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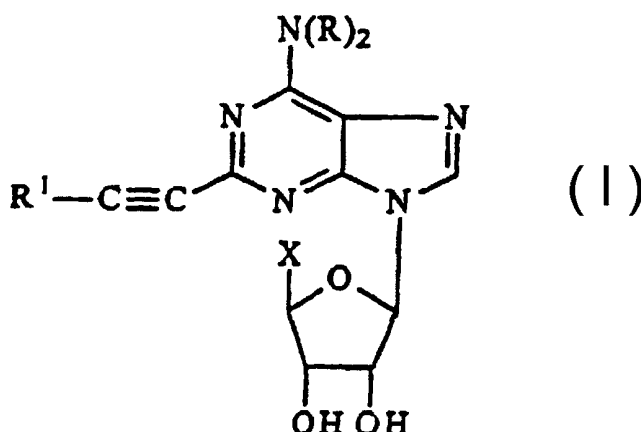
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- (63) Related by continuation (CON) or continuation-in-part (CIP) to earlier applications:
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| US | 60/118,029 (CIP) |
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[Continued on next page]

(54) Title: COMPOSITIONS FOR TREATING INFLAMMATORY RESPONSE

(57) Abstract: Compounds and methods are provided to treat inflammatory conditions with A_{2a} adenosine receptor antagonists of formula (I).

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INTERNATIONAL SEARCH REPORT

International Application No

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A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07H19/16 A61K31/70 A61P29/00

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)

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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, PAJ, 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	US 5 593 975 A (CRISTALLI GLORIA) 14 January 1997 (1997-01-14) cited in the application claim 1 ---	1, 17, 49
A	BARALDI, PIER GIOVANNI ET AL: "Synthesis and Biological Activity of a New Series of N6-Arylcabamoyl, 2-(Ar)alkynyl-N6-arylcabamoyl, and N6-Carboxamido Derivatives of Adenosine-5'-N-ethyluronamide as A1 and A3 Adenosine Receptor Agonists" J. MED. CHEM. (1998), 41(17), 3174-3185 , XP002149470 scheme 4 page 3179, left-hand column, paragraph 2 --- -/--	1, 17, 49



Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

* Special categories of cited documents :

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"E" earlier document but published on or after the international filing date

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"P" document published prior to the international filing date but later than the priority date claimed

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"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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INTERNATIONAL SEARCH REPORT

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	MAGER, PETER P.: "Neural network approaches applied to selective A2a adenosine receptor agonists" MED. CHEM. RES. (1998), 8(6), 277-290 , XP000952528 page 277 table 1 figure 1	1,17,49
P,X	OKUSA, MARK D. ET AL: "Selective A2A adenosine receptor activation reduces ischemia-reperfusion injury in rat kidney" AM. J. PHYSIOL. (1999), 277(3, PT. 2), F404-F412 , XP000952524 page F404	1,4,5,7, 8,10,11, 13, 17-22, 24,27, 28,30,49

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 00/02324

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
US 5593975 A	14-01-1997	IT 1254915 B AT 193299 T DE 69328722 D EP 0637315 A JP 7508718 T CA 2134067 A WO 9322328 A ES 2145774 T	11-10-1995 15-06-2000 29-06-2000 08-02-1995 28-09-1995 11-11-1993 11-11-1993 16-07-2000
