

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau



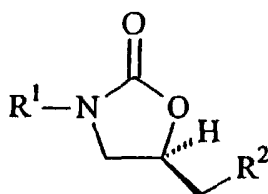
(43) International Publication Date
13 February 2003 (13.02.2003)

PCT

(10) International Publication Number
WO 03/011859 A3

- (51) International Patent Classification⁷: **C07D 413/04**, 413/12, 417/12, A61K 31/422, 31/427, 31/506, A61P 31/04
- (74) Agents: **WAIN, Christopher, Paul** et al.; AA Thornton & Co., 325 High Holborn, London WC1V 7LE (GB).
- (21) International Application Number: PCT/GB02/03404
- (22) International Filing Date: 25 July 2002 (25.07.2002)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:
0118407.6 27 July 2001 (27.07.2001) GB
- (71) Applicant (for all designated States except US): **CIPLA LTD.** [IN/IN]; 289, Bellasis Road, Mumbai Central, Mumbai 400 008 (IN).
- (71) Applicant (for MW only): **WAIN, Christopher, Paul** [GB/GB]; AA Thornton & Co., 235 High Holborn, London WC1V 7LE (GB).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): **HAMIED, Yusuf, Khwaja** [IN/IN]; Windsor Villa, 2nd floor, Mumbai 400 026 (IN). **KULKARNI, Vithal, Madhvarao** [IN/IN]; Professor of Medical Chemistry, Pharmaceuticals Division, Dept. of Chemical Technology, University of Mumbai, Matunga, Mumbai 400 019 (IN).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), 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, IE, IT, LU, MC, NL, PT, SE, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).
- Published:
— with international search report
- (88) Date of publication of the international search report:
3 April 2003
- 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: OXAZOLIDINONE DERIVATIVES AS ANTIBACTERIAL AGENTS



(I)

(57) Abstract: Antibacterial oxazolidine compounds having the general formula (I) wherein R¹ comprises at least one substituted or unsubstituted phenyl group and at least one substituted or unsubstituted unsaturated heterocyclic group having two or more heteroatoms; and R² is an alkylidene, alkyl, halogen, alkanoyloxy, phosphate, substituted aryl sulphonate, ammonium, or imide group, a saturated or unsaturated heterocycle, H, OR³, N₃ or NHR⁴, wherein R³=H, C₁-C₄ alkyl, SO₂ (C₁-C₄) alkyl, R⁴=H, C₁-C₄ alkyl, C(=O)(C₁-C₄) alkyl.

WO 03/011859 A3

INTERNATIONAL SEARCH REPORT

International Application No
PCT/GB 02/03404

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D413/04 C07D413/12 C07D417/12 A61K31/422 A61K31/427
A61K31/506 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 C07D A61K A61P

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)

EP0-Internal, WPI Data, BEILSTEIN Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	EP 0 693 491 A (BAYER AG) 24 January 1996 (1996-01-24) the whole document ---	1-4,8-15
X	EP 0 359 418 A (THE UPJOHN COMPANY) 21 March 1990 (1990-03-21) the whole document, particularly examples 69-75, 78-81 and 85-90 ---	1-4,8-15
A	WO 97 21708 A (PHARMACIA & UPJOHN COMPANY) 19 June 1997 (1997-06-19) the whole document ---	
A	WO 99 02525 A (PHARMACIA & UPJOHN COMPANY) 21 January 1999 (1999-01-21) the whole document -----	



Further documents are listed in the continuation of box C.



Patent family members are listed in 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

7 October 2002

Date of mailing of the international search report

14 01 2003

Name and mailing address of the ISA

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

Authorized officer

ALLARD, M

INTERNATIONAL SEARCH REPORT

International application No.
PCT/GB 02/03404

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. ☐ 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 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:

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 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.:

1(part), 2(part), 3(whole), 4(whole), 8-15(all part)

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

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. Claims: 1 (part), 2 (part), 3 (whole), 4 (whole),
8-15 (all part)

Compounds according to claim 1 wherein R1 is a (substituted)
2-benzimidazolyl group

2. Claims: 1 (part), 5 (part), 6 (whole), 7 (whole),
8-15 (all part)

Compounds according to claim 1 wherein R1 is a non-condensed
phenyl group substituted with a (unsaturated
heterocyclyl)-NHSO2- group

3. Claims: 1, 2, 5, 8-15 (all part)

Compounds according to claim 1 not covered by the preceding
subjects

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No
PCT/GB 02/03404

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
EP 693491	A	24-01-1996	DE 4425613 A	25-01-1996
			AU 695661 B	20-08-1998
			AU 2498895 A	01-02-1996
			BG 99791 A	30-04-1996
			CA 2154026 A	21-01-1996
			CN 1121919 A	08-05-1996
			CZ 9501873 A	14-02-1996
			EE 9500044 A	15-02-1996
			FI 953476 A	21-01-1996
			HR 950391 A	30-06-1997
			HU 74003 A	28-10-1996
			IL 114622 A	14-07-1999
			JP 8053443 A	27-02-1996
			NO 952866 A	22-01-1996
			NZ 272596 A	24-03-1997
			PL 309685 A	22-01-1996
			RO 115161 B	30-11-1999
			SG 33428 A	18-10-1996
			SK 91695 A	07-02-1996
			US 5698574 A	16-12-1997
			ZA 9506015 A	22-02-1996

EP 359418	A	21-03-1990	AT 112773 T	15-10-1994
			AT 201870 T	15-06-2001
			AU 617871 B	05-12-1991
			AU 4195789 A	02-04-1990
			CA 1335103 A	04-04-1995
			DE 68918792 D	17-11-1994
			DE 68929303 D	12-07-2001
			DE 68929303 T	02-05-2002
			DK 45591 A	13-03-1991
			EP 0434714 A	03-07-1991
			EP 0609905 A	10-08-1994
			ES 2157934 T	01-09-2001
			GR 3036491 T	30-11-2001
			HK 1002234 A	07-08-1998
			JP 3188418 B	16-07-2001
			JP 11080139 A	26-03-1999
			JP 2865211 B	08-03-1999
			JP 4500665 T	06-02-1992
			KR 138262 B	15-05-1998
			WO 9002744 A	22-03-1990
			US 5164510 A	17-11-1992
			US 5182403 A	26-01-1993
			US 5225565 A	06-07-1993

WO 9721708	A	19-06-1997	AU 703784 B	01-04-1999
			AU 1407797 A	03-07-1997
			CA 2236677 A	19-06-1997
			EP 0868424 A	07-10-1998
			JP 2000501731 T	15-02-2000
			TW 403749 B	01-09-2000
			US 5719154 A	17-02-1998
			ZA 9609622 A	15-05-1998

WO 9902525	A	21-01-1999	AU 729745 B	08-02-2001
			AU 8271398 A	08-02-1999
			CN 1261889 T	02-08-2000

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/GB 02/03404

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9902525 A		EP 0994874 A	26-04-2000
		FI 20000038 A	10-03-2000
		JP 2002513424 T	08-05-2002
		NO 20000125 A	10-03-2000
		NZ 502253 A	29-06-2001
		US 5977373 A	02-11-1999
