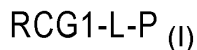


CLAIMS

1. Compounds of formula (I):



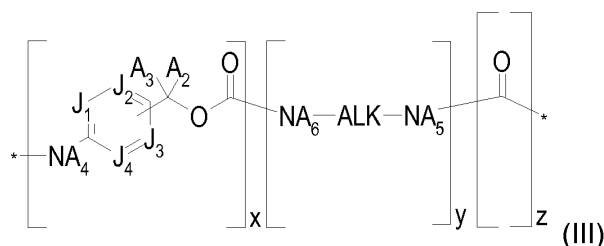
5 wherein

- **RCG1** represents a reactive chemical group that is reactive towards a chemical group present on a polypeptide such as an antibody;
- **P** represents a hydrogen atom, -OH or an activated O;
- **L** represents a linker of formula (II):



wherein:

- **L1** is of formula (III):



wherein:

- when P represents a hydrogen atom, then $x = 0$ or 1 and $y = 1$ and $z = 0$;
- when P represents -OH, then $x = y = z = 0$;
- when P represents an activated O, then $x = 1$ and $y = z = 0$, or $x = y = z = 1$;
- **J₁**, **J₂**, **J₃** and **J₄** are chosen, independently of each other, from CA₁ and N;
- **ALK** represents a (C₁-C₁₂)alkylene group, for instance (C₁-C₆)alkylene, such as of the form -(CH₂)_n, n being an integer ranging from 1 to 12 and for example ranging from 1 to 6;
- **A₁**, **A₂**, **A₃**, **A₄**, **A₅**, and **A₆** represent, independently of each other, a hydrogen atom or a (C₁-C₆)alkyl group, such

as a hydrogen atom or a methyl group.

- **(AA)_w** represents a sequence of *w* substituted **AA_s** or non-substituted amino acids **AA_{ns}** connected together *via* peptide bonds;
- **w** represents an integer ranging from 1 to 12, for instance from 1 to 6, such as 2 or 3;
- if **(AA)_w** contains at least one substituted amino acid **AA_s**, then **L2** represents a single bond, a (C₁-C₆)alkyl group, a (C₁-C₆)alkyl-(OCH₂CH₂)_i group, a (C₁-C₆)alkyl-(OCH₂CH₂)_i-O(C₁-C₆)alkyl group, a (CH₂CH₂O)_i(C₁-C₆)alkyl group, a CH(SO₃H)-(C₁-C₆)alkyl group, a (C₁-C₆)alkyl-CH(SO₃H) group, a (C₁-C₆)alkyl-cyclohexyl group, a C(=O)-(C₁-C₆)alkyl group, a C(=O)-(C₁-C₆)alkyl-(OCH₂CH₂)_i group, a C(=O)-(C₁-C₆)alkyl-(OCH₂CH₂)_i-O(C₁-C₆)alkyl group, a C(=O)-(CH₂CH₂O)_i(C₁-C₆)alkyl group, a C(=O)-CH(SO₃H)-(C₁-C₆)alkyl group, a C(=O)-(C₁-C₆)alkyl-CH(SO₃H) group, a C(=O)-(C₁-C₆)alkyl-cyclohexyl group, a NA₈-(C₁-C₆)alkyl group, a NA₈-(C₁-C₆)alkyl-(OCH₂CH₂)_i group, a NA₈-(C₁-C₆)alkyl-(OCH₂CH₂)_i-O(C₁-C₆)alkyl group, a NA₈-(CH₂CH₂O)_i(C₁-C₆)alkyl group, a NA₈-(C₁-C₆)alkyl-CH(SO₃H) group, a C(=O)-NA₈-(C₁-C₆)alkyl group, a C(=O)-NA₈-(C₁-C₆)alkyl-(OCH₂CH₂)_i group, a C(=O)-NA₈-(C₁-C₆)alkyl-(OCH₂CH₂)_i-O(C₁-C₆)alkyl group, a C(=O)-NA₈-(CH₂CH₂O)_i(C₁-C₆)alkyl group, a C(=O)-NA₈-(C₁-C₆)alkyl-CH(SO₃H) group, a NA₇-(C₁-C₆)alkyl group, a (C₁-C₆)alkyl-NA₇ group, a NA₇-(CH₂CH₂O)_i(C₁-C₆)alkyl group, a NA₇-aryl group, a NA₇-heteroaryl group, a (C₁-C₆)alkyl-NA₇C(=O)-(C₁-C₆)alkyl group, a (C₁-C₆)alkyl-NA₇C(=O)-(C₁-C₆)alkyl-(OCH₂CH₂)_i group, a (C₁-C₆)alkyl-C(=O)NA₇-(C₁-C₆)alkyl group, a (C₁-C₆)alkyl-C(=O)NA₇-(C₁-C₆)alkyl-(OCH₂CH₂)_i group, a (C₁-C₆)alkyl-NA₇-(C₁-C₆)alkyl group, a (C₁-C₆)alkyl-NA₇-(C₁-C₆)alkyl-(OCH₂CH₂)_i group, a (C₁-C₆)alkyl-(OCH₂CH₂)_i-NA₇-(C₁-C₆)alkyl group, a C(=O)-NA₇-(C₁-C₆)alkyl group, a C(=O)-(C₁-C₆)alkyl-NA₇ group, a C(=O)-NA₇-(CH₂CH₂O)_i(C₁-C₆)alkyl group, a C(=O)-NA₇-aryl group, a C(=O)-NA₇-heteroaryl group, a C(=O)-(C₁-C₆)alkyl-NA₇C(=O)-(C₁-C₆)alkyl group, a C(=O)-(C₁-C₆)alkyl-NA₇C(=O)-(C₁-C₆)alkyl-(OCH₂CH₂)_i group, a C(=O)-(C₁-C₆)alkyl-C(=O)NA₇-(C₁-C₆)alkyl group, a C(=O)-(C₁-C₆)alkyl-C(=O)NA₇-(C₁-C₆)alkyl-(OCH₂CH₂)_i group, a C(=O)-(C₁-C₆)alkyl-NA₇-(C₁-C₆)alkyl group, a C(=O)-(C₁-C₆)alkyl-NA₇-(C₁-C₆)alkyl-(OCH₂CH₂)_i group, a C(=O)-(C₁-C₆)alkyl-(OCH₂CH₂)_i-NA₇-(C₁-C₆)alkyl group, a NA₈-(C₁-C₆)alkyl-NA₇ group, a NA₈-(C₁-C₆)alkyl-NA₇C(=O)-(C₁-C₆)alkyl group, a NA₈-(C₁-C₆)alkyl-NA₇C(=O)-(C₁-C₆)alkyl-(OCH₂CH₂)_i group, a NA₈-(C₁-C₆)alkyl-C(=O)NA₇-(C₁-C₆)alkyl group, a NA₈-(C₁-C₆)alkyl-C(=O)NA₇-(C₁-C₆)alkyl-(OCH₂CH₂)_i group, a NA₈-(C₁-C₆)alkyl-NA₇-(C₁-C₆)alkyl group, a NA₈-(C₁-C₆)alkyl-

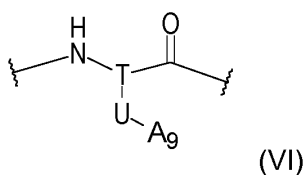
- $\text{NA}_7\text{-(C}_1\text{-C}_6\text{)alkyl-(OCH}_2\text{CH}_2\text{)}_i$ group, a $\text{NA}_8\text{-(C}_1\text{-C}_6\text{)alkyl-(OCH}_2\text{CH}_2\text{)}_i\text{-NA}_7\text{-(C}_1\text{-C}_6\text{)alkyl}$ group, a $\text{C(=O)-NA}_8\text{-(C}_1\text{-C}_6\text{)alkyl-NA}_7$ group, a $\text{C(=O)-NA}_8\text{-(C}_1\text{-C}_6\text{)alkyl-NA}_7\text{C(=O)-}$
 $\text{(C}_1\text{-C}_6\text{)alkyl}$ group, a $\text{C(=O)-NA}_8\text{-(C}_1\text{-C}_6\text{)alkyl-NA}_7\text{C(=O)-(C}_1\text{-C}_6\text{)alkyl-(OCH}_2\text{CH}_2\text{)}_i$
 group, a $\text{C(=O)-NA}_8\text{-(C}_1\text{-C}_6\text{)alkyl-C(=O)NA}_7\text{-(C}_1\text{-C}_6\text{)alkyl}$ group, a $\text{C(=O)-NA}_8\text{-(C}_1\text{-C}_6\text{)alkyl-C(=O)NA}_7\text{-(C}_1\text{-C}_6\text{)alkyl-(OCH}_2\text{CH}_2\text{)}_i$ group, a $\text{C(=O)-NA}_8\text{-(C}_1\text{-C}_6\text{)alkyl-NA}_7\text{-(C}_1\text{-C}_6\text{)alkyl}$ group, a $\text{C(=O)-NA}_8\text{-(C}_1\text{-C}_6\text{)alkyl-NA}_7\text{-(C}_1\text{-C}_6\text{)alkyl-(OCH}_2\text{CH}_2\text{)}_i$ group or a
 $\text{C(=O)-NA}_8\text{-(C}_1\text{-C}_6\text{)alkyl-(OCH}_2\text{CH}_2\text{)}_i\text{-NA}_7\text{-(C}_1\text{-C}_6\text{)alkyl}$ group;
- if **(AA)_w** represents a sequence of *w* non-substituted amino acids **AA_{ns}**, then **L₂** represents a $\text{NA}_7\text{-(C}_1\text{-C}_6\text{)alkyl}$ group, a $\text{(C}_1\text{-C}_6\text{)alkyl-NA}_7$ group, a $\text{NA}_7\text{-(CH}_2\text{CH}_2\text{O)}_i\text{(C}_1\text{-C}_6\text{)alkyl}$ group, a $\text{NA}_7\text{-aryl}$ group, a $\text{NA}_7\text{-heteroaryl}$ group, a $\text{(C}_1\text{-C}_6\text{)alkyl-NA}_7\text{C(=O)-(C}_1\text{-C}_6\text{)alkyl}$ group, a $\text{(C}_1\text{-C}_6\text{)alkyl-NA}_7\text{C(=O)-(C}_1\text{-C}_6\text{)alkyl-(OCH}_2\text{CH}_2\text{)}_i$ group, a $\text{(C}_1\text{-C}_6\text{)alkyl-C(=O)NA}_7\text{-(C}_1\text{-C}_6\text{)alkyl}$ group, a $\text{(C}_1\text{-C}_6\text{)alkyl-C(=O)NA}_7\text{-(C}_1\text{-C}_6\text{)alkyl-(OCH}_2\text{CH}_2\text{)}_i$ group, a $\text{(C}_1\text{-C}_6\text{)alkyl-NA}_7\text{-(C}_1\text{-C}_6\text{)alkyl}$ group, a $\text{(C}_1\text{-C}_6\text{)alkyl-NA}_7\text{-(C}_1\text{-C}_6\text{)alkyl-(OCH}_2\text{CH}_2\text{)}_i$ group, a $\text{(C}_1\text{-C}_6\text{)alkyl-(OCH}_2\text{CH}_2\text{)}_i\text{-NA}_7\text{-(C}_1\text{-C}_6\text{)alkyl}$ group, a $\text{C(=O)-NA}_7\text{-(C}_1\text{-C}_6\text{)alkyl}$ group, a $\text{C(=O)-(C}_1\text{-C}_6\text{)alkyl-NA}_7$ group, a $\text{C(=O)-NA}_7\text{-(CH}_2\text{CH}_2\text{O)}_i\text{(C}_1\text{-C}_6\text{)alkyl}$ group, a $\text{C(=O)-NA}_7\text{-aryl}$ group, a $\text{C(=O)-NA}_7\text{-heteroaryl}$ group, a $\text{C(=O)-(C}_1\text{-C}_6\text{)alkyl-NA}_7\text{C(=O)-(C}_1\text{-C}_6\text{)alkyl}$ group, a $\text{C(=O)-(C}_1\text{-C}_6\text{)alkyl-NA}_7\text{C(=O)-(C}_1\text{-C}_6\text{)alkyl-(OCH}_2\text{CH}_2\text{)}_i$ group, a $\text{C(=O)-(C}_1\text{-C}_6\text{)alkyl-C(=O)NA}_7\text{-(C}_1\text{-C}_6\text{)alkyl}$ group, a $\text{C(=O)-(C}_1\text{-C}_6\text{)alkyl-C(=O)NA}_7\text{-(C}_1\text{-C}_6\text{)alkyl-(OCH}_2\text{CH}_2\text{)}_i$ group, a $\text{C(=O)-(C}_1\text{-C}_6\text{)alkyl-NA}_7\text{-(C}_1\text{-C}_6\text{)alkyl}$ group, a $\text{C(=O)-(C}_1\text{-C}_6\text{)alkyl-NA}_7\text{-(C}_1\text{-C}_6\text{)alkyl-(OCH}_2\text{CH}_2\text{)}_i$ group, a $\text{NA}_8\text{-(C}_1\text{-C}_6\text{)alkyl-NA}_7$ group, a $\text{NA}_8\text{-(C}_1\text{-C}_6\text{)alkyl-NA}_7\text{C(=O)-(C}_1\text{-C}_6\text{)alkyl}$ group, a $\text{NA}_8\text{-(C}_1\text{-C}_6\text{)alkyl-NA}_7\text{C(=O)-(C}_1\text{-C}_6\text{)alkyl-(OCH}_2\text{CH}_2\text{)}_i$ group, a $\text{NA}_8\text{-(C}_1\text{-C}_6\text{)alkyl-C(=O)NA}_7\text{-(C}_1\text{-C}_6\text{)alkyl}$ group, a $\text{NA}_8\text{-(C}_1\text{-C}_6\text{)alkyl-C(=O)NA}_7\text{-(C}_1\text{-C}_6\text{)alkyl-(OCH}_2\text{CH}_2\text{)}_i$ group, a $\text{NA}_8\text{-(C}_1\text{-C}_6\text{)alkyl-NA}_7\text{-(C}_1\text{-C}_6\text{)alkyl}$ group, a $\text{NA}_8\text{-(C}_1\text{-C}_6\text{)alkyl-NA}_7\text{-(C}_1\text{-C}_6\text{)alkyl-(OCH}_2\text{CH}_2\text{)}_i$ group, a $\text{NA}_8\text{-(C}_1\text{-C}_6\text{)alkyl-(OCH}_2\text{CH}_2\text{)}_i\text{-NA}_7\text{-(C}_1\text{-C}_6\text{)alkyl}$ group, a $\text{C(=O)-NA}_8\text{-(C}_1\text{-C}_6\text{)alkyl-NA}_7$ group, a $\text{C(=O)-NA}_8\text{-(C}_1\text{-C}_6\text{)alkyl-NA}_7\text{C(=O)-(C}_1\text{-C}_6\text{)alkyl}$ group, a $\text{C(=O)-NA}_8\text{-(C}_1\text{-C}_6\text{)alkyl-NA}_7\text{C(=O)-(C}_1\text{-C}_6\text{)alkyl-(OCH}_2\text{CH}_2\text{)}_i$ group, a $\text{C(=O)-NA}_8\text{-(C}_1\text{-C}_6\text{)alkyl-C(=O)NA}_7\text{-(C}_1\text{-C}_6\text{)alkyl}$ group, a $\text{C(=O)-NA}_8\text{-(C}_1\text{-C}_6\text{)alkyl-C(=O)NA}_7\text{-(C}_1\text{-C}_6\text{)alkyl-(OCH}_2\text{CH}_2\text{)}_i$ group, a $\text{C(=O)-NA}_8\text{-(C}_1\text{-C}_6\text{)alkyl-(OCH}_2\text{CH}_2\text{)}_i$ group, a $\text{C(=O)-NA}_8\text{-(C}_1\text{-C}_6\text{)alkyl-NA}_7\text{-(C}_1\text{-C}_6\text{)alkyl}$ group, a $\text{C(=O)-NA}_8\text{-(C}_1\text{-C}_6\text{)alkyl-NA}_7\text{-(C}_1\text{-C}_6\text{)alkyl-(OCH}_2\text{CH}_2\text{)}_i$ group or a $\text{C(=O)-NA}_8\text{-(C}_1\text{-C}_6\text{)alkyl-(OCH}_2\text{CH}_2\text{)}_i\text{-NA}_7\text{-(C}_1\text{-C}_6\text{)alkyl}$ group;
 - **A₇** representing a straight or branched, saturated or unsaturated, optionally substituted $\text{C}_1\text{-C}_{160}$ hydrocarbon chain wherein optionally at least one methylene

- unit is independently replaced by -NHC(=O)-, -N(alkyl)C(=O)-, -C(=O)NH-, -C(=O)N(alkyl)-, -OC(=O)-, -C(=O)O-, -OC(=O)O-, -CH(OH)-, -CH(SO₃H)-, -O-, -C(=O)-, -S(=O)-, -S(=O)₂-, -NHS(=O)₂-, -N(alkyl)S(=O)₂-, -S(=O)₂NH-, -S(=O)₂N(alkyl)-, -P(=O)(OH)-, -P(=O)(OH)O-, -O-P(=O)(OH)-, -O-P(=O)(OH)O- or
- 5 a heterocycloalkyl group optionally substituted with at least one substituent, identical or different, chosen from -OH, -Oalkyl, -alkyl, a halogen atom, -NH₂, -NHalkyl, and -N(alkyl)₂, being understood that each **A**₇ comprising a SO₃H function can be under salt forms such as alkali metal salts, for instance sodium salts (SO₃⁻Na⁺);
- 10
- **A**₈ representing a hydrogen atom or a (C₁-C₆)alkyl group, for instance a hydrogen atom or a methyl group;
 - **i** representing an integer ranging from 1 to 50, for instance ranging from 1 to 35.

2. Compounds of formula (I) according to claim 1, wherein said sequence (**AA**)^w of
- 15 non-substituted amino acids **AA**_{ns} is selected from the following list: Gly-Gly, Phe-Lys, Val-Lys, Val-AcLys, Val-Cit, Phe-Phe-Lys, D-Phe-Phe-Lys, Gly-Phe-Lys, Ala-Lys, Val-Ala, Phe-Cit, Phe-Gly, Leu-Cit, Ile-Cit, Trp-Cit, Phe-Ala, Ala-Phe, Gly-Gly-Gly, Gly-Ala-Phe, Gly-Phe-Gly, Gly-Val-Cit, Gly-Phe-Leu-Cit, Gly-Phe-Leu-Gly, and Ala-Leu-Ala-Leu, such as Val-Ala and Val-Cit, for instance Val-Ala.

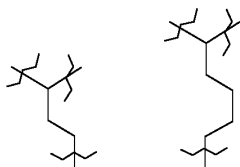
20

3. Compounds of formula (I) according to claim 1, wherein said substituted amino acids **AA**_s have the formula (VI):



wherein:

- 25
- **T** represents a saturated or unsaturated, linear or branched, (C₁-C₈) trivalent

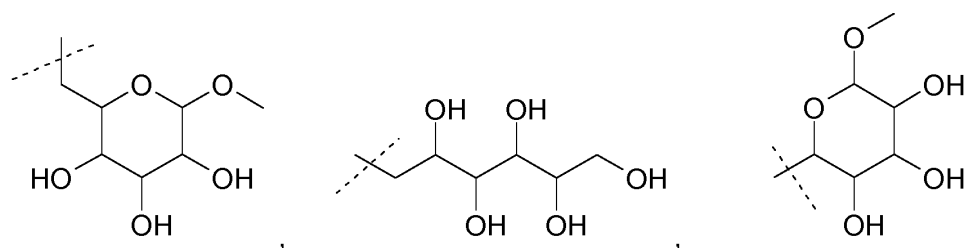


alkyl group, preferably **T** is , or ;

- **U** group represents a single bond, -NHC(=O)-, -N(alkyl)C(=O)-, -C(=O)NH-, -

C(=O)N(alkyl)-, -NHC(=O)NH-, -NHC(=NH)NH-, -OC(=O)-, -C(=O)O-, -OC(=O)O-, -S-, -Se-, -O-, -NH-, -N(alkyl)-, -C(=O)-, -OP(=O)-, -S(=O)-, -S(=O)₂-, -NHS(=O)₂-, -N(alkyl)S(=O)₂-, -S(=O)₂NH-, -S(=O)₂N(alkyl)-, -P(=O)(OH)-, -P(=O)(OH)O-, -O-P(=O)(OH)-, or -O-P(=O)(OH)-O, such as **U** group represents -NH-C(=O)-, or -C(=O)NH-;

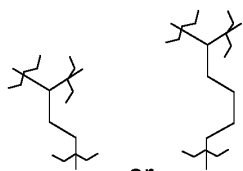
- **A₉** represents a straight or branched, saturated or unsaturated, optionally substituted C₁-C₁₆₀ hydrocarbon chain wherein optionally at least one methylene unit is independently replaced by -NH-C(=O)-, -N(alkyl)C(=O)-, -C(=O)NH-, -C(=O)N(alkyl)-, -NHC(=O)NH-, -NHC(=NH)NH-, -OC(=O)-, -C(=O)O-, -OC(=O)O-, -CH(OH)-, -CH(SO₃H)-, -CH(Oalkyl)-, -CHF-, -CF₂-, -S-, -Se-, -O-, -NH-, -N(alkyl)-, -N⁺H(alkyl)-, -N⁺(alkyl)₂-, -C(=O)-, -OP(=O)-, -S(=O)-, -S(=O)₂-, -NHS(=O)₂-, -N(alkyl)S(=O)₂-, -S(=O)₂NH-, -S(=O)₂N(alkyl)-, -P(=O)(OH)-, -P(=O)(OH)O-, -O-P(=O)(OH)-, -O-P(=O)(OH)-O- or a heterocycloalkyl group optionally substituted with at least one substituent, identical or different, chosen from -OH, -Oalkyl, -alkyl, a halogen atom, -NH₂, -NHalkyl, and -N(alkyl)₂, for instance **A₉** is -[(CH₂)₂-O]₄-CH₃, -[(CH₂)₂-O]₂₄-CH₃,

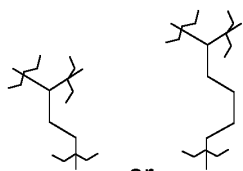


or -[(CH₂)₂-O]₄-(CH₂)₂-C(=O)-NH-(CH₂)₂-SO₃H;

being understood that each **A₉** comprising a SO₃H function can be under salt forms such as alkali metal salts, for instance sodium salts (SO₃⁻Na).

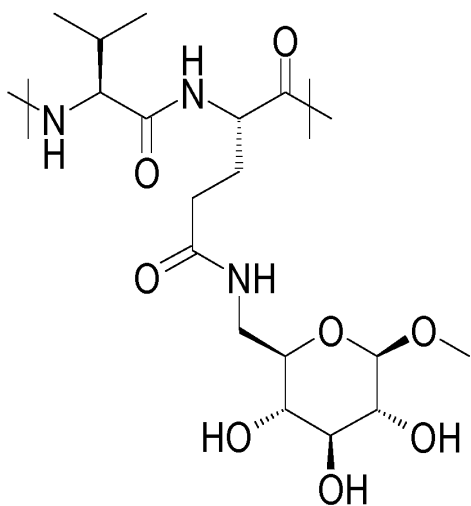
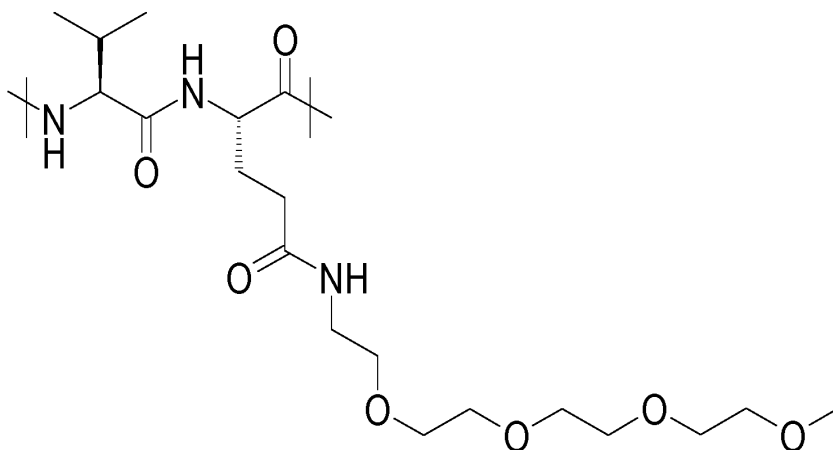
4. Compounds of formula (I) according to claim 1, wherein the substituted amino acids **AA_s** have the formula (VI) as defined in claim 3 in which;

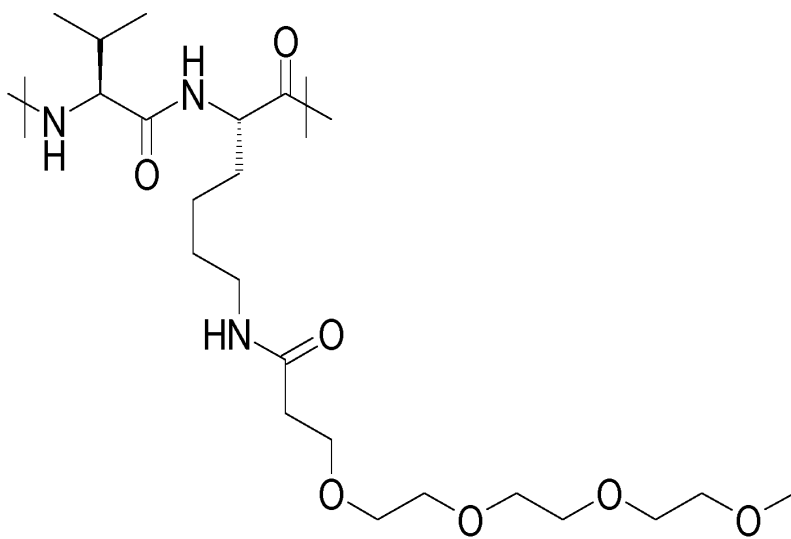
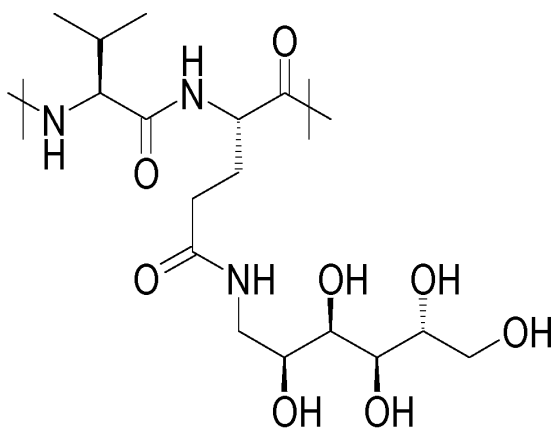


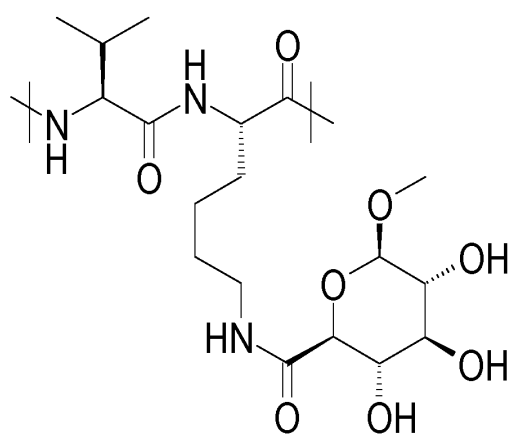
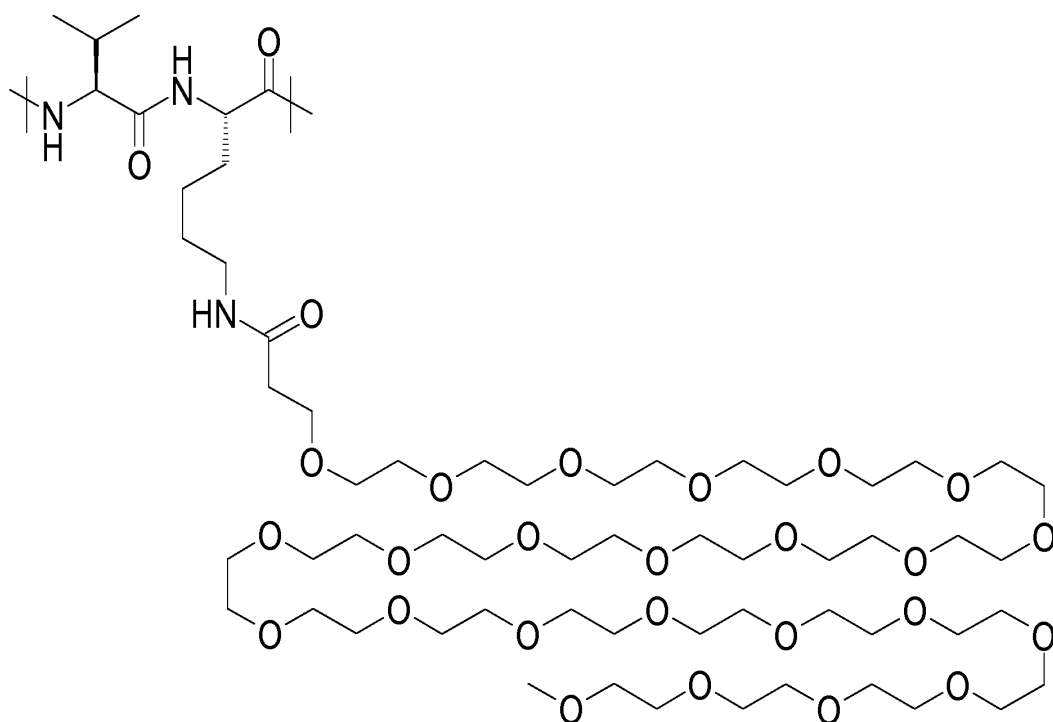
- **T** represents , or ;
- **U** group represents -NH-C(=O)-, or -C(=O)NH-;
- **A₉** represents -[(CH₂)₂-O]_b-CH₃ wherein b represents an integer ranging from

1 to 50, for instance ranging from 1 to 24, such as 4, 7 and 24, for instance 4 and 24.

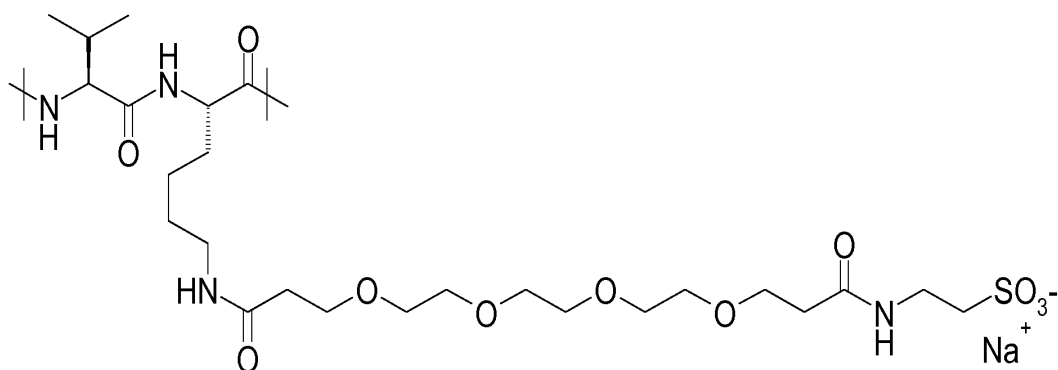
- 5 5. Compounds of formula (I) according to claim 1, wherein the sequence **(AA_s)_w** containing at least one substituted amino acid **AA_s**, is selected from the list:







5 or



6. Compounds of formula (I) according to claim 1, wherein **(AA)_w** contains at least one substituted amino acid **AA_s** and **L2** represents:

- a (C₁-C₆)alkyl group, such as a -(CH₂)₃- group;
- a -C(=O)-(C₁-C₆)alkyl group, such as a -C(=O)-(CH₂)₃- group; or
- a (C₁-C₆)alkyl-NA₇-(C₁-C₆)alkyl group, such as a -(CH₂)₂-NA₇-(CH₂)₂- group

in which **A₇** is a -C(=O)-(CH₂)₂-C(=O)-NH-(CH₂)₂-SO₃H group;

10 being understood that each **A₇** comprising a SO₃H function can be under salt forms such as alkali metal salts, for instance sodium salts (SO₃⁻ Na⁺).

7. Compounds of formula (I) according to claim 1, wherein **(AA)_w** contains w non-substituted amino acid **AA_{ns}** and **L2** represents :

15 a (C₁-C₆)alkyl-NA₇-(C₁-C₆)alkyl group, such as a -(CH₂)₂-NA₇-(CH₂)₂- group in which **A₇** represents:

- a -C(=O)-[(CH₂)₂-O]_a-CH₃ group wherein "a" represents an integer ranging from 1 to 50, such as ranging from 1 to 24, for instance 4, 7 and 24, such as **A₇** is a -C(=O)-[(CH₂)₂-O]₄-CH₃ group, a -C(=O)-[(CH₂)₂-O]₇-CH₃ group, or

20 a -C(=O)-[(CH₂)₂-O]₂₄-CH₃ group;

-a -C(=O)-(CH₂)₂-C(=O)-NH-(CH₂)₂-SO₃H group; or

-a -C(=O)-(CH₂)₂-C(=O)-NH-[(CH₂)₂-O]_a-(CH₂)₂-C(=O)-NH-(CH₂)₂-SO₃H group

wherein "a" represents an integer ranging from 1 to 50, such as ranging from 1 to 24, for instance 4, such as **A₇**

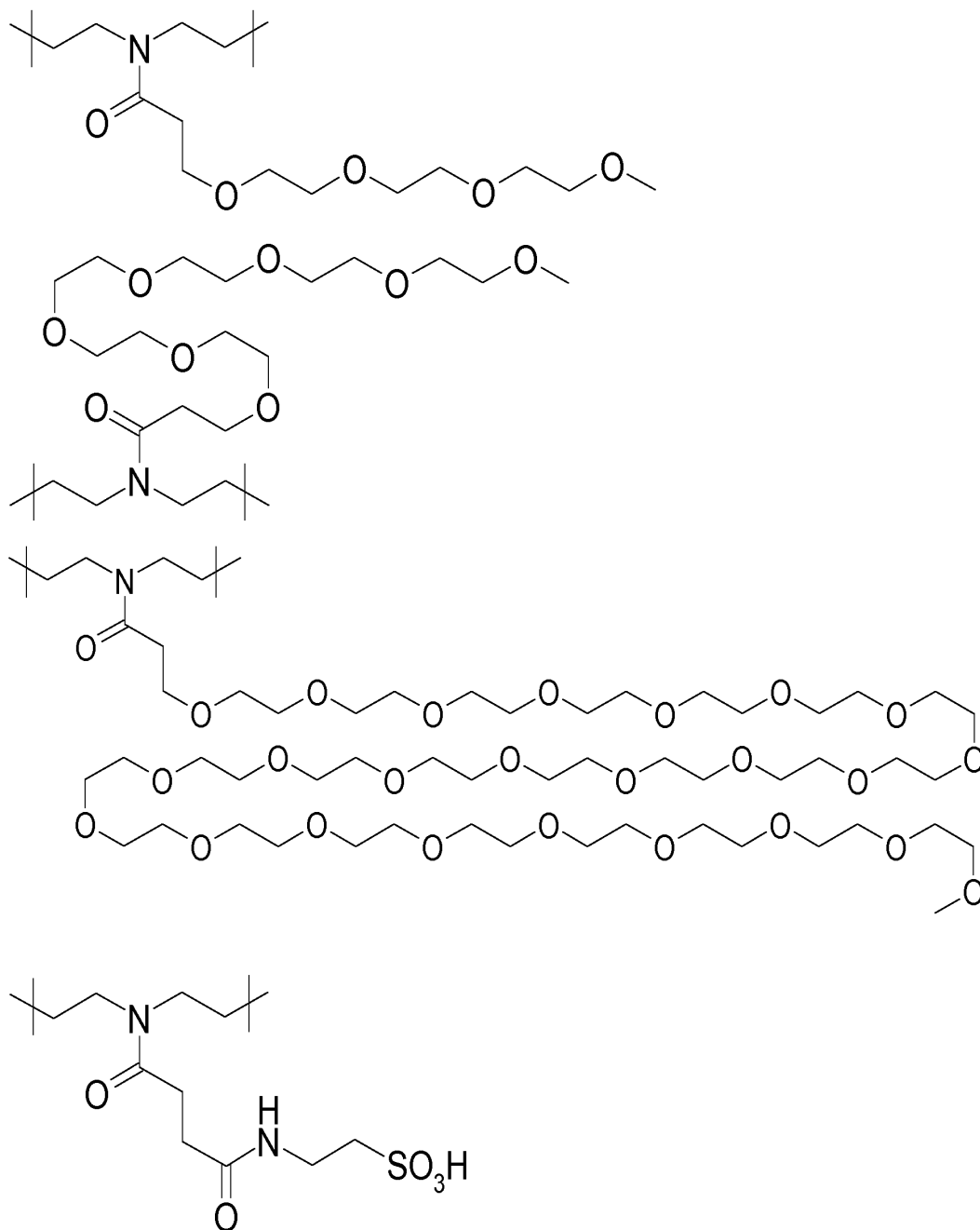
25 is -C(=O)-(CH₂)₂-C(=O)-NH-[(CH₂)₂-O]₄-(CH₂)₂-C(=O)-NH-(CH₂)₂-SO₃H group;

being understood that each **A₇** comprising a SO₃H function can be under salt forms such as alkali metal salts, for instance sodium salts (SO₃⁻ Na⁺).

8. Compounds of formula (I) according to claim 1, wherein **A₇** represents
 a -C(=O)-[(CH₂)₂-O]₄-CH₃ group; a -C(=O)-[(CH₂)₂-O]₇-CH₃ group,
 a -C(=O)-[(CH₂)₂-O]₂₄-CH₃ group; a -C(=O)-(CH₂)₂-C(=O)-NH-(CH₂)₂-SO₃H group;
 5 a -C(=O)-(CH₂)₂-C(=O)-NH-[(CH₂)₂-O]₄-(CH₂)₂-C(=O)-NH-(CH₂)₂-SO₃H group;
 being understood that each **A₇** comprising a SO₃H function can be under salt forms
 such as alkali metal salts, for instance sodium salts (SO₃⁻ *Na).
9. Compounds of formula (I) according to claim 1, wherein **(AA)_w** contains at least
 10 one substituted amino acid **AA_s** and/or w non-substituted amino acid **AA_{ns}** and **L2**
 represents:
 a NA₇-(C₁-C₆)alkyl group, a (C₁-C₆)alkyl-NA₇ group, a NA₇-(CH₂CH₂O)_i-(C₁-C₆)alkyl
 group, a NA₇-aryl group, a NA₇-heteroaryl group, a (C₁-C₆)alkyl-NA₇C(=O)-(C₁-
 C₆)alkyl group, a (C₁-C₆)alkyl-NA₇C(=O)-(C₁-C₆)alkyl-(OCH₂CH₂)_i group, a (C₁-
 15 C₆)alkyl-C(=O)NA₇-(C₁-C₆)alkyl group, a (C₁-C₆)alkyl-C(=O)NA₇-(C₁-C₆)alkyl-
 (OCH₂CH₂)_i group, a (C₁-C₆)alkyl-NA₇-(C₁-C₆)alkyl group, a (C₁-C₆)alkyl-NA₇-(C₁-
 C₆)alkyl-(OCH₂CH₂)_i group, a (C₁-C₆)alkyl-(OCH₂CH₂)_i-NA₇-(C₁-C₆)alkyl group, a
 C(=O)-NA₇-(C₁-C₆)alkyl group, a C(=O)-(C₁-C₆)alkyl-NA₇ group, a C(=O)-NA₇-
 (CH₂CH₂O)_i-(C₁-C₆)alkyl group, a C(=O)-NA₇-aryl group, a C(=O)-NA₇-heteroaryl
 20 group, a C(=O)-(C₁-C₆)alkyl-NA₇C(=O)-(C₁-C₆)alkyl group, a C(=O)-(C₁-C₆)alkyl-
 NA₇C(=O)-(C₁-C₆)alkyl-(OCH₂CH₂)_i group, a C(=O)-(C₁-C₆)alkyl-C(=O)NA₇-(C₁-
 C₆)alkyl group, a C(=O)-(C₁-C₆)alkyl-C(=O)NA₇-(C₁-C₆)alkyl-(OCH₂CH₂)_i group, a
 C(=O)-(C₁-C₆)alkyl-NA₇-(C₁-C₆)alkyl group, a C(=O)-(C₁-C₆)alkyl-NA₇-(C₁-C₆)alkyl-
 (OCH₂CH₂)_i group, a C(=O)-(C₁-C₆)alkyl-(OCH₂CH₂)_i-NA₇-(C₁-C₆)alkyl group, a NA₈-
 25 (C₁-C₆)alkyl-NA₇ group, a NA₈-(C₁-C₆)alkyl-NA₇C(=O)-(C₁-C₆)alkyl group, a NA₈-(C₁-
 C₆)alkyl-NA₇C(=O)-(C₁-C₆)alkyl-(OCH₂CH₂)_i group, a NA₈-(C₁-C₆)alkyl-C(=O)NA₇-(C₁-
 C₆)alkyl group, a NA₈-(C₁-C₆)alkyl-C(=O)NA₇-(C₁-C₆)alkyl-(OCH₂CH₂)_i group, a NA₈-
 (C₁-C₆)alkyl-NA₇-(C₁-C₆)alkyl group, a NA₈-(C₁-C₆)alkyl-NA₇-(C₁-C₆)alkyl-(OCH₂CH₂)_i
 group, a NA₈-(C₁-C₆)alkyl-(OCH₂CH₂)_i-NA₇-(C₁-C₆)alkyl group, a C(=O)-NA₈-(C₁-
 30 C₆)alkyl-NA₇ group, a C(=O)-NA₈-(C₁-C₆)alkyl-NA₇C(=O)-(C₁-C₆)alkyl group, a C(=O)-
 NA₈-(C₁-C₆)alkyl-NA₇C(=O)-(C₁-C₆)alkyl-(OCH₂CH₂)_i group, a C(=O)-NA₈-(C₁-
 C₆)alkyl-C(=O)NA₇-(C₁-C₆)alkyl group, a C(=O)-NA₈-(C₁-C₆)alkyl-C(=O)NA₇-(C₁-
 C₆)alkyl-(OCH₂CH₂)_i group, a C(=O)-NA₈-(C₁-C₆)alkyl-NA₇-(C₁-C₆)alkyl group, a
 C(=O)-NA₈-(C₁-C₆)alkyl-NA₇-(C₁-C₆)alkyl-(OCH₂CH₂)_i group or a C(=O)-NA₈-(C₁-

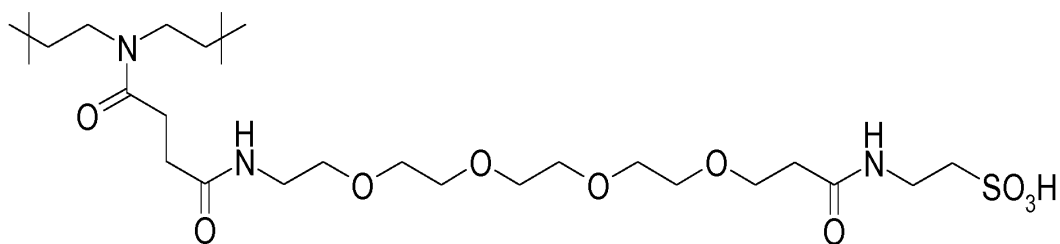
C₆)alkyl-(OCH₂CH₂)_i-NA₇-(C₁-C₆)alkyl group.

10. Compounds of formula (I) according to claim 1, wherein **(AA)_w** contains at least one substituted amino acid **AA_s** and/or w non-substituted amino acid **AA_{ns}** and **L2** represents :



10

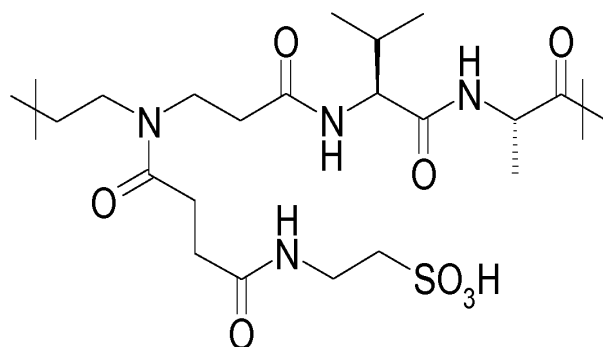
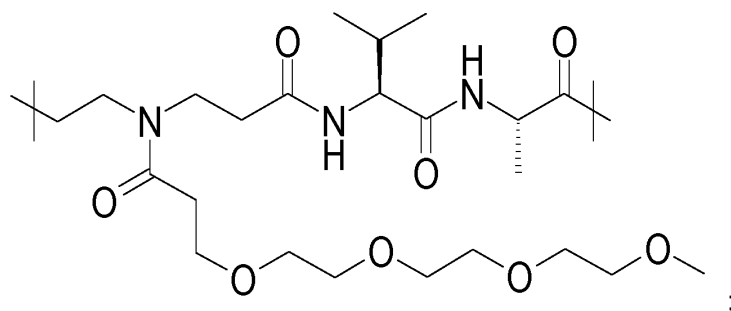
or

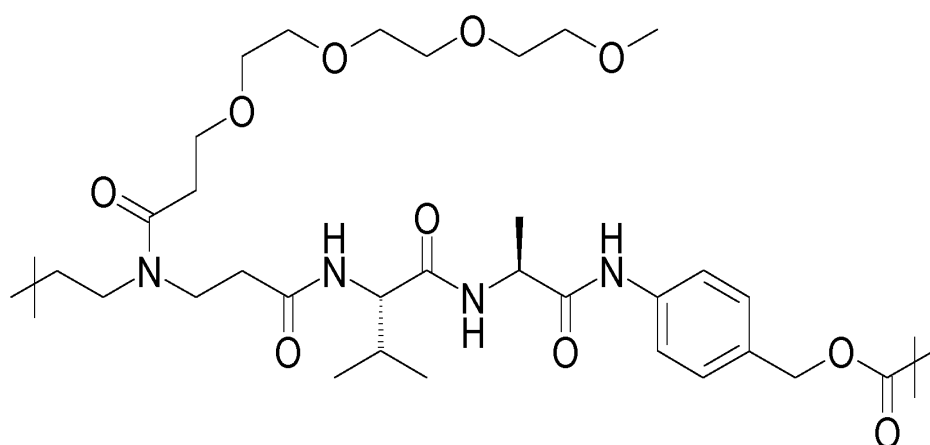


11. Compounds of formula (I) according to claim 1, wherein **(AA)_w** contains at least one substituted amino acid **AA_s** and/or w non-substituted amino acid **AA_{ns}** and **L₂** comprises a **A₇** representing :

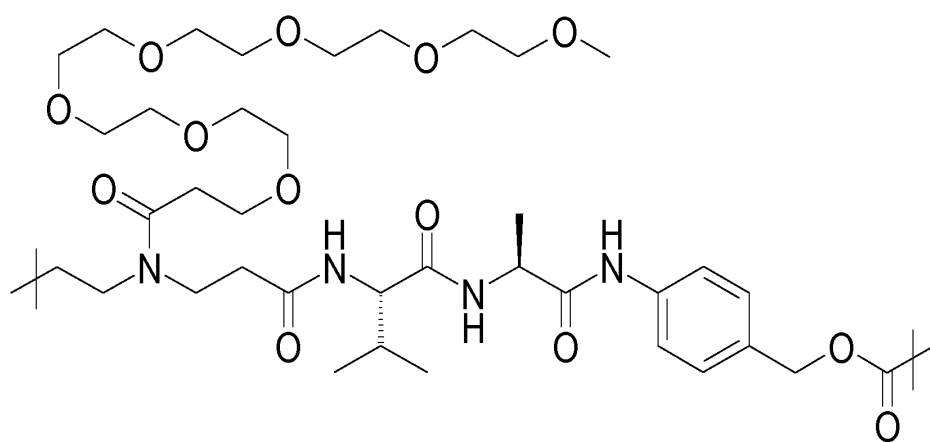
a C(=O)-[(CH₂)₂-O]₄-CH₃ group; a C(=O)-[(CH₂)₂-O]₇-CH₃ group; a C(=O)-[(CH₂)₂-O]₂₄-CH₃ group; a C(=O)-(CH₂)₂-C(=O)-NH-(CH₂)₂-SO₃H group; a C(=O)-(CH₂)₂-C(=O)-NH-[(CH₂)₂-O]₄-(CH₂)₂-C(=O)-NH-(CH₂)₂-SO₃H group; being understood that each **A₇** comprising a SO₃H function can be under salt forms such as alkali metal salts, for instance sodium salts (SO₃⁻ *Na).

12. Compounds of formula (I) according to anyone of claims 1 to 11, wherein said linker **L** is selected from the following list:

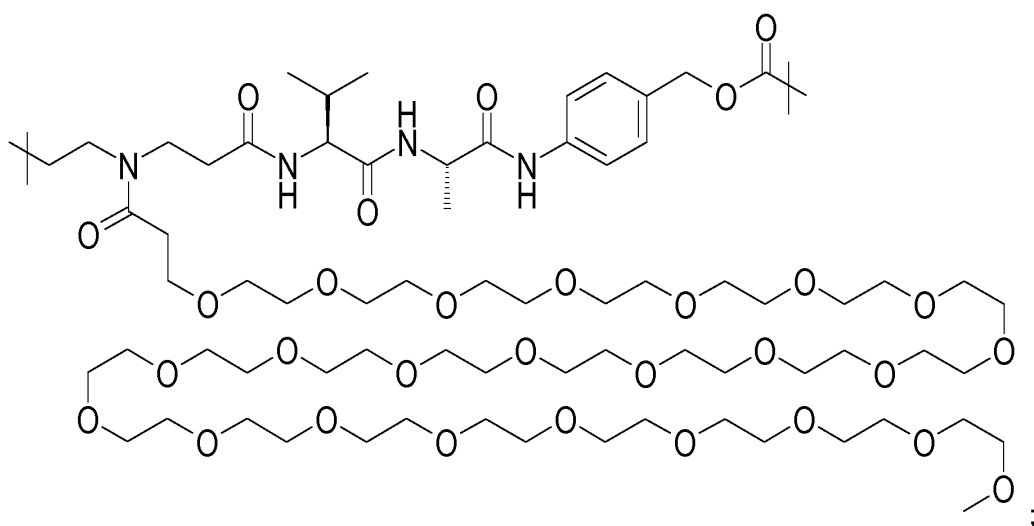




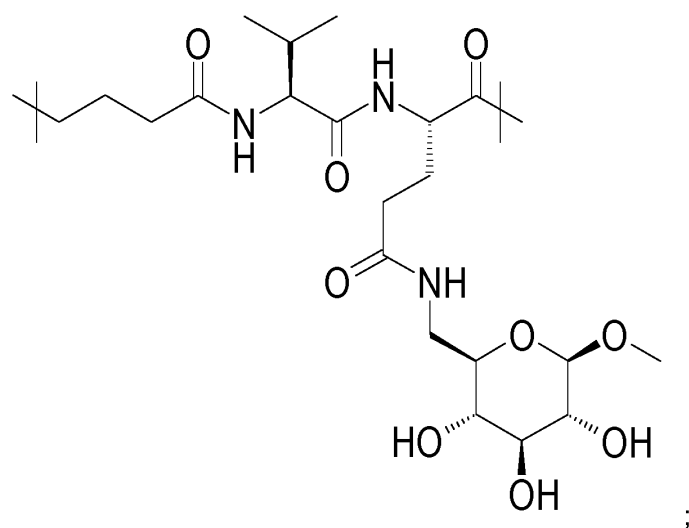
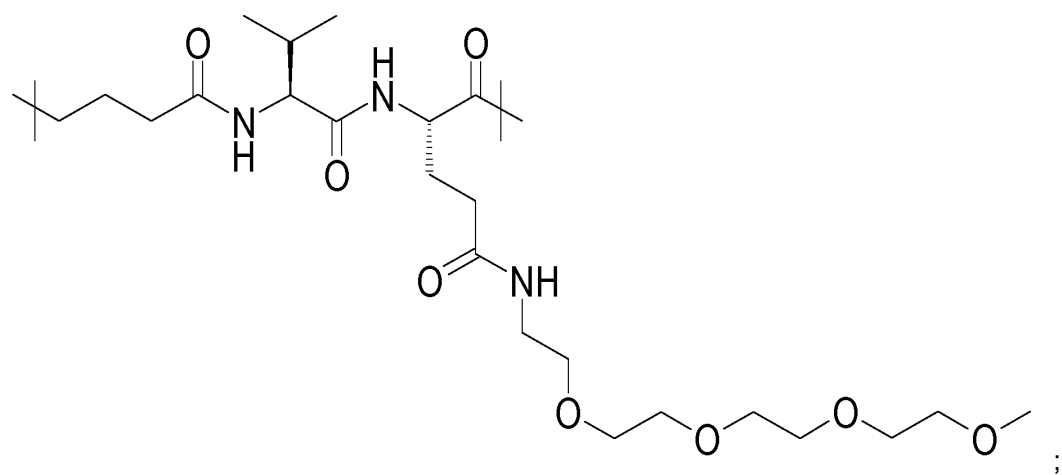
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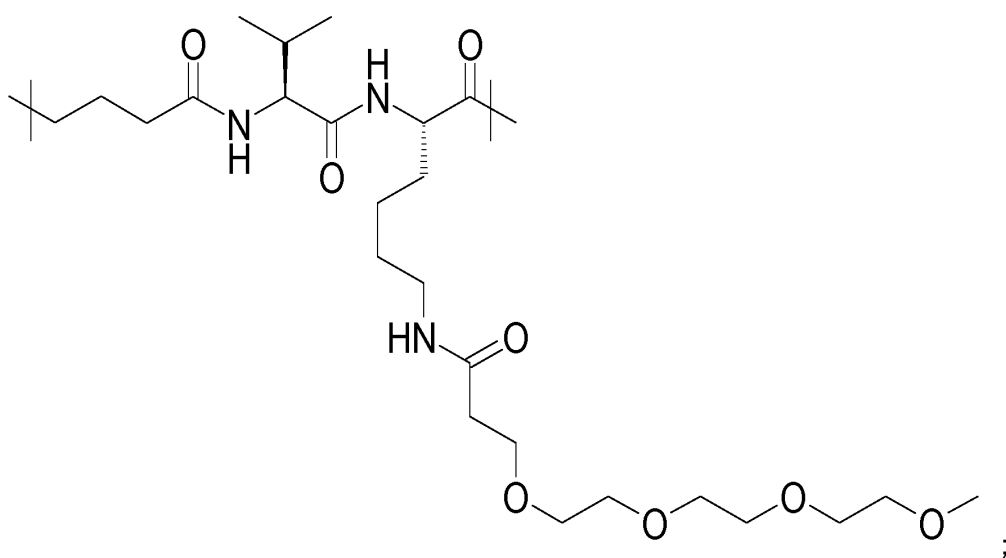
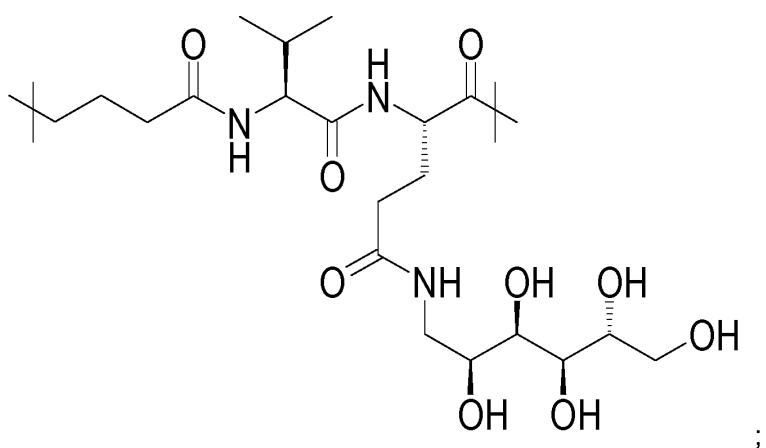


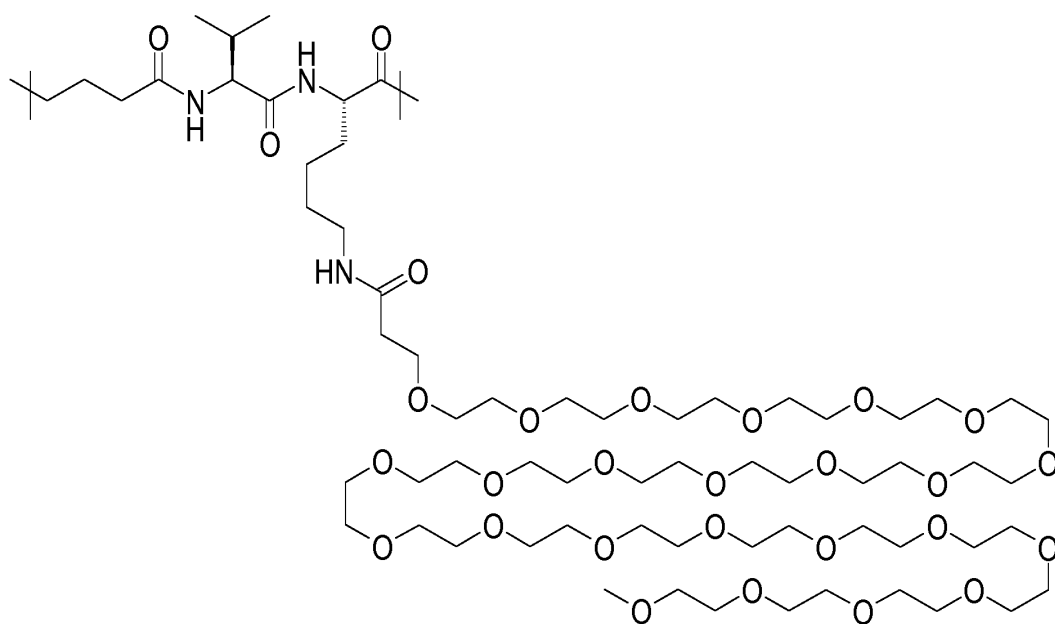
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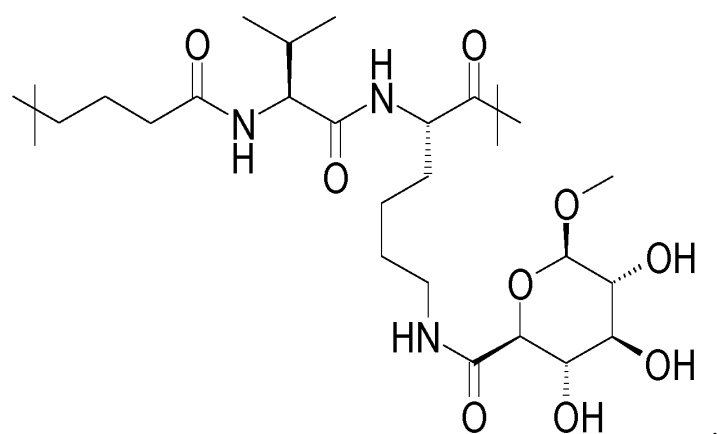
;



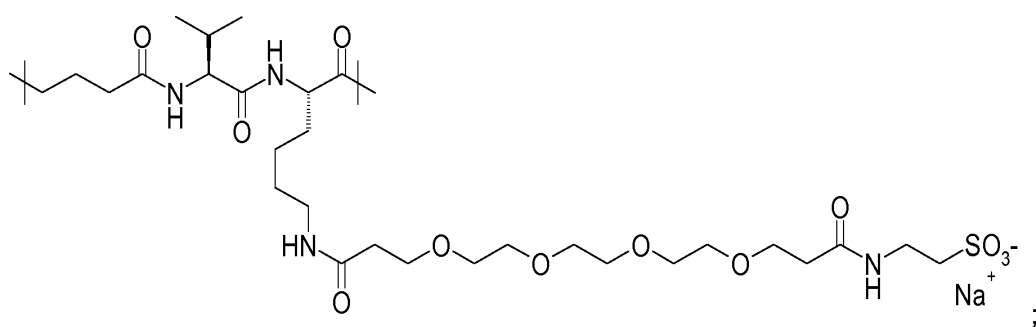




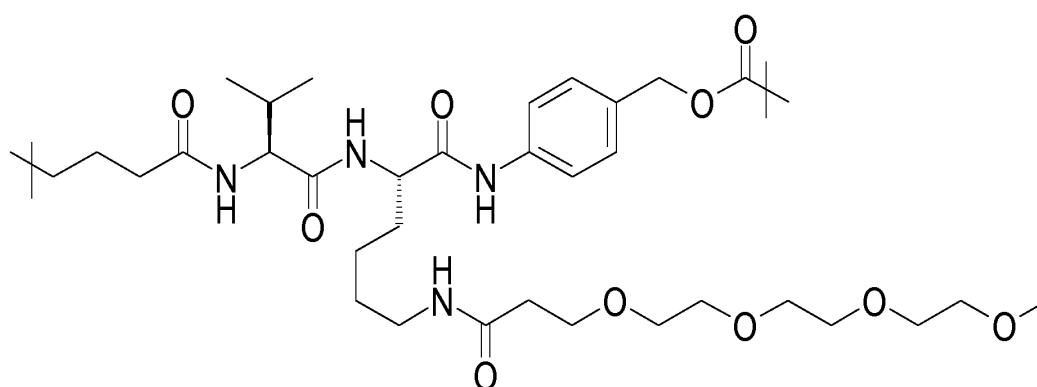
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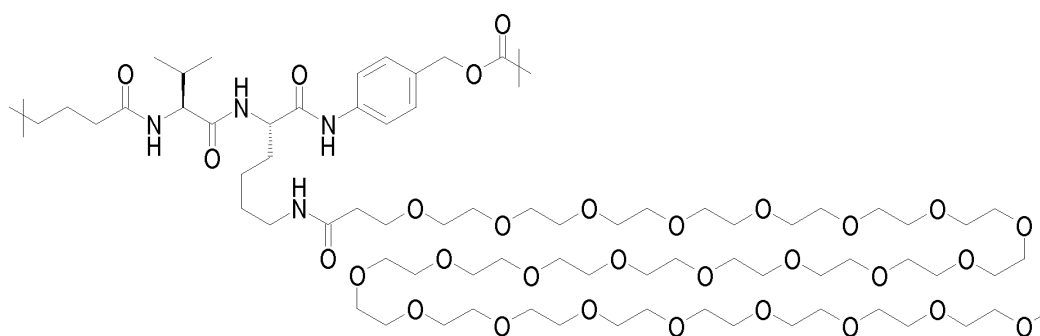
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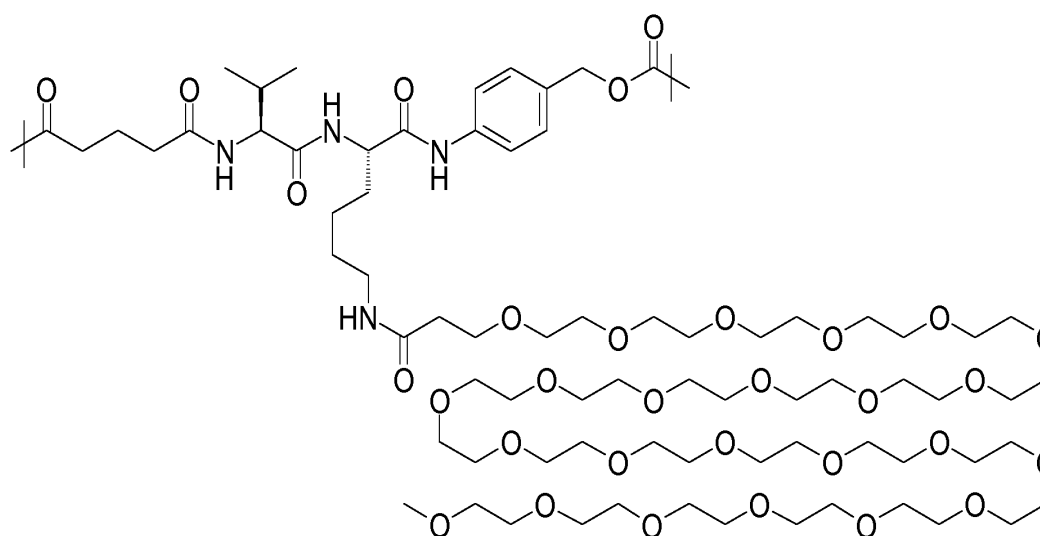
;



;

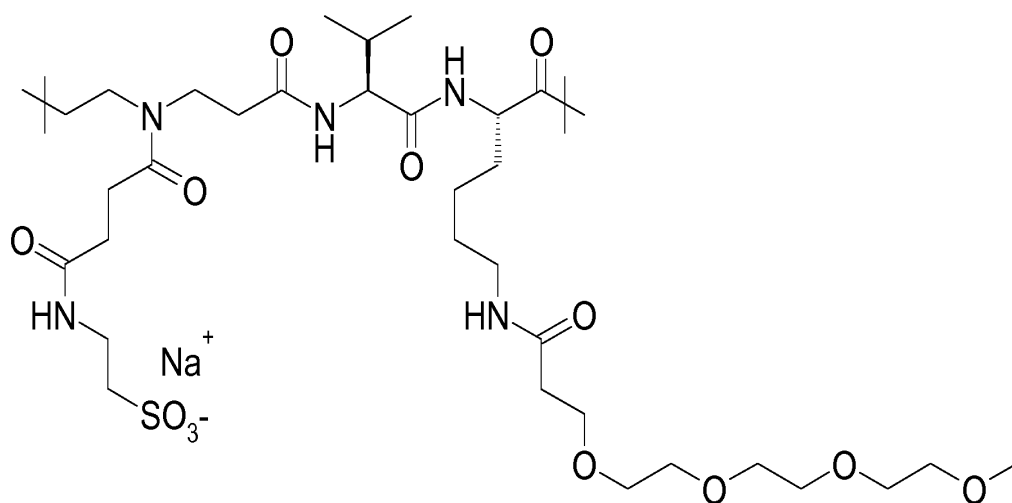


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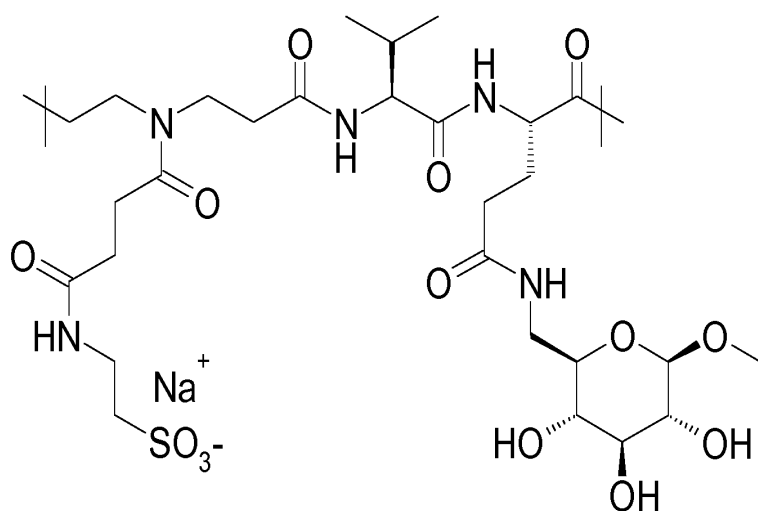


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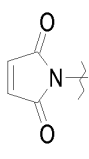
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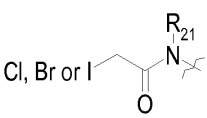


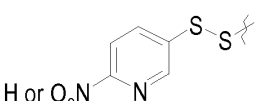
and

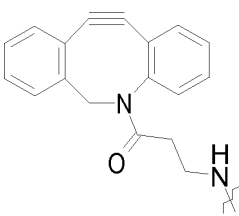


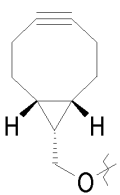
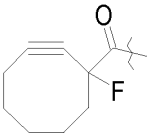
13. Compounds of formula (I) according to anyone of claims 1 to 12, wherein **RCG1** is selected from the following list:
- (i) a $R_aZ_a-C(=O)$ reactive group for which **Z_a** represents a single bond, -O- or -NH-, for instance -O-, and **R_a** represents a hydrogen atom, a (C₁-C₆)alkyl group, a (C₃-C₇)cycloalkyl group, an alkenyl group, an aryl group, a heteroaryl group or a (C₃-C₇)heterocycloalkyl group, the aryl group, the heteroaryl group and/or the (C₃-C₇)heterocycloalkyl group being optionally substituted by 1 to 5 atoms/groups chosen from a halogen atom, for instance a fluorine atom, an alkyl group, an alkoxy group, a hydroxyl group, an oxo group, a nitro group and a cyano group;

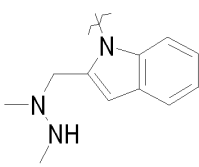
(ii) one of the following reactive groups: a maleimido  group; a haloacetamido

 group with **R₂₁** representing a hydrogen atom or a (C₁-C₆)alkyl group, such as Me; Cl-; N₃-; HO-; HS-; an activated disulfide such as

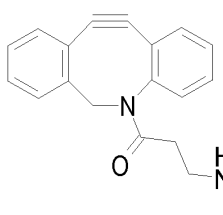
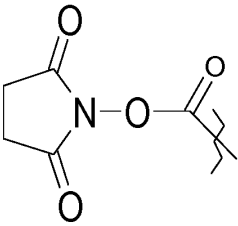
5  ; H₂N-; HC≡C- group or an activated C≡C group such as a

cyclooctyne moiety for instance a DBCO-amine  or BCN

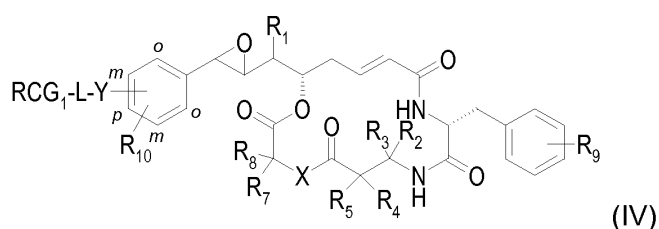
 or MFCO  ; an O-alkyl hydroxylamine or a Pictet-Spengler

reaction substrate such as  ;

for instance **RCG1** is selected from the following list:

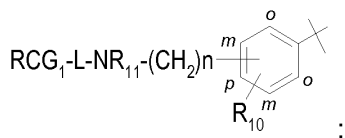
10  and  .

14. Cryptophycin payloads of formula (IV):

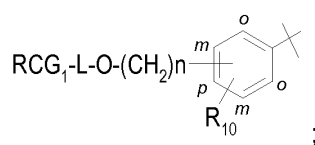


wherein:

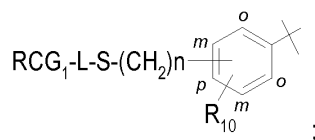
- **R₁** represents a (C₁-C₆)alkyl group;
- **R₂** and **R₃** represent, independently of each other, a hydrogen atom or a (C₁-C₆)alkyl group;
- or alternatively **R₂** and **R₃** form together with the carbon atom to which they are attached a (C₃-C₆)cycloalkyl or a (C₃-C₆)heterocycloalkyl group;
- **R₄** and **R₅** represent, independently of each other, a hydrogen atom or a (C₁-C₆)alkyl group or a (C₁-C₆)alkyl-NH(R₁₂) group or a (C₁-C₆)alkyl-OH group or a (C₁-C₆)alkyl-SH group or a (C₁-C₆)alkyl-CO₂H group;
- or alternatively **R₄** and **R₅** form together with the carbon atom to which they are attached a (C₃-C₆)cycloalkyl or a (C₃-C₆)heterocycloalkyl group;
- **X** represents O or N(R₆);
- **R₆** represents a hydrogen atom or a (C₁-C₆)alkyl group;
- **R₇** and **R₈** represent, independently of each other, a hydrogen atom or a (C₁-C₆)alkyl group or a (C₁-C₆)alkyl-CO₂H group or a (C₁-C₆)alkyl-N(C₁-C₆)alkyl₂ group;
- or alternatively **R₇** and **R₈** form together with the carbon atom to which they are attached a (C₃-C₆)cycloalkyl group or a (C₃-C₆)heterocycloalkyl group;
- **R₉** represents at least one substituent of the phenyl nucleus chosen, independently of each other, from: a hydrogen atom, -OH, (C₁-C₄)alkoxy, a halogen atom, -NH₂, -NH(C₁-C₆)alkyl or -N(C₁-C₆)alkyl₂ or -NH(C₁-C₆)cycloalkyl or (C₃-C₆)heterocycloalkyl group;
- **R₁₀** represents at least one substituent of the phenyl nucleus chosen from a hydrogen atom and a (C₁-C₄)alkyl group;
- **Y** represents
 - -NR₁₁-(C₁-C₆)alkyl-, such as -NR₁₁-(CH₂)_n- like



- -O-(C₁-C₆)alkyl-, such as -O-(CH₂)_n- like



- -S-(C₁-C₆)alkyl-, such as -S-(CH₂)_n- like



Y being positioned in an *ortho* (*o*), *meta* (*m*) or *para* (*p*) position of the phenyl nucleus;

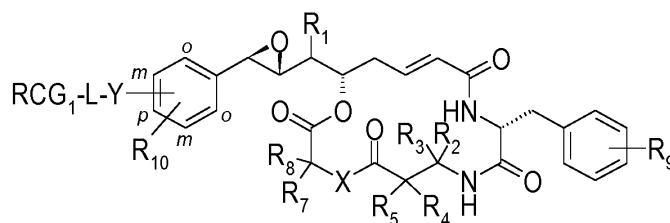
▪ **R₁₁** and **R₁₂** represent, independently of each other, a hydrogen atom or (C₁-C₆)alkyl, such as a hydrogen atom or a methyl group;

▪ **n** represents an integer ranging from 1 to 6;

▪ **L** is defined as in formula (I) according to claim 1 and represents a linker of formula (II) as defined in any one of claims 1 to 12;

▪ **RCG1** represents a reactive chemical group present at the end of the linker **L**, **RCG1** being reactive towards a chemical group present on a polypeptide such as an antibody.

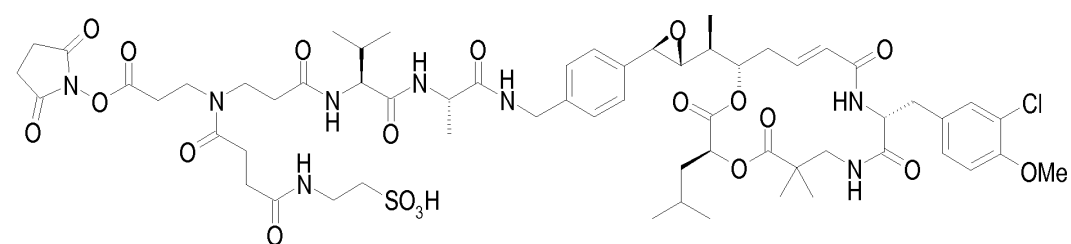
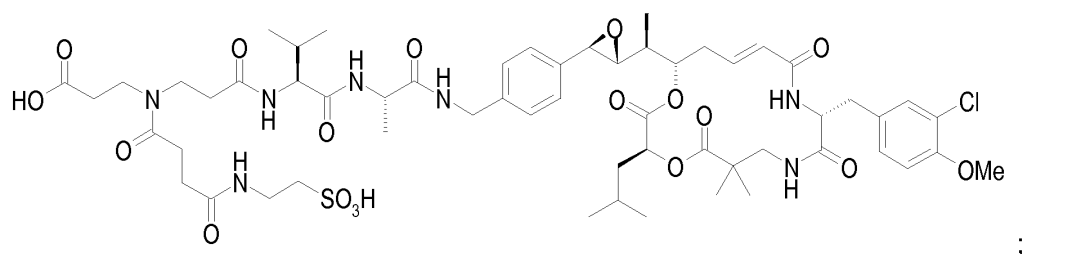
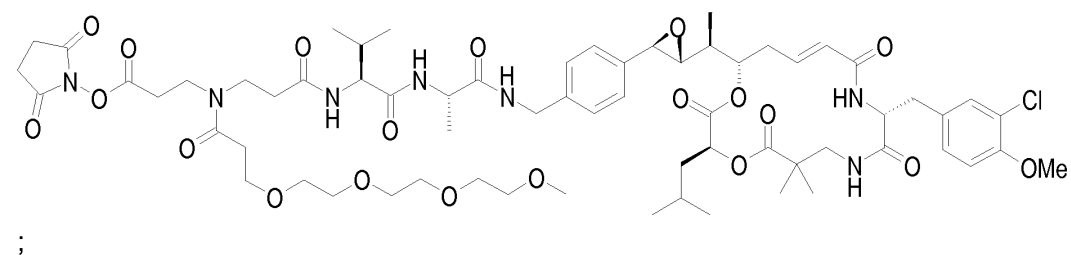
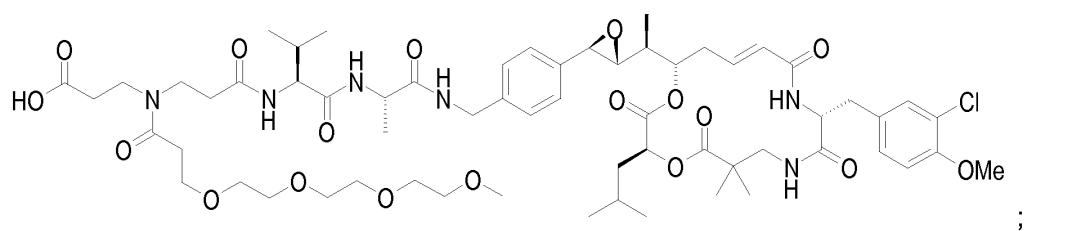
- 15 **15.** Cryptophycin payloads of formula (IV) according to claim 14, having the following structure:



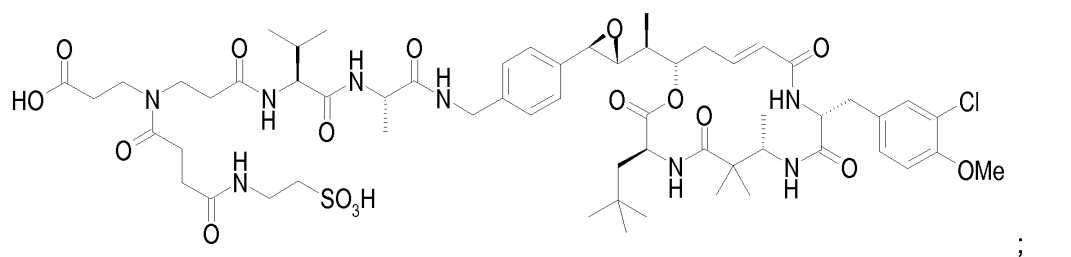
wherein:

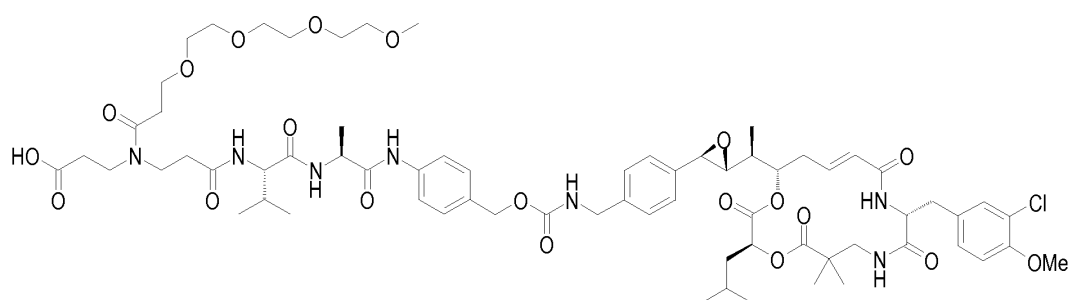
▪ **R₁, R₂, R₃, R₄, R₅, R₆, R₇, R₈, R₉, R₁₀, R₁₁, R₁₂, n, RCG₁, X, Y** and **L** are as defined in formula (IV) according to claim 14.

16. Cryptophycin payloads of formula (IV) according to claim 14 which are selected from the following list:

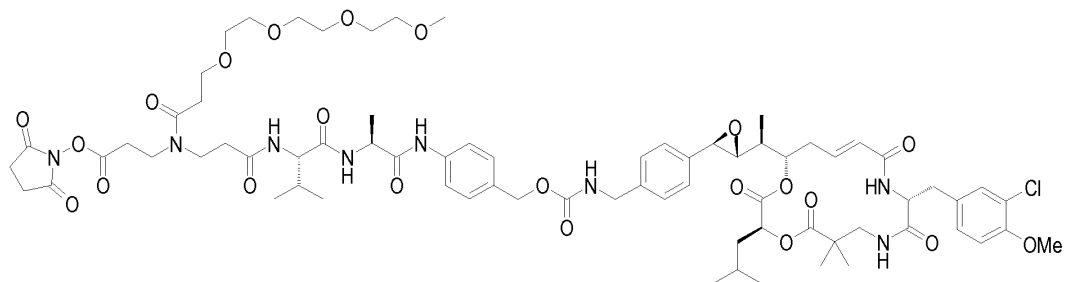


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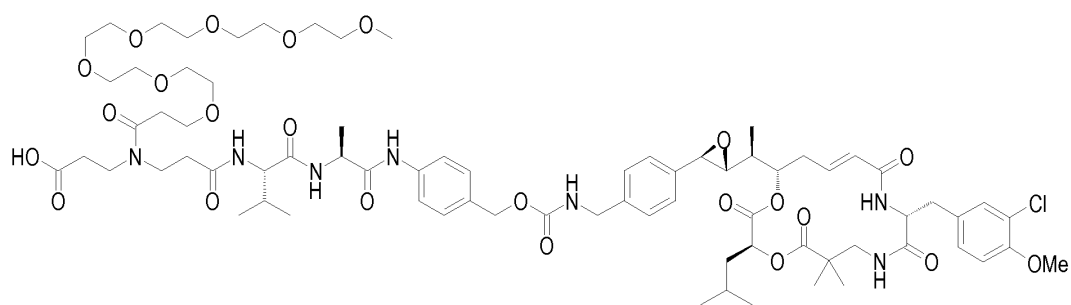




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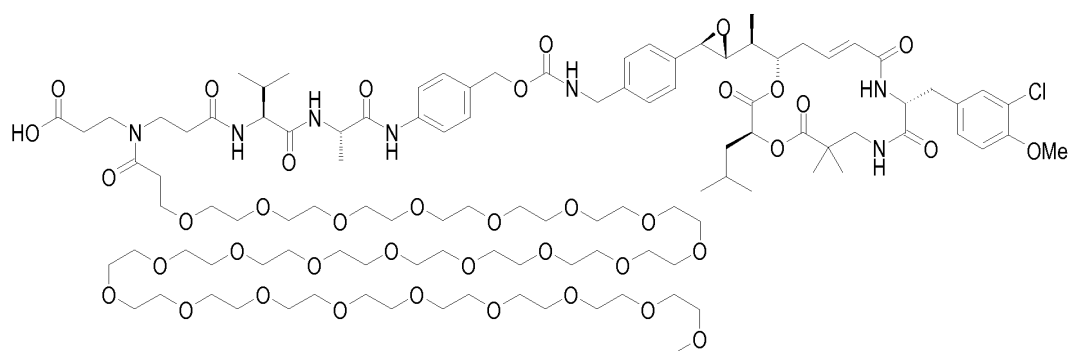


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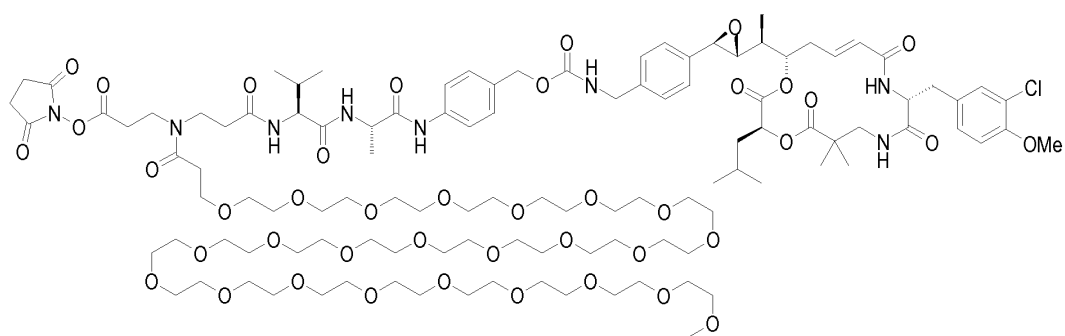


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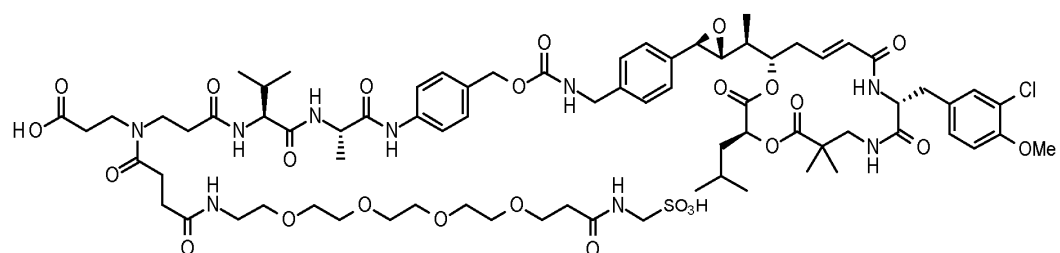
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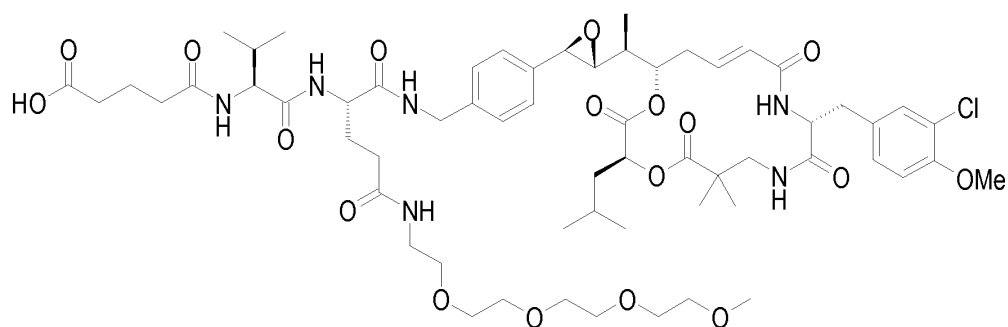
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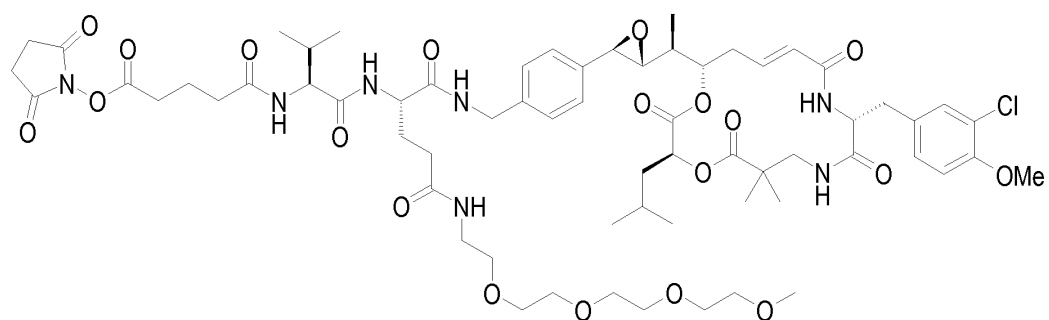


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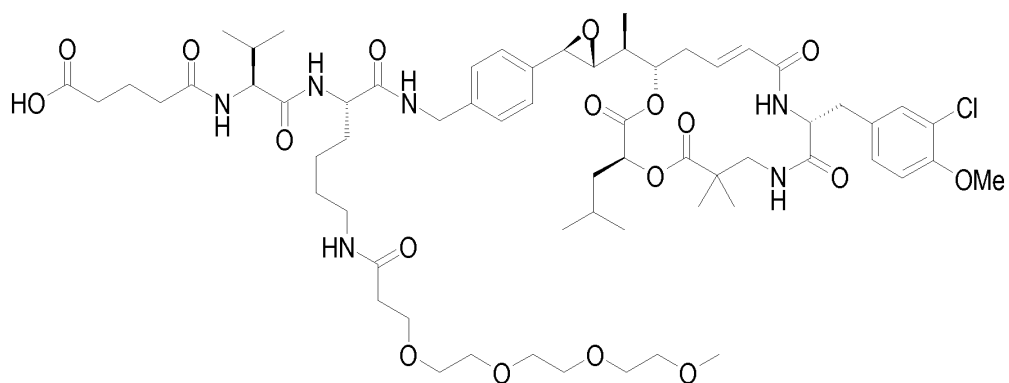
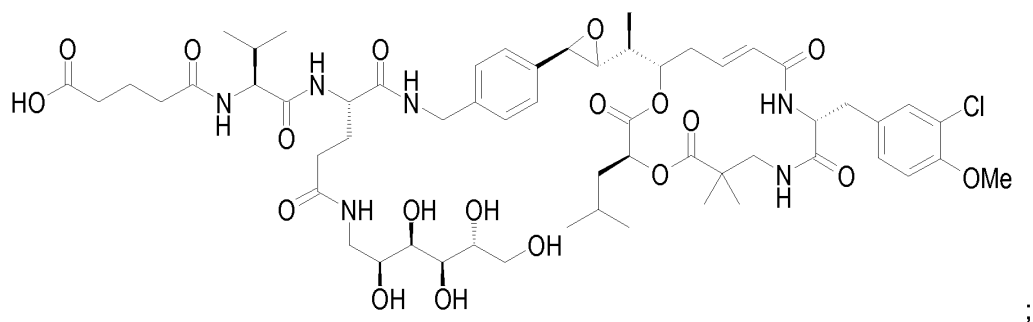
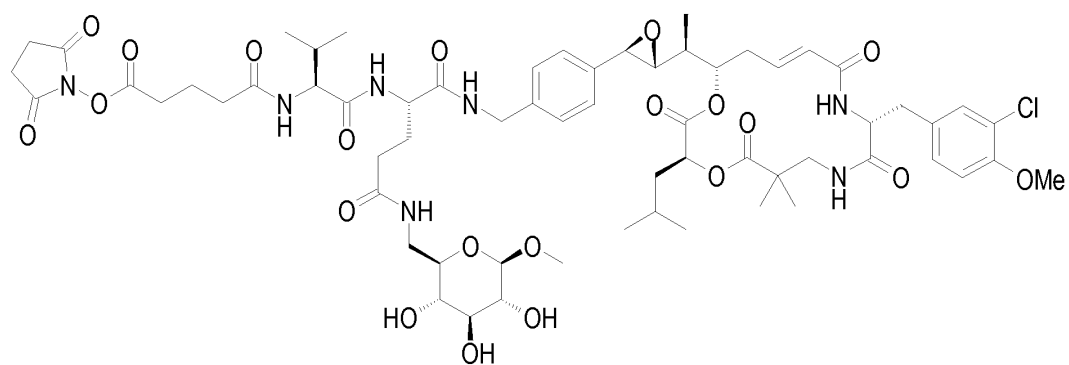
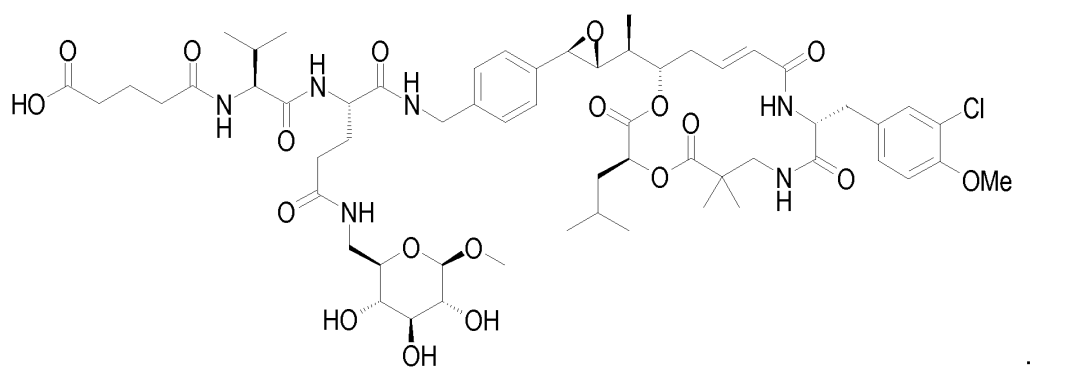


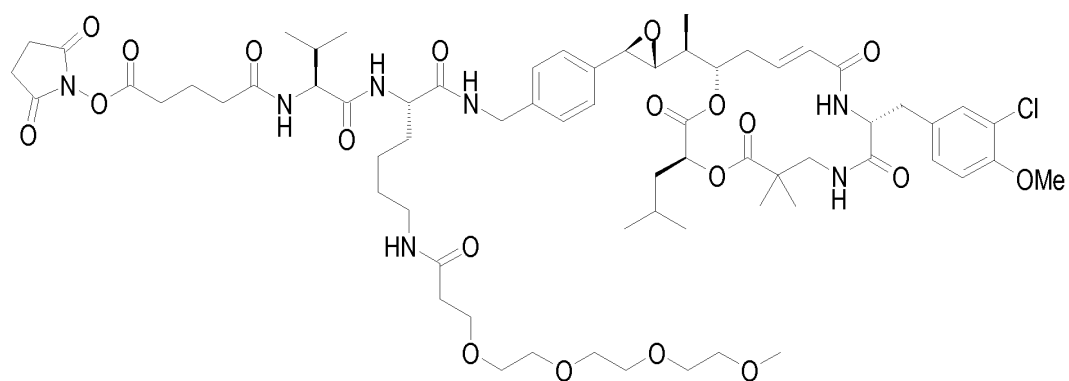
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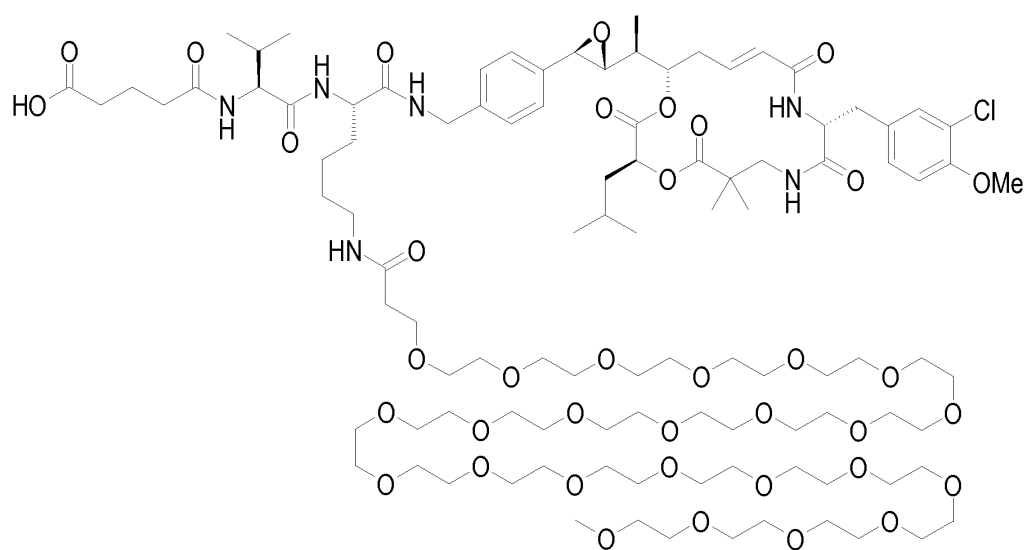


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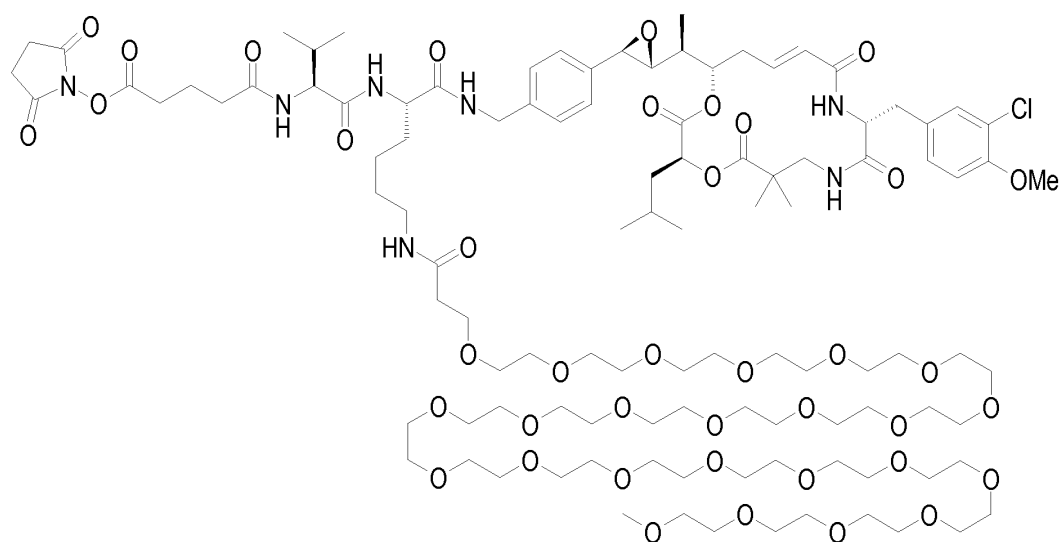




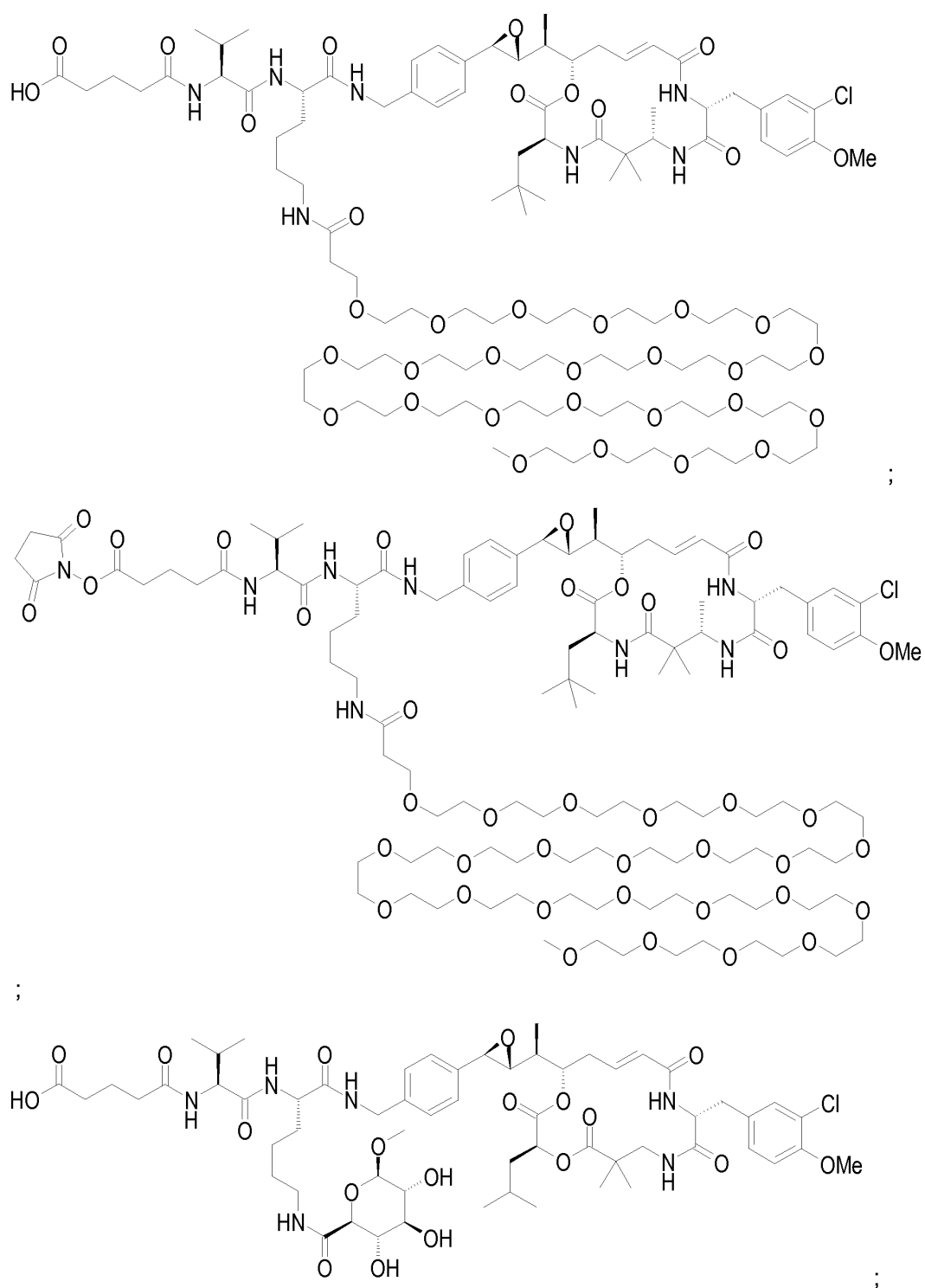
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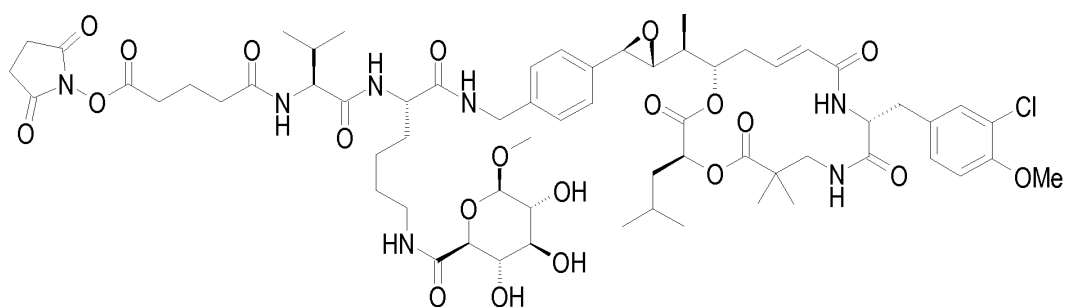


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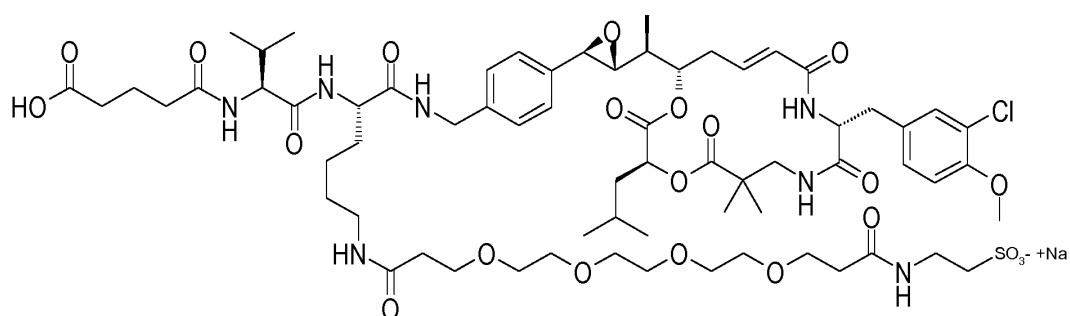


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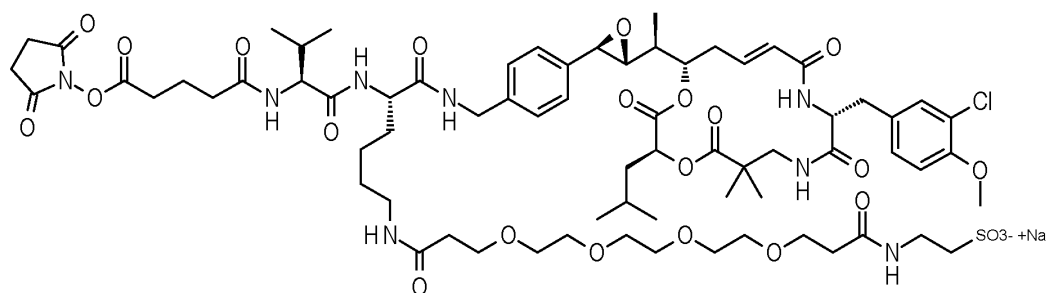




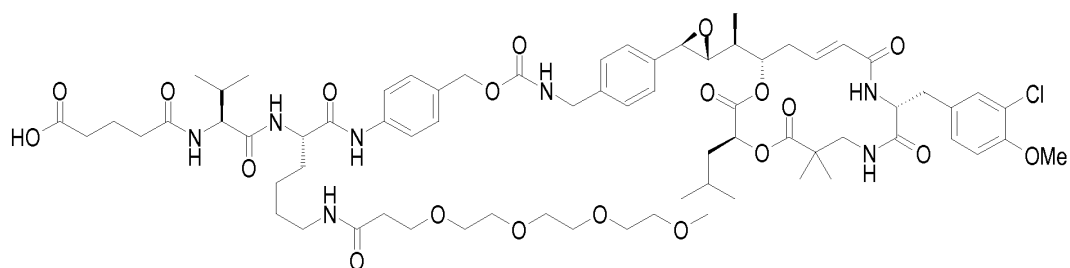
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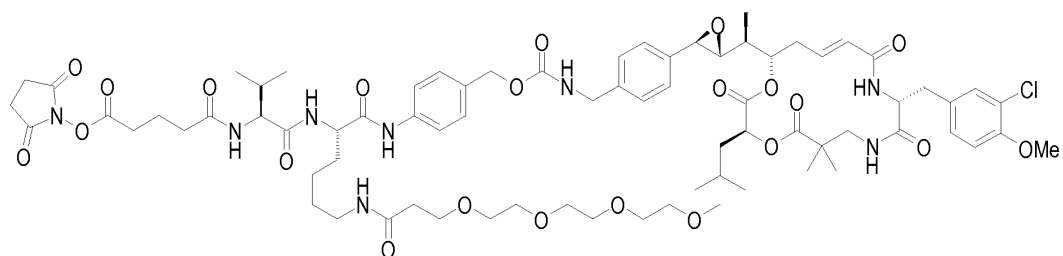
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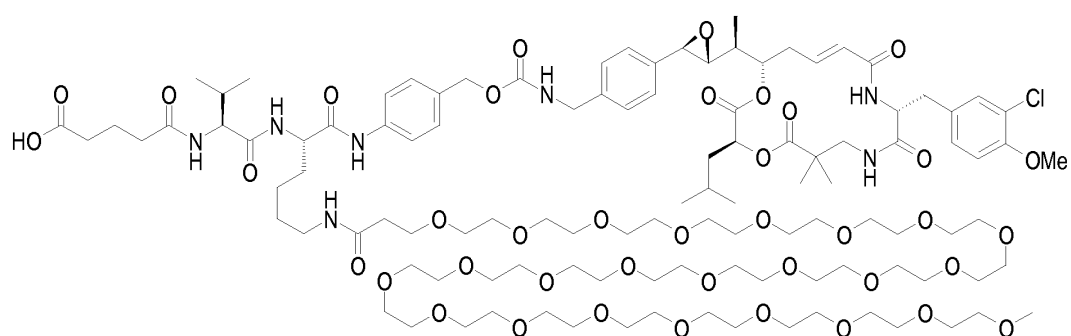
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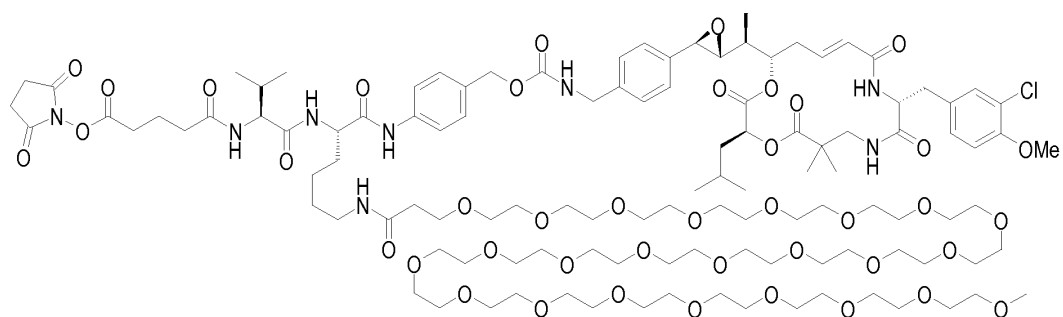
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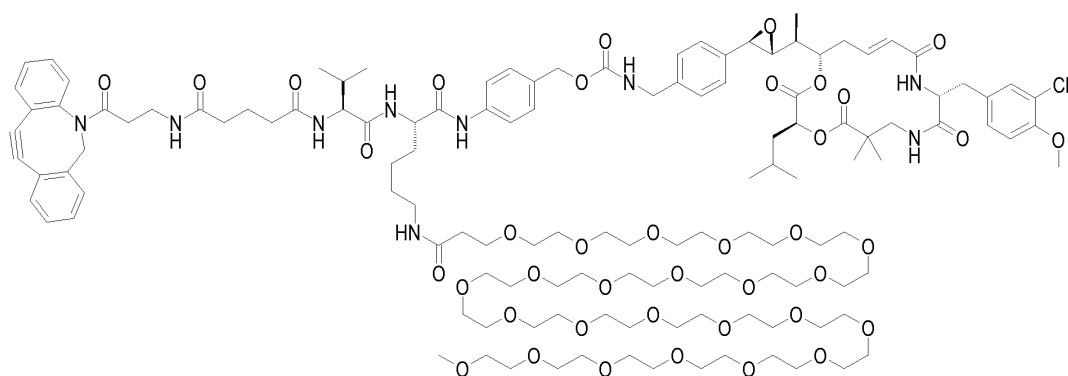
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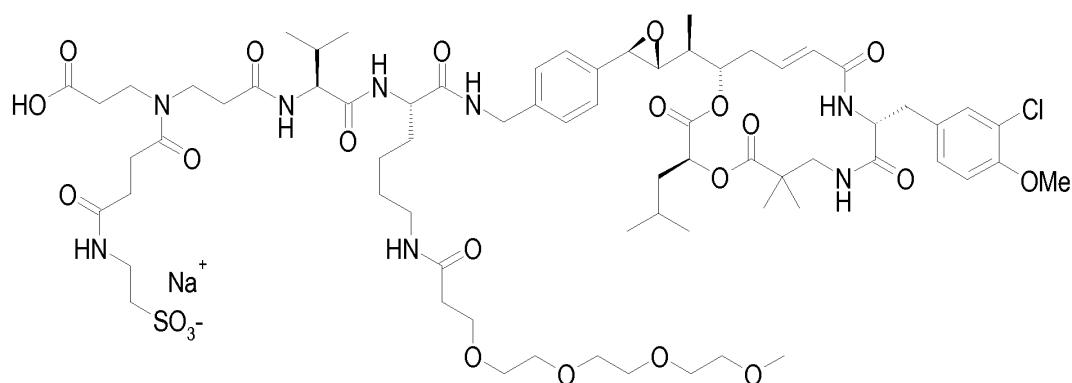
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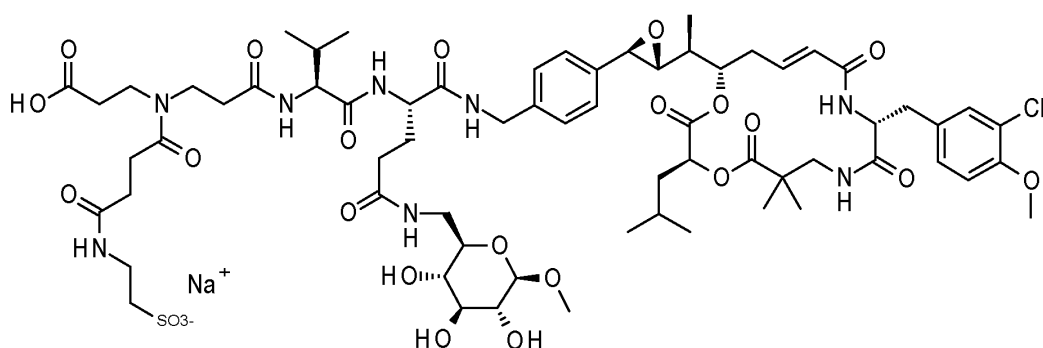
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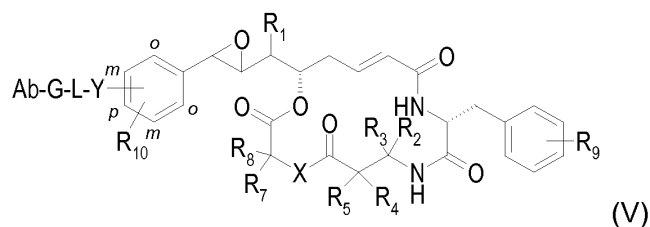


and



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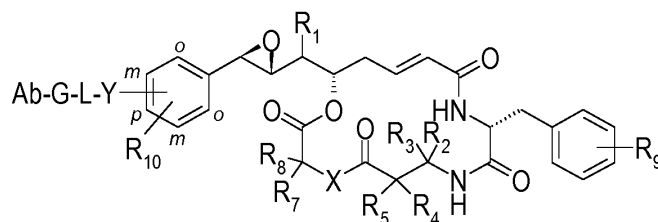
17. Conjugate of formula (V):



wherein:

- **R₁, R₂, R₃, R₄, R₅, R₆, R₇, R₈, R₉, R₁₀, R₁₁, R₁₂, n, X, Y** and **L** are as defined in formula (IV) according to claims 14 or 15;
- **G** represents the product of reaction between **RCG1** as defined in claim 13, a reactive chemical group present at the end of the linker **L** of formula (II) as defined in claim any one of claims 1 to 12, and **RCG2**, an orthogonal reactive chemical group present on a polypeptide such as the antibody (Ab); and
- **Ab** represents an antibody.

18. Conjugates of formula (V) according to claim 17, having the following structure:



wherein:

- **R₁, R₂, R₃, R₄, R₅, R₆, R₇, R₈, R₉, R₁₀, R₁₁, R₁₂, n, X, Y, L, G, RCG1, RCG2** and
- 5 **Ab** are as in formula (V) according to claim 17.

19. Conjugates of formula (V) according to claim 17 or 18, wherein **RCG2** is selected from:

- (i) ε-amino groups of lysines borne by the side chains of the lysine residues that are
- 10 present at the surface of an antibody;
- (ii) α-amino groups of N-terminal amino acids of antibody heavy and light chains;
- (iii) the saccharide groups of the hinge region;
- (iv) the thiols of cysteines generated by reducing intra-chain disulfide bonds or the thiols of engineered cysteines;
- 15 (v) amide groups borne by the side chains of some glutamine residues that are present at the surface of an antibody; and
- (vi) aldehyde groups introduced using formylglycine generating enzyme.

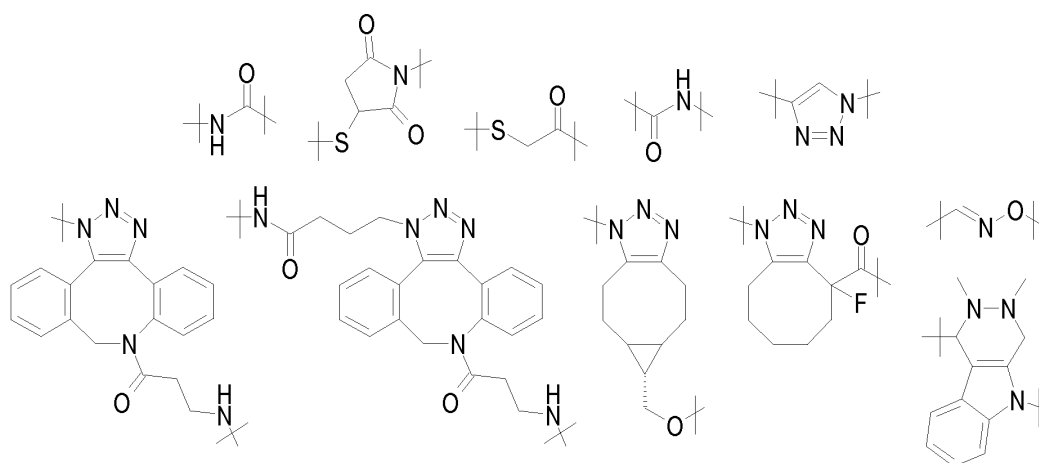
20. Conjugates of formula (V) according to claim 17 or 18, wherein:

- 20 - when **RCG1** represents a N-hydroxysuccinimidyl ester, **RCG2** represents a NH₂ group;
- when **RCG1** represents a maleimido function, a haloacetamido function, a chlorine atom or an activated disulfide, **RCG2** represents a -SH group;
- when **RCG1** represents a N₃ group, **RCG2** represents a C≡CH group or an
- 25 activated C≡C group such as a cyclooctyne moiety;
- when **RCG1** represents a OH or NH₂ group, **RCG2** represents a carboxylic acid or amide function;
- when **RCG1** represents a SH group, **RCG2** represents a maleimido function, a haloacetamido function or an activated disulfide function;

- when **RCG1** represents a $C\equiv CH$ group or an activated $C\equiv C$ group, **RCG2** represents a N_3 group;
- when **RCG1** represents a O-alkyl hydroxylamine function or a Pictet-Spengler reaction substrate, **RCG2** represents an aldehyde or ketone function.

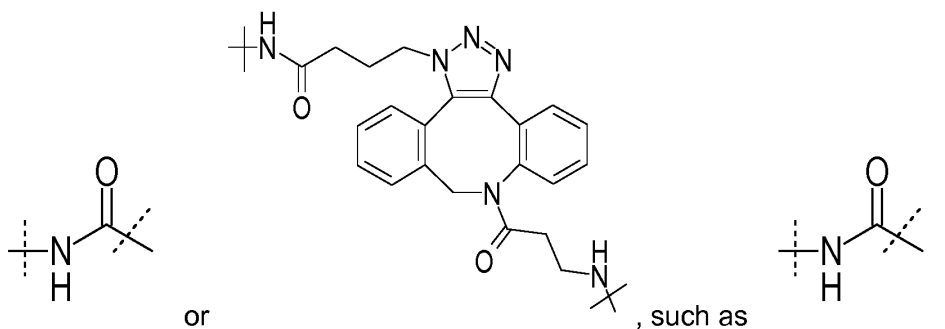
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21. Conjugates of formula (V) according to any one of claims 17 to 20, wherein **G** is selected from:

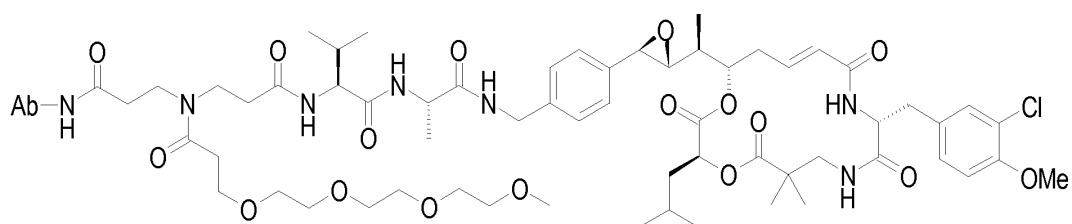


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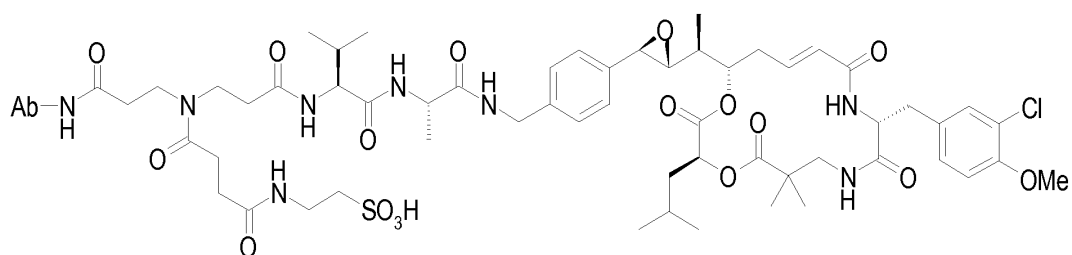
; for instance, **G** represents the following groups:



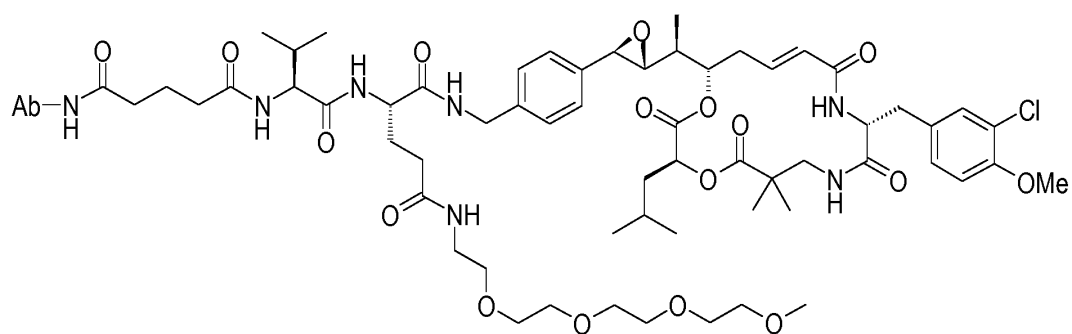
- 22.** Conjugates of formula (V) according to claim 17, which is selected from the following list:



;

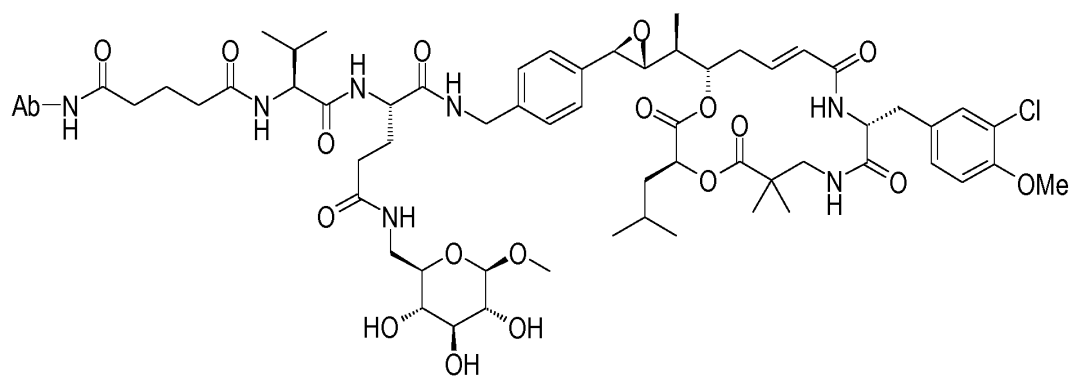


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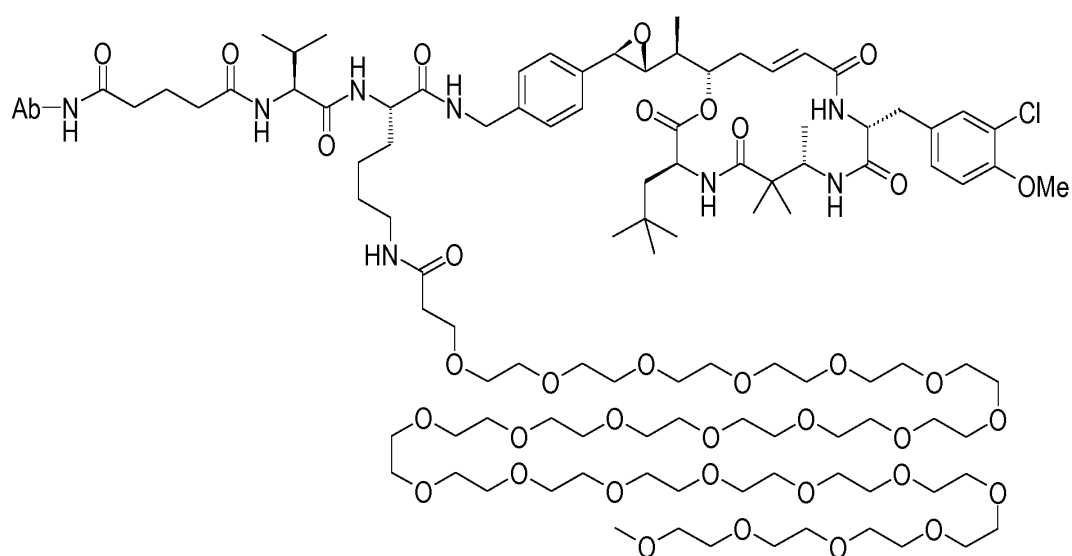


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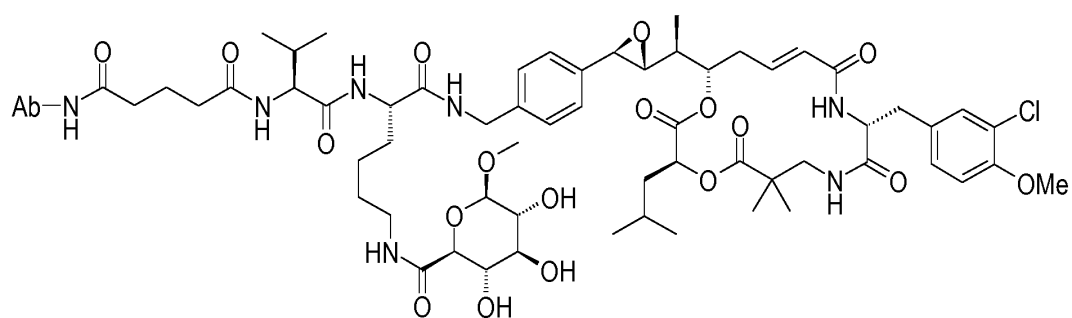
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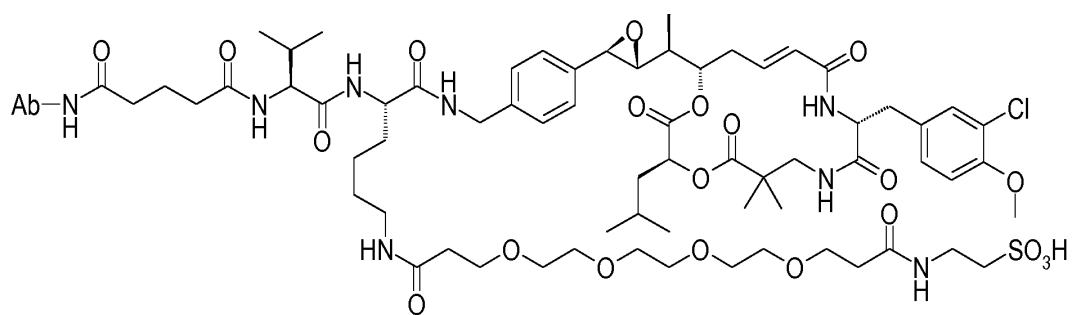
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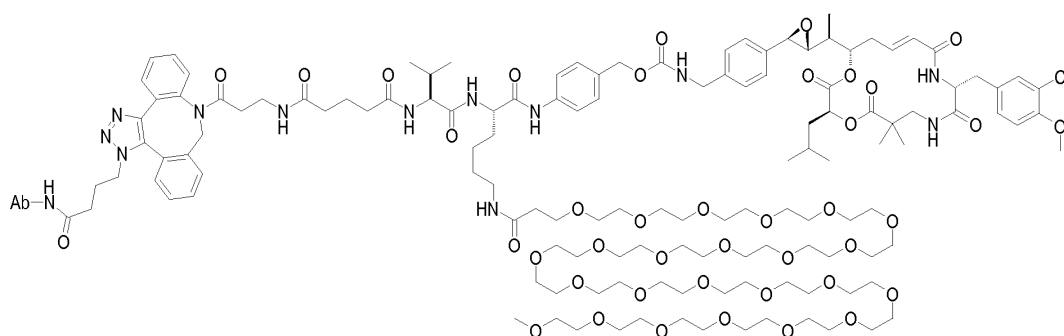


;



5

and



wherein Ab represents an antibody.

23. Process for preparing a conjugate of formula (V) as defined in any one of claims

5 17 to 22 comprising at least the steps of :

(i) placing in contact and leaving to react:

- an optionally buffered aqueous solution of an antibody, optionally modified by means of a modifying agent,
and

10 - a solution of a cryptophycin payload of formula (IV) as defined in any one of claims 14 to 16,

the chemical group **RCG1** of the cryptophycin payload of formula (IV) being reactive towards the chemical groups **RCG2** present on the polypeptide such as the antibody especially towards the amino groups present on antibodies, the said chemical groups

15 **RCG2** having been introduced, where appropriate, by the modifying agent,

so as to attach the cryptophycin payload of formula (IV) to the antibody by formation of a covalent bond;

(ii) and then optionally to separate the conjugate formed in step (i) from the cryptophycin payload of formula (IV) and/or from the unreacted antibody and/or from
20 any aggregates that may have formed.

24. Medicament, wherein it comprises at least one conjugate of formula (V) according to any one of claims 17 to 22.

25 **25.** Pharmaceutical composition, wherein it comprises at least one conjugate of formula (V) according to any one of claims 17 to 22, and also at least one pharmaceutically acceptable excipient.

- 26.** Use of the conjugates of formula (V) according to any one of claims 17 to 22 as anticancer agents.
- 5 **27.** Conjugates of formula (V) according to any one of claims 17 to 22 for use in the treatment of cancer.