World Academy of Science, Engineering and Technology International Journal of Agricultural and Biosystems Engineering Vol:10, No:11, 2016

Synthetic Coumarin Derivatives and Their Anticancer Properties

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Abstract: Coumarins are naturally occurring plant metabolites known for their pharmacological properties such as anticoagulant, antimicrobial, anticancer, antioxidant, anti-inflammatory and antiviral properties. The pharmacological and biochemical properties and curative applications of coumarins depend on the substitution around the coumarin core structure. In the present study, seven halogenated coumarins CMRN1-CMRN7 were synthesized and evaluated for their anticancer activity. The cytotoxicity potential of the test compounds was evaluated against UACC62 (Melanoma), MCF-7 (Breast cancer) and PBM (Peripheral Blood Mononuclear) cell lines using MTT assay keeping doxorubicin as standard drug. The apoptotic potential of the coumarin compounds was evaluated against UACC62 (Melanoma) cell by assessing their morphological changes, membrane change, mitochondria membrane potential; pro-apoptotic changes were investigated using the AnnexinV-PI staining, IC-1, caspase-3 enzyme kits respectively on flow cytometer. The synthetic coumarin has strongly suppressed the cell proliferation of UACC-62 (Melanoma) and MCF-7 (Breast) Cancer cells, the higher toxicity of these compounds against UACC-62 (Melanoma) and MCF-7 (Breast) were CMRN3, CMRN4, CMRN5, CMRN6. However, compounds CMRN1, CMRN2, and CMRN7 had no significant inhibitory effect. Furthermore the active compounds CMRN3, CMRN4, CMRN5, CMRN6 exerted antiproliferative effects through apoptosis induction against UACC-62 (Melanoma), suggesting their potential could be considered as attractive lead molecules in the future for the development of potential anticancer agents since one of the important criteria in the development of therapeutic drugs for cancer treatment is to have high selectivity and less or no sideeffects on normal cells and these compounds had no inhibitory effect against the PBMC cells.

Keywords: coumarin, MTT, apoptosis, cytotoxicity

Conference Title: ICAB 2016: International Conference on Agriculture and Biotechnology

Conference Location : Cape Town, South Africa **Conference Dates :** November 03-04, 2016