Cytotoxic Activity of Eugenol Derivatives Against Cancer Cell Lines

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INTRODUCTION: Eugenol is a phenolic compound member of the phenylpropanoid class of substances. It is found in several aromatic plants and it is the main component of clover oil. This compound possesses several biological activities including bactericidal, antifungal, anti-inflammatory and anesthetic. Moreover, in the last decade relevant cytotoxic effects against different tumor cell lines have been described for eugenol. **OBJECTIVES:** Herein, it is the described the synthesis and cytotoxicity evaluation of twenty eight novel eugenol derivatives bearing 1,2,3-triazole functionalities. They were screened against human leukemias (HL60, Nalm6, and Jurkat) and murine metastatic melanoma (B16F10) cell lines. It was also evaluated the antimigratory and antiproliferative effects of the most cytotoxic compound against B16F10. **MATERIAL AND METHODS:** Cell lines were incubated with 0, 6.25, 12.5, 25, 50, 100, and 200 µM of each derivative for 48 h. After, 3-[4,5-dimethylthiazol-2-yl]-2,5-diphenyl tetrazolium bromide **(**MTT) cell viability assays were carried out to assess the cytotoxicity potential of the derivatives and to determine the the half maximal inhibitory concentration (IC_{50}) values. Trypan blue exclusion assays and wound healing assays were performed to evaluate, respectively, the effect of the most cytotoxic compound on tumor cell proliferation and migration *in vitro*. **RESULTS AND DISCUSSION:** We observed that five derivatives presented IC_{50} values lower than 100 µM against HL60. The most cytotoxic compound, named here as PGR-27, exhibited significant activity on all evaluated four cell lines. Furthermore, we noticed that PGR-27 impaired B16F10 metastatic cell migration and Jurkat cell proliferation in a time and concentration dependent manner. **CONCLUSION:** In conclusion, our data indicate that the synthesized eugenol derivatives possess promising chemoterapeutic potential. Further studies will be conducted in order to better evaluate the activity of these compounds *in vitro* and *in vivo*.

Keywords: eugenol, cancer, cytotoxicity.

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