



(51) International Patent Classification:

C07D 215/48 (2006.01) C07D 413/12 (2006.01)
C07D 401/12 (2006.01) C07D 417/12 (2006.01)
C07D 407/12 (2006.01) A61K 31/4709 (2006.01)
C07D 409/12 (2006.01) A61P 31/10 (2006.01)

(MB) (IT). DEL SORDO, Simone [IT/IT]; Piazza Carlo Alberto dalla Chiesa, 22, I-24047 Treviglio (BG) (IT). MAILLAND, Federico [IT/CH]; Strada Regina, 10, CH-6900 Lugano (CH). LEGORA, Michela [IT/IT]; Via Castellazzo, 1/B, I-22070 Appiano Gentile (CO) (IT).

(21) International Application Number:

PCT/EP2010/070791

(74) Agents: PISTOLESI, Roberto et al.; Via Marina 6, I-20121 Milan (IT).

(22) International Filing Date:

28 December 2010 (28.12.2010)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

09180899.8 29 December 2009 (29.12.2009) EP

(71) Applicant (for all designated States except US): POLICHEM S.A. [LU/LU]; 50, Val Fleuri, L-1526 Luxembourg (LU).

(72) Inventors; and

(75) Inventors/Applicants (for US only): GAGLIARDI, Stefania [IT/IT]; Via Passirano, 24, I-20059 Vimercate

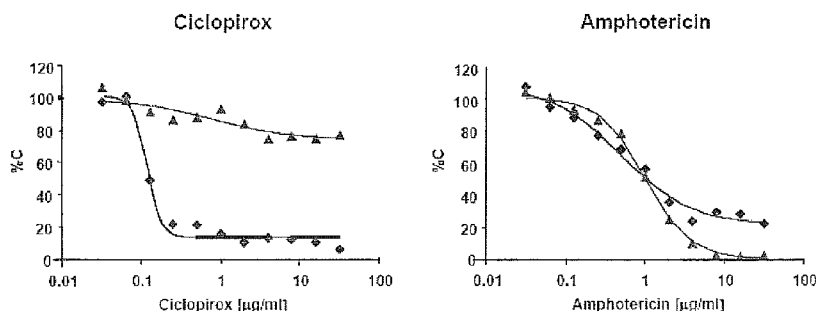
(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, 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,

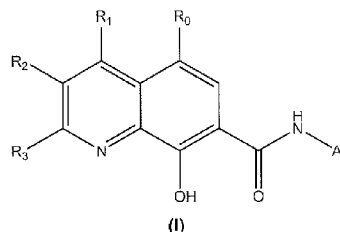
[Continued on next page]

(54) Title: SECONDARY 8-HYDROXYQUINOLINE-7-CARBOXAMIDE DERIVATIVES FOR USE AS ANTIFUNGAL AGENTS

Figure 1



◆ no Fe³⁺ 100 µM
▲ plus Fe³⁺ 100 µM



(57) Abstract: The present invention provides secondary 8-hydroxyquinoline-7-carboxamide derivatives of general formula (I) and pharmaceutically acceptable salts thereof. These compounds are useful as antifungal agents. Specifically, these compounds were tested against *Tricophyton Rubrum*, *Tricophyton Mentagrophytes*, *Aspergillus Niger* and *Scopulhopsis Brevicaulis*. These compounds are active against *Candida* species such as *Candida Albicans* and *Candida Glabrata*.



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, RS, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

— *of inventorship (Rule 4.17(iv))*

Published:

— *with international search report (Art. 21(3))*