conjugate, DE-310 with a novel carrier system and its preclinical data.

[Non Patent Literature 12] Kumazawa, E. et al. Cancer Sci (2004) 95, 168-175.; DE-310, a novel macromolecular carrier system for the camptothecin analog DX-8951f: Potent antitumor activities in various murine tumor models.

[Non Patent Literature 13] Soepenberg, O. et al. Clinical Cancer Research, (2005) 11, 703-711.; Phase I and pharmacokinetic study of DE-310 in Patients with Advanced Solid Tumors.

[Non Patent Literature 14] Wente M. N. et al. Investigational New Drugs (2005) 23, 339-347.; DE-310, a macromolecular prodrug of the topoisomerase-I-inhibitor exatecan (DX-8951), in patients with operable solid tumors.

[Summary ~~of Invention~~]

[Technical Problem]

[0009]

With regard to the treatment of tumor by an antibody, an insufficient antitumor effect may be observed even when the antibody recognizes an antigen and binds to tumor cells, and there is a case in which a more effective antitumor antibody is needed. Further, many antitumor low-molecular-weight compounds have a problem in safety like side effect and toxicity even the compounds have an excellent antitumor effect, it

remains as a subject to achieve a superior therapeutic effect by further enhancing the safety. Thus, an object of the present ~~invention~~ disclosure is to obtain to provide an antitumor drug having an excellent therapeutic effect, which is excellent in terms of antitumor effect and safety.

[Means to Solve the Problem]

[0010]

The inventors thought that, when an antitumor compound exatecan is converted into an antibody-drug conjugate, via a linker structure moiety, by conjugation to the antibody, which is capable of targeting tumor cells, that is having a property of recognizing tumor cells, a property of binding to tumor cells, a property of internalizing within tumor cells, a cytocidal activity against tumor cells, or the like, the antitumor compound can be more surely delivered to tumor cells to specifically exhibit the antitumor effect of the compound in tumor cells, and thus the antitumor effect can be surely exhibited and also an enhanced cytocidal effect of the antibody is expected, and a dose of the antitumor compound can be reduced compared to a case of administering the compound alone, and thus an influence of the antitumor compound on normal cells can be alleviated so that higher safety can be achieved.

In this connection, the inventors created a linker with a specific structure and succeeded in obtaining an

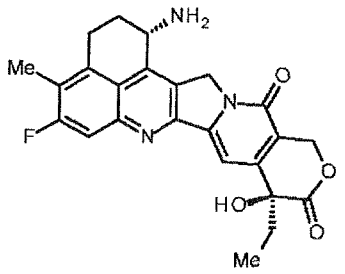
antibody-drug conjugate in which the antibody and exatecan are conjugated to each other via the linker, and confirmed an excellent antitumor effect exhibited by the conjugate to thereby complete the present ~~invention~~disclosure.

[0011]

Specifically, the present invention relates to the followings.

In one aspect, there is provided an antibody-drug conjugate wherein an antitumor compound represented by the following formula:

[Formula 1]



is conjugated to an antibody via a linker having a structure represented by the following formula:

$-L^1-L^2-L^P-NH-(CH_2)n^1-L^a-L^b-L^c-$

wherein the antibody is connected to the terminal of L^1, the antitumor compound is connected to the terminal of L^c with the nitrogen atom of the amino group at position 1 as the connecting position,

wherein

n^1 represents an integer of 0 to 5,

L^1 represents $-(Succinimid-3-yl-N)-(CH_2)n^2-C(=O)-$, $-CH_2-C(=O)-NH-(CH_2)n^3-C(=O)-$,

-C(=O)-cyc.Hex(1,4)-CH_2-(N-ly-3-diminiccuS)-, or

-C(=O)-$(CH_2)n^4$-C(=O)-,

wherein n^2 represents an integer of 2 to 5, n^3 represents an integer of 2, n^4 represents an integer of,

L^2 represents -NH-$(CH_2$-CH_2-O$)n^5$-CH_2-CH_2-C(=O)-,

-S-$(CH_2)n^6$-C(=O)-, or a single bond,

wherein n^5 represents an integer of 2 to 4, n^6 represents an integer of 2,

L^P represents a tetrapeptide residue of GGFG,

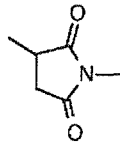
L^a represents -O- or a single bond,

L^b represents -CR^2(-R^3)- or a single bond,

wherein R^2 and R^3 each represents a hydrogen atomL^c represents -C(=O)-, and

-(Succinimid-3-yl-N)- has a structure represented by the following formula:

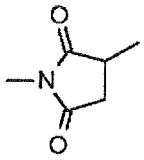
[Formula 2]



which is connected to the antibody at position 3 thereof and is connected to a methylene group in the linker structure containing this structure on the nitrogen atom at position 1,

-(N-ly-3-diminiccuS)- has a structure represented by the following formula:

[Formula 3]

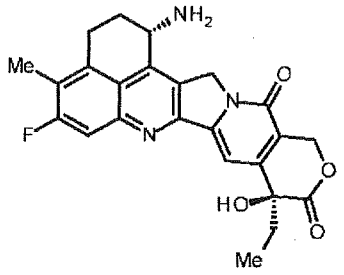


which is connected to L^2 at position 3 thereof and is connected to a methylene group in the linker structure containing this structure on the nitrogen atom at position 1,

cyc.Hex(1,4) represents a 1,4-cyclohexylene group, and when L^2 is $-S-(CH_2)n^6-C(=O)-$, L^1 is $-C(=O)-cyc.Hex(1,4)-CH_2-(N-ly-3-diminiccuS)-$.

In one aspect, there is provided an antibody-drug conjugate wherein an antitumor compound represented by the following formula:

[Formula 5]



is conjugated to an antibody via a linker having a structure represented by the following formula:

$-L^1-L^2-L^P-NH-(CH_2)n^1-L^a-L^b-L^c-$

wherein the antibody is connected to the terminal of L^1, the antitumor compound is connected to the terminal of L^c with the nitrogen atom of the amino group at position 1 as the connecting position,

wherein

n^1 represents an integer of 0 to 6,

L^1 represents -(Succinimid-3-yl-N)-$(CH_2)n^2$-C(=O)- and is connected to the antibody via a thioether bond which is formed at a disulfide bond moiety present in a hinge part of the antibody,

wherein n^2 represents an integer of 2 to 8,

L^2 represents -NH-$(CH_2$-CH_2-O)n^5-CH_2-CH_2-C(=O)- or a single bond,

wherein n^5 represents an integer of 1 to 6,

L^P represents a tetrapeptide residue of GGFG,

L^a represents -O- or a single bond,

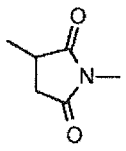
L^b represents -CR^2(-R^3)- or a single bond,

wherein R^2 and R^3 each represents a hydrogen atom,

L^c represents -C(=O)-, and

-(Succinimid-3-yl-N)- has a structure represented by the following formula:

[Formula 6]



which is connected to the antibody at position 3 thereof and is connected to a methylene group in the linker structure containing this structure on the nitrogen atom at position 1.

In one aspect, there is provided a drug containing the antibody-drug conjugate as defined herein, or a salt thereof.

In one aspect, there is provided an antitumor drug and/or anticancer drug containing the antibody-drug conjugate as defined herein, or a salt thereof.

In one aspect, there is provided a pharmaceutical composition containing the antibody-drug conjugate as defined herein, or a salt thereof as an active component, and a pharmaceutically acceptable formulation component.

In one aspect, there is provided a drug-linker intermediate compound represented by the following formula:

$Q\text{-}(CH_2)n^Q\text{-}C(=O)\text{-}L^{2a}\text{-}L^P\text{-}NH\text{-}(CH_2)n^1\text{-}L^a\text{-}L^b\text{-}L^c\text{-}(NH\text{-}DX)$

wherein Q represents (maleimid-N-yl)-, HS-, $X\text{-}CH_2\text{-}C(=O)\text{-}NH$-, or (Pyrrolidine-2,5-dione-N-yl)-O-C(=O)-,

X represents a bromine atom,

n^Q represents an integer of 2 to 6,

L^{2a} represents $-NH\text{-}(CH_2\text{-}CH_2\text{-}O)n^5\text{-}CH_2\text{-}CH_2\text{-}C(=O)$- or a single bond,

wherein n^5 represents an integer of 1 to 6,

L^P represents a tetrapeptide residue of GGFG,

n^1 represents an integer of 0 to 5,

L^a represents -O- or a single bond,

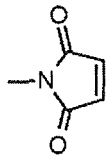
L^b represents $-CR^2(-R^3)-$ or a single bond,

wherein R^2 and R^3 each represents a hydrogen atom

L^c represents -C(=O)-,

(maleimid-N-yl)- is a group represented by the following formula:

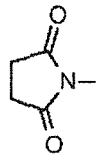
[Formula 12]



wherein the nitrogen atom is a connecting position,

(Pyrrolidine-2,5-dione-N-yl) is a group represented by the following formula:

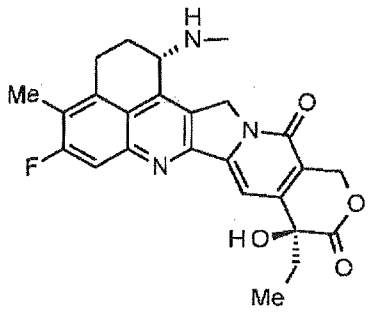
[Formula 13]



wherein the nitrogen atom is a connecting position, and

-(NH-DX) is a group represented by the following formula:

[Formula 14]



wherein the nitrogen atom of the amino group at position 1 is a connecting position.

In one aspect, there is provided a compound of the following:

(maleimid-N-yl)-CH_2CH_2-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

(maleimid-N-yl)-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX)

(maleimid-N-yl)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

(maleimid-N-yl)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

(maleimid-N-yl)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

(maleimid-N-yl)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

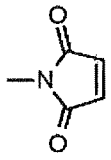
X-CH_2-C(=O)-NH-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

HS-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

(Pyrrolidine-2,5-dione-N-yl)-O-C(=O)-$CH_2CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

wherein (maleimid-N-yl)- is a group represented by the following formula:

[Formula 15]

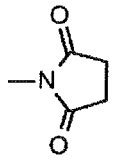


wherein the nitrogen atom is a connecting position,

X represents a halogen atom,

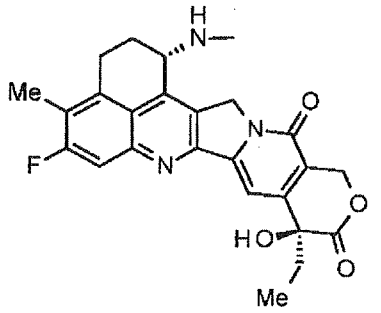
(Pyrrolidine-2,5-dione-N-yl)- is a group represented by the following formula:

[Formula 16]



wherein the nitrogen atom is a connecting position, and -(NH-DX) is a group represented by the following formula:

[Formula 17]



wherein the nitrogen atom of the amino group at position 1 is a connecting position.

In one aspect, there is provided a compound of the following:

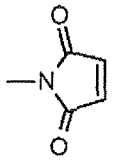
(maleimid-N-yl)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX),

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX) or

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX),

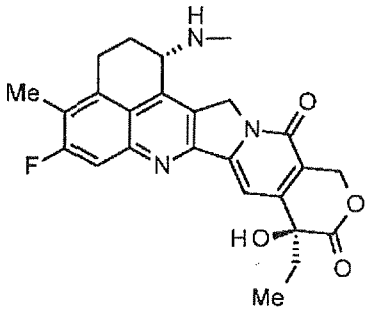
wherein (maleimid-N-yl)- is a group represented by the following formula:

[Formula 18]



wherein the nitrogen atom is a connecting position, and -(NH-DX) is a group represented by the following formula:

[Formula 19]



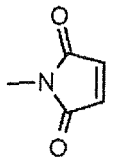
wherein the nitrogen atom of the amino group at position 1 is a connecting position.

In one aspect, there is provided a compound of the following:

(maleimid-N-yl)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX),

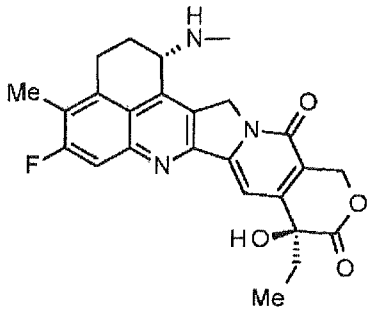
wherein (maleimid-N-yl)- is a group represented by the following formula:

[Formula 20]



wherein the nitrogen atom is a connecting position, and -(NH-DX) is a group represented by the following formula:

[Formula 21]



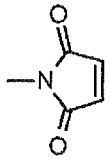
wherein the nitrogen atom of the amino group at position 1 is a connecting position.

In one aspect, there is provided a compound of the following:

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX),

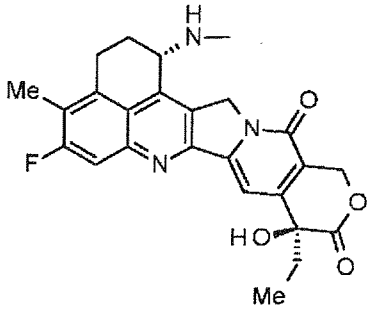
wherein (maleimid-N-yl)- is a group represented by the following formula:

[Formula 22]



wherein the nitrogen atom is a connecting position, and -(NH-DX) is a group represented by the following formula:

[Formula 23]



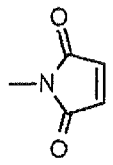
wherein the nitrogen atom of the amino group at position 1 is a connecting position.

In one aspect, there is provided a compound of the following:

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX),

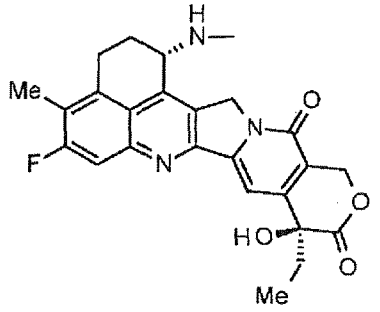
wherein (maleimid-N-yl)- is a group represented by the following formula:

[Formula 24]



wherein the nitrogen atom is a connecting position, and -(NH-DX) is a group represented by the following formula:

[Formula 25]



wherein the nitrogen atom of the amino group at position 1 is a connecting position.

In one aspect, there is provided a linker represented by the following formula:

$-L^1-L^2-L^P-NH-(CH_2)n^1-L^a-L^b-L^c-$

for obtaining an antibody-drug conjugate in which a drug is conjugated to an antibody via the linker,

wherein L^1 is a connecting position for the antibody,

L^c is a connecting position for an antitumor compound,

wherein

n^1 represents an integer of 0 to 5,

L^1 represents -(Succinimid-3-yl-N)-$(CH_2)n^2$-C(=O)-,

$-CH_2$-C(=O)-NH-$(CH_2)n^3$-C(=O)-,

-C(=O)-cyc.Hex(1,4)-CH_2-(N-ly-3-diminiccuS)-, or

-C(=O)-$(CH_2)_{n^4}$-C(=O)-,

wherein n^2 represents an integer of 2 to 5, n^3 represents an integer of 2, n^4 represents an integer of 6,

L^2 represents -NH-$(CH_2$-CH_2-O$)_{n^5}$-CH_2-CH_2-C(=O)-, -S-$(CH_2)_{n^6}$-C(=O)-, or a single bond,

wherein n^5 represents an integer of 2 to 4, n^6 represents an integer of 2,

L^P represents a tetrapeptide residue of GGFG,

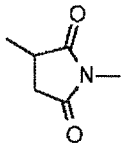
L^a represents -O- or a single bond,

L^b represents $-CR^2(-R^3)-$ or a single bond,

wherein R^2 and R^3 each represents a hydrogen atomL^c represents -C(=O)-,

-(Succinimid-3-yl-N)- has a structure represented by the following formula:

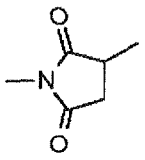
[Formula 26]



which is connecrted to the antibody at position 3 thereof and is connected to a methylene group in the linker structure containing this structure on the nitrogen atom at position 1,

-(N-ly-3-diminiccuS)- has a structure represented by the following formula:

[Formula 27]



which is connected to L^2 at position 3 thereof and is connected to a methylene group in the linker structure containing this structure on the nitrogen atom at position 1,

cyc.Hex(1,4) represents a 1,4-cyclohexylene group, and when L^2 is -S-$(CH_2)n^6$-C(=O)-, L^1 is -C(=O)-cyc.Hex(1,4)-CH_2-(N-ly-3-diminiccuS)-.

In one aspect, there is provided a linker represented by the following formula:

$-L^1-L^2-L^P-NH-(CH_2)n^1-L^a-L^b-L^c-$

for obtaining an antibody-drug conjugate in which a drug is conjugated to an antibody via the linker,

wherein L^1 is a connecting position for the antibody,

L^c is a connecting position for an antitumor compound,

wherein

n^1 represents an integer of 0 to 6,

L^1 represents -(Succinimid-3-yl-N)-$(CH_2)n^2$-C(=O)- and is connected to the antibody via a thioether bond which is formed at a disulfide bond moiety present in a hinge part of the antibody,

wherein n^2 represents an integer of 2 to 8,

L^2 represents -NH-$(CH_2$-CH_2-O$)n^5$-CH_2-CH_2-C(=O)- or a single bond,

wherein n^5 represents an integer of 1 to 6,

L^P represents a tetrapeptide residue of GGFG,

L^a represents -O- or a single bond,

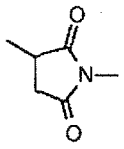
L^b represents $-CR^2(-R^3)-$ or a single bond,

wherein R^2 and R^3 each represents a hydrogen atom,

L^c represents -C(=O)-, and

-(Succinimid-3-yl-N)- has a structure represented by the following formula:

[Formula 28]



which is connected to the antibody at position 3 thereof and is connected to a methylene group in the linker structure containing this structure on the nitrogen atom at position 1.

In one aspect, there is provided a compound selected from a group of

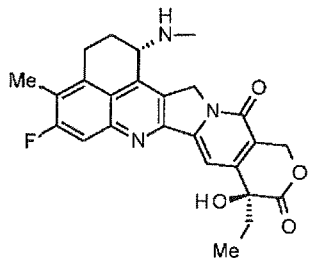
$NH_2-CH_2CH_2CH_2-C(=O)-(NH-DX)$,

$NH_2-CH_2CH_2-O-CH_2-C(=O)-(NH-DX)$, or

$HO-CH_2-C(=O)-(NH-DX)$,

wherein -(NH-DX) is a group represented by the following formula:

[Formula 34]



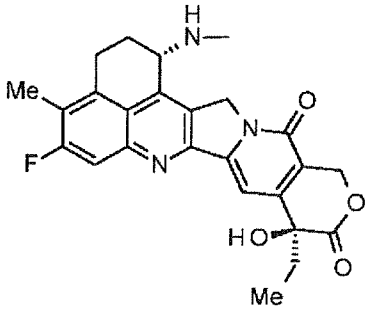
wherein the nitrogen atom of the amino group at position 1 is a connecting position.

In one aspect, there is provided a compound of

NH_2-$CH_2CH_2CH_2$-C(=O)-(NH-DX),

wherein -(NH-DX) is a group represented by the following formula:

[Formula 35]



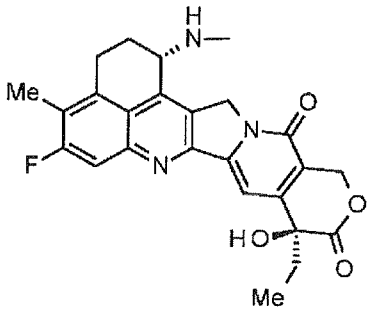
wherein the nitrogen atom of the amino group at position 1 is a connecting position.

In one aspect, there is provided a compound of

NH_2-CH_2CH_2-O-CH_2-C(=O)-(NH-DX),

wherein -(NH-DX) is a group represented by the following formula:

[Formula 36]

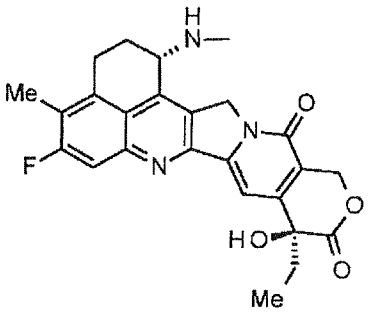


wherein the nitrogen atom of the amino group at position 1 is a connecting position.

In one aspect, there is provided a compound of $HO-CH_2-C(=O)-(NH-DX)$,

wherein -(NH-DX) is a group represented by the following formula:

[Formula 37]

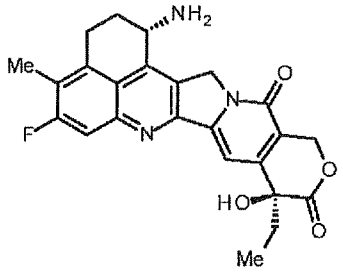


wherein the nitrogen atom of the amino group at position 1 is a connecting position.

[0011a]Also disclosed is:

[1] An antibody-drug conjugate wherein an antitumor compound represented by the following formula:

[Formula 2]



is conjugated to an antibody via a linker having a structure represented by the following formula:

$-L^1-L^2-L^p-NH-(CH_2)n^1-L^a-L^b-L^c-$.

[0012]

Here, the antibody is connected to the terminal of L^1, the antitumor compound is connected to the terminal of L^c

with the nitrogen atom of the amino group at position 1 as connecting position,

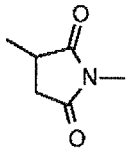
wherein

n^1 represents an integer of 0 to 6,

L^c represents $-CH_2-$ or $-C(=O)-$,

-(Succinimid-3-yl-N)- has a structure represented by the following formula:

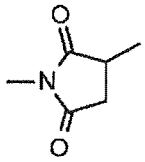
[Formula 3]



which is connected to the antibody at position 3 thereof and is connected to a methylene group in the linker structure containing this structure on the nitrogen atom at position 1,

-(N-ly-3-diminiccuS)- has a structure represented by the following formula:

[Formula 4]



which is connected to L^2 at position 3 thereof and is connected to a methylene group in the linker structure containing this structure on the nitrogen atom at position 1,

cyc.Hex(1,4) represents a 1,4-cyclohexylene group, and when L^2 is $-S-(CH_2)n^6-C(=O)-$, L^1 is $-C(=O)$-cyc.Hex(1,4)-CH_2-(N-ly-3-diminiccuS)-.

[0013]

The present ~~invention~~disclosure further relates to each of the followings.

-CH_2-C(=O)-NH-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-

-C(=O)-$CH_2CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-.

[80] The linker according to [76], which is selected from the following group, provided that the left terminal is a connecting position with the antibody and the right terminal is a connecting position with the antitumor compound:

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-

-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-.

Advantageous Effects of ~~Invention~~disclosure

[0052]

With an antibody-drug conjugate having an antitumor compound exatecan conjugated via a linker with a specific structure, an excellent antitumor effect and safety can be achieved.

Brief Description of Drawings

[0053]

[Figure 1] Figure 1 shows an amino acid sequence of B7-H3 variant 1 (SEQ ID NO: 1).

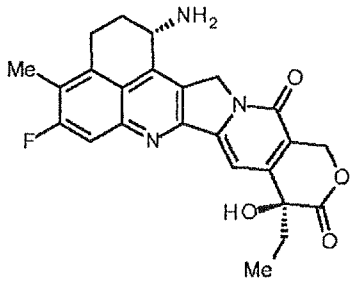
[Figure 2] Figure 2 shows an amino acid sequence of B7-H3 variant 2 (SEQ ID NO: 2).

Claims

[Claim 1]

An antibody-drug conjugate wherein an antitumor compound represented by the following formula:

[Formula 1]



is conjugated to an antibody via a linker having a structure represented by the following formula:

$-L^1-L^2-L^P-NH-(CH_2)n^1-L^a-L^b-L^c-$

wherein the antibody is connected to the terminal of L^1, the antitumor compound is connected to the terminal of L^c with the nitrogen atom of the amino group at position 1 as the connecting position,

wherein

n^1 represents an integer of 0 to 6,

L^1 represents -(Succinimid-3-yl-N)-$(CH_2)n^2$-C(=O)-, $-CH_2$-C(=O)-NH-$(CH_2)n^3$-C(=O)-, -C(=O)-cyc.Hex(1,4)-CH_2-(N-ly-3-diminiccuS)-, or -C(=O)-$(CH_2)n^4$-C(=O)-,

wherein n^2 represents an integer of 2 to 8, n^3 represents an integer of 1 to 8, n^4 represents an integer of 1 to 8,

L^2 represents -NH-$(CH_2-CH_2-O)n^5-CH_2-CH_2$-C(=O)-, -S-$(CH_2)n^6$-C(=O)-, or a single bond,

wherein n^5 represents an integer of 1 to 6, n^6 represents an integer of 1 to 6,

L^p represents a peptide residue consisting of 2 to 7 amino acids,

L^a represents $-C(=O)-NH-$, $-NR^1-(CH_2)n^7-$, $-O-$, or a single bond,

wherein n^7 represents an integer of 1 to 6, R^1 represents a hydrogen atom, an alkyl group having 1 to 6 carbon atoms, $-(CH_2)n^8-COOH$, or $-(CH_2)n^9-OH$, n^8 represents an integer of 1 to 4, n^9 represents an integer of 1 to 6,

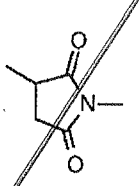
L^b represents $-CR^2(-R^3)-$, $-O-$, $-NR^4-$, or a single bond,

wherein R^2 and R^3 each independently represents a hydrogen atom, an alkyl group having 1 to 6 carbon atoms, $-(CH_2)n^a-NH_2$, $-(CH_2)n^b-COOH$, or $-(CH_2)n^c-OH$, R^4 represents a hydrogen atom or an alkyl group having 1 to 6 carbon atoms, n^a represents an integer of 0 to 6, n^b represents an integer of 1 to 4, n^c represents an integer of 1 to 4, provided that when n^a is 0, R^2 and R^3 are not the same as each other,

L^c represents $-CH_2-$ or $-C(=O)-$,

-(Succinimid-3-yl-N)- has a structure represented by the following formula:

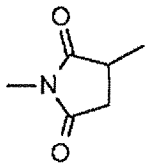
[Formula 2]



which is connected to the antibody at position 3 thereof and is connected to a methylene group in the linker structure containing this structure on the nitrogen atom at position 1,

-(N-ly-3-diminiccuS)- has a structure represented by the following formula:

[Formula 3]



which is connected to L^2 at position 3 thereof and is connected to a methylene group in the linker structure containing this structure on the nitrogen atom at position 1,

cyc.Hex(1,4) represents a 1,4-cyclohexylene group, and when L^2 is $-S-(CH_2)n^6-C(=O)-$, L^1 is $-C(=O)-cyc.Hex(1,4)-CH_2-(N-ly-3-diminiccuS)-$.

[Claim 2]

The antibody-drug conjugate according to claim 1, wherein the peptide residue of L^P is an amino acid residue comprising an amino acid selected from phenylalanine, glycine, valine, lysine, citrulline, serine, glutamic acid, and aspartic acid.

[Claim 3]

The antibody-drug conjugate according to claim 1 or 2, wherein

L^P represents a tetrapeptide residue,

L^a represents -O- or a single bond,

L^b represents $-CR^2(-R^3)-$ or a single bond,

wherein R^2 and R^3 each independently represents a hydrogen atom, an alkyl group having 1 to 6 carbon atoms, $-(CH_2)n^a-NH_2$, $-(CH_2)n^b-COOH$, or $-(CH_2)n^c-OH$, n^b represents an integer of 1 to 4, n^c represents an integer of 1 to 4, provided that when n^a is 0, R^2 and R^3 are not the same as each other, and

L^c represents $-C(=O)-$.

[Claim 4]

The antibody-drug conjugate according to any one of claims 1 to 3, wherein

L^P represents a tetrapeptide residue of GGFG,

L^a represents $-O-$ or a single bond,

L^b represents $-CR^2(-R^3)-$ or a single bond,

wherein R^2 and R^3 each represents a hydrogen atom, and

L^c represents $-C(=O)-$.

[Claim 5]

The antibody-drug conjugate according to any one of claims 1 to 4, wherein L^1 is -(Succinimid-3-yl-N)-$(CH_2)n^2-C(=O)-$ or $-CH_2-C(=O)-NH-(CH_2)n^3-C(=O)-$.

[Claim 6]

The antibody-drug conjugate according to any one of claims 1 to 4, wherein L^1 is -(Succinimid-3-yl-N)-$(CH_2)n^2-C(=O)-$.

[Claim 7]

The antibody-drug conjugate according to any one of claims 1 to 4, wherein L^1 is -C(=O)-cyc.Hex(1,4)-CH_2-(N-ly-3-diminiccuS)- or -C(=O)-$(CH_2)n^4$-C(=O)-.

[Claim 8]

The antibody-drug conjugate according to any one of claims 1 to 6, wherein n^2 is an integer of 2 to 5, and L^2 is a single bond.

[Claim 9]

The antibody-drug conjugate according to any one of claims 1 to 6, wherein n^2 is an integer of 2 to 5, L^2 is -NH-$(CH_2CH_2O)n^5$-CH_2-CH_2-C(=O)-, and n^5 is 2 or 4.

[Claim 10]

The antibody-drug conjugate according to any one of claims 1 to 9, wherein the following formula: -NH-$(CH_2)n^1$-L^a-L^b-L^c- is a partial structure having a chain length of 4 to 7 atoms.

[Claim 11]

The antibody-drug conjugate according to any one of claims 1 to 9, wherein the following formula: -NH-$(CH_2)n^1$-L^a-L^b-L^c- is a partial structure having a chain length of 5 or 6 atoms.

[Claim 12]

The antibody-drug conjugate according to any one of claims 1 to 11, wherein the following formula: -NH-$(CH_2)n^1$-L^a-L^b-L^c- is

-NH-$(CH_2)_3$-C(=O)-,

-NH-CH_2-O-CH_2-C(=O)-, or

-NH-$(CH_2)_2$-O-CH_2-C(=O)-.

[Claim 13]

The antibody-drug conjugate according to any one of claims 1 to 12, wherein the structure of the linker is one structure selected from the following group:

-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

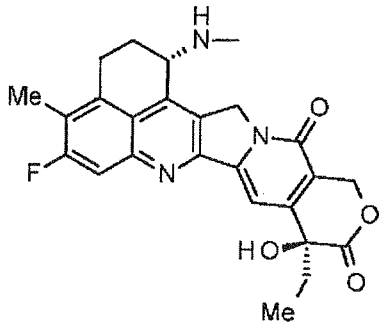
-CH_2-C(=O)-NH-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

-C(=O)-$CH_2CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

-C(=O)-cyc.Hex(1,4)-CH_2-(N-ly-3-diminiccuS)-S-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

wherein -(NH-DX) represents a group represented by the following formula:

[Formula 4]



wherein the nitrogen atom of the amino group at position 1 is the connectig position, and

-GGFG- represents a peptide residue of -Gly-Gly-Phe-Gly-.

[Claim 14]

The antibody-drug conjugate according to any one of claims 1 to 6 and 8 to 11, wherein the structure of the linker is one structure selected from the following group:

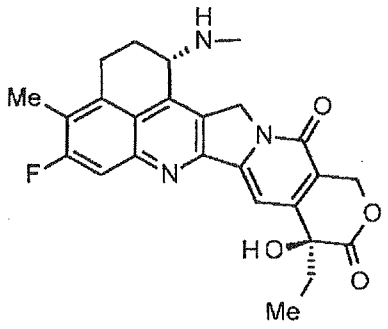
-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

wherein -(NH-DX) represents a group represented by the following formula:

[Formula 5]

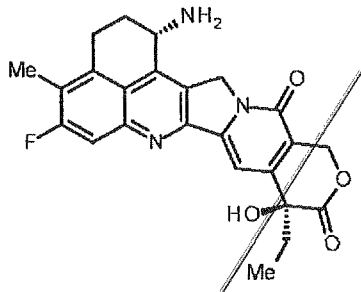


wherein the nitrogen atom of the amino group at position 1 is the connecting position.

[Claim 15]

An antibody-drug conjugate wherein an antitumor compound represented by the following formula:

[Formula 6]



is conjugated to an antibody via a linker having a structure represented by the following formula:

$-L^1-L^2-L^P-NH-(CH_2)n^1-L^a-L^b-L^c-$

wherein the antibody is connected to the terminal of L^1, the antitumor compound is connected to the terminal of L^c,

wherein

n^1 represents an integer of 0 to 6,

L^1 represents -(Succinimid-3-yl-N)-$(CH_2)n^2$-C(=O)- and is connected to the antibody via a thioether bond which is formed at a disulfide bond moiety present in a hinge part of the antibody,

wherein n^2 represents an integer of 2 to 8,

L^2 represents -NH-$(CH_2$-CH_2-O)n^5-CH_2-CH_2-C(=O)- or a single bond,

wherein n^5 represents an integer of 1 to 6,

L^P represents a tetrapeptide residue of GGFG,

L^a represents -O- or a single bond,

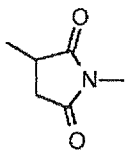
L^b represents -CR^2(-R^3)- or a single bond,

wherein R^2 and R^3 each represents a hydrogen atom,

L^c represents -C(=O)-, and

-(Succinimid-3-yl-N)- has a structure represented by the following formula:

[Formula 7]



which is connected to the antibody at position 3 thereof and is connected to a methylene group in the linker structure containing this structure on the nitrogen atom at position 1.

[Claim 16]

The antibody-drug conjugate according to claim 15, wherein

n^2 is 2, L^2 is -NH-$(CH_2-CH_2-O)n^5$-$CH_2-CH_2-C(=O)$-, n^5 is 2, n^1 is 3, and both of L^a and L^b are single bonds,

n^2 is 5, L^2 is a single bond, n^1 is 1, L^a is -O-, and L^b is $-CR^2(-R^3)$-, or

n^2 is 5, L^2 is a single bond, n^1 is 2, L^a is -O-, and L^b is $-CR^2(-R^3)$-.

[Claim 17]

The antibody-drug conjugate according to claim 15 or 16, wherein n^2 is an integer of 2 to 5, and L^2 is a single bond.

[Claim 18]

The antibody-drug conjugate according to claim 15 or 16, wherein n^2 is an integer of 2 to 5, L^2 is -NH-$(CH_2CH_2O)n^5$-$CH_2-CH_2-C(=O)$-, and n^5 is 2 or 4.

[Claim 19]

The antibody-drug conjugate according to any one of claims 15 to 18, wherein the following formula: -NH-$(CH_2)n^1$-L^a-L^b-L^c- is

-NH-$(CH_2)_3$-C(=O)-,

-NH-CH_2-O-CH_2-C(=O)-, or

-NH-$(CH_2)_2$-O-CH_2-C(=O)-.

[Claim 20]

The antibody-drug conjugate according to any one of claims 15 to 19, wherein the structure of the linker is one structure selected from the following group:

-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

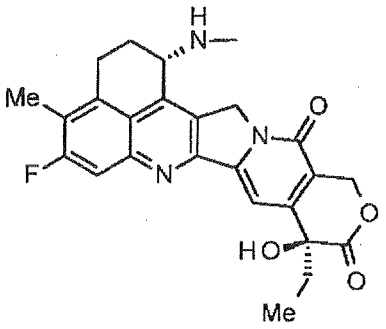
-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

wherein -(NH-DX) represents a group represented by the following formula:

[Formula 8]



wherein the nitrogen atom of the amino group at position 1 is a connecting position.

[Claim 21]

The antibody-drug conjugate according to any one of claims 15 to 19, wherein the structure of the linker is one structure selected from the following group:

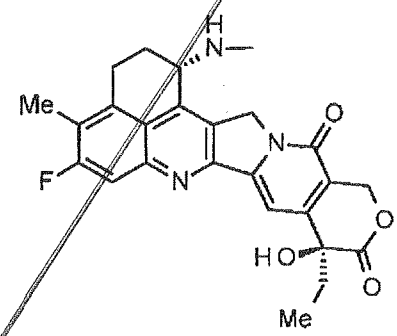
-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

wherein -(NH-DX) represents a group represented by the following formula:

[Formula 9]



wherein the nitrogen atom of the amino group at position 1 is a connecting position.

[Claim 22]

The antibody-drug conjugate according to any one of claims 1 to 21, wherein an average number of units of the selected one drug-linker structure conjugated per antibody is in a range of from 1 to 10.

[Claim 23]

The antibody-drug conjugate according to any one of claims 1 to 21, wherein an average number of units of the selected one drug-linker structure conjugated per antibody is in a range of from 2 to 8.

[Claim 24]

The antibody-drug conjugate according to any one of claims 1 to 21, wherein an average number of units of the selected one drug-linker structure conjugated per antibody is in a range of from 3 to 8.

[Claim 25]

The antibody-drug conjugate according to any one of claims 1 to 24, wherein the antibody is an antibody having one or more of a property of recognizing a target cell, a property of binding to a target cell, a property of internalizing in a target cell, and a property of damaging a target cell.

[Claim 26]

The antibody-drug conjugate according to any one of claims 1 to 24, wherein a cell which is targeted by the antibody-drug conjugate is a tumor cell.

[Claim 27]

The antibody-drug conjugate according to any one of claims 1 to 24, wherein the antibody is an anti-A33 antibody, an anti-B7-H3 antibody, an anti-CanAg antibody, an anti-CD20 antibody, an anti-CD22 antibody, an anti-CD30 antibody, an anti-CD33 antibody, an anti-CD56 antibody, an anti-CD70 antibody, an anti-CEA antibody, an anti-Cripto antibody, an anti-EphA2 antibody, an anti-G250 antibody, an anti-MUC1 antibody, an anti-GPNMB antibody, an anti-integrin antibody, an anti-PSMA antibody, an anti-tenascin-C antibody, an anti-SLC44A4 antibody, or an anti-mesothelin antibody.

[Claim 28]

The antibody-drug conjugate according to any one of claims 1 to 24, wherein the antibody is an anti-B7-H3 antibody, an anti-CD30 antibody, an anti-CD33 antibody, or an anti-CD70 antibody.

[Claim 29]

The antibody-drug conjugate according to any one of claims 1 to 24, wherein the antibody is an anti-B7-H3 antibody.

[Claim 30]

A drug containing the antibody-drug conjugate according to any one of claims 1 to 29 or a salt thereof.

[Claim 31]

An antitumor drug and/or anticancer drug containing the antibody-drug conjugate according to any one of claims 1 to 29 or a salt thereof.

[Claim 32]

The antitumor drug and/or anticancer drug according to claim 31, which is applied to lung cancer, kidney cancer, urothelial cancer, colorectal cancer, prostate cancer, glioblastoma multiforme, ovarian cancer, pancreatic cancer, breast cancer, melanoma, liver cancer, bladder cancer, stomach cancer, or esophageal cancer.

[Claim 33]

A pharmaceutical composition containing the antibody-drug conjugate according to any one of claims 1 to 29 or a salt thereof as an active component, and a pharmaceutically acceptable formulation component.

[Claim 34]

A method for treating tumor and/or cancer comprising administering the antibody-drug conjugate according to any one of claims 1 to 29 or a salt thereof.

[Claim 35]

A drug-linker intermediate compound represented by the following formula:

$Q\text{-}(CH_2)n^Q\text{-}C(=O)\text{-}L^{2a}\text{-}L^P\text{-}NH\text{-}(CH_2)n^1\text{-}L^a\text{-}L^b\text{-}L^c\text{-}(NH\text{-}DX)$

wherein Q represents (maleimid-N-yl)-, HS-, $X\text{-}CH_2\text{-}C(=O)\text{-}NH$-, or (Pyrrolidine-2,5-dione-N-yl)-O-C(=O)-,

X represents a bromine atom or an iodine atom,

n^Q represents an integer of 2 to 8,

L^{2a} represents $-NH-(CH_2-CH_2-O)n^5-CH_2-CH_2-C(=O)-$ or a single bond,

wherein n^5 represents an integer of 1 to 6,

L^P represents a peptide residue consisting of 2 to 7 amino acids selected from phenylalanine, glycine, valine, lysine, citrulline, serine, glutamic acid, and aspartic acid,

n^1 represents an integer of 0 to 6,

L^a represents $-C(=O)-NH-$, $-NR^1-(CH_2)n^7-$, $-O-$, or a single bond,

wherein n^7 represents an integer of 1 to 6, R^1 represents a hydrogen atom, an alkyl group having 1 to 6 carbon atoms, $-(CH_2)n^8-COOH$, or $-(CH_2)n^9-OH$, n^8 represents an integer of 1 to 4, n^9 represents an integer of 1 to 6,

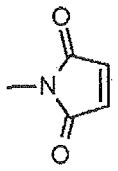
L^b represents $-CR^2(-R^3)-$, $-O-$, $-NR^4-$, or a single bond,

wherein R^2 and R^3 each independently represents a hydrogen atom, an alkyl group having 1 to 6 carbon atoms, $-(CH_2)n^a-NH_2$, $-(CH_2)n^b-COOH$, or $-(CH_2)n^c-OH$, R^4 represents a hydrogen atom or an alkyl group having 1 to 6 carbon atoms, n^a represents an integer of 0 to 6, n^b represents an integer of 1 to 4, n^c represents an integer of 1 to 4, provided that when n^a is 0, R^2 and R^3 are not the same as each other,

L^c represents $-CH_2-$ or $-C(=O)-$,

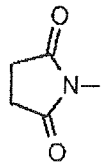
(maleimid-N-yl)- is a group represented by the following formula:

[Formula 10]



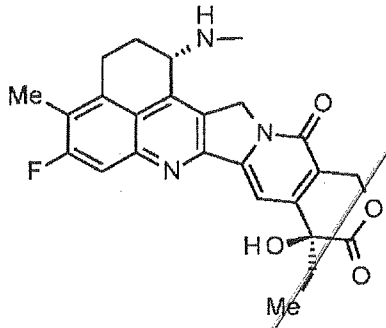
wherein the nitrogen atom is a connecting position, (Pyrrolidine-2,5-dione-N-yl) is a group represented by the following formula:

[Formula 11]



wherein the nitrogen atom is a connecting position, and -(NH-DX) is a group represented by the following formula:

[Formula 12]



wherein the nitrogen atom of the amino group at position 1 is a connecting position.

[Claim 36]

The drug-linker intermediate compound according to claim 35, wherein L^c is -C(=O)-.

[Claim 37]

The drug-linker intermediate compound according to claim 35 or 36, wherein L^P is a peptide residue consisting of 4 amino acids.

[Claim 38]

The drug-linker intermediate compound according to any one of claims 35 to 37, wherein L^P is -GGFG-.

[Claim 39]

The drug-linker intermediate compound according to any one of claims 35 to 38, wherein the following formula: $-NH-(CH_2)n^1-L^a-L^b-$ is

$-NH-CH_2CH_2-$,

$-NH-CH_2CH_2CH_2-$,

$-NH-CH_2CH_2CH_2CH_2-$,

$-NH-CH_2CH_2CH_2CH_2CH_2-$,

$-NH-CH_2-O-CH_2-$, or

$-NH-CH_2CH_2-O-CH_2-$.

[Claim 40]

The drug-linker intermediate compound according to any one of claims 35 to 38, wherein the following formula: $-NH-(CH_2)n^1-L^a-L^b-$ is

$-NH-CH_2CH_2CH_2-$,

$-NH-CH_2-O-CH_2-$, or

$-NH-(CH_2)_2-O-CH_2-$.

[Claim 41]

The drug-linker intermediate compound according to any one of claims 35 to 40, wherein n^Q is an integer of 2 to 6.

[Claim 42]

The drug-linker intermediate compound according to claim 39, wherein

Q is (maleimid-N-yl)-,

n^Q is an integer of 2 to 5, and

L^{2a} is a single bond.

[Claim 43]

The drug-linker intermediate compound according to claim 40, wherein

Q is (maleimid-N-yl)-,

n^Q is an integer of 2 to 5, and

L^{2a} is a single bond.

[Claim 44]

The drug-linker intermediate compound according to any one of claims 35 to 38, wherein

Q is (maleimid-N-yl)-,

n^Q is an integer of 2 to 5,

L^{2a} is $-NH-(CH_2-CH_2-O)_{n^5}-CH_2-CH_2-C(=O)-$,

n^5 is an integer of 2 to 4, and

$-NH-(CH_2)_{n^1}-L^a-L^b-$ is

$-NH-CH_2CH_2-$,

$-NH-CH_2CH_2CH_2-$,

$-NH-CH_2CH_2CH_2CH_2-$,

$-NH-CH_2CH_2CH_2CH_2CH_2-$,

$-NH-CH_2-O-CH_2-$, or

$-NH-CH_2CH_2-O-CH_2-$.

[Claim 45]

The drug-linker intermediate compound according to claim 44, wherein

n^5 is an integer of 2 or 4,

$-NH-(CH_2)n^1-L^a-L^b-$ is

$-NH-CH_2CH_2CH_2-$,

$-NH-CH_2-O-CH_2-$, or

$-NH-CH_2CH_2-O-CH_2-$.

[Claim 46]

A compound of the following:

(maleimid-N-yl)-CH_2CH_2-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

(maleimid-N-yl)-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

(maleimid-N-yl)-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-(NH-DX)

(maleimid-N-yl)-CH_2CH_2-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX)

(maleimid-N-yl)-CH_2CH_2-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX)

(maleimid-N-yl)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

(maleimid-N-yl)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

(maleimid-N-yl)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

X-CH_2-C(=O)-NH-CH_2CH_2-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

X-CH_2-C(=O)-NH-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

X-CH_2-C(=O)-NH-CH_2CH_2-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX)

X-CH_2-C(=O)-NH-CH_2CH_2-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX)

X-CH_2-C(=O)-NH-$CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

X-CH_2-C(=O)-NH-$CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

X-CH_2-C(=O)-NH-$CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX)

X-CH_2-C(=O)-NH-$CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX)

X-CH_2-C(=O)-NH-$CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

X-CH_2-C(=O)-NH-$CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

X-CH_2-C(=O)-NH-$CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX)

X-CH_2-C(=O)-NH-$CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX)

X-CH_2-C(=O)-NH-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

X-CH_2-C(=O)-NH-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

X-CH_2-C(=O)-NH-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX)

X-CH_2-C(=O)-NH-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX)

HS-CH_2CH_2-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

HS-$CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

HS-$CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

HS-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

HS-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

HS-$CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

HS-$CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

HS-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

HS-CH_2CH_2-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX)

HS-$CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX)

HS-$CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX)

HS-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX)

HS-CH_2CH_2-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX)

HS-$CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX)

HS-$CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX)

HS-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX)

HS-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

HS-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

HS-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

(Pyrrolidine-2,5-dione-N-yl)-O-C(=O)-CH_2CH_2-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

(Pyrrolidine-2,5-dione-N-yl)-O-C(=O)-$CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

(Pyrrolidine-2,5-dione-N-yl)-O-C(=O)-$CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

(Pyrrolidine-2,5-dione-N-yl)-O-C(=O)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

(Pyrrolidine-2,5-dione-N-yl)-O-C(=O)-$CH_2CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

(Pyrrolidine-2,5-dione-N-yl)-O-C(=O)-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

(Pyrrolidine-2,5-dione-N-yl)-O-C(=O)-$CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

(Pyrrolidine-2,5-dione-N-yl)-O-C(=O)-$CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

(Pyrrolidine-2,5-dione-N-yl)-O-C(=O)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

(Pyrrolidine-2,5-dione-N-yl)-O-C(=O)-$CH_2CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

(Pyrrolidine-2,5-dione-N-yl)-O-C(=O)-CH_2CH_2-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX)

(Pyrrolidine-2,5-dione-N-yl)-O-C(=O)-$CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX)

(Pyrrolidine-2,5-dione-N-yl)-O-C(=O)-$CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX)

(Pyrrolidine-2,5-dione-N-yl)-O-C(=O)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX)

(Pyrrolidine-2,5-dione-N-yl)-O-C(=O)-$CH_2CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX)

(Pyrrolidine-2,5-dione-N-yl)-O-C(=O)-CH_2CH_2-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX)

(Pyrrolidine-2,5-dione-N-yl)-O-C(=O)-$CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX)

(Pyrrolidine-2,5-dione-N-yl)-O-C(=O)-$CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX)

(Pyrrolidine-2,5-dione-N-yl)-O-C(=O)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX)

(Pyrrolidine-2,5-dione-N-yl)-O-C(=O)-$CH_2CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX)

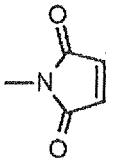
(Pyrrolidine-2,5-dione-N-yl)-O-C(=O)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

(Pyrrolidine-2,5-dione-N-yl)-O-C(=O)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX), or

(Pyrrolidine-2,5-dione-N-yl)-O-C(=O)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

wherein (maleimid-N-yl)- is a group represented by the following formula:

[Formula 13]

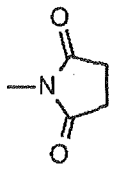


wherein the nitrogen atom is a connecting position,

X represents a halogen atom,

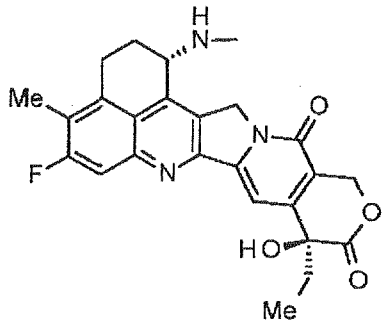
(Pyrrolidine-2,5-dione-N-yl)- is a group represented by the following formula:

[Formula 14]



wherein the nitrogen atom is a connecting position, and -(NH-DX) is a group represented by the following formula:

[Formula 15]



wherein the nitrogen atom of the amino group at position 1 is a connecting position.

[Claim 47]

A compound of the following:

(maleimid-N-yl)-CH_2CH_2-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

(maleimid-N-yl)-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

(maleimid-N-yl)-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-(NH-DX)

(maleimid-N-yl)-CH_2CH_2-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX)

(maleimid-N-yl)-CH_2CH_2-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX)

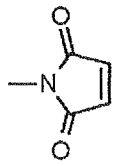
(maleimid-N-yl)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

(maleimid-N-yl)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX), or

(maleimid-N-yl)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

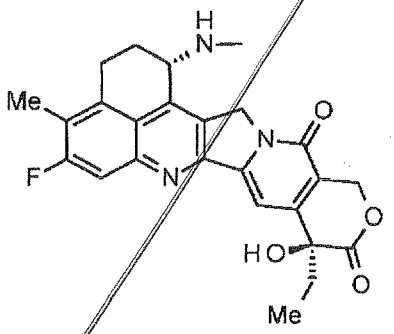
wherein (maleimid-N-yl)- is a group represented by the following formula:

[Formula 16]



wherein the nitrogen atom is a connecting position, and -(NH-DX) is a group represented by the following formula:

[Formula 17]



wherein the nitrogen atom of the amino group at position 1 is a connecting position.

[Claim 48]

A compound of the following:

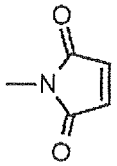
(maleimid-N-yl)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX),

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX) or

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX),

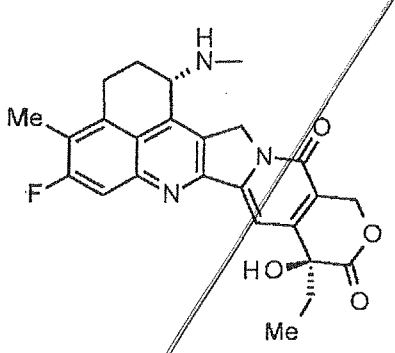
wherein (maleimid-N-yl)- is a group represented by the following formula:

[Formula 18]



wherein the nitrogen atom is a connecting position, and -(NH-DX) is a group represented by the following formula:

[Formula 19]



wherein the nitrogen atom of the amino group at position 1 is a connecting position.

[Claim 49]

A linker represented by the following formula:

$-L^1-L^2-L^P-NH-(CH_2)n^1-L^a-L^b-L^c-$

for obtaining an antibody-drug conjugate in which a drug is conjugated to an antibody via the linker,

wherein L^1 is a connecting position for the antibody,

L^c is a connecting position for an antitumor compound,

wherein

n^1 represents an integer of 0 to 6,

L^1 represents -(Succinimid-3-yl-N)-$(CH_2)n^2$-C(=O)-, $-CH_2$-C(=O)-NH-$(CH_2)n^3$-C(=O)-, -C(=O)-cyc.Hex(1,4)-CH_2-(N-ly-3-diminiccuS)-, or -C(=O)-$(CH_2)n^4$-C(=O)-,

wherein n^2 represents an integer of 2 to 8, n^3 represents an integer of 1 to 8, n^4 represents an integer of 1 to 8,

L^2 represents -NH-$(CH_2-CH_2-O)n^5-CH_2-CH_2$-C(=O)-, -S-$(CH_2)n^6$-C(=O)-, or a single bond,

wherein n^5 represents an integer of 1 to 6, n^6 represents an integer of 1 to 6,

L^P represents a peptide residue consisting of 2 to 7 amino acids,

L^a represents -C(=O)-NH-, $-NR^1-(CH_2)n^7$-, -O-, or a single bond,

wherein n^7 represents an integer of 1 to 6, R^1 represents a hydrogen atom, an alkyl group having 1 to 6 carbon atoms, $-(CH_2)n^8$-COOH, or $-(CH_2)n^9$-OH, n^8 represents an integer of 1 to 4, n^9 represents an integer of 1 to 6,

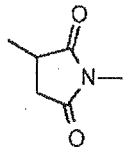
L^b represents $-CR^2(-R^3)$-, -O-, $-NR^4$-, or a single bond,

wherein R^2 and R^3 each independently represents a hydrogen atom, an alkyl group having 1 to 6 carbon atoms, $-(CH_2)n^a-NH_2$, $-(CH_2)n^b-COOH$, or $-(CH_2)n^c-OH$, R^4 represents a hydrogen atom or an alkyl group having 1 to 6 carbon atoms, n^a represents an integer of 0 to 6, n^b represents an integer of 1 to 4, n^c represents an integer of 1 to 4, provided that when n^a is 0, R^2 and R^3 are not the same as each other,

L^c represents $-CH_2-$ or $-C(=O)-$,

-(Succinimid-3-yl-N)- has a structure represented by the following formula:

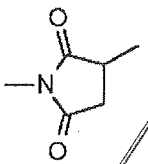
[Formula 20]



which is connecrted to the antibody at position 3 thereof and is connected to a methylene group in the linker structure containing this structure on the nitrogen atom at position 1,

-(N-ly-3-diminiccuS)- has a structure represented by the following formula:

[Formula 21]



which is connected to L^2 at position 3 thereof and is connected to a methylene group in the linker structure

containing this structure on the nitrogen atom at position 1,

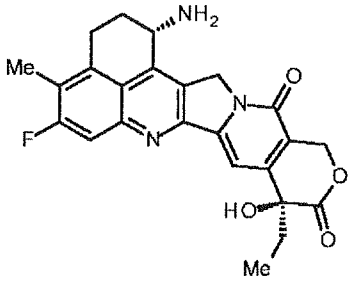
cyc.Hex(1,4) represents a 1,4-cyclohexylene group, and when L^2 is -S-$(CH_2)n^6$-C(=O)-, L^1 is -C(=O)-cyc.Hex(1,4)-CH_2-(N-ly-3-diminiccuS)-.

Claims

[Claim 1]

An antibody-drug conjugate wherein an antitumor compound represented by the following formula:

[Formula 1]



is conjugated to an antibody via a linker having a structure represented by the following formula:

$-L^1-L^2-L^P-NH-(CH_2)n^1-L^a-L^b-L^c-$

wherein the antibody is connected to the terminal of L^1, the antitumor compound is connected to the terminal of L^c with the nitrogen atom of the amino group at position 1 as the connecting position,

wherein

n^1 represents an integer of 0 to 5,

L^1 represents $-(Succinimid-3-yl-N)-(CH_2)n^2-C(=O)-$,

$-CH_2-C(=O)-NH-(CH_2)n^3-C(=O)-$,

$-C(=O)-cyc.Hex(1,4)-CH_2-(N-ly-3-diminiccuS)-$, or

$-C(=O)-(CH_2)n^4-C(=O)-$,

wherein n^2 represents an integer of 2 to 5, n^3 represents an integer of 2, n^4 represents an integer of,

L^2 represents $-NH-(CH_2-CH_2-O)n^5-CH_2-CH_2-C(=O)-$,

$-S-(CH_2)n^6-C(=O)-$, or a single bond,

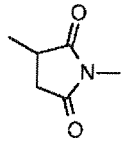
wherein n^5 represents an integer of 2 to 4, n^6 represents an integer of 2,

L^P represents a tetrapeptide residue of GGFG,

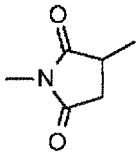
L^a represents -O- or a single bond,

L^b represents $-CR^2(-R^3)-$ or a single bond,

wherein R^2 and R^3 each represents a hydrogen atomL^c represents -C(=O)-, and
-(Succinimid-3-yl-N)- has a structure represented by the following formula:
[Formula 2]



which is connected to the antibody at position 3 thereof and is connected to a methylene group in the linker structure containing this structure on the nitrogen atom at position 1,
-(N-ly-3-diminiccuS)- has a structure represented by the following formula:
[Formula 3]



which is connected to L^2 at position 3 thereof and is connected to a methylene group in the linker structure containing this structure on the nitrogen atom at position 1,
cyc.Hex(1,4) represents a 1,4-cyclohexylene group, and
when L^2 is -S-$(CH_2)n^6$-C(=O)-, L^1 is
-C(=O)-cyc.Hex(1,4)-CH_2-(N-ly-3-diminiccuS)-.

[Claim 2]

The antibody-drug conjugate according to claim 1, wherein the structure of the linker is one structure selected from the following group:
-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)
-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

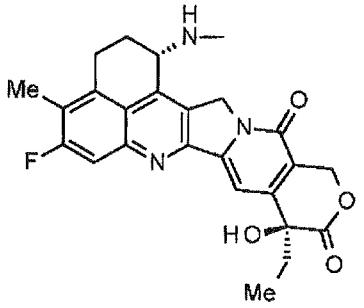
-CH_2-C(=O)-NH-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

-C(=O)-$CH_2CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

-C(=O)-cyc.Hex(1,4)-CH_2-(N-ly-3-diminiccuS)-S-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

wherein -(NH-DX) represents a group represented by the following formula:

[Formula 4]



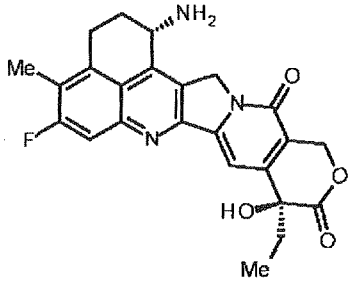
wherein the nitrogen atom of the amino group at position 1 is the connectig position, and

-GGFG- represents a peptide residue of -Gly-Gly-Phe-Gly-.

[Claim 3]

An antibody-drug conjugate wherein an antitumor compound represented by the following formula:

[Formula 5]



is conjugated to an antibody via a linker having a structure represented by the following formula:

$-L^1-L^2-L^P-NH-(CH_2)n^1-L^a-L^b-L^c-$

wherein the antibody is connected to the terminal of L^1, the antitumor compound is connected to the terminal of L^c with the nitrogen atom of the amino group at position 1 as the connecting position,

wherein

n^1 represents an integer of 0 to 6,

L^1 represents $-(Succinimid-3-yl-N)-(CH_2)n^2-C(=O)-$ and is connected to the antibody via a thioether bond which is formed at a disulfide bond moiety present in a hinge part of the antibody,

wherein n^2 represents an integer of 2 to 8,

L^2 represents $-NH-(CH_2-CH_2-O)n^5-CH_2-CH_2-C(=O)-$ or a single bond,

wherein n^5 represents an integer of 1 to 6,

L^P represents a tetrapeptide residue of GGFG,

L^a represents -O- or a single bond,

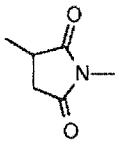
L^b represents $-CR^2(-R^3)-$ or a single bond,

wherein R^2 and R^3 each represents a hydrogen atom,

L^c represents -C(=O)-, and

-(Succinimid-3-yl-N)- has a structure represented by the following formula:

[Formula 6]



which is connected to the antibody at position 3 thereof and is connected to a methylene group in the linker structure containing this structure on the nitrogen atom at position 1.

[Claim 4]

The antibody-drug conjugate according to claim 3, wherein n^2 is an integer of 2 to 5, and L^2 is a single bond.

[Claim 5]

The antibody-drug conjugate according to claim 3, wherein n^2 is an integer of 2 to 5, L^2 is $-NH-(CH_2CH_2O)n^5-CH_2-CH_2-C(=O)-$, and n^5 is 2 or 4.

[Claim 6]

The antibody-drug conjugate according to any one of claims 3 to 5, wherein $-NH-(CH_2)n^1-L^a-L^b-L^c-$ is the following formula:

$-NH-(CH_2)_3-C(=O)-$,

$-NH-CH_2-O-CH_2-C(=O)-$, or

$-NH-(CH_2)_2-O-CH_2-C(=O)-$.

[Claim 7]

The antibody-drug conjugate according to any one of claims 3 to 5, wherein the structure of the linker is one structure selected from the following group:

-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

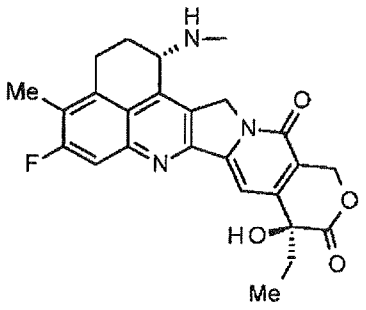
-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

wherein -(NH-DX) represents a group represented by the following formula:

[Formula 7]



wherein the nitrogen atom of the amino group at position 1 is a connecting position.

[Claim 8]

The antibody-drug conjugate according to any one of claims 3 to 5, wherein the structure of the linker is one structure selected from the following group:

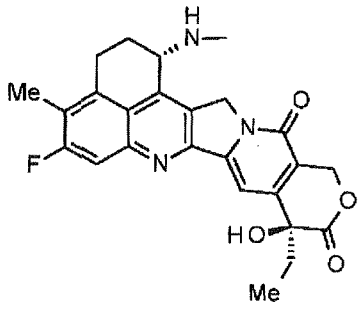
-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX)

-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

wherein -(NH-DX) represents a group represented by the following formula:

[Formula 8]



wherein the nitrogen atom of the amino group at position 1 is a connecting position.

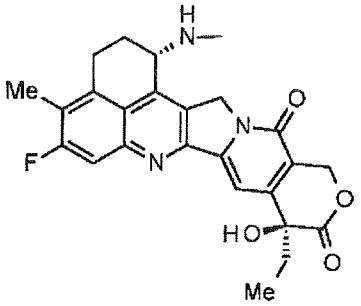
[Claim 9]

The antibody-drug conjugate according to any one of claims 3 to 5, wherein the structure of the linker has the following structure:

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX)

wherein -(NH-DX) represents a group represented by the following formula:

[Formula 9]



wherein the nitrogen atom of the amino group at position 1 is a connecting position.

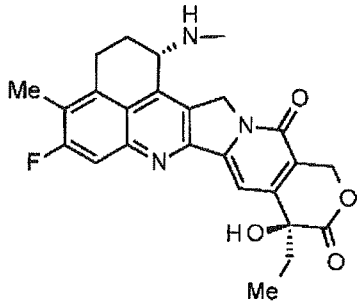
[Claim 10]

The antibody-drug conjugate according to any one of claims 3 to 5, wherein the structure of the linker has the following structure:

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX),

wherein -(NH-DX) represents a group represented by the following formula:

[Formula 10]



wherein the nitrogen atom of the amino group at position 1 is a connecting position.

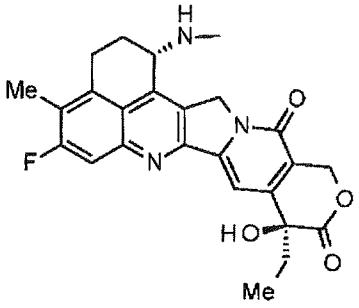
[Claim 11]

The antibody-drug conjugate according to any one of claims 3 to 5, wherein the structure of the linker has the following structure:

-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX),

wherein -(NH-DX) represents a group represented by the following formula:

[Formula 11]



wherein the nitrogen atom of the amino group at position 1 is a connecting position.

[Claim 12]

The antibody-drug conjugate according to claims 1 or 3, wherein an average number of units of the selected one drug-linker structure conjugated per antibody is in a range of from 2 to 8.

[Claim 13]

The antibody-drug conjugate according to claims 1 or 3, wherein an average number of units of the selected one drug-linker structure conjugated per antibody is in a range of from 3 to 8.

[Claim 14]

The antibody-drug conjugate according to claim 1 or 3, wherein the antibody is an anti-B7-H3 antibody, an anti-CD30 antibody, an anti-CD33 antibody, or an anti-CD70 antibody.

[Claim 15]

The antibody-drug conjugate according to claim 1 or 3, wherein the antibody is an anti-B7-H3 antibody.

[Claim 16]

A drug containing the antibody-drug conjugate according to claim 1 or 3, or a salt thereof.

[Claim 17]

An antitumor drug and/or anticancer drug containing the antibody-drug conjugate according to claim 1 or 3, or a salt thereof.

[Claim 18]

The antitumor drug and/or anticancer drug according to claim 17, which is applied to lung cancer, kidney cancer, urothelial cancer, colorectal cancer, prostate cancer, glioblastoma multiforme, ovarian cancer, pancreatic cancer, breast cancer, melanoma, liver cancer, bladder cancer, stomach cancer, or esophageal cancer.

[Claim 19]

A pharmaceutical composition containing the antibody-drug conjugate according to claim 1 or 3, or a salt thereof as an active component, and a pharmaceutically acceptable formulation component.

[Claim 20]

A drug-linker intermediate compound represented by the following formula:

$Q\text{-}(CH_2)n^Q\text{-}C(=O)\text{-}L^{2a}\text{-}L^P\text{-}NH\text{-}(CH_2)n^1\text{-}L^a\text{-}L^b\text{-}L^c\text{-}(NH\text{-}DX)$

wherein Q represents (maleimid-N-yl)-, HS-, $X\text{-}CH_2\text{-}C(=O)\text{-}NH$-, or (Pyrrolidine-2,5-dione-N-yl)-O-C(=O)-,

X represents a bromine atom,

n^Q represents an integer of 2 to 6,

L^{2a} represents $-NH\text{-}(CH_2\text{-}CH_2\text{-}O)n^5\text{-}CH_2\text{-}CH_2\text{-}C(=O)$- or a single bond,

wherein n^5 represents an integer of 1 to 6,

L^P represents a tetrapeptide residue of GGFG,

n^1 represents an integer of 0 to 5,

L^a represents -O- or a single bond,

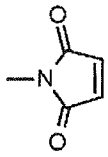
L^b represents $-CR^2(-R^3)-$ or a single bond,

wherein R^2 and R^3 each represents a hydrogen atom

L^c represents -C(=O)-,

(maleimid-N-yl)- is a group represented by the following formula:

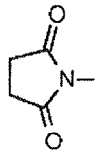
[Formula 12]



wherein the nitrogen atom is a connecting position,

(Pyrrolidine-2,5-dione-N-yl) is a group represented by the following formula:

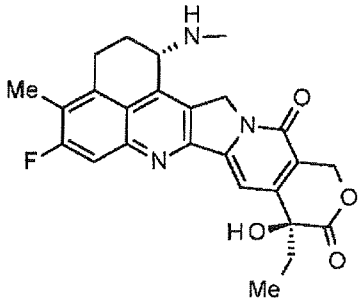
[Formula 13]



wherein the nitrogen atom is a connecting position, and

-(NH-DX) is a group represented by the following formula:

[Formula 14]



wherein the nitrogen atom of the amino group at position 1 is a connecting position.

[Claim 21]

The drug-linker intermediate compound according to claim 20, wherein $-NH-(CH_2)n^1-L^a-L^b-$ is the following formula:

$-NH-CH_2CH_2CH_2-$,

$-NH-CH_2-O-CH_2-$, or

$-NH-(CH_2)_2-O-CH_2-$.

[Claim 22]

The drug-linker intermediate compound according to claim 20, wherein

Q is (maleimid-N-yl)-,

n^Q is an integer of 2 to 5, and

L^{2a} is a single bond.

[Claim 23]

The drug-linker intermediate compound according to20, wherein

Q is (maleimid-N-yl)-,

n^Q is an integer of 2 to 5,

L^{2a} is $-NH-(CH_2-CH_2-O)n^5-CH_2-CH_2-C(=O)-$,

n^5 is an integer of 2 to 4, and

$-NH-(CH_2)n^1-L^a-L^b-$ is

$-NH-CH_2CH_2CH_2-$

[Claim 24]

A compound of the following:

(maleimid-N-yl)-CH_2CH_2-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

(maleimid-N-yl)-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX)

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX)

(maleimid-N-yl)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

(maleimid-N-yl)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

(maleimid-N-yl)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

(maleimid-N-yl)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-(NH-DX)

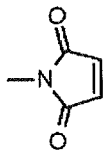
X-CH_2-C(=O)-NH-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

HS-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

(Pyrrolidine-2,5-dione-N-yl)-O-C(=O)-$CH_2CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX)

wherein (maleimid-N-yl)- is a group represented by the following formula:

[Formula 15]

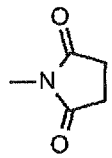


wherein the nitrogen atom is a connecting position,

X represents a halogen atom,

(Pyrrolidine-2,5-dione-N-yl)- is a group represented by the following formula:

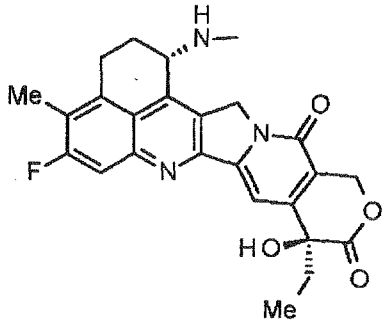
[Formula 16]



wherein the nitrogen atom is a connecting position, and

-(NH-DX) is a group represented by the following formula:

[Formula 17]



wherein the nitrogen atom of the amino group at position 1 is a connecting position.

[Claim 25]

A compound of the following:

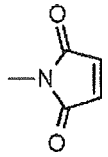
(maleimid-N-yl)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX),

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX) or

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX),

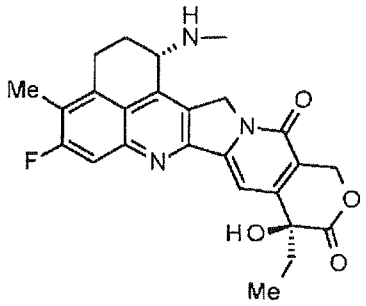
wherein (maleimid-N-yl)- is a group represented by the following formula:

[Formula 18]



wherein the nitrogen atom is a connecting position, and -(NH-DX) is a group represented by the following formula:

[Formula 19]



wherein the nitrogen atom of the amino group at position 1 is a connecting position.

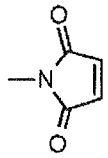
[Claim 26]

A compound of the following:

(maleimid-N-yl)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-(NH-DX),

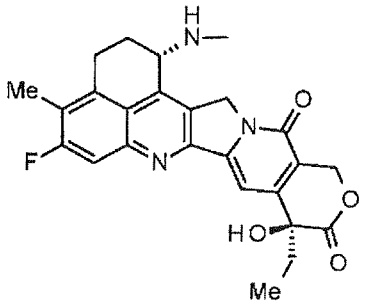
wherein (maleimid-N-yl)- is a group represented by the following formula:

[Formula 20]



wherein the nitrogen atom is a connecting position, and -(NH-DX) is a group represented by the following formula:

[Formula 21]



wherein the nitrogen atom of the amino group at position 1 is a connecting position.

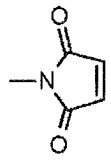
[Claim 27]

A compound of the following:

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-(NH-DX),

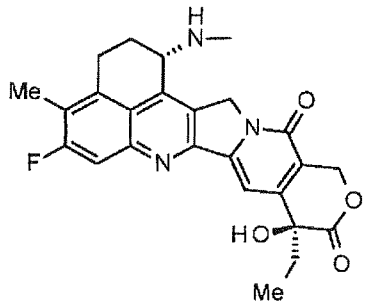
wherein (maleimid-N-yl)- is a group represented by the following formula:

[Formula 22]



wherein the nitrogen atom is a connecting position, and -(NH-DX) is a group represented by the following formula:

[Formula 23]



wherein the nitrogen atom of the amino group at position 1 is a connecting position.

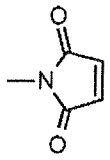
[Claim 28]

A compound of the following:

(maleimid-N-yl)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-(NH-DX),

wherein (maleimid-N-yl)- is a group represented by the following formula:

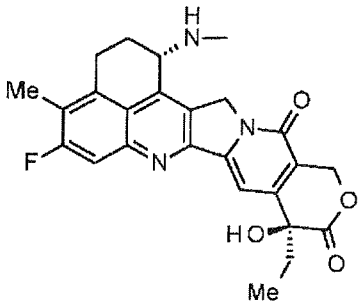
[Formula 24]



wherein the nitrogen atom is a connecting position, and

-(NH-DX) is a group represented by the following formula:

[Formula 25]



wherein the nitrogen atom of the amino group at position 1 is a connecting position.

[Claim 29]

A linker represented by the following formula:

$-L^1-L^2-L^P-NH-(CH_2)n^1-L^a-L^b-L^c-$

for obtaining an antibody-drug conjugate in which a drug is conjugated to an antibody via the linker,

wherein L^1 is a connecting position for the antibody, L^c is a connecting position for an antitumor compound,

wherein

n^1 represents an integer of 0 to 5,

L^1 represents -(Succinimid-3-yl-N)-$(CH_2)n^2$-C(=O)-,

$-CH_2$-C(=O)-NH-$(CH_2)n^3$-C(=O)-,

-C(=O)-cyc.Hex(1,4)-CH_2-(N-ly-3-diminiccuS)-, or

$-C(=O)-(CH_2)n^4-C(=O)-$,

wherein n^2 represents an integer of 2 to 5, n^3 represents an integer of 2, n^4 represents an integer of 6,

L^2 represents $-NH-(CH_2-CH_2-O)n^5-CH_2-CH_2-C(=O)-$,

$-S-(CH_2)n^6-C(=O)-$, or a single bond,

wherein n^5 represents an integer of 2 to 4, n^6 represents an integer of 2,

L^P represents a tetrapeptide residue of GGFG,

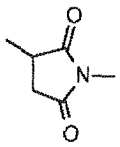
L^a represents -O- or a single bond,

L^b represents $-CR^2(-R^3)-$ or a single bond,

wherein R^2 and R^3 each represents a hydrogen atomL^c represents $-C(=O)-$,

-(Succinimid-3-yl-N)- has a structure represented by the following formula:

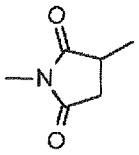
[Formula 26]



which is connecrted to the antibody at position 3 thereof and is connected to a methylene group in the linker structure containing this structure on the nitrogen atom at position 1,

-(N-ly-3-diminiccuS)- has a structure represented by the following formula:

[Formula 27]



which is connected to L^2 at position 3 thereof and is connected to a methylene group in the linker structure containing this structure on the nitrogen atom at position 1,

cyc.Hex(1,4) represents a 1,4-cyclohexylene group, and

when L^2 is -S-$(CH_2)n^6$-C(=O)-, L^1 is -C(=O)-cyc.Hex(1,4)-CH_2-(N-ly-3-diminiccuS)-.

[Claim 30]

A linker represented by the following formula:

$-L^1-L^2-L^P-NH-(CH_2)n^1-L^a-L^b-L^c-$

for obtaining an antibody-drug conjugate in which a drug is conjugated to an antibody via the linker,

wherein L^1 is a connecting position for the antibody, L^c is a connecting position for an antitumor compound,

wherein

n^1 represents an integer of 0 to 6,

L^1 represents -(Succinimid-3-yl-N)-$(CH_2)n^2$-C(=O)- and is connected to the antibody via a thioether bond which is formed at a disulfide bond moiety present in a hinge part of the antibody,

wherein n^2 represents an integer of 2 to 8,

L^2 represents -NH-$(CH_2$-CH_2-O$)n^5$-CH_2-CH_2-C(=O)- or a single bond,

wherein n^5 represents an integer of 1 to 6,

L^P represents a tetrapeptide residue of GGFG,

L^a represents -O- or a single bond,

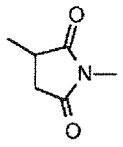
L^b represents $-CR^2(-R^3)-$ or a single bond,

wherein R^2 and R^3 each represents a hydrogen atom,

L^c represents -C(=O)-, and

-(Succinimid-3-yl-N)- has a structure represented by the following formula:

[Formula 28]



which is connected to the antibody at position 3 thereof and is connected to a methylene group in the linker structure containing this structure on the nitrogen atom at position 1.

[Claim 31]

A linker as claimed in chlaim 30, wherein said linker is selected from the following group:

-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-

-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-

-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$(CH_2)_2$-C(=O)-

-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$(CH_2)_3$-C(=O)-

-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-CH_2CH_2-C(=O)-

-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-

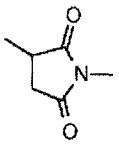
-C(=O)-$CH_2CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-

-C(=O)-cyc.Hex(1,4)-CH_2-(N-ly-3-diminiccuS)-CH_2CH_2-(C=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-

-CH_2-C(=O)-NH-CH_2CH_2-C(=O)-GGFG-NH-$CH_2CH_2CH_2$-C(=O)-

wherein -(Succinimid-3-yl-N)- has a structure represented by the following formula:

[Formula 29]



which is connected to the antibody at position 3 thereof and is connected to a methylene group in the linker structure containing this structure on the nitrogen atom at position 1.

[Claim 32]

A linker as claimed in chlaim 30, wherein said linker is selected from the following group:

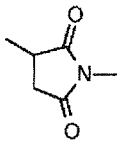
-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$(CH_2)_3$-C(=O)-

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-

wherein -(Succinimid-3-yl-N)- has a structure represented by the following formula:

[Formula 30]



which is connected to the antibody at position 3 thereof and is connected to a methylene group in the linker structure containing this structure on the nitrogen atom at position 1.

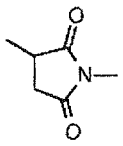
[Claim 33]

A linker as claimed in chlaim 30, wherein said linker has the following formula:

-(Succinimid-3-yl-N)-CH_2CH_2-C(=O)-NH-CH_2CH_2O-CH_2CH_2O-CH_2CH_2-C(=O)-GGFG-NH-$(CH_2)_3$-C(=O)-

wherein -(Succinimid-3-yl-N)- has a structure represented by the following formula:

[Formula 31]



which is connected to the antibody at position 3 thereof and is connected to a methylene group in the linker structure containing this structure on the nitrogen atom at position 1.

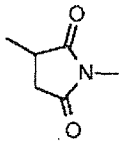
[Claim 34]

A linker as claimed in chlaim 30, wherein said linker has the following formula:

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2-O-CH_2-C(=O)-

wherein -(Succinimid-3-yl-N)- has a structure represented by the following formula:

[Formula 32]



which is connected to the antibody at position 3 thereof and is connected to a methylene group in the linker structure containing this structure on the nitrogen atom at position 1.

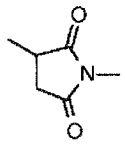
[Claim 35]

A linker as claimed in chlaim 30, wherein said linker has the following formula:

-(Succinimid-3-yl-N)-$CH_2CH_2CH_2CH_2CH_2$-C(=O)-GGFG-NH-CH_2CH_2-O-CH_2-C(=O)-

wherein -(Succinimid-3-yl-N)- has a structure represented by the following formula:

[Formula 33]



which is connected to the antibody at position 3 thereof and is connected to a methylene group in the linker structure containing this structure on the nitrogen atom at position 1.

[Claim 36]

A compound selected from a group of

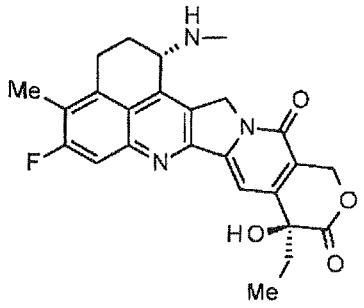
NH_2-$CH_2CH_2CH_2$-C(=O)-(NH-DX),

NH_2-CH_2CH_2-O-CH_2-C(=O)-(NH-DX), or

HO-CH_2-C(=O)-(NH-DX),

wherein -(NH-DX) is a group represented by the following formula:

[Formula 34]



wherein the nitrogen atom of the amino group at position 1 is a connecting position.

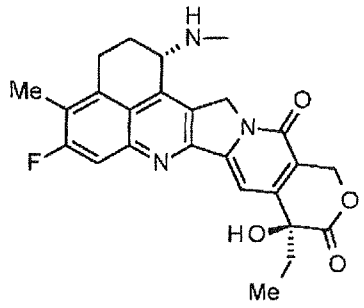
[Claim 37]

A compound of

NH_2-$CH_2CH_2CH_2$-C(=O)-(NH-DX),

wherein -(NH-DX) is a group represented by the following formula:

[Formula 35]



wherein the nitrogen atom of the amino group at position 1 is a connecting position.

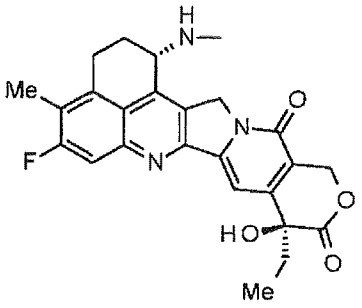
[Claim 38]

A compound of

NH_2-CH_2CH_2-O-CH_2-C(=O)-(NH-DX),

wherein -(NH-DX) is a group represented by the following formula:

[Formula 36]



wherein the nitrogen atom of the amino group at position 1 is a connecting position.

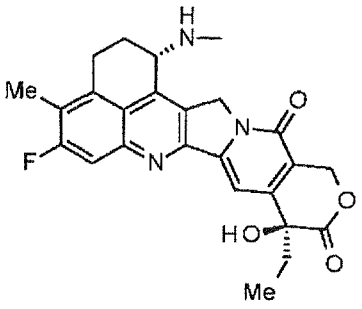
[Claim 39]

A compound of

$HO\text{-}CH_2\text{-}C(=O)\text{-}(NH\text{-}DX)$,

wherein -(NH-DX) is a group represented by the following formula:

[Formula 37]



wherein the nitrogen atom of the amino group at position 1 is a connecting position.