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[Continued on next page]

 $\textbf{(54) Title: } OXAZOLIDINONE \ DERIVATIVES, PROCESSES FOR \ THEIR \ PREPARATION, AND \ PHARMACEUTICAL \ COMPOSITIONS \ CONTAINING \ THEM$ 

(57) Abstract: Compounds of the formula (I), or a pharmaceutically-acceptable salt, or an in-vivo-hydrolysable ester thereof, wherein -N-HET is, for example, formula (Ic) or (If) wherein R1 is, for example, halogen or a (1-4C)alkyl group which is substituted by one substituent selected from, for example, hydroxy, (1-4C)alkoxy, amino, cyano or azido; Q is selected from, for example, Q1, formula Q1 wherein R2 and R3 are independently hydrogen or fluoro; T is selected from a range of groups, for example, formula (TC12b) wherein m is 0, 1 or 2; are useful as antibacterial agents; and processes for their manufacture and pharmaceutical compositions containing them are described.



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Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

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A. CLASSIFICATION OF SUBJECT MATTER IPC 7 C07D413/14 A61K A61K31/422 A61P31/04 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) IPC 7 CO7D 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 C. DOCUMENTS CONSIDERED TO BE RELEVANT Citation of document, with indication, where appropriate, of the relevant passages Category ° Relevant to claim No. WO 01 81350 A (ASTRAZENECA UK LTD; BETTS 1 - 17X MICHAEL JOHN (GB); GRIFFIN DAVID ALAN (G) 1 November 2001 (2001-11-01) page 19, line 1 - line 9 page 38, line 24 -page 39, line 11 examples 12-16,82-88 claims 1,2,5,6,9-13WO 01 58885 A (HESTER JACKSON B JR ; UPJOHN 1,13-16CO (US)) 16 August 2001 (2001-08-16) claims; examples WO 95 07271 A (BARBACHYN MICHAEL R; 1,13-16Α BRICKNER STEVEN J (US); UPJOHN CO (US): HUTCH) 16 March 1995 (1995-03-16) claims -/--Further documents are listed in the continuation of box C. Patent family members are listed in annex. ° Special categories of cited documents: \*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 "A" document defining the general state of the art which is not considered to be of particular relevance invention "E" earlier document but published on or after the international \*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to 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) 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 docu-"O" document referring to an oral disclosure, use, exhibition or ments, such combination being obvious to a person skilled other means document published prior to the international filing date but later than the priority date claimed '&' document member of the same patent family Date of mailing of the international search report Date of the actual completion of the international search 1 October 2003 10/10/2003 Name and mailing address of the ISA Authorized officer European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016 Hass, C

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C.(Continu	ation) DOCUMENTS CONSIDERED TO BE RELEVANT	
Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	EP 0 184 170 A (DU PONT) 11 June 1986 (1986-06-11) claims 1,9	1,16
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A	GREGORY W A ET AL: "ANTIBACTERIALS. SYNTHESIS AND STRUCTURE-ACTIVITY STUDIES OF 3-ARYL-2-OXOOXAZOLIDINES. I THE B GROUP" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY. WASHINGTON, US, vol. 32, no. 8, 1 August 1989 (1989-08-01), pages 1673-1681, XP000573960 ISSN: 0022-2623 the whole document	1,13
A,P	WO 02 081470 A (SWAIN MICHAEL LINGARD; ASTRAZENECA UK LTD (GB); BETTS MICHAEL JOHN) 17 October 2002 (2002-10-17) claims 1-18	1-17

ational application No. PCT/GB 03/00791

Box I Observations where certain claims were found unsearchable (Continuation of item 1 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. X Claims Nos.: because they relate to subject matter not required to be searched by this Authority, namely:	
Although claim 13 is 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. X Claims Nos.: 1-10, 12-17 (all partly) 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:	
see FURTHER INFORMATION sheet PCT/ISA/210	
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 II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)	
This International Searching Authority found multiple inventions in this international application, as follows:	_
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.:	
restricted to the invention hist mentioned in the dains, it is covered by dains 1405.	
Remark on Protest  The additional search fees were accompanied by the applicant's protest.	
No protest accompanied the payment of additional search fees.	

#### FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Claims Nos.: 1-10, 12-17 (all partly)

Present claims 1-10 and 12-17 relate to an extremely large number of possible compounds. In fact, the claims contain so many variables that a lack of clarity and conciseness within the meaning of Article 6 PCT arises to such an extent as to render a meaningful search of the claims impossible.

Moreover, there are some inconsistencies in the claims which make they claims unclear (listing may not be exhaustive):

In claim 6, R1 can also be hydrogen, which is not the case in then corresponding independent claim 1.

According to claim 1, (TC) can be (TC1), (TC2), (TC3) and (TC4). Therefore the following groups, mentioned in the dependent claims, apparently are not defined:

In claims 7 and 8: (TC5), (TC7), (TC9), (TC12), (TC13).

In claims 9 and 10: ((TC12a), (TC12b).

The term "pro-drug", as mentioned in claim 12, is not defined properly and thus unclear.

Support within the meaning of Article 6 PCT and disclosure within the meaning of Article 5 PCT is to be found, however, for only a very small proportion of the compounds claimed. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Consequently, the search has been carried out for those parts of the claims which appear to be supported and disclosed, namely those parts relating to the compounds of claim 11 and to the examples.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

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