

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
16 December 2004 (16.12.2004)

PCT

(10) International Publication Number
WO 2004/108686 A3

(51) International Patent Classification⁷: **C07D 235/08**,
A61K 31/4184, C07D 235/10, 235/26, 235/28, 235/30,
401/04, 401/06, 401/10, 401/12, 401/14, 403/04, 403/10,
409/04, 413/04

Pharmaceutical Co., Ltd., 4-7, Doshomachi 3-chome,
Chuo-ku, Osaka-shi, Osaka 5418514 (JP). **TAKASE,**
Ichiro [JP/JP]; 1-14-14-201, Sengen, Tsukuba-shi,
Ibaraki 3050047 (JP). **HIRAMURA, Takahiro** [JP/JP];
1-14-14-403, Sengen, Tsukuba-shi, Ibaraki 3050047 (JP).

(21) International Application Number:

PCT/JP2004/008064

(74) Agent: **TAKASHIMA, Hajime**; Meiji Yasuda Seimei Os-
aka Midotsuji Bldg., 1-1, Fushimimachi 4-chome, Chuo-ku,
Osaka-shi, Osaka 5410044 (JP).

(22) International Filing Date: 3 June 2004 (03.06.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
2003902860 6 June 2003 (06.06.2003) AU

(71) Applicants (for all designated States except US): **FU-**
JISAWA PHARMACEUTICAL CO., LTD. [JP/JP];
4-7, Doshomachi 3-chome, Chuo-ku, Osaka-shi, Osaka
5418514 (JP). **DAICEL CHEMICAL INDUSTRIES,**
LTD. [JP/JP]; 1, Teppo-cho, Sakai-shi, Osaka 5908501
(JP).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **HAYASHIDA,**
Hisashi [JP/JP]; c/o Fujisawa Pharmaceutical Co., Ltd.,
4-7, Doshomachi 3-chome, Chuo-ku, Osaka-shi, Osaka
5418514 (JP). **HATANAKA, Keiko** [JP/JP]; c/o Fujisawa
Pharmaceutical Co., Ltd., 4-7, Doshomachi 3-chome,
Chuo-ku, Osaka-shi, Osaka 5418514 (JP). **KATO,**
Takeshi [JP/JP]; c/o Fujisawa Pharmaceutical Co., Ltd.,
4-7, Doshomachi 3-chome, Chuo-ku, Osaka-shi, Osaka
5418514 (JP). **KIDO, Yoshiyuki** [JP/JP]; c/o Fujisawa
Pharmaceutical Co., Ltd., 4-7, Doshomachi 3-chome,
Chuo-ku, Osaka-shi, Osaka 5418514 (JP). **TOMISHIMA,**
Masaki [JP/JP]; c/o Fujisawa Pharmaceutical Co., Ltd.,
4-7, Doshomachi 3-chome, Chuo-ku, Osaka-shi, Osaka
5418514 (JP). **KAYAKIRI, Hiroshi** [JP/JP]; c/o Fujisawa

(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).

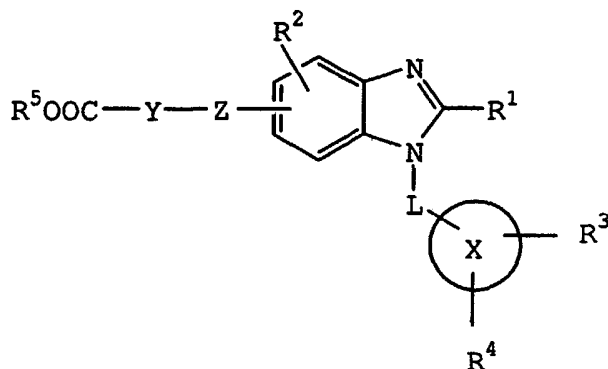
Published:

— with international search report

(88) Date of publication of the international search report:
26 May 2005

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: BENZIMIDAZOLE COMPOUNDS HAVING HYPOGLYCEMIC ACTIVITY



(57) Abstract: The present invention
provides novel benzimidazole
compounds of the formula (I): wherein
each symbol is as defined in the
specification, salts thereof and prodrugs
thereof, which are useful in treating,
for example, the diseases curable through
decrease in blood sugar level.

INTERNATIONAL SEARCH REPORT

International Application No

PCT/JP2004/008064

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D235/08 A61K31/4184 C07D235/10 C07D235/26 C07D235/28
C07D235/30 C07D401/04 C07D401/06 C07D401/10 C07D401/12
C07D401/14 C07D403/04 C07D403/10 C07D409/04 C07D413/04

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)

IPC 7 C07D A61K

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, BEILSTEIN 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.
A	EP 0 882 718 A (FUJISAWA PHARMACEUTICAL CO) 9 December 1998 (1998-12-09) cited in the application the whole document -----	1-4,6-15

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in 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.

"&" document member of the same patent family

Date of the actual completion of the international search

14 October 2004

Date of mailing of the international search report

08.02.05

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax: (+31-70) 340-3016

Authorized officer

Fink, D

INTERNATIONAL SEARCH REPORT

International application No.
PCT/JP2004/008064

Box II Observations where certain claims were found unsearchable (Continuation of Item 2 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

Although claims 11 and 12 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box III Observations where unity of invention is lacking (Continuation of Item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

see additional sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☒ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

see annex

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1-3 (all partly), 4 and 6-15 (all partly)

the compounds of the present formula (I) wherein Y represents a lower alkylene; and Z is -O-, -CH₂O-, -OCH₂-, -(NR₉)CH₂- or -CH₂(NR₉)-;

2. claims: 1-3 (all partly), 5 and 6-15 (all partly)

the compounds of the present formula (I) wherein Y represents an optionally substituted phenylene or a bivalent residue derived from optionally substituted heteroaryl; and Z is a bond, -O-, -CH₂O-, -OCH₂-, -(NR₉)CH₂- or -CH₂(NR₉)-;

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/JP2004/008064

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
EP 0882718	A	09-12-1998	AU 722514 B2	03-08-2000
			AU 1209597 A	28-07-1997
			BR 9612434 A	28-12-1999
			EA 2357 B1	25-04-2002
			EP 0882718 A1	09-12-1998
			IL 124969 A	12-09-2002
			JP 3063162 B2	12-07-2000
			NZ 324834 A	30-11-2001
			US 6166219 A	26-12-2000
			CA 2241186 A1	28-06-1997
			CN 1211238 A	17-03-1999
			HU 9900625 A2	28-06-1999
			WO 9724334 A1	10-07-1997
			JP 2000159749 A	13-06-2000
			TR 9801249 T2	21-10-1998
			US 6352985 B1	05-03-2002
			ZA 9610918 A	08-07-1997
			AU 4400597 A	05-05-1998
			WO 9815530 A1	16-04-1998
			TW 548272 B	21-08-2003
			ZA 9708998 A	20-04-1998
