Synthesis of novel series of coumarins as potential cytotoxic agents

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Background and Aims: Cancer, a diverse group of diseases characterized by uncontrolled growth of abnormal cells, is a major worldwide problem. It is a fatal disease standing next to the cardiovascular disease in terms of morbidity and mortality. Coumarins have been found to exhibit a variety of biological activities, usually associated with low toxicity and have raised considerable interest because of their potential beneficial effects on human health. Among these properties, cytotoxic effects were most extensively examined. Moreover, Chalcones constitute an another important class of natural products belonging to the flavonoid family, which display interesting biological activities including anti-inflammatory, antibacterial, antioxidant, antimalarial and anticancer.

Methods: In this work we have designed and synthesized a series of novel compounds that have both coumarin and chalcones entities in one molecule and have evaluated for their anti-tumor activity. For the synthesis of target compound, firstly several 3-acetylcoumarin with methoxybenzyloxy moiety in 6 or 7 position of coumarin ring were synthesized via simple and known reported procedure. In the next step, aldol condensation of 3-acetylcoumarins with various aldehydes led to preparation of target compounds.

Results: All of the target compounds were characterized by H, C-NMR and Mass spectra. Evaluation of synthesized compound for their anti-tumor activity showed good to excellent activity for these compounds.

Conclusion: In this work we have designed, synthesized and evaluated a new series of coumarin derivatives that have both methoxybenzyloxy and chalcone entities in one molecule with good to excellent anti-tumor activity.

Keywords: Coumarin; Cytotoxic; Chalcone; Cytotoxic agent; Anticancer