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(54) **Title:** SOLID PREPARATION COMPRISING 2- [[6- [(3R) -3-AMINO-1-PIPERIDINYL] -3, 4-DIHYDRO-3-METHYL-2, 4-DI-OXO-1 (2H) -PYRIMIDINYL] METHYL] -4-FLUOROBENZONITRILE

(57) **Abstract:** The present invention provides a solid preparation containing 2-[[6-[(3R)-3-amino-1-piperidinyl]-3,4-dihydro-3-methyl-2,4-dioxo-1(2H)-pyrimidinyl]methyl]-4-fluorobenzonitrile (compound (A)) or a salt thereof as a pharmaceutically active ingredient. Specifically, the present invention provides a solid preparation containing a granule composed of compound (A) or a salt thereof and an additive (excluding microcrystalline cellulose) and a tablet containing (a) a granule containing compound (A) or a salt thereof and microcrystalline cellulose, and (b) a tableting aid containing magnesium stearate and microcrystalline cellulose.



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A. CLASSIFICATION OF SUBJECT MATTER INV. A61K9/20 A61K31/513				
According to International Patent Classification (IPC) or to both national classification and IPC				
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Minimum documentation searched (classification system followed by classification symbols) A61K				
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, WPI Data, EMBASE, BIOSIS, 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	US 2005/261271 A1 (FENG JUN [US] ET AL) 24 November 2005 (2005-11-24) cited in the application page 22, paragraph 369-372 page 30, paragraph 514 - page 31, paragraph 531 page 32, paragraphs 540,541 page 34, paragraph 578 page 46, paragraph 652-655	1-3		
P, X, L	WO 2007/033350 A (TAKEDA PHARMACEUTICAL [JP]) 22 March 2007 (2007-03-22) page 41, paragraph 171 - page 43, paragraph 172 ----- -/--	10		
<input checked="" type="checkbox"/> Further documents are listed in the continuation of Box C. <input checked="" type="checkbox"/> See patent family annex.				
* Special categories of cited documents :				
<table style="width: 100%; border: none;"> <tr> <td style="width: 50%; border: none; vertical-align: top;"> *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 </td> <td style="width: 50%; border: none; vertical-align: top;"> *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 </td> </tr> </table>			*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
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			
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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.
E,L	WO 2008/067465 A (TAKEDA PHARMACEUTICAL [JP]) 5 June 2008 (2008-06-05) page 1, paragraph 1 page 36, paragraph 165 page 37, paragraph 169 - page 38, paragraph 181 page 39, paragraph 187 - page 41, paragraph 187 -----	1,2,10
E,L	WO 2008/093878 A (TAKEDA PHARMACEUTICAL [JP]) 7 August 2008 (2008-08-07) page 1, line 28 - page 2, line 14 page 5, lines 10-17 -----	4,5,7,8, 10

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/JP2008/055016

Patent document cited in search report	Publication date	Patent family member(s)	Publication date	
US 2005261271	A1	24-11-2005	US 2008003283 A1	03-01-2008
			US 2008177064 A1	24-07-2008
			US 2008108807 A1	08-05-2008
			US 2008161562 A1	03-07-2008
			US 2008108808 A1	08-05-2008
			US 2008188501 A1	07-08-2008
WO 2007033350	A	22-03-2007	AR 055435 A1	22-08-2007
			AU 2006290205 A1	22-03-2007
			CA 2622472 A1	22-03-2007
			EP 1942898 A1	16-07-2008
WO 2008067465	A	05-06-2008	US 2008227798 A1	18-09-2008
WO 2008093878	A	07-08-2008	NONE	