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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
5 April 2012 (05.04.2012)

PCT

(10) International Publication Number
WO 2012/044825 A1

(51) International Patent Classification:
*C07D 401/12* (2006.01) *A61P 11/08* (2006.01)
*A61K 31/444* (2006.01)

(21) International Application Number:
PCT/US2011/053997

(22) International Filing Date:
29 September 2011 (29.09.2011)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
61/388,148 30 September 2010 (30.09.2010) US

(71) Applicant *(for all designated States except US)*: **THERAVANCE, INC.** [US/US]; 901 Gateway Boulevard, South San Francisco, California 94080 (US).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **RAPTA, Miroslav** [SK/US]; 411 E. Duane Avenue, Sunnyvale, California 94085 (US). **THALLADI, Venkat** [IN/US]; 888 Foster City Boulevard, Apt. E3, Foster City, California 94404 (US). **CHAO, Robert** [US/US]; 1121 Doyle Circle, Santa Clara, California 95054 (US).

(74) Agents: **HAGENAH, Jeffrey, A.** et al.; Theravance, Inc., 901 Gateway Boulevard, South San Francisco, California 94080 (US).

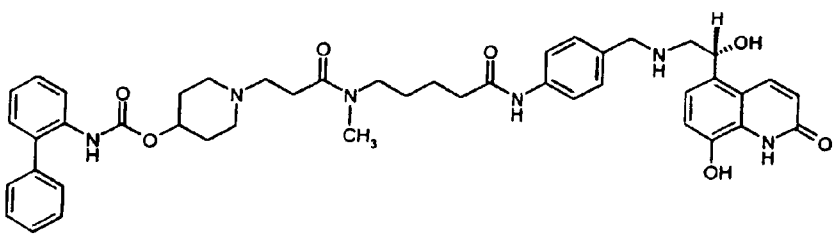
(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, 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, 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: CRYSTALLINE OXALATE SALTS OF A DIAMIDE COMPOUND



(57) Abstract: The invention relates to crystalline oxalate salts of biphenyl-2-ylcarbamic acid 1-(2-{[4-(4-{[(*R*)-2-hydroxy-2-(8-hydroxy-2-oxo-1,2-dihydroquinolin-5-yl)ethylamino]-methyl}phenylcarbamoyl)butyl]methylcarbamoyl}ethyl)piperidin-4-yl ester. This invention also relates to compositions containing such a crystalline oxalate salt; methods of using such a crystalline oxalate salt to, for example, treat a pulmonary disorder; and to processes for preparing such a crystalline oxalate salt.

WO 2012/044825 A1