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Synthesis and antifungal activity of benzimidazole, benzotriazole and aminothiazole derivatives

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Background and Aims: In recent years, use of antifungal drugs in human medicine has increased, especially with the advent of AIDS epidemic. Efforts have focused on the development of new, less toxic and more efficacious antifungal drugs with novel mechanism of action. The purpose of this study is to synthesize of some new benzimidazole, benzotriazole and aminothiazole derivatives and evaluation of their activity against some species of Candida, Aspergillus and Dermatophytes.
Methods: The desired compounds were synthesized by the reaction of benzimidazole and benzotriazole with bromoalkanes and also by the reaction of an amide derivative of aminothiazole with 2-piperazino-1-ethanol in an efficient solvent in the presence of tetra ethyl ammounim bromide or triethylamine as catalyst. Chemical structures of all the new compounds were confirmed by spectrophotometric methods. Antifungal activities of the new compounds were evaluated by broth micro dilution method as recommended by CLSI.
Results: Among the tested compounds 1-nonyl-1H-benzo[d]imidazole (1a) and 1-decyl-1H- benzo[d]imidazole (2a) exhibited the best antifungal activities.
Conclusions: Of the examined synthetic compounds in different categories, benzimidazole derivatives established better antifungal activities than benzotriazole derivatives, and the piperazine analogue had no significant antifungal effect.

Keywords: Synthesis; Azoles; Antifungal activity