(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
22 September 2022 (22.09.2022)

WIPO | PCT

(10) International Publication Number
WO 2022/198231 A1

(51) International Patent Classification:
A61K 47/68 (2017.01) *A61P 35/00* (2006.01)

(21) International Application Number:
PCT/US2022/071210

(22) International Filing Date:
17 March 2022 (17.03.2022)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

63/162,653	18 March 2021 (18.03.2021)	US
63/162,660	18 March 2021 (18.03.2021)	US
63/162,773	18 March 2021 (18.03.2021)	US
63/162,776	18 March 2021 (18.03.2021)	US
63/162,781	18 March 2021 (18.03.2021)	US
63/162,786	18 March 2021 (18.03.2021)	US
63/163,012	18 March 2021 (18.03.2021)	US
63/163,017	18 March 2021 (18.03.2021)	US
63/221,295	13 July 2021 (13.07.2021)	US

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(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BN, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DJ, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IR, IS, IT, JM, JO, JP, KE, KG, KH, KN, KP, KR, KW, KZ, LA, LC, LK, LR, LS, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PA, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, SA, SC, SD, SE, SG, SK, SL, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, WS, ZA, ZM, ZW.

(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, ST, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, RU, TJ, TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, KM, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:
— *of inventorship (Rule 4.17(iv))*

Published:
— *with international search report (Art. 21(3))*
— *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments (Rule 48.2(h))*
— *with sequence listing part of description (Rule 5.2(a))*

(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.

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