(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
18 February 2010 (18.02.2010)

PCT

(10) International Publication Number
WO 2010/019203 A1

(51) **International Patent Classification**:
A01N 37/18 (2006.01)

(21) **International Application Number**:
PCT/US2009/004557

(22) **International Filing Date**:
7 August 2009 (07.08.2009)

(25) **Filing Language**: English

(26) **Publication Language**: English

(30) **Priority Data**:
61/136,106 12 August 2008 (12.08.2008) US

(71) **Applicant** *(for all designated States except US)*: **MERCK SHARP & DOHME CORP.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0900 (US).

(72) **Inventors; and**

(75) **Inventors/Applicants** *(for US only)*: **GREENLEE, Mark, L.** [US/US]; Merck & Co., Inc., 126 East Lincoln Avenue, Rahway, NJ 07065 (US). **WILKENING, Robert** [US/US]; Merck & Co., Inc., 126 East Lincoln Avenue, Rahway, NJ 07065 (US). **APGAR, James** [US/US]; Merck & Co., Inc., 126 East Lincoln Avenue, Rahway, NJ 07065 (US). **WILDONGER, Kenneth, James** [US/US]; Merck & Co., Inc., 126 East Lincoln Avenue, Rahway, NJ 07065 (US). **MENG, Dongfang** [US/US]; Merck & Co., Inc., 126 East Lincoln Avenue, Rahway, NJ 07065 (US). **PARKER, Dann, L.** [US/US]; Merck & Co., Inc., 126 East Lincoln Avenue, Rahway, NJ 07065 (US).

(74) **Agent**: **WU, Melody, H.**; Covington & Burling LLP, 1201 Pennsylvania Avenue, N.W., Washington, DC 20004-2401 (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, 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, 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))*

WO 2010/019203 A1

(54) **Title**: ANTIFUNGAL AGENTS

(57) **Abstract**: Novel derivatives of enfumafungin are disclosed herein, along with their pharmaceutically acceptable salts, hydrates and prodrugs. Also disclosed are compositions comprising such compounds, methods of preparing such compounds and methods of using such compounds as antifungal agents and/or inhibitors of (1,3)-β-D-glucan synthase. The disclosed compounds, their pharmaceutically acceptable salts, hydrates and prodrugs, as well as compositions comprising such compounds, salts, hydrates and prodrugs, are useful for treating, and/or preventing fungal infections and associated diseases and conditions.