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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
21 July 2011 (21.07.2011)

PCT

(10) International Publication Number
WO 2011/088196 A2

(51) International Patent Classification:
A61K 38/17 (2006.01)

(21) International Application Number:
PCT/US2011/021109

(22) International Filing Date:
13 January 2011 (13.01.2011)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
61/335,950 14 January 2010 (14.01.2010) US

(71) Applicant *(for all designated States except US)*: **YALE UNIVERSITY** [US/US]; Two Whitney Avenue, New Haven, CT 06511 (US).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **BAE, Jae, Hyun** [KR/US]; 53 Brushy Plain Road, Unit 5A, Branford, CT 06405 (US). **LAX, Irit** [US/US]; 50 Rock Hill Road, Woodbridge, CT 06525 (US). **SCHLESSINGER, Joseph** [US/US]; 50 Rock Hill Road, Woodbridge, CT 06525 (US).

(74) Agents: **ZACHARAKIS, Maria, Laccotripe** et al.; McCarter & English, LLP, 265 Franklin Street, Boston, MA 02110 (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,

[Continued on next page]

(54) Title: INHIBITORS OF RECEPTOR TYROSINE KINASES (RTK) AND METHODS OF USE THEREOF

(57) Abstract: The present invention provides moieties that bind to the asymmetric contact interface of a receptor tyrosine kinase (RTK), wherein the moieties inhibit ligand induced trans autophosphorylation of the RTK. The present invention also provides methods of treating or preventing an RTK-associated disease and methods for identifying moieties that bind to an asymmetric contact interface of an RTK.

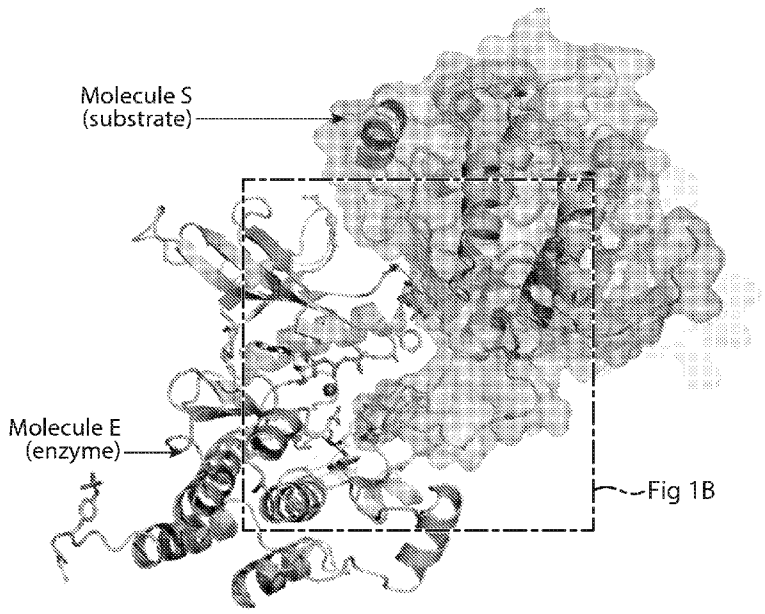


Fig. 1A

WO 2011/088196 A2

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).

Published:

— *without international search report and to be republished upon receipt of that report (Rule 48.2(g))*