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Synthesis of Some 1h-Benzimidazoles as Inhibitors of EGFR Tyrosine Kinase

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Abstract : In this study, some 2-(2-phenyl/substitutedphenyl)- lH-benzo[d]'imidazol-l-yl)-N'-(alkylthiosemicarbazide were designed and prepared. Firstly, 2-phenyl/ suhstitutedphenyl-lH-Benzo[d]imidazole was prepared via oxidative condensation of o-phenylenediamine, benzaldehyde and sodium metabisulfite. Treatment of the benzimidazole compound with ethyl chloroacetate in KOH/DMSO gave the ester compound ethyl 2-(2-substitutedphenyl)-1H-benzo[d]imidazol-l-yl)acetate. Hydrazine hydrate and the ester in ethanol were refluxed for 4 h to give 2-(2-phenyl/substitutedphenyl)-1H-benzo[d]imidazol-l-yl)acetohydrazide. Thiosemicarbazides were obtained by condensing acyl hydrazide with the alkylisothiocyanate in ethanol. Following the structure elucidation, benzimidazole compounds were tested for their EGFR kinase inhibitory activities by using ADP-GloTM Kinase Assay.

Keywords : benzimidazole, EGFR kinase inhibitor, synthesis, thiosemicarbazide
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