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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
26 November 2020 (26.11.2020)

WIPO | PCT

(10) International Publication Number
WO 2020/236817 A3

(51) International Patent Classification:
A61K 47/68 (2017.01)

(21) International Application Number:
PCT/US2020/033602

(22) International Filing Date:
19 May 2020 (19.05.2020)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
62/850,098 20 May 2019 (20.05.2019) US

(71) Applicants: **NOVARTIS AG** [CH/CH]; Lichtstrasse 35, 4056 Basel (CH). **LES LABORATOIRES SERVIER** [FR/FR]; 35 Rue De Verdun, 92284 Suresnes Cedex (FR).

(72) Inventors: **BURGER, Matthew, T.**; c/o Novartis Institutes for Biomedical, Research, Inc., 250 Massachusetts Avenue, Cambridge, MA 02139 (US). **CHANRION, Maia**; 14 rue de la Galiote, Apt. D441, 92130 Issy les Moulineaux (FR). **COLLAND, Frederic**; 16 rue du Manoir, 95380 Puiseux-en-france (FR). **CSEKEI, Marton**; Madach Imre U, 22 11, Lph. 3/8, 2120 Dunakeszi (HU). **DELACOUR, Lea**; 30 rue Adolphe, 1116 Luxembourg (LU). **DESOS, Patrice**; 49 rue des Bourguignons, 92270 Bois Colombes (FR). **GENESTE, Olivier**; 17 rue Crevel Duval, 92500 Rueil-malmaison (FR). **HENLIN, Jean-Michel**; 50 rue des Carrieres, 92150 Suresnes (FR). **KOSTOVA, Vesela**; 37 rue Gounod, 92210 Saint Cloud (FR). **KOTSCHY, Andras**; Almos Vezer U. 4, 2045 Torokbalint (HU). **MARAGNO, Ana, Leticia**; 4 allée Anastasi, 78290 Croissy-sur-seine (FR). **MCNEILL, Eric**; c/o Novartis Institutes for Biomedical, Research, Inc., 250 Massachusetts Avenue, Cambridge, MA 02139 (US). **PALERMO, Mark, G.**; c/o Novartis Institutes for Biomedical, Research, Inc., 250 Massachusetts Avenue, Cambridge, MA 02139 (US). **ROCCHETTI, Francesca**; 32 Boulevard Saint Marcel, 75005 Paris (FR). **STARCK, Jerome**; 35 rue des Chailles, 92500 Rueill-malmaison (FR). **YU, Bing**; c/o Novartis Institutes for Biomedical, Research, Inc., 250 Massachusetts Avenue, Cambridge, MA 02139 (US). **ZHANG, Qiang**; c/o Novartis Institutes for Biomedical, Research, Inc., 250 Massachusetts Avenue, Cambridge, MA 02139 (US). **PROSZENYAK, Agnes**; Naszad Utca 20., 1037 Budapest (HU). **SIPOS, Szabolcs**; Veres Peter út 111-113 D/I./3, Budapest 1165 (HU). **D'ALESSIO, Joseph, Anthony**; c/o Novartis Institutes for BioMedical Research, 250 Massachusetts Avenue, Cambridge, MA 02139 (US). **CHEN, Zhuoliang**; c/o Novartis Institutes for BioMedical Research, 250 Massachusetts Avenue, Cambridge, Massachusetts 02139 (US). **BLANKENSHIP, John, William**; c/o Novartis Institutes for BioMedical Research, 250 Massachusetts Avenue, Cambridge, Massachusetts 02139 (US). **NAKAJIMA, Katsumasa**; c/o Novartis Institutes for BioMedical Research, 250 Massachusetts Avenue, Cambridge, Massachusetts 02139 (US).

(74) Agent: **ZHANG, Xin** et al.; McCarter & English, LLP, 265 Franklin Street, Boston, MA 02110 (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, 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).

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))*

(88) Date of publication of the international search report:
30 December 2020 (30.12.2020)

(54) Title: MCL-1 INHIBITOR ANTIBODY-DRUG CONJUGATES AND METHODS OF USE

(57) Abstract: Anti-CD74 antibody-drug conjugates are disclosed. The anti-CD74 antibody-drug conjugates comprise an Mcl-1 inhibitor drug moiety and an anti-CD74 antibody or antigen-binding fragment thereof that binds an antigen target, e.g., an antigen expressed on a tumor or other cancer cell. The disclosure further relates to methods and compositions for use in the treatment of cancers by administering the antibody-drug conjugates provided herein. Linker-drug conjugates comprising an Mcl-1 inhibitor drug moiety and methods of making same are also disclosed.

WO 2020/236817 A3