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Design and Synthesis of Some Oxadiazole Bearing Benzimidazole Derivatives as Potential Epidermal Growth Factor Receptor Inhibitors

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Abstract : Epidermal Growth Factor Receptor is the cell-surface receptor of the ErbB (erythroblastic leukemia viral oncogene homologue receptors) family of tyrosine kinases. It plays a vital role in regulating the proliferation and differentiation of cells. However, a variety of mechanisms, such as EGFR expression, mutation, and ligand-dependent receptor dimerization, are associated with the development of various activated EGFR tumors. EGFR is highly expressed in most solid tumors, including breast, head and neck cancer, non-small cell lung cancer (NSCLC), renal, ovarian, and colon cancers. Thus, specific EGFR inhibition plays one of the key roles in cancer treatment. The compounds used in the treatment as tyrosine kinase inhibitors are known to contain the benzimidazole isosterium indole, pazopanib, and axitinibin indazole rings. In addition, benzimidazoles have been shown to exhibit protein kinase inhibitory activity in addition to their different biological activities.Based on these data, it was planned and synthesized of some oxadiazole bearing benzimidazole derivatives [N-cyclohexyl-5-((2-phenyl/substitutedphenyl-1H-benzo[d]imidazole-1-yl) methyl)-1,3,4-oxadiazole-2-amine]. EGFR kinase inhibitory efficiency of the synthesized compounds was determined by comparing them with a known kinase inhibitor erlotinib in vitro, and two of the compounds bearing phenyl (19a) and 3,4-dibenzyloxyphenyl (21a) ring exhibited significant activities.

Keywords : benzimidazole, EGFR kinase inhibitory, oxadiazole, synthesis
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