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(54) Title: SELECTIVE DRUG RELEASE FROM INTERNALIZED CONJUGATES OF BIOLOGICALLY ACTIVE COMPOUNDS

(57) Abstract: The invention relates to conjugates of biologically active compounds, wherein such a conjugate is comprised of a sequence of amino acids containing a tripeptide that confers selective cleavage by tumor tissue homogenate for release of free drug and/or improves biodistribution into the tumor tissue in comparison to normal tissue homogenate from the same species, wherein the normal tissue is the site of an adverse event associated with administration to a human subject in need thereof of a therapeutically effective amount of a comparator conjugate whose amino acid sequence is a dipeptide known to be selectively cleavable by Cathepsin B.

WO 2022/198232 A1