SYNTHESIS OF SOME BISBENZIMIDAZOLE COMPOUNDS AND INVESTIGATION OF THEIR ANTIBACTERIAL ACTIVITY WITH STRUCTURE-ACTIVITY RELATIONSHIP

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The rising of resistance against effective anti-bacterial agents', results in increased failure of antibacterial therapies today. Therefore, design and development of novel antibacterial active structures with different mechanisms of action is a never-ending area for drug developers. Benzimidazole and bisbenzimidazoles are an important pharmacophore groups in medicinal chemistry and the potential area of research. Extensive pharmacological studies have confirmed that bisbenzimidazole derivatives are effective against various microorganisms.[1] The reason for a special interest of researchers toward benzimidazole derivatives can be explained by their purine anti-metabolites properties as they are isoster for DNA bases, which facilitate recognition of the structures by living organisms. In addition, some drugs such as astemizole, mebendazole, enviroxim, and benomyl contain benzimidazole structure that interfere with bacterial growth.[2] In this study, we synthesized some bisbenzimidazole derivatives based on the structure of the lead benzimidazole compounds and their antibacterial activity with the establishment of their structure-activity relationship. The compounds were prepared from substituted 1,2-phenylendiamine with dicarboxylic acid derivatives by comparative studies with conventional and microwave irradiation methods.[3] All synthesized compounds were characterized by spectral data. Then, we demonstrated in vitro antibacterial activity of these synthesized compounds against various microorganisms using the microdilution method. Most of the compounds showed moderate to high activity with MIC values in the range of 3.9-500 µg/mL. These data suggest that bisbenzimidazole derivatives which have longer linker group might possess different antibacterial mechanism, which deserves further study.

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The Structure of Compounds

References

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