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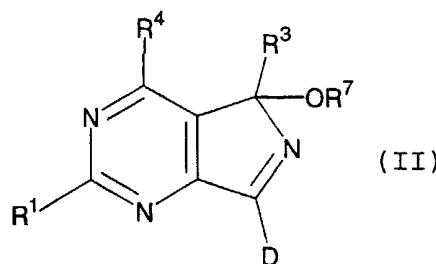
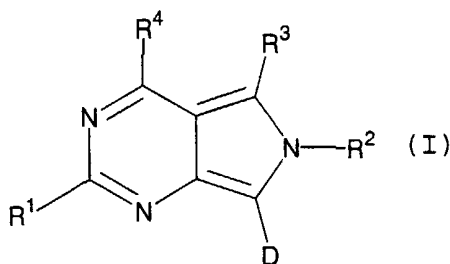
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(54) Title: PYRROLO[3,4-d]PYRIMIDINES AS CORTICOTROPIN RELEASING FACTOR (CRF) ANTAGONISTS



(57) Abstract: Corticotropin releasing factor (CRF) antagonists of Formula (I) or (II) and their use in treating anxiety, depression, and other psychiatric, neurological disorders as well as treatment of immunological, cardiovascular or heart-related diseases and colonic hypersensitivity associated with psychopathological disturbance and stress.

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**INTERNATIONAL SEARCH REPORT**

International Application No

PCT/US 01/21437

**A. CLASSIFICATION OF SUBJECT MATTER**

IPC 7 C07D487/04 A61K31/505 //(C07D487/04,239:00,209:00)

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 A61K A61P

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, WPI Data, PAJ, BIOSIS

**C. DOCUMENTS CONSIDERED TO BE RELEVANT**

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 98 08847 A (PFIZER ;CHEN YUHPYNG LIANG (US)) 5 March 1998 (1998-03-05) the whole document ---	1-9
Y	DATABASE WPI Week 200037 Derwent Publications Ltd., London, GB; AN 1998-388003 XP002188632 -& WO 98 29397 A (YOSHITOMI PHARM. IND. K.K.), 9 July 1998 (1998-07-09) abstract; examples 24,25,36,37 ---	1-9
A	WO 95 10506 A (DU PONT MERCK PHARMA) 20 April 1995 (1995-04-20) cited in the application page 205; table 16 --- -/--	1-9



Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

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- \*&\* 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.
A	WO 95 34563 A (PFIZER ;CHEN YUHPYNG L (US)) 21 December 1995 (1995-12-21) cited in the application abstract; claims ---	1-9
A	WO 99 51599 A (HORVATH RAYMOND F ;GE PING (US); NEUROGEN CORP (US); LOMBAERT STEP) 14 October 1999 (1999-10-14) abstract; claims -----	1-9

# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 01/21437

Patent document cited in search report	A	Publication date	Patent family member(s)	Publication date
WO 9808847	A	05-03-1998	AP 762 A	13-09-1999
			AU 735401 B2	05-07-2001
			AU 3456197 A	19-03-1998
			BG 103189 A	30-09-1999
			BR 9711970 A	24-08-1999
			CN 1227554 A	01-09-1999
			CZ 9900681 A3	17-11-1999
			EP 0923582 A1	23-06-1999
			HR 970454 A1	31-08-1998
			HU 9903965 A2	28-03-2000
			WO 9808847 A1	05-03-1998
			JP 2000502723 T	07-03-2000
			NO 990927 A	26-02-1999
			PL 332040 A1	16-08-1999
			SK 23399 A3	14-08-2000
			TR 9900389 T2	21-06-2000
			ZA 9707687 A	01-03-1999
WO 9829397	A	09-07-1998	AU 7890598 A	31-07-1998
			WO 9829397 A1	09-07-1998
WO 9510506	A	20-04-1995	AU 692484 B2	11-06-1998
			AU 8012294 A	04-05-1995
			BR 9407799 A	06-05-1997
			CA 2174080 A1	20-04-1995
			CN 1142817 A	12-02-1997
			CZ 9601014 A3	13-11-1996
			EP 0723533 A1	31-07-1996
			FI 961599 A	07-06-1996
			HR 940664 A1	31-12-1996
			HU 74464 A2	30-12-1996
			JP 9504520 T	06-05-1997
			NO 961425 A	12-06-1996
			NZ 274978 A	27-04-1998
			PL 313973 A1	05-08-1996
			SK 47096 A3	01-10-1996
			WO 9510506 A1	20-04-1995
			US 6107301 A	22-08-2000
ZA 9407921 A	11-04-1996			
WO 9534563	A	21-12-1995	AT 182332 T	15-08-1999
			AU 687196 B2	19-02-1998
			AU 2350595 A	05-01-1996
			BR 9502707 A	04-06-1996
			CA 2192820 A1	21-12-1995
			CN 1150803 A ,B	28-05-1997
			CZ 9603670 A3	15-10-1997
			DE 69510940 D1	26-08-1999
			DE 69510940 T2	11-11-1999
			DK 765327 T3	29-11-1999
			EP 0765327 A1	02-04-1997
			ES 2135062 T3	16-10-1999
			FI 965022 A	13-12-1996
			GR 3031166 T3	31-12-1999
			HU 75776 A2	28-05-1997
			WO 9534563 A1	21-12-1995
			IL 114003 A	31-12-1999
JP 2891544 B2	17-05-1999			

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 01/21437

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9534563 A		JP 9507855 T	12-08-1997
		KR 235277 B1	15-12-1999
		NO 965378 A	13-12-1996
		NZ 284846 A	23-12-1998
		PL 317705 A1	28-04-1997
		RU 2135498 C1	27-08-1999
		TW 432064 B	01-05-2001
		US 6248753 B1	19-06-2001
		ZA 9504679 A	09-12-1996
WO 9951599 A	14-10-1999	AU 3378799 A	25-10-1999
		EP 1068206 A1	17-01-2001
		WO 9951599 A1	14-10-1999
		US 6310063 B1	30-10-2001