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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
9 February 2012 (09.02.2012)

PCT

(10) International Publication Number
WO 2012/018687 A1

(51) International Patent Classification:
C07K 16/00 (2006.01) *A61K 39/395* (2006.01)

(21) International Application Number:
PCT/US2011/045922

(22) International Filing Date:
29 July 2011 (29.07.2011)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
61/370,046 2 August 2010 (02.08.2010) US

(71) Applicant *(for all designated States except US)*: **MACROGENICS, INC.** [US/US]; 1500 East Gude Drive, Rockville, MD 20850 (US).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **JOHNSON, Leslie, S.** [US/US]; 14411 Poplar Hill Road, Darnestown, MD 20874 (US). **HUANG, Ling** [US/US]; 8210 Moorland Lane, Bethesda, MD 20817 (US). **RAINEY, Godfrey Jonah Anderson** [US/US]; 3005 Dennis Avenue, kensington, MD 20895 (US).

(74) Agent: **AUERBACH, Jeffrey, I.**; The Auerbach Law Firm, LLC, 2275 Research Blvd. Suite 500, 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, 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, IS, JP, KE, KG, KM, 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, PE, PG, PH, PL, PT, RO, RS, RU, 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, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK,

[Continued on next page]

(54) Title: COVALENT DIABODIES AND USES THEREOF

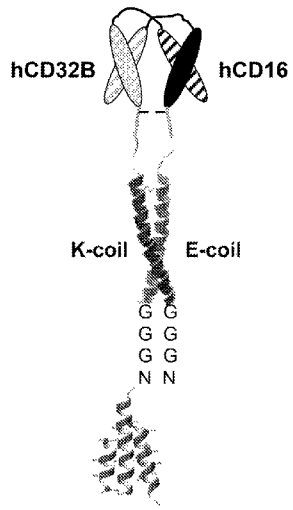


FIG. 45

(57) Abstract: Diabody molecules and uses thereof in the treatment of a variety of diseases and disorders, including immunological disorders, infectious disease, intoxication and cancers are disclosed. The diabody molecules comprise two polypeptide chains that associate to form at least two epitope binding sites, which may recognize the same or different epitopes on the same or differing antigens. Additionally, the antigens may be from the same or different molecules. The individual polypeptide chains of the diabody molecule may be covalently bound through non-peptide bond covalent bonds, such as disulfide bonding of cysteine residues located within each polypeptide chain. The diabody molecules may further comprise an Fc region, which allows antibody-like functionality to be engineered into the molecule.

WO 2012/018687 A1

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, 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))*