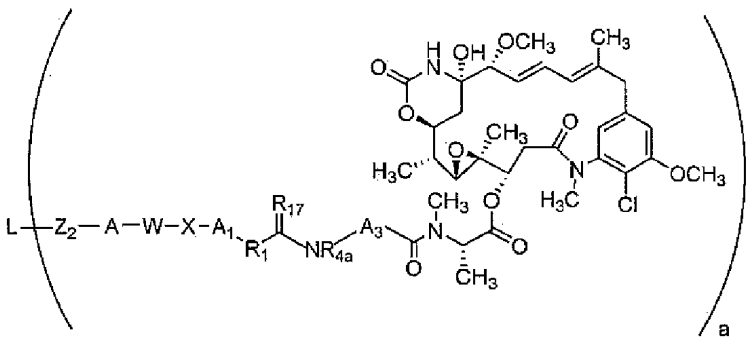
Claims:

1. A compound of the following formula

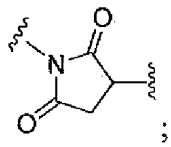


wherein:

L is a Ligand, and

L is capable of binding to a cell or cell population;

a is an integer from 1 to 10;

Z_2 is represented by the following structural formula: $-Z_{2A}-Z_{2B}-Z_{2C}-Z_{2D}$, wherein Z_{2A}, Z_{2B}, Z_{2C} and Z_{2D} are each independently absent, an amino acid residue, a peptide residue having 2-20 amino acid residues, an alkylene, an alkynylene, an alkenylene, a cycloalkylene, an arylene, a heteroarylene, a heterocycloalkylene, $-CR_5R_6-$, -O-, -C(=O)-, -O-C(=O)-, -C(=O)-O-, -O-C(=O)-O-, $-C(=O)-(CH_x)_{p1}-$, $-C(=O)-O-(CH_x)_{p1}-$, $-(CH_x)_{p1}-C(=O)-$, $-(CH_x)_{p1}-C(=O)-O-$, $-(O-(CH_2)_{p2}-)_{p3}-$, $-((CH_2)_{p2}-O-)_{p3}-$, -C(=S)-, -C(=S)-S-, -C(=S)-NH-, -S-C(=S)-, -S-C(=S)-S-, -S-, -SO-, $-SO_2-$, $-NR_4-$, $-N(R_4)-C(=O)-N(R_8)-$, $-N(R_4)-C(=O)O-$, $-N(R_4)-C(=O)-$, $-C(=O)-N(R_4)-$, $-C(=O)-N(R_4)-C(=O)-$, $-O-C(=O)-N(R_4)-$, $-O-C(=S)-N(R_4)-$, $-C(=S)-N(R_4)-$, or ;

A is a natural or non-natural amino acid residue, or a peptide residue comprising 2-20 amino acid residues;

W is -O-, -S-, $-CR_5R_6-$, or $-NR_4-$;

X is arylene, heteroarylene, cycloalkylene, or heterocycloalkylene, wherein arylene, heteroarylene, cycloalkylene, and heterocycloalkylene are optionally substituted;

A_1, and R_1 are each independently an amino acid residue, a peptide residue having 2-20 amino acid residues, an alkylene, an alkynylene, an alkenylene, a cycloalkylene, an arylene, a heteroarylene, a heterocycloalkylene, $-CR_5R_6-$, -O-, -C(=O)-, -O-C(=O)-, -C(=O)-O-, -O-C(=O)-O-, $-C(=O)-(CH_x)_{p1}-$, $-C(=O)-O-(CH_x)_{p1}-$, $-(CH_x)_{p1}-C(=O)-$, $-(CH_x)_{p1}-C(=O)-O-$, $-(O-(CH_2)_{p2}-)_{p3}-$, $-((CH_2)_{p2}-O-)_{p3}-$, -C(=S)-, -C(=S)-S-, -S-C(=S)-, -C(=S)-NH-, -S-C(=S)-S-, -S-, -SO-, $-SO_2-$, $-NR_4-$, $-N(R_4)-C(=O)-N(R_8)-$, $-N(R_4)-C(=O)O-$, $-N(R_4)-C(=O)-$, $-C(=O)-N(R_4)-$, $-C(=O)-N(R_4)-C(=O)-$, or $-O-C(=O)-NR_4-$, wherein alkylene, alkynylene, alkenylene, cycloalkylene, arylene, heteroarylene, and heterocycloalkylene are optionally substituted;

A_3 is methylene, ethylene, 1-propylene, 2-propylene, or 1-butylene;

R_{17} is selected from the group consisting of O, S, NR_{18}, and CR_5R_6 ;

R_{18} is selected from the group consisting of H, alkyl, alkynyl, alkenyl, cycloalkyl, aryl, heteroaryl, heterocycloalkyl, and acyl, wherein alkyl, alkynyl, alkenyl, cycloalkyl, aryl, heteroaryl, heterocycloalkyl, and acyl are optionally substituted;

R_4, R_5, R_6 and R_8 are each independently H, or a substituted or unsubstituted: alkyl, alkenyl, alkynyl, aryl, heteroaryl, or heterocycloalkyl;

R_{4a} is methyl;

p1, p2 and p3 are each independently 0, or an integer from 1 to 100; and

x is 2.

2. The compound of claim 1, wherein each of X, A_1, A_3, and R_1 is unsubstituted.

3. The compound of claim 1, wherein L is capable of binding to a specifically targeted cell population.

4. The compound of claim 1, wherein L is selected from the group consisting of proteins, antibodies, fragments of antibodies, nucleic acids, antigen binding scaffolds, and carbohydrates.

5. The compound of claim 4, wherein L is an antibody or a fragment thereof.

6. The compound of claim 5, wherein L is an antibody or fragment thereof that specifically binds a tumor associated antigen.

7. The compound of claim 5, wherein the antibody or a fragment thereof comprises a sulfur group that is covalently attached with Z_2.

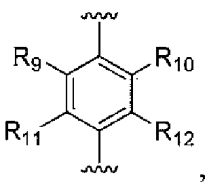
8. The compound of claim 7, wherein the antibody or a fragment thereof comprises a sulfur group that is covalently attached with Z_{2A}.

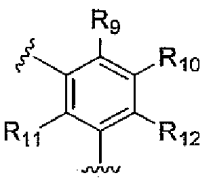
9. The compound of claim 1, wherein each of R_1 and R_{17} is O.

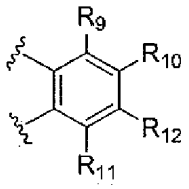
10. The compound of claim 1, wherein A is an amino acid residue selected from the group consisting of alanine, aspartic acid, glutamic acid, phenylalanine, glycine, histidine, isoleucine, lysine, leucine, methionine, asparagine, proline, glutamine, arginine, serine, threonine, valine, tryptophan, tyrosine, cysteine, and citrulline.

11. The compound of claim 1, wherein A is a peptide residue selected from the group consisting of valine-citrulline, citrulline-valine, lysine-phenylalanine, phenylalanine-lysine, valine-asparagine, asparagine-valine, threonine-asparagine, serine-asparagine, asparagine-serine, phenylalanine-asparagine, asparagine-phenylalanine, leucine-asparagine, asparagine-leucine, isoleucine-asparagine, asparagine-isoleucine, glycine-asparagine, asparagine-glycine, glutamic acid- asparagine, asparagine-glutamic acid, citrulline-asparagine, asparagine-citrulline, alanine-asparagine, and asparagine-alanine.

12. The compound of claim 1, wherein X is an arylene selected from the group consisting of



,


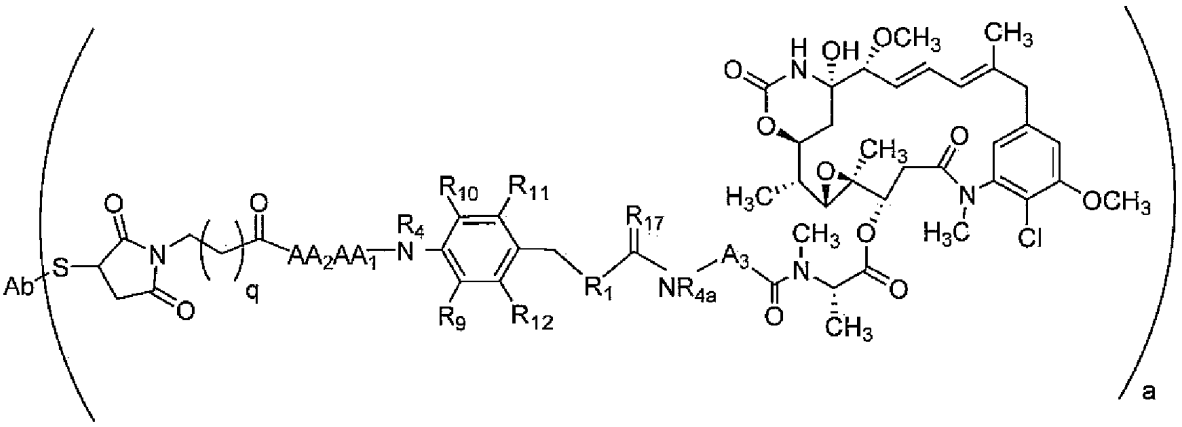
and


wherein R_9, R_{10}, R_{11}, and R_{12} are each independently H, an alkyl, cycloalkyl, aryl, heteroaryl, heterocycloalkyl, halogen, $NR_{13}R_{14}$, nitro, cyano, -OH, -O-C(=O)-R_{15}, -C(=O)-R_{15}, -C(=O)-O-R_{15}, or C(=O)-$NR_{13}R_{14}$; and

further wherein, alkyl, cycloalkyl, aryl, heteroaryl, and heterocycloalkyl are optionally substituted;

R_{13} and R_{14} are each independently H or an optionally substituted alkyl; and R_{15} is an optionally substituted alkyl.

13. The compound of claim 8 having the following formula :



wherein:

Ab is an antibody or a fragment thereof;

AA_1-AA_2 is a peptide residue selected from the group consisting of valine-citrulline, citrulline-valine, lysine-phenylalanine, phenylalanine-lysine, valine-asparagine, asparagine-valine, threonine-asparagine, serine-asparagine, asparagine-serine, phenylalanine-asparagine, asparagine-phenylalanine, leucine-asparagine, asparagine-leucine, isoleucine-asparagine, asparagine-isoleucine, glycine-asparagine, asparagine-glycine, glutamic acid, asparagine, asparagine-glutamic acid, citrulline-asparagine, asparagine-citrulline, alanine-asparagine, and asparagine-alanine;

a is an integer from 1 to 10;

q is 0 or an integer from 1 to 5;

R_1 is an amino acid residue, a peptide residue having 2-20 amino acid residues, an alkylene, an alkynylene, an alkenylene, a cycloalkylene, an arylene, a heteroarylene, a heterocycloalkylene, $-CR_5R_6-$, -O-, -C(=O)-, -O-C(=O)-, -C(=O)-O-, -O-C(=O)-O-, $-C(=O)-(CH_x)_{p1}-$, $-C(=O)-O-(CH_x)_{p1}-$, $-(CH_x)_{p1}-C(=O)-$, $-(CH_x)_{p1}-C(=O)-O-$, $-(O-(CH_2)_{p2}-)_{p3}-$, $-((CH_2)_{p2}-O-)_{p3}-$, -C(=S)-, -C(=S)-S-, -C(=S)-NH-, -S-C(=S)-, -S-C(=S)-S-, -S-, -SO-, $-SO_2-$, $-NR_4-$, $-N(R_4)-C(=O)-N(R_8)-$, $-N(R_4)-C(=O)O-$, $-N(R_4)-C(=O)-$, $-C(=O)-N(R_4)-$, $-C(=O)-N(R_4)-C(=O)-$, or $-O-C(=O)-NR_4-$, wherein alkylene, alkynylene, alkenylene, cycloalkylene, arylene, heteroarylene, and heterocycloalkylene are optionally substituted;

A_3 is methylene, ethylene, 1-propylene, 2-propylene, or 1-butylene;

R_{17} is selected from the group consisting of O, S, NR_{18}, and CR_5R_6;

R_{18} is selected from the group consisting of H, alkyl, alkynyl, alkenyl, cycloalkyl, aryl, heteroaryl, heterocycloalkyl, and acyl, wherein alkyl, alkynyl, alkenyl, cycloalkyl, aryl, heteroaryl, heterocycloalkyl, and acyl are optionally substituted;

R_4, R_5, R_6 and R_8 are each independently H, or a substituted or unsubstituted: alkyl, alkenyl, alkynyl, aryl, heteroaryl, or heterocycloalkyl;

R_{4a} is methyl;

R_9, R_{10}, R_{11}, and R_{12} are each independently H, halogen, $NR_{13}R_{14}$, nitro, cyano, -OH, -O-C(=O)-R_{15}, -C(=O)-R_{15}, -C(=O)-O-R_{15}, -C(=O)-$NR_{13}R_{14}$, or substituted or unsubstituted: alkyl, cycloalkyl, aryl, heteroaryl, or heterocycloalkyl;

R_{13} and R_{14} are each independently H or an optionally substituted alkyl; and R_{15} is an optionally substituted alkyl;

p1, p2 and p3 are each independently 0, or an integer from 1 to 100;

x is 2.

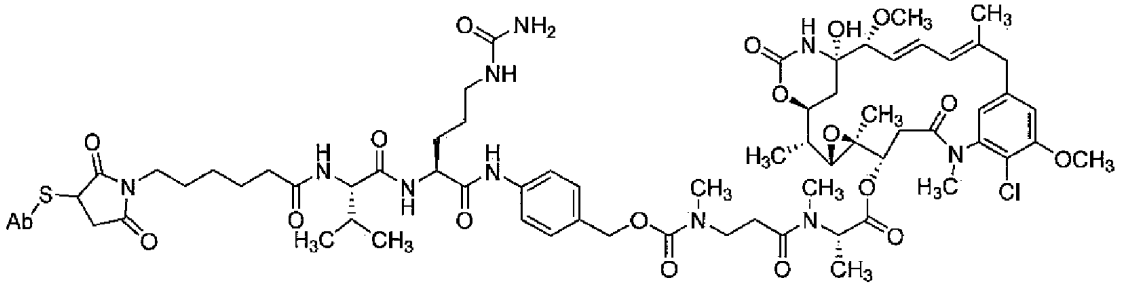
14. The compound of claim 13, wherein:

q is 4;

R_1 is –O-, -S-, NR_4, or -CR_5R_6-; and

R_4, R_5, R_6, R_9, R_{10}, R_{11}, and R_{12} are each independently H or alkyl.

15. The compound of claim 13, represented by the following structure:



wherein Ab is an antibody or a fragment thereof.

16. The compound of claim 1, wherein A is a peptide residue cleavable by a protease.

17. The compound of claim 1, wherein A is a peptide residue cleavable by a protease expressed in tumor tissue.

18. The compound of claim 17, wherein the protease is a cathepsin or a plasmin.

19. The pharmaceutical composition comprising a therapeutically effective amount of a compound of claim 1 or a pharmaceutically acceptable salt thereof and one or more pharmaceutically acceptable carriers, diluents, or excipients.

20. An *ex vivo* method of reducing, retarding or stopping an abnormal cell growth comprising contacting the abnormal cell with a compound of claim 1, in an amount sufficient to retard, reduce or stop the abnormal cell growth, and wherein the abnormal cell growth is retarded, reduced or stopped.

21. An *ex vivo* method of killing a cell, comprising contacting the cell with a compound of claim 1, in an amount sufficient to kill the cell, and wherein the cell is killed.

22. The method of claim 21, wherein the cell is a tumor cell.

23. Use of a composition comprising a compound of claim 1 in the manufacture of a medicament for treating a medical disorder in an individual suffering from the medical disorder; wherein the medical disorder is cancer.

24. The use of claim 23, wherein the individual is a mammal.

25. The use of claim 23, wherein the compound of claim 1 is to be administered sequentially or consecutively with an additional therapy.

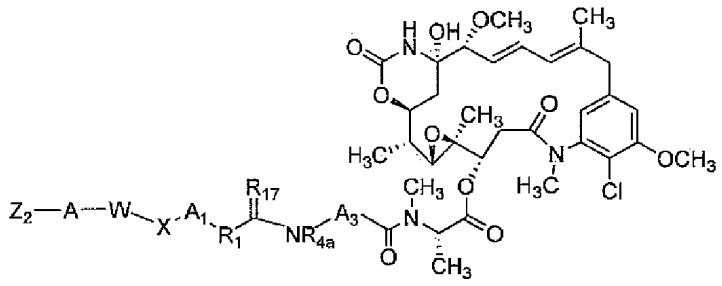
26. The use of claim 25, wherein additional therapy is radiation therapy, chemotherapy, or a combination of both.

27. The use of claim 23, wherein the compound of claim 1 is to be administered with at least one additional therapeutic agent.

28. Use of a composition comprising a compound of claim 1 in the manufacture of a medicament for reducing tumor size, stopping tumor size increase, reducing tumor

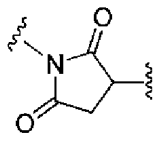
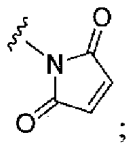
proliferation, or preventing tumor proliferation in an individual in need thereof comprising administering to the individual an effective amount of a composition to reduce tumor size, stop tumor size increase, reduce tumor proliferation, or prevent tumor proliferation.

29. A compound of the following formula



wherein:

Z_2 is represented by the following structural formula: $-Z_{2A}$-Z_{2B}-Z_{2C}-Z_{2D}, wherein Z_{2A}, Z_{2B}, Z_{2C} and Z_{2D} are each independently absent, an amino acid residue, a peptide residue having 2-20 amino acid residues, an alkylene, an alkynylene, an alkenylene, a cycloalkylene, an arylene, a heteroarylene, a heterocycloalkylene, $-CR_5R_6-$, -O-, -C(=O)-, -O-C(=O)-, -C(=O)-O-, -O-C(=O)-O-, $-C(=O)-(CH_x)_{p1}-$, $-C(=O)-O-(CH_x)_{p1}-$, $-(CH_x)_{p1}-C(=O)-$, $-(CH_x)_{p1}-C(=O)-O-$, $-(O-(CH_2)_{p2}-)_{p3}-$, $-((CH_2)_{p2}-O-)_{p3}-$, -C(=S)-, -C(=S)-S-, -C(=S)-NH-, -S-C(=S)-, -S-C(=S)-S-, -S-, -SO-, $-SO_2-$, $-NR_4-$, $-N(R_4)-C(=O)-N(R_8)-$, $-N(R_4)-C(=O)O-$, $-N(R_4)-C(=O)-$, $-C(=O)-N(R_4)-$, $-C(=O)-N(R_4)-C(=O)-$, $-O-C(=O)-N(R_4)-$, $-O-C(=S)-N(R_4)-$, $-C(=S)-N(R_4)-$, -N=C=S, -N=C=O,

 or ;

A is a natural or non-natural amino acid residue, or a peptide residue comprising 2-20 amino acid residues;

W is -O-, -S-, $-CR_5R_6-$, or $-NR_4-$;

X is a substituted or unsubstituted: arylene, heteroarylene, cycloalkylene, or heterocycloalkylene;

wherein A_1 and R_1 are each independently an amino acid residue, a peptide residue having 2-20 amino acid residues, an alkylene, an alkynylene, an alkenylene, a cycloalkylene, an

arylene, a heteroarylene, a heterocycloalkylene, $-CR_5R_6-$, -O-, -C(=O)-, -O-C(=O)-, -C(=O)-O-, -O-C(=O)-O-, $-C(=O)-(CH_x)_{p1}-$, $-C(=O)-O-(CH_x)_{p1}-$, $-(CH_x)_{p1}-C(=O)-$, $-(CH_x)_{p1}-C(=O)-O-$, $-(O-(CH_2)_{p2}-)_{p3}-$, $-((CH_2)_{p2}-O-)_{p3}-$, -C(=S)-, -C(=S)-S-, -C(=S)-NH-, -S-C(=S)-, -S-C(=S)-S-, -S-, -SO-, $-SO_2-$, $-NR_4-$, $-N(R_4)-C(=O)-N(R_8)-$, $-N(R_4)-C(=O)O-$, $-N(R_4)-C(=O)-$, $-C(=O)-N(R_4)-$, $-C(=O)-N(R_4)-C(=O)-$, or $-O-C(=O)-NR_4-$, wherein alkylene, alkynylene, alkenylene, cycloalkylene, arylene, heteroarylene, and heterocycloalkylene is optionally substituted;

A_3 is methylene, ethylene, 1-propylene, 2-propylene, or 1-butylene;

R_{17} is selected from the group consisting of O, S, NR_{18}, or CR_5R_6;

R_{18} is selected from the group consisting of H, alkyl, alkynyl, alkenyl, cycloalkyl, aryl, heteroaryl, heterocycloalkyl, and acyl, wherein alkyl, alkynyl, alkenyl, cycloalkyl, aryl, heteroaryl, heterocycloalkyl, and acyl are optionally substituted;

R_4, R_5, R_6 and R_8 are each independently H, or a substituted or unsubstituted: alkyl, alkenyl, alkynyl, aryl, heteroaryl, or heterocycloalkyl;

R_{4a} is methyl;

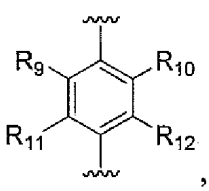
p1, p2 and p3 are each independently 0, or an integer from 1 to 100; and

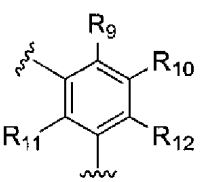
x is 2.

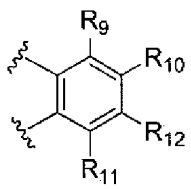
30. The compound of claim 29, wherein:

A is a peptide residue selected from the group consisting of valine-citrulline, citrulline-valine, lysine-phenylalanine, phenylalanine-lysine, valine-asparagine, asparagine-valine, threonine-asparagine, serine-asparagine, asparagine-serine, phenylalanine-asparagine, asparagine-phenylalanine, leucine-asparagine, asparagine-leucine, isoleucine-asparagine, asparagine-isoleucine, glycine-asparagine, asparagine-glycine, glutamic acid- asparagine, asparagine-glutamic acid, citrulline-asparagine, asparagine-citrulline, alanine-asparagine, and asparagine-alanine;

X is an arylene selected from the group consisting of



,


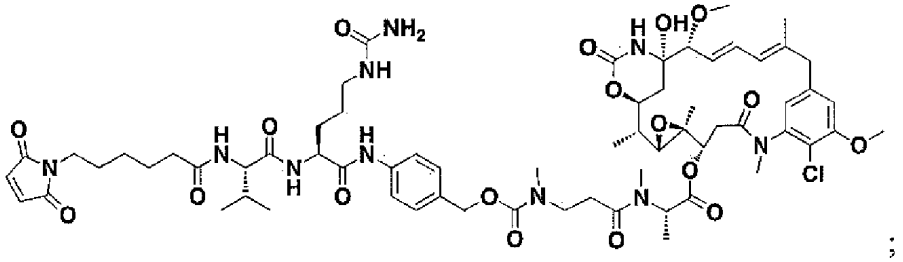
and


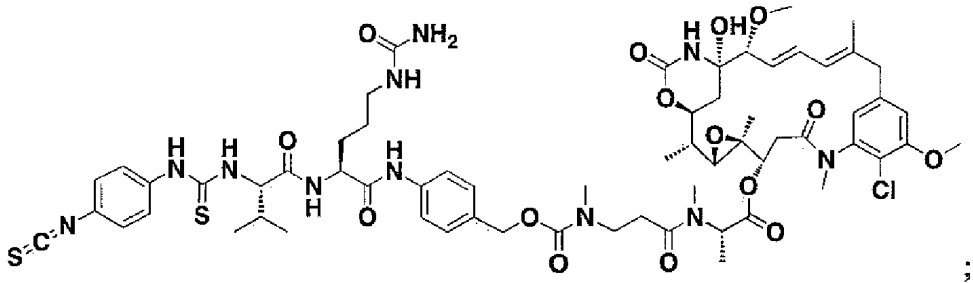
wherein R_9, R_{10}, R_{11}, and R_{12} are each independently H, an alkyl, cycloalkyl, aryl, heteroaryl, heterocycloalkyl, halogen, $NR_{13}R_{14}$, nitro, cyano, -OH, -O-C(=O)-R_{15}, -C(=O)-R_{15}, -C(=O)-O-R_{15}, or -C(=O)-$NR_{13}R_{14}$,

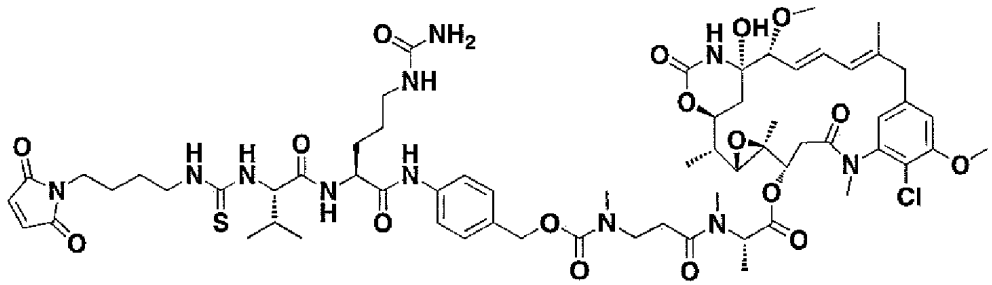
further wherein, alkyl, cycloalkyl, aryl, heteroaryl, and heterocycloalkyl are optionally substituted;

R_{13} and R_{14} are each independently H or an optionally substituted alkyl; and R_{15} is an optionally substituted alkyl; and

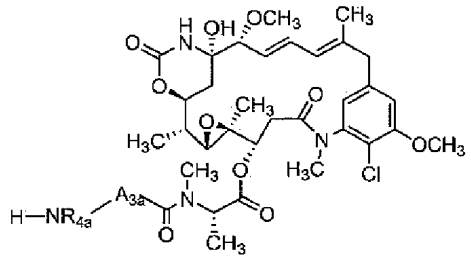
31. The compound of claim 29, having a structure selected from one member of the group consisting of:


;


; and


.

32. A compound of the following formula :



wherein:

A_{3a} is methylene, ethylene, 1-propylene, 2-propylene, or 1-butylene, an alkylene, an alkynylene, an alkenylene, a cycloalkylene, an arylene, a heteroarylene, a heterocycloalkylene, $-CR_5R_6-$, -O-, -C(=O)-, -O-C(=O)-, -C(=O)-O-, -O-C(=O)-O-, $-C(=O)-(CH_x)_{p1}-$, $-C(=O)-O-(CH_x)_{p1}-$, $-(CH_x)_{p1}-C(=O)-$, $-(CH_x)_{p1}-C(=O)-O-$, $-(O-(CH_2)_{p2}-)_{p3}-$, $-((CH_2)_{p2}-O-)_{p3}-$, -C(=S)-, -C(=S)-S-, -C(=S)-NH-, -S-C(=S)-, -S-C(=S)-S-, -S-, -SO-, $-SO_2-$, $-NR_4-$, $-N(R_4)-C(=O)-N(R_8)-$, $-N(R_4)-C(=O)O-$, $-N(R_4)-C(=O)-$, $-C(=O)-N(R_4)-$, $-C(=O)-N(R_4)-C(=O)-$, or $-O-C(=O)-NR_4-$, wherein alkylene, alkynylene, alkenylene, cycloalkylene, arylene, heteroarylene, and heterocycloalkylene are optionally substituted; and

p1, p2 and p3 are each independently 0, or an integer from 1 to 100;

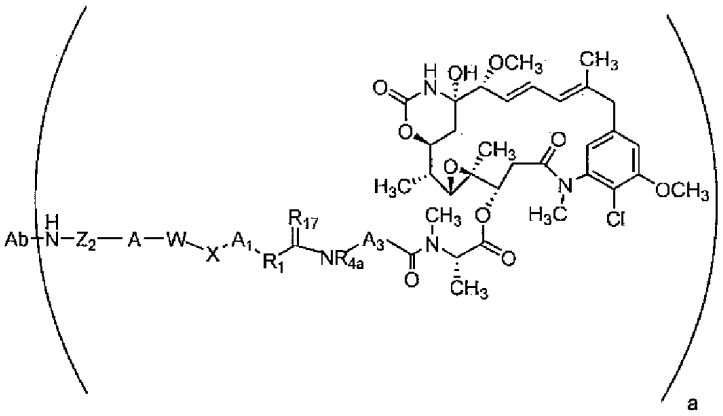
x is 2;

R_4, R_5, R_6 and R_8 are each independently H, or a substituted or unsubstituted: alkyl, alkenyl, alkynyl, aryl, heteroaryl, or heterocycloalkyl; and

R_{4a} is methyl.

33. The compound of claim 32 wherein the compound is about 10 fold less cytotoxic than the corresponding compound of claim 1.

34. A compound of formula (X):



wherein:

Ab is an antibody or a fragment thereof;

a is an integer from 1 to 10;

A is a natural or non-natural amino acid residue, or a peptide residue comprising 2-20 amino acid residues;

W is, -O-, -S-, $-CR_5R_6-$,or $-NR_4-$;

X is arylene, heteroarylene, cycloalkylene, or heterocycloalkylene, wherein arylene, heteroarylene, cycloalkylene, and heterocycloalkylene are optionally substituted;

A_1, and R_1 are each independently an amino acid residue, a peptide residue having 2-20 amino acid residues, an alkylene, an alkynylene, an alkenylene, a cycloalkylene, an arylene, a heteroarylene, a heterocycloalkylene, $-CR_5R_6-$, -O-, -C(=O)-, -O-C(=O)-, -C(=O)-O-, -O-C(=O)-O-, $-C(=O)-(CH_x)_{p1}-$, $-C(=O)-O-(CH_x)_{p1}-$, $-(CH_x)_{p1}-C(=O)-$, $-(CH_x)_{p1}-C(=O)-O-$, $-(O-(CH_2)_{p2}-)_{p3}-$, $-((CH_2)_{p2}-O-)_{p3}-$, -C(=S)-, -C(=S)-S-, -C(=S)-NH-, -S-C(=S)-, -S-C(=S)-S-, -S-, -SO-, $-SO_2-$, $-NR_4-$, $-N(R_4)-C(=O)-N(R_8)-$, $-N(R_4)-C(=O)O-$, $-N(R_4)-C(=O)-$, $-C(=O)-N(R_4)-$, $-C(=O)-N(R_4)-C(=O)-$, or $-O-C(=O)-NR_4-$, wherein alkylene, alkynylene, alkenylene, cycloalkylene, arylene, heteroarylene, and heterocycloalkylene are optionally substituted;

A_3 is methylene, ethylene, 1-propylene, 2-propylene, or 1-butylene;

R_{17} is selected from the group consisting of O, S, NR_{18}, or CR_5R_6;

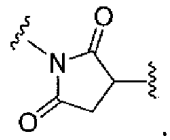
R_{18} is selected from the group consisting of H, alkyl, alkynyl, alkenyl, cycloalkyl, aryl, heteroaryl, heterocycloalkyl, and acyl, wherein alkyl, alkynyl, alkenyl, cycloalkyl, aryl, heteroaryl, heterocycloalkyl, and acyl are optionally substituted;

R_4, R_5, R_6 and R_8 are each independently H, or a substituted or unsubstituted: alkyl, alkenyl, alkynyl, aryl, heteroaryl, or heterocycloalkyl;

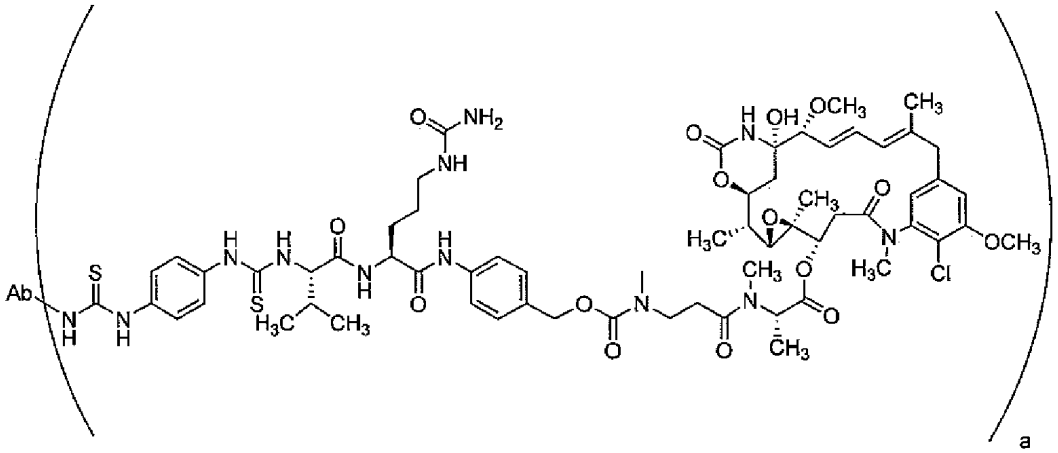
R_{4a} is methyl;

p1, p2 and p3 are each independently 0, or an integer from 1 to 100;

x is 2; and

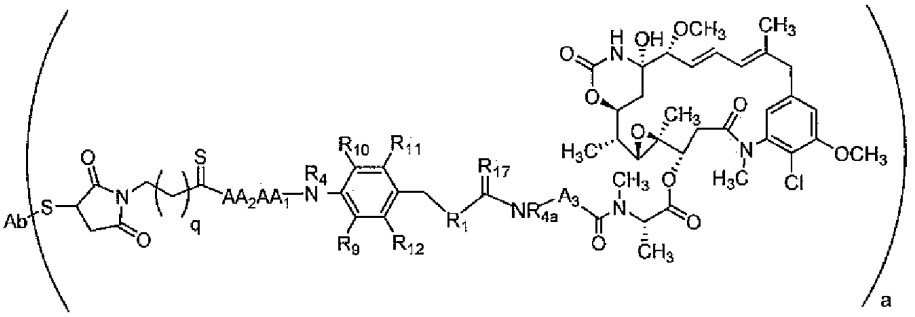
Z_2 is represented by the following structural formula: $-Z_{2A}-Z_{2B}-Z_{2C}-Z_{2D}$, wherein Z_{2A}, Z_{2B}, Z_{2C} and Z_{2D} are each independently absent, an amino acid residue, a peptide residue having 2-20 amino acid residues, an alkylene, an alkynylene, an alkenylene, a cycloalkylene, an arylene, a heteroarylene, a heterocycloalkylene, $-CR_5R_6-$, -O-, -C(=O)-, -O-C(=O)-, -C(=O)-O-, -O-C(=O)-O-, $-C(=O)-(CH_x)_{p1}-$, $-C(=O)-O-(CH_x)_{p1}-$, $-(CH_x)_{p1}-C(=O)-$, $-(CH_x)_{p1}-C(=O)-O-$, $-(O-(CH_2)_{p2}-)_{p3}-$, $-((CH_2)_{p2}-O-)_{p3}-$, -C(=S)-, -C(=S)-S-, -C(=S)-NH-, -S-C(=S)-, -S-C(=S)-S-, -S-, -SO-, $-SO_2-$, $-NR_4-$, $-N(R_4)-C(=O)-N(R_8)-$, $-N(R_4)-C(=O)O-$, $-N(R_4)-C(=O)-$, $-C(=O)-N(R_4)-$, $-C(=O)-N(R_4)-C(=O)-$, $-O-C(=O)-N(R_4)-$, $-O-C(=S)-N(R_4)-$, $-C(=S)-N(R_4)-$, or .

35. The compound of claim 34 represented by the following structure:



wherein a is an integer from 1 to 10.

36. The compound of claim 8 having the following formula :



wherein:

Ab is an antibody or a fragment thereof;

AA_1-AA_2 is a peptide residue selected from the group consisting of valine-citrulline, citrulline-valine, lysine-phenylalanine, phenylalanine-lysine, valine-asparagine, asparagine-valine, threonine-asparagine, serine-asparagine, asparagine-serine, phenylalanine-asparagine, asparagine-phenylalanine, leucine-asparagine, asparagine-leucine, isoleucine-asparagine, asparagine-isoleucine, glycine-asparagine, asparagine-glycine, glutamic acid- asparagine, asparagine-glutamic acid, citrulline-asparagine, asparagine-citrulline, alanine-asparagine, and asparagine-alanine;

a is an integer from 1 to 10;

q is 0 or an integer from 1 to 5;

R_1 is an amino acid residue, a peptide residue having 2-20 amino acid residues, an alkylene, an alkynylene, an alkenylene, a cycloalkylene, an arylene, a heteroarylene, a heterocycloalkylene, $-CR_5R_6-$, -O-, -C(=O)-, -O-C(=O)-, -C(=O)-O-, -O-C(=O)-O-, $-C(=O)-(CH_x)_{p1}-$, $-C(=O)-O-(CH_x)_{p1}-$, $-(CH_x)_{p1}-C(=O)-$, $-(CH_x)_{p1}-C(=O)-O-$, $-(O-(CH_2)_{p2}-)_{p3}-$, $-((CH_2)_{p2}-O-)_{p3}-$, -C(=S)-, -C(=S)-S-, -C(=S)-NH-, -S-C(=S)-, -S-C(=S)-S-, -S-, -SO-, $-SO_2-$, $-NR_4-$, $-N(R_4)-C(=O)-N(R_8)-$, $-N(R_4)-C(=O)O-$, $-N(R_4)-C(=O)-$, $-C(=O)-N(R_4)-$, $-C(=O)-N(R_4)-C(=O)-$, or $-O-C(=O)-NR_4-$, wherein alkylene, alkynylene, alkenylene, cycloalkylene, arylene, heteroarylene, and heterocycloalkylene are optionally substituted;

A_3 is methylene, ethylene, 1-propylene, 2-propylene, or 1-butylene;

R_{17} is selected from the group consisting of O, S, NR_{18}, or CR_5R_6;

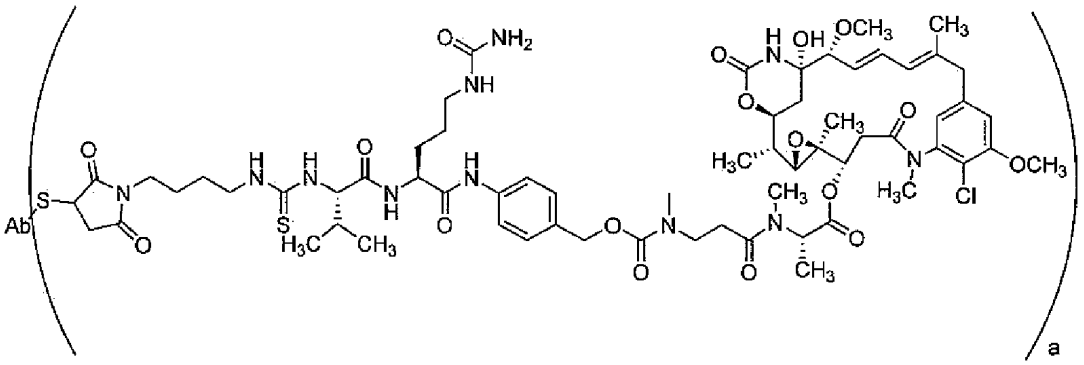
R_4, R_5, R_6, and R_8 are each independently H, or a substituted or unsubstituted: alkyl, alkenyl, alkynyl, aryl, heteroaryl, and heterocycloalkyl;

R_{4a} is methyl;

R_9, R_{10}, R_{11}, and R_{12} are each independently H, halogen, $NR_{13}R_{14}$, nitro, cyano, -OH, -O-C(=O)-R_{15}, -C(=O)-R_{15}, -C(=O)-O-R_{15}, -C(=O)-$NR_{13}R_{14}$, or substituted or unsubstituted: alkyl, cycloalkyl, aryl, heteroaryl, and heterocycloalkyl;

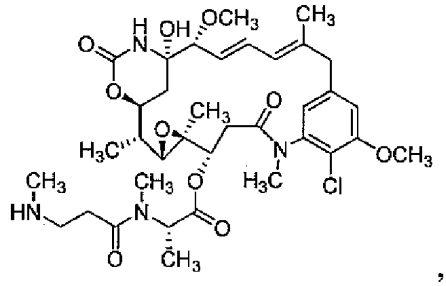
R_{13} and R_{14} are each independently H or an optionally substituted alkyl; and R_{15} is an optionally substituted alkyl.

37. The compound of claim 36 represented by the following structure:

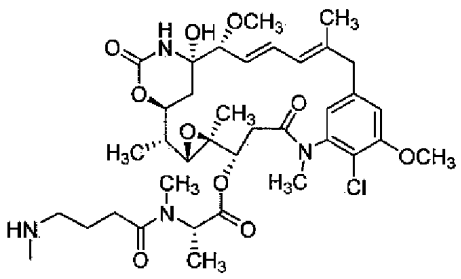


wherein a is an integer from 1 to 10.

38. The compound of claim 32, having a structure selected from one member of the group consisting of

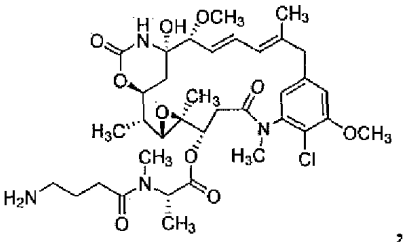
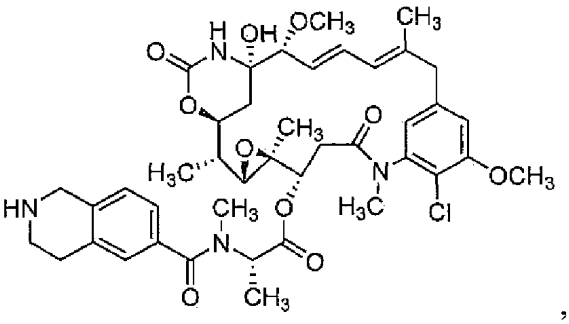
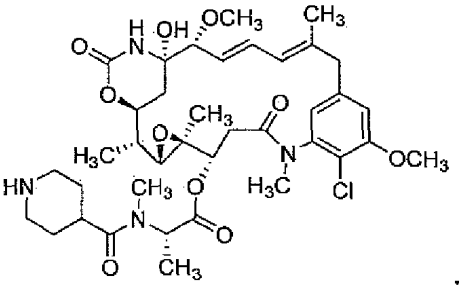


, and

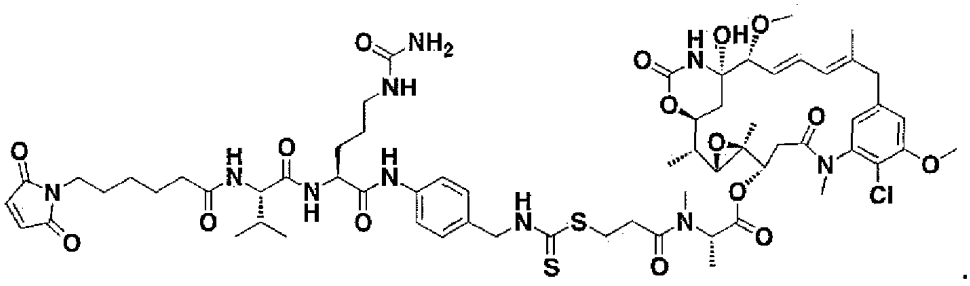


.

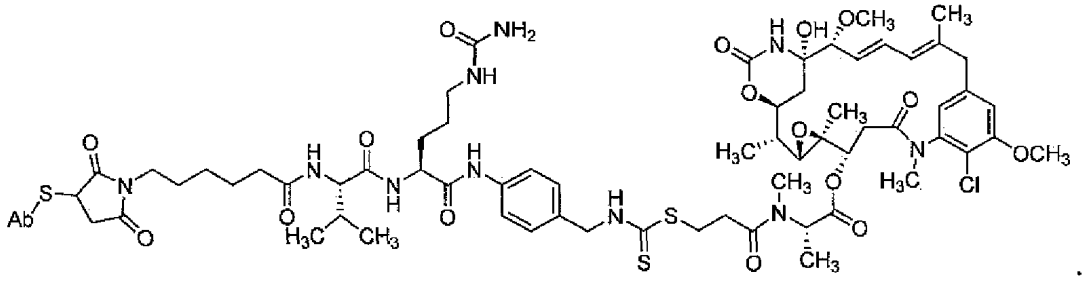
39. A compound having a structure selected from one member of the group consisting of


,

, and

.

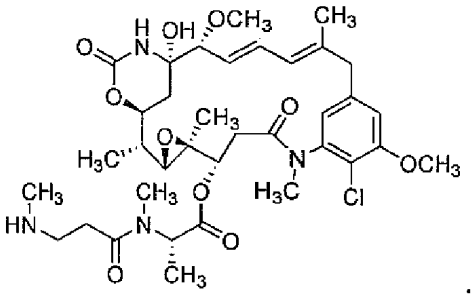
40. A compound having the following structure:


.

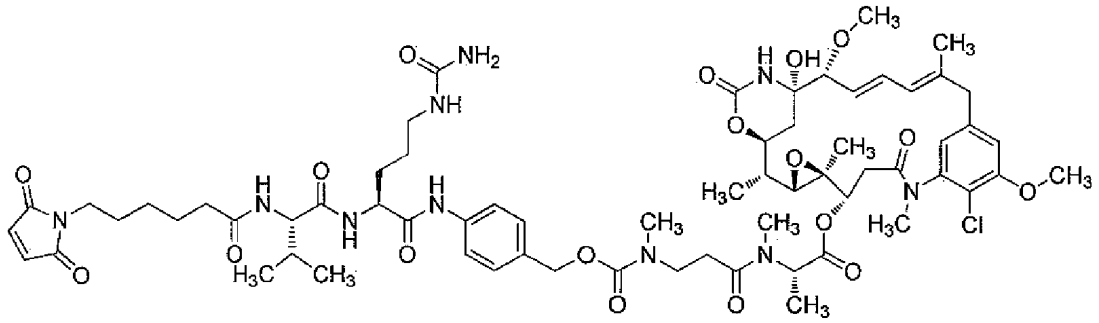
41. A compound having the following structure:


.

42. A compound having the following structure:



43. A compound having the following structure:



44. An antibody-drug conjugate comprising an antibody or antigen-binding fragment thereof conjugated to a payload of the following formula:

