

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
24 January 2002 (24.01.2002)

PCT

(10) International Publication Number
WO 02/06286 A3

(51) International Patent Classification⁷: **C07D 487/04**,
A61K 31/4985, A61P 25/22, 25/24 // (C07D 487/04,
241:00, 235:00)

(21) International Application Number: PCT/US01/22076

(22) International Filing Date: 13 July 2001 (13.07.2001)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/218,339 14 July 2000 (14.07.2000) US

(71) Applicant: **DUPONT PHARMACEUTICALS COMPANY** [US/US]; CHESTNUT RUN PLAZA, 974 CENTRE ROAD, WILMINGTON, DE 19805 (US).

(72) Inventors: **BAKTHAVATCHALAM, Rajagopal**; 125 Berry Drive, Wilmington, DE 19808 (US). **WILDE, Richard, G.**; 205 Roseman Court, Newark, DE 19711 (US). **GILLIGAN, Paul, J.**; 2629 Pennington Drive, Wilmington, DE 19810 (US).

(74) Agent: **FUZAIL, Kalim, S.**; DUPONT PHARMACEUTICALS COMPANY, LEGAL PATENT RECORDS CENTER, 1007 Market Street, Wilmington, DE 19805 (US).

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, 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, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW.

(84) Designated States (*regional*): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

Published:

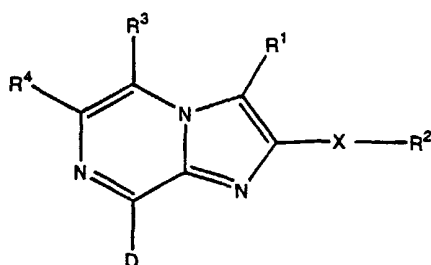
- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

(88) Date of publication of the international search report:
13 June 2002

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.

WO 02/06286 A3

(54) Title: IMIDAZO[1,2-a]PYRAZINES FOR THE TREATMENT OF NEUROLOGICAL DISORDERS



(I)

(57) Abstract: Provided herein are imidazo[1,2-a]pyrazines of the formula (I) as well as compositions, including pharmaceutical compositions, containing the same, and the use thereof in the treatment of various neurological and psychological disorders, e.g., anxiety and depression, treatable by antagonizing CRF receptors.

INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 01/22076

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D487/04 A61K31/4985 A61P25/22 A61P25/24
 //(C07D487/04,241:00,235:00)

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)

IPC 7 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 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 98 03510 A (DU PONT MERCK PHARMA) 29 January 1998 (1998-01-29) cited in the application claims 1,4,8,66 ---	1,18-20
A	WO 99 11643 A (DU PONT PHARM CO) 11 March 1999 (1999-03-11) cited in the application page 69, scheme 15 claims 1,12,13 ---	1,18-20
A	WO 97 35539 A (ARVANITIS ARGYRIOS GEORGIOS; BAKTHAVATCHALAM RAJAGOPAL (US); CHORV) 2 October 1997 (1997-10-02) cited in the application claims 1,4,7 --- -/--	1,18-20



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

22 March 2002

Date of mailing of the international search report

03/04/2002

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
 NL - 2280 HV Rijswijk
 Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
 Fax: (+31-70) 340-3016

Authorized officer

Hass, C

INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 01/22076

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 97 35846 A (DU PONT MERCK PHARMA) 2 October 1997 (1997-10-02) cited in the application claims 1,3,5 ---	1,18-20
A	WO 00 01675 A (DU PONT PHARM CO) 13 January 2000 (2000-01-13) cited in the application claims 1,6,7 -----	1,18-20

INTERNATIONAL SEARCH REPORT

information on patent family members

Inte. onal Application No

PCT/US 01/22076

Patent document cited in search report		Publication date		Patent family member(s)		Publication date
WO 9803510	A	29-01-1998	AU	3894297 A		10-02-1998
			BR	9710544 A		17-08-1999
			CA	2259583 A1		29-01-1998
			CN	1327793 A		26-12-2001
			CN	1225637 A		11-08-1999
			CZ	9900184 A3		17-11-1999
			EE	9900019 A		16-08-1999
			EP	0915880 A1		19-05-1999
			HR	970413 A1		31-10-1998
			HU	0102187 A2		28-11-2001
			LT	99008 A ,B		27-03-2000
			LV	12292 A		20-06-1999
			LV	12292 B		20-11-1999
			NO	990264 A		10-03-1999
			PL	331523 A1		19-07-1999
			SI	9720045 A		31-10-1999
			US	6136809 A		24-10-2000
			US	6060478 A		09-05-2000
			WO	9803510 A1		29-01-1998
			US	6124289 A		26-09-2000
			ZA	9706603 A		25-01-1999
WO 9911643	A	11-03-1999	AU	9041198 A		22-03-1999
			BR	9814458 A		23-10-2001
			CA	2303280 A1		11-03-1999
			CN	1278819 T		03-01-2001
			EP	1012151 A1		28-06-2000
			JP	2001514260 T		11-09-2001
			WO	9911643 A1		11-03-1999
			US	6245769 B1		12-06-2001
			US	2001025042 A1		27-09-2001
WO 9735539	A	02-10-1997	AU	2545897 A		17-10-1997
			BR	9708261 A		04-12-2001
			CA	2250241 A1		02-10-1997
			CN	1230184 A		29-09-1999
			CZ	9803040 A3		17-02-1999
			EE	9800329 A		15-06-1999
			EP	0935601 A2		18-08-1999
			HR	970173 A1		31-08-1999
			HU	9902340 A2		29-11-1999
			LT	98133 A ,B		26-04-1999
			LV	12262 A		20-04-1999
			LV	12262 B		20-10-1999
			NO	984418 A		03-11-1998
			PL	335258 A1		10-04-2000
			SI	9720026 A		30-04-1999
			SK	131798 A3		16-05-2000
			WO	9735539 A2		02-10-1997
			US	6107300 A		22-08-2000
			ZA	9702497 A		25-09-1998
WO 9735846	A	02-10-1997	AU	725254 B2		12-10-2000
			AU	2545397 A		17-10-1997
			CA	2249598 A1		02-10-1997
			EP	0901476 A1		17-03-1999
			JP	2000507552 T		20-06-2000
			WO	9735846 A1		02-10-1997

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Claims Nos.: 2

Claim 2 appears twice (cf. page 45 of the specification). With telefax of 12/11/2001, the ISA had informed the Applicant about this matter, however, the applicant did not react. Since the Applicant's intention in respect of claim 2 is not clear, the two claims with the number 2 could not be searched.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

INTERNATIONAL SEARCH REPORT

information on patent family members

International Application No

PCT/US 01/22076

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9735846	A	US 6258809 B1	10-07-2001
		US 6124300 A	26-09-2000
		ZA 9701896 A	07-09-1998
WO 0001675	A	13-01-2000	AU 4851999 A
		EP 1091941 A1	24-01-2000
		WO 0001675 A1	18-04-2001
		US 6124463 A	13-01-2000
			26-09-2000