

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
4 March 2004 (04.03.2004)

PCT

(10) International Publication Number
WO 2004/017943 A3

(51) International Patent Classification⁷: **A61K 9/127**,
47/18, 31/4745

(21) International Application Number:
PCT/EP2003/009398

(22) International Filing Date: 25 August 2003 (25.08.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
02018907.2 23 August 2002 (23.08.2002) EP
PCT/EP03/06760 26 June 2003 (26.06.2003) EP

(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, 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.

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

(71) Applicant (*for all designated States except US*): **MU-NICH BIOTECH AG** [DE/DE]; Forstenriederstr. 10, 82061 Neuried (DE).

(72) Inventors; and

(75) Inventors/Applicants (*for US only*): **HAAS, Heinrich** [DE/DE]; Einsteinstr. 104, 81675 München (DE). **PEY-MANN, Toralf** [DE/DE]; Fürstenriederstr. 233, 81377 München (DE).

(74) Agent: **WEICKMANN & WEICKMANN**; Postfach 860 820, 81635 München (DE).

Declaration under Rule 4.17:

— *of inventorship (Rule 4.17(iv)) for US only*

Published:

— *with international search report*

(88) Date of publication of the international search report:

13 May 2004

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: NON-VESICULAR CATIONIC LIPID FORMULATIONS

(57) Abstract: The present invention relates to a non-vesicular preparation comprising at least one cationic amphiphile in an aqueous environment, its production and use and a cationic liposome suspension obtainable thereof with increase drug trap ratio and its areas of application such as pharmacology and medicine, particularly its use as carrier system for active substances.



WO 2004/017943 A3

INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP 03/09398

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 A61K9/127 A61K47/18 A61K31/4745

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 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, PAJ, WPI Data, BIOSIS

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 93836 A (BOULIKAS TENI) 13 December 2001 (2001-12-13)	1-21
Y	page 9, line 10 -page 10, line 11 page 12, line 19-26 page 16, line 19 -page 17, line 9 page 18, line 6-28 page 22, line 24 -page 23, line 9 page 29, line 10-31; table 1 page 31, line 29 -page 32, line 16 page 43, line 22 -page 47, line 27 page 49, line 4-24; claims 1,2,10,26-28,31,40-42	22
X	US 2001/038851 A1 (ALLEN THERESA M ET AL) 8 November 2001 (2001-11-08) paragraphs '0055!, '0056!, '0072!, '0073!; table 2	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.

G document member of the same patent family

Date of the actual completion of the international search

21 January 2004

Date of mailing of the international search report

30/01/2004

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

Greif, G

INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP 03/09398

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	US 2002/065329 A1 (KAGAWA KAZUHIRO ET AL) 30 May 2002 (2002-05-30) paragraphs '0007!, '0008!, '0013!-'0024!, '0028!; table 1 ---	1-4, 18, 21
Y	US 6 090 955 A (BRANDL MARTIN ET AL) 18 July 2000 (2000-07-18) column 3, line 7-37; example 1 ---	22
A	US 5 834 012 A (PEREZ-SOLER ROMAN ET AL) 10 November 1998 (1998-11-10) the whole document ---	1-22
A	US 4 830 858 A (SALMON J ROGER ET AL) 16 May 1989 (1989-05-16) the whole document ---	1-22
A	PERRET S ET AL: "A simple method for the preparation of liposomes for pharmaceutical applications: characterization of the liposomes" JOURNAL OF PHARMACY AND PHARMACOLOGY, LONDON, GB, vol. 43, no. 3, 1991, pages 154-161, XP002098317 ISSN: 0022-3573 page 160, right-hand column, paragraph 4 ---	1-22
A	STOYE I ET AL: "Transformation of a liposomal dispersion containing ibuprofen lysinate and phospholipids into mixed micelles - physico-chemical characterization and influence on drug permeation through excised human stratum corneum" EUROPEAN JOURNAL OF PHARMACEUTICS AND BIOPHARMACEUTICS, ELSEVIER SCIENCE PUBLISHERS B.V., AMSTERDAM, NL, vol. 46, no. 2, September 1998 (1998-09), pages 191-200, XP004257041 ISSN: 0939-6411 abstract page 195, right-hand column, paragraph 3 -page 198, right-hand column, paragraph 3; figure 3 -----	1-22

INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP 03/09398

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 0193836	A	13-12-2001	AU 7542301 A	17-12-2001
			CA 2411542 A1	13-12-2001
			CN 1444472 T	24-09-2003
			EP 1292284 A2	19-03-2003
			JP 2003535832 T	02-12-2003
			WO 0193836 A2	13-12-2001
			US 2003072794 A1	17-04-2003
US 2001038851	A1	08-11-2001	US 6316024 B1	13-11-2001
			US 6056973 A	02-05-2000
			US 5891468 A	06-04-1999
			US 2002172711 A1	21-11-2002
			US 2003215490 A1	20-11-2003
			US 6224903 B1	01-05-2001
			AT 232086 T	15-02-2003
			AU 715063 B2	13-01-2000
			AU 4987897 A	11-05-1998
			BR 9712230 A	25-01-2000
			CA 2267904 A1	23-04-1998
			DE 69718924 D1	13-03-2003
			DE 69718924 T2	04-12-2003
			DK 932391 T3	26-05-2003
			EP 1214935 A2	19-06-2002
			EP 0932391 A2	04-08-1999
			ES 2191833 T3	16-09-2003
			JP 2001504093 T	27-03-2001
			PT 932391 T	30-06-2003
			TW 520297 B	11-02-2003
			WO 9816202 A2	23-04-1998
US 2002065329	A1	30-05-2002	JP 2002085957 A	26-03-2002
US 6090955	A	18-07-2000	DE 4430593 A1	22-02-1996
			AT 192924 T	15-06-2000
			DE 4447770 C2	19-12-2002
			WO 9605821 A1	29-02-1996
			DE 59508360 D1	21-06-2000
			DK 776202 T3	13-11-2000
			EP 0776202 A1	04-06-1997
			GR 3034162 T3	30-11-2000
US 5834012	A	10-11-1998	NONE	
US 4830858	A	16-05-1989	NONE	