

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
3 October 2002 (03.10.2002)

PCT

(10) International Publication Number
WO 02/076995 A3

(51) International Patent Classification⁷: C07F 9/09,
A61K 31/661, 31/137, A61P 31/00, 17/00, C07C 225/16,
271/16, 217/64, A61P 19/00, C07F 9/6574, 9/12, 9/113

PRIESCHL-STRASSMAYR, Eva, Erika [AT/AT];
Margareten Guertel 38-40, A-Wien (AT). HINTERDING,
Klaus [DE/DE]; Lörracherstrasse 92, 79595 Rümmingen
(DE).

(21) International Application Number: PCT/EP02/03389

(74) Agent: GROS, Florent; Novartis AG, Corporate Intellec-
tual Property, Patent & Trademark Department, CH-4002
Basel (CH).

(22) International Filing Date: 26 March 2002 (26.03.2002)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0107506.8 26 March 2001 (26.03.2001) GB
0107507.6 26 March 2001 (26.03.2001) GB
0108346.8 3 April 2001 (03.04.2001) GB

(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,
HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH,
PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TN, TR, TT, UA,
US, UZ, VN, YU, ZA, ZW.

(71) Applicant (for all designated States except AT, US): NO-
VARTIS AG [CH/CH]; Lichtstasse 35, CH-4056 Basel
(CH).

(84) Designated States (regional): 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).

(71) Applicant (for AT only): NOVARTIS-ERFINDUNGEN
VERWALTUNGSGESELLSCHAFT M.B.H. [AT/AT];
Brunner Strasse 59, A-1230 Vienna (AT).

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

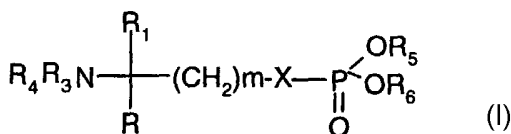
(72) Inventors; and

(75) Inventors/Applicants (for US only): ALBERT, Rainer
[AT/CH]; Wartenbergstrasse 21, CH-4052 Basel (CH).
BAUMRUKER, Thomas [DE/AT]; Gumpoldskirchner
Strasse 26/3, A-2340 Moedling (AT). BRINKMANN,
Volker [DE/DE]; Sternwaldstrasse 31, 79102 Freiburg
(DE). COTTENS, Sylvain [CH/CH]; Traubenweg 34,
CH-4108 Witterswil (CH). PAPAGEORGIOU, Christos
[FR/FR]; 25b, rue de Castelnaud, F-68400 Riedisheim (FR).

(88) Date of publication of the international search report:
13 February 2003

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: 2-AMINO-PROPANOL DERIVATIVES



(57) Abstract: Compounds of formula (I), wherein m, R, R₁ and R₃ to R₆ are as defined in the specification, m, is 1, 2 or 3 and X is O or a direct bond, and the corresponding unphosphorylated compounds have interesting properties, e.g. immunosuppressive properties.

INTERNATIONAL SEARCH REPORT

International Application No
PCT/EP 02/03389

A. CLASSIFICATION OF SUBJECT MATTER					
IPC 7	C07F9/09	A61K31/661	A61K31/137	A61P31/00	A61P17/00
	C07C225/16	C07C271/16	C07C217/64	A61P19/00	C07F9/6574
	C07F9/12	C07F9/113			
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	C07F	C07C	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)					
CHEM ABS Data, EPO-Internal					
C. DOCUMENTS CONSIDERED TO BE RELEVANT					
Category °	Citation of document, with indication, where appropriate, of the relevant passages				Relevant to claim No.
X	KLEY J T ET AL: "Synthesis and PLA2-inhibitory properties of 2(R)-acetamino-alkylphosphomethanols with a variable aggregate anchor" BIOORGANIC & MEDICINAL CHEMISTRY LETTERS, OXFORD, GB, vol. 9, no. 2, 18 January 1999 (1999-01-18), pages 261-264, XP004152613 ISSN: 0960-894X page 263, compound 11c				1,2,6,8-10
X	WO 94 28004 A (LABORATORIOS MENARINI S.A.) 8 December 1994 (1994-12-08) the whole document				1,2,6,8-10

	-/--				
<input checked="" type="checkbox"/> Further documents are listed in the continuation of box C. <input checked="" type="checkbox"/> 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			Date of mailing of the international search report		
26 November 2002			04/12/2002		
Name and mailing address of the ISA			Authorized officer		
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			Beslier, L		

INTERNATIONAL SEARCH REPORT

 International Application No
 PCT/EP 02/03389

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	ADACHI K ET AL: "Design, synthesis, and structure-activity relationships of 2-substituted-2-amino-1,3-propanediols: discovery of a novel immunosuppressant, FTY720" BIOORGANIC & MEDICINAL CHEMISTRY LETTERS, OXFORD, GB, vol. 5, no. 8, 20 April 1995 (1995-04-20), pages 853-856, XP004135557 ISSN: 0960-894X the whole document ----	3-5,7-10
Y	EP 1 002 792 A (YOSHITOMI PHARMACEUTICAL INDUSTRIES, LTD.) 24 May 2000 (2000-05-24) cited in the application the whole document ----	3-5,7-10
Y	EP 0 627 406 A (YOSHITOMI PHARMACEUTICAL INDUSTRIES, LTD.) 7 December 1994 (1994-12-07) cited in the application the whole document ----	3-5,7-10
Y	EP 0 778 263 A (YOSHITOMI PHARMACEUTICAL INDUSTRIES, LTD.) 11 June 1997 (1997-06-11) cited in the application the whole document ----	3-5,7-10
P,X	WO 02 18395 A (MERCK & CO., INC.) 7 March 2002 (2002-03-07) claims 18,33-38 -----	1,2,6, 8-10

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/EP 02/03389

Patent document cited in search report	A	Publication date	Patent family member(s)	Publication date
WO 9428004	A	08-12-1994	ES 2065855 A1	16-02-1995
			AT 169922 T	15-09-1998
			AU 6970394 A	20-12-1994
			DE 69412612 D1	24-09-1998
			WO 9428004 A1	08-12-1994
			EP 0701561 A1	20-03-1996
EP 1002792	A	24-05-2000	AU 735853 B2	19-07-2001
			AU 6523098 A	30-10-1998
			BR 9808481 A	23-05-2000
			EP 1002792 A1	24-05-2000
			NZ 500713 A	28-07-2000
			US 6214873 B1	10-04-2001
			CN 1259117 T	05-07-2000
			WO 9845249 A1	15-10-1998
EP 627406	A	07-12-1994	DE 69321823 D1	03-12-1998
			DE 69321823 T2	02-06-1999
			DK 627406 T3	12-07-1999
			EP 0627406 A1	07-12-1994
			HK 1013281 A1	02-06-2000
			US 5604229 A	18-02-1997
			AT 172711 T	15-11-1998
			CA 2126337 A1	28-04-1994
			ES 2126658 T3	01-04-1999
			WO 9408943 A1	28-04-1994
			JP 2579602 B2	05-02-1997
			KR 155015 B1	01-12-1998
			US 5719176 A	17-02-1998
			US 5952316 A	14-09-1999
EP 778263	A	11-06-1997	AT 211726 T	15-01-2002
			DE 69524962 D1	14-02-2002
			DE 69524962 T2	31-10-2002
			DK 778263 T3	22-04-2002
			EP 0778263 A1	11-06-1997
			US 6187821 B1	13-02-2001
			US 6372800 B1	16-04-2002
			US 5948820 A	07-09-1999
			CA 2198383 A1	29-02-1996
			ES 2171191 T3	01-09-2002
			WO 9606068 A1	29-02-1996
PT 778263 T	28-06-2002			
WO 0218395	A	07-03-2002	AU 8533101 A	13-03-2002
			WO 0218395 A1	07-03-2002
			US 2002091105 A1	11-07-2002