

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
4 July 2002 (04.07.2002)

PCT

(10) International Publication Number
WO 2002/052046 A3

- (51) International Patent Classification⁷: **C12Q 1/68**, G01N 33/68, C07K 14/00, C12N 15/88
- (74) Agents: **DEHLINGER, Peter, J.** et al.; Perkins Coie LLP, P.O. Box 2168, Menlo Park, CA 94026 (US).
- (21) International Application Number: PCT/US2001/049175
- (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, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW.
- (22) International Filing Date: 18 December 2001 (18.12.2001)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:
60/257,975 23 December 2000 (23.12.2000) US
60/329,185 11 October 2001 (11.10.2001) US
- (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, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, 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*): **CHIRON CORPORATION** [US/US]; 4560 Horton Street, Emeryville, CA 94608-2917 (US).
- Published:
— with international search report
- (72) Inventors; and
- (75) Inventors/Applicants (*for US only*): **ZUCKERMANN, Ronald, N.** [US/US]; 1116 Contra Costa Drive, El Cerrito, CA 94530 (US). **REINHARD, Christoph, J.** [DE/US]; 1633 Clinton Avenue, Alameda, CA 94504 (US). **JEFFERSON, Ann, B.** [US/US]; 1021 Norwood Avenue, Oakland, CA 94610 (US). **BEAUSOLEIL, Eric** [US/US]; 110 Gardenside Drive #402, San Francisco, CA 94131 (US).
- (88) Date of publication of the international search report: 12 February 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: OLIGONUCLEOTIDE TRANSFECTION SCREENING METHOD

(57) Abstract: Different-sequence peptoids, including lipid-and sterol-conjugated peptoids, are found to be effective in transfection of cells with oligonucleotides. Combinatorial libraries of such peptoids can be screened efficiently in a high-throughput format, and selected peptoids are identified post-screening.



WO 2002/052046 A3

INTERNATIONAL SEARCH REPORT

International Application No
PCT/US 01/49175

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C12Q1/68 G01N33/68 C07K14/00 C12N15/88 G01N33/50

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 G01N C12N

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, BIOSIS, EMBASE

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	W0 99 08711 A (CHIRON CORP) 25 February 1999 (1999-02-25) cited in the application page 30, line 10 - line 13; example 1 ---	1-13, 15-17, 24-29
X	MURPHY J E ET AL: "A combinatorial approach to the discovery of efficient cationic peptoid reagents for gene delivery." PROCEEDINGS OF THE NATIONAL ACADEMY OF SCIENCES OF THE UNITED STATES OF AMERICA. UNITED STATES 17 FEB 1998, vol. 95, no. 4, 17 February 1998 (1998-02-17), pages 1517-1522, XP002235261 ISSN: 0027-8424 cited in the application	1-13, 15-17, 24-29
Y	the whole document ---	14
	--- -/--	

☒ 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

19 March 2003

Date of mailing of the international search report

03 JUL 2003

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

Gunster, M

INTERNATIONAL SEARCH REPORT

Inte il Application No
PCT/US 01/49175

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 98 08092 A (DUNNINGTON DAMIEN ;SMITHKLINE BEECHAM CORP (US); TAYLOR PAUL J (US) 26 February 1998 (1998-02-26) the whole document ---	14
A	WO 94 02515 A (BUNSEN RUSH LAB INC) 3 February 1994 (1994-02-03) page 2 ---	1-29
A	WO 92 00091 A (BIOLIGAND INC) 9 January 1992 (1992-01-09) the whole document ---	1-29
A	WO 98 06437 A (CHIRON CORP) 19 February 1998 (1998-02-19) cited in the application the whole document ---	1-29
A	LEBL M ET AL: "MULTIPLE RELEASE OF EQUIMOLAR AMOUNTS OF PEPTIDES FROM A POLYMERIC CARRIER USING ORTHOGONAL LINKAGE-CLEAVAGE CHEMISTRY" INTERNATIONAL JOURNAL OF PEPTIDE AND PROTEIN RESEARCH, MUNKSGAARD, COPENHAGEN, DK, vol. 41, no. 2, 1 February 1993 (1993-02-01), pages 201-203, XP000336695 ISSN: 0367-8377 the whole document ---	1-29
P,A	WO 01 16306 A (ZUCKERMANN RONALD N ;CHIRON CORP (US); INNIS MICHAEL A (US); REINH) 8 March 2001 (2001-03-08) the whole document -----	1-29

INTERNATIONAL SEARCH REPORT

ational application No.
PCT/US 01/49175

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:
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:

see additional sheet

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.:

1-29

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: 1-29

Methods for testing or screening the transfection efficiency of peptoids.

2. Claims: 30-32

Methods for determining the sequence of a peptoid.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No
PCT/US 01/49175

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 9908711	A	25-02-1999	US 6197332 B1 EP 1003558 A1 JP 2001515051 T WO 9908711 A1 US 6572881 B1 US 6569450 B1	06-03-2001 31-05-2000 18-09-2001 25-02-1999 03-06-2003 27-05-2003
WO 9808092	A	26-02-1998	AU 4081297 A EP 1007966 A1 JP 2002515044 T WO 9808092 A1 US 6376256 B1	06-03-1998 14-06-2000 21-05-2002 26-02-1998 23-04-2002
WO 9402515	A	03-02-1994	AU 4779493 A WO 9402515 A1 US 5601992 A	14-02-1994 03-02-1994 11-02-1997
WO 9200091	A	09-01-1992	US 5650489 A AT 176330 T AU 2836995 A AU 683762 B2 AU 2845495 A AU 659091 B2 AU 8238591 A CA 2086672 A1 CZ 9204073 A3 CZ 289365 B6 DE 69130828 D1 DE 69130828 T2 DK 542770 T3 EP 0542770 A1 ES 2126572 T3 FI 925986 A GR 3029443 T3 HU 63576 A2 IE 912299 A1 IL 98682 A JP 6500308 T KR 222146 B1 KR 245767 B1 MX 9100052 A1 NO 930011 A NZ 238805 A PL 168354 B1 PL 169616 B1 RO 112336 B1 RU 2145233 C1 SK 407392 A3 US 5382513 A US 5510240 A WO 9200091 A1 US 5858670 A ZA 9105113 A	22-07-1997 15-02-1999 07-12-1995 20-11-1997 16-05-1996 11-05-1995 23-01-1992 03-01-1992 13-10-1993 16-01-2002 11-03-1999 17-06-1999 13-09-1999 26-05-1993 01-04-1999 31-12-1992 28-05-1999 28-09-1993 15-01-1992 18-02-1997 13-01-1994 01-10-1999 15-03-2000 28-02-1992 22-02-1993 26-07-1994 29-02-1996 30-08-1996 29-08-1997 10-02-2000 10-08-1994 17-01-1995 23-04-1996 09-01-1992 12-01-1999 27-05-1992
WO 9806437	A	19-02-1998	EP 0941122 A2 JP 2001503385 T WO 9806437 A2 US 6468986 B1	15-09-1999 13-03-2001 19-02-1998 22-10-2002

INTERNATIONAL SEARCH REPORT

...formation on patent family members

International Application No
PCT/US 01/49175

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9806437	A	US 6251433 B1	26-06-2001
WO 0116306	A	08-03-2001	
		AU 7070800 A	26-03-2001
		EP 1144609 A2	17-10-2001
		JP 2003508459 T	04-03-2003
		WO 0116306 A2	08-03-2001
		US 2001044528 A1	22-11-2001