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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
23 April 2009 (23.04.2009)

PCT

(10) International Publication Number
WO 2009/052068 A1

(51) International Patent Classification:
C07D 207/06 (2006.01) *A61K 31/4025* (2006.01)
C07D 403/12 (2006.01) *A61P 25/00* (2006.01)
C07D 409/12 (2006.01)

(21) International Application Number:
PCT/US2008/079763

(22) International Filing Date: 14 October 2008 (14.10.2008)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/980,606 17 October 2007 (17.10.2007) US

(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)*: **CZECHTIZKY, Werngard** [AT/DE]; c/o Sanofi-Aventis Deutschland GmbH, Industriepark Hoechst, 65926 Frankfurt Am Main (DE). **GAO, Zhongli** [US/US]; c/o sanofi-aventis U.S., 55 Corporate Drive, Bridgewater, New Jersey 08807 (US). **HURST, William J.** [US/US]; c/o sanofi-aventis U.S., 55 Corporate Drive, Bridgewater, New Jersey 08807 (US). **SCHWINK, Lothar** [DE/DE]; c/o Sanofi-Aventis Deutschland GmbH, Industriepark Hoechst, 65926 Frankfurt Am Main (DE). **STENGELIN, Siegfried** [DE/DE]; c/o Sanofi-Aventis Deutschland GmbH, Industriepark Hoechst, 65926 Frankfurt Am Main (DE).

(74) Agents: **GUPTA, Balaram** et al.; sanofi-aventis U.S. Inc., Route 202-206, P. O. Box 6800, Bridgewater, New Jersey 08807-0800 (US).

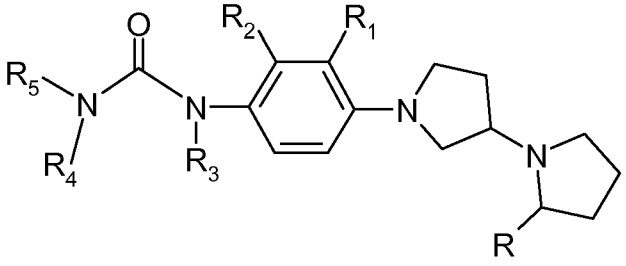
(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM,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

Declarations under Rule 4.17:
— *as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))*
— *of inventorship (Rule 4.17(iv))*

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:** SUBSTITUTED N-PHENYL-BIPYRROLIDINE UREAS AND THERAPEUTIC USE THEREOF



(I)

(57) **Abstract:** The present invention discloses and claims a series of substituted N-phenyl-bipyrrolidine ureas of formula (I) as described herein. More specifically, the compounds of this invention are modulators of H3 receptors and are, therefore, useful as pharmaceutical agents, especially in the treatment and/or prevention of a variety of diseases modulated by H3 receptors including diseases associated with the central nervous system. Additionally, this invention also discloses methods of preparation of substituted N-phenyl-bipyrrolidine ureas and intermediates therefor.

WO 2009/052068 A1