

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
18 March 2004 (18.03.2004)

PCT

(10) International Publication Number
WO 2004/022060 A3

(51) International Patent Classification⁷: **A61K 31/496**,
31/551, 31/439, 31/4184, C07D 235/16, 471/04, 413/06,
417/06

San Diego, CA 92129 (US). **VENABLE, Jennifer, D.**
[US/US]; 12825 Caminito Del Canto, Del Mar, CA 92014
(US).

(21) International Application Number:
PCT/US2003/027461

(74) Agents: **JOHNSON, Philip, S.**, et al.; Johnson & Johnson,
1 Johnson & Johnson Plaza, New Brunswick, NJ 09833
(US).

(22) International Filing Date:
4 September 2003 (04.09.2003)

(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, 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.

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/408,569 6 September 2002 (06.09.2002) US

(71) Applicant (*for all designated States except US*):
JANSSEN PHARMACEUTICA, N.V. [BE/BE]; Turn-
houtseweg 30, B-B-B-2340 Beerse (BE).

(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).

(72) Inventors; and

(75) Inventors/Applicants (*for US only*): **CARRUTHERS,**
Nicholas, L. [US/US]; 14370 Silver Heights Road, Poway,
CA 92064 (US). **DVORAK, Curt, A.** [US/US]; 7657
Angeleno Road, San Diego, CA 92126 (US). **EDWARDS,**
James, P. [US/US]; 8723 Hesby Court, San Diego, CA
92129 (US). **GRICE, Cheryl, A.** [US/US]; 3449 Camino
Corte, Carlsbad, CA 92009 (US). **JABLONOWSKI, Jill,**
A. [US/US]; 13651 Freeport Road, San Diego, CA 92129
(US). **LY, Kiev, S.** [US/US]; 10620 Dabney Drive, #172,
San Diego, CA 92126 (US). **PIO, Barbara, A.** [US/US];
3 Langden Close, Hillsborough, NJ 08844 (US). **SHAH,**
Chandravadan, R. [US/US]; 14213 Dalhousie Road,

Published:

— with international search report

(88) Date of publication of the international search report:
8 July 2004

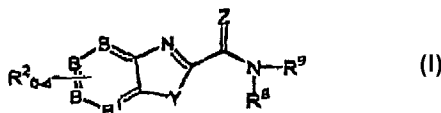
(15) Information about Correction:

Previous Correction:

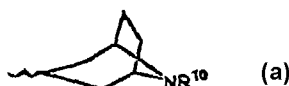
see PCT Gazette No. 23/2004 of 3 June 2004, Section II

[Continued on next page]

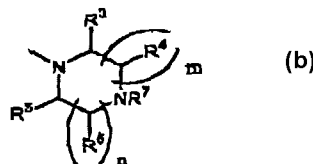
(54) Title: (1H-BENZOIMIDAZOL-2-YL)-(PIPERAZINYL)-METHANONE DERIVATIVES AND RELATED COMPOUNDS AS HISTAMINE H₄-RECEPTOR ANTAGONISTS FOR THE TREATMENT OF INFLAMMATORY AND ALLERGIC DISORDERS



(I)



(a)



(b)

(57) Abstract: (1H-Benzoimidazol-2-YL)-(PiperazinyL)-Methanone derivatives of formula (I) and related compounds as histamine H₄-receptor antagonists for the treatment of inflammatory and allergic disorders (I) wherein B and B¹ are C or up to one of B and B¹ may be N; Y is O, S or NR², where R² is H or C₁₋₄alkyl; Z is O or S; R⁸ is H and R⁹ is (a), where R¹⁰ is H or C₁₋₄alkyl, or R⁸ and R⁹ are taken together with their N of attachment to form (b); n is 1 or 2; m is 1 or 2; n + m is 2 or 3; other substituents as defined in claim 1.



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.