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Screening of antibacterial activities of 1, 2 disubstituted benzimidazole derivatives along with beta lactum benzimidazole derivative

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Introduction: Considering extensive applications of benzimidazole moiety in medicinal chemistry and in continuation of our ongoing project on biologically active benzimidazole derivatives, here an attempt has been made to synthesize a total of six derivatives of benzimidazole by multi-step process introducing different substituent's mainly at 1, 2 position and evaluated for their *in-vitro* antibacterial activities with a hope to possess potent antibacterial activity.

Materials & Methodology: All chemicals and solvents were purchased from SD-Fine Chemicals, India (LR grade) and were used without further purification. Melting points were taken in open capillary method and are uncorrected. IR spectra were recorded on FT/IR-4100 type A spectrophotometer (SRMC company), using KBr pallet. 1HNMR spectra of the synthesized compounds were recorded on a Bruker NMR spectrophotometer (400 MHz) in DMSO solvent. Chemical shifts are expressed in δ ppm downfield from TMS as an internal standard. The mass spectra were recorded at 400 MHz. All the reactants were identified by comparison of melting points with those reported in the literature. All the synthesized compounds were recrystallized by using respective solvents. The purity of the compounds was determined by thin layer chromatography.

Conclusion: Present studies suggested that, the presence of different substituents causes a certain change of activity. Compound BZD-5 and BZD-6 having no substitution on position-1 of benzimidazole nucleus showed enhanced antibacterial activity against both tested microorganism, in comparison to other benzimidazole derivatives having substitutions at position-2 (BZD-1, BZD-2, BZD-3 and BZD-4). Also compound BZD-6 is more active than BZD-5 towards antibacterial activity, as b-lactum ring is present in the second position of the benzimidazole nucleus of BZD-6. From the obtained results, it is clear that the major role for antibacterial activity is played by bulky group substitution (aryl, vinyl) on the second position of benzimidazole derivatives and maximum activity was shown by the derivative containing b-lactum moiety incorporated in the 2-position of the benzimidazole nucleus.

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