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(71) Applicant (for all designated States except US):
HETERO RESEARCH FOUNDATION [IN/IN]; Plot No. B-80 & 81, A.P.I.E., Balanagar, Hyderabad, Andhrapradesh, Hyderabad 500 018 (IN).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **PARTHASARADHI REDDY, Bandi** [IN/IN]; Hetero Research Foundation, Plot No. B-80 & 81, A.P.I.E., Balanagar, Hyderabad, Andhra pradesh, Hyderabad 500 018 (IN). **RATHNAKAR REDDY, Kura** [IN/IN]; Hetero Research Foundation, Plot No. B-80 & 81, A.P.I.E., Balanagar, Hyderabad, Andhra pradesh, Hyderabad 500 018 (IN). **MURALIDHARA REDDY, Dasari** [IN/IN]; Hetero Research Foundation, Plot No. B-80 & 81, A.P.I.E., Balanagar, Hyderabad, Andhra pradesh, Hyderabad 500 018 (IN). **RA-MAKRISHNA REDDY, Matta** [IN/IN]; Hetero Research Foundation, Plot No. B-80 & 81, A.P.I.E., Balanagar, Hyderabad, Hyderabad 500 018 (IN). **VAMSI KRISHNA,****Bandi** [IN/IN]; Hetero Research Foundation, Plot No. B-80 & 81, A.P.I.E., Balanagar, Hyderabad, Andhra pradesh, Hyderabad 500 018 (IN).

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Declarations under Rule 4.17:

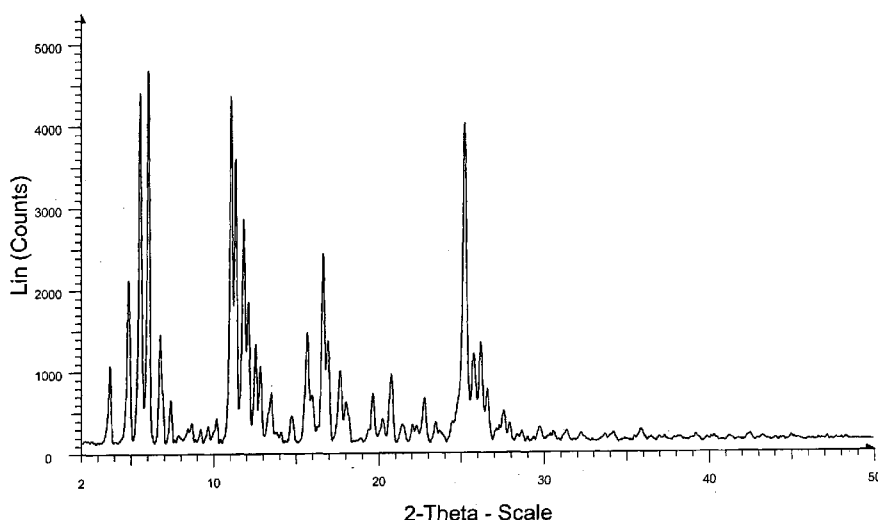
— as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))

Published:

— with international search report (Art. 21(3))

[Continued on next page]

(54) Title: PROCESS FOR FEBUXOSTAT

(57) Abstract: The present invention provides a process for the preparation of 2-(4-hydroxyphenyl)-4-methylthiazole-5-carboxylic acid ethyl ester. The present invention also provides a process for the preparation of 2-(3-formyl-4-hydroxyphenyl)-4-methylthiazole-5-carboxylic acid ethyl ester. The present invention further provides novel crystalline Forms of febuxostat, processes for their preparation and pharmaceutical compositions comprising them. The present invention further provides febuxostat crystalline particles having a mean particle size of less than about 25 μm , the methods for the manufacture of said crystalline particles, and pharmaceutical compositions comprising said crystalline particles.



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28 March 2013

INTERNATIONAL SEARCH REPORT

International application No.

PCT/IN2012/000359

A. CLASSIFICATION OF SUBJECT MATTER

IPC(8) - A61K 31/426 (2012.01)

USPC - 514/365

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(8) - A61K 31/425, 426; C07D 277/56 (2012.01)

USPC - 514/365; 549/30, 70, 80

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)

PatBase, Orbit.com, STN, PubChem, Google Scholar, IP.com

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	US 2005/0043375 A1 (IWAI et al) 24 February 2005 (24.02.2005) entire document	18-21, 24
X	LIU et al. Synthetic approaches to the 2009 new drugs. Bioorg. Med. Chem. 19 (2011) Pgs. . entire document	1-3
A	US 2004/0242657 A1 (BOYER et al) 02 December 2004 (02.12.2004) entire document	1-24
A	WO 2011/031409 A1 (METSGER et al) 17 March 2011 (17.03.2011) entire document	1-24
A	US 2005/0075503 A1 (ROBBINS et al) 07 April 2005 (07.04.2005) entire document	1-24
A	US 2010/0317702 A1 (PIRAN et al) 16 December 2010 (16.12.2010) entire document	1-24
A	US 6,225,474 B1 (MATSUMOTO et al) 01 May 2001 (01.05.2001) entire document	1-24

☐ Further documents are listed in the continuation of Box C.

* 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

08 November 2012

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Authorized officer:

Blaine R. Copenheaver

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INTERNATIONAL SEARCH REPORT

International application No.

PCT/IN2012/000359

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.: 25
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:

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 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.:

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.