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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
13 June 2013 (13.06.2013)

WIPO | PCT

(10) International Publication Number
WO 2013/084147 A3

(51) **International Patent Classification**:
C07K 16/32 (2006.01) *A61K 31/395* (2006.01)
A61K 39/395 (2006.01) *A61P 35/00* (2006.01)

(21) **International Application Number**:
PCT/IB2012/056949

(22) **International Filing Date**:
4 December 2012 (04.12.2012)

(25) **Filing Language**: English

(26) **Publication Language**: English

(30) **Priority Data**:
61/566,890 5 December 2011 (05.12.2011) US

(71) **Applicant**: **NOVARTIS AG** [CH/CH]; Lichtstrasse 35, CH-4056 Basel (CH).

(72) **Inventors**: **ELIS, Winfried**; Morphosys Ag, Lena-Christ-Strasse 48, 82152 Planegg (DE). **ETTENBERG, Seth**; Novartis Institutes for Biomedical Research, Inc., 250 Massachusetts Avenue, Cambridge, MA 02139 (US). **GARNER, Andrew Paul**; Novartis Institutes for Biomedical Research, Inc., 250 Massachusetts Avenue, Cambridge, MA 02139 (US). **HAUBST, Nicole**; Morphosys Ag, Lena-Christ-Strasse 48, 82152 Planegg (DE). **HUANG, Xizhong**; Novartis Institutes for Biomedical Research, Inc., 250 Massachusetts Avenue, Cambridge, MA 02139 (US). **KUNZ, Christian Carsten Silvester**; Morphosys Ag, Lena-Christ-Strasse 48, 82152 Planegg (DE). **REISINGER SPRAGUE, Elizabeth Anne**; Novartis Institutes for Biomedical Research, Inc., 250 Massachusetts Avenue, Cambridge, MA 02139 (US). **SHENG, Qing**; Novartis Institutes for Biomedical Research, Inc., 250 Massachusetts Avenue, Cambridge, MA 02139 (US).

(74) **Agent**: **SAGOO, Jasbir**; Novartis Pharma Ag, Patent Department, CH-4002 Basel (CH).

(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, 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, PA, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, 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, 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).

[Continued on next page]

(54) **Title**: ANTIBODIES FOR EPIDERMAL GROWTH FACTOR RECEPTOR 3 (HER3)

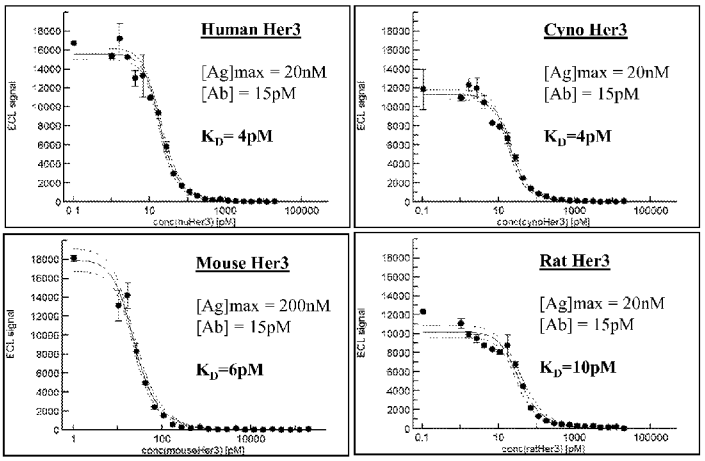


Fig. 1

(57) **Abstract**: This invention relates to antibodies or fragments thereof which interact with HER family of receptors, e.g., HER3 receptor. In particular, it relates to antibodies or fragments thereof that recognize a conformational epitope of HER3 receptor comprising residues from both domains 2 and 4 resulting in inhibition of both ligand-dependent and ligand-independent signal transduction; and allow ligand binding (e.g., neuregulin), whilst preventing ligand-induced activation of signal transduction. These antibodies or fragments can be used to treat a number of diseases or disorders characterized by increased levels of HER3 expression (e.g., esophageal cancer). These antibodies or fragments can be used to treat a number of diseases or disorders characterized by the antibodys or fragments ability to decrease tissue weight (e.g., prostate or uterine weights) or to induce atrophy of tissue (e.g., atrophy of male breast). Alternatively, these antibodies or fragments can be used to treat a disorder characterized by increased levels of HER3 expression in an esophageal tract, gastric cancer or head and neck cancer.

WO 2013/084147 A3

WO 2013/084147 A3

Published:

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

(88) Date of publication of the international search report:
21 November 2013