

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

(19) World Intellectual Property Organization

International Bureau

WIPO

(43) International publication date

1 February 2001 (01.02.2001)

PCT

(10) International publication number

WO 01/07435 A2

(51) International patent classification⁷: C07D 403/00

(21) International application number: PCT/EP00/06464

(22) International filing date: 7 July 2000 (07.07.2000)

(25) Language of filing: German

(26) Language of publication: German

(30) Data relating to the priority:
199 34 433.7 22 July 1999 (22.07.2000) DE

(71) Applicant (for all designated States except US):
MERCK PATENT GMBH [DE/DE]; Frankfurter Strasse
250, D-64293 Darmstadt (DE).

(72) Inventors; and

(75) Inventors/Applicants (US only): BÖTTCHER, Henning [DE/DE]; Theodor-Heuss-Strasse 13, D-64287 Darmstadt (DE). GREINER, Hartmut [DE/DE]; Kreuzstrasse 57, D-64331 Weiterstadt (DE). HARTING, Jürgen [DE/DE]; Rodinghweg 15, D-64287 Darmstadt (DE). BARTOSZYK, Gerd [DE/DE]; Kreuzstrasse 57, D-64331 Weiterstadt (DE). SEYFRIED, Christoph [DE/DE]; Mathildenstrasse 6, D-64342 Seeheim-Jugenheim (DE). VAN AMSTERDAM, Christoph [DE/DE]; Schepp Allee 47, D-64295 Darmstadt (DE).

(74) Joint Representative: MERCK PATENT GMBH;
D-64271 Darmstadt (DE).

(81) Designated states (national): AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, 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, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.

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

Published:

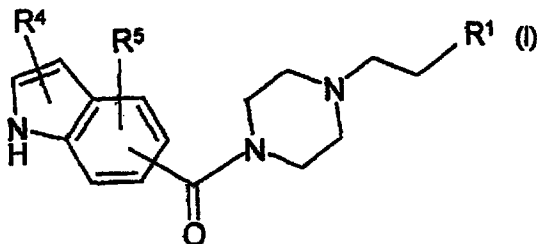
- Without the International Search Report and to be republished once the report has been received.

For an explanation of the two-letter codes and the other abbreviations, reference is made to the explanations ("Guidance Notes on Codes and Abbreviations") at the beginning of each regular edition of the PCT Gazette

As printed

(54) Title: N-(INDOLCARBONYL-)PIPERAZIN DERIVATIVES

(54) Bezeichnung: N-(INDOLCARBONYL-)PIPERAZINDERIVATE



(57) Abstract: The invention relates to compounds of formula (I) wherein R¹, R², R⁴ and R⁵ have the meaning given in Claim 1. Said compounds are potent 5-HT_{2A}-antagonists and are suitable for the treatment of psychosis, schizophrenia, depression, neurological disorders, memory disorders, Parkinson's disease, amyotrophic lateral sclerosis, Alzheimer's disease, Huntington's disease, eating disorders, e.g. nervous bulimia and anorexia, and premenstrual syndrome and/or for positively influencing compulsive behaviours (obsessive-compulsive disorder, OCD).

(57) Zusammenfassung: Verbindungen der Formel (I), worin R¹, R², R⁴ und R⁵ die in Anspruch 1 angegebenen Bedeutungen besitzen, sind potente 5-HT_{2A}-Antagonisten und eignen sich zur Behandlung von Psychosen, Schizophrenie, Depression, neurologischen Störungen, Gedächtnisstörungen, Morbus Parkinson, amyotropher Lateralsklerose, der Alzheimer Krankheit, der Huntington Krankheit, Essstörungen wie Bulimie, nervöser Anorexie, des prämenstrualen Syndroms und/oder zur positiven Beeinflussung von Zwangsverhalten (obsessive-compulsive disorder, OCD).

WO 01/07435 A2