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Synthesis and Biological Evaluation of Pyridine Derivatives as Antimicrobial Agents

Authors : Dagim Ali Hussen, Adnan A. Bekhit, Ariaya Hymete

Abstract : In this study, several pyridine derivatives were synthesized and evaluated for their in vitro antimicrobial activity against gram-positive bacteria (S. aureus and B. Cereus), gram-negative bacteria (P. aeruginosa and E. coli) and fungus (C. albican and A niger). The intermediate chalcone derivative 2a,b was synthesized by condensation of pyrazole aldehydes 1a,b with acetophenone in alcoholic KOH. Cyclization of 2a,b with ethyl cyanoacetate ad ammonium acetate resulted in pyridine carbonitrile derivatives 3a,b. Furthermore, condensation of pyridine-4-carboxaldeyhe with different amino-derivatives gave rise to pyridine derivatives 5a,b, 6a,b. The oxadiazole derivative 7a was prepared by cyclization of 6a with acetic anhydride. Characterization of the synthesized compound was performed using IR, 1H NMR, 13C NMR spectra and elemental microanalyses. The antimicrobial results revealed that compounds 5a, 6b and 7a exhibited half fold antibacterial activity compared to ampicillin, against B. cereus. On the other hand, compound 3b showed an equivalent activity compared to miconazole against candida albican (CANDAL 03) and to clotrimazole against the clinical isolate candida albican 6647. Moreover, this compound 3b was further tested for its acute toxicity profile. The results showed that oral LD50 is more that 300 mg/kg and parentral LD50 is more than 100 mg/kg. Compound 3b is a good candidate for antifungal agent with good toxicity profile, and deserves more chemical derivatization and clinical study.

Keywords : antifungal, antimicrobial, Candida albican, pyridine
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