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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
24 November 2011 (24.11.2011)

PCT

(10) International Publication Number
WO 2011/146511 A1

(51) International Patent Classification:
C07D 453/02 (2006.01) *A61P 25/00* (2006.01)
A61K 31/439 (2006.01)

(21) International Application Number:
PCT/US2011/036844

(22) International Filing Date:
17 May 2011 (17.05.2011)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
61/345,363 17 May 2010 (17.05.2010) US
61/352,092 7 June 2010 (07.06.2010) US

(71) Applicants *(for all designated States except US)*: **ENVIVO PHARMACEUTICALS, INC.** [US/US]; 480 Arsenal Street, Bldg. 1, Watertown, Massachusetts 02472 (US). **MITSUBISHI TANABE PHARMA CORPORATION** [JP/JP]; 2-6-18, Kitahama, Chuo-ku, Osaka-shi, Osaka 541-8505 (JP).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **OLIVER-SHAFFER, Patricia** [US/US]; 5 Tupelo Way, Acton, Massachusetts 01720 (US). **SHAPIRO, Gideon** [US/US]; 5507 NW 80th Avenue, Gainesville, Florida 32653 (US). **CHESWORTH, Richard** [GB/US]; 88 Kingston Street, Unit 5F, Boston, Massachusetts 02111 (US). **KISHIDA, Muneki** [JP/JP]; 2-6-18, Kitahama, Chuo-ku, Osaka-sh, Osaka 541-8505 (JP). **ISHIGE, Takayuki** [JP/JP]; 2-6-18, Kitahama, Chuo-ku, Osaka-shi, Osaka 541-8505 (JP).

(74) Agent: **MEIKLEJOHN, Anita L.**; Fish & Richardson P. C., P. O. Box 1022, Minneapolis, Minnesota 55440-1022 (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, RO, RS, RU, 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, 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).

Declarations under Rule 4.17:

— *as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))*

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

Published:

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

(54) **Title**: A CRYSTALLINE FORM OF (R)-7-CHLORO-N-(QUINUCLIDIN-3-YL)BENZO[B]THIOPHENE-2-CARBOXAMIDE HYDROCHLORIDE MONOHYDRATE

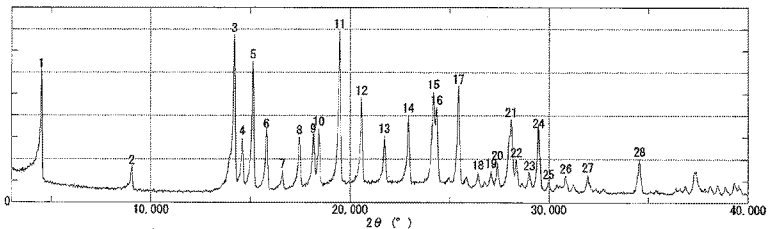


FIGURE 5 [Crystalline Form I]

No.	2θ	Relative intensity	No.	2θ	Relative intensity
1	4.50	77	16	24.36	56
2	9.04	21	17	25.46	68
3	14.20	98	18	26.44	18
4	14.60	38	19	27.10	19
5	15.14	83	20	27.42	24
6	15.80	42	21	28.10	49
7	16.60	19	22	28.36	25
8	17.48	39	23	29.02	18
9	18.16	39	24	29.48	42
10	18.44	43	25	29.98	13
11	19.48	100	26	30.86	16
12	20.58	62	27	31.96	17
13	21.74	39	28	34.56	26
14	22.94	51			
15	24.20	65			

(57) **Abstract**: Crystalline Forms I and II of (R)-7-chloro-N-(quinuclidin-3-yl)benzo[b]thiophene-2-carboxamide hydrochloride monohydrate and compositions, methods of manufacture and therapeutic uses thereof are described.

WO 2011/146511 A1