

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
10 January 2008 (10.01.2008)

PCT

(10) International Publication Number
WO 2008/003460 A1

(51) International Patent Classification:

C07D 491/04 (2006.01) **C07C 69/017** (2006.01)
C07D 207/08 (2006.01) **C07F 7/10** (2006.01)
C07C 39/21 (2006.01)

(21) International Application Number:

PCT/EP2007/005876

(22) International Filing Date: 3 July 2007 (03.07.2007)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

06116660.9 5 July 2006 (05.07.2006) EP

(71) Applicant (for all designated States except US): **N.V. ORGANON** [NL/NL]; P.O. Box 20, Kloosterstraat 6, 5349AB Oss (NL).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **KEMPERMAN, Gerardus, Johannes** [NL/NL]; P.O. Box 20, 5340 BH OSS (NL). **STUK, Timothy, Lee** [US/US]; 8276 Brandon Circle, Mattawan, USA MI 49071 (US). **LINDEN, VAN DER, Jacobus, Johannes, Maria** [NL/NL]; P.O. Box 20, 5340 BH Oss (NL).

(74) Agent: **VAN WEZENBEEK, P.M.G.F.**; P.O. Box 20, 5340 BH Oss (NL).

(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).

Declaration under Rule 4.17:

— as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: PROCESS FOR THE PREPARATION OF ASENAPINE AND INTERMEDIATE PRODUCTS USED IN SAID PROCESS.

(57) Abstract: The invention relates to a novel process for the preparation of asenapine, i.e. trans-5- chloro-2-methyl-2,3,3a, 12b-tetrahydro-1 H-dibenz[2,3:6,7]oxepino[4,5-c]pyrrole, as well as to novel intermediate products for use in said process.

WO 2008/003460 A1