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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
24 December 2008 (24.12.2008)

PCT

(10) International Publication Number
WO 2008/155022 A1

(51) International Patent Classification:
C07D 401/06 (2006.01) *C07D 403/14* (2006.01)
C07D 403/06 (2006.01) *C07D 405/14* (2006.01)

(21) International Application Number:
PCT/EP2008/004459

(22) International Filing Date: 4 June 2008 (04.06.2008)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
07290755.3 18 June 2007 (18.06.2007) EP

(71) Applicant *(for all designated States except US)*: **SANOFI-AVENTIS** [FR/FR]; 174 avenue de France, F-75013 Paris (FR).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **KLINGLER, Otmar** [DE/DE]; Sanofi-Aventis Deutschland GmbH, 65926 Frankfurt am Main (DE). **CZECH, Joerg** [DE/DE]; Sanofi-Aventis Deutschland Gmbh, 65926 Frankfurt am Main (DE). **CZECHTIZKY, Werngard** [AT/DE]; Sanofi-Aventis Deutschland GmbH, 65926 Frankfurt am Main (DE). **WEISS, Tilo** [DE/DE]; Sanofi-Aventis Deutschland GmbH, 65926 Frankfurt am Main (DE). **JUST, Melitta** [DE/DE]; Sanofi-Aventis Deutschland GmbH, 65926 Frunkfurt am Maim (DE).

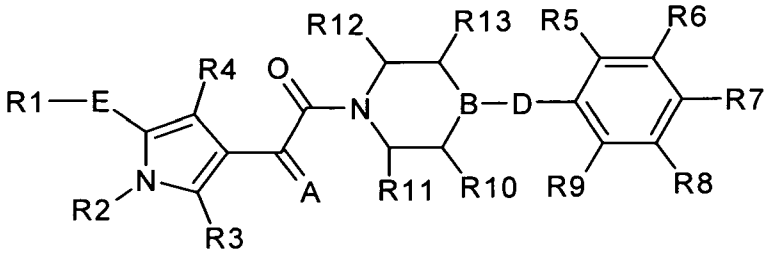
(74) Agent: **THEN, Johann**; Sanofi-Aventis Deutschland GmbH, Patentabteilung, Industriepark Höchst, Geb. K 801, 65926 Frankfurt am Main (DE).

(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).

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*

(54) Title: PYRROLE DERIVATIVES AS P2Y12 ANTAGONISTS



(I)

(57) Abstract: The present invention relates to compounds of the formula (I), in which R1; R2; R3; R4; R5; R6; R7; R8; R9; R10; R11; R12; R13; A; B, D and E have the meanings indicated indicated in the claims. The compounds of the formula I are valuable pharmacologically active compounds. They exhibit a strong anti-aggregating effect on platelets and thus an anti-thrombotic effect and are suitable e.g. for the therapy and prophylaxis of cardio-vascular disorders like thromboembolic diseases or restenoses. They are reversible antagonists of the platelet ADP receptor P2Y12, and can in general be applied in conditions in which an undesired activation of the platelet ADP receptor P2Y12 is present or for the cure or prevention of which an inhibition of the platelet ADP receptor P2Y12 is intended. The invention furthermore relates to processes for the preparation of compounds of the formula I, their use, in particular as active ingredients in pharmaceuticals, and pharmaceutical preparations comprising them.

WO 2008/155022 A1