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TITLE

Design, Synthesis, Characterisation, Antiproliferative and Anti-angiogenic Activity Novel 4-Anilinoquinazoline Derivatives

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A series of novel quinazoline derivatives were designed, synthesized and characterized using ¹H NMR, IR, LCMS and elemental analysis. These molecules were evaluated for their antiproliferative activity in vitro against human leukemia cell line (K562) in which EGFR is expressed. All the compounds exploited good anti-proliferative activity with IC₅₀ values < 30 μM. Further, to explore the effect of more potent compound on cell cycle distribution of K562 cells, cell cycle distribution by fluorescence activated cell sorting (FACS) was studied. Virtual screening was carried out through docking these novel molecules into the ATP binding site of epidermal growth factor receptor (EGFR) to predict if these compounds have analogous binding mode to the EGFR inhibitors. Docking scores in comparison with standard drug molecule Lapatinib showed these molecules binds to EGFR in the similar manner as Lapatinib binds. Further, these compounds were screened for their anti-angiogenic activity using chlorallantoic membrane assay in vivo. All the compounds showed good angiogenic inhibitory activity.

Biography

Dr. D. S. Prasanna has completed his Ph.D at the age of 28 years from University of Mysore and postdoctoral studies from National University of Singapore, Singapore. He has published more than 20 papers in reputed International peer reviewed journals and presented more than 10 papers at national/international conference. Presently he is working as Assistant Professor at PG Department of Studies in Chemistry, JSS College of Arts, Commerce and Science, Ooty road, Mysore, India.