CLAIMS

1. A compound represented by the general formula (I) or (I'):



(I) (I')

wherein, in formulae (I) and (I'),
W represents a moiety represented by the following formula (III):



(III)

wherein

W_1 represents a moiety derived from a drug that differs from a native drug only by virtue of the covalent attachment to Dxx as shown in formula (III), if the drug is an auristatin analog, the auristatin analog is auristatin Phe (AF), auristatin Cit (ACit), auristatin Arg (AArg), auristatin Lys (ALys), auristatin Orn (AOrn), auristatin Dab (ADab) or auristatin Dap (ADap), preferably AF;

Dxx represents a single covalent bond or an amino acid having a hydrophobic side chain, preferably an amino acid selected from Phe, Val, Tyr, homo-Phe and Ala, preferably Phe or Val, wherein the single covalent bond or amino acid having a hydrophobic side chain is optionally attached to moiety W_1 via a divalent moiety selected from maleimides, triazoles, hydrazones, carbonyl-containing groups, and derivatives thereof, preferably via a divalent maleimide derivative;

Dyy represents a single covalent bond, Phe or an amino acid having a basic side chain, preferably an amino acid selected from Arg, Lys, Citrulline (Cit), Ornithine (Orn), 2,3-diamino-propionic acid (Dap), 2,4-diamino-butyric acid (Dab), more preferably Arg or Cit;

with the proviso that if Dxx is an amino acid having a hydrophobic side chain, Dyy is Phe or an amino acid having a basic side chain, and if Dxx is

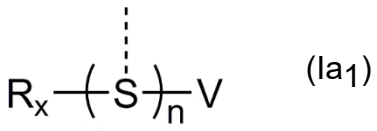
a single covalent bond, Dyy is a single covalent bond, Phe or an amino acid with a basic side chain, preferably Arg or Cit;

and the broken line indicates covalent attachment to the N-terminus of Axx in formula (I) or the N-terminus of Ayy in formula (I');

Axx represents a trifunctional amino acid such as an amino-dicarboxylic acid or a diamino-carboxylic acid; with the proviso that Axx in formula (I) is not an amino acid in the (D) configuration;

Ayy represents an amino acid selected from Phe, Ala, Trp, Tyr, Phenylglycine (Phg), Met, Val, His, Lys, Arg, Cit, 2-amino-butyric acid (Abu), Orn, Ser, Thr, Leu and Ile; or Ayy in formula (I) represents an amino acid selected from homo-tyrosine (homo-Tyr), homo-phenylalanine (homo-Phe), beta-phenylalanine (beta-Phe) and beta-homo-phenylalanine (beta-homo-Phe), Tyr(OR_1) and homo-Tyr(OR_1) wherein R_1 is $–(CH_2CH_2O)_{n1}$-R_2, wherein R_2 is a hydrogen atom or a methyl group and n1 is an integer of 2 to 24; with the proviso that Ayy in formula (I') is not an amino acid in the (D) configuration;

T is a moiety being represented by the following formula (Ia_1):



(Ia_1)

wherein, in formula (Ia_1),
S represents a group containing one or more atoms selected from carbon, nitrogen, oxygen, and sulfur;
V represents a moiety derived from a vector group capable of interacting with a target cell;
n is an integer of 1 to 10;
R_X is an atom or group which is optionally present to saturate a free valency of S, if present;
and the broken line indicates covalent attachment to the side chain of Axx; if n is more than 1, each broken line represents a covalent bond to an individual, separate group of formula (I) or formula (I'), wherein multiple groups of formula (I) or formula (I') can be the same or different; if n is more than 1, each S can be the same or different;

Z represents a group covalently bonded to the C-terminus of Ayy or Axx selected from –OH; -N(H)(R), wherein R represents a hydrogen atom, an alkyl group, a cycloalkyl group or an aromatic group; and a labeling agent such as a coumarin derivative.

2. A compound represented by the general formula (I) or (I'):



(I) (I')

wherein, in formulae (I) and (I'),

W represents a moiety represented by the following formula (III):



(III)

wherein

W_1 represents a moiety derived from a drug with the proviso that W_1 is not an auristatin analog;

Dxx represents a single covalent bond or an amino acid having a hydrophobic side chain, preferably an amino acid selected from Phe, Val, Tyr, homo-Phe and Ala, more preferably Phe or Val, wherein the single covalent bond or amino acid having a hydrophobic side chain is optionally attached to moiety W_1 via a divalent moiety selected from maleimides, triazoles, hydrazones, carbonyl-containing groups, and derivatives thereof, preferably via a divalent maleimide derivative;

Dyy represents a single covalent bond, Phe or an amino acid having a basic side chain, preferably an amino acid selected from Arg, Lys, Cit, Orn, Dap, and Dab, more preferably Arg or Cit;

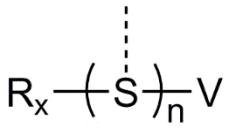
with the proviso that if Dxx is an amino acid having a hydrophobic side chain, Dyy is Phe or an amino acid having a basic side chain, and if Dxx is a single covalent bond, Dyy is a single covalent bond, Phe or an amino acid having a basic side chain, preferably Arg or Cit;

and the broken line indicates covalent attachment to the N-terminus of Axx in formula (I), or the N-terminus of Ayy in formula (I');

Axx represents a trifunctional amino acid such as an amino-dicarboxylic acid or a diamino-carboxylic acid; with the proviso that Axx in formula (I) is not an amino acid in the (D) configuration;

Ayy represents an amino acid selected from Phe, Ala, Trp, Tyr, Phg, Met, Val, His, Lys, Arg, Cit, Abu, Orn, Ser, Thr, Leu and Ile; or Ayy in formula (I) represents an amino acid selected from homo-Tyr, homo-Phe, beta-Phe and beta-homo-Phe), Tyr(OR_1) and homo-Tyr(OR_1) wherein R_1 is $–(CH_2CH_2O)_{n1}$-R_2, wherein R_2 is a hydrogen atom or a methyl group and n1 is an integer of 2 to 24; with the proviso that Ayy in formula (I') is not an amino acid in the (D) configuration;

T is a moiety being represented by the following formula (Ia_1):



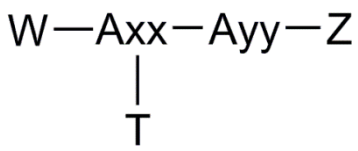
(Ia_1)

wherein, in formula (Ia_1),
S represents a group containing one or more atoms selected from carbon, nitrogen, oxygen, and sulfur;
V represents a moiety derived from a vector group capable of interacting with a target cell;
n is an integer of 1 to 10;
R_X is an atom or group which is optionally present to saturate a free valency of S, if present;
and the broken line indicates covalent attachment to the side chain of Axx; if n is more than 1, each broken line represents a covalent bond to an individual, separate group of formula (I) or formula (I'), wherein multiple groups of formula (I) or formula (I') can be the same or different; if n is more than 1, each S can be the same or different;

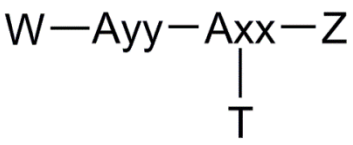
Z represents a group covalently bonded to the C-terminus of Ayy or Axx selected from –OH; -N(H)(R), wherein R represents a hydrogen atom, an alkyl

group, a cycloalkyl group or an aromatic group; and a labeling agent such as a coumarin derivative.

3. A compound represented by the general formula (I) or (I'):

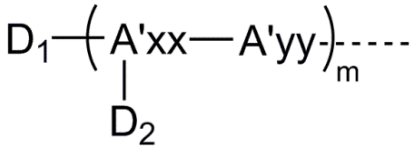


(I)

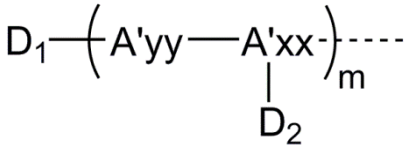


(I')

wherein, in formulae (I) and (I'),
W represents a peptide moiety represented by formula (Ia), (Ia') or (Ib):



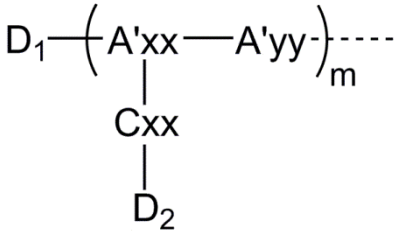
(Ia)



(Ia')

wherein, in formulae (Ia) and (Ia'),
A'yy represents an amino acid selected from Phe, Ala, Trp, Tyr, Phg, Met, Val, His, Lys, Arg, Cit, Abu, Orn, with the proviso that A'yy in formula (Ia') is not an amino acid in the (D) configuration;
D_1 represents a moiety derived from a drug;
m is an integer of 1 to 10;
if m=1, then A'xx represents a trifunctional amino acid such as an amino dicarboxylic acid or a diamino carboxylic acid with the proviso that A'xx in formula (Ia) is not an amino acid in the (D) configuration, D_2 represents a moiety derived from a drug, optionally a moiety derived from the same drug as D_1;
if m is more than 1, then each D_2 is independently selected from a hydrogen atom and moieties derived from a drug, wherein multiple moieties D_2 can be the same or different with the proviso that at least one D_2 is not a hydrogen atom, if D_2 is a hydrogen atom then A'xx represents an amino acid with the proviso that A'xx in formula (Ia) is not an amino acid in the (D) configuration, if D_2 is a moiety derived from a drug, then A'xx represents a trifunctional amino with the proviso that A'xx in formula (Ia) is not an amino acid in the (D) configuration;

and the broken line indicates covalent attachment to the N-terminus of Axx or Ayy;



(Ib)

wherein, in formula (Ib),

A'yy represents an amino acid selected from Phe, Ala, Trp, Tyr, Phg, Met, Val, His, Lys, Arg, Cit, Abu, Orn;

D_1 represents a moiety derived from a drug;

m is an integer of 1 to 10;

if m=1, then A'xx represents a trifunctional amino acid selected from Glu, α-amino adipic acid (Aaa), Dap, Dab, Ser, Thr, homo-serine (homo-Ser), homo-threonine (homo-Thr) and amino-malonic acid (Ama) with the proviso that A'xx is not an amino acid in the (D) configuration;

D_2 represents a moiety derived from a drug, optionally a moiety derived from the same drug as D_1, Cxx represents a single covalent bond unless A'xx is Ama, if A'xx is Ama, Cxx represents (L)- or (D)-Pro, or an N-methyl amino acid such as sarcosine (Sar), the N-terminus of Cxx binds to a carboxyl end of Ama and the C-terminus of Cxx binds to a moiety D_2;

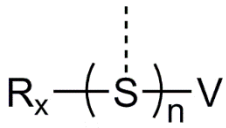
if m is more than 1, then each D_2 is independently selected from a hydrogen atom and moieties derived from a drug, wherein multiple moieties D_2 can be the same or different with the proviso that at least one D_2 is not a hydrogen atom, if D_2 is a hydrogen atom then A'xx represents an amino acid with the proviso that A'xx is not in the (D) configuration and Cxx represents a single covalent bond, if D_2 is a moiety derived from a drug then A'xx represents an amino acid selected from Glu, Aaa, Dap, Dab, Ser, Thr, homo-Ser, Homo-Thr and Ama with the proviso that A'xx is not an amino acid in the (D) configuration, Cxx represents a single covalent bond unless A'xx is Ama, if A'xx is Ama, Cxx represents (L)- or (D)-Pro, or an N-methyl amino acid such as Sar wherein the N-terminus of Cxx binds to a carboxyl end of Ama and the C-terminus of Cxx binds to moiety D_2;

and the broken line indicates covalent attachment to the N-terminus of Axx or Ayy;

Axx represents a trifunctional amino acid such as an amino-dicarboxylic acid or a diamino-carboxylic acid; with the proviso that Axx in formula (I) is not an amino acid in the (D) configuration;

Ayy represents an amino acid selected from Phe, Ala, Trp, Tyr, Phg, Met, Val, His, Lys, Arg, Cit, Abu, Orn, Ser, Thr, Leu and Ile; or Ayy in formula (I) represents an amino acid selected from homo-Tyr, homo-Phe, beta-Phe and beta-homo-Phe, Tyr(OR_1) and homo-Tyr(OR_1) wherein R_1 is $–(CH_2CH_2O)_{n1}$-R_2, wherein R_2 is a hydrogen atom or a methyl group and n1 is an integer of 2 to 24; with the proviso that Ayy in formula (I') is not an amino acid in the (D) configuration;

T is a moiety being represented by the following formula (Ia_1):



(Ia_1)

wherein, in formula (Ia_1),
S represents a group containing one or more atoms selected from carbon, nitrogen, oxygen, and sulfur;
V represents a moiety derived from a vector group capable of interacting with a target cell;
n is an integer of 1 to 10;
R_X is an atom or group which is optionally present to saturate a free valency of S, if present;
and the broken line indicates covalent attachment to the side chain of Axx; if n is more than 1, each broken line represents a covalent bond to an individual, separate group of formula (I) or formula (I'), wherein multiple groups of formula (I) or formula (I') can be the same or different; if n is more than 1, each S can be the same or different;

Z represents a group covalently bonded to the C-terminus of Ayy or Axx selected from –OH; -N(H)(R), wherein R represents a hydrogen atom, an alkyl group, a cycloalkyl group or an aromatic group; and a labeling agent such as a coumarin derivative.

4. The compound of any of claims 1 to 3, wherein at least one of Axx and Ayy is defined as follows:

Axx represents an amino acid selected from Glu, 2-amino-pimelic acid (Apa), Aaa, Dap, Dab, Lys, Orn, Ser, Ama, and homo-lysine (homo-Lys), preferably an amino acid selected from Dap, Dab, Lys, Orn and homo-Lys;

Ayy in formula (I) represents an amino acid selected from Phe, homo-Phe, Ala, Trp, Phg, Leu, Val, Tyr, homo-Tyr, Tyr(OR_1) and homo-Tyr(OR_1) wherein R_1 is $–(CH_2CH_2O)_{n1}$-R_2, wherein R_2 is a hydrogen atom or a methyl group and n1 is an integer of 2 to 24, preferably Phe, homo-Phe, Tyr, homo-Tyr, Tyr(OR_1) or homo-Tyr(OR_1), more preferably Phe or Tyr;

Ayy in formula (I') represents an amino acid selected from Phe, homo-Phe, Ala, Trp, Phg, Leu, Val, Tyr and Ser, preferably Phe, home-Phe or Ser, more preferably Phe or Ser.

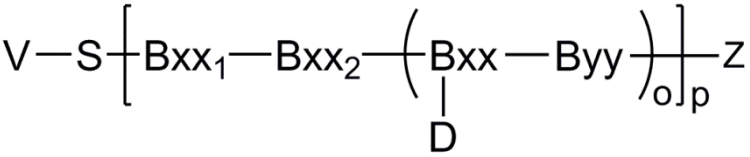
5. The compound of claim 3 or 4, wherein at least one of A'xx, A'yy and m is defined as follows:

A'xx in formulae (Ia) and (Ia') represents an amino acid selected from Dap, Dap, Lys, Orn and homo-Lys, preferably Lys;

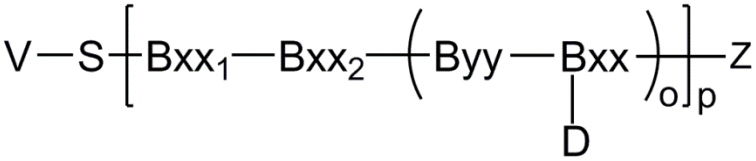
A'yy in formulae (Ia), (Ia') and (Ib) represents an amino acid selected from Phe, Ala, Trp, Phg and Tyr, preferably Phe or Tyr;

m is an integer of 1 to 4.

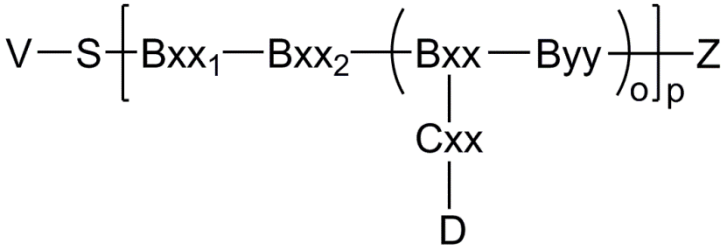
6. A compound represented by one of the following general formulae (II), (II') and (IIa):



(II)



(II')



(IIa)

wherein,

D represents a moiety derived from a drug; if o*p > 1 one or more D's may be hydrogen or a solubilizing group such as $–(CH_2CH_2O)_{n1}- R_2$, wherein R_2 is a hydrogen atom or a methyl group and n1 is an integer of 2 to 24, with the proviso that at least one D represents a moiety derived from a drug;

Bxx in formulae (II) and (II') represents a trifunctional amino acid such as an amino-dicarboxylic acid or a diamino-carboxylic acid; with the proviso that Bxx in formula (II) is not an amino acid in the (D) configuration;

Bxx in formula (IIa) represents a carboxylic amino acid such as Ama, Glu, Aaa, Apa or a trifunctional amino acid selected from Dap, Dab, Ser, Thr, Lys, Orn, homoLys, homoSer and homoThr; with the proviso that Bxx is not an amino acid in the (D) configuration; Cxx represents a single covalent bond unless Bxx is Ama; if Bxx is Ama, Cxx represents (L)- or (D)-Pro, or an N-methyl amino acid such as Sar, the N-terminus of Cxx binds to a carboxyl end of Ama and the C-terminus of Cxx binds to moiety D;

in those instances where Bxx in formulae (II), (II') and (IIa) carries a hydrogen as D group, Bxx may be any other amino acid, with the proviso that Bxx in formulae (II) and (IIa) is not an amino acid in the (D) configuration;

Byy represents an amino acid selected from Phe, homo-Phe, Ala, Trp, Tyr, Phg, Val, His, Lys, Abu, Met, Cit, Orn, Ser, Thr, Leu, Ile, Arg and Tyr(OR_1) wherein R_1 is $–(CH_2CH_2O)_{n1}- R_2$, wherein R_2 is a hydrogen atom or a methyl group and n1 is an integer of 2 to 24; or Byy in formulae (II) and (IIa) represents an amino acid selected from homo-Tyr, homo-Tyr(OR_1), homo-Phe, beta-Phe and beta-homo-Phe; with the proviso that Byy in formula (II') is not an amino acid in the (D) configuration and with the proviso that if o*p>1, only the C-terminal Byy in formulae (II) and (IIa) may represent an amino acid selected from beta-Phe and beta-homo-Phe;

Bxx_1 represents a single covalent bond or an amino acid having a hydrophobic or basic side chain;

Bxx_2 represents an amino acid having a hydrophobic or basic side chain;

S and V are as defined in claim 1;

Z is covalently bonded to the C-terminus of Byy in formulae (II) and (IIa) and the C-terminus of Bxx in formula (II'), and represents a group selected from -OH; -N(H)(R), R being as defined in claim 1; and a labelling agent; and

o and p each independently is an integer of 1 to 10, if p is more than 1, Bxx_1 is not an amino acid in the (D) configuration; if p is more than 1 and/or if o is more than 1, then each D can be independently selected from moieties derived from a drug.

7. The compound of claim 6, wherein at least one of Bxx_1, Bxx_2, Bxx, Byy, o and p is defined as follows:

Bxx_1 represents a single covalent bond or an amino acid selected from Phe, homo-Phe, Phg, Val, Ser, Tyr, Ala, Leu, Ile; preferably an amino acid selected from Phe, homo-Phe, Tyr and Val, more preferably Phe, homo-Phe or Tyr;

Bxx_2 represents an amino acid selected from Arg, Lys, Cit, Val, Leu, Ser, Ala, Gly, His, Gln, Phg and Phe; preferably an amino acid selected from Arg, Lys, Cit and Phe, more preferably Arg or Cit;

Bxx in formulae (II) and (II') represents an amino acid selected from Dap, Dab, Lys, Orn, Ser, Glu, Ama, Thr, Tyr, Aaa, homo-Ser and homo-Thr; preferably Lys or Dab, more preferably Lys;

Byy represents Cit, Phe, homo-Phe, Ser, Trp, Tyr or Tyr(OR_1) wherein R_1 is $–(CH_2CH_2O)_{n1}-R_2$, wherein R_2 is a hydrogen atom or a methyl group and n1 is an integer of 2 to 24, preferably Phe, Tyr or Tyr(OR_1); if o*p>1, Byy represents preferably Tyr or Tyr(OR_1); and

o and p each independently is an integer of 1 to 4.

8. The compound of any of claims 1 to 7, wherein in formulae (Ia_1), (II), (II') and (IIa),

S represents a divalent group selected from a divalent alkylene group, a divalent alkenylene group, a divalent alkynylene group, and a divalent polyalkylene oxide;

preferably a divalent group having formula $–(CH_2)_q$-Azz_5-, or -$(OCH_2CH_2)_q$-Azz_5-; wherein q is an integer of 1 to 50; and Azz_5 is absent, or represents a solubilizing group preferably selected from an amino acid such as Arg or (D)-Arg and a divalent group containing an ammonium group, a sulfate group, a sulfonate group or a pyrophosphate diester group.

9. The compound of any of claims 1 to 8, wherein in formulae (Ia_1), (II), (II') and (IIa),

S represents a divalent group having formula -$(CH_2)_q$-Azz_5-Y-, or a divalent group having formula -$(OCH_2CH_2)_q$-Azz_5-Y-;

wherein Y represents a divalent moiety covalently bonded to the C-terminus of Azz_5 and to moiety V; if Azz_5 is absent, Y is covalently bonded to the alkyl group or polyethylene oxide group and to moiety V; Y is derived from a compound selected from maleimides, triazoles, especially 1,2,3-triazole, hydrazones, carbonyl-containing groups, and derivatives thereof, preferably from maleimides and derivatives thereof; q is an integer of 1 to 50; and Azz_5 is as defined in claim 8.

10. The compound of claim 1, 2 or 3, wherein the compound of formula (I) and formula (Ia) is selected from W_1-Arg-Lys(T)-Phe-Z, W_1-Arg-Lys(T)-homoPhe-Z, W_1-Cit-Lys(T)-Phe-Z, W_1-Cit-Lys(T)-Tyr-Z, W_1-Cit-Lys(T)-homoTyr-Z, W_1-Lys(T)-Phe-Z, W_1-Lys(T)-Tyr-Z, W_1-Lys(T)-homoTyr-Z, W_1-Mal-Phe-Cit-Lys(T)-Phe-Z, W_1-Mal-Phe-Cit-Lys(T)-Tyr-Z, W_1-Mal-Phe-Cit-Lys(T)-homoTyr-Z, W_1-Mal-Phe-Lys-Lys(T)-Phe-Z, W_1-Mal-homoPhe-Arg-Lys(T)-Phe-Z, W_1-Mal-homoPhe-Cit-Lys(T)-Tyr-Z, W_1-Mal-homoPhe-Cit-Lys(T)-Tyr(OR_1)-Z with R_1 $–(CH_2CH_2O)_{n1}$-R_2, wherein R_2 is a hydrogen atom or a methyl group and n1 is an integer of 2 to 24 e.g. 12, W_1-Mal-Cit-Lys(T)-Tyr-Z, W_1-Mal-Cit-Lys(T)-homoTyr-Z, W_1-Mal-Arg-Lys(T)-homoTyr-Z, W_1-Cit-(Lys(D_2)-Phe)$_m$-Lys(T)-Phe-Z, W_1-Cit-(Lys(D_2)-Phe)$_m$-Lys(T)-homoTyr-Z, W_1-

Cit-(Lys(D_2)-Phe)$_m$-Lys(T)-Tyr(OR_1)-Z with R_1 –(CH_2CH_2O)$_{n1}$-R_2, wherein R_2 is a hydrogen atom or a methyl group and n1 is an integer of 2 to 24 e.g. 12, W_1-(Lys(D_2)-Phe)$_m$-Lys(T)-Phe-Z, W_1-Phe-(Phe-Lys(D_2))$_m$-Lys(T)-Tyr-Z, W_1-(Phe-Lys(D_2))$_m$-Lys(T)-Tyr-Z, W_1-Phe-(Phe-Lys(D_2))$_m$-Lys(T)-homoTyr-Z and W_1-Arg-(Phe-Lys(D_2))$_m$-Lys(T)- Tyr(OR_1)-Z;

and the compound of formula (I') is selected from W_1-Arg-Phe-Lys(T)-Z, W_1-Arg-Ser-Lys(T)-Z, W_1-Cit-Phe-Lys(T)-Z, W_1-Cit-Ser-Lys(T)-Z, W_1-Cit-homoPhe-Lys(T)-Z, W_1-Phe-Lys(T)-Z, W_1-Ser-Lys(T)-Z, W_1-Mal-Phe-Cit-Phe-Lys(T)-Z, W_1-Mal-homoPhe-Cit-Phe-Lys(T)-Z, W_1-Mal-Phe-Arg-Phe-Lys(T)-Z, W_1-Mal-Cit-Phe-Lys(T)-Z, W_1-Mal-Phe-Ser-Lys(T)-Z, W_1-Mal-Ala-Phe-Lys(T)-Z, W_1-Mal-Cit-Ser-Lys(T)-Z and W_1-Mal-Arg-homoPhe-Lys(T)-Z.

wherein W_1, T, Z, D_2 and m have the same meanings as specified in claim 1, 2 or 3; and Z is preferably -OH.

11. The compound of claim 6 which is selected from from V-S-Phe-Arg-Phe-Lys(D)-Ser-Lys(D)-Z, V-S-Phe-Arg-(Phe-Lys(D))$_o$-Z, V-S-Phe-Arg-(Ser-Lys(D))$_o$-Z, V-S-Phe-Arg-(Tyr(OR_1)-Lys(D))$_o$-Z, V-S-Phe-Arg-(Phe-Lys(D))$_o$-Phe-Tyr(OR_1)-Z; preferably V-S-Phe-Arg-Phe-Lys(D)-Ser-Lys(D)-Z, V-S-Phe-Arg-(Phe-Lys(D))$_o$-Z or V-S-Phe-Arg-(Ser-Lys(D))$_o$-Z; more preferably V-S-Phe-Arg-(Phe-Lys(D))$_o$-Z

wherein V, S, D, Z and o have the same meanings as specified in claim 6; and Z is preferably -OH.

12. The compound of any of claims 1 to 11, wherein each moiety derived from a drug is independently selected from:

(i) antineoplastic agents including alkylating agents, alkaloids such as taxanes and maytansinoids, anti-metabolites, endocrine therapies, kinase inhibitors;

(ii) immunomodulatory agents such as immunostimulants and immunosuppressants;

(iii) anti-infectious disease agents including antibacterial drugs, antimitotic drugs, antimycobacterial drugs and antiviral drugs;

radioisotopes and/or pharmaceutically acceptable salts thereof.

13. The compound of any of claims 1 to 12, wherein each moiety derived from a drug is independently derived from amanitin, duocarmycin, auristatin, maytansine, tubulysin, calicheamicin, camptothecin, SN-38, taxol, daunomycin, vinblastine, doxorubicin, methotrexate, pyrrolobenzodiazepine, or radioisotopes and/or pharmaceutically acceptable salts thereof.

14. The compound of any of claims 3, 4, 8, 9, 10, 12 and 13, wherein each moiety D_1 is independently represented by the following formula (III):

$$W_1—Dxx—Dyy----\qquad (III)$$

wherein

W_1 represents a moiety derived from amanitin, duocarmycin, auristatin, maytansine, tubulysin, calicheamicin, camptothecin, SN-38, taxol, daunomycin, vinblastine, doxorubicin, methotrexate, pyrrolobenzodiazepine, or radioisotopes and/or pharmaceutically acceptable salts thereof;

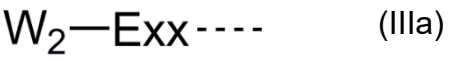
Dxx represents a single covalent bond or an amino acid having a hydrophobic side chain, preferably an amino acid selected from Phe, homo-Phe, Val and Ala, wherein the single covalent bond or amino acid having a hydrophobic side chain is optionally attached to moiety W_1 via a divalent moiety selected from maleimides, triazoles, hydrazones, carbonyl-containing groups, and derivatives thereof, preferably via a divalent maleimide derivative;

Dyy represents a single covalent bond, Phe or an amino acid having a basic side chain, preferably an amino acid selected from Arg, Lys, Cit, Orn, Dap, and Dab, more preferably Arg or Cit;

with the proviso that if Dxx is an amino acid having a hydrophobic side chain, Dyy is Phe or an amino acid having a basic side chain, and if Dxx is a single covalent bond, Dyy is a single covalent bond, Phe or an amino acid with a basic side chain;

and the broken line indicates covalent attachment to the N-terminus of Axx in formula (I), the N-terminus of Ayy in formula (I'), the N-terminus of A'xx in formulae (Ia) and (Ib), or the N-terminus A'yy in formula (Ia').

15. The compound of any of claims 3 to 14, wherein each moiety D_2 and D is independently represented by the following formula (IIIa):



(IIIa)

wherein

W_2 represents a moiety derived from amanitin, duocarmycin, auristatin, maytansine, tubulysin, calicheamicin, camptothecin, SN-38, taxol, daunomycin, vinblastine, doxorubicin, methotrexate, pyrrolobenzodiazepine, or radioisotopes and/or pharmaceutically acceptable salts thereof;

Exx represents a single covalent bond or a divalent moiety selected from maleimides, triazoles, hydrazones, carbonyl-containing groups, amino acids, dipeptide moieties and derivatives thereof, preferably a divalent maleimide derivative;

and the broken line indicates covalent attachment to the side chain of A'xx in formulae (Ia) and (Ia'), the side chain of A'xx or C-terminus of Cxx if present in formula (Ib), the side chain of Bxx in formulae (II) and (II'), the side chain of Bxx or C-terminus of Cxx if present in formula (IIa).

16. The compound of any of claims 1 to 15, wherein V represents a moiety derived from a vector group selected from antibodies, antibody fragments, proteins, peptides and non-peptidic molecules;

preferably an antibody or an antibody fragment such as a single chain antibody, a monoclonal antibody, a single chain monoclonal antibody, a monoclonal antibody fragment, a chimeric antibody, a chimeric antibody fragment, a domain antibody or fragment thereof, a cytokine, a hormone, a growth factor, a colony stimulating factor, a neurotransmitter or a nutrient-transport molecule.

17. The compound of any of claims 1 to 16, wherein

V represents a moiety derived from a vector group capable of interacting with a target cell, wherein the target cell is selected from tumor cells, virus infected cells, microorganism infected cells, parasite infected cells, cells involved in autoimmune diseases, activated cells, myeloid cells, lymphoid cells, melanocytes and infectious agents including bacteria, viruses, mycobacteria, fungi;

preferably the target cell is selected from lymphoma cells, myeloma cells, renal cancer cells, breast cancer cells, prostate cancer cells, ovarian cancer cells, colorectal cancer cells, gastric cancer cells, squamous cancer cells, small-cell lung cancer cells, testicular cancer cells, and any cells growing and dividing at an unregulated and quickened pace to cause cancers.

18. Composition comprising a therapeutically effective amount of the compound of any of claims 1 to 17 or a pharmaceutically acceptable salt thereof, and one or more components selected from a carrier, a diluent and other excipients.

19. The compound or composition of any of claims 1 to 18 for use in a method of treating or preventing a cancer, an autoimmune disease and/or an infectious disease.

20. The compound or composition for use of claim 19, wherein in the method of treating or preventing a cancer, an autoimmune disease and/or an infectious disease, the compound or composition is administered concurrently with, before or after one or more other therapeutic agents or therapies such as chemotherapeutic agents, radiation therapy, immunotherapy agents, autoimmune disorder agents, anti-infectious agents or other compounds of formula (I)/(I') or (II)/(II')/(IIa).