Formula I

wherein:
R1 = H or OH;
R2 = H or OH;
R3 = NH_2 or OH;
R4 = OH or OC_{1-6}-alkyl; and
R5 = L-T; wherein L is a linker; and T is a target binding moiety.

[0011] In a further aspect, the present invention relates to a pharmaceutical composition comprising the conjugate according to the present invention, and further comprising one or more pharmaceutically acceptable diluents, carriers, excipients, fillers, binders, lubricants, glidants, disintegrants, adsorbents; and/or preservatives.

[0012] In another aspect, the present invention relates to an amatoxin-conjugation molecule of Formula I, wherein R1 to R4 are as defined above; and wherein R5 = L-X; wherein L is a linker; and X is a leaving group that can be replaced by a nucleophilic group of a target-binding moiety, particularly a primary amine.

[0013] In yet another aspect, the present invention relates to a method for synthesizing a conjugate of the present invention, comprising the step of reacting an amatoxin-conjugation molecule of the present invention with a target-binding moiety comprising a nucleophilic group~~, particularly a primary amine~~.

[0013a] In another aspect, there is provided the use of an amatoxin conjugate as defined herein, or a pharmaceutical composition as defined herein in the manufacture of a medicament for treating cancer in a patient.

BRIEF DESCRIPTION OF THE DRAWING

[0014] **Fig. 1** shows the structural formulae of different amatoxins. The numbers in bold type (1 to 8) designate the standard numbering of the eight amino acids forming the amatoxin. The standard designations of the atoms in amino acids 1, 3 and 4 are also shown (Greek letters α to γ, Greek letters α to δ, and numbers from 1' to 7', respectively).

[0015] **Fig. 2** shows the cytotoxic activity of Her-DSC-30.0378 [2.8] on a HER2-positive tumor cell line *in vitro* in a BrdU assay after incubation for 72 h.

17. A method for synthesizing an amatoxin conjugate of any one of claims 1 to 12, comprising the step of reacting an amatoxin-conjugation molecule of any one of claims 14 to 16 with a target-binding moiety comprising a nucleophilic group.

18. The method of claim 17, wherein said nucleophilic group is a primary amine.

19. Use of~~A method of treating cancer in a patient comprising the step of administering~~ an amatoxin conjugate according to any one of claims 1 to 11, or a pharmaceutical composition of claim 13 ~~to said patient~~in the manufacture of a medicament for treating cancer in a patient.

20. The use~~method~~ of claim 19, wherein said cancer is selected from the group consisting of pancreatic cancer, cholangiocarcinoma, breast cancer, colorectal cancer, lung cancer, prostate cancer, ovarian cancer, stomach cancer, kidney cancer, malignant melanoma, leukemia, and malignant lymphoma,