PCT WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 6 : C07D 453/02, A61K 31/435 — A1

(11) International Publication Number: WO 97/03984

(43) International Publication Date: 6 February 1997 (06.02.97)

(21) International Application Number: PCT/IB96/00648

(22) International Filing Date: 4 July 1996 (04.07.96)

(30) Priority Data:
60/001,191 17 July 1995 (17.07.95) US

(71) Applicant *(for all designated States except US)*: PFIZER INC. [US/US]; 235 East 42nd Street, New York, NY 10017 (US).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: TICKNER, Derek, L. [US/US]; 14 Longview Avenue, Waterford, CT 06385 (US). MELTZ, Morgan [GB/US]; 115 Roxbury Road, Niantic, CT 06357 (US).

(74) Agents: SPIEGEL, Allen, J. et al.; Pfizer Inc., Patent Dept., 235 East 42nd Street, New York, NY 10017 (US).

(81) Designated States: AM, CA, CN, CZ, HU, IL, JP, KR, MX, NO, NZ, PL, RU, SG, US, European patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE).

Published
With international search report.

(54) Title: RESOLUTION OF 1-AZABICYCLO[2.2.2]OCTAN-3-AMINE, 2-(DIPHENYLMETHYL)-N-[[2-METHOXY-5-(1-METHYLETHYL)PHENYL]METHYL]

(57) Abstract

This invention relates to a process for resolving 1-azabicyclo[2.2.2]octan-3-amine, 2-(diphenylmethyl)-N-[[2-methoxy-5-(1-methylethyl)phenyl]methyl] comprising reacting 1-azabicyclo[2.2.2]octan-3-amine, 2-(diphenylmethyl)-N-[[2-methoxy-5-(1-methylethyl)phenyl]methyl] with 1R-(-)-10-camphorsulfonic acid in solvent capable of dissolving both of the foregoing reagents, and selectively dissolving the camphorsulfonic acid salt of the corresponding (2R, 3R) enantiomer relative to the (2S, 3S) enantiomer, to form the camphorsulfonic acid salt of (2S, 3S)-1-azabicyclo[2.2.2]octan-3-amine, 2-(diphenylmethyl)-N-[[2-methoxy-5-(1-methylethyl)phenyl]methyl], and then optionally hydrolyzing such salt to obtain the free base of the (2S, 3S) enantiomer.