A077 Antioxidant and antileishmanial activity of piceatannol

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Euphorbia lagascae Spreng, an Euphorbiaceae, is a herbaceous plant found in the Southeast of Iberic Peninsula. Piceatannol (3,3',4',5-tetrahydroxystilbene) was isolated from the methanolic extract of its defatted seeds.

The effect of piceatannol on the paw oedema formation induced by carrageenan in rat and its effect on the cytotoxicity induced by hydrogen peroxide in isolated human fibroblasts have been evaluated. Rats were treated with piceatannol (5 mg/kg, p.o.) for 15 days. In one group, carragenaan was administered in the right paw. After 4 hours the paw volume was determined in a plethysmometer. Human fibroblasts cultured in 96-well plates were subject to H_2O_2 (3 mM) for 4 hours. Cellular viability was determined by MTT assay. All the comparisons were done by one-way ANOVA, followed by Bonferroni's assay (significant when $P<0.05$). In rats treated with piceatannol for two weeks, no significant inhibitory effect was observed on the paw oedema formation. In concentrations above 0.03 mM, a concentration-dependent protection against cellular injury H_2O_2 –induced was observed in human fibroblast. This compound has also shown a strong radical scavenging action against DPPH in a spectrophotometric assay. Piceatannol was also screened for its antileishmanial activity against *Leishmania donovani*, *L. infantum* and *L. major* promastigotes, showing IC_{50} values of 4.2, 3.9 and 5.7 µg/mL, respectively. An evaluation using intracellular *L. donovani* amastigotes persisting in RAW macrophages as host cells showed an IC_{50} of 7.4 µg/mL, whereas the cytotoxicity was assayed on a non-infected mammalian RAW-cell line (IC_{50} 5.7 µg /mL). It can be concluded that piceatannol has a radical scavenging and antioxidant action, protecting the cell against oxidative stress caused by H_2O_2 as well as moderate leishmanicidal activity.

A078 Study of the secondary metabolites of the methanol extract of *Euphorbia pubescens*. Evaluation of their antibacterial activity

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Plants of the genus *Euphorbia* have been the subject of many chemical and pharmacological studies because of their marked biological activity, e. g. antitumour, cytotoxic, antibacterial, antiviral and vascular effects, generally attributed to the presence of specific types of diterpenes (1, 2). *Euphorbia pubescens* Vahl is a perennial herb commonly distributed in Portugal and Spain whose chemical constituents have not yet been investigated.

The air-dried powdered plant was extracted with methanol. The crude extract was suspended in a methanol/water solution and extracted with hexane and ether. Fractionation of the ether extract yielded four diterpenes: one lathyrane (jolkinol A), two *ent*-abietane lactones (helioscopinolides A and B) and one jatrophane ester. We have also isolated two triterpenes, taraxerone and 24-methylenecycloartenol, in addition to 4-hydroxy-3-methoxy-benzaldehyde, indole-3-aldehyde and scopoletin. The structures have been elucidated by spectroscopic methods (UV, IR, MS, 1D and 2D NMR techniques).

Antibacterial activity has been evaluated using a bioautographic agar overlay method. The ether and hexane extracts, a few crude fractions and helioscopinolides A and B showed activity against *Staphylococcus aureus* 6538P (growth inhibition at 10 µg/spot for extracts and fractions, 2.5 µg/spot for helioscopinolides). When helioscopinolides were tested for their activity against *Escherichia* coli ATCC 25922 and *Pseudomonas aeruginosa* ATCC 27853, have shown to be inactive up to a dose of 40 µg/spot.

References: 1. Hohmann, J. et al. (2001) Tetrahedron Lett. 42: 6581-6584. **2.** Hohmann, J. et al. (2001) Tetrahedron 57: 211-215.