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Cytotoxic activities and structure activity relationships of gypsogenin derivatives against human cancer cells

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Gypsogenin, a natural saponin, is obtained from the roots of G. Arrostii. Gypsogenin from this plant can inhibit the growth and metastasis of Lewis lung cancer and triggers apoptosis by increasing Bax levels. Here, as part of our ongoing studies, we report the isolation of the known saponin gypsogenin (L1) and the synthesis and potential anticancer activity of new gypsogenin ligands (gypsogenin thiosemicarbazone (L2) and gypsogenin thiosemicarbazone glyoxime (L3H2). In addition, we determined the antiproliferative activities of the novel Cu (II) and Co (II) complexes of L3H2 for the first time using human promyelocytic leukemia (HL 60) cells. These complexes were found to be potent anticancer agents with concentrations that inhibited 50% of proliferation (IpC50) between 5 μM and 40 μM. The Cu (II) complex of L3H2 was determined to have the strongest antiproliferative activity, with an IpC50 value of 5 μM. Cell death was distinguished by HO/PI double staining. The Co (II) complex of L3H2 has shown approximately %50 apoptotic effects at 10 μM concentration. Paclitaxel has been used as positive control. Paclitaxel is a cytoskeletal drug that targets tubulin. Paclitaxel stabilizes the microtubule polymer. By this way it blocks progression of mitosis. As result of this apoptosis is triggered at the mitotic checkpoint. Paclitaxel showed about %50 apoptosis at 1μM application. In this study only the [Co3 (L3H) 2 (H2O) 6] complex can approached to this endpoint at 10 μM concentration.

Biography

Ilknur Babahan received Ph.D. degree in Organic Chemistry from Ege University, Izmir, Turkey in 2005. Since 2005, She has been with the Faculty of Arts and Sciences, Adnan Menderes University, where she is currently an Assistant Professor. Her main areas of research interest are Organic Synthesis, Organometallic Chemistry and Medicinal Chemistry.Her current research interests include Synthetic Organic Chemistry (new methodology; small molecule synthesis; heterocyclic chemistry; synthesis of oximes, thiosemicarbazones and hydrazones); design and synthesis of novel ligands and their metal complexes which may be used as “smart medicine” in cancer therapy and Organometallic Chemistry/Homogeneous and Heterogeneous Catalysis (ligand design and synthesis; design and synthesis transition metal complexes; transition metal catalysis).

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