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Declaration under Rule 4.17:

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

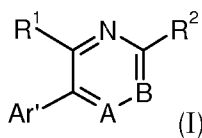
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(54) Title: PYRIMIDINE DERIVATIVES USEFUL FOR THE TREATMENT OF INFLAMMATORY OR ALLERGIC CONDITIONS



(57) Abstract: The present invention concerns a compound of formula (I) or a salt, suitably a pharmaceutically acceptable salt, or solvate thereof, wherein the groups R^1 , R^2 , Ar, A and B are defined in the description, to compositions and use of the compounds in the treatment of diseases ameliorated by inhibition of phosphatidylinositol 3-kinase.

INTERNATIONAL SEARCH REPORT

International application No

PCT/EP2008/059748

A. CLASSIFICATION OF SUBJECT MATTER

INV. C07D239/34 C07D239/42 C07D401/12 C07D403/04 C07D403/10
C07D405/10 C07D419/12 A61K31/506 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

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, BIOSIS

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	BENNETT G B ET AL: "SYNTHESIS AND ANTIINFLAMMATORY ACTIVITY OF TRISUBSTITUTED PYRIMIDINES AND TRIAZINES" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY, WASHINGTON, US, vol. 21, no. 7, 1 July 1978 (1978-07-01), pages 623-628, XP000612140 ISSN: 0022-2623 page 625; examples 4n-m; table iii page 626; examples 7a,8l-k; table iv -----	1-14
X	WO 2004/048365 A (CHIRON CORP [US]; NUSS JOHN M [US]; PECCHI SABINA [US]; RENHOWE PAUL A) 10 June 2004 (2004-06-10) page 1, line 11 - page 2, line 9 page 63 - page 86; examples 1-161 claim 1 -----	1-14

☐ 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

Date of the actual completion of the international search

3 September 2008

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INTERNATIONAL SEARCH REPORT

International application No.
PCT/EP2008/059748

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 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.:

1-14 (in part)

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: 1-14 (in part)

Pyrimidine derivatives with a 6-membered aryl substituent in position 4 (as defined in claim 5), an NH₂ substituent in position 2 and their use for the treatment of inflammatory or allergic conditions.

2. claims: 1 (in part), 3-14 (in part)

Pyrimidine derivatives with a 6-membered aryl substituent in position 4 (as defined in claim 5), a hydrogen substituent in position 2 and their use for the treatment of inflammatory or allergic conditions.

3. claims: 1-4 (in part), 7-14 (in part)

Pyrimidine derivatives with a pyrimidine substituent in position 4, an NH₂ substituent in position 2 and their use for the treatment of inflammatory or allergic conditions.

4. claims: 1-5 (in part) and 7-14 (in part)

Pyrimidine and pyridine derivatives with any other aryl or heteroaryl substituent in position 4, an NH₂ or H substituent in position 2 and their use for the treatment of inflammatory or allergic conditions (not supported by examples).

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/EP2008/059748

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 2004048365 A	10-06-2004	AU 2003295776 A1	18-06-2004
		CA 2507100 A1	10-06-2004
		EP 1575940 A1	21-09-2005
		JP 2006514118 T	27-04-2006
		KR 20050085114 A	29-08-2005
		MX PA05005477 A	25-07-2005