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[Continued on next page]

(54) Title: RENIN INHIBITORS

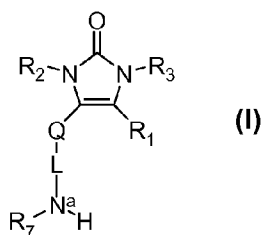
FIGURE 1

DNA Sequence Encoding First PCR Primer [SEQ ID NO: 1]

1 AAGCTTATGG ATGGATGGAG A

DNA Sequence Encoding Second PCR Primer [SEQ ID NO: 2]

1 GGATCCTCAG CGGGCCAAGG C



(57) Abstract: Compounds, pharmaceutical compositions, kits, article of manufacture, methods of using, and method of preparing of compounds having the formula (I), wherein the variables are as defined herein.

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INTERNATIONAL SEARCH REPORT

International application No

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A. CLASSIFICATION OF SUBJECT MATTER

INV. C07D233/90 C07D401/04 C07D401/06 C07D401/12 C07D401/14
C07D403/06 C07D413/14 C07D417/06 C07D417/14 A61K31/4178
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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, 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	DATABASE BEILSTEIN [Online] BEILSTEIN INSTITUTE FOR ORGANIC CHEMISTRY, FRANKFURT-MAIN, DE; XP002484556 Database accession no. 804092 (BRN) abstract & LAURSEN; CHRISTENSEN: J. ORG. CHEM., vol. 27, 1962, pages 2500-2504, -----	1, 2, 5, 6, 9, 45, 68-70
X	DATABASE CA [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; YASUDA ET AL.: "Imidazoledicarboxylic acid derivatives" XP002484557 retrieved from STN Database accession no. 1979:137809 Abstract; and RNs 69579-41-5, 69579-40-4. -/--	1, 2, 5, 6, 9-11, 17, 45, 68, 74, 76-85, 89-92



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

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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.
X	-& JP 54 005974 A (AJINOMOTO CO., INC., JAPAN) 17 January 1979 (1979-01-17) ----- DATABASE CA [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; "Imidazoledicarboxylic acid derivatives" XP002484558 retrieved from STN Database accession no. 1981:30771 Abstract; and RN 69579-40-4. -& JP 55 076887 A (AJINOMOTO CO., INC., JAPAN) 10 June 1980 (1980-06-10) -----	1,2,5,6, 9-11,17, 45,68, 74, 76-85, 89-92
X	ERHARDT ET AL.: "CARDIOTONIC AGENTS. 5. FRAGMENTS FROM THE HETEROCYCLE-PHENYL-IMIDAZOLE PHARMACOPHORE" J. MED CHEM., vol. 32, 1 January 1989 (1989-01-01), pages 1173-1176, XP000990528 ISSN: 0022-2623 Pages 1173, 1175: compound 6. -----	1,2,5,6, 20,21, 45,68,74
X	EP 1 253 469 A (EASTMAN KODAK CO [US]) 30 October 2002 (2002-10-30) ----- Abstract; claim 1: compounds of formula F-1; examples, inter alia IC-1, IC-2, IC-3, IC-8. -----	1,2,5-7, 9,20-25, 28,45, 47,48, 50,68
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X	WO 2004/089915 A (WARNER LAMBERT CO [US]; CAI CUI MAN [US]; CLAY EMMA HAZEL [GB]; DOWNING) 21 October 2004 (2004-10-21) -----	101
A	Abstract; claims; examples; page 40, scheme 2, e.g. compounds 13, 16; page 69, scheme 9; page 75, scheme 12. -----	1-98, 102,103
A	MAIBAUM; FELDMANN: "Renin inhibitors as novel treatments for cardiovascular disease" EXPERT OPIN. THER. PATENTS, vol. 13, no. 5, 1 May 2003 (2003-05-01), pages 589-603, XP002327881 ISSN: 1354-3776 The whole document. -----	1-98, 102,103

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INTERNATIONAL SEARCH REPORT

International application No

PCT/US2008/050632

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
T	YOKOKAWA; MAIBAUM: "Recent advances in the discovery of non-peptidic direct renin inhibitors as antihypertensives: new patent applications in years 2000 ? 2008" EXPERT OPIN. THER. PATENTS, vol. 18, no. 6, June 2008 (2008-06), pages 581-602, XP002484555 The whole document; in particular page 590, section 2.3; page 592, compounds 36, 37; references 90, 91.	1-98, 102,103
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P,A	Abstract; claims; examples; reference examples, e.g. nos. 96-99, 115, et cetera.	1-98, 102,103
X	US 3 303 199 A (DOEBEL KARL J ET AL) 7 February 1967 (1967-02-07) Claims; examples, e.g. no. 8.	99
X	DATABASE BEILSTEIN [Online] BEILSTEIN INSTITUTE FOR ORGANIC CHEMISTRY, FRANKFURT-MAIN, DE; XP002484559 Database accession no. 5878916 (BRN), 9336330 (Rx.ID), 9365523 (Rx.ID) abstract & KIMURA ET AL.: BIOORG. MED. CHEM., vol. 11, no. 8, 2003, pages 1621-1630,	101
X	DATABASE BEILSTEIN [Online] BEILSTEIN INSTITUTE FOR ORGANIC CHEMISTRY, FRANKFURT-MAIN, DE; XP002484786 Database accession no. 1777376, 4861213 (BRNs) abstract & OHSUMI; NEUNHOEFFER: TETRAHEDRON, vol. 48, no. 4, 1992, pages 651-662,	104
X	US 2 717 908 A (SNYDER ROBERT H) 13 September 1955 (1955-09-13) Claim 1; column 2, lines 52-67.	106
X	LEE AT AL.: "Rhodium Carbenoid N-H Insertion Reactions of Primary Ureas: Solution and Solid-Phase Synthesis of Imidazolones" ORG. LETT., vol. 5, no. 4, 2003, pages 511-514, XP002484785 The whole document; e.g. scheme 1, table 1: compounds 1, 2, 3, 4; scheme 2: compounds 5, 6.	104-108

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US2008/050632

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:

Although claims 85-92 are directed to therapeutic methods, the search has been carried out based on the alleged effects of the compounds.
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 allsearchable 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 reportcovers 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.:

1-98, 102-103 (all complete)

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: 1-98, 102-103 (all complete)

relating to compounds of the formulae shown in claims 1 and 102.

2. claim: 99 (part)

directed to the imidazolone carboxylic acid with $R1 = R3 = H$.

3. claims: 99 (part), 100 (complete)

directed to imidazolone carboxylic acids wherein $R1$ and/or $R3$ is different from H .

4. claim: 101 (part)

directed to protected piperazines with $p = 0$.

5. claim: 101 (part)

directed to protected piperazines with $p = 1-4$.

6. claims: 104 (complete), 105 (part)

directed to diazo ethyl esters.

7. claim: 105 (part)

directed to methyl 2-diazo-4-methyl-3-oxopentanoate.

8. claim: 106 (complete)

directed to ureas.

9. claims: 107-108 (complete)

directed to compounds of the formula shown in claim 107 and imidazolone carboxylic acid esters.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/US2008/050632

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