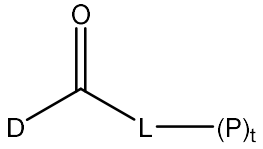
CLAIMS

What is claimed is:

Claim 1.

A linker-payload compound, having formula (I):

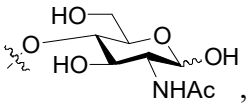
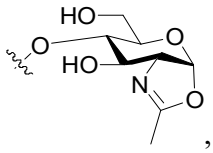


(I)

wherein

P is a payload;

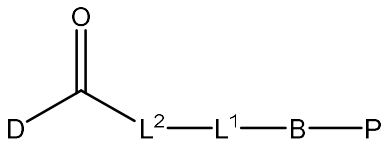
D-C(O)-L- is a linker;

D-C(O)- is an oligosaccharide structure, which is a -first hexosyl or its derivative moiety-(second hexosyl or its derivative moiety)$_f$-β-D-*N*-acetylglucosamine moiety or a -first hexosyl or its derivative moiety-(second hexosyl or its derivative moiety)$_f$-β-D-glucose oxazoline moiety, wherein C-6 of the first hexosyl or its derivative moiety is in the form of -C(O)-, which is -C(O)- in D-C(O)-, the β-D-*N*-acetylglucosamine moiety is  , the β-D-glucose oxazoline moiety is  , and f is 0, 1, 2, 3, 4, 5 or 6;

L is a linker end, and L is directly attached to carbonyl in D-C(O)- via -NH- therein, wherein when L is a unbranched linker end, L is attached to one P, and t is 1, while when L is a branched linker end, each branch can be attached to one P, and t is an integer greater than 1 (e.g., 2, 3, 4, 5, 6, 7, 8, 9, or 10).

Claim 2.

The linker-payload compound according to claim 1, wherein -L-(P)$_t$ is: -L^2-L^1-B-P, i.e., formula (I) is:



formula (I-1)

wherein

B is independently absent, or is 1) below, or 2) below, or a combination of 1) and 2) below: 1) the self-immolative spacer Sp1; 2) a divalent group, or a combination of two or more divalent groups, wherein the divalent group is selected from: $-CR^1R^2-$, C_{1-10} alkylene, C_{4-10} cycloalkylene, C_{4-10} heterocyclylene and -(CO)-;

L^1 is independently absent, or is a uncleavable sequence; or is a cleavable sequence comprising an amino acid sequence that is enzymatically cleavable, and the amino acid sequence that is enzymatically cleavable comprises 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10 amino acids;

L^2 is independently absent; or is 1) below; or 2) below; or a combination of 1) and 2) below:

1) -NH-C_{2-20} alkylene, wherein one or more $-CH_2-$ structures in the alkylene are optionally replaced by the following groups: $-CR^3R^4-$, -O-, -(CO)-, -S-, $-S(=O)_2-$, $-NR^5-$, $-N^{\oplus}R^6R^7-$, C_{4-10} cycloalkylene, C_{4-10} heterocyclylene and phenylene, wherein the cycloalkylene, the heterocyclylene and the phenylene are each independently unsubstituted or is substituted with at least one substituent selected from halogen, $-C_{1-10}$ alkyl, $-C_{1-10}$ haloalkyl, $-C_{1-10}$ alkylene-NH-R^8 and $-C_{1-10}$ alkylene-O-R^9;

2) an amino acid residue sequence, i.e., $-*(AA)_n**-$, wherein n is an integer from 1 to 100, AA, when occurring each time, is independently an amino acid residue, * represents the N-terminus of a corresponding amino acid, ** represents the C-terminus of the corresponding amino acid, and $-(C_2H_4-O)_m-(CH_2)_p-$ is optionally present between amino and α-carbon of an amino acid, wherein m is 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10; p is 0, 1, 2 or 3, and the * terminus forms an amide bond with the carbonyl in the oligosaccharide structure;

R^1, R^2, R^3, R^4, R^5, R^6, R^7, R^8 and R^9 are each independently selected from hydrogen, halogen, substituted or unsubstituted $-C_{1-10}$ alkyl, and C_{4-10} cycloalkylene; or R^1 and R^2 and carbon atoms attached thereto together form a 3 to 6-membered cycloalkylene, and/or R^3 and R^4 and carbon atoms attached thereto together form a 3 to 6-membered cycloalkylene;

P is a payload attached to moiety B, or moiety L^1, or moiety L^2.

Claim 3.

The linker-payload compound according to claim 2, wherein L^2 is the amino acid residue sequence, i.e., -*(AA)n**-, n is an integer from 1 to 100, AA, when occurring each time, is independently an amino acid residue, * represents the N-terminus of a corresponding amino acid, ** represents the C-terminus of the corresponding amino acid, and $-(C_2H_4-O)_m-(CH_2)_p-$ is optionally present between amino and α-carbon of an amino acid, wherein m is 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10; p is 0, 1, 2 or 3, and the * terminus forms an amide bond with the carbonyl in the oligosaccharide structure.

Claim 4.

The linker-payload compound according to claim 3, wherein AA, when occurring each time, is independently any one of Phe, Lys, Gly, Ala, Leu, Asn, Val, Ile, Pro, Trp, Ser, Tyr, Cys, Met, Asp, Gln, Glu, Thr, Arg and His, or any combination thereof.

Claim 5.

The linker-payload compound according to any one of claims 2 to 4, wherein n is an integer from 1 to 50, preferably an integer from 1 to 30, preferably an integer from 1 to 20, preferably an integer from 1 to 10, preferably an integer of 1, 2, 3, 4, 5, 6, 7, 8, 9 and 10.

Claim 6.

The linker-payload compound according to any one of claims 2 to 5, wherein L^1 is a cleavable sequence comprising an amino acid sequence that is enzymatically cleavable, and the amino acid sequence that is enzymatically cleavable comprises 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10 amino acids.

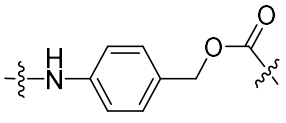
Claim 7.

The linker-payload compound according to any one of claims 2 to 6, wherein L^1 is any one of Val, Cit, Phe, Lys, Gly, Ala, Leu and Asn or any combination thereof, preferably -Val-Ala, -Gly-Gly-Phe-Gly-, -Phe-Lys-, -Val-Cit-, -Val-Lys-, -Gly-Phe-Leu-Gly-, -Ala-Leu-Ala-Leu-, -Ala-Ala-Ala- and a combination thereof.

Claim 8.

The linker-payload compound according to any one of claims 2 to 7, wherein L^1 is -Val-Cit- or -Gly-Gly-Phe-Gly-.

Claim 9.

The linker-payload compound according to any one of claims 2 to 8, wherein B is selected from:  (-PABC-), -NH-CH_2-U-, or -NH-CH_2-U-$(CH_2)_g$-(CO)-; wherein g is 1, 2, 3, 4, 5 or 6; U is absent, or is CH_2, O, S, or NH, preferably O or S.

Claim 10.

The linker-payload compound according to any one of claims 2 to 9, wherein -L^1-B- represents -Val-Cit-PABC- or -Gly-Gly-Phe-Gly-.

Claim 11.

The linker-payload compound according to any one of claims 2 to 10, wherein -L^2-L^1-B- represents -Gly-Gly-Gly-Val-Cit-PABC- or -HN-$(C_2H_4$-O$)_m$-$(CH_2)_p$-Gly-Gly-Phe-Gly-.

Claim 12.

The linker-payload compound according to any one of claims 1 to 11, wherein the payload P is selected from the group consisting of small molecule compounds (e.g., small molecule drugs with various mechanisms of action, comprising various traditional small molecule drugs, photoacoustic dynamic therapy drugs, photothermal therapy drugs, for example, chemotherapy drugs, small molecule targeted drugs, immune agonists, and the like, for example, traditional cytotoxic drugs such as cis-platinum, paclitaxel, 5-fluorouracil, cyclophosphamide and bendamustine; small molecule targeted drugs such as imatinib mesylate, gefitinib and anlotinib; immune agonists such as STING agonists and TLR agonists), nucleic acids and nucleic acid analogs, tracer molecules (comprising fluorescent molecules, biotin, fluorophores, chromophores, spin resonance probes, radioactive labels, and the like), short peptides, polypeptides, peptidomimetics and proteins.

Claim 13.

The linker-payload compound according to any one of claims 1 to 12, wherein the payload P is a cytotoxin or a fragment thereof, having an optional derivative that connects to the L part of the compound shown in formula (I) as defined in claims 1 to 12;

preferably, the cytotoxin is selected from the group consisting of taxanes, maytenins, auristatins, epothilones, combretastatin A-4 phosphate, combretastatin A-4 and its derivatives, indole-sulfonamides, vinblastines such as vinblastine, vincristine, vindesine, vinorelbine, vinflunine, vinglycinate, anhy-drovinblastine, dolastatin 10 and its analogs, halichondrin B, indole-3-oxoacetamides, podophyllotoxins, 7-diethylamino-3-(2'-benzoxazolyl)-coumarin (DBC), discodermolide, laulimalide, camptothecins and its derivatives, mitoxantrone, mitoguazone, nitrogen mustards, nitrosoureas, aziridines, benzodopa, carboquone, meturedepa, uredepa, dynemicin, esperamicin, neoearcinostatin, aclacinomycin, actinomycin, anthramycin, bleomycins, actinomycin C, carabicin, carminomycin, sarkomycin, carminomycin, actinomycin D, daunorubicin, detorubicin, doxorubicin, pharmorubicin, esorubicin, idarubicin, marcellomycin, mitomycins, nogalamycin, olivomycin, peplomycin, porfiromycin, puromycin, quelamycin, rodorubicin, streptonigrin, streptozocin, zinostatin, zorubicin, trichothecenes, T-2 toxin, verracurin A, roridin A, anguidine, ubenimex, azaserine, 6-diazo-5-oxo-L-norleucine, denopterin, methotrexate, pteropterin, trimetrexate, edatrexate, fludarabine, 6-purinethiol, thiamiprine, thioguanine, ancitabine, gemcitabine, enocitabine, azacitidine, 6-azauridine, carmofur, cytarabine, dideoxyuridine, doxifluridine, floxuridine, calusterone, dromostanolone propionate, epitiostanol, mepitiostane, testolactone, aminoglutethimide, mitotane, trilostane, flutamide, nilutamide, bicalutamide, leuprolide acetate, protein kinase inhibitors, and proteasome inhibitors; and/or

the cytotoxin is selected from the group consisting of vinblastins, colchicines, taxanes, auristatins, maytansinoids, calicheamicin, doxonubicin, duocarmucin, SN-38, cryptophycin analogs, deruxtecan, duocarmazine, calicheamicin, centanamycin, dolastansine, pyrrolobenzodiazepine and exatecan and its derivatives; and/or

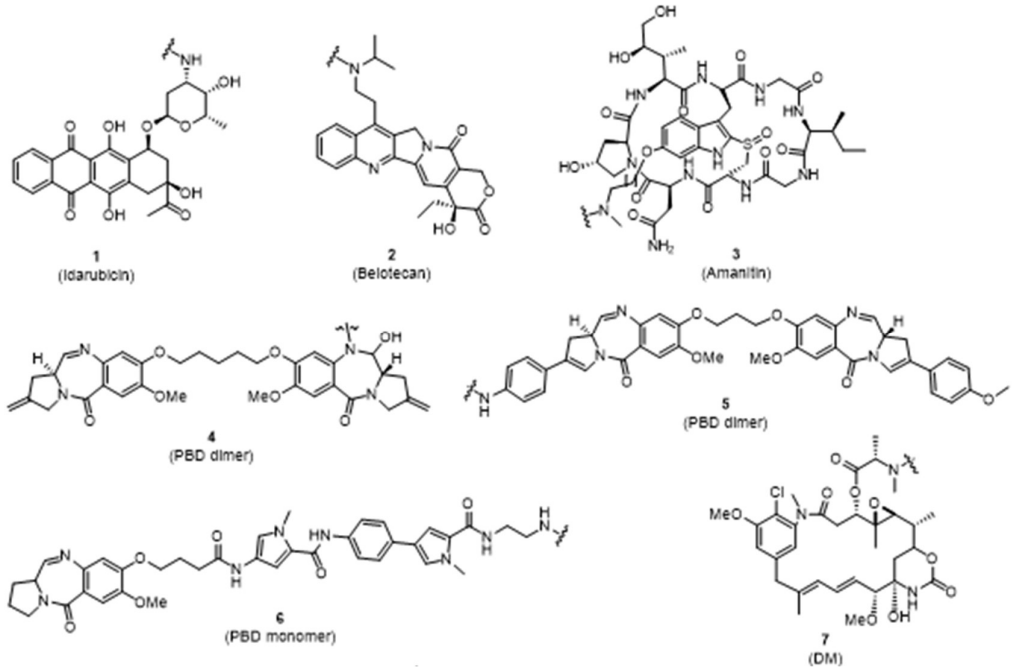
the cytotoxin is selected from auristatin, especially MMAE, MMAF or MMAD; and/or

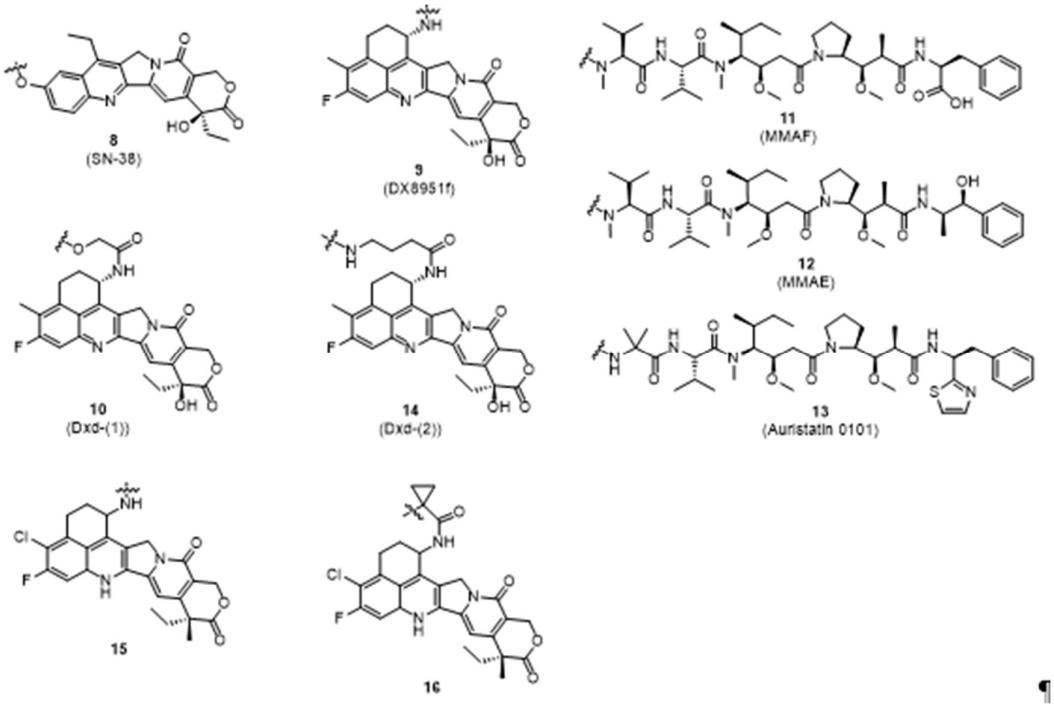
the cytotoxin is selected from exatecan and its derivative, for example DX8951f; and/or

the cytotoxin is selected from DXd-(1) and DXd-(2), preferably DXd-(1).

Claim 14.

The linker-payload compound according to any one of claims 2 to 13, wherein the payload is selected from:



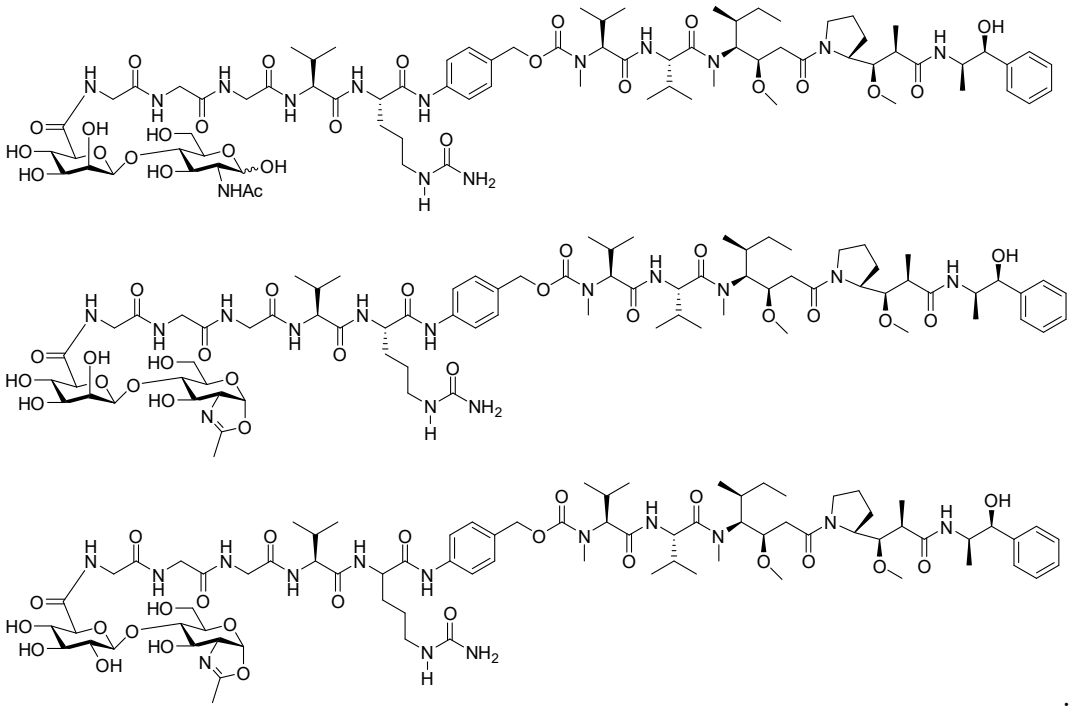


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Claim 15.

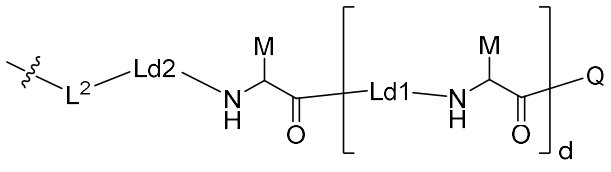
The linker-payload compound according to claim 2, selected from:



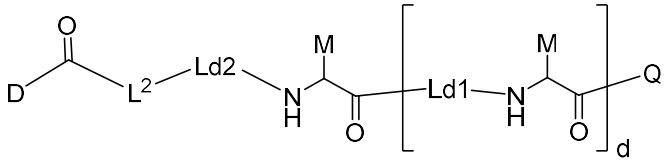
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Claim 16.

The linker-payload compound according to claim 1, wherein -L-$(P)_t$ is



, i.e., formula (I) is:



formula (I-2)

wherein

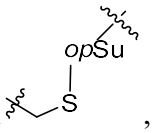
Ld2 and each Ld1 are bonds independently, or are selected from -NH-C_{1-20} alkylene-(CO)- and -NH-$(PEG)_i$-(CO)-, or are natural amino acids independently unsubstituted or substituted with -CO-$(PEG)_j$-R^{11} on the side chain or oligomeric natural amino acids with a polymerization degree of 2 to 10 (i.e., 2, 3, 4, 5, 6, 7, 8, 9 or 10);

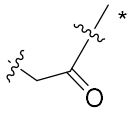
-$(PEG)_i$- and -$(PEG)_j$- are each a PEG fragment, comprising a specified number of continuous -(O-C_2H_4)- structural units or continuous -(C_2H_4-O)- structural units, optionally with C_{1-10} alkylene attached at one end;

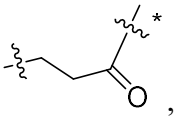
M is hydrogen or LKa-L^2—L^1—B—P;

Q is NH_2 or L^2—L^1—B—P;

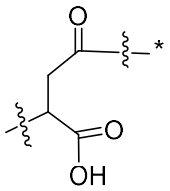
provided that the following cases are excluded: M is hydrogen and Q is NH_2;

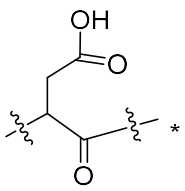
each LKa is independently selected from 

, 

and 

,

*op*Su is 

, 

or a mixture thereof; wherein * represents a moiety attached to L^2;

wherein L^2, L^1, and B are as defined in claim 2; alternatively, -L^1-B- represents -Val-Cit-PABC- or -Gly-Gly-Phe-Gly-; alternatively, -L^2-L^1-B- represents -Gly-Gly-Gly-Val-Cit-PABC- or -HN-(C_2H_4-O)$_m$-(CH_2)$_p$-Gly-Gly-Phe-Gly-;

R^{11} is C_{1-10} alkyl;

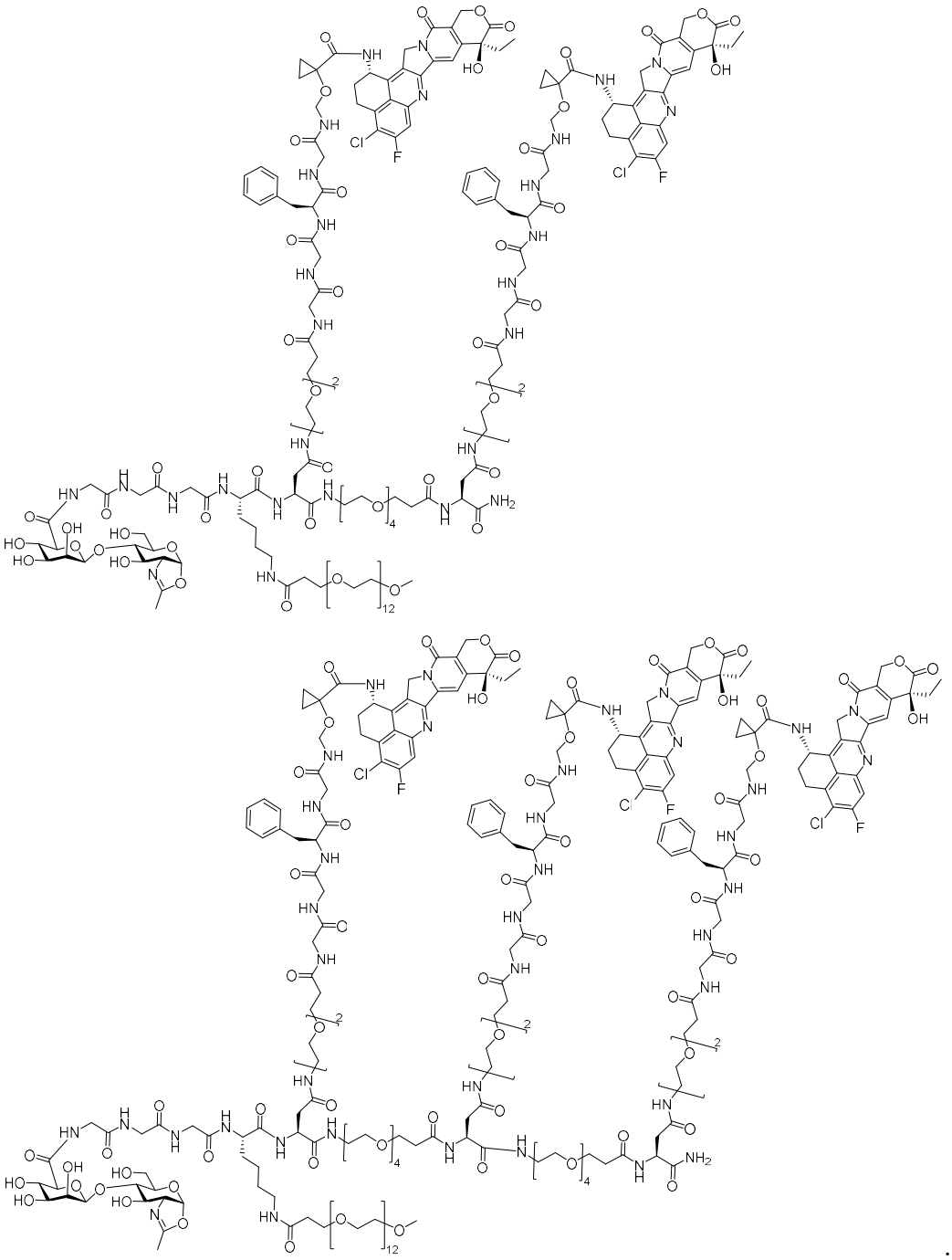
d is 0, 1, 2, 3, 4, 5 or 6;

each i is independently an integer from 1 to 100 (e.g., 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10);

each j is independently an integer from 1 to 100 (e.g., 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10).

Claim 17.

The linker-payload compound according to claim 16, wherein -L-$(P)_t$ is selected from

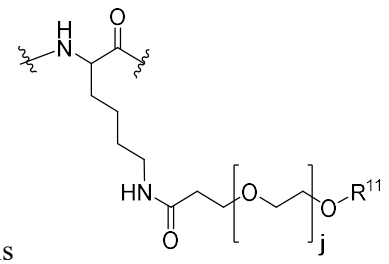


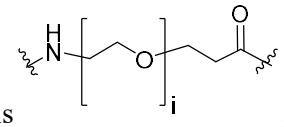
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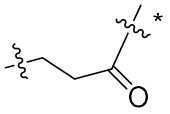
Claim 18.

The linker-payload compound according to claim 16, wherein

L^2 attached to D-C(O)- is -Gly-Gly-Gly-;

Ld2 is , wherein R^{11} is methyl, j is 12;

Ld1 is , wherein i is 4;

M is LKa-L^2—L^1—B—P, wherein LKa is , -L^2-L^1-B- represents -HN-$(C_2H_4$-$O)_m$-$(CH_2)_p$-Gly-Gly-Phe-Gly-, m is 2, p is 2;

Q is NH_2;

d is 1.

Claim 19.

The linker-payload compound according to any one of claims 1 to 14 and claim 16, wherein

the first hexosyl or its derivative moiety is selected from glucosyl, mannosyl, galactosyl, fructosyl, gulosyl, idosyl or their derivatives, and the C-6 of the first hexosyl or its derivative moiety is in the form -C(O); and/or

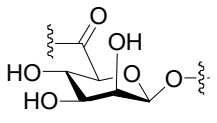
the second hexosyl or its derivative moiety, when occurring each time, is independently selected from glucosyl, mannosyl, galactosyl, fructosyl or their derivatives; and/or

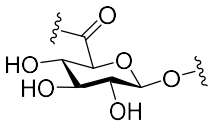
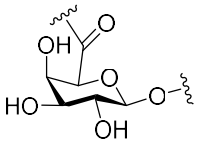
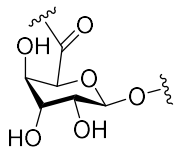
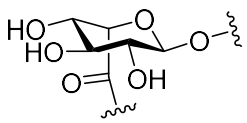
individual monosaccharide moieties in the oligosaccharide structure are attached by β-(1→4) glucosidic bonds; and/or

the derivative is independently selected from uronic acid, or monosaccharides in which a hydroxyl group is replaced by acylamino group.

Claim 20.

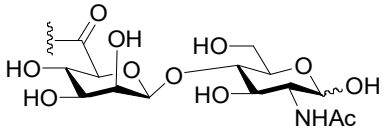
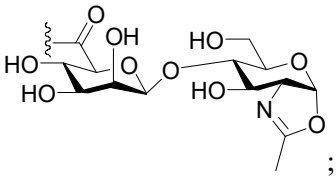
The linker-payload compound according to any one of claims 1 to 14, claim 16 and claim 19, wherein

the first hexosyl or its derivative moiety is selected from ,

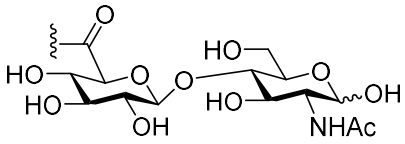
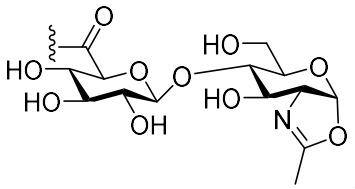
, ,  or ;

and/or, f is 0;

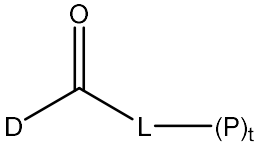
and/or

D-C(O)- is a disaccharide structure  or ;

and/or

D-C(O)- is a disaccharide structure  or .

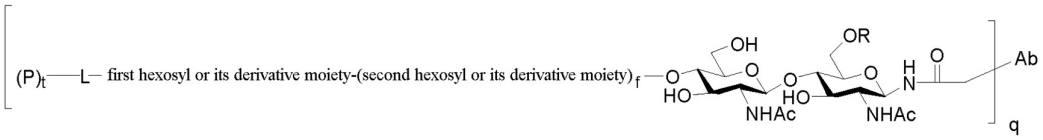
Claim 21.

A method for preparing the linker-payload compound according to any one of claims 1 to 20, comprising the step of enabling D-C(O)-OH and L'-$(P)_t$ to undergo an amide formation reaction to form , wherein

L' is the same as L defined in any one of claims 1 to 20, except that -NH- attached to D-C(O)- in L is H_2N- in L'.

Claim 22.

An antibody-drug conjugate based on the site-specific conjugation at the N-glycosylation site in Fc region of an antibody, having the structure of formula (II):



formula (II)

wherein

R is hydrogen or α-L-fucosyl;

q is 1 or 2;

Ab is an antibody or antigen-binding fragment;

-L-$(P)_t$ and f are as defined in any one of claims 1 to 20;

the first hexosyl or its derivative moiety is selected from glucosyl, mannosyl, galactosyl, fructosyl, gulosyl, idosyl or their derivatives, and the C-6 of the first hexosyl or its derivative moiety is in the form -C(O);

the second hexosyl or its derivative moiety, when occurring each time, is independently selected from glucosyl, mannosyl, galactosyl, fructosyl or their derivatives; and

the derivative is independently selected from uronic acid, or monosaccharides in which a hydroxyl group is replaced by acylamino group.

Claim 23.

The antibody-drug conjugate according to claim 22, wherein

a first hexosyl or its derivative moiety is as defined in claim 20; and/or

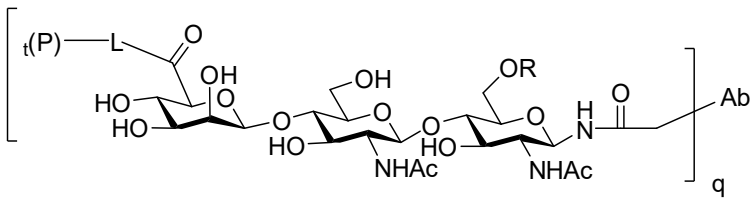
a second hexosyl or its derivative moiety is as defined in claim 19; and/or

individual monosaccharide moieties are connected by β-(1→4) glucosidic bonds; and/or

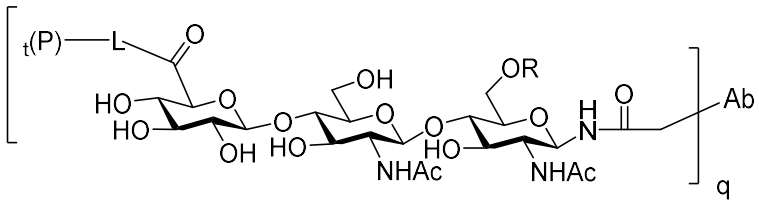
f is as defined in claim 20.

Claim 24.

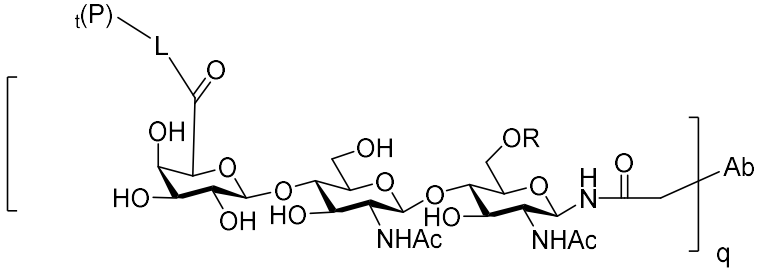
The antibody-drug conjugate according to claim 22, wherein formula (II) is selected from formulas (II-1), (II-2), (II-3), (II-4) and (II-5):



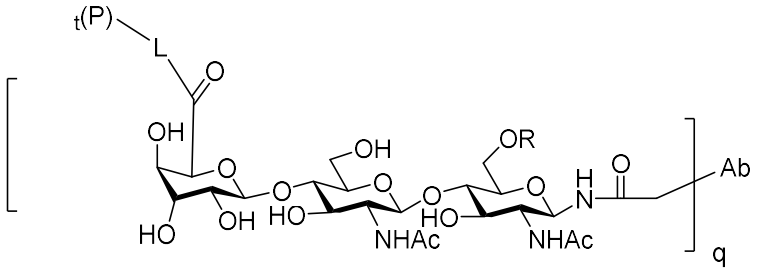
formula (II-1)



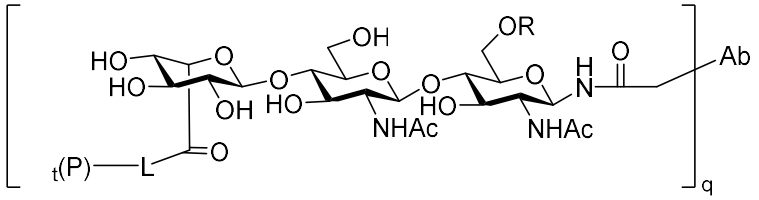
formula (II-2)



formula (II-3)



formula (II-4)



formula (II-5)

wherein

R is hydrogen or α-L-fucosyl;

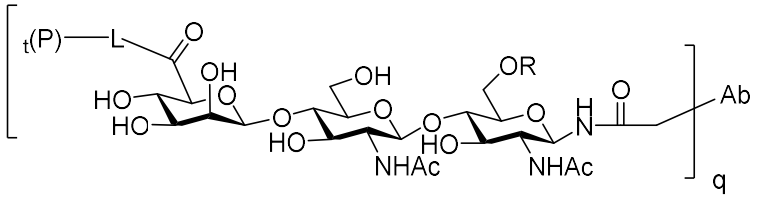
q is 1 or 2;

Ab is an antibody or antigen-binding fragment;

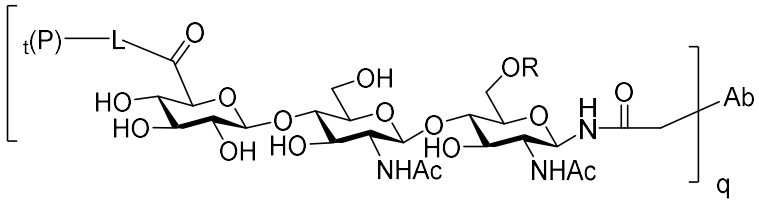
-L-$(P)_t$ is as defined in any one of claims 1 to 18.

Claim 25.

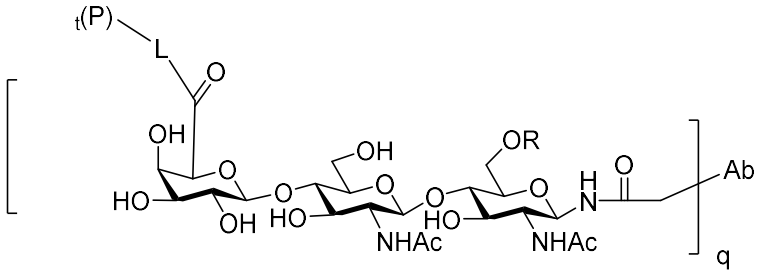
The antibody-drug conjugate according to claim 22, wherein formula (II) is selected from formulas (II-1), (II-2), (II-3), (II-4) and (II-5):



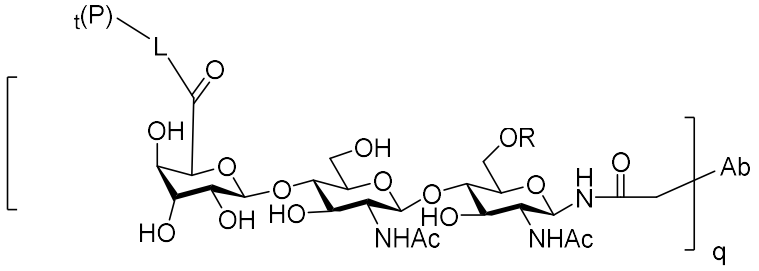
formula (II-1)



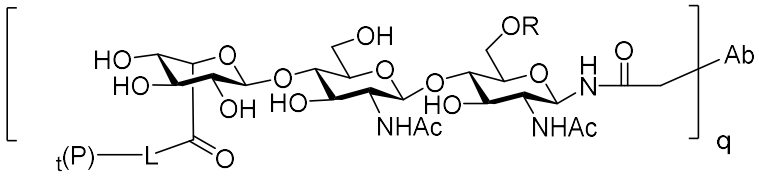
formula (II-2)



formula (II-3)



formula (II-4)



formula (II-5)

wherein

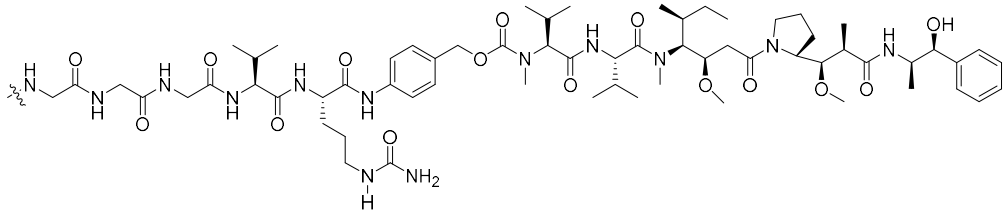
R is hydrogen or α-L-fucosyl;

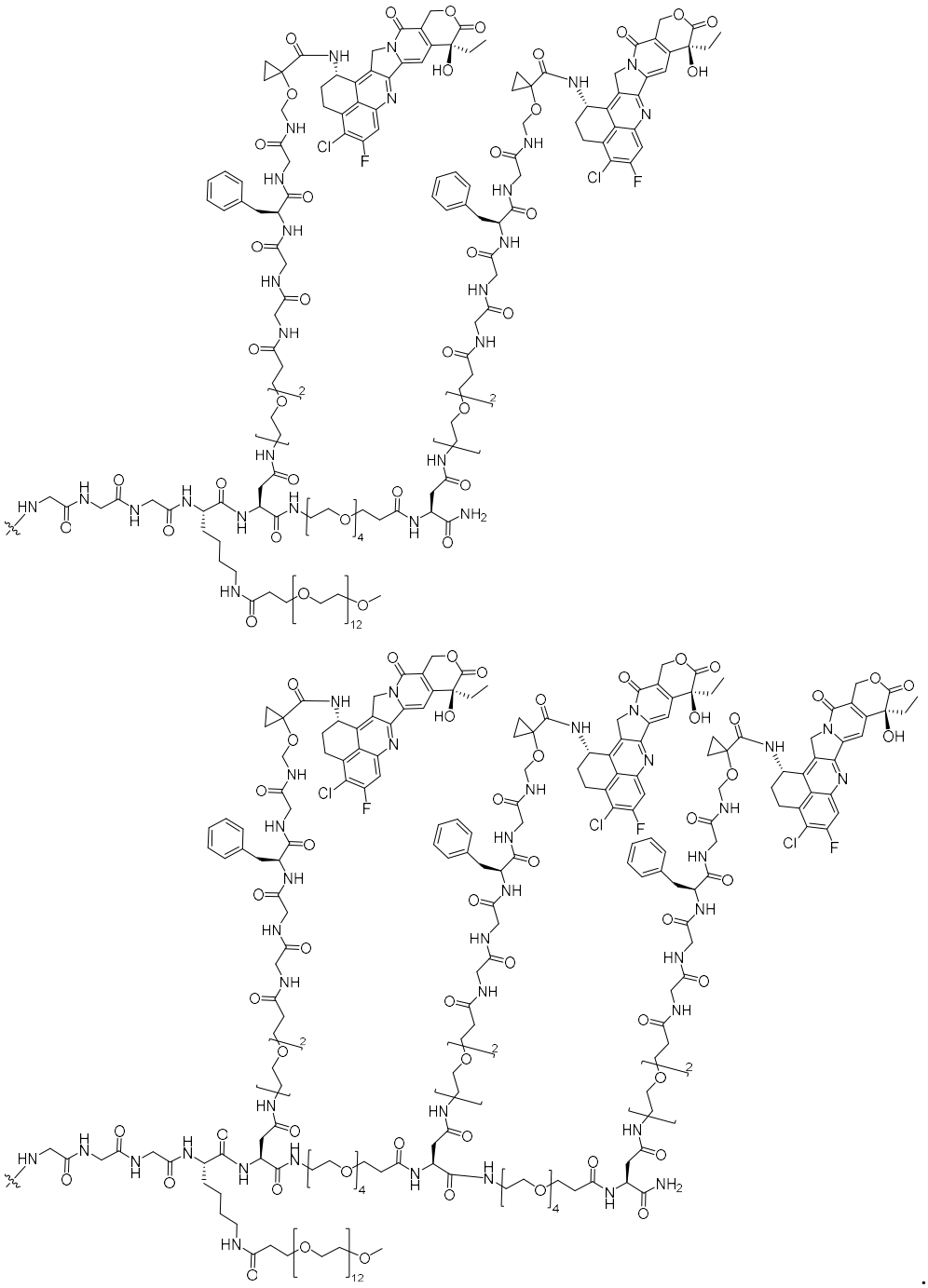
q is 2;

Ab is an anti-CD19 antibody, an anti-CD20 antibody, an anti-CD22 antibody, an anti-CD25 antibody, an anti-CD30/TNFRSF8 antibody, an anti-CD33 antibody, an anti-CD37

antibody, an anti-CD44v6 antibody, an anti-CD56 antibody, an anti-CD70 antibody, an anti-CD71 antibody, an anti-CD74 antibody, an anti-CD79b antibody, an anti-CD117/KITk antibody, an anti-CD123 antibody, an anti-CD138 antibody, an anti-CD142 antibody, an anti-CD174 antibody, an anti-CD227/MUC1 antibody, an anti-CD352 antibody, an anti-CLDN18.2 antibody, an anti-DLL3 antibody, an anti-ErbB2/HER2 antibody, an anti-CN33 antibody, an anti-GPNMB antibody, an anti-ENPP3 antibody, an anti-Nectin-4 antibody, an anti-EGFRvIII antibody, an anti-SLC44A4/AGS-5 antibody, an anti-CEACAM5 antibody, an anti-PSMA antibody, an anti-TIM1 antibody, an anti-LY6E antibody, an anti-LIV1 antibody, an anti-Nectin4 antibody, an anti-SLITRK6 antibody, an anti-HGFR/cMet antibody, an anti-SLAMF7/CS1 antibody, an anti-EGFR antibody, an anti-BCMA antibody, an anti-AXL antibody, an anti-NaPi2B antibody, an anti-GCC antibody, an anti-STEAP1 antibody, an anti-MUC16 antibody, an anti-mesothelin antibody, an anti-ETBR antibody, an anti-EphA2 antibody, an anti-5T4 antibody, an anti-FOLR1 antibody, an anti-LAMP1 antibody, an anti-Cadherin 6 antibody, an anti-FGFR2 antibody, an anti-FGFR3 antibody, an anti-CA6 antibody, an anti-CanAg antibody, an anti-integrin-αV antibody, an anti-TDGF1 antibody, an anti-ephrin A4 antibody, an anti-TROP2 antibody, an anti-PTK7 antibody, an anti-NOTCH3 antibody, an anti-C4.4A antibody, an anti-FLT3 antibody, an anti-B7H3/4 antibody, an anti-tissue factor (TF) antibody, and an anti-ROR1/2 antibody, preferably an anti-CD19 antibody, an anti-ErbB2/HER2 antibody, an anti-CLDN18.2 antibody, an anti-Nectin-4 antibody, an anti-FGFR3 antibody, and an anti-Trop2 antibody, more preferably an anti-ErbB2/HER2 antibody, and an anti-Trop2 antibody; particularly preferably an anti-ErbB2/HER2 antibody (e.g., Trastuzumab);

$(P)_t$-L- is selected from:





Claim 26.

A method for preparing the antibody-drug conjugate according to any one of claims 22 to 25, comprising the following steps:

a) removing part of the N-glycan chain of the antibody Ab, under the catalysis of glycosidase or its mutants, to afford a remodeled antibody in which the N-glycosylation site in Fc region is *N*-acetylglucosamine or fucosyl- α-1,6-*N*-acetylglucosamine moiety;

b) conjugating the remodeled antibody obtained in step a) and the linker-payload

compound according to any one of claims 1 to 20, under the catalysis of glycosidase or its mutant.

wherein the glycosidase or its mutant used in steps a) and b) may be the same or different.

Claim 27.

The method according to claim 26, wherein the glycosidase or its mutant in steps a) and b) is fucose hydrolase, *N*-acetyl glucosamine endohydrolase or their mutants.

Claim 28.

The method according to claim 27, wherein the *N*-acetyl glucosamine endohydrolase comprises at least one of Endo-S (*Streptococcus pyogenes* endoglycosidase-S), Endo-F3 (*Elizabethkingia miricola* endoglycosidase-F3), Endo-S2 (Endoglycosidase-S2, *S. pyogenes* endoglycosidase-S2), Endo-Sd (Endoglycosidase-Sd, *S. pyogenes* endoglycosidase-Sd) and Endo-CC (Endoglycosidase-CC, *S. pyogenes* endonuclidase-CC);preferably, the endoglycosidases are Endo H, Endo D, Endo F2, Endo F3, Endo M, Endo CC1, Endo CC2, Endo Om, Endo S and Endo S2.

Claim 29.

A pharmaceutical composition, comprising the antibody-drug conjugate according to any one of claims 22 to 25.

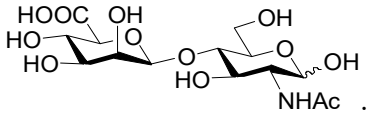
Claim 30.

Use of the antibody-drug conjugate according to any one of claims 22 to 25 in preparation of a drug for treating or preventing tumors or autoimmune diseases.

Claim 31.

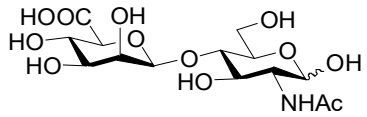
A therapeutically effective amount of antibody-drug conjugate according to any one of claims 22 to 25 for use in medicine.

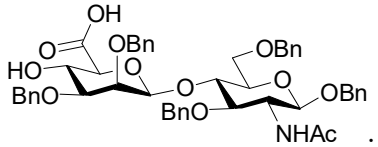
Claim 32.

A compound, with a structure of 

.

Claim 33.

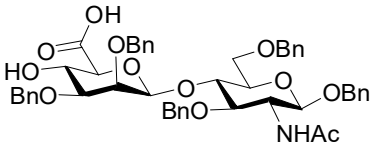
A method for preparing the compound according to claim 32, comprising the step of preparing 

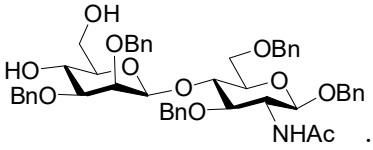
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Claim 34.

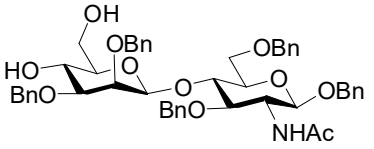
The method according to claim 33, further comprising the step of preparing

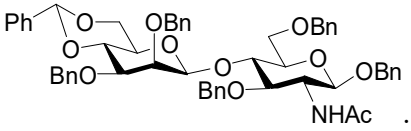


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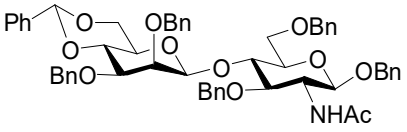
Claim 35.

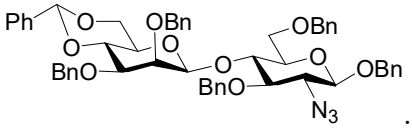
The method according to claim 34, further comprising the step of preparing


from


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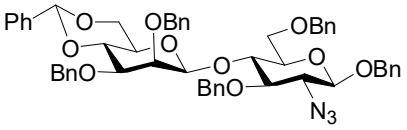
Claim 36.

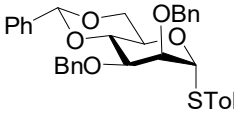
The method according to claim 35, further comprising the step of preparing


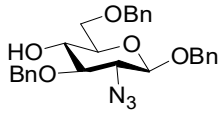
from


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Claim 37.

The method according to claim 36, further comprising the step of preparing


from


and


by forming β-(1→4) glucosidic bonds.