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SYNTHESIS AND BIOACTIVITY OF AMINOCARBOTHIOYL PYRROLIDINE COMPOUNDS

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ABSTRACT

A series of aminocarbothioyl pyrrolidone derivatives were synthesized and screened for their in vitro antibacterial, antifungal activities and toxicity. The prepared compounds were tested against the standard strains: *Escherichia coli* (ATCC 25922), *Enterobacter cloacae* (ATCC 13047), *Enterococcus faecalis* (ATCC 29212), *Pseudomonas aeruginosa* (ATCC 27853), *Staphylococcus aureus* (ATCC 29213), *Staphylococcus epidermidis* (ATCC 12228), and the yeasts *Candida albicans* (ATCC 90028), *Candida krusei* (ATCC 6258), *Candida parapsilosis* (ATCC22019), *Candida tropicalis* (ATCC 22019) and *Candida glabrata* (ATCC32554). Synthesised compounds showed antimicrobial activity, however, the antimycotic efficacy is better than antibacterial activity. The tested compounds inhibited the growth of gram-positive bacteria (*Staphylococcus epidermidis* and *Staphylococcus aureus*) at MIC values between 50 and 150 µg/ml. They have also showed an antifungal activity with a range of the MICs between 25 and 100 µg/ml. Microbiological results showed that the synthesized compounds were possessing a broad spectrum of antifungal activity against the tested microorganisms.

PHYSICOCHEMICAL CHARACTERIZATION OF IBUPROFEN SOLID DISPERSIONS

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Ibuprofen is a non-steroidal anti-inflammatory drug which is not soluble in water and creates gastric iiritation. In order to improve the aqueous solubility and enhance its dissolution rate, physical mixture (PM) and inclusion (INC) of ibuprofen were prepared by the lyophilization method in our previous study. Skimmed milk was used as a carrier. It was found to improve dissolution profile of plain drug when it is formulated as INC. In this study, we aimed to investigate physicochemical characteristics of INC. For this purpose, differential scanning calorimetry (DSC), differential thermal analysis (DTA) and X-ray diffraction analysis were conducted. Thermal analysis data showed the formation of a bond between ibuprofen and SM in INC formulations. In the case of X-ray analysis, absence and reduction of major ibuprofen diffraction peaks indicate that mostly an amorphous existed in INC. Based on this finding, it can be stated that enhancement in solubility and dissolution rate may be attributed to the surface active agents and enzyme content of SM and reduction of particle size and formation of an amorphous state in INC.