



(43) International Publication Date
13 September 2012 (13.09.2012)

WIPO | PCT

(10) International Publication Number
WO 2012/119690 A1

- (51) **International Patent Classification:**
C07D 471/04 (2006.01) *A61P 35/00* (2006.01)
A61K 31/5025 (2006.01)
- (21) **International Application Number:**
PCT/EP2012/000630
- (22) **International Filing Date:**
13 February 2012 (13.02.2012)
- (25) **Filing Language:** English
- (26) **Publication Language:** English
- (30) **Priority Data:**
11 001 944.5 9 March 2011 (09.03.2011) EP
- (71) **Applicant (for all designated States except US):** **MERCK PATENT GMBH** [DE/DE]; Frankfurter Strasse 250, 64293 Darmstadt (DE).
- (72) **Inventors; and**
- (75) **Inventors/Applicants (for US only):** **DORSCH, Dieter** [DE/DE]; Koenigsberger Strasse 17 A, 64372 Ober-Ramstadt (DE). **JONCZYK, Alfred** [DE/DE]; Schepp Allee 57, 64295 Darmstadt (DE). **HOELZEMANN, Guenter** [DE/DE]; Gutenbergstrasse 6B, 64342 Seeheim-Jugenheim (DE). **AMENDT, Christiane** [DE/DE]; Lindenbergweg 12, 64367 Muehlthal/Trautheim (DE). **ZENKE, Frank** [DE/DE]; Schulzengasse 7, 64291 Darmstadt (DE).

- (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, 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, 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).

Published:

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

(54) **Title:** PYRIDO [2, 3 - B] PYRAZINE DERIVATIVES AND THEIR THERAPEUTICAL USES

(57) **Abstract:** The present invention relates to novel pyrido[2,3-b]pyrazine derivatives of formula (I) and to the use of such compounds in which the inhibition, regulation and/or modulation of signal transduction by ATP consuming proteins like kinases plays a role, particularly to inhibitors of TGF-beta receptor kinases, and to the use of such compounds for the treatment of kinase-induced diseases, in particular for the treatment of tumors.



WO 2012/119690 A1