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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
6 May 2004 (06.05.2004)

PCT

(10) International Publication Number
WO 2004/037797 A3

(51) International Patent Classification[7]: A61K 31/495, 31/496, C07D 241/08, 401/12, 295/18, 403/12

(21) International Application Number:
PCT/US2003/033402

(22) International Filing Date: 22 October 2003 (22.10.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/420,578 23 October 2002 (23.10.2002) US

(71) Applicant: THE PROCTER & GAMBLE COMPANY [US/US]; One Procter & Gamble Plaza, Cincinnati, OH 45202 (US).

(72) Inventors: EBETINO, Frank, Hallock; 11249 Acrewood Drive, Cincinnati, OH 45249 (US). TIAN, Xinrong; 4392 North Mallard Cove, Mason, OH 45040 (US). MAZUR, Wieslaw, Adam; 3680 Emery Lake Lane, Mason, OH 45040 (US). COLSON, Anny-Odile; 9286 Carriage Run Circle, Loveland, OH 4540 (US).

(74) Agents: REED, T., David et al.; The Procter & Gamble Company, 6110 Center Hill Road, Cincinnati, OH 45224 (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, EG, 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, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK (utility model), SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW.

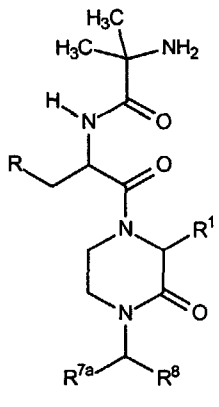
(84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF,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

Published:
— *with international search report*
— *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments*

(88) Date of publication of the international search report:
4 November 2004

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: 2 - ALKYL - (2 - AMINO - 3 - ARYL - PROPIONYL) - PIPERAZINE DERIVATIVES AND RELATED COMPOUNDS AS MELANOCORTIN RECEPTOR LIGANDS FOR THE TREATMENT OF OBESITY



(57) Abstract: The present invention relates to compounds which comprise a nitrogen-containing ring scaffold substituted by an R^1 alkyl units selected from the group consisting of C_1-C_{12} linear or branched alkyl, C_3-C_8 cyclic alkyl, C_2-C_{12} linear or branched alkenyl, or haloalkyl, for example, the 2-keto-3-alkylpiperazines having the formula (I): wherein R is selected from the group consisting of phenyl, 3-fluorophenyl, 4-fluorophenyl, 3,5-difluorophenyl, and 4-chlorophenyl; R^1 is selected from the group consisting of methyl, ethyl, propyl, *iso*-propyl, butyl, *iso*-butyl, *sec*-butyl, *tert*-butyl, cyclopropyl, cyclopropylmethyl, cyclopentyl, cyclopentylmethyl, cyclohexyl, cyclohexylmethyl, benzyl, allyl, 1-methylallyl, 2-methylallyl, but-2-enyl, and propargyll; R^{7a} is selected from the group consisting of hydrogen, $-CO_2H$, $-CONH_2$, $-CONHCH_3$, and $-CON(CH_3)_2$; R^8 is benzyl, substituted benzyl, or naphthalen-2-ylmethyl..

WO 2004/037797 A3