

(19) World Intellectual Property Organization  
International Bureau



(43) International Publication Date  
6 April 2006 (06.04.2006)

PCT

(10) International Publication Number  
WO 2006/036007 A3

(51) International Patent Classification:

A61K 9/50 (2006.01) A61K 31/427 (2006.01)  
A61K 31/4155 (2006.01) A61K 31/422 (2006.01)

(21) International Application Number:

PCT/JP2005/018486

(22) International Filing Date:

29 September 2005 (29.09.2005)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

2004-287244 30 September 2004 (30.09.2004) JP

(71) Applicant (for all designated States except US): **TAKEDA PHARMACEUTICAL COMPANY LIMITED** [JP/JP];  
1-1, Doshomachi 4-chome, Chuo-ku, Osaka-shi, Osaka, 5410045 (JP).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **ONO, Akihiko** [JP/JP]; c/o TAKEDA PHARMACEUTICAL COMPANY LIMITED, 17-85, Jusohonmachi 2-chome, Yodogawa-ku, Osaka-shi, Osaka 5328686 (JP). **YONEYAMA, Shuji** [JP/JP]; c/o TAKEDA PHARMACEUTICAL COMPANY LIMITED, 17-85, Jusohonmachi 2-chome, Yodogawa-ku, Osaka-shi, Osaka 5328686 (JP).

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

(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, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, 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, IS, IT, LT, LU, LV, 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).

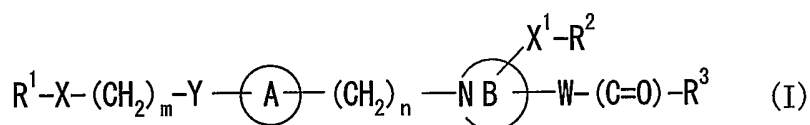
Published:

— 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:  
14 September 2006

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: MODIFIED-RELEASE PREPARATION



(57) Abstract: The present invention relates to a pharmaceutical agent comprising a combination of two or more parts comprising a compound of the formula: wherein R<sup>1</sup> represents a hydrocarbon group which may be substituted or a heterocyclic group which may be substituted; X represents a bond, or the like; m represents an integer of 0 to 3; Y represents an oxygen atom or the like; ring A represents an aromatic ring which may further have 1 to 3 substituents; n represents an integer of 1 to 8; ring B represents a nitrogen-containing 5-membered hetero ring which may further be substituted by an alkyl group; X<sup>1</sup> represents a bond or the like; R<sup>2</sup> represents a hydrogen atom or the like; W represents a bond or the like; R<sup>3</sup> represents a group of the formula: -OR<sup>8</sup> (R<sup>8</sup> represents a hydrogen atom or a hydrocarbon group which may be substituted) or the like; or a salt thereof, said two or more parts have mutually different release rates of the compound or a salt thereof. According to the present invention, a pharmaceutical agent comprising a nitrogen containing 5-membered heterocyclic compound useful as an agent for the prophylaxis or treatment of diabetes mellitus, hyperlipidemia, impaired glucose tolerance, inflammatory diseases, arteriosclerosis and the like, which has improved sustainability of an effective blood concentration of the compound, can be provided.

WO 2006/036007 A3

# INTERNATIONAL SEARCH REPORT

tional application No PCT/JP2005/018486
--

**A. CLASSIFICATION OF SUBJECT MATTER**  
 INV. A61K9/50      A61K31/4155      A61K31/427      A61K31/422

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)  
 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, CHEM ABS Data, WPI Data, PAJ, BIOSIS, EMBASE, MEDLINE

C. DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	EP 1 457 490 A (TAKEDA PHARMACEUTICAL COMPANY LIMITED) 15 September 2004 (2004-09-15) abstract paragraphs [0137] - [0146] paragraph [0157] paragraphs [0863], [0864]	1-12
P,A	EP 1 541 564 A (TAKEDA PHARMACEUTICAL COMPANY LIMITED) 15 June 2005 (2005-06-15) paragraph [0002] paragraphs [0151] - [0157] paragraph [0171]	1-12

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. *&* document member of the same patent family
---	---

Date of the actual completion of the international search  <b>10 July 2006</b>	Date of mailing of the international search report  <b>25/07/2006</b>
--	---

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  <b>Villa Riva, A</b>
---	--

# INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No  
PCT/JP2005/018486

Patent document cited in search report		Publication date		Patent family member(s)		Publication date
EP 1457490	A	15-09-2004	SI	1228067 T1		28-02-2005
EP 1541564	A	15-06-2005	AU	2003262023 A1		30-04-2004
			WO	2004024705 A1		25-03-2004
			US	2006135578 A1		22-06-2006