

PATENT COOPERATION TREATY

PCT

INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference X20420	FOR FURTHER ACTION see Form PCT/ISA/220 as well as, where applicable, item 5 below.	
International application No. PCT/US2015/053098	International filing date (<i>day/month/year</i>) 30 September 2015 (30-09-2015)	(Earliest) Priority Date (<i>day/month/year</i>) 7 October 2014 (07-10-2014)
Applicant ELI LILLY AND COMPANY		

This international search report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This international search report consists of a total of 5 sheets.

☒ It is also accompanied by a copy of each prior art document cited in this report.

1. Basis of the report

a. With regard to the **language**, the international search was carried out on the basis of:

- ☒ the international application in the language in which it was filed
☐ a translation of the international application into _____, which is the language of a translation furnished for the purposes of international search (Rules 12.3(a) and 23.1(b))

b. ☐ This international search report has been established taking into account the **rectification of an obvious mistake** authorized by or notified to this Authority under Rule 91 (Rule 43.6**bis**(a)).

c. ☐ With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, see Box No. I.

2. ☐ **Certain claims were found unsearchable** (See Box No. II)

3. ☐ **Unity of invention is lacking** (see Box No III)

4. With regard to the **title**,

- ☒ the text is approved as submitted by the applicant
☐ the text has been established by this Authority to read as follows:

5. With regard to the **abstract**,

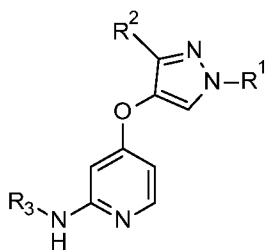
- ☐ the text is approved as submitted by the applicant
☒ the text has been established, according to Rule 38.2, by this Authority as it appears in Box No. IV. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority

6. With regard to the **drawings**,

- a. the figure of the **drawings** to be published with the abstract is Figure No. _____
☐ as suggested by the applicant
☐ as selected by this Authority, because the applicant failed to suggest a figure
☐ as selected by this Authority, because this figure better characterizes the invention
- b. ☐ none of the figures is to be published with the abstract

Box No. IV Text of the abstract (Continuation of item 5 of the first sheet)

The present invention relates to novel aminopyridyloxypyrazole compounds that inhibit the activity of transforming growth factor beta receptor 1 (TGFpRI), pharmaceutical compositions comprising the compounds, and methods of using the compounds to treat cancer, preferably colon cancer, melanoma, hepatocellular carcinoma, renal cancer, glioblastoma, pancreatic cancer, myelodysplastic syndrome, lung cancer, and gastric cancer, and/or fibrosis, preferably liver fibrosis and chronic kidney disease.



INTERNATIONAL SEARCH REPORT

International application No
PCT/US2015/053098

A. CLASSIFICATION OF SUBJECT MATTER		
INV.	C07D401/14 A61P35/00	C07D405/14 A61P43/00
	C07D401/12	A61K31/454 A61K31/4545
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) 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 practicable, search terms used) EPO-Internal, WPI Data		
C. DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 2009/022171 A1 (ASTRAZENECA AB [SE]; ASTRAZENECA UK LTD [GB]; FINLAY MAURICE RAYMOND V) 19 February 2009 (2009-02-19) cited in the application page 1, line 4 - line 5; claim 1 -----	1-17
A	SAWYER J S ET AL: "Synthesis and activity of new aryl- and heteroaryl-substituted pyrazole inhibitors of the transforming growth factor-beta type I receptor kinase domain", JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY, US, vol. 46, no. 19, 11 September 2003 (2003-09-11), pages 3953-3956, XP002265227, ISSN: 0022-2623, DOI: 10.1021/JM0205705 compounds 1-20 ----- -/-	1-17
☒ 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 29 October 2015		Date of mailing of the international search report 11/11/2015
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 Gettins, Marc

INTERNATIONAL SEARCH REPORT

International application No

PCT/US2015/053098

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 2004/111036 A1 (SMITHKLINE BEECHAM CORP [US]; DODIC NERINA [FR]; DONCHE FREDERIC [FR];) 23 December 2004 (2004-12-23) claims 1,16 -----	1-17
A	WO 2013/086397 A1 (ARRAY BIOPHARMA INC [US]; AMGEN INC [US]) 13 June 2013 (2013-06-13) claims 1,63; example 29 -----	1-17

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/US2015/053098

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 2009022171 A1	19-02-2009	AR 067931 A1	28-10-2009
		PE 06012009 A1	12-06-2009
		TW 200911783 A	16-03-2009
		US 2009048269 A1	19-02-2009
		UY 31281 A1	31-03-2009
		WO 2009022171 A1	19-02-2009

WO 2004111036 A1	23-12-2004	EP 1656367 A1	17-05-2006
		JP 2006527722 A	07-12-2006
		WO 2004111036 A1	23-12-2004

WO 2013086397 A1	13-06-2013	TW 201329067 A	16-07-2013
		WO 2013086397 A1	13-06-2013
