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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
6 April 2006 (06.04.2006)

PCT

(10) International Publication Number
WO 2006/034796 A1

(51) International Patent Classification:
C07D 213/81 (2006.01)

(21) International Application Number:
PCT/EP2005/010118

(22) International Filing Date:
20 September 2005 (20.09.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
04023131.8 29 September 2004 (29.09.2004) EP

(71) Applicant *(for all designated States except US)*: **BAYER HEALTHCARE AG** [DE/DE]; 51368 Leverkusen (DE).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **LÖGERS, Michael** [DE/DE]; Niederradenberg 15, 42327 Wuppertal (DE). **GEHRING, Reinhold** [DE/DE]; Wilhelm-Brockhaus-Weg 95, 42327 Wuppertal (DE). **KUHN, Oliver** [DE/DE]; Im alten Driesch 7, 51519 Odenthal (DE). **MATTHÄUS, Mike** [DE/DE]; Filchnerweg 60, 42329 Wuppertal (DE). **MOHRS, Klaus** [DE/DE]; Wildsteig 24, 42113 Wuppertal (DE). **MÜLLER-GLIEMANN, Matthias** [DE/DE]; Holz 24, 42719 Solingen (DE). **STIEHL, Jürgen** [DE/DE]; Rosenstr. 28, 45549 Sprockhövel (DE). **BERWE, Mathias** [DE/DE]; Brunsberge 10, 45549 Sprockhövel (DE). **LENZ, Jana** [DE/DE]; Benzstr. 7, 42117 Wuppertal (DE). **HEILMANN, Werner** [DE/DE]; Am Ringofen 21, 42327 Wuppertal (DE).

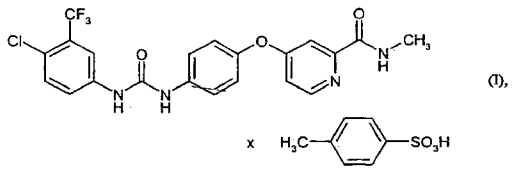
(74) Common Representative: **BAYER HEALTHCARE AG**; Law and Patents, Patents and Licensing, 51368 Leverkusen (DE).

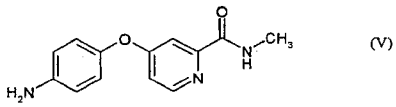
(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

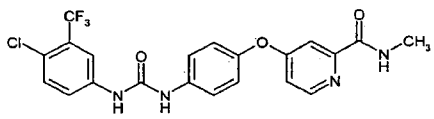
(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

[Continued on next page]

(54) Title: PROCESS FOR THE PREPARATION OF 4-{4-[({[4-CHLORO-3-(TRIFLUOROMETHYL)PHENYL]AMINO}CARBONYL)AMINO]PHENOXY}-N-METHYLPYRIDINE-2-CARBOXAMIDE







(57) Abstract: The present invention relates to a process for preparing 4-{4-[({[4-chloro-3-(trifluoromethyl)phenyl]amino}carbonyl)amino]phenoxy}-N-methylpyridine-2-carboxamide and its tosylate salt. Formula (I), which comprises, in a first step, reacting the compound of the formula (V) with 4-chloro-3-trifluoromethylphenyl isocyanate in a nonchlorinated organic solvent, inert toward isocyanates, by initially charging the compound of the formula (V) at a temperature of from 20°C to 60°C and admixing with 4-chloro-3-trifluoromethylphenyl isocyanate in such a way that the reaction temperature does not exceed 70°C to give the compound of the formula (II) and, in a second step, admixing the compound of the formula (II) with p-toluenesulfonic acid in a polar solvent at a reaction temperature of from 40°C up to the reflux temperature of the solvent used.

WO 2006/034796 A1

Published:
— *with international search report*

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.