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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
10 June 2010 (10.06.2010)

PCT

(10) International Publication Number
WO 2010/065447 A3

(51) International Patent Classification:
C07D 207/06 (2006.01) *C07D 207/08* (2006.01)

(21) International Application Number:
PCT/US2009/066083

(22) International Filing Date:
30 November 2009 (30.11.2009)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
61/118,885 1 December 2008 (01.12.2008) US

(71) Applicant *(for all designated States except US)*: **TARGACEPT, INC.** [US/US]; 200 East First Street, Suite 300, Winston-Salem, NC 27101 (US).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **AKIREDDY, Srinivisa, Rao** [IN/US]; 5829 Fox Point Circle, Winston-Salem, NC 27104 (US). **BHATTI, Balwinder Singh** [US/US]; 2536 Polo Road, Winston-Salem, NC 27106 (US). **CUTHBERTSON, Timothy, J.** [US/US]; 2661 Belwick Village, Winston-Salem, NC 27106 (US). **MILLER, Craig, Harrison** [US/US]; 1564 Sharon Road, Winston-Salem, NC 27103 (US). **MITCHENER, JR., Joseph, Pike** [US/US]; 3609 Wickersham Lane, Winston-Salem, NC 27106 (US).

(74) Agent: **FIX, Amy, H.**; WOMBLE CARLYLE SANDRIDGE & RICE, PLLC, Patent Docketing, P.O. Box 7037, Atlanta, Georgia 30357 (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, 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, MK, MT, NL, NO, PL, PT, RO, 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))*

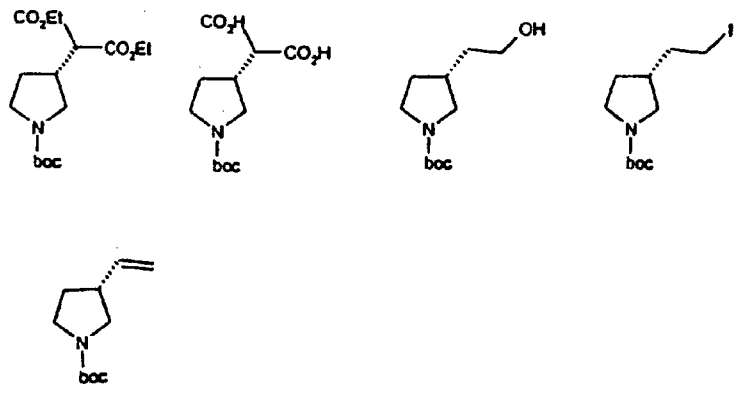
Published:

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

— *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments (Rule 48.2(h))*

(88) Date of publication of the international search report:
22 July 2010

(54) Title: A PROCESS FOR THE PREPARATION OF TERT-BUTYL (R) - 3 -VINYLPYRROLIDINE- I - CARBOXYLATE AND INTERMEDIATES THEREFOR



(57) Abstract: The present invention relates to a method of making tert-butyl (R)-3-vinylpyrrolidine-1-carboxylate through the intermediacy of one or more of diethyl (R)-2-(1-(tert- butoxycarbonyl)pyrrolidin-3-yl)malonate, (R)-2-(1-(tert-butoxycarbonyl)pyrrolidin-3-yl)malonic acid, tert-butyl (R)-3-(2-hydroxyethyl)pyrrolidine-1 -carboxylate, and test-butyl (R)-3-(2-iodoethyl)pyrrolidine- 1 -carboxylate.

WO 2010/065447 A3