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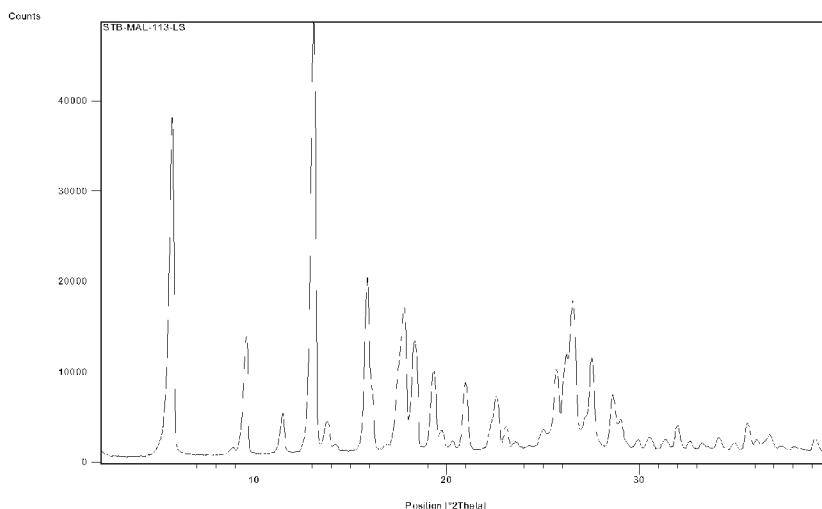
- with international search report (Art. 21(3))
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(88) Date of publication of the international search report:

22 July 2010

(54) Title: NEW CRYSTAL FORM OF SUNITINIB MALATE

Fig. 1



(57) Abstract: The present invention relates to novel crystal form of sunitinib malate, to processes for its preparation and to pharmaceutical compositions containing it.



INTERNATIONAL SEARCH REPORT

International application No

PCT/EP2009/065030

A. CLASSIFICATION OF SUBJECT MATTER
 INV. C07D403/06 A61K31/404 A61P35/00

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

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 03/016306 A (JANSSEN PHARMACEUTICA NV [BE]; GUILLEMONT JEROME EMILE GEORGE [FR]; PA) 27 February 2003 (2003-02-27) cited in the application -----	1-5
Y	WO 01/60814 A (SUGEN INC [US]; TANG PENG CHO [US]; MILLER TODD [US]; LI XIAOYUAN [US]) 23 August 2001 (2001-08-23) cited in the application example 80 ----- -/--	1-5



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)

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"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

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Date of the actual completion of the international search

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Name and mailing address of the ISA/

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INTERNATIONAL SEARCH REPORT

International application No

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C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	VAIDYANATHAN R ED - GADAMASETTI KUMAR G ET AL: "The Sudent story. PASSAGE" PROCESS CHEMISTRY IN THE PHARMACEUTICAL INDUSTRY: CHALLENGES IN AN EVER CHANGING CLIMATE,, vol. 2, 1 January 2007 (2007-01-01), pages 49-64, XP008101878 ISBN: 978-0-8493-9051-7 page 49 - page 63 -----	1-5

INTERNATIONAL SEARCH REPORT

International application No.
PCT/EP2009/065030

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.:
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 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: 1-5

the provision of an alternative process for preparing a crystalline sunitinib malate by performing the crystallization of its malate salt after the synthesis of sunitinib, possibly in an one-pot process

2. claims: 6-12

the provision of alternative sunitinib malate crystallization conditions which allow the control of the form of the substance

3. claim: 13 in part

the provision of alternative composition of sunitinib malate form I

4. claim: 13 in part

the provision of alternative composition of sunitinib malate form II

5. claims: 13 in part, 14-16

the provision of a composition of sunitinib malate form III as herein defined

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/EP2009/065030

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 03016306	A	27-02-2003	AP 1610 A 30-06-2006
			BR 0211909 A 24-08-2004
			CA 2452217 A1 27-02-2003
			CN 1541215 A 27-10-2004
			EP 1419152 A1 19-05-2004
			HK 1070066 A1 09-04-2010
			HR 20040096 A2 30-06-2004
			HU 0401346 A2 28-12-2004
			JP 2005507380 T 17-03-2005
			KR 20070101409 A 16-10-2007
			MX PA04001401 A 27-05-2004
			NO 20040633 A 12-03-2004
			NZ 530951 A 28-10-2005
			OA 12652 A 15-06-2006
			PA 8552901 A1 28-02-2003
			US 2006252764 A1 09-11-2006
			US 2004198739 A1 07-10-2004
WO 0160814	A	23-08-2001	AT 369359 T 15-08-2007
			AU 3977001 A 27-08-2001
			BG 107078 A 30-04-2003
			BR 0108394 A 22-06-2004
			CA 2399358 A1 23-08-2001
			CN 1439005 A 27-08-2003
			CO 5280092 A1 30-05-2003
			CZ 20023081 A3 12-02-2003
			DE 60129794 T2 06-12-2007
			DE 122008000002 I1 17-04-2008
			DE 122010000004 I1 15-04-2010
			DK 1255752 T3 26-11-2007
			EP 1255752 A2 13-11-2002
			ES 2290117 T3 16-02-2008
			HK 1051188 A1 21-12-2007
			HR 20020751 A2 31-12-2004
			HU 0204433 A2 28-04-2003
			IS 6501 A 13-08-2002
			JP 3663382 B2 22-06-2005
			JP 2003523340 T 05-08-2003
			LU 91407 A9 10-03-2008
			MX PA02008021 A 05-04-2004
			NL 300332 I1 03-03-2008
			NL 300430 I1 01-03-2010
			NO 2008019 I1 12-01-2009
			NO 2010002 I1 08-03-2010
			NO 20023831 A 15-10-2002
			NZ 520640 A 29-04-2005
			PL 361209 A1 20-09-2004
			PT 1255752 E 17-10-2007
			SK 13262002 A3 04-03-2003