Chalcone Derivatives of 2-Acetyl Thiophene as Cytotoxic Agents

de Vasconcelos, A.1; Campos, V.F.2; Oliveira, P.S.1; Nedel, F.2; Seixas, F.K2; Dellagostin, O.A.2; Collares, T.2; Pereira, C.M.P.1; Stefanello, F.M.1; Barschak, A.G.1

1Centro de Ciências Químicas, Farmacêuticas e de Alimentos, UFPel, RS, Brazil; 2Centro de Desenvolvimento Tecnológico, UFPel, RS, Brazil

Recent studies report that chalcones exhibit cytotoxicity to human cancer cell lines. Typically the form of cell death induced by these compounds is apoptosis. In the context of the discovery of new anticancer agents, and in light of the antitumor potential of several chalcone derivatives, in the present study we synthesized and tested the cytotoxicity of six chalcone derivatives on human colon adenocarcinoma cells. Six derivatives of 3-Phenyl-1-(thiophen-2-yl) prop-2-en-1-one were prepared and characterized on the basis of their 1H and 13C NMR spectra. HT-29 cells were treated with synthesized chalcones on 2 concentrations by 3 different incubation times. Cells were evaluated by cell morphology, MTT and gene expression analyses to determine the cytotoxic way. Chalcones 3-(4-bromophenyl)-1-(thiophen-2-yl)prop-2-en-1-one (C06) and 3-(2-nitrophenyl)-1-(thiophen-2-yl)prop-2-en-1-one (C09) demonstrated higher cytotoxicity than other chalcones as showed by cell morphology and MTT assay. Additionally, these chalcones decreased expression of anti-apoptotic genes and increased pro-apoptotic genes. Our findings indicate in summary that the cytotoxic activity of chalcone C06 on colorectal carcinoma cells occurs by apoptosis.

Keywords: Chalcone, Cytotoxicity, Apoptosis, Antitumoral Agent, HT-29 cell
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