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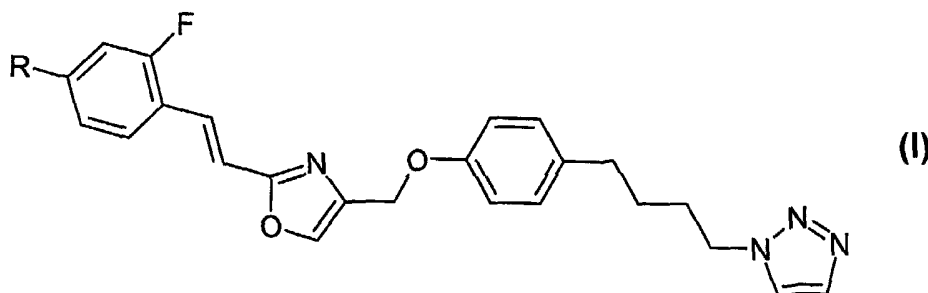
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- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
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- (88) Date of publication of the international search report: 28 April 2005
- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: NOVEL OXAZOLES, THEIR MANUFACTURE AND USE AS PHARMACEUTICAL AGENTS



(57) Abstract: Objects of the present invention are compounds of formula (I) formula (I), their pharmaceutically acceptable salts, enantiomeric forms, diastereoisomers and racemates, the preparation of the above-mentioned compounds, medicaments containing them and their manufacture, as well as the use of the above-mentioned compounds in the control or prevention of illnesses such as cancer.

WO 2005/016921 A3

INTERNATIONAL SEARCH REPORT

International Application No
PCT/EP2004/009036

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07D413/12 A61K31/422

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)
IPC 7 C07D 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, 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	WO 01/77107 A (HITAKA TAKENORI ;TASAKA AKIHIRO (JP); MATSUTANI ETSUYA (JP); TAKED) 18 October 2001 (2001-10-18) cited in the application page 1, paragraph 1 claim 1 example 7 -----	1-10

Further documents are listed in the continuation of box C.

Patent family members are listed in 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 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

Date of the actual completion of the international search

3 December 2004

Date of mailing of the international search report

01.03.2005

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INTERNATIONAL SEARCH REPORT

International application No.
PCT/EP2004/009036

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

2. Claims Nos.:
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:

3. Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box 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:

see additional sheet

1. As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
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.:

see annex

Remark on Protest

- The additional search fees were accompanied by the applicant's protest.
- No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1(part),2(part),3,5(part)-11(part)

1-[4-(4{2-(E)-(4-Chloro-2-fluoro-phenyl)-vinyl]-oxazole-4-ylmethoxy}-phenyl)-butyl]-1H-[1,2,3]triazole and pharmaceutical acceptable salts thereof, the process to make these compounds, use of these compounds as a medical agent.

2. claims: 1(part),5(part)-11(part)

1-[4-(4{2-(E)-(4-Bromo-2-fluoro-phenyl)-vinyl]-oxazole-4-ylmethoxy}-phenyl)-butyl]-1H-[1,2,3]triazole and pharmaceutical acceptable salts thereof, the process to make these compounds, use of these compounds as a medical agent.

3. claims: 1(part),2(part),4,5(part)-11(part)

1-[4-(4{2-(E)-(4-(methyl or ethyl group, which may both optionally be substituted once or several times with halogen)-2-fluoro-phenyl)-vinyl]-oxazole-4-ylmethoxy}-phenyl)-butyl]-1H-[1,2,3]triazole and pharmaceutical acceptable salts thereof, the process to make these compounds, use of these compounds as a medical agent.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No PCT/EP2004/009036

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 0177107	A	18-10-2001	
		AU 4472601 A	23-10-2001
		BR 0109851 A	03-06-2003
		CA 2404760 A1	18-10-2001
		CN 1444582 T	24-09-2003
		CZ 20023264 A3	12-02-2003
		EE 200200576 A	15-06-2004
		EP 1268473 A1	02-01-2003
		HU 0300434 A2	28-06-2003
		WO 0177107 A1	18-10-2001
		JP 3273777 B2	15-04-2002
		JP 2001348385 A	18-12-2001
		JP 2002069070 A	08-03-2002
		NO 20024742 A	25-11-2002
		SK 14132002 A3	01-04-2003
		US 2004024035 A1	05-02-2004
		US 2002173526 A1	21-11-2002
