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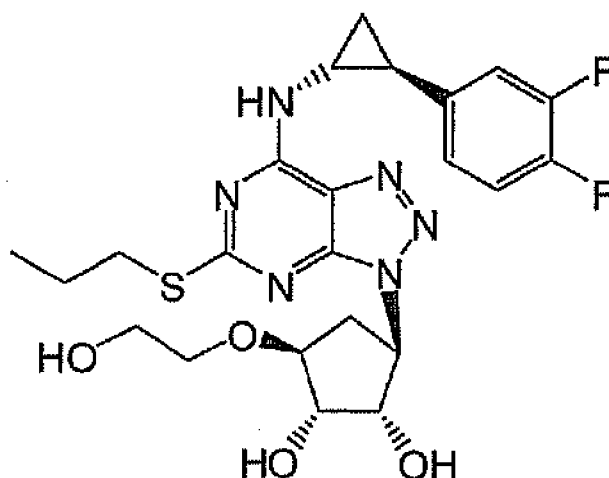
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61/490,371 26 May 2011 (26.05.2011) US(71) Applicant (for all designated States except BB, US):
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DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN,
HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ,
OM, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, SC, SD,
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DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU,
LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK,
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GW, ML, MR, NE, SN, TD, TG).

[Continued on next page]

(54) Title: NEW INTERMEDIATES AND PROCESSES FOR PREPARING TICAGRELOR



(57) Abstract: The present invention is related to new intermediates and processes for preparing Ticagrelor disclosed in this patent application.

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

INTERNATIONAL SEARCH REPORT

International application No

PCT/US2012/032497

A. CLASSIFICATION OF SUBJECT MATTER

INV. C07D487/04

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 , CHEM ABS Data, WPI Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
2	X WO 2010/030224 AI (ASTRAZENECA AB [SE] ; AUFDENBLATTEN RHONY [CH] ; BOHLIN MARTIN HANS [SE]) 18 March 2010 (2010-03-18) the whole document -----	1-12
2	X WO 01/92263 AI (ASTRAZENECA AB [SE] ; LARSSON ULF [SE] ; MAGNUSSON MATTIAS [SE] ; MUSIL T) 6 December 2001 (2001-12-06) the whole document -----	1-12
2	X WO 2011/017108 A2 (AUSPEX PHARMACEUTICALS INC [US] ; RAO TADIMETI [US] ; ZHANG CHENGZHI [US]) 10 February 2011 (2011-02-10) the whole document ----- -/--	1-12



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

19 July 2012

Date of mailing of the international search report

22-10-2013

Name and mailing address of the ISA/

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INTERNATIONAL SEARCH REPORT

International application No
PCT/US2012/O32497

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
2	X wo 2009/139834 AI (PONIARD PHARMACEUTICALS INC [US] ; SUN CONNIE L [US] ; LI XIAOYUAN [US] ;) 19 November 2009 (2009-11-19) page 87; compound Step 4 -----	11
2	X HOLSCHBACH M H ET AL: "Synthesis and evaluation of 7-amino-2-(2(3)-furyl)-5-phenylethylamino- oxazolo[5,4-d]pyrimidines as potential A2A adenosine receptor antagonists for positron emission tomography (PET)", EUROPEAN JOURNAL OF MEDICINAL CHEMISTRY, EDITIONS SCIENTIFIQUE ELSEVIER, PARIS, FR, vol. 41, no. 1, 1 January 2006 (2006-01-01), pages 7-15, XP024993765, ISSN: 0223-5234, DOI: 10.1016/J.EJMECH.2005.07.018 [retrieved on 2006-01-01] page 8; compounds 5a, b -----	11
2	X,P HAO ZHANG ET AL: "Synthesis and biological evaluation of ticagrelor derivatives as novel antiplatelet agents", BIOORGANIC & MEDICINAL CHEMISTRY LETTERS, vol. 22, no. 11, 1 June 2012 (2012-06-01), pages 3598-3602, XP055033081, ISSN: 0960-894X, DOI: 10.1016/j.bmcl.2012.04.050 page 3599; compound 11 -----	11

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US2012/Q32497

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 all searchable 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 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.:

1-12

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 : 1-12

A process for the synthesis of the known compound ticagrelor by reaction of a 2-hydroxyethoxy-cyclopentadioxol -amino derivative of general formula VI with a 2-propyl thiopyrimidin-5-protected-amine derivative of general formula IX and intermediates thereof.

2. claims : 13-19

A process for the preparation of the known compound ticagrelor by reaction of a cyclopentadioxolamin-4-yloxy-acetate derivative of general formula 5' with a 2-propyl thiopyrimidin-5-amine derivative and intermediates thereof.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/US2012/032497

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
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INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/US2012/032497

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