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PII: S1386-1425(18)30333-0
DOI: doi:10.1016/j.saa.2018.04.028
Reference: SAA 15985
To appear in: *Spectrochimica Acta Part A: Molecular and Biomolecular Spectroscopy*
Received date: 17 January 2018
Revised date: 11 April 2018
Accepted date: 13 April 2018

Please cite this article as: G. Kalaiarasi, S. Rex Jeya Rajkumar, G. Aswini, S. Dharani, Frank R. Fronczek, R. Prabhakaran , 3-Acetyl-8-methoxy-2[H]-chromen-2-one derived Schiff bases as potent antiproliferative agents: Insight into the influence of 4(N)-substituents on the in vitro biological activity. The address for the corresponding author was captured as affiliation for all authors. Please check if appropriate. Saa(2017), doi:10.1016/j.saa.2018.04.028

3-Acetyl-8-methoxy-2[H]-chromen-2-one derived Schiff bases as potent antiproliferative agents: Insight into the influence of 4(*N*)-substituents on the *in vitro* biological activity

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Abstract

A series of 3-acetyl-8-methoxycoumarin appended thiosemicarbazones (**1**-**4**) was prepared from the reaction of 3-acetyl-8-methoxycoumarin with 4(*N*)-substituted thiosemicarbazides in a view of ascertaining their biological properties with the change of *N*-terminal substitution in the thiosemicarbazide moiety. Comprehensive characterization was brought about by various spectral and analytical methods. The molecular structures of all the compounds were determined by single crystal X-ray diffraction analysis. Binding studies with Calf thymus DNA (CT-DNA) and proteins such as Bovine Serum Albumin (BSA) and Human Serum Albumin (HSA) indicated an intercalative mode of binding with DNA and static quenching mechanism with proteins. The compounds cleaved plasmid DNA (pBR322) and acted well as free radical scavengers. A good spectrum of antimicrobial activity was observed against four bacterial and five fungal pathogens. The compounds exhibited profound antiproliferative activity on MCF-7 (human breast cancer) and A549 (human lung carcinoma) cell lines. Assay on human normal keratinocyte cell line HaCaT showed that the compounds were non-toxic to normal cells.

Key words: Coumarin Schiff Bases; Spectroscopy; X-ray crystallography; DNA/protein binding; Antimicrobial studies; Anticancer activity.

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