(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
18 August 2005 (18.08.2005)

PCT

(10) International Publication Number
WO 2005/075473 A1

(51) International Patent Classification[7]: C07D 453/02

(21) International Application Number:
PCT/IB2005/000221

(22) International Filing Date: 26 January 2005 (26.01.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/541,323 2 February 2004 (02.02.2004) US

(71) Applicant *(for all designated States except US)*: **PFIZER PRODUCTS INC.** [US/US]; Eastern Point Road, Groton, CT 06340 (US).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **BASFORD, Patricia, Ann** [GB/GB]; Pfizer Global Research and Development, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB). **POST, Ronald, James** [US/US]; Pfizer Global Research and Development, Eastern Point Road, Groton, CT 06340 (US). **SMITH, Julian, Duncan** [GB/GB]; Pfizer Global Research and Development, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB). **TABER, Geraldine, Patricia** [US/US]; Pfizer Global Research and Development, Eastern Point Road, Groton, CT 06340 (US).

(74) Agents: **WOOD, David, J.** et al.; Pfizer Research and Development, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).

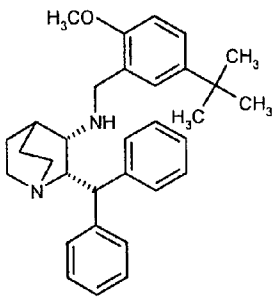
(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, 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).

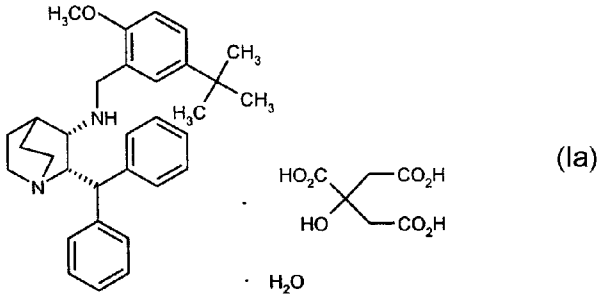
Published:
— *with international search report*

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 PREPARATION OF 1-(2S,3S)-2-BENZHYDR YL-N-(5-TERT-BUTYL-2-METHOXYBENZYL)QUINUCLIDIN-3-AMINE



(I)



(Ia)

Ia -- citrate monohydrate

(57) Abstract: This invention relates to an improved process for the preparation of (2S,3S)- 2-benzhydryl-N-(5-tent-butyl-2-methoxybenzyl)quinuclidin-3-amine, (hereinafter "compound of Formula I") and its pharmaceutically acceptable salts. In particular, the invention is directed to an improved synthesis of the monohydrate citrate salt of the compound of Formula (Ia).

WO 2005/075473 A1