

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
21 October 2004 (21.10.2004)

PCT

(10) International Publication Number
WO 2004/089307 A3

- (51) International Patent Classification⁷: **C07D 221/20**,
A61K 31/438
- (74) Common Representative: **MERCK & CO. INC.**; 126
East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
- (21) International Application Number:
PCT/US2004/009751
- (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.
- (22) International Filing Date: 31 March 2004 (31.03.2004)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:
60/460,293 4 April 2003 (04.04.2003) US
- (71) Applicant (*for all designated States except US*): **MERCK
& CO. INC.** [US/US]; 126 East Lincoln Avenue, Rahway,
NJ 07065-0907 (US).
- (84) Designated States (*unless otherwise indicated, for every
kind of regional protection available*): ARIPO (BW, GH,
GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),
Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), Euro-
pean (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR,
GB, GR, HU, IE, IT, 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).
- (72) Inventors; and
- (75) Inventors/Applicants (*for US only*): **GUO, Liangqin**
[US/US]; 126 East Lincoln Avenue, Rahway, NJ
07065-0907 (US). **HE, Shuwen** [CN/US]; 126 East
Lincoln Avenue, Rahway, NJ 07065-0907 (US). **JIAN,
Tianying** [CN/US]; 126 East Lincoln Avenue, Rahway,
NJ 07065-0907 (US). **LAI, Yingjie** [CA/US]; 126 East
Lincoln Avenue, Rahway, NJ 07065-0907 (US). **LIU,
Jian** [CN/US]; 126 East Lincoln Avenue, Rahway, NJ
07065-0907 (US). **NARGUND, Ravi, P.** [US/US]; 126
East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
SEBHAT, Iyassu, K. [GB/US]; 126 East Lincoln Av-
enue, Rahway, NJ 07065-0907 (US). **UJJAINWALLA,
Feroze** [GB/US]; 126 East Lincoln Avenue, Rahway,
NJ 07065-0907 (US). **YE, Zhixiong** [US/US]; 126 East
Lincoln Avenue, Rahway, NJ 07065-0907 (US). **YOUNG,
Jonathan, R.** [US/US]; 126 East Lincoln Avenue, Rahway,
NJ 07065-0907 (US).
- 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:
31 March 2005
- For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.*

(54) Title: ACYLATED SPIROPIPERIDINE DERIVATIVES AS MELANOCORTIN-4 RECEPTOR AGONISTS

(57) Abstract: Certain novel N-acylated spiropiperidine derivatives are agonists of the human melanocortin receptor(s) and, in particular, are selective agonists of the human melanocortin-4 receptor (MC-4R). They are therefore useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, such as obesity, diabetes, sexual dysfunction, including erectile dysfunction and female sexual dysfunction.



WO 2004/089307 A3