

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
11 May 2023 (11.05.2023)



(10) International Publication Number
WO 2023/081230 A1

(51) International Patent Classification:
C07K 5/02 (2006.01) C07K 7/02 (2006.01)

(21) International Application Number:
PCT/US2022/048735

(22) International Filing Date:
02 November 2022 (02.11.2022)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
63/275,177 03 November 2021 (03.11.2021) US
63/295,476 30 December 2021 (30.12.2021) US

(71) Applicant: **ADCENTRX THERAPEUTICS INC.**
[US/US]; 5580 Morehouse Drive, Suite 210, San Diego, CA
92121 (US).

(72) Inventors: **LI, Richard, Hui**; 5580 Morehouse Drive,
Suite 210, San Diego, CA 92121 (US). **LEE, Dong, Jun**;
5580 Morehouse Drive, Suite 210, San Diego, CA 92121
(US).

(74) Agent: **ZHANG, Yin, Philip**; Milstein Zhang & Wu LLC,
2000 Commonwealth Ave. Suite 400, Newton, MA 02466
(US).

(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, CV, CZ, DE, DJ, DK, DM,
DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT,
HN, HR, HU, ID, IL, IN, IQ, IR, IS, IT, JM, JO, JP, KE,
KG, KH, KN, KP, KR, KW, KZ, LA, LC, LK, LR, LS, LU,
LY, MA, MD, 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, CV,
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, ME, 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).

(54) Title: NOVEL AURISTATIN ANALOGS AND IMMUNOCONJUGATES THEREOF

(57) Abstract: The invention provides novel auristatin analogs and immunoconjugates thereof, as well as pharmaceutical compositions and methods of preparation and use for treating various diseases and disorders (e.g., cancer).

WO 2023/081230 A1