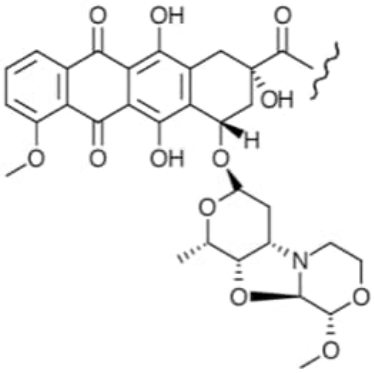
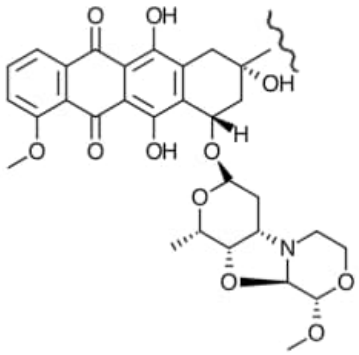
Claims

1. An anthracycline (PNU) derivative conjugate comprising a derivative of the anthracycline PNU-159682 having the following formula (i) or formula (ii)



formula (i)



formula (ii)

said conjugate comprising at its wavy line a linker structure X – L_1 – L_2 – L_3 – Y, wherein L_1 - L_3 represent linkers, and two of L_1 – L_3 are mandatory, and wherein X and Y further represent each one or more optional linkers.

2. The anthracycline (PNU) derivative conjugate according to claim 1 wherein the linker structure comprises, as L_2, an oligo-glycine peptide (Gly_n) coupled to said anthracycline derivative, directly or by means of another linker L_1, in such a way that the oligo-glycine peptide has a free amino terminus, and wherein n is an integer between ≥ 1 and ≤ 21.

3. The anthracycline (PNU) derivative conjugate according to claim 2, wherein the oligo-glycine peptide (Gly_n) is conjugated to the anthracycline derivative of formula (i) by means of an alkylenediamino linker, designated as L_1, which alkylenediamino linker is conjugated to the anthracycline derivative by means of a first amide bond, while it is conjugated to the carboxy terminus of the oligo-glycine peptide by means of a second amide bond, said conjugate of

alkylenediamino linker and oligo-glycine peptide having the following formula (v),

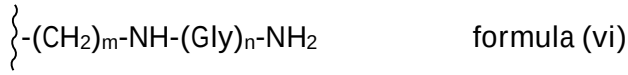


formula (v)

wherein the wavy line in formula (v) indicates the linkage to the anthracycline derivative of formula (i), m in formula (v) is an integer ≥ 1 and ≤ 11, and n in formula (v) is an integer ≥ 1 and ≤ 21.

4. The anthracycline (PNU) derivative conjugate according to claim 2, wherein the oligo-glycine peptide (Gly_n) is, directly or by means of another linker L_1, coupled to Ring A of the anthracycline derivative of formula (ii).

5. The anthracycline (PNU) derivative conjugate according to claim 2, wherein the oligo-glycine peptide (Gly_n) is conjugated to the anthracycline derivative of formula (ii) by means of an alkyleneamino linker, designated as L_1, which alkyleneamino linker is conjugated to the carboxy terminus of the oligo-glycine peptide by means of an amide bond, said conjugate of alkyleneamino linker and oligo-glycine peptide having the following formula (vi)



formula (vi)

wherein the wavy line in formula (vi) indicates the linkage to the anthracycline derivative of formula (ii), m in formula (vi) is an integer ≥ 1 and ≤ 11, and n in formula (vi) is an integer between ≥ 1 and ≤ 21.

6. The anthracycline (PNU) derivative conjugate according to claim 2, wherein the linker structure L_3 comprises a peptide motif that results from specific cleavage of a sortase enzyme recognition motif.

7. The anthracycline (PNU) derivative conjugate according to claim 6, wherein said sortase enzyme recognition motif comprises a pentapeptide.

8. The anthracycline (PNU) derivative conjugate according to claim 6, wherein said sortase enzyme recognition motif comprises at least one amino acid sequence selected from the group consisting of (N-terminal to C-terminal) LPXTG (SEQ ID NO: 5), LPXSG (SEQ ID NO: 6), and LAXTG (SEQ ID NO: 7), wherein X in each of SEQ ID NOs: 5-7 represents any amino acid.