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Evaluation of Synthesis and Structure Elucidation of Some Benzimidazoles as Antimicrobial Agents

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Abstract : Benzimidazole, a structural isostere of indol and purine nuclei that can interact with biopolymers, can be identified as master key. So that benzimidazole compounds are important fragments in medicinal chemistry because of their wide range of biological activities including antimicrobial activity. We planned to synthesize some benzimidazole compounds for developing new antimicrobial drug candidates. In this study, we put some heterocyclic rings on second position and an amidine group on the fifth position of benzimidazole ring and synthesized them using a multiple step procedure. For the synthesis of the compounds, as the first step, 4-chloro-3-nitrobenzonitrile was reacted with cyclohexylamine in dimethyl formamide. Imidate esters (compound 2) were then prepared with absolute ethanol saturated with dry HCl gas. These imidate esters which were not too stable were converted to compound 3 by passing ammonia gas through ethanol. At the Pd / C catalyst, the nitro group is reduced to the amine group (compound 4). Finally, various aldehyde derivatives were reacted with sodium metabisulfite addition products to give compound 5-20. Melting points were determined on a Buchi B-540 melting point apparatus in open capillary tubes and are uncorrected. Elemental analyses were done a Leco CHNS 932 elemental analyzer. 1H-NMR and 13C-NMR spectra were recorded on a Varian Mercury 400 MHz spectrometer using DMSO-d6. Mass spectra were acquired on a Waters Micromass ZQ using the ESI(+) method. The structures of them were supported by spectral data. The 1H-NMR, 13C NMR and mass spectra and elemental analysis results agree with those of the proposed structures. Antimicrobial activity studies of the synthesized compounds are under the investigation.

Keywords : benzimidazoles, synthesis, structure elucidation, antimicrobial
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