

(19) World Intellectual Property
Organization
International Bureau



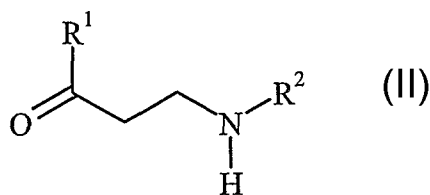
(43) International Publication Date
1 September 2005 (01.09.2005)

PCT

(10) International Publication Number
WO 2005/080370 A1

- (51) International Patent Classification⁷: **C07D 333/20**, 333/22, C07C 213/00, C07B 53/00
- (74) Common Representative: **LONZA AG**; Postfach, CH-4002 Basel (CH).
- (21) International Application Number: PCT/EP2005/001781
- (22) International Filing Date: 21 February 2005 (21.02.2005)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:
04003809.3 19 February 2004 (19.02.2004) EP
04010043.0 28 April 2004 (28.04.2004) EP
- (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, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, 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, 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).
- (71) Applicant (*for all designated States except US*): **LONZA AG** [CH/CH]; Münchensteinerstrasse 38, CH-4052 Basel (CH).
- (72) Inventors; and
- (75) Inventors/Applicants (*for US only*): **MICHEL, Dominique** [CH/CH]; Rue du Stade 22, CH-3960 Sierre (CH). **METTLER, Hanspeter** [CH/CH]; Finkenweg 12, CH-3930 Visp (CH). **MCGARRITY, John** [CH/CH]; Bäjiweg 45, CH-3902 Brig-Glis (CH).
- 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 ENANTIOMERICALLY PURE 1-SUBSTITUTED-3-AMINOALCOHOLS



(57) **Abstract:** Provided is a process for the preparation of enantiomerically pure 1-substituted-3-aminoalcohols, particularly of (S)-(-) and (R)-(+)-3-*N*-methylamino-1-(2-thienyl)-1-propanol, by asymmetrically hydrogenating salts of a carboxylic acids with an aminoketone of formula (II), wherein R¹ is selected from the group consisting of 2-thienyl, 2-furanyl and phenyl, each optionally substituted with one or more halogen atoms and/or one or more C₁₋₄-alkoxy groups, and wherein R² is C₁₋₄-alkyl or phenyl, each optionally substituted with one or more halogen atoms and/or one or more C₁₋₄-alkyl or C₁₋₄-alkoxy groups, and wherein the corresponding aminoalcohols are obtained by subsequent hydrolysis of their salts. Furthermore provided are salts of a carboxylic acid with said aminoketones and the aminoalcohols obtained by asymmetrically hydrogenating said aminoketones, respectively.



WO 2005/080370 A1