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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
01 July 2021 (01.07.2021)

WIPO | PCT

(10) International Publication Number
WO 2021/133653 A1

(51) International Patent Classification:
A61K 39/00 (2006.01) *A61P 31/12* (2006.01)
A61K 39/395 (2006.01) *A61P 35/00* (2006.01)
A61P 31/00 (2006.01) *A61P 35/02* (2006.01)

(21) International Application Number:
PCT/US2020/065873

(22) International Filing Date:
18 December 2020 (18.12.2020)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

62/952,878	23 December 2019 (23.12.2019)	US
62/952,859	23 December 2019 (23.12.2019)	US
63/019,857	04 May 2020 (04.05.2020)	US
63/021,556	07 May 2020 (07.05.2020)	US
63/031,453	28 May 2020 (28.05.2020)	US
63/123,581	10 December 2020 (10.12.2020)	US

(71) Applicant: **MACROGENICS, INC.** [US/US]; 9704 Medical Center Drive, Rockville, MD 20850 (US).

(72) Inventors: **SUMROW, Bradley, James**; C/o Macrogenics, Inc., 9704 Medical Center Drive, Rockville, ME 20850 (US). **LA MOTTE-MOHS, Ross**; C/o Macrogenics, Inc., 9704 Medical Center Drive, Rockville, MD 20850 (US). **WIGGINTON, Jon, Marc**; C/o Macrogenics, Inc., 9704 Medical Center Drive, Rockville, MD 20850 (US). **BONVINI, Ezio**; C/o Macrogenics, Inc., 9704 Medical Center Drive, Rockville, MD 20850 (US). **MOORE, Paul, A.**; C/o Macrogenics, Inc., 9704 Medical Center Drive, Rockville, MD 20850 (US). **KOENIG, Scott**; C/o Macrogenics, Inc., 9704 Medical Center Drive, Rockville, MD 20850 (US). **ZHANG, Xiaoyu**; C/o Macrogenics, Inc., 9704 Medical Center Drive, Rockville, MD 20850 (US).

(74) Agent: **AUERBACH, Jeffrey, I.** et al.; AuerbachSchrot LLC, 2200 Reserach Blvd., Suite 560, Rockville, MD 20850 (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, 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,

(54) Title: THERAPY FOR THE TREATMENT OF CANCER

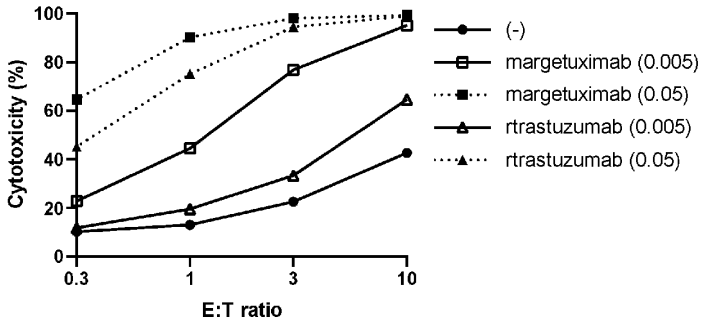


Figure 10

(57) Abstract: The present invention is directed to regimens for administering one or more Antibody-Based Molecules that bind PD-1 or PD-L1, and LAG-3 (*e.g*, a PD-1 x LAG-3 bispecific molecule) alone, or in combination with an Antibody -Based Molecule that binds a Tumor Antigen (TA) for the treatment of cancer. The invention particularly concerns the use of such regimens in conjunction with PD-1 x LAG-3 bispecific molecules. The invention is directed to the use of such molecules, and to the use of pharmaceutical compositions and pharmaceutical kits that contain such molecules and that facilitate the use of such dosing regimens in the treatment of cancer.

WO 2021/133653 A1

[Continued on next page]

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))*