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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
15 May 2003 (15.05.2003)

PCT

(10) International Publication Number
WO 03/040118 A1

(51) International Patent Classification[7]: C07D 285/08, 417/12, A61K 31/433, A61P 3/00, 17/00, 25/00

(21) International Application Number: PCT/US02/35365

(22) International Filing Date:
5 November 2002 (05.11.2002)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/337,762 8 November 2001 (08.11.2001) US

(71) Applicant: **ORTHO-MCNEIL PHARMACEUTICAL CORPORATION** [US/US]; US Route 202, Raritan, NJ 08869 (US).

(72) Inventors: **EISINGER, Magdalena**; 30 Pine Terrace, Demarest, NJ 07627 (US). **FITZPATRICK, Louis, J.**; 205 Heatherfield Drive, Souderton, PA 18964 (US). **LEE, Daniel, H.**; 361 Dutton Road, Sudbury, MA 01776 (US). **PAN, Kevin**; 409 Greene Lane, Phoenixville, PA 19460 (US). **PLATA-SALAMAN, Carlos**; 1313 Squire Drive, Ambler, PA 19002 (US). **REITZ, Allen, B.**; 109 Greenbriar Road, Lansdale, PA 19466 (US). **SMITH-SWINTOSKY, Virginia, L.**; 3163 Line Lexington Road, Hatfiled, PA 19440 (US). **ZHAO, Boyu**; 105 Weymouth Circle, Lansdale, PA 19446 (US).

(74) Agents: **JOHNSON, Philip** et al.; Johnson & Johnson, One Johnson & Johnson Plaza, New Brunswick, NJ 08933 (US).

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

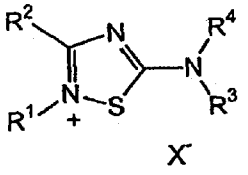
(84) Designated States *(regional)*: ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR), OAPI patent (BF, BJ, CF, CG, CI,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

**Published:**
— *with international search report*

*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 03/040118 A1

(54) Title: NOVEL 1,2,4-THIADIAZOLIUM DERIVATIVES AS MELANOCORTIN RECEPTOR MODULATORS




(I)

(57) Abstract: The present invention is directed to novel 1,2,4-thiadiazol-2-ium derivatives of the formula (I); wherein R?1¿, R?2¿ and R?4¿ are ring containing radicals, R?3¿ is H, alkyl, alkenyl or alkynyl, useful as agonists or antagonists of the melanocortin receptor. More particularly, the compounds of the present invention are useful for the treatement of metabolic, CNS and dermatological disorders.