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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
11 November 2021 (11.11.2021)

WIPO | PCT

(10) International Publication Number
WO 2021/225892 A1

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

(21) International Application Number:
PCT/US2021/030198

(22) International Filing Date:
30 April 2021 (30.04.2021)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
PCT/CN2020/088565
03 May 2020 (03.05.2020) CN
PCT/CN2021/086849
13 April 2021 (13.04.2021) CN

(71) Applicants: **LEVENA (SUZHOU) BIOPHARMA CO., LTD.** [CN/CN]; B8-30 Xinghu St., SIP, Suzhou, Jiangsu 215123 (CN). **ESCUGEN BIOTECHNOLOGY CO., LTD.** [CN/CN]; 781 Cailun Road, Suite 710, Pudong, Shanghai 200120 (CN).

(72) Inventors: **ZHU, Tong**; B8-30 Xinghu St., SIP, Suzhou, Jiangsu 215123 (CN). **KHASANOV, Alisher B.**; B8-30 Xinghu St., SIP, Suzhou, Jiangsu 215123 (CN). **LI, Hui**; B8-30 Xinghu St., SIP, Suzhou, Jiangsu 215123 (CN). **GUO, Maojun**; B8-30 Xinghu St., SIP, Suzhou, Jiangsu 215123 (CN). **LI, Haihong**; B8-30 Xinghu St., SIP, Suzhou, Jiangsu 215123 (CN). **XU, Chuangying**; 781 Cailun Road, Suite 710, Pudong, Shanghai 200120 (CN). **HE, Feng**; 781 Cailun Road, Suite 710, Pudong, Shanghai 200120 (CN). **ZHOU, Qing**; 781 Cailun Road, Suite 710, Pudong, Shanghai 200120 (CN).

(74) Agent: **KUZELKA, Jane** et al.; MCNEILL BAUR PLLC, 125 Cambridge Park Drive, Suite 301, Cambridge, Massachusetts 02140 (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, 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, 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,

(54) Title: ANTIBODY-DRUG CONJUGATES (ADCS) COMPRISING AN ANTI-TROP-2 ANTIBODY, COMPOSITIONS COMPRISING SUCH ADCS, AS WELL AS METHODS OF MAKING AND USING THE SAME

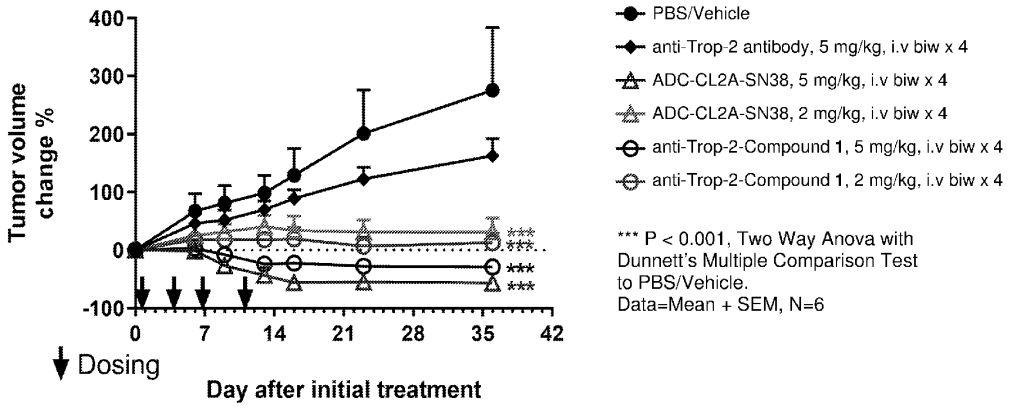


Fig. 6A

(57) Abstract: This disclosure relates to antibody-drug conjugates (ADCs) comprising an anti-Trop-2 antibody. Provided herein are compositions comprising such ADCs, as well as methods of making and using the same.

[Continued on next page]

WO 2021/225892 A1

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).

Published:
— *with international search report (Art. 21(3))*
— *with sequence listing part of description (Rule 5.2(a))*