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Synthesis and in-vitro Evaluation of Quinozolines as Potent EGFR Inhibitor

Authors : Vinaya Kambappa, Chinnadurai Mani, Komaraiah Palle

Abstract : Non-small cell-lung cancer (NSCLC) cells have increased expression of EGFR, which makes them a potential target for cancer therapy. Based on molecular docking and previous reports, we designed and synthesized quinazoline derivatives as potent EGFR inhibitors. Among the derivatives, three compounds showed good antiproliferative activity against A-549 and H-1299 cells. Furthermore, these compounds inhibited EGFR signaling exhibiting diminishing p-EGFR and its downstream proteins like p-Akt, p-Erk1/2, and p-mTOR; however, it did not alter the levels of EGFR, Akt, Erk1/2 and mTOR proteins. Flow cytometric analysis indicated the accumulation of cells at G1 phase suggesting induction of apoptosis, which was further confirmed by annexin V/propidium iodide staining. Our study suggested that quinazoline scaffold can be developed as novel EGFR kinase inhibitors for cancer therapy.

Keywords : apoptosis, non-small cell-lung cancer cells, EGFR, quinazoline
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