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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
17 July 2003 (17.07.2003)

PCT

(10) International Publication Number
WO 03/057672 A3

(51) International Patent Classification[7]: C07D 417/06, A61K 31/435, A61P 43/00, C07D 451/02, 413/06, 513/04, 401/04 // (C07D 513/04, 279:00, 221:00)

(21) International Application Number: PCT/US02/41617

(22) International Filing Date:
23 December 2002 (23.12.2002)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/344,722 28 December 2001 (28.12.2001) US

(71) Applicant: ACADIA PHARMACEUTICALS, INC. [US/US]; 3911 Sorrento Valley Boulevard, San Diego, CA 92121-1402 (US).

(72) Inventors: SKJAERBAEK, Niels; Fagerparken 33, DK-2950 Vedbaek (DK). KOCH, Kristian, Norup; Borthigsgade 11, DK-2100 Copenhagen (DK). FRIBERG, Bo, Lennart, Mikael; Nikolaigatan 24, S-214 21 Malmoe (SE). TOLF, Bo-Ragnar; Ringstedgade 2, DK-2100 Copenhagen (DK).

(74) Agent: HUNT, Dale, C.; Knobbe, Martens, Olson & Bear, LLP, 2040 Main Street, 14th Floor, Irvine, CA 92614 (US).

(81) Designated States *(national)*: AE, AG, AL, AM, AT (utility model), AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ (utility model), CZ, DE (utility model), DE, DK (utility model), DK, DM, DZ, EC, EE (utility model), EE, ES, FI (utility model), 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, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK (utility model), SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW.

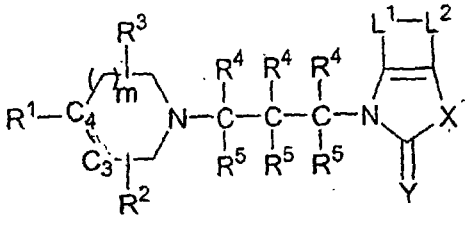
(84) Designated States *(regional)*: ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:
— *with international search report*

(88) Date of publication of the international search report:
13 November 2003

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: TETRAHYDROQUINOLINE ANALOGUES AS MUSCARINIC AGONISTS



(I)

(57) Abstract: The present invention relates to tetrahydroquinoline compounds as formulas I, as well as salts and isomers thereof wherein m is 0, 1 or 2; C_3-$C_4$is CH_2-CH or CH=Cor C_4 is CH and C_3 is absent; L^1 and L^2 are biradicals independently selected from the group consisting of -C(R^6)=C(R^7), -C(R^6)=N, -N=C(R^6)-, -S-, -NH- and -O-; wherein only one of L^1 and L^2 may be selected from the group consisting of -S-, NH - and O; Y is selected from the group consisting of O, S, and H_2; X is a biradical as muscarinic receptor agonists; compositions comprising the same; methods of inhibiting an activity of a muscarinic receptor with said compounds; methods of treating a disease condition associated with a muscarinic receptor using said compounds; and methods for identifying a subject suitable for treatment using said compounds.

WO 03/057672 A3