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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
12 June 2008 (12.06.2008)

PCT

(10) International Publication Number
WO 2008/068277 A1

(51) International Patent Classification:
C07D 401/12 (2006.01) *A61P 25/18* (2006.01)
A61K 31/501 (2006.01)

(21) International Application Number:
PCT/EP2007/063338

(22) International Filing Date:
5 December 2007 (05.12.2007)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
06125685.5 8 December 2006 (08.12.2006) EP

(71) Applicant *(for all designated States except US)*: **JANSSEN PHARMACEUTICA N.V.** [BE/BE]; Turnhoutseweg 30, B-2340 Beerse (BE).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **MACDONALD, Gregor, James** [GB/BE]; c/o Janssen Pharmaceutica N.V., Turnhoutseweg 30, B-2340 Beerse (BE). **ANDRÉS-GIL, José, Ignacio** [ES/ES]; c/o Johnson & Johnson, Pharmaceutical Research & Development, Division of Janssen-Cilag S.A., Calle Jarama, 75, E-45007 Toledo (ES). **VAN DEN KEYBUS, Frans, Alfons, Maria** [BE/BE]; c/o Janssen Pharmaceutica N.V., Turnhoutseweg 30, B-2340 Beerse (BE). **BARTOLOMÉ-NEBREDA, José, Manuel** [ES/ES]; c/o Johnson & Johnson, Pharmaceutical Research & Development, Division of Janssen-Cilag S.A., Calle Jarama, 75, E-45007 Toledo (ES). **VAN GOOL, Michiel, Luc, Maria** [BE/ES]; c/o Johnson & Johnson, Pharmaceutical Research & Development, Division of Janssen-Cilag S.A., Calle Jarama, 75, E-45007 Toledo (ES).

(74) Common Representative: **JANSSEN PHARMACEUTICA N.V.**; Turnhoutseweg 30, B-2340 Beerse (BE).

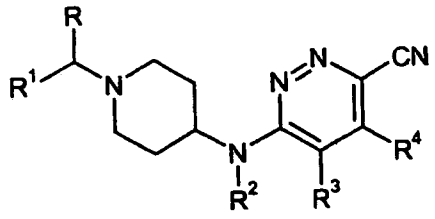
(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, 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, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, 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 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))*
— *of inventorship (Rule 4.17(iv))*

Published:
— *with international search report*

(54) Title: PIPERIDINYLAMINO-PYRIDAZINES AND THEIR USE AS FAST DISSOCIATING DOPAMINE 2 RECEPTOR ANTAGONISTS



(I)

(57) Abstract: The present invention relates to 6-(piperidin-4-ylamino)pyridazin-3-carbonitriles of formula (I) that are fast dissociating dopamine 2 receptor antagonists, processes for preparing these compounds, pharmaceutical compositions comprising these compounds as an active ingredient. The compounds find utility as medicines for treating or preventing central nervous system disorders, for example schizophrenia, by exerting an antipsychotic effect without motor side effects.

WO 2008/068277 A1