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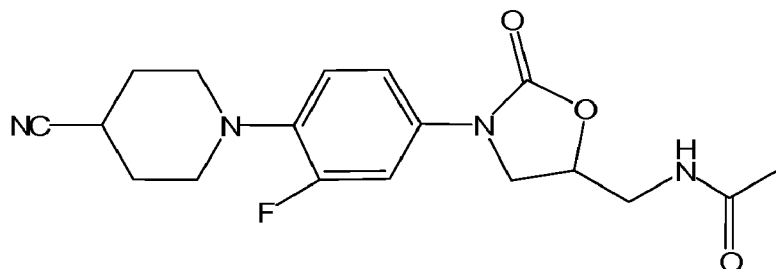
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(54) Title: A CYANO PIPERIDINYL-PHENIL-OXAZOLIDINONE AND USE THEREOF.



(I)

(57) Abstract: The invention provides a compound of formula (I), or any of its enantiomers or mixtures thereof, or their pharmaceutically acceptable salts or solvates, for use as a medicament. The compound of formula (I) is active against Gram-positive and some Gram-negative human and veterinary pathogens with a weak monoamine oxidase (MAO) inhibitory activity. They are useful for the treatment of bacterial infections. The invention also discloses polymorphic forms of the compound (S)-N-{3-[4-(4-cyano-piperidin-1-yl)-3-fluoro-phenyl]-2-oxo-oxazolidin-5-ylmethyl}-acetamide.

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INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2008/067503

A. CLASSIFICATION OF SUBJECT MATTER

INV. C07D413/10 A61K31/422 A61K31/454 A61P31/00

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 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)

EPO-Internal, 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.
A	WO 2007/023507 A (SINDKHEDKAR MILIND D [IN]; BHAVSAR SATISH B [IN]; PATIL VIJAYKUMAR J []) 1 March 2007 (2007-03-01) cited in the application page 49; examples 129,136	1
A	WO 2004/007488 A (CHUGH YATI [IN]; SHETTY NITIN [IN]; DESHPANDE PRASAD K [IN]; SINDKHEDK) 22 January 2004 (2004-01-22) page 16 - page 22, line 5; claim 1; example 4	1,21,22
A	WO 2005/054234 A (DESHPANDE PRASAD KESHAV [IN]; SINDKHEDKAR MILIND DATTATRAYA [IN]; PHAN) 16 June 2005 (2005-06-16) page 136 - page 138; claims 1,14-35; examples 19,44-81	1,21,22
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☒ Further documents are listed in the continuation of Box C.

☒ See patent family annex.

* Special categories of cited documents :

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- *&* document member of the same patent family

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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.
A	US 5 668 286 A (YAMADA HIROYOSHI [JP] ET AL) 16 September 1997 (1997-09-16) claims 1,4,5; examples 1-37,39-74; table 1 -----	1,21,22
A	WO 2006/010756 A (FERRER INT [ES]; CANO MONTERRAT [ES]; PALOMER ALBERT [ES]; GUGLIETTA) 2 February 2006 (2006-02-02) cited in the application claims 1-44,60-64 -----	1,21,22
A	KING F D ED - KING F D (ED): "BIOISOSTERES, CONFORMATIONAL RESTRICTION, AND PRO-DRUGS - CASE HISTORY: AN EXAMPLE OF CONFORMATIONAL RESTRICTION APPROACH" MEDICINAL CHEMISTRY : PRINCIPLES AND PRACTICE, CAMBRIDGE, RSC, GB, 1 January 1994 (1994-01-01), pages 206-225, XP000995047 the whole document -----	1
A	PATANI G A ET AL: "BIOISOSTERISM: A RATIONAL APPROACH IN DRUG DESIGN" CHEMICAL REVIEWS, ACS, WASHINGTON, DC, US, vol. 96, no. 8, 1 January 1996 (1996-01-01), pages 3147-3176, XP000652176 ISSN: 0009-2665 the whole document -----	1

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/EP2008/067503

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 2007023507	A	01-03-2007	EP 1912980 A2	23-04-2008
			US 2009018123 A1	15-01-2009
WO 2004007488	A	22-01-2004	AU 2003274676 A1	02-02-2004
			CA 2492743 A1	22-01-2004
			EP 1546140 A2	29-06-2005
			US 2004235900 A1	25-11-2004
WO 2005054234	A	16-06-2005	AU 2004295208 A1	16-06-2005
			BR PI0413413 A	09-01-2007
			CA 2537559 A1	16-06-2005
			EP 1664038 A2	07-06-2006
			JP 2007505102 T	08-03-2007
			US 2005143421 A1	30-06-2005
US 5668286	A	16-09-1997	NONE	
WO 2006010756	A	02-02-2006	AR 050426 A1	25-10-2006
			AU 2005266318 A1	02-02-2006
			BR PI0512691 A	01-04-2008
			CA 2574668 A1	02-02-2006
			CN 101027296 A	29-08-2007
			JP 2008508236 T	21-03-2008
			KR 20070048227 A	08-05-2007
			PA 8640401 A1	24-03-2006
			US 2009005369 A1	01-01-2009
			UY 29012 A1	31-10-2005