

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
18 November 2010 (18.11.2010)

PCT

(10) International Publication Number
WO 2010/132670 A3

(51) International Patent Classification:

C07C 237/26 (2006.01) C07D 207/00 (2006.01)
C07C 271/22 (2006.01) C07D 211/00 (2006.01)
C07C 271/44 (2006.01) C07D 213/00 (2006.01)
C07C 275/24 (2006.01) A61K 31/65 (2006.01)
C07C 311/13 (2006.01) A61P 31/04 (2006.01)
C07D 205/00 (2006.01)

(21) International Application Number:

PCT/US2010/034718

(22) International Filing Date:

13 May 2010 (13.05.2010)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

61/216,087 13 May 2009 (13.05.2009) US
61/337,710 9 February 2010 (09.02.2010) US

(71) Applicant (for all designated States except US):

TETRAPHASE PHARMACEUTICALS, INC.
[US/US]; 480 Arsenal Street, Suite 110, Watertown, MA
02472 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **CLARK, Roger, B.**
[US/US]; 20 Richard Road, Lexington, MA 02421 (US).
HUNT, Diana, Katharine [US/US]; 252 Pearl Street #2,
Cambridge, MA 02139 (US). **PLAMONDON, Louis**
[US/US]; 65 Hamilton Road, Belmont, MA 02478 (US).
SUN, Cuixiang [CN/US]; 480 Arsenal Street, Suite 110,
Watertown, MA 02472 (US). **XIAO, Xiao-Yi** [CN/US];
3851 Torrey Hill Lane, San Diego, CA 92130 (US).
RÖNN, Magnus [US/US]; 3 Irving Street, Melrose, MA
02176 (US).

(74) Agents: **ABELLEIRA, Susan, M.** et al.; HAMILTON,
BROOK, SMITH & REYNOLDS, P.C., 530 Virginia Rd,
P.O. Box 9133, Concord, MA 01742-9133 (US).

(81) Designated States (unless otherwise indicated, for every

kind of national protection available): AE, AG, AL, AM,
AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,
CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO,
DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT,
HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP,
KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,
ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI,
NO, NZ, OM, PE, PG, PH, PL, PT, RO, RS, RU, SC, SD,
SE, SG, SK, SL, SM, ST, SV, SY, TH, TJ, TM, TN, TR,
TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every

kind of regional protection available): ARIPO (BW, GH,
GM, KE, LR, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG,
ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ,
TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU,
LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK,
SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG).

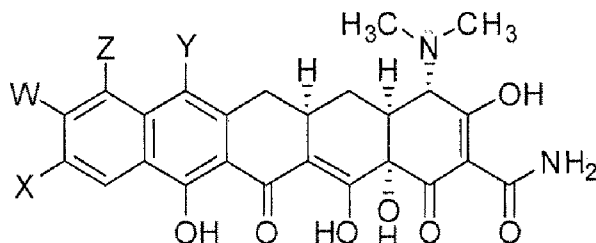
Published:

- with international search report (Art. 21(3))
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments (Rule 48.2(h))

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

29 December 2010

(54) Title: PENTACYCLINE COMPOUNDS



(I)

(57) Abstract: The present invention is directed to a compound represented by Structural Formula (I): or a pharmaceutically acceptable salt thereof. The variables for Structural Formula (I) are defined herein. Also described is a pharmaceutical composition comprising the compound of Structural Formula (I) and its therapeutic use.

WO 2010/132670 A3

INTERNATIONAL SEARCH REPORT

International application No

PCT/US2010/034718

A. CLASSIFICATION OF SUBJECT MATTER

INV. C07C237/26 C07C271/22 C07C271/44 C07C275/24 C07C311/13
 C07D205/00 C07D207/00 C07D211/00 C07D213/00 A61K31/65
 A61P31/04

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)

C07C C07D

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, BEILSTEIN Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 2007/117639 A2 (HARVARD COLLEGE [US]; MYERS ANDREW G [US]; BRUBAKER JASON D [US]; SUN) 18 October 2007 (2007-10-18) Formula (12); pages 67-74, paragraph 00100 paragraph [00102] - paragraph [00104] claims 1, 2, 8-74, 225-232 figure 14B	1-29
A	JOURNAL OF THE AMERICAN CHEMICAL SOCIETY, vol. 130, 12 March 2008 (2008-03-12), pages 17913-17927, XP002604988 compounds 33, 45, 49, 51 Charts 1, 2 tables 4, 5	1-29
	----- -/--	

 Further documents are listed in the continuation of Box C. See patent family 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

14 October 2010

Date of mailing of the international search report

09/11/2010

Name and mailing address of the ISA/

European Patent Office, P.B. 5818 Patentlaan 2
 NL - 2280 HV Rijswijk
 Tel. (+31-70) 340-2040,
 Fax: (+31-70) 340-3016

Authorized officer

Grenouillat, N

INTERNATIONAL SEARCH REPORT

International application No

PCT/US2010/034718

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 2005/112945 A2 (HARVARD COLLEGE [US]; MYERS ANDREW G [US]; CHAREST MARK G [US]; LERNER) 1 December 2005 (2005-12-01) claims 59, 60 figures 8, 14B, 20 page 153	1-29
A	CHAREST M G ET AL: "A Convergent Enantioselective Route to Structurally Diverse 6-Deoxytetracycline Antibiotics" SCIENCE, AMERICAN ASSOCIATION FOR THE ADVANCEMENT OF SCIENCE, WASHINGTON, DC; US LNKD- DOI:10.1126/SCIENCE.1109755, vol. 308, no. 5720, 15 April 2005 (2005-04-15), pages 395-398, XP002417371 ISSN: 0036-8075 compound 10	1-29

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/US2010/034718

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 2007117639 A2	18-10-2007	AU 2007235279 A1	18-10-2007
		CA 2648668 A1	18-10-2007
		EP 2016044 A2	21-01-2009
		JP 2009533346 T	17-09-2009
		US 2010130451 A1	27-05-2010
WO 2005112945 A2	01-12-2005	AU 2005244988 A1	01-12-2005
		BR PI0510113 A	25-09-2007
		CA 2566464 A1	01-12-2005
		EP 1753713 A2	21-02-2007
		JP 2008500391 T	10-01-2008
		KR 20070047245 A	04-05-2007