



- (51) **International Patent Classification:**
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- (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, BN, BR, BW, BY, BZ, CA, CH, CL, 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, 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, PA, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

- (84) **Designated States** (*unless otherwise indicated, for every kind of regional protection available*): ARIPO (BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, RU, TJ, TM), European (AL, 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, RS, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, KM, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

- *as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))*
- *of inventorship (Rule 4.17(iv))*

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))*

- (88) **Date of publication of the international search report:**
27 March 2014



WO 2014/016848 A3

(54) **Title:** SOLID FORMS OF TYROSINE KINASE INHIBITORS, PROCESS FOR THE PREPARATION AND THEIR PHARMACEUTICAL COMPOSITION THEREOF

(57) **Abstract:** The present invention generally relates to solid forms of tyrosine kinase inhibitors, in particular combinations of tyrosine kinase inhibitors with anti-oxidative acids, processes for its preparation and pharmaceutical compositions containing the same.

INTERNATIONAL SEARCH REPORT

International application No
PCT/IN2013/000456

A. CLASSIFICATION OF SUBJECT MATTER
 INV. A61K47/12 A61K9/14 A61K31/506
 ADD.

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 practicable, search terms used)
 EPO-Internal, WPI Data, CHEM ABS Data, BIOSIS, EMBASE

C. DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	US 2012/142697 A1 (BUERGER HANS MICHAEL [CH] ET AL) 7 June 2012 (2012-06-07) cited in the application examples 7,12	1-5,23, 24,26-29
X	WO 2011/157450 A1 (KRKA D D NOVO MESTO [SI]; BENKIC PRIMOZ [SI]; TIHI JAROSLAV [SI]; PECA) 22 December 2011 (2011-12-22) page 8, paragraph 5 - paragraph 10 page 9, paragraph 6 - paragraph 12	1-5,23, 24,26-29
X	EP 2 062 885 A1 (ECZACIBASI ZENTIVA KIMYASAL UE [TR]) 27 May 2009 (2009-05-27) example 2	1-5,23, 24,26-29
X	WO 2010/081443 A2 (ZENTIVA K S [CZ]; KRAL VLADIMIR [CZ]; JAMPILEK JOSEF [CZ]; HAVLICEK JA) 22 July 2010 (2010-07-22) example 31	1-5,23, 24,26-29

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 application or patent 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 November 2013	Date of mailing of the international search report 04/02/2014
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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 Palma, Vera
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INTERNATIONAL SEARCH REPORT

International application No.
PCT/IN2013/000456

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.:

2, 5, 24, 27-29(completely); 1, 3, 4, 23, 26(partially)

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: 2, 5, 24, 27-29(completely); 1, 3, 4, 23, 26(partially)

A solid form comprising imatinib and a benzoic acid derivative selected from p-hydroxybenzoic acid, vanillic acid, syringic acid or 3,4-dihydroxy benzoic acid. Process for its preparation.

2. claims: 6, 14-22, 25(completely); 1, 3, 4, 7, 23, 26(partially)

A solid form comprising imatinib and a cinnamic acid derivative selected from p-coumaric acid, ferulic acid, sinapic acid or caffeic acid. Process for its preparation.

3. claims: 8-13(completely); 1, 3, 7, 23, 26(partially)

A solid form comprising gefitinib and an anti-oxidative acid. Process for its preparation.

4. claims: 1, 3, 23, 26(all partially)

A solid form comprising erlotinib and an anti-oxidative acid. Process for its preparation.

5. claims: 1, 3, 23, 26(all partially)

A solid form comprising sorafenib and an anti-oxidative acid. Process for its preparation.

6. claims: 1, 3, 23, 26(all partially)

A solid form comprising nilotinib and an anti-oxidative acid. Process for its preparation.

7. claims: 1, 3, 23, 26(all partially)

A solid form comprising dasatinib and an anti-oxidative acid. Process for its preparation.

8. claims: 1, 3, 23, 26(all partially)

A solid form comprising lapatinib and an anti-oxidative acid. Process for its preparation.

9. claims: 1, 3, 23, 26(all partially)

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

A solid form comprising sunitinib and an anti-oxidative acid. Process for its preparation.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/IN2013/000456

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			WO 2009065910 A2 28-05-2009

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