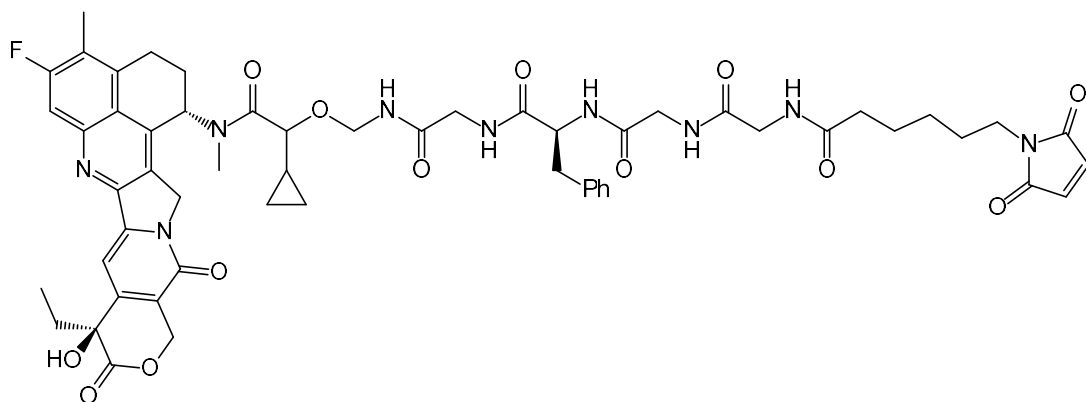
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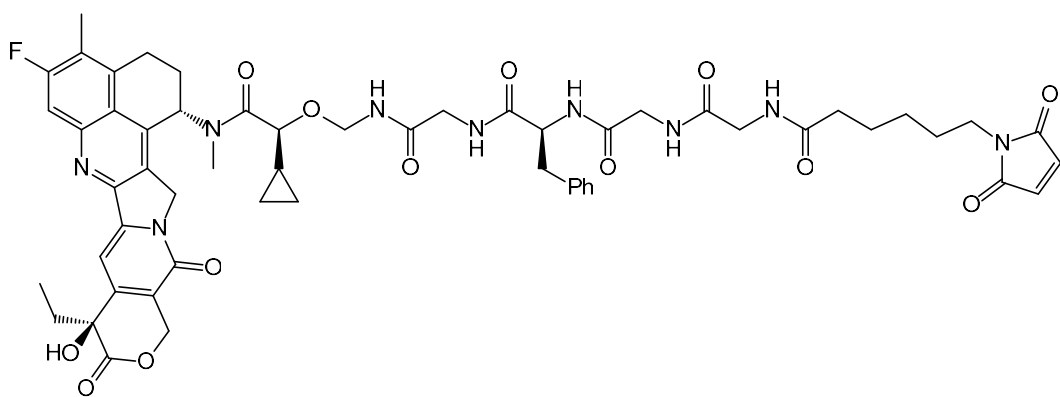
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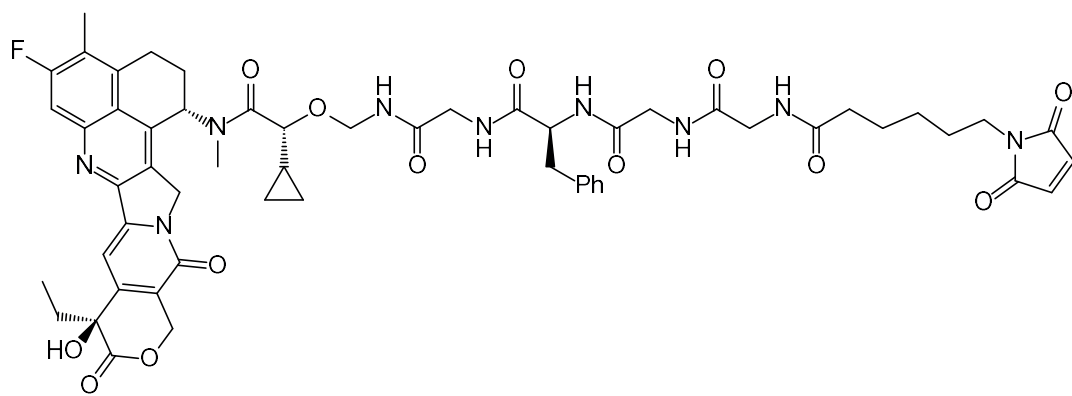
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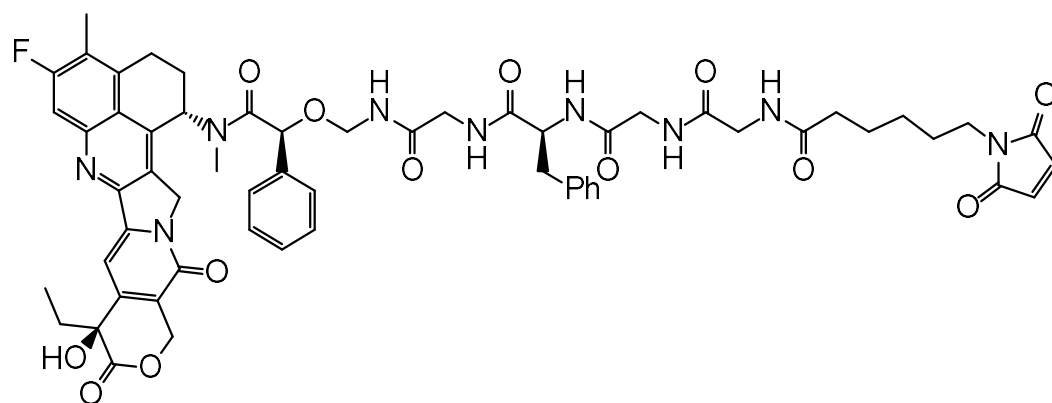
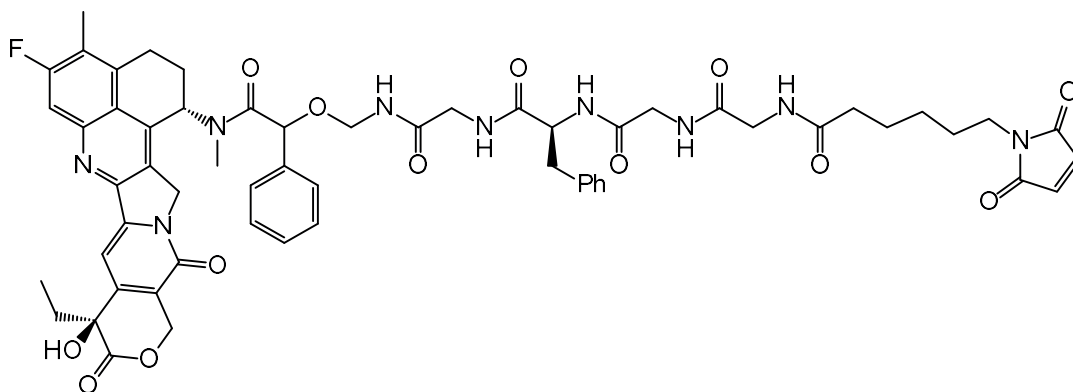
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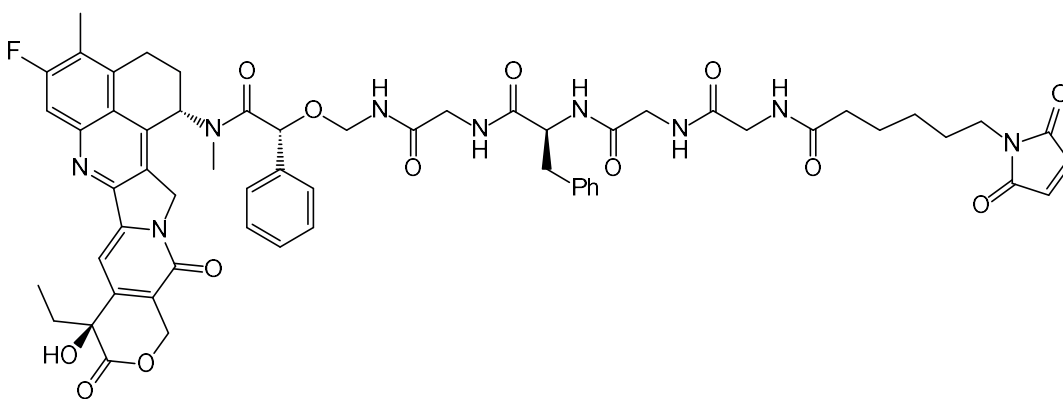
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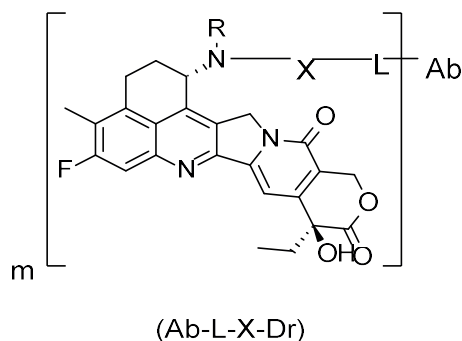


or



21. An antibody-drug conjugates having a formula (Ab-L-X-Dr) or its pharmaceutically acceptable salt or solvate thereof, wherein the antibody-drug conjugate comprises the camptothecin derivative or drug-linker compound according to any one of

claims 1-20, or its tautomers, mesomers, racemates, enantiomers, diastereomers or mixtures, or pharmaceutically acceptable salts or solvates thereof:



wherein:

X is $-\text{C}(\text{O})-\text{CR}^a\text{R}^b-(\text{CR}^3\text{R}^4)_n-\text{O}-$, $-\text{C}(\text{O})-\text{CR}^a\text{R}^b-(\text{CR}^3\text{R}^4)_n-\text{NH}-$ or $-\text{C}(\text{O})-\text{CR}^a\text{R}^b-(\text{CR}^3\text{R}^4)_n-\text{S}-$; wherein

R is deuterium, C_{1-6} alkyl, deuterated alkyl, substituted alkyl, aryl, substituted aryl or heteroaryl;

R^a is hydrogen, deuterium, halogen, alkyl, deuterated alkyl, haloalkyl, cycloalkyl, cycloalkylalkyl, alkoxyalkyl, heterocyclyl, aryl, substituted aryl or heteroaryl;

R^b is hydrogen, deuterium, halogen, alkyl, haloalkyl, deuterated alkyl, cycloalkyl, cycloalkylalkyl, alkoxyalkyl, heterocyclyl, aryl, substituted aryl or heteroaryl;

or R^a and R^b together with the carbon atom to which they are attached constitute C_{3-6} cycloalkyl, cycloalkylalkyl or heterocyclyl;

R^3 and R^4 are the same or different, and are independently selected from hydrogen, deuterium, halogen, alkyl, haloalkyl, deuterated alkyl, alkoxy, hydroxyl, amino, cyano, nitro, hydroxyalkyl, cycloalkyl or heterocyclyl;

or R^3 and R^4 together with the carbon atom to which they are attached constitute C_{3-6} cycloalkyl or heterocyclyl;

n is an integer from 0 to 4;

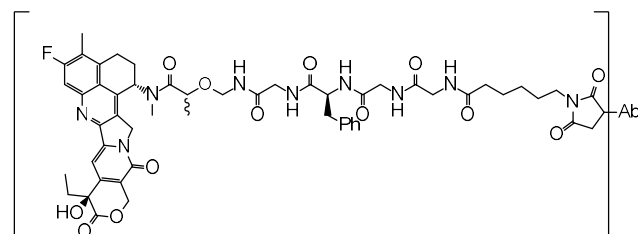
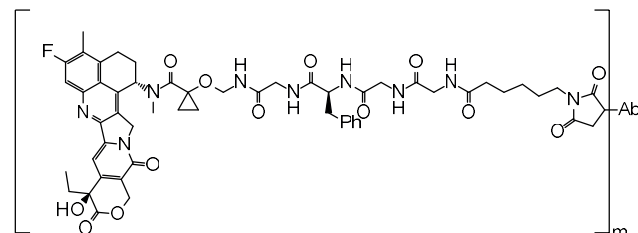
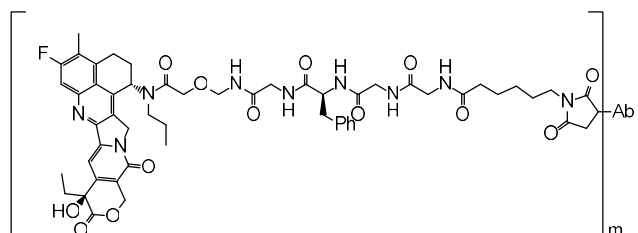
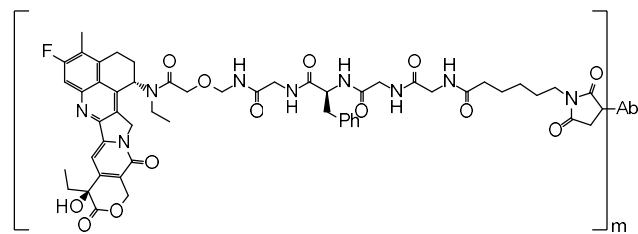
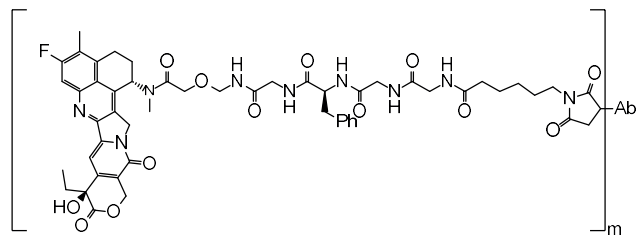
m is an integer or decimal from 1 to 10;

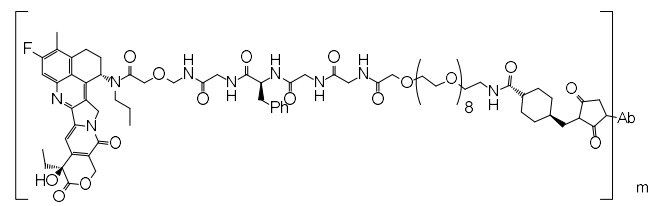
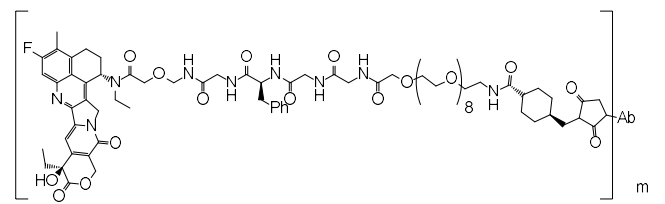
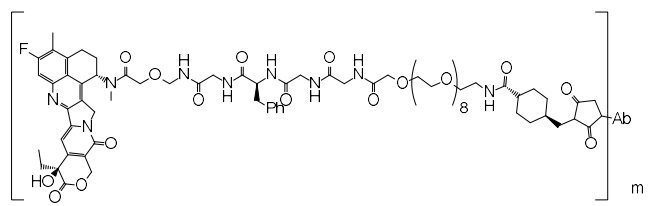
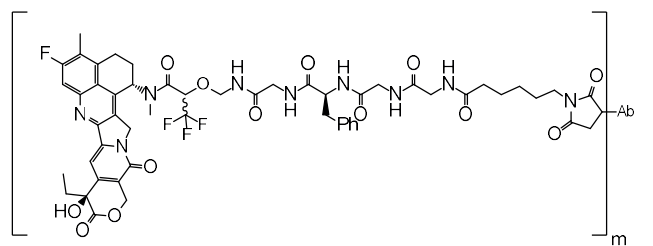
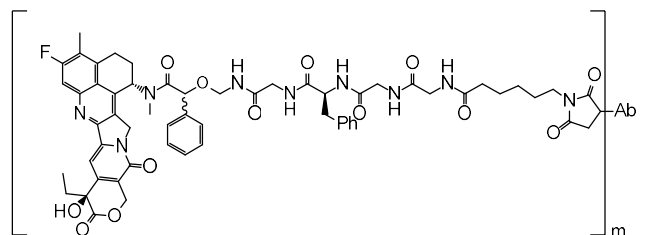
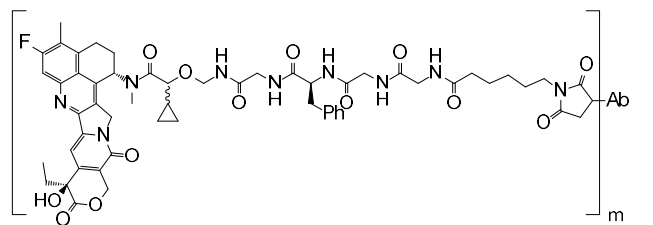
Ab is an antibody, antibody fragment or protein; and

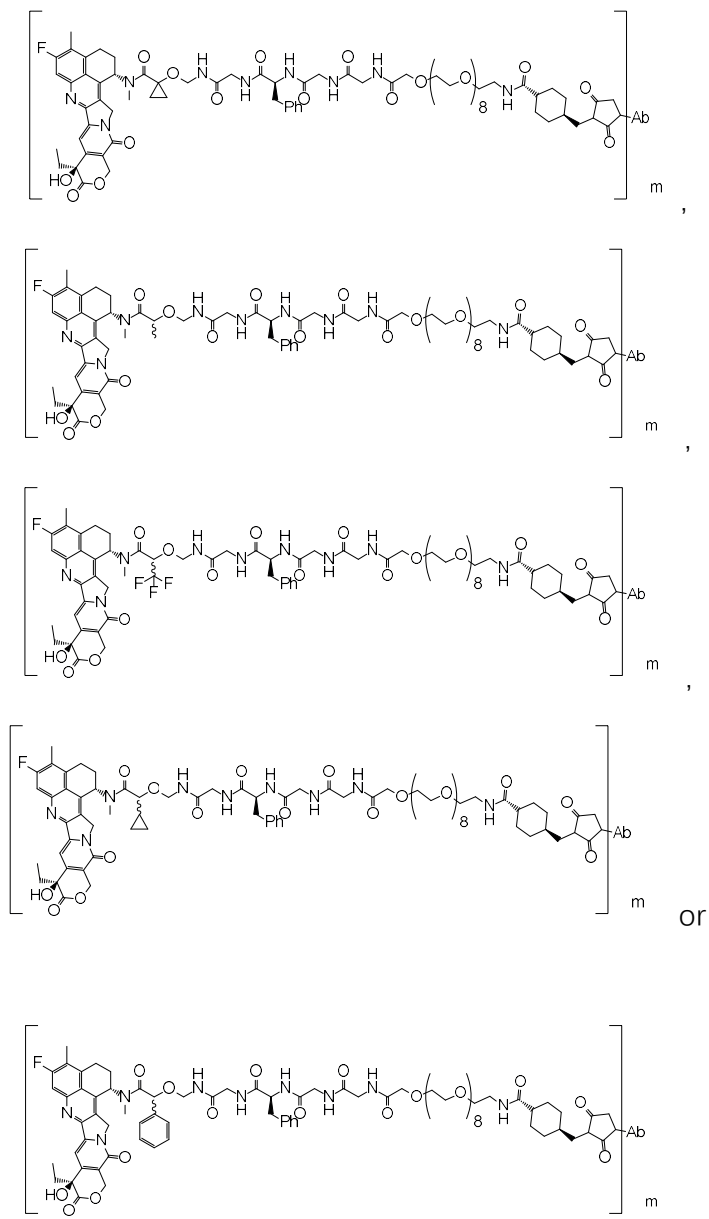
L is a linker.

22. The antibody-drug conjugate or pharmaceutically acceptable salt or solvate thereof according to claim 21, wherein Ab is an antibody configured to form a linking bond with the linker through its heteroatom, and wherein the antibody is chimeric antibody, humanized antibody, fully human antibody or murine antibody.
23. The antibody-drug conjugate according to claim 21 or 22 or a pharmaceutically acceptable salt or solvate thereof, wherein the antibody is a monoclonal antibody selected from the group consisting of: anti-EGFRvIII antibody, anti-DLL-3 antibody, anti-PSMA antibody, anti-CD70 antibody, anti-MUC16 antibody, anti-ENPP3 antibody, anti-TDGF1 antibody, anti-ETBR antibody, anti-MSLN antibody, anti-TIM-1 antibody, anti-LRRC15 antibody, anti-LIV-1 antibody, anti-CanAg/AFP antibody, anti-Cladin 18.2 antibody, anti-Mesothelin antibody, anti-HER2(ErbB2) antibody, anti-EGFR antibody, anti-c-MET antibody, anti-SLITRK6 antibody, anti-KIT/CD117 antibody, anti-STEAP1 antibody, anti-SLAMF7/CS1 antibody, anti-NaPi2B/SLC34A2 antibody, anti-GPNMB antibody, anti-HER3 (ErbB3) antibody, anti-MUC1/CD227 antibody, anti-AXL antibody, anti-CD166 antibody, anti-B7-H3 (CD276) antibody, anti-PTK7/CCK4 antibody, anti-PRLR antibody, anti-EFNA4 antibody, anti-5T4 antibody, anti-NOTCH3 antibody, anti-Nectin 4 antibody, anti-TROP-2 antibody, anti-CD142 antibody, anti-CA6 antibody, anti-GPR20 antibody, anti-CD174 antibody, anti-CD71 antibody, anti-EphA2 antibody, anti-LYPD3 antibody, anti-FGFR2 antibody, anti-FGFR3 antibody, anti-FR α antibody, anti-CEACAMs antibody, anti-GCC antibody, anti-Integrin Av antibody, anti-CAIX antibody, anti-P-cadherin antibody, anti-GD3 antibody, anti-Cadherin 6 antibody, anti-LAMP1 antibody, anti-FLT3 antibody, anti-BCMA antibody, anti-CD79b antibody, anti-CD19 antibody, anti-CD33 antibody, anti-CD56 antibody, anti-CD74 antibody, anti-CD22 antibody, anti-CD30 antibody, anti-CD37 antibody, anti-CD138 antibody, anti-CD352 antibody, anti-CD25 antibody and anti-CD123 antibody.

24. The antibody-drug conjugate or a pharmaceutically acceptable salt or solvate thereof according to claim 21 or 22, selected from a group consisting of the following structures:





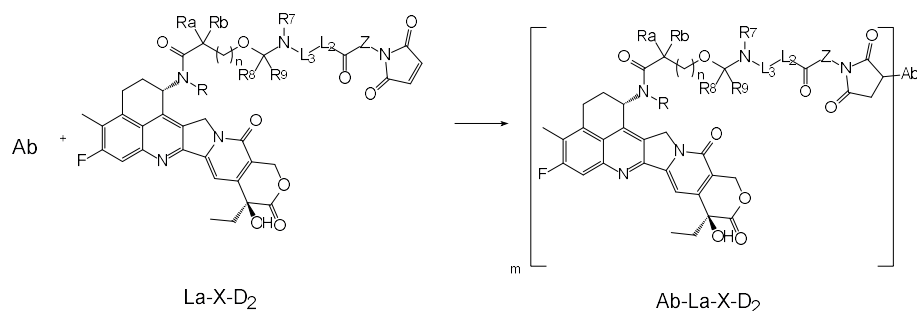


wherein:

m is an integer or decimal from 1 to 10; and

Ab is an antibody, antibody fragment, or antigen-linked fragment.

25. A method for preparing an antibody-drug conjugate having the formula (Ab-L_a-X-D₂) according to any one of claims 21-24 or its pharmaceutically acceptable salt or solvate thereof, comprising the step of:



obtaining the formula (Ab-L_a-X-D₂) by coupling the antibody, antibody fragment or its antigen-binding fragment with the general formula (L_a-X-D₂).

26. A pharmaceutical composition comprising a therapeutically effective amount of the antibody-drug conjugate according to any one of claims 21-24, or a pharmaceutically acceptable salt or solvate thereof.
27. Use of the camptothecin derivative or drug-linker compound according to any one of claims 1-20, or its tautomers, mesomers, racemates, enantiomers, diastereomers or mixtures, or pharmaceutically acceptable salts or solvates thereof, or the antibody-drug conjugate according to any one of claims 1-21-24 or a pharmaceutically acceptable salt or solvate thereof, in preparation of a medicament for therapy or prophylaxis in cancer medicine.
28. The use according to claim 21, wherein the cancer is selected from solid tumors and hematological malignancies, including but not limited to breast cancer, ovarian cancer, cervical cancer, uterine cancer, prostate cancer, kidney cancer, urethral cancer, bladder cancer, liver cancer, stomach cancer, endometrial cancer, salivary gland cancer, esophagus cancer, lung cancer, colon cancer, rectal cancer, colorectal cancer, bone cancer, skin cancer, thyroid cancer, pancreatic cancer, melanoma, glioma, neuroblastoma, glioblastoma multiforme, sarcoma, lymphoma, and leukemia.