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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
12 February 2015 (12.02.2015)

WIPO | PCT

(10) International Publication Number
WO 2015/021089 A1

(51) International Patent Classification:
A61K 39/395 (2006.01)

(21) International Application Number:
PCT/US2014/049848

(22) International Filing Date:
6 August 2014 (06.08.2014)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

61/864,217	9 August 2013 (09.08.2013)	US
61/866,416	15 August 2013 (15.08.2013)	US
61/869,519	23 August 2013 (23.08.2013)	US
61/907,525	22 November 2013 (22.11.2013)	US

(71) Applicant: **MACROGENICS, INC.** [US/US]; 9640 Medical Center Drive, Rockville, MD 20850 (US).

(72) Inventors: **JOHNSON, Leslie, S.**; 14411 Poplar Hill Road, Darnestown, MD 20874 (US). **HUANG, Ling**; 8210 Moorland Lane, Bethesda, MD 20817 (US). **SHAH, Kalpana**; 13013 Ethel Rose Way, Boyds, MD 20841 (US). **BONVINI, Ezio**; 11136 Powder Horn Drive, Potomac, MD 20854 (US). **MOORE, Paul, A.**; 7013 Old Gate Road, Bethesda, MD 20852 (US). **CHEN, Wei**; 404 Grand Street, Gaithersburg, MD 20878 (US).

(74) Agents: **AUERBACH, Jeffrey, I.** et al.; AuerbachSchrot LLC, 2200 Research 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, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IR, IS, JP, KE, KG, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, 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, SM, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, 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, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, RU, TJ,

[Continued on next page]

(54) Title: BI-SPECIFIC MONOVALENT FC DIABODIES THAT ARE CAPABLE OF BINDING CD32B AND CD79B AND USES THEREOF

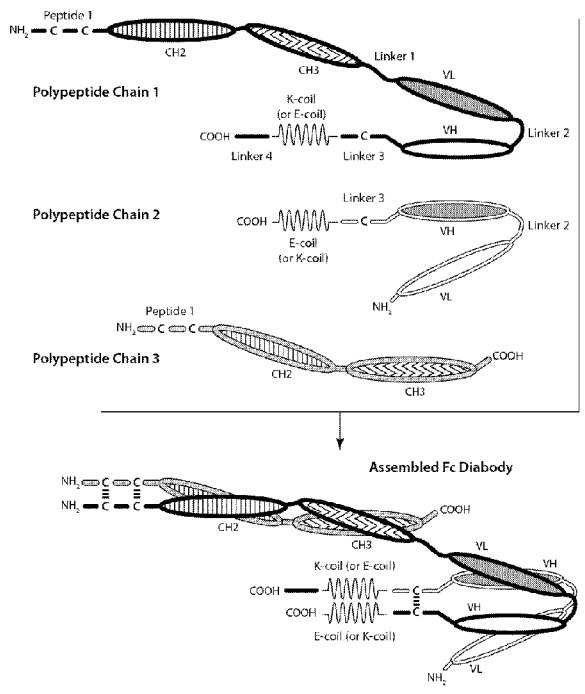


Figure 1

(57) Abstract: The present invention is directed to bi-specific monovalent diabodies that comprise an immunoglobulin Fc Domain ("bi-specific monovalent Fc diabodies") and are composed of three polypeptide chains and which possess at least one binding site specific for an epitope of CD32B and one binding site specific for an epitope of CD79b (i.e., a "CD32B x CD79b bi-specific monovalent Fc diabody"). The bi-specific monovalent Fc diabodies of the present invention are capable of simultaneous binding to CD32B and CD79b. The invention is directed to such compositions, to pharmaceutical compositions that contain such bi-specific monovalent Fc diabodies and to methods for their use in the treatment of inflammatory diseases or conditions, and in particular, systemic lupus erythematosus (SLE) and graft vs. host disease.

WO 2015/021089 A1

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))*

— *with sequence listing part of description (Rule 5.2(a))*