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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
24 February 2011 (24.02.2011)

PCT

(10) International Publication Number
WO 2011/020806 A1

(51) International Patent Classification:
C07D 265/30 (2006.01) *A61K 31/5377* (2006.01)
C07D 413/12 (2006.01)

(21) International Application Number:
PCT/EP2010/061875

(22) International Filing Date:
16 August 2010 (16.08.2010)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
09168132.0 19 August 2009 (19.08.2009) EP

(71) Applicants *(for all designated States except US)*: **F. HOFFMANN-LA ROCHE AG** [CH/CH]; Grenzacherstrasse 124, CH-4070 Basel (CH). **SIENA BIOTECH S.P.A** [IT/IT]; Strada del Petriccio e Belriguardo 35, I-53100 Siena (IT).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **ANDREINI, Matteo** [IT/IT]; Strada del Petriccio e Belriguardo 35, I-53100 Siena (IT). **BANNER, David** [GB/CH]; Neubadstrasse 129, CH-4054 Basel (CH). **GUBA, Wolfgang** [DE/DE]; Am Ruettacker 3, 79379 Muellheim (DE). **HILPERT, Hans** [CH/CH]; Gustav Bay-Strasse 34, CH-4142 Muenchenstein (CH). **MAUSER, Harald** [DE/CH]; Muttenzerstrasse 43, CH-4127 Birsfelden (CH). **MAYWEG, Alexander, V.** [DE/CH]; Martinsgasse 8, CH-4051 Basel (CH). **NARQUIZIAN, Robert** [FR/FR]; 72, rue de Mulhouse, F-68300 Saint Louis (FR). **POWER, Eoin** [IE/IT]; Strada del Petriccio e Belriguardo 35, I-53100 Siena (IT). **ROGERS-EVANS, Mark** [GB/CH]; Rosenweg 6, CH-4103 Bottmingen (CH). **TRAVAGLI, Massimiliano** [IT/IT]; Strada del Petriccio e Belriguardo 35, I-53100 Siena (IT). **VALACCHI, Michela** [IT/IT]; Strada del Petriccio e Belriguardo 35, I-53100 Siena (IT). **WOLTERING, Thomas** [DE/DE]; Jaegerhaeusleweg 57, 79104 Freiburg (DE). **WOSTL, Wolfgang** [DE/DE]; Im Strick 2, 79639 Grenzach-Wyhlen (DE).

(74) Agent: **KUENG, Peter**; Grenzacherstrasse 124, CH-4070 Basel (CH).

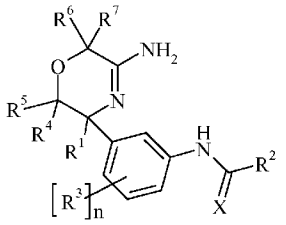
(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, 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, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

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

(54) Title: 3-AMINO-5-PHENYL-5,6-DIHYDRO-2H-[1,4]OXAZINE DERIVATIVES



(I)

(57) Abstract: The present invention relates to 3-Amino-5-phenyl-5,6-dihydro-2H-[1,4]oxazine derivatives of formula (I) having BACE1 and/or BACE2 inhibitory activity, their manufacture, pharmaceutical compositions containing them and their use as therapeutically active substances. The active compounds of the present invention are useful in the therapeutic and/or prophylactic treatment of e.g. Alzheimer's disease and type 2 diabetes.

WO 2011/020806 A1