

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
16 February 2006 (16.02.2006)

PCT

(10) International Publication Number
WO 2006/017455 A2

(51) International Patent Classification:
C07C 227/00 (2006.01)

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

(21) International Application Number:
PCT/US2005/027308

(22) International Filing Date: 29 July 2005 (29.07.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/598,603 4 August 2004 (04.08.2004) US

(71) Applicants (for all designated States except US): **MERCK & CO., INC.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **MERCK FROSST CANADA LTD.** [CA/CA]; 16711 Trans-Canada Highway, Kirkland, Québec H9H 3L1 (CA).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **CHEN, Cheng Yi** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **DEVINE, Paul, N.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **FOSTER, Bruce, S.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **HUGHES, Greg** [CA/CA]; 16711 Trans-Canada Highway, Kirkland, Québec H9H 3L1 (CA). **O'SHEA, Paul** [IE/CA]; 16711 Trans-Canada Highway, Kirkland, Québec H9H 3L1 (CA).

(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, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, 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:

— without international search report and to be republished upon receipt of that 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: DIASTEREOSELECTIVE REDUCTIVE AMINATION PROCESS

(57) Abstract: This invention describes a reductive amination process whereby perfluorinated ketones or ketals are combined with α -aminoesters under basic conditions to form metal carboxylates. Diastereoselective reductions of the metal carboxylates enable access to two diastereomers, depending on the reducing conditions.



WO 2006/017455 A2