



INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁶ : A61K 31/47, 9/20	A3	(11) International Publication Number: WO 99/16442 (43) International Publication Date: 8 April 1999 (08.04.99)
(21) International Application Number: PCT/US98/17992 (22) International Filing Date: 3 September 1998 (03.09.98) (30) Priority Data: 60/060,827 30 September 1997 (30.09.97) US (71) Applicant (for all designated States except US): PHARMACIA & UPJOHN COMPANY [US/US]; 301 Henrietta Street, Kalamazoo, MI 49001 (US). (72) Inventor; and (75) Inventor/Applicant (for US only): JU, Tzu-chi, Robert [-/US]; 6152 Sablewood Circle, Portage, MI 49024 (US). (74) Agent: STEIN, Bruce; Pharmacia & Upjohn Company, Intellectual Property Legal Services, 301 Henrietta Street, Kalamazoo, MI 49001 (US).		(81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SZ, 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), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG). Published <i>With international search report.</i> <i>Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.</i> (88) Date of publication of the international search report: 17 June 1999 (17.06.99)
(54) Title: SUSTAINED RELEASE TABLET FORMULATION TO TREAT PARKINSON DISEASE (57) Abstract <p>The sustained release tablet of (R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5-ij]-quinolin-2(1H)-one (Z)-2-butenedioate (1:1) which is disclosed permits twice daily administration of (R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5-ij]-quinolin-2(1H)-one (Z)-2-butenedioate (1:1) to treat humans with Parkinson's disease.</p>		

FOR THE PURPOSES OF INFORMATION ONLY

Codes used to identify States party to the PCT on the front pages of pamphlets publishing international applications under the PCT.

AL	Albania	ES	Spain	LS	Lesotho	SI	Slovenia
AM	Armenia	FI	Finland	LT	Lithuania	SK	Slovakia
AT	Austria	FR	France	LU	Luxembourg	SN	Senegal
AU	Australia	GA	Gabon	LV	Latvia	SZ	Swaziland
AZ	Azerbaijan	GB	United Kingdom	MC	Monaco	TD	Chad
BA	Bosnia and Herzegovina	GE	Georgia	MD	Republic of Moldova	TG	Togo
BB	Barbados	GH	Ghana	MG	Madagascar	TJ	Tajikistan
BE	Belgium	GN	Guinea	MK	The former Yugoslav	TM	Turkmenistan
BF	Burkina Faso	GR	Greece		Republic of Macedonia	TR	Turkey
BG	Bulgaria	HU	Hungary	ML	Mali	TT	Trinidad and Tobago
BJ	Benin	IE	Ireland	MN	Mongolia	UA	Ukraine
BR	Brazil	IL	Israel	MR	Mauritania	UG	Uganda
BY	Belarus	IS	Iceland	MW	Malawi	US	United States of America
CA	Canada	IT	Italy	MX	Mexico	UZ	Uzbekistan
CF	Central African Republic	JP	Japan	NE	Niger	VN	Viet Nam
CG	Congo	KE	Kenya	NL	Netherlands	YU	Yugoslavia
CH	Switzerland	KG	Kyrgyzstan	NO	Norway	ZW	Zimbabwe
CI	Côte d'Ivoire	KP	Democratic People's	NZ	New Zealand		
CM	Cameroon		Republic of Korea	PL	Poland		
CN	China	KR	Republic of Korea	PT	Portugal		
CU	Cuba	KZ	Kazakstan	RO	Romania		
CZ	Czech Republic	LC	Saint Lucia	RU	Russian Federation		
DE	Germany	LI	Liechtenstein	SD	Sudan		
DK	Denmark	LK	Sri Lanka	SE	Sweden		
EE	Estonia	LR	Liberia	SG	Singapore		

INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 98/17992

A. CLASSIFICATION OF SUBJECT MATTER

IPC 6 A61K31/47 A61K9/20

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 6 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)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	CHEMICAL ABSTRACTS, vol. 126, no. 8, 24 February 1997 Columbus, Ohio, US; abstract no. 99157, XP002099549 see abstract & R.F.HEIER ET AL.: "SYNTHESIS AND BIOLOGICAL ACTIVITIES OF (R)-5,6-DIHYDRO-N,N-DIMETHYL-4H-IMIDAZO '4,5,1-ij! QUINOLIN-5-AMINE AND ITS METABOLITES." J. MED. CHEM., vol. 40, no. 5, 1997, pages 639-646, ---	1, 14
A	WO 90 15058 A (UPJOHN) 13 December 1990 cited in the application see claims 1,17 see page 13, line 22 - line 35 ---	1-17
	-/--	



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

13 April 1999

Date of mailing of the international search report

23/04/1999

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

Scarponi, U

INTERNATIONAL SEARCH REPORT

Intern. Appl. Application No

PCT/US 98/17992

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	US 4 389 393 A (J.M.SCHOR ET AL.) 21 June 1983 cited in the application see the whole document ---	1-17
A	WO 97 34932 A (BRITISH TECHNOLOGY) 25 September 1997 cited in the application see the whole document ---	1-17
A	US 5 000 962 A (S.SANGEKAR ET AL.) 19 March 1991 cited in the application see the whole document ---	1-17
A,P	WO 97 37639 A (LABOPHARM) 16 October 1997 cited in the application see the whole document -----	1-17

INTERNATIONAL SEARCH REPORT

International application No.

PCT/US 98/ 17992

Box I Observations where certain claims were found unsearchable (Continuation of item 1 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:
Remark: Although claims 14-17
are directed to a method of treatment of the human/animal
body, the search has been carried out and based on the alleged
effects of the compound/composition.
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 II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

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.:

Remark on Protest

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

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 98/17992

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9015058 A	13-12-1990	AT 117688 T AU 626427 B AU 5743890 A CA 2051697 A,C DE 69016430 D DE 69016430 T DK 480939 T EP 0480939 A ES 2067744 T FI 96310 B FI 944704 A,B, HK 65397 A HU 210264 B HU 9500660 A JP 4506071 T NO 301421 B RU 2023712 C US 5436240 A US 5273975 A	15-02-1995 30-07-1992 07-01-1991 10-12-1990 09-03-1995 01-06-1995 10-07-1995 22-04-1992 01-04-1995 29-02-1996 07-10-1994 23-05-1997 28-03-1995 28-11-1995 22-10-1992 27-10-1997 30-11-1994 25-07-1995 28-12-1993
US 4389393 A	21-06-1983	AR 230569 A BE 896136 A BR 8300260 A CA 1188614 A CH 655241 A DE 3309516 A DK 137983 A,B, FR 2523845 A GB 2117239 A,B JP 1740831 C JP 4015208 B JP 58174311 A JP 61178916 A NL 8301042 A SE 453797 B SE 8301579 A ZA 8301817 A	31-05-1984 01-07-1983 28-08-1984 11-06-1985 15-04-1986 01-12-1983 27-09-1983 30-09-1983 12-10-1983 15-03-1993 17-03-1992 13-10-1983 11-08-1986 17-10-1983 07-03-1988 27-09-1983 28-12-1983
WO 9734932 A	25-09-1997	AU 2036997 A	10-10-1997
US 5000962 A	19-03-1991	NONE	
WO 9737639 A	16-10-1997	CA 2173818 A AU 2283297 A NO 984703 A US 5885615 A	11-10-1997 29-10-1997 08-12-1998 23-03-1999