

Synthesis of 3-Aryl/Heteroaryl-1-Methyl-1*H*-Indazoles and Evaluation of their Biological Activities

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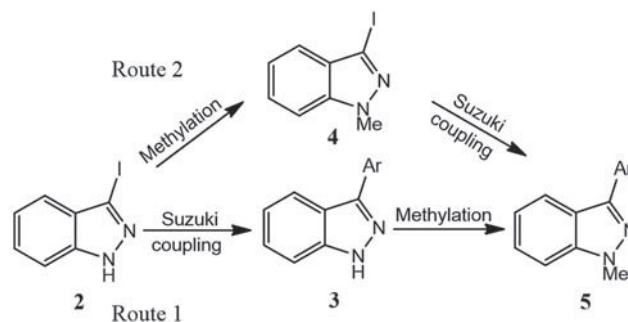
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ABSTRACT The synthesis of 3-aryl/heteroaryl-1-methyl-1*H*-indazole derivatives (5a-j) was achieved from commercially available 1*H*-indazole through the Suzuki cross-coupling reaction. The indazoles 5a-j were synthesized through two alternative routes (Route 1 and Route 2) from the same starting material and characterized using ¹H nuclear magnetic resonance (NMR), ¹³C NMR, infrared, and liquid chromatography-mass spectrometry data. The first step which is common step to both routes involves conversion of 1*H*-3-iodo-1*H*-indazole (2). The antibacterial activity of 5a-j and intermediates 3a-j was evaluated against two Gram-positive and two Gram-negative bacterial strains and anticancer activity against HT-29 and MDA-MB-231 cancer cell lines.



KEYWORDS 1*H*-indazole, Suzuki cross-coupling, Antibacterial, HT-29, MDA-MB-231.