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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
4 August 2005 (04.08.2005)

PCT

(10) International Publication Number
WO 2005/070892 A1

(51) International Patent Classification[7]: C07D 215/227

(21) International Application Number:
PCT/JP2005/001034

(22) International Filing Date: 20 January 2005 (20.01.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
2004-013402 21 January 2004 (21.01.2004) JP

(71) Applicant *(for all designated States except US)*: **OTSUKA PHARMACEUTICAL CO., LTD.** [JP/JP]; 9, Kandatsukasa-cho 2-chome, Chiyoda-ku, Tokyo, 1018535 (JP).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **NISHIOKA, Yoshihiro** [JP/JP]; 5-3, Nakagirai-Aza-Ushikainohigashinokoshi, Matsushige-cho, Itano-gun, Tokushima, 7710212 (JP). **AKI, Shinji** [JP/JP]; 173-1, Shimonosho-Aza-Sugai, Itano-cho, Itano-gun, Tokushima, 7790118 (JP). **FUJITA, Shigekazu** [JP/JP]; 301, Sanmoru-Shimizu, 29-1, Myodaijicho-Aza-Minamiyamashinkiri, Okazaki-shi, Aichi, 4440864 (JP). **ONISHI, Yoshinao** [JP/JP]; 3-8-25, Kamiyoshino-cho, Tokushima-shi, Tokushima, 7700803 (JP). **SUMIDA, Shun-ichiro** [JP/JP]; A202, Sanmeiyu, 112-11, Sasagino-Hachikami, Matsushige-cho, Itano-gun, Tokushima, 7710219 (JP).

(74) Agents: **KAWAMIYA, Osamu** et al.; AOYAMA & PARTNERS, IMP Building, 3-7, Shiromi 1-chome, Chuo-ku, Osaka-shi, Osaka, 5400001 (JP).

(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.

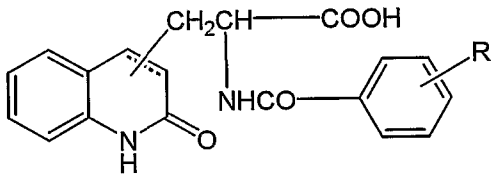
(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, 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*
— *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments*

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.

WO 2005/070892 A1

(54) Title: AMINE SALT OF CARBOSTYRIL DERIVATIVE



(1)

(57) Abstract: The invention provides an amine salt of a carbostyril derivative formed from a carbostyril derivative represented by the formula (1) [wherein R is a halogen atom; the substituted position of the side chain is 3- or 4-position in the carbostyril skeleton; and the bonding between 3- and 4-positions of the carbostyril skeleton is a single bond or a double bond] and an amine; and the invention is useful as drugs for treating various diseases, especially as aqueous formulations due to the superior water solubility and the superior pharmacologic effects.