Abstract

Novel imidazo[1,2-α]pyridinyl bisphosphonate compounds are disclosed, as well as methods of preparing the compounds, pharmaceutical compositions including the compounds, and administration of the compounds in methods of treating abnormal calcium and phosphate metabolism, including bone and joint diseases and other disorders.
**INTERNATIONAL SEARCH REPORT**

**A. CLASSIFICATION OF SUBJECT MATTER**

C07D 471/04(2006.01)1, C07D 471/02(2006.01)1, A61K 31/435(2006.01)1, A61K 31/675(2006.01)1, A61P 29/00(2006.01)1, A61P 35/00(2006.01)1

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 as above

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)

eKOMPASS, CA on CD, Google

**C. DOCUMENTS CONSIDERED TO BE RELEVANT**

<table>
<thead>
<tr>
<th>Category</th>
<th>Citation of document, with indication, where appropriate, of the relevant passages</th>
<th>Relevant to claim No</th>
</tr>
</thead>
<tbody>
<tr>
<td>X</td>
<td>US 4990503 A (Ishomura, Y. et al) 5 February 1991 See abstract, column 2, lines 55-column 3, lines 25, examples, claims</td>
<td>1-18</td>
</tr>
<tr>
<td>X</td>
<td>Szabo, C. et al &quot;An investigation of bone resorption and Dictyostelium discoideum Growth Inhibition by Bisphosphonate Drugs&quot; Journal of Medicinal Chemistry. 2002, 45(14), 2894-2903, ISSN: 0022-2623 See abstract, Figure 1</td>
<td>1-18</td>
</tr>
<tr>
<td>X</td>
<td>Fulfar, R. et al &quot;The role of bisphosphonates in the treatment of painful metastatic bone disease: a review of phase III trials&quot; Pain. 1998, vol. 78, pp. 157-169, ISSN: 0304-3959 See abstract, Figure 1</td>
<td>1-18</td>
</tr>
<tr>
<td>A</td>
<td>Sanders, J. M. et al &quot;3-D QSAR investigations of the inhibition of Leishmania major Farnesyl Pyrophosphate Synthesis by Bisphosphonates&quot; Journal of Medicinal Chemistry. 2003, 46(24), 5171-5183, ISSN: 0022-2623 See abstract, Figure 1</td>
<td>1-18</td>
</tr>
<tr>
<td>A</td>
<td>Lecercle, D et al &quot;Phosphine-catalyzed alpha-P-addition on activated alkynes: new route to P-C-P backbones&quot; Organic Letters. 2006, 8(19), 4283-4285 See Scheme 2</td>
<td>1-18</td>
</tr>
</tbody>
</table>

- 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 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**

07 MAY 2010 (07 05 2010)

**Date of mailing of the international search report**

07 MAY 2010 (07.05.2010)

**Name and mailing address of the ISA/KR**

Korean Intellectual Property Office
Government Complex-Daejeon, 139 Seonsa-ro, Seogu, Daejeon 302-701, Republic of Korea
Facsimile No 82-42-472-7140

**Authorized officer**

LEE, MIN JUNG
Telephone No 82-42-481-5603

Form PCT/ISA/210 (second sheet) (July 2009)
### 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. [7] Claims Nos. 19-25 because they relate to subject matter not required to be searched by this Authority, namely
   Claims 19-25 pertain to methods for treatment of the human by therapy and thus relate to a subject matter which this
   International Searching Authority is not required, under Article 17(2)(a)(i) of the PCT and Rule 39 l(iv) of the Regulations
   under the PCT, to search.

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:

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 fee, this Authority did not invite payment of any additional fee.

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.

Form PCT/ISA/210 (continuation of first sheet (2)) (July 2009)
<table>
<thead>
<tr>
<th>Patent document cited in search report</th>
<th>Publication date</th>
<th>Patent family member(s)</th>
<th>Publication date</th>
</tr>
</thead>
<tbody>
<tr>
<td>US 4990503 A</td>
<td>05.02.1991</td>
<td>CN 1040590 A</td>
<td>21.03.1990</td>
</tr>
<tr>
<td></td>
<td></td>
<td>CN 1027167 C</td>
<td>28.12.1994</td>
</tr>
<tr>
<td></td>
<td></td>
<td>CN 1040590 CO</td>
<td>23.10.1994</td>
</tr>
<tr>
<td></td>
<td></td>
<td>EP 0354806 A2</td>
<td>14.02.1990</td>
</tr>
<tr>
<td></td>
<td></td>
<td>EP 0354806 A3</td>
<td>02.05.1991</td>
</tr>
<tr>
<td></td>
<td></td>
<td>EP 0354806 B1</td>
<td>02.11.1995</td>
</tr>
<tr>
<td></td>
<td></td>
<td>JP 06099457 B</td>
<td>07.12.1994</td>
</tr>
<tr>
<td></td>
<td></td>
<td>JP 2138288 A</td>
<td>28.05.1990</td>
</tr>
<tr>
<td></td>
<td></td>
<td>US 05039669 A</td>
<td>13.08.1991</td>
</tr>
</tbody>
</table>