

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
8 June 2006 (08.06.2006)

PCT

(10) International Publication Number
WO 2006/060110 A3

(51) International Patent Classification:

A61P 29/00 (2006.01) C07F 9/6558 (2006.01)
A61K 31/675 (2006.01)

(21) International Application Number:

PCT/US2005/039946

(22) International Filing Date:

3 November 2005 (03.11.2005)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

60/625,209 5 November 2004 (05.11.2004) US

(71) Applicant (for all designated States except US): **MERCK & CO., INC.** [US/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **MCNAMARA, James, Michael** [US/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US). **MATTY, Louis** [US/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US). **ROSEN, Jonathan, D.** [US/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US).

(74) Common Representative: **MERCK & CO., INC.**; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, 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, 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).

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

(88) Date of publication of the international search report:

17 August 2006

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 PREPARING {3-[2(R)-[(1R)-1-[3,5-BIS(TRIFLUOROMETHYL)PHENYL]ETHOXY]-3(S)-(4-FLUOROPHENYL)MORPHOLIN-4-YL]METHYL]-5-OXO-4,5-DIHYDRO-[1,2,4]-TRIAZOL-1-YL}PHOSPHONIC ACID

(57) Abstract: The present invention is concerned with a process for the preparation of the compound {3-[2(R)-[(1R)-1-[3,5-bis(trifluoromethyl) phenyl]ethoxy]-3(S)-(4-fluorophenyl)-morpholin-4-yl]methyl]-5-oxo-4,5-dihydro-[1,2,4]-triazol-1-yl}phosphonic acid, and pharmaceutically acceptable salts thereof. This compound is useful as a substance P (neurokinin-1) receptor antagonist. In particular, the compound is useful e.g., in the treatment of emesis and inflammatory diseases.



WO 2006/060110 A3