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Declaration under Rule 4.17:

— of inventorship (Rule 4.17(iv)) for US only

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4 January 2007

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: 9A-CARBAMOYL-Y-AMINOPROPYL AND 9A-THIOCARBAMOYL-Y-AMINOPROPYL-AZALIDES WITH ANTIMALARIAL ACTIVITY

(57) Abstract: Novel 9a-N²-substituted-carbamoyl- and tMocarbamoyl-aminoalkyl-9a-aza-9-deoxo- 9-dihydro-9a-homoerythromycin A and 3-O-decladinosyl-9a-aza-9-deoxo-9-dihydro- 9a-homoerythromycin A compounds having antimalarial activity are claimed. More particularly, the invention relates to 9a-N²-substituted-carbamoyl- and thiocarbamoyl- β-aminoethyl- or -γ-aminopropyl-9a-aza-9-deoxo-9-dihydro-9a-homoerythromycin A and 3-O-decladinosyl-9a-aza-9-deoxo-9-dihydro-9a-homoerythromycin A compounds and to pharmaceutically acceptable derivatives thereof having antimalarial activity.



WO 2006/085228 A3

INTERNATIONAL SEARCH REPORT

International application No

PCT/IB2006/001227

A. CLASSIFICATION OF SUBJECT MATTER

INV. A61K31/7052 A61P33/06 C07H17/08

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)

A61K C07H

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, CHEM ABS Data, WPI Data, MEDLINE, BIOSIS, EMBASE

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	ANDERSON S L ET AL: "PROPHYLAXIS OF PLASMODIUM FALCIPARUM MALARIA WITH AZITHROMYCIN ADMINISTERED TO VOLUNTEERS" ANNALS OF INTERNAL MEDICINE, NEW YORK, NY, US, vol. 123, no. 10, 15 November 1995 (1995-11-15), pages 771-773, XP008069262 ISSN: 0003-4819 abstract	1-16
Y	WO 2004/052904 A (PLIVA D.D; KUJUNDZIC, NEDJELJKO; BUKVIC, KRAJACIC, MIRJANA; BRAJSA, KA) 24 June 2004 (2004-06-24) claims 1,56 examples 5,7,12 Formula 3 page 6	1-16



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.
- *Z* document member of the same patent family

Date of the actual completion of the international search

9 October 2006

Date of mailing of the international search report

16/10/2006

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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.
E	WO 2006/075256 A (PLIVA-ISTRAZIVACKI INSTITUT D.O.O; IVEZIC, ZRINKA; ALIHODZIC, SULEJMAN) 20 July 2006 (2006-07-20) claims 1-11 -----	1-16

INTERNATIONAL SEARCH REPORT

International application No.
PCT/IB2006/001227

Box 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 5-14 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
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 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.
- No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/IB2006/001227

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 2004052904	A	24-06-2004	AT 327999 T 15-06-2006
			AU 2003285599 A1 30-06-2004
			BR 0316569 A 04-10-2005
			CA 2509599 A1 24-06-2004
			CN 1726222 A 25-01-2006
			DK 1585753 T3 02-10-2006
			EP 1585753 A2 19-10-2005
			HR 20020991 A2 28-02-2005
			JP 2006510660 T 30-03-2006
			MX PA05006220 A 17-11-2005
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WO 2006075256	A	20-07-2006	NONE
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