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Synthesis and evaluation of selected benzimidazole derivatives as potential antimicrobial agents

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A library of 53 benzimidazole derivatives, with substituents at positions 1, 2 and 5, were synthesized and screened against a series of reference strains of bacteria and fungi of medical relevance. The SAR analyses of the most promising results showed that the antimicrobial activity of the compounds depended on the substituents attached to the bicyclic heterocycle. In particular, some compounds displayed antibacterial activity against two methicillin-resistant *Staphylococcus aureus* (MRSA) strains with minimum inhibitory concentrations (MICs) comparable to the widely-used drug ciprofloxacin. The compounds have some common features; three possess 5-halo substituents; two are derivatives of (S)-2-ethanaminebenzimidazole; and the others are derivatives of one 2-(chloromethyl)-1H-benzo[d]imidazole and (1H-benzo[d]imidazol-2-yl)methanethiol. The results from the antifungal screening were also very interesting: 23 compounds exhibited potent fungicidal activity against the selected fungal strains. They displayed equivalent or greater potency in their MIC values than amphotericin B. The 5-halobenzimidazole derivatives could be considered promising broad-spectrum antimicrobial candidates that deserve further study for potential therapeutic applications.

Biography

Alasmay F A completed his/her PhD from University of Bradford and is now a chemist teaching Chemistry in the Department of Chemistry, College of Science, King Saud University for more than 5 years. He/She is interested in research and follows recent discoveries in science, particularly Chemistry, by reading literatures.

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