

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
18 June 2009 (18.06.2009)

PCT

(10) International Publication Number
WO 2009/075818 A1

(51) International Patent Classification:

C07D 313/00 (2006.01) **C07D 493/04** (2006.01)
C07D 317/22 (2006.01) **C07C 69/767** (2006.01)
C07D 317/24 (2006.01) **C07D 319/08** (2006.01)

(21) International Application Number:

PCT/US2008/013498

(22) International Filing Date:

8 December 2008 (08.12.2008)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

61/012,408 7 December 2007 (07.12.2007) US
61/012,409 7 December 2007 (07.12.2007) US
61/012,411 7 December 2007 (07.12.2007) US
61/080,048 11 July 2008 (11.07.2008) US

(71) Applicant (for all designated States except US): **EISAI R & D MANAGEMENT CO., LTD.** [JP/JP]; 6-10, Koishikawa 4-chome, Tokyo, Bunkyo-ku (JP).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **BOIVIN, Roch** [CA/US]; 11 Oakland Road, Lawrence, MA 01843 (US). **CAMPAGNA, Silvio, A.** [US/US]; 621 Old Candia Road, Candia, NH 03034 (US). **DU, Hong** [CN/US]; 43 Upland Street, North Andover, MA 01845 (US). **FANG, Francis, G.** [US/US]; 16 Greybitch Road, Andover, MA 01810 (US). **HORSTMANN, Thomas** [US/US]; 218 West Canton St. #4, Boston, MA 02116 (US). **LEMELIN, Charles-Andre** [CA/US]; C-38 Scotty Hollow Drive, North Chelmsford, MA 01863 (US). **LI, Jing** [CN/US]; 10b Washington Park Drive., Andover, MA 01810 (US). **MCGUINNESS, Pamela** [US/US]; 8 Dracut Street,

Methuen, MA 01844 (US). **NIU, Xiang** [CN/US]; 99 Florence Street, Apt. 513, Malden, MA 02148 (US). **SCHNADERBECK, Matthew, J.** [US/US]; 248 Lowell Street, Methuen, MA 01844 (US). **WU, Kevin (kuo-ming)** [US/US]; 3 Kennedy Lane, Acton, MA 01820 (US). **ZHU, Xiaojie (jeff)** [US/US]; 12 Linda Road, Andover, MA 01810 (US).

(74) Agents: **HANLEY, Elizabeth, A.** et al.; Lahive & Cockfield, LLP, One Post Office Square, Boston, MA 02109-2127 (US).

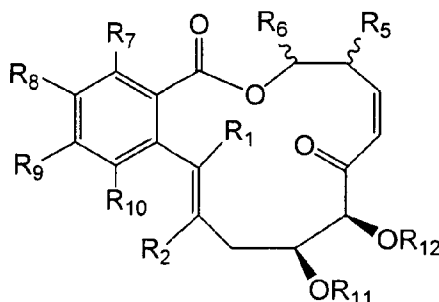
(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, 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, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, 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, 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, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, 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
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

(54) Title: INTERMEDIATES AND METHODS FOR MAKING ZEARELENONE MACROLIDE ANALOGS



(IV)

(57) Abstract: Disclosed herein are methods and intermediates useful in the preparation of macrolides, e.g., compounds of formula (IV) wherein R₁-R₁₂ are as defined herein.