${\bf (19)}\ World\ Intellectual\ Property\ Organization$

International Bureau



) | 1888 | 1888 | 1888 | 1888 | 1888 | 1888 | 1881 | 1881 | 1881 | 1881 | 1881 | 1881 | 1881 | 1881 | 1881 | 1

(43) International Publication Date 13 April 2006 (13.04.2006)

PCT

(10) International Publication Number WO 2006/038110 A3

(51) International Patent Classification:

 C08F 293/00 (2006.01)
 C08G 83/00 (2006.01)

 C08L 53/00 (2006.01)
 A61K 7/00 (2006.01)

 C08G 81/00 (2006.01)
 A61K 47/48 (2006.01)

(21) International Application Number:

PCT/IB2005/003023

(22) International Filing Date: 10 October 2005 (10.10.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

04104955.2 8 October 2004 (08.10.2004) H

(71) Applicant (for all designated States except US): FIR-MENICH SA [CH/CH]; 1, route des Jeunes, P.O. Box 239, CH-1211 GENEVA 8 (CH).

- (72) Inventors; and
- (75) Inventors/Applicants (for US only): OUALI, Lahoussine [FR/FR]; 40, rue de Monthoux, F-74100 VETRAZ-MONTHOUX (FR). HERRMANN, Andreas [DE/CH]; 5, avenue du Grand-Salève, CH-1255 VEYRIER (CH). TERNAT, Céline [FR/CH]; Rue du Bluard 6BIS, CH-1110 MORGES (CH). PLUMMER, Christopher John George [CH/CH]; Chemin des Vignes 17C, CH-1026 ECHANDENS-DENGES (CH). KLOK, Harm-Anton [NL/CH]; Rue du Centre 61A, CH-1025 SAINT-SULPICE (CH). KREUTZER, Georg [DE/CH]; Route de Chavannes 27C, CH-1007 LAUSANNE (CH). MANSON, Jan-Anders E. [SE/CH]; Chemin des Plantaz, Villa Roc Vigne, CH-1071 CHEXBRES (CH). SOMMER, Horst [DE/FR]; 260, rue des Bois, Maison N°

16, F-01210 ORNEX (FR). **VELAZCO, Maria Inés** [AR/CH]; 15, chemin de la Rochette, CH-1202 GENEVE (CH).

- (74) Agents: SCHNEITER, Sorin et al.; Firmenich SA, 1, route des Jeunes, P.O. Box 239, CH-1211 Geneva 8 (CH).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

with international search report

 $\textbf{(88)} \ \ \textbf{Date of publication of the international search report:}$

17 August 2006

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: AMPHIPHILIC STAR BLOCK COPOLYMERS

(57) Abstract: The present invention relates to a basically spherical hyperbranched block copolymer having an internal hydrophobic block and an external hydrophobic block. Within the spherical copolymer, the hydrophobic block constitutes a hydrophobic layer, suitable to associate or encapsulate hydrophobic bioactive agents, while the hydrophilic block provides an outer layer, which is suitable to render the copolymer soluble or dispersible in aqueous solutions. Also claimed is a method for preparing the copolymer, which is suitable to encapsulate fragrances, flavours, drugs, agrochemicals, for example.



International application No PCT/IB2005/003023

A. CLASSIFICATION OF SUBJECT MATTER INV. C08F293/00 C08L53/00 A61K47/48

C08G81/00

C08G83/00

A61K7/00

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

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, WPI Data, PAJ

Category*	Citation of document, with indication, where appropriate, of t	the relevant passages	Relevant to claim No.
X	US 5 371 147 A (SPINELLI ET A 6 December 1994 (1994-12-06) claims 25,26,29 column 7, lines 37-47 column 7, line 25 - column 9, claims 18-29 column 13, line 60 - column 1 column 9, line 45 - column 22 column 9, lines 31-35	line 28 4, line 47	1,3-6, 9-14
X	US 2003/236354 A1 (KENNEDY ET 25 December 2003 (2003-12-25) claims 12,25,27,19,20 paragraph [0034] paragraphs [0036], [0037] * paragraph (0005), line 11-15 paragraphs [0040] - [0042]	2 *	1,3-6,9, 11-14
		-/	
X Furth	ner documents are listed in the continuation of Box C.	X See patent family annex.	
"A" docume consid "E" earlier of filing d "L" docume which citatior "O" docume other r "P" docume	ent which may throw doubts on priority claim(s) or is cited to establish the publication date of another n or other special reason (as specified) ent referring to an oral disclosure, use, exhibition or	"T" later document published after the inte or priority date and not in conflict with cited to understand the principle or the invention "X" document of particular relevance; the c cannot be considered novel or cannot involve an inventive step when the document of particular relevance; the c cannot be considered to involve an involve an involve and coument is combined with one or moments, such combination being obvious in the art. "&" document member of the same patent to	the application but cory underlying the laimed invention be considered to cument is taken alone laimed invention rentive step when the re other such docu- is to a person skilled
Date of the	actual completion of the international search	Date of mailing of the international sear	ch report
5	January 2006	1 9 APR 2	006
Name and n	nailing 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 Hammond, A	

tion) DOCUMENTS CONSIDERED TO BE RELEVANT	PC1/1B2003/003023
Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
WO 03/000777 A (SHEARWATER CORPORATION; ZHAO, XUAN) 3 January 2003 (2003-01-03) abstract; claims 1-66 page 1, line 1 - page 23, line 32; examples 1-19	1,3-6, 9-14
US 5 763 548 A (MATYJASZEWSKI ET AL) 9 June 1998 (1998-06-09) column 6, line 31 column 16, lines 41,42 column 16, lines 18-61 column 6, line 37 - column 14, line 67 * examples *	1,3-6, 9-14
US 6 552 146 B1 (MOUGIN NATHALIE) 22 April 2003 (2003-04-22) cited in the application column 2, line 65 column 2, line 57 - column 3, line 10 claims 1,21-31,40,41 column 4, line 5 - column 9, line 19 claims 48,49,56 column 1, lines 12-16,29-39 column 2, lines 43-55 column 10, lines 40-42 column 11, lines 1-64 column 12, lines 27-37,49-58 column 12, line 64 - column 13, line 18	1,3-6, 9-14
US 2004/170595 A1 (ZHAO) 2 September 2004 (2004-09-02) abstract; claims 1-38 paragraphs [0002] - [0163]	1,3-6, 9-14
WO 2004/060977 A (NEKTAR THERAPEUTICS AL, CORPORATION; ZHAO, XUAN, S; REN, ZHONGXU; EMOT) 22 July 2004 (2004-07-22) abstract; claims 1-44 page 1, line 3 - page 33, line 12	1,3-6, 9-14
US 2003/045644 A1 (MOUGIN) 6 March 2003 (2003-03-06) paragraphs [0020] - [0026]; claims 22,37,1-41 paragraphs [0001], [0002] paragraph [0149] paragraphs [0144] - [0180]	1,3-6, 9-14
	W0 03/000777 A (SHEARWATER CORPORATION; ZHAO, XUAN) 3 January 2003 (2003-01-03) abstract; claims 1-66 page 1, line 1 - page 23, line 32; examples 1-19 US 5 763 548 A (MATYJASZEWSKI ET AL) 9 June 1998 (1998-06-09) column 6, line 31 column 16, lines 41,42 column 16, lines 41,42 column 16, lines 37 - column 14, line 67 * examples * US 6 552 146 B1 (MOUGIN NATHALIE) 22 April 2003 (2003-04-22) cited in the application column 2, line 65 column 2, line 55 column 9, line 10 claims 1,21-31,40,41 column 4, line 5 - column 9, line 19 claims 48,49,56 column 1, lines 12-16,29-39 column 1, lines 40-42 column 11, lines 40-42 column 12, lines 47-37,49-58 column 12, lines 27-37,49-58 column 12, line 64 - column 13, line 18 US 2004/170595 A1 (ZHAO) 2 September 2004 (2004-09-02) abstract; claims 1-38 paragraphs [0002] - [0163] W0 2004/060977 A (NEKTAR THERAPEUTICS AL, CORPORATION; ZHAO, XUAN, S; REN, ZHONGXU; EMOT) 22 July 2004 (2004-07-22) abstract; claims 1-44 page 1, line 3 - page 33, line 12 US 2003/045644 A1 (MOUGIN) 6 March 2003 (2003-03-06) paragraphs [0020] - [0026]; claims 22,37,1-41 paragraphs [0001], [0002] paragraphs [0149] paragraphs [0144] - [0180]

	PC1/182005/003023
	Relevant to claim No.
WO 02/26867 A (THE REGENTS OF THE UNIVERSITY OF CALIFORNIA; FRECHET, JEAN, J; IHRE, R) 4 April 2002 (2002-04-04) cited in the application abstract page 17, line 19 - page 19, line 10 page 38, line 18 - page 48, line 13; claims 1-48; figures 1-3 page 19, lines 18-25 page 21, line 15 - page 26, line 20 page 30, line 23 - page 38, line 11; examples 1-8	1,3-6, 9-14
US 5 807 937 A (MATYJASZEWSKI ET AL) 15 September 1998 (1998-09-15) column 6, lines 34,35 column 31, line 62 column 31, line 40 - column 37, line 2 column 14, line 42 - column 38, line 40 * examples *	1,3-6, 9-14
US 6 538 091 B1 (MATYJASZEWSKI KRZYSZTOF ET AL) 25 March 2003 (2003-03-25) column 6, lines 38,39 column 31, line 27 column 31, line 7 - column 32, line 11 column 14, line 47 - column 37, line 58 * examples *	1,3-6, 9-14
US 5 399 620 A (NIESSNER ET AL) 21 March 1995 (1995-03-21) column 2, lines 45-48 column 1, lines 57-68 column 2, lines 55-60	1,3-6, 9-14
US 2002/115798 A1 (NARAYAN-SARATHY ET AL) 22 August 2002 (2002-08-22) claims 1-25 paragraph [0022]	1,3-6, 9-14
EP 1 433 799 A (UCB, S.A) 30 June 2004 (2004-06-30) claims 1-15 page 3, paragraph 19	1,3-6, 9-14
US 6 379 683 B1 (SIMONNET JEAN-THIERRY ET AL) 30 April 2002 (2002-04-30) abstract; claims 1-26	1,3-6, 9-14
	Titation of document, with indication, where appropriate, of the relevant passages WO 02/26867 A (THE REGENTS OF THE UNIVERSITY OF CALIFORNIA; FRECHET, JEAN, J; IHRE, R) 4 April 2002 (2002-04-04) cited in the application abstract page 17, line 19 - page 19, line 10 page 38, line 18 - page 48, line 13; claims 1-48; figures 1-3 page 19, lines 18-25 page 21, line 15 - page 26, line 20 page 30, line 23 - page 38, line 11; examples 1-8 US 5 807 937 A (MATYJASZEWSKI ET AL) 15 September 1998 (1998-09-15) column 6, lines 34,35 column 31, line 62 column 31, line 40 - column 37, line 2 column 14, line 42 - column 38, line 40 * examples * US 6 538 091 B1 (MATYJASZEWSKI KRZYSZTOF ET AL) 25 March 2003 (2003-03-25) column 6, lines 38,39 column 31, line 27 column 31, line 7 - column 32, line 11 column 14, line 47 - column 37, line 58 * examples * US 5 399 620 A (NIESSNER ET AL) 21 March 1995 (1995-03-21) column 2, lines 45-48 column 2, lines 45-48 column 2, lines 55-60 US 2002/115798 A1 (NARAYAN-SARATHY ET AL) 22 August 2002 (2002-08-22) claims 1-25 paragraph [0022] EP 1 433 799 A (UCB, S.A) 30 June 2004 (2004-06-30) claims 1-15 page 3, paragraph 19 US 6 379 683 B1 (SIMONNET JEAN-THIERRY ET AL) 30 April 2002 (2002-04-30)

International application No. PCT/IB2005/003023

INTERNATIONAL SEARCH REPORT

Box II Observations where certain claims were found unsearchable (Continuation of item 2 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:
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 III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)
This International Searching Authority found multiple inventions in this international application, as follows:
see additional sheet
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.
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. X 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.: 1, partial 3-6, 9-14
Remark on Protest The additional search fees were accompanied by the applicant's protest. No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1, partial 3-6,9-14

A star block copolymer comprising a core and block copolymer arms of the structural formula (I) as described in the application claim 1.

2. claims: 2, partial 3-6,9-14

An independent block copolymer which is not related to any previous claim, and which has the structure as described in application claim 2.

3. claims: 7,8,17

A copolymer encapsulate, which comprises at least one lipophilic functional agent, e.g. a drug, flavour, fragrance, which is encapsulated in , or associated to the copolymer.

It is noted that an encapsulate which further comprises an agent such as a drug, flavour, fragrance, encapsulated therein can not be seen to be within the subject-matter of any previous claim which clearly is a copolymer of a defined structure.

4. claim: 15

A nanoscale capsule as described in application claim 15.

5. claim: 16

An independent general process for manufacturing a block copolymer comprising the process steps as described in application claim 16.

6. claim: 18

A method for encapsulation as described in application claim 18.

7. claim: 19

A perfumed product as described in application claim 19.

Information on patent family members

	ent document in search report		Publication date		Patent family member(s)		Publication date
US	5371147	A	06-12-1994	NONE			
US	2003236354	A1	25-12-2003	NONE			
WO	03000777	Α	03-01-2003	AT CA EP JP MX	313586 2435301 1373366 2004531627 PA03006449	A1 A2 T	15-01-2006 03-01-2003 02-01-2004 14-10-2004 15-10-2004
US	5763548	A	09-06-1998	AT AU BR CN CN EP JP TW US WO	317405 720512 5306996 9604887 2216853 1183107 1515599 0817806 3040172 10509475 520380 6407187 9630421	B2 A A1 A A1 B2 T B B1	15-02-2006 01-06-2000 16-10-1996 30-11-1999 03-10-1996 27-05-1998 28-07-2004 14-01-1998 08-05-2000 14-09-1998 11-02-2003 18-06-2002 03-10-1996
US	6552146	В1	22-04-2003	AT CA DE DE EP ES FR JP	248868 2304404 60004911 60004911 1043343 2206148 2791987 3362783 2000319325	A1 D1 T2 A1 T3 A1 B2	15-09-2003 06-10-2000 09-10-2003 15-07-2004 11-10-2000 16-05-2004 13-10-2000 07-01-2003 21-11-2000
US	2004170595	A1	02-09-2004	US	2002156047	A1	24-10-2002
WO	2004060977	Α	22-07-2004	AU CA CN EP	2003300380 2508015 1732212 1581583	A1 A	29-07-2004 22-07-2004 08-02-2006 05-10-2005
US	2003045644	A1	06-03-2003	NONE			
WO	0226867	Α	04-04-2002	AU	9507301	Α	08-04-2002
US	5807937	A	15-09-1998	AU AU BR CA CN CN EP JP US WO	721630 1073997 9611512 2237055 1515593 1206424 0861272 2000500516 6538091 9718247	A A1 A A A1 T B1	13-07-2000 05-06-1997 29-06-1999 22-05-1997 28-07-2004 27-01-1999 02-09-1998 18-01-2000 25-03-2003 22-05-1997
US	6538091	B1	25-03-2003	AU AU	721630 1073997		13-07-2000 05-06-1997

Information on patent family members

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
US 6538091	B1	BR 9611512 A CA 2237055 A1 CN 1515593 A CN 1206424 A EP 0861272 A1 JP 2000500516 T WO 9718247 A1 US 5807937 A	29-06-1999 22-05-1997 28-07-2004 27-01-1999 02-09-1998 18-01-2000 22-05-1997 15-09-1998
US 5399620	A 21-03-1995	NONE	
US 2002115798	A1 22-08-2002	NONE	
EP 1433799	A 30-06-2004	AU 2003298220 A1 CA 2511433 A1 CN 1732194 A WO 2004056898 A2	14-07-2004 08-07-2004 08-02-2006 08-07-2004
US 6379683	B1 30-04-2002	AT 207386 T DE 60000020 D1 DE 60000020 T2 EP 1034839 A1 ES 2161675 T3 FR 2790405 A1 JP 2000256183 A	15-11-2001 29-11-2001 13-06-2002 13-09-2000 16-12-2001 08-09-2000 19-09-2000