9705503-2

RECEIVED 06 JUL 1998 PATENT OFFICE

PCT WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 6: C07D 211/22, A61K 31/445, C07D 211/26, 401/12, 401/06 — A1

(11) International Publication Number: **WO 96/28424**

(43) International Publication Date: 19 September 1996 (19.09.96)

(21) International Application Number: PCT/EP96/00903

(22) International Filing Date: 4 March 1996 (04.03.96)

(30) Priority Data:
MI95A000491 14 March 1995 (14.03.95) IT

(71) Applicant *(for all designated States except US)*: BOEHRINGER INGELHEIM ITALIA S.P.A. [IT/IT]; Via Pellicceria, 10, I-50123 Firenze (IT).

(72) Inventors; and

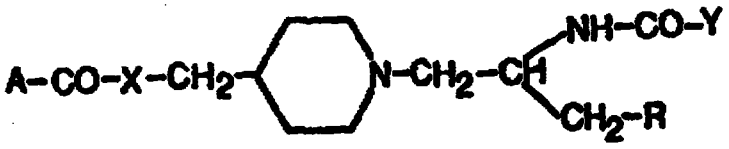
(75) Inventors/Applicants *(for US only)*: CEREDA, Enzo [IT/IT]; Via Romagnolo, 2/A, I-15050 Tortona (IT). BIGNOTTI, Maura [IT/IT]; Via Caposile, 8, I-20131 Milano (IT). MARTINO, Vincenzo [IT/IT]; Via Castiglione, 5, I-21056 Induno Olona (IT). SCHIAVI, Giovanni, Battista [IT/IT]; Via Montello, 7, I-46041 Asola (IT). SAGRADA, Angelo [IT/IT]; Via Vignoli, 1, I-20146 Milano (IT).

(74) Agent: BIANCHETTI, Giuseppe; Studio Consulenza Brevettuale, Via Rossini, 8, I-20122 Milano (IT).

(81) Designated States: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, ARIPO patent (KE, LS, MW, SD, SZ, UG), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, 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 the receipt of amendments.

(54) Title: DI-SUBSTITUTED 1,4-PIPERIDINE ESTERS AND AMIDES HAVING 5-HT$_4$ ANTAGONISTIC ACTIVITY



(I)

(57) Abstract

Pharmacologically active novel esters and amides of di-substituted 1,4-piperidine as 5-HT$_4$ antagonists of general formula (I), wherein A, X, Y and R have the meanings specified in the description, processes for their preparation and pharmaceutical compositions containing them, are disclosed.