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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
6 October 2011 (06.10.2011)

PCT

(10) International Publication Number
WO 2011/123381 A1

(51) International Patent Classification:
C07K 16/28 (2006.01) *A61P 35/02* (2006.01)
A61K 39/395 (2006.01) *A61P 35/00* (2006.01)
C07K 16/32 (2006.01)

(21) International Application Number:
PCT/US2011/030148

(22) International Filing Date:
28 March 2011 (28.03.2011)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
61/319,896 1 April 2010 (01.04.2010) US

(71) Applicant *(for all designated States except US)*: **IMCLONE LLC** [US/US]; 440 Route 22 East, Bridgewater, New Jersey 08807 (US).

(72) Inventors; and
(75) Inventors/Applicants *(for US only)*: **DOODY, Jacqueline, Francoise** [US/US]; c/o Eli Lilly and Company, Lilly Corporate Center, Indianapolis, Indiana 46285 (US). **LI, Yanxia** [CN/US]; c/o Eli Lilly and Company, Lilly Corporate Center, Indianapolis, Indiana 46285 (US).

(74) Agents: **WOODS, Nicole, S.** et al.; Eli Lilly and Company, Patent Division, P.O. Box 6288, Indianapolis, Indiana 46206-6288 (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, 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).

Declarations under Rule 4.17:

— *as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))*

— *as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))*

Published:

— *with international search report (Art. 21(3))*

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

WO 2011/123381 A1

(54) Title: ANTIBODIES AGAINST CSF-1R

(57) Abstract: The invention provides a human antibody that binds human CSF-IR with high affinity. Antibodies of the present invention have significant advantages over the antibodies known in the art by being multifunctional : inhibiting signaling of CSF-1R, internalizing and inducing CSF-1R degradation and stimulating ADCC in cell including tumors, macrophages and monocytes. They are also shown to be effective in treating leukemia, breast, endometrial and prostate cancer alone or in combination with docetaxel, paclitaxel, Herceptin® or doxorubicin.