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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
18 December 2008 (18.12.2008)

PCT

(10) International Publication Number
WO 2008/153926 A4

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

(21) International Application Number:
PCT/US2008/007104

(22) International Filing Date: 5 June 2008 (05.06.2008)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

60/933,238	5 June 2007 (05.06.2007)	US
61/009,482	28 December 2007 (28.12.2007)	US
61/070,506	24 March 2008 (24.03.2008)	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)*: **SCHLESSINGER, Joseph** [US/US]; 50 Rock Hill Road, Woodbridge, CT 06525 (US). **LAX, Irit** [US/US]; 50 Rock Hill Road, Woodbridge, CT 06525 (US). **YUZAWA, Satoru** [JP/US]; 129 York Street, 2H, New Haven, CT 06511 (US). **OPATOWSKY, Yarden** [IL/US]; 457 Central Ave., New Haven, CT 06515 (US). **YANG, Yan** [CN/US]; 2 Skiff Street, Apt. 403, Hamden, CT 06514 (US).

(74) Agents: **ZACHARAKIS, J.D., Maria Laccotripe** et al.; Lahive & Cockfield, LLP, One Post Office Square, Boston, MA 02109-2127 (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, 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, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, 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, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:
— *with international search report*
— *with amended claims and statement*
— *with sequence listing part of description published separately in electronic form and available upon request from the International Bureau*

(88) Date of publication of the international search report:
19 March 2009

Date of publication of the amended claims and statement:
22 May 2009

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

(57) Abstract: The present invention provides moieties that bind to an Ig-like domain, *e.g.*, D4 or D5, of a human receptor tyrosine kinase, *e.g.*, the human Kit RTK or the PDGFR RTK, or the D7 domain of a type V receptor tyrosine kinase wherein the moieties lock the ectodomain of the receptor tyrosine kinase in an inactive state thereby antagonizing the activity of the receptor tyrosine kinase.

WO 2008/153926 A4