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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
8 January 2009 (08.01.2009)

PCT

(10) International Publication Number
WO 2009/005802 A1

(51) International Patent Classification:
C07D 307/52 (2006.01)

(21) International Application Number:
PCT/US2008/008188

(22) International Filing Date: 1 July 2008 (01.07.2008)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/958,636 5 July 2007 (05.07.2007) US

(71) Applicant *(for all designated States except US)*: **SCHERING CORPORATION** [US/US]; 2000 Galloping Hill Road, Kenilworth, New Jersey 07033 (US).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **LIOTTA, Vincenzo** [US/US]; 25 Outlook Place, Glen Ridge, New Jersey 07028 (US). **YANKE, Xu** [CN/US]; 32 King George Road, Warren, New Jersey 07059 (US).

(74) Agent: **FISCHER, H., Eric**; Schering Corporation, Patent Dept. K-6-1 1990, 2000 Galloping Hill Road, Kenilworth, New Jersey 07033 (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.

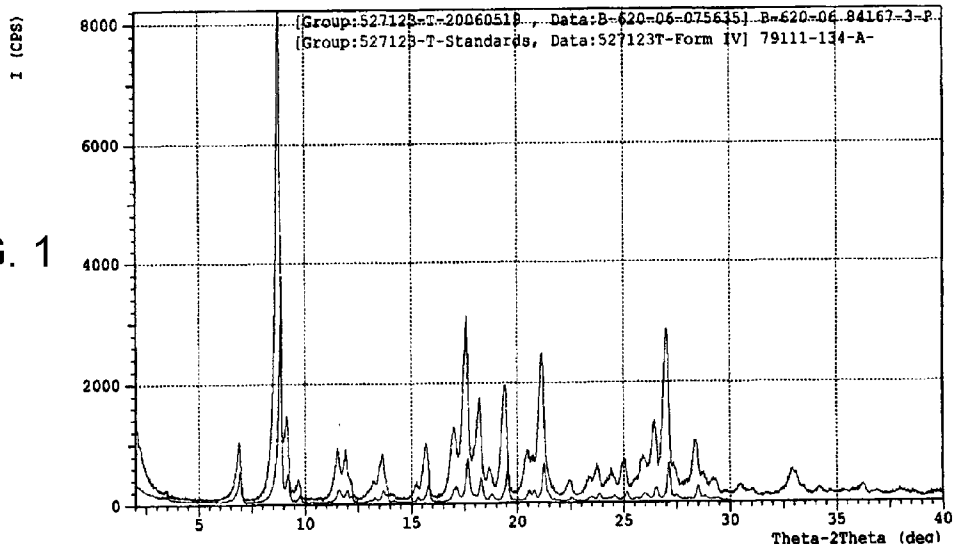
(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, 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).

Declaration under Rule 4.17:
— *as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))*

Published:
— *with international search report*

(54) **Title:** PROCESS FOR CONTROLLED CRYSTAL SIZE IN 1,2-SUBSTITUTED 3,4-DIOXO-1-CYCLOBUTENE COMPOUNDS

FIG. 1



(57) **Abstract:** This application discloses a novel process for the preparation of 2-Hydroxy-N,N-dimethyl-3-[[2-[[1(R)-(5-methyl-2-furanyl)propyl]amino]-3,4-dioxo-1-cyclobuten-1-yl]amino]benzamide, which has utility, for example, in the treatment of CXC chemokine-mediated diseases.

WO 2009/005802 A1