

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
22 May 2009 (22.05.2009)

PCT

(10) International Publication Number
WO 2009/062874 A3

(51) International Patent Classification:

C07D 235/06 (2006.01) A61K 31/4184 (2006.01)
C07D 405/12 (2006.01) A61P 3/00 (2006.01)
C07D 409/12 (2006.01)

377 ChenHui Road, Building 166, Zhanjiang Hi Tech
Park, Shanghai 201203 (CN).

(74) Agent: WASCHBUESCH, Klaus; Grenzacherstrasse
124, CH-4070 Basel (CH).

(21) International Application Number:

PCT/EP2008/065012

(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,
AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA,
CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE,
EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID,
IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK,
LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW,
MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT,
RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ,
TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM,
ZW.

(22) International Filing Date:

5 November 2008 (05.11.2008)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

07120737.7 15 November 2007 (15.11.2007) EP

(71) Applicant (for all designated States except US): F. HOFF-
MANN-LA ROCHE AG [CH/CH]; Grenzacherstrasse
124, CH-4070 Basel (CH).

(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, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL,
NO, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG,
CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(72) Inventors; and

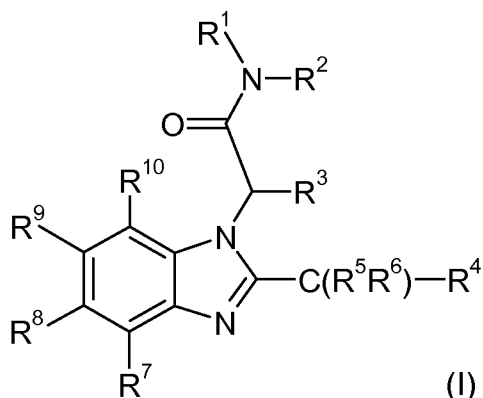
(75) Inventors/Applicants (for US only): BENSON, Gregory
Martin [GB/CH]; Teichstrasse 3, CH-4106 Therwil (CH).
BLEICHER, Konrad [DE/DE]; Reichsgrafenstrasse
16, 79102 Freiburg (DE). GRETHER, Uwe [DE/DE];
Kirchgasse 4, 79588 Efringen-Kirchen (DE). MARTIN,
Rainer E. [AT/CH]; Vogesenstrasse 47, CH-4056 Basel
(CH). PLANCHER, Jean-Marc [FR/FR]; 9, rue des
Vignes, F-68220 Hagenthal-le-Bas (FR). RICHTER,
Hans [DE/DE]; Winkelmaten 6, 79639 Grenzach-Wyhlen
(DE). TAYLOR, Sven [FR/FR]; 6, rue des Bosquets,
F-68400 Riedisheim (FR). YANG, Minmin [CN/CN];

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:
9 July 2009

(54) Title: BENZIMIDAZOLE DERIVATIVES AND THEIR USE AS FXR AGONISTS



(57) Abstract: The invention is concerned with novel substituted benzimidazole derivatives of formula (I) wherein R¹ to R¹⁰ are as defined in the description and in the claims, as well as physiologically acceptable salts and esters thereof. These compounds can be used as medicaments.

WO 2009/062874 A3

INTERNATIONAL SEARCH REPORT

International application No

PCT/EP2008/065012

A. CLASSIFICATION OF SUBJECT MATTER

INV. C07D235/06 C07D405/12 C07D409/12 A61K31/4184 A61P3/00

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)

C07D A61K A61P

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 practical, search terms used)

EPO-Internal, WPI Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
-----------	--	-----------------------

X	TEMPEST P ET AL: "Two-step solution-phase synthesis of novel benzimidazoles utilizing a UDC (Ugi/de-Boc/cyclize) strategy" TETRAHEDRON LETTERS, ELSEVIER, AMSTERDAM, vol. 42, no. 30, 23 July 2001 (2001-07-23), pages 4959-4962, XP004250154 ISSN: 0040-4039 pages 4959-61; example 29	1-30
X	WO 03/066629 A (VERTEX PHARMA [US]; HARBESON SCOTT L [US]; ARNST MICHAEL J [US]; GREE) 14 August 2003 (2003-08-14) pages 1,78; example 17	1-30

 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

E earlier document but published on or after the international filing date

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)

O document referring to an oral disclosure, use, exhibition or other means

P document published prior to the international filing date but later than the priority date claimed

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

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

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.

Z document member of the same patent family

Date of the actual completion of the international search

7 May 2009

Date of mailing of the international search report

14/05/2009

Name and mailing address of the ISA/

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040,
Fax: (+31-70) 340-3016

Authorized officer

Bourghida, E

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/EP2008/065012

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 03066629 A	14-08-2003	AU 2003215087 A1	02-09-2003
		CA 2475633 A1	14-08-2003
		EP 1472245 A2	03-11-2004
		JP 2005526028 T	02-09-2005
		MX PA04007697 A	10-11-2004
