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(54) Title: A NOVEL PROCESS FOR THE PREPARATION OF LINEZOLID AND RELATED COMPOUNDS

(57) Abstract: The present invention provides a novel process for preparation of 5-aminomethyl substituted oxazolidinones, key intermediates for oxazolidinone antibacterials including linezolid. Thus linezolid is prepared by a) reacting 3-fluoro-4-morpholinyl aniline with R-epichlorohydrin; b) subjecting N-[3-Chloro-2-(R)-hydroxypropyl]-3-fluoro-4-morpholinyl aniline produced above to carbonylation; c) reacting (5R)-5-(chloromethyl)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxazolidinone produced above with potassium phthalimide; d) reacting (S)-N-[[3-[3-Fluoro-4-[4-morpholinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]phthalimide produced above with hydrazine hydrate; and e) reacting S-N-[[3-[3-Fluoro-4-[4-morpholinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]amine produced above with acetic anhydride to produce linezolid.

# INTERNATIONAL SEARCH REPORT

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<b>A. CLASSIFICATION OF SUBJECT MATTER</b> IPC <sup>B</sup> : <b>C07D 413/10</b> (2006.01); <b>C07D 263/20</b> (2006.01) According to International Patent Classification (IPC) or to both national classification and IPC		
<b>B. FIELDS SEARCHED</b> Minimum documentation searched (classification system followed by classification symbols) IPC <sup>B</sup> : C07D 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) WPI, EPODOC, PAJ, REGISTRY, CAPLUS, CASREACT		
<b>C. DOCUMENTS CONSIDERED TO BE RELEVANT</b>		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	US5688792 A (BARBACHYN M R et al.) 18 November 1997 (18.11.1997) ; cited by the applicant. <i>abstrac</i>  --	1-58
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<input checked="" type="checkbox"/> Further documents are listed in the continuation of Box C. <span style="float: right;"><input checked="" type="checkbox"/> See patent family annex.</span>		
<div style="display: flex; justify-content: space-between;"> <div style="width: 45%;"> <p>* Special categories of cited documents:</p> <p>"A" document defining the general state of the art which is not considered to be of particular relevance</p> <p>"E" earlier application or patent but published on or after the international filing date</p> <p>"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)</p> <p>"O" document referring to an oral disclosure, use, exhibition or other means</p> <p>"P" document published prior to the international filing date but later than the priority date claimed</p> </div> <div style="width: 45%;"> <p>"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</p> <p>"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</p> <p>"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</p> <p>"&amp;" document member of the same patent family</p> </div> </div>		
Date of the actual completion of the international search <b>1 August 2006 (01.08.2006)</b>		Date of mailing of the international search report <b>25 August 2006 (25.08.2006)</b>
Name and mailing address of the ISA/ AT <b>Austrian Patent Office</b> Dresdner Straße 87, A-1200 Vienna Facsimile No. +43 / 1 / 534 24 / 535		Authorized officer <b>MÜLLER-HIEL R.</b> Telephone No. +43 / 1 / 534 24 / 434

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