



(51) International Patent Classification:

C07D 263/20 (2006.01) **A61P 31/04** (2006.01)
A61K 31/421 (2006.01)

(21) International Application Number:

PCT/IB2007/002019

(22) International Filing Date:

18 July 2007 (18.07.2007)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

1253/CHE/2006 19 July 2006 (19.07.2006) IN

(71) Applicant (for all designated States except US): **ORCHID RESEARCH LABORATORIES LIMITED** [IN/IN]; Orchid Towers, 313, Valluvar Kottam High Road, Nungambakkam, Chennai, Tamil Nadu 600 034 (IN).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **RAMACHANDRAN, Uma** [IN/IN]; Orchid Research Laboratories Limited, 476/14, Old Mahabalipuram Road, Sholinganalur, Chennai, Tamil Nadu 600 119 (IN). **GUHA, Mrinal, Kanti** [IN/IN]; Orchid Research Laboratories Limited, 476/14, Old Mahabalipuram Road, Sholinganalur, Chennai, Tamil Nadu 600 119 (IN). **TADIPARTHI, Ravikumar** [IN/IN]; Orchid Research Laboratories Limited, 476/14, Old Mahabalipuram Road, Sholinganalur, Chennai, Tamil Nadu 600 119 (IN). **PRABHU, Ganesh** [US/US]; Bexel Pharmaceuticals, INC., 32990 Alvarado-Niles Road, Suite 910, Union City, California 94587 (US). **VADAREVU, Vijayalakshmi** [IN/IN]; Orchid Research Laboratories Limited, 476/14, Old Mahabalipuram Road, Sholinganalur, Chennai, Tamil Nadu 600 119 (IN). **PUSHPAN, Simi** [IN/IN]; Orchid Research Laboratories Limited, 476/14, Old Mahabalipuram Road, Sholinganalur, Chennai, Tamil Nadu 600 119 (IN). **ANANTHARAMAN, Veenaa** [IN/IN]; Orchid Research Laboratories Limited, 476/14, Old Mahabalipuram Road, Sholinganalur, Chennai, Tamil Nadu 600 119 (IN). **SUB-**

RAMANIAN, Santhosh [IN/IN]; Orchid Research Laboratories Limited, 476/14, Old Mahabalipuram Road, Sholinganalur, Chennai, Tamil Nadu 600 119 (IN). **SOLANKI, Shakti, Singh** [IN/IN]; Orchid Research Laboratories Limited, 476/14, Old Mahabalipuram Road, Sholinganalur, Chennai, Tamil Nadu 600 119 (IN). **SAMUEL, Matte, Marianna** [IN/IN]; Orchid Research Laboratories Limited, 476/14, Old Mahabalipuram Road, Sholinganalur, Chennai, Tamil Nadu 600 119 (IN). **KOPPOLU, Kesavan** [IN/IN]; Orchid Research Laboratories Limited, 476/14, Old Mahabalipuram Road, Sholinganalur, Chennai, Tamil Nadu 600 119 (IN).

(74) Agents: **TIWARI, Amrish** et al.; K & S Partners, BK House, Plot No. 109, Sector 44, Gurgaon 122 002 (IN).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, 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, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

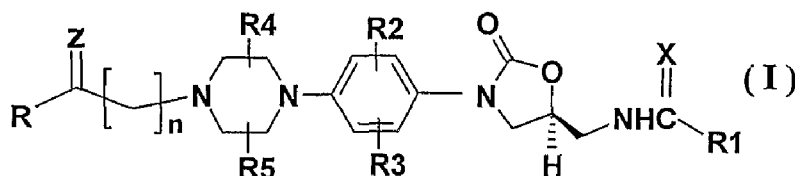
(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

— as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))

[Continued on next page]

(54) Title: NOVEL OXAZOLIDINONE DERIVATIVES



(57) Abstract: The present invention relates to novel compounds of the general formula (I), their derivatives, analogs, tautomeric forms, stereoisomers, polymorphs, hydrates, solvates, pharmaceutically acceptable salts, pharmaceutical compositions, metabolites and prodrugs thereof. The present invention more particularly provides novel Oxazolidinone derivatives of the general formula (I). The novel Oxazolidinone derivatives of the present invention may be useful as antibacterial agents, particularly for intravenous injections and are also useful in the treatment of conditions such as nosocomial pneumoniae, community acquired pneumoniae, Vancomycin resistant enterococci (VRE) caused by Methicillin resistant Staphylococcus Aureus (MRSA) and penicillin resistant Streptococcus Pneumoniae. The compounds of the present invention are effective against a number of human or animal pathogens, clinical isolates, including Vancomycin and Methicillin resistant organisms.



**Published:****(88) Date of publication of the international search report:**

11 February 2010

- *with international search report (Art. 21(3))*
- *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments (Rule 48.2(h))*

INTERNATIONAL SEARCH REPORT

International application No.
PCT/IB 2007/002019

A. CLASSIFICATION OF SUBJECT MATTER

IPC⁸: **C07D 263/20** (2006.01); **A61K 31/421** (2006.01); **A61P 31/04** (2006.01)

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)

IPC⁸: 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 practicable, search terms used)

EPODOC, WPI, STN Registry, CAPLUS

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 1993/023384 A1 (The Upjohn Co.) 25 November 1993 (25.11.1993) <i>examples 1-4, 7, 23-25</i> --	1-7
Y	IN 2004CH00256 A (M.K. Guha et al.) 2 December 2005 (02.12.2005) retrieved from CAPLUS on 20/03/2009, accession number 2007:249549, database number 149:32332 <i>abstract, compound of CAS-RN 1030386-22-1</i> --	1-7
Y	EP 1130016 A1 (Hokuriku Seiyaku Co., Ltd.) 5 September 2001 (05.09.2001) <i>paragraph 0001, compounds no. 103-106 on page 10, and 159- 162 on page 12</i> --	1-7

☒ 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
6 October 2009 (06.10.2009)Date of mailing of the international search report
14 December 2009 (14.12.2009)Name and mailing address of the ISA/ AT
Austrian Patent Office
Dresdner Straße 87, A-1200 ViennaAuthorized officer
HOFBAUER P.

Facsimile No. +43 / 1 / 534 24 / 535

Telephone No. +43 / 1 / 534 24 / 225

INTERNATIONAL SEARCH REPORT

International application No.
PCT/IB 2007/002019

C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	JP 11322729 A (Hokuriku Pharmaceutical) 24 November 1999 (24.11.1999) <i>compounds no. 127-130 on pages 12 and 13, Epodoc abstract, WPI abstract</i>	1-7
P,X	-- IN 2005CH00317 A (M.K. Guha et al.) 16 March 2007 (16.03.2007) retrived from CAPLUS on 20/03/2009, accession number 2007:413000, database number 148:403252 <i>abstract, compounds of general formula (I), especially compounds of CAS RNs 1003193-58-5, 1003193-61-0, 1003193-62-1, 1003193-63-2, 1003193-64-3, 1003193-65-4, 1003193-66-5, 1003193-67-6, 1003193-83-6, 1003193-85-8</i> ----	1-7

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No.

PCT/IB 2007/002019

Patent document cited in search report			Publication date		Patent family member(s)		Publication date
WO	A	9323384	LV	B	13075		2004-01-20
			US	A	5700799		1997-12-23
			HU	A3	9500659		1995-11-28
			FI	A	945246		1994-11-08
			NO	A	944237		1995-01-04
			US	A	5547950		1996-08-20
IN	A	2004CH00256	none				
EP	A	1130016	WO	A1	0027830		2000-05-18
			EP	A1	1130016		2001-09-05
			CN	A	1378539		2002-11-06
			AU	A	1177300		2000-05-29
			JP	A	2000204084		2000-07-25
JP	A	11322729	JP	A	11322729		1999-11-24
IN	A	2005CH00317	none				

Continuation of first sheet**Continuation 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. With regard to any nucleotide and/or amino acid sequence disclosed in the international application and necessary to the claimed invention, the international search was carried out on the basis of:

Claims Nos.: because they relate to subject matter not required to be searched by this Authority, namely:

Although claims 6 and 7 are directed to a therapeutic method of treatment of (or to a diagnostic method practised on) the human/animal body, the search has been carried out and is based on the alleged effects of the compounds.

Claims Nos.: 1-5 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:

Due to the vague terms ("derivatives, analogs, compositions, metabolites and prodrugs") a meaningful search of the whole claimed subject-matter could not be carried out.

Continuation 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:

I. claims 1-7 in part: compounds of formula (I) wherein n is 1, Z is O or NR₆ and R represents optionally substituted aryl, pharmaceutical compositions containing them and method of treating infections administering them;

II. claims 1-7 in part: compounds of formula (I) wherein n is 1, Z is O or NR₆, R represents alkyl and R₁ denotes optionally substituted alkyl, pharmaceutical compositions containing them and method of treating infections administering them;

III. claims 1-7 in part: compounds of formula (I) wherein n is 0, X is O, R represents groups

listed in the proviso of claim 1 and R1 denotes haloalkyl, pharmaceutical compositions containing them and method of treating infections administering them.

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. 1-7 in part (unity I)
