CELL-BINDING MOLECULE-TUBULYSIN DERIVATIVE CONJUGATE AND PREPARATION METHOD THEREFOR

ABSTRACT

The present invention relates to the conjugates of Tubulysin derivatives (anologs) and cell-binding molecules using branched (side-chain) linkers, and the resulting conjugates have better pharmacokinetic properties, and thus can more accurately target and kill abnormal cells. The invention also relates to the conjugation methods of the Tubulysin derivatives (anologs) to cell-binding molecules, and methods for synthesizing the small molecules, and methods of using the conjugates for targeted therapy for cancers, infections and autoimmune diseases. The conjugates of Tubulysin derivatives with long branched linkers demonstrated increased half-life, minimal exposure to non-targeted cells, tissues or organs in system circulation, leading to reduced off-target toxicity.