

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
24 December 2003 (24.12.2003)

PCT

(10) International Publication Number
WO 03/106444 A1

(51) International Patent Classification⁷: **C07D 295/096**,
243/08, A61K 31/495, 31/551, A61P 13/02, 13/10, 25/00

(74) Agent: **SERJEANTS**; 25 The Crescent, King Street, Le-
icester LE1 6RX (GB).

(21) International Application Number: PCT/EP03/06280

(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, PG, PH, PL, PT, RO, RU, SC, SD,
SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ,
VC, VN, YU, ZA, ZM, ZW.

(22) International Filing Date: 16 June 2003 (16.06.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
MI2002A001328 14 June 2002 (14.06.2002) IT

(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).

(71) Applicant (*for all designated States except IT*): **RECOR-
DATI S.A.** [CH/CH]; Piazza Boffolora 4, CH-6830 Chi-
asso (CH).

(71) Applicant (*for IT only*): **RECORDATI INDUSTRIA
CHIMICA E FARMACEUTICA SPA** [IT/IT]; Via
Matteo Civitali, 1, I-20148 Milano (IT).

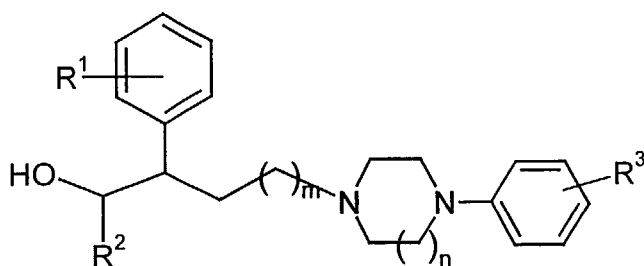
Published:

- 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

(72) Inventors: **LEONARDI, Amedeo**; Via Poliziano, 16,
I-20154 Milano (IT). **MOTTA, Gianni**; Via Ungaretti, 10,
I-20030 Barlassina (IT). **RIVA, Carlo**; Via Walder, 10,
I-21100 Varese (IT). **TESTA, Rodolfo**; Via Pertini, 3/8,
I-20060 Vignate (IT).

*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: **N,N-DISUBSTITUTED DIAZOCYCLOALKANES USEFUL FOR THE TREATMENT OF CNS DISORDERS DUE
TO SEROTONERGIC DYSFUNCTION**



(I)

(57) Abstract: N,N-Disubstituted diazocycloalkanes of the formula (I): (R¹ = halogen, R² = (C₃-C₈)-cycloalkyl, R³ = (C₁-C₄)-alkoxy or (C₁-C₄)-haloalkoxy group, m is 1 or 2, n is 1 or 2) have affinity for serotonergic receptors. These compounds and their enantiomers, diastereoisomers, N-oxides, polymorphs, solvates and pharmaceutically acceptable salts are useful in the treatment of patients with neuromuscular dysfunction of the lower urinary tract and diseases related to 5-HT_{1A}

receptor. 1,4-Disubstituted-piperazines (n = 1) are preferred. R¹ is preferably F in the 2-position, R² is preferably cyclohexyl, and R³ is preferably a methoxy or 2,2,2-trifluoroethyl group. Preferably, m = 1.



WO 03/106444 A1