

(19) World Intellectual Property Organization  
International Bureau



(43) International Publication Date  
19 November 2009 (19.11.2009)

(10) International Publication Number  
**WO 2009/140624 A3**

(51) International Patent Classification:

*C07D 231/56* (2006.01)    *C07D 471/04* (2006.01)  
*C07D 403/12* (2006.01)    *C07D 513/04* (2006.01)  
*C07D 405/14* (2006.01)    *A61K 31/4155* (2006.01)  
*C07D 417/12* (2006.01)    *A61P 3/10* (2006.01)  
*C07D 417/14* (2006.01)

Diego, CA 92121 (US). **TANG, Mingnam** [US/US]; C/o Takeda San Diego, Inc., 10410 Science Center Drive, San Diego, CA 92121 (US).

(74) Agents: **BRUSTEIN, Mitchell, R.** et al.; Takeda San Diego, Inc., 10410 Science Center Drive, San Diego, CA 92121 (US).

(21) International Application Number:

PCT/US2009/044190

(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:

15 May 2009 (15.05.2009)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

61/054,052    16 May 2008 (16.05.2008)    US  
61/108,370    24 October 2008 (24.10.2008)    US

(71) Applicant (for all designated States except US): **TAKE-DA SAN DIEGO, INC.** [US/US]; 10410 Science Center Drive, San Diego, CA 92121 (US).

(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, MK, 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

(71) Applicants : **GWALTNEY, Stephen, L.** [US/US]; C/o Takeda San Diego, Inc., 10410 Science Center Drive, San Diego, CA 92121 (US). **WANG, Haxia** [CN/US]; C/o Takeda San Diego, Inc., 10410 Science Center Drive, San Diego, CA 92121 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **CHERUVAL-LATH, Zacharia** [US/US]; C/o Takeda San Diego, Inc., 10410 Science Center Drive, San Diego, CA 92121 (US). **JENNINGS, Andrew, John** [GB/US]; c/o Takeda San Diego, Inc., 10410 Science Center Drive, San Diego, CA 92121 (US). **SABAT, Mark** [US/US]; C/o Takeda San Diego, Inc., 10410 Science Center Drive, San Diego, CA 92121 (US). **STAFFORD, Jeffrey, A** [US/US]; C/o Takeda San Diego, Inc., 10410 Science Center Drive, San Diego, CA 92121 (US).

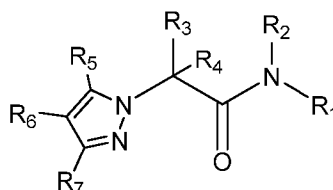
Published:

- with international search report (Art. 21(3))
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments (Rule 48.2(h))
- with sequence listing part of description (Rule 5.2(a))

(88) Date of publication of the international search report:

27 May 2010

(54) Title: GLUCOKINASE ACTIVATORS



(57) Abstract: Compounds are provided for use with glucokinase that comprise the formula: ##STR## wherein the variables are as defined herein. Also provided are pharmaceutical compositions, kits and articles of manufacture comprising such compounds; methods and intermediates useful for making the compounds; and methods of using said compounds.



WO 2009/140624 A3

**INTERNATIONAL SEARCH REPORT**

International application No

PCT/US2009/044190

**A. CLASSIFICATION OF SUBJECT MATTER**

INV. C07D231/56 C07D403/12 C07D405/14 C07D417/12 C07D417/14  
 C07D471/04 C07D513/04 A61K31/4155 A61P3/10

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

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.
X	WO 2007/143434 A (TAKEDA SAN DIEGO INC [US]; CAO SHELDON X [US]; FENG JUN [US]; GWALTNEY) 13 December 2007 (2007-12-13) paragraph [0007]; claim 1; table 1; compounds 1-14 paragraph [0320]	1-66

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

19 March 2010

Date of mailing of the international search report

01/04/2010

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

Seelmann, Ingo

# INTERNATIONAL SEARCH REPORT

International application No.

PCT/US2009/044190

## Box No. I Nucleotide and/or amino acid sequence(s) (Continuation of item 1.b of the first sheet)

1. With regard to any nucleotide and/or amino acid sequence disclosed in the international application and necessary to the claimed invention, the international search was carried out on the basis of:
- a. type of material
- a sequence listing
- table(s) related to the sequence listing
- b. format of material
- on paper
- in electronic form
- c. time of filing/furnishing
- contained in the international application as filed
- filed together with the international application in electronic form
- furnished subsequently to this Authority for the purpose of search
2.  In addition, in the case that more than one version or copy of a sequence listing and/or table relating thereto has been filed or furnished, the required statements that the information in the subsequent or additional copies is identical to that in the application as filed or does not go beyond the application as filed, as appropriate, were furnished.
3. Additional comments:

# INTERNATIONAL SEARCH REPORT

International application No.  
PCT/US2009/044190

## Box No. 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:
  
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 No. 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 fees, this Authority did not invite payment of additional fees.
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.:  
  
1-4(part), 5-17, 18-35(part), 36-43, 44-66(part)
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.:

### Remark on Protest

- The additional search fees were accompanied by the applicant's protest and, where applicable, the payment of a protest fee.
- The additional search fees were accompanied by the applicant's protest but the applicable protest fee was not paid within the time limit specified in the invitation.
- 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: 1-4,18-37,44-66 (all part)

subject-matter relating to compounds with uncondensed pyrazole (R5, R6 and R7 do not form together a ring)

---

2. claims: 1-4(part),5-17,18-32(part),36-37(part),38-43,44-66 (part)

subject-matter relating to compounds with condensed pyrazole, wherein R5 and R6 form together a ring

---

3. claims: 1-4,18-33,44-66 (all part)

subject-matter relating to compounds with condensed pyrazole, wherein R6 and R7 form together a ring

---

4. claims: 1-4,18-32,34,35,44-66 (all part)

subject-matter relating to compounds with condensed pyrazole, wherein R5 and R7 form together a ring

---

# INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/US2009/044190

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 2007143434 A	13-12-2007	EP 2049518 A2	22-04-2009
		JP 2009538930 T	12-11-2009
		US 2007281942 A1	06-12-2007
-----			