

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
24 February 2005 (24.02.2005)

PCT

(10) International Publication Number
WO 2005/016877 A3

(51) International Patent Classification⁷: **A61K 31/415**,
C07D 231/16

(21) International Application Number:
PCT/US2004/025036

(22) International Filing Date: 3 August 2004 (03.08.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/493,487 7 August 2003 (07.08.2003) US

(71) Applicant (for all designated States except US): **MERCK & CO., INC.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **GAO, Ying-Duo** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **GU, Xin** [CN/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **KEVIN, Nancy, J.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **WADDELL, Sherman, T.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

(74) Common Representative: **MERCK & CO., INC.**; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, 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, NA, 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.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, 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 receipt of amendments

(88) Date of publication of the international search report:
28 April 2005

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.

(54) Title: PYRAZOLE CARBOXAMIDES AS INHIBITORS OF 11-BETA-HYDROXYSTEROID DEHYDROGENASE-1

(57) Abstract: Pyrazole carboxamides of structural formula (I) are selective inhibitors of the 11 β -hydroxysteroid dehydrogenase-1. The compounds are useful for the treatment of diabetes, such as noninsulin-dependent diabetes (NIDDM), hyperglycemia, obesity, insulin resistance, dyslipidemia, hyperlipidemia, hypertension, Metabolic Syndrome, and other symptoms associated with NIDDM.



WO 2005/016877 A3

INTERNATIONAL SEARCH REPORT

International application No.

PCT/US04/25036

A. CLASSIFICATION OF SUBJECT MATTER

IPC(7) : A61K 31/415; C07D 231/16

US CL : 514/406; 548/374.1

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

U.S. : 514/406; 548/374.1

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)
STN CAS ONLINE

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 03/020217 A2 (UNIVERSITY OF CONNECTICUT) 13 March 2003 (13/03/03), see entire document, especially compound Int H on page 37.	13 (in-part), 14 (in-part)

☐ Further documents are listed in the continuation of Box C.

☐ See patent family annex.

* Special categories of cited documents:

"A"	document defining the general state of the art which is not considered to be of particular relevance	"T"	later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
"E"	earlier application or patent published on or after the international filing date	"X"	document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
"L"	document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)	"Y"	document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
"O"	document referring to an oral disclosure, use, exhibition or other means	"&"	document member of the same patent family
"P"	document published prior to the international filing date but later than the priority date claimed		

Date of the actual completion of the international search

01 December 2004 (01.12.2004)

Date of mailing of the international search report

22 FEB 2005

Name and mailing address of the ISA/US

Mail Stop PCT, Attn: ISA/US
Commissioner for Patents
P.O. Box 1450
Alexandria, Virginia 22313-1450

Facsimile No. (703) 305-3230

Authorized officer

Laura L. Stockton, Ph.D.

Telephone No. 571.272.1600

INTERNATIONAL SEARCH REPORT

International application No.

PCT/US04/25036

Continuation of Box II Reason 2:

In these claims, numerous variables {e.g., R^2 , R^4 , R^5 , etc.}, their voluminous involved meanings, their large number of permutations and combinations and the list of compounds in claims 13 and 14 make it virtually impossible to determine the full scope for which protection is sought. As presented, the claimed subject matter cannot be regarded as being a concise description for which protection is sought and as such, the claims do not comply with the requirements of PCT Article 6. Thus, it is impossible to carry out a meaningful timely search on same. A search will be provided on the first discernable invention, which is, the first compound listed in claim 13 that is also the first compound listed in claim 14.