Short Communication

Antitumor-active lactones from *Kaunia rufescens* and *Eupatorium cannabinum*†

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Abstract

The sesquiterpene lactones, 2-oxoludartin and eupatoriopicrin, show cytotoxic activity against different tumors *in vitro*. However, no significant activity was observed *in vivo* (mouse), for 2-oxoludartin.

Keywords: 2-Oxoludartin, eupatoriopicrin, antitumor activity.

As reported earlier, several α-methylene-γ-butyrolactones have been isolated from *Kaunia rufescens* (Lund ex De Candolle), Syn. *Eupatorium rufescens*, grown in southern Brazil and used widely in folk medicine. One of these compounds, 2-oxoludartin (1), has been tested together with already known eupatoriopicrin (2) from *Eupatorium cannabinum* for tumor-inhibiting activity.

![Chemical structures of oxoludartin (1) and eupatoriopicrin (2).](image)

Oxoludartin (1)† possesses an α-methylene-γ-butyrolactone structure, in addition to α,β-epoxy group and both enable alkylation. The compound shows *in vitro* a broad activity against several types of cancer. The 50% inhibition was found at concentrations of ca. 10⁻⁵.4 molar (Doxorubicin 10⁻⁶.2 molar). An important activity for further investigation in stage II of the NCI (National Cancer Institute) program is on solid tumors such as colon, kidney and ovarian tumors. However, implantations in the mouse cells of the sensitive cell lines HL-60 (TB), NCI-522 (leukaemia) RXF-393 (kidney cancer), HCT-116 (colon cancer) as well as P 388 (leukaemia) could not be inhibited significantly.

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In vitro, eupatoriopicrin (2)\(^1,2,4\) also shows significant cytotoxic activity at a concentration of 10\(^{-5.2}\) molar, particularly against leukaemia tumor and ZNS tumor cells (V 251). For this compound, an activity against lung tumor cells has already been reported in the literature.\(^4\)

Acknowledgments

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