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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
28 November 2002 (28.11.2002)

PCT

(10) International Publication Number
WO 02/094785 A1

(51) International Patent Classification[7]: C07D 211/58, 401/06, 407/06, 409/06, 417/06, A61K 31/4468, 31/4523, A61P 25/04, 25/00

(21) International Application Number: PCT/SE02/00957

(22) International Filing Date: 16 May 2002 (16.05.2002)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0101773-0 18 May 2001 (18.05.2001) SE

(71) Applicant *(for all designated States except US)*: **ASTRAZENECA AB** [SE/SE]; S-151 85 Södertälje (SE).

(72) Inventors; and
(75) Inventors/Applicants *(for US only)*: **BROWN, William** [CA/CA]; AstraZeneca R & D Montreal, 7171 Frederick-Banting, St. Laurent, Montreal, Québec H4S 1Z9 (CA). **GRIFFIN, Andrew** [GB/CA]; AstraZeneca R & D Montreal, 7171 Frederick-Banting, St. Laurent, Montreal, Québec H4S 1Z9 (CA). **WALPOLE, Christopher** [GB/CA]; AstraZeneca R & D Montreal, 7171 Frederick-Banting, St. Laurent, Montreal, Québec H4S 1Z9 (CA).

(74) Agent: **GLOBAL INTELLECTUAL PROPERTY**; AstraZeneca AB, S-151 85 Södertälje (SE).

(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, US, UZ, 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, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:
— *as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designations 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, VN, YU, ZA, ZM, ZW, 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, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)*
— *of inventorship (Rule 4.17(iv)) for US only*

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*

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 02/094785 A1

(54) Title: 4-(phenyl-(piperidin-4-yl)-amino)-benzamide derivatives and their use for the treatment of pain, anxiety or gastrointestinal disorders

(57) Abstract: Compounds of general formula I[Chemical formula should be inserted here. Please see paper copy] R_1 is selected from any one of phenyl, pyridinyl, thienyl, furanyl, imidazolyl, pyrrolyl, triazolyl, thiazolyl and pyridine N-oxide; where each R_1 phenyl ring and R_1 heteroaromatic ring may optionally and independently be further substituted by 1,2 or 3 substituents selected from straight and branched C_1-C_6alkyl, NO_2, CF_3, C_1-C_6alkoxy, chloro, fluoro, bromo, and iodo. The substitutions on the phenyl ring and on the heteroaromatic ring may take place in any position on said ring systems; are disclosed and claimed in the present application, as well as salts and pharmaceutical compositions comprising the novel compounds and their use in therapy, in particular in the management of pain, anxiety and functional gastrointestinal disorders.