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Synthesis of novel coumarin derivatives and its nanoformulation for enhanced anticancer activity

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A series of coumarin derivatives were designed, synthesized, and evaluated for antioxidant and cytotoxic properties. The title compounds, 2-(3-substituted-4-methyl-2-oxo-2H-chromen-7-yloxy)-2-methylpropanoic acid derivatives; 5a-5f were synthesized by base catalyzed dehydrohalogenative cyclization following Hantzsch synthesis. All the newly synthesized analogues were characterized and established on the basis of mass, 1H NMR 13C NMR and IR studies. The compounds were evaluated for in vitro antioxidant activity and found to exhibit substantial activity. The in vitro cytotoxicity was evaluated against MCF-7, MDA-231 (human breast cancer) and HT29 (human colon adenocarcinoma) cell lines by MTT assay and the results were encouraging. Compound 5b, with a lower IC50 value of 2.4 and 4.8 μM for MCF-7 and MDA-231 respectively was considered to be potent among the series and exhibited selectivity towards the cancerous cell line; as a value addition, tumor targeting delivery of compound 5b to enhance its anticancer efficacy. Sodium alginate-chitosan nanoparticles were further prepared and loaded with the lead synthetic compound 5b. The delivery of 5b by tumor targeting nanoparticles resulted in significantly four fold decrease in the IC50 values in the tested MCF-7 breast cancer cell lines in comparison with free 5b tested. The major therapeutic drawback of anticancer agents towards non-selectivity and safety has also been addressed by formulating into pH selective nanogels of the newly synthesized coumarin molecules..

Biography

Venkata Sairam Koganti is currently a Doctoral Research student of Pharmaceutical Sciences at JSS University, JSS College of Pharmacy, India. He has completed his graduation from Rajiv Gandhi University of Health Sciences, Bangalore and Post-graduation from JSS University, India. His main area of research interests lies in the field of synthetic and analytical chemistry and nanoformulations. He has published widely in international journals and conferences

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