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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
13 November 2008 (13.11.2008)

PCT

(10) International Publication Number
WO 2008/137753 A3

(51) International Patent Classification:
*A61K 31/216* (2006.01) *A61P 7/02* (2006.01)
*A61K 31/517* (2006.01)

(21) International Application Number:
PCT/US2008/062518

(22) International Filing Date: 2 May 2008 (02.05.2008)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/915,649 2 May 2007 (02.05.2007) US
60/947,921 3 July 2007 (03.07.2007) US

(71) Applicant *(for all designated States except US)*: **PORTOLA PHARMACEUTICALS, INC.** [US/US]; 270 E. Grand Avenue, Suite 22, South San Francisco, California 94080 (US).

(71) Applicant *(for US only)*: **SCARBOROUGH, Carroll, Anna, Crew** (heiress of the deceased inventor) [US/US]; 22 Greenbrier Court, Half Moon Bay, California 94019 (US).

(72) Inventor: **SCARBOROUGH, Robert, M.** (deceased).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **GRETLER, Daniel, D.** [US/US]; 230 27th Street, San Francisco, California 94131 (US). **CONLEY, Pamela, B.** [US/US]; 116 Lois Lane, Palo Alto, California 94303 (US). **ANDRE, Patrick** [FR/US]; 950 N. Humboldt Street, San Mateo, California 94401 (US). **HUTCHALEELAHA, Athiwat** [US/US]; 234 Biarritz Court, Redwood City, California 94065 (US). **PHILLIPS, David, R.** [US/US]; 520 Harvard Road, San Mateo, California 94402 (US). **PANDEY, Anjali** [US/US]; 4506 Amiens Avenue, Fremont, California 94555 (US). **WOLIN, Huang** [US/US]; 872 Arcturus Circle, Foster City, California 94404 (US).

(74) Agents: **HOPKINS, Mark, H.** et al.; Townsend and Townsend and Crew LLP, Two Embarcadero Center, Eighth Floor, San Francisco, California 94111-3834 (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.

*[Continued on next page]*

(54) Title: INTRAVENOUS AND ORAL DOSING OF A DIRECT-ACTING AND REVERSIBLE P2Y12 INHIBITOR

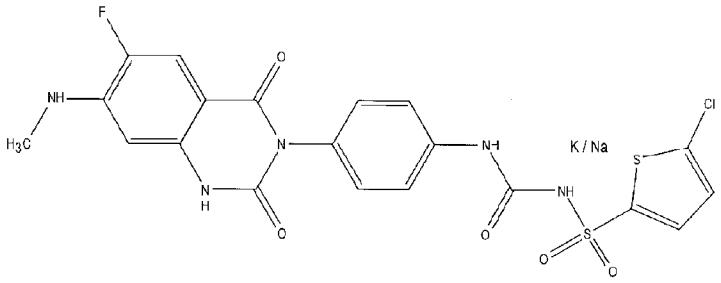
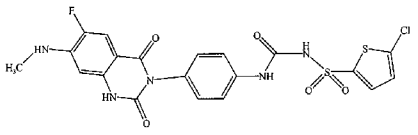



FIG. 1




(I)

(57) Abstract: The invention provides methods and compositions for rapid and reversible inhibition of platelet aggregation in human subjects in need thereof by administering compounds of the formula: (I) alone or in combination with a second agent which can be aspirin or a thrombolytic agent.

WO 2008/137753 A3

**(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).

**Published:**

— *with international search report*

— *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments*

**(88) Date of publication of the international search report:**
12 February 2009