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Abstract

In Vitro Cytotoxicity of 3,3′,4′,7-Tetrahydroxyflavone Derivatives in Human Osteosarcoma †

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Abstract: Osteosarcoma (OS) is the most common childhood bone sarcoma. Current therapies include preoperative neoadjuvant therapy, tumor resection, and postoperative adjuvant therapy. In cases of recurrent disease, this regimen is limited by poor overall survival rates; therefore, novel therapeutic agents are required. Recently, flavonoids have been reported to inhibit OS development, which suggests the therapeutic benefit of this type of molecules in OS. The aim of this study was to evaluate the cytotoxicity of 3,7,3′,4′-tetrahydroxyflavone compounds in OS. MG-63, Saos-2, HOS, and 143B human OS cell lines were incubated with six flavonoids, at final concentrations of 0–160 μM, for 48 h. After this period, the inhibition of OS cell proliferation and growth was evaluated by WST-8 and sulforhodamine B spectrophotometric assays. The most active inhibitors possessed triple hydroxylation at the B-ring, at C-3′, C-4′, and C-5′. In contrast, hydroxylation at C-5 of the A-ring resulted in poorer inhibition of cell proliferation and growth. These results reveal new substitutions to improve the cytotoxic activity of flavonoids and postulate further investigation of the cellular effects of these compounds in human OS.

Keywords: proliferation inhibition; flavonoids; bone cancer

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