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Synthesis and *in vitro* anticancer studies of novel coumarin substituted thiazolidin-4-ones

Alex Joseph, Neeraj Kumar Soni, Jesil Mathew A and **Angel Treasa Alex**
Manipal University, India

Thiazolidin-4-ones and coumarins are important pharmacodynamic heterocyclic scaffolds which have been reported to possess potent anti-inflammatory and anticancer properties. The combination of two pharmacophores on the same molecule is a well-established approach for the designing of potent molecules and further substitution on these scaffolds may further enhance their activity. Therefore a series of coumarin substituted thiazolidin-4-ones were synthesized and evaluated for their anticancer activity. Initially 3-acetylamiocoumarin was synthesized by cyclocondensation of salicyladehyde with N-acetylglycine. Acid hydrolysis of 3-acetylaminocoumarin afforded 3-aminocoumarin. Finally one pot reaction of 3-aminocoumarin and thioglycolic acid with different aldehydes in dry toluene yielded the corresponding coumarin substituted thiazolidin-4-ones. Structures of final compounds were confirmed by IR, NMR and Mass techniques. Among the fifteen coumarin substituted thiazolidin-4-ones screened for their *in vitro* cytotoxic effect on T47D and HeLa human cancer cell lines, compounds, 2-(3-fluorophenyl)-3-(2-oxo-2H-chromen-3-yl)thiazolidin-4-one (NKT-7), 2-(2-fluorophenyl)-3-(2-oxo-2H-chromen-3-yl)thiazolidin-4-one (NKT-8) and 2-(5-methylthiophen-2-yl)-3-(2-oxo-2H-chromen-3-yl) thiazolidin-4-one (NKT-14) exhibited maximum cytotoxicity with IC50 values below 2.5µg/ml. Other moderately active compounds were NKT-4, NKT-5, NKT-6, NKT-7, NKT-13 and NKT-15 showing IC_{50} value between 3.45-14.67 µg/ml. The most active compounds NKT-7, NKT-8 and NKT-14 exhibited apoptosis mediated cell death as confirmed by Hoechst staining studies. Thiophen substituted thiazolidin-4-ones and fluoro/bromo/nitro phenyl substituted thiazolidin-4-ones were found to more cytotoxic than other thiazolidinones. These novel leads molecules can be further modified and screened to improve their anticancer activity.

Biography

Alex Joseph has completed his PhD at the age of 35 years from Manipal University. He is presently working as a Professor in department of pharmaceutical chemistry, Manipal College of Pharmaceutical Sciences, Manipal University. He has published more than 35 papers in reputed journals and serving as an editorial board member of various journals.

alex.joseph@manipal.edu

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