In vitro Antitumour Activity of Orsellinates

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Lichen phenolic compounds exhibit antioxidant, antimicrobial, antiproliferative, and cytotoxic activities. The purpose of this study was to evaluate the anticancer activity of lecanoric acid, a secondary metabolite of the lichen *Parmotrema tinctorum*, and its derivatives, orsellinates, obtained by structural modification. A cytotoxicity assay was carried out *in vitro* with sulforhodamine B (SRB) using HEp-2 larynx carcinoma, MCF7 breast carcinoma, 786-0 kidney carcinoma, and B16-F10 murine melanoma cell lines, in addition to a normal (Vero) cell line in order to calculate the selectivity index of the compounds.

n-Butyl orsellinate was the most active compound, with IC_{50} values (the concentration that inhibits 50% of growth) ranging from 7.2 to 14.0 μg/mL, against all the cell lines tested. The compound was more active (IC_{50} = 11.4 μg/mL) against B16-F10 cells than was cisplatin (12.5 μg/mL). Conversely, lecanoric acid and methyl orsellinate were less active against all cell lines, having an IC_{50} value higher than 50 μg/mL. Ethyl orsellinate was more active against HEp-2 than against MCF7, 786-0, or B16-F10 cells. The same pattern was observed for *n*-propyl and *n*-butyl orsellinates. *n*-Pentyl orsellinate was less active than *n*-propyl or *n*-butyl orsellinates against HEp-2 cells. The orsellinate activity increased with chain elongation (from methyl to *n*-butyl), a likely consequence of an increase in lipophilicity. The results revealed that the structural modification of lecanoric acid increases the cytotoxic activity of the derivatives tested.

Key words: Orsellinates, Lecanoric Acid, Cytotoxic Activity