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Activity-Guided Isolation of Anti-Tumor Compounds from *Saussurea lappa* Clarke

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Saussurea lappa Clarke (Asteraceae) is native to China, India and Pakistan. Traditionally, decoctions of the roots have been used, amongst others, for abdominal pain, tenesmus, nausea and cancer [1]. Roots of *S. lappa* were acquired at the medicinal plant market in Kunming, China, and authenticated at the Kunming Institute of Botany. We investigated petroleum ether (PE) and methanolic (MeOH) extracts for their growth inhibition activity against CCRF-CEM leukemia cells, MDA-MB-231 breast and HCT 116 colon cancer cells and isolated the active principle.

To determine cell viability, we used the XTT viability assay. Extracts were tested at a concentration of 10 µg/ml. While the MeOH extract showed no activity against the used cancer cells, the PE extract exhibited strong growth inhibition. By means of HPLC and TLC analysis, it could be shown that the active extract contained two major compounds which could be isolated by preparative HPLC and identified as costunolide and dehydrocostus lactone by NMR measurements. Both were tested for their activity against cancer cells and IC₅₀ concentrations were determined: costunolide: CCRF-CEM: 13.5 µM, MDA-MB-231: 17.4 µM, HCT 116: 10.5 µM; dehydrocostus lactone: CCRF-CEM: 1.6 µM, MDA-MB-231: 15.0 µM, HCT 116: 17.5 µM. Both substances proved to be similar effective except that dehydrocostus lactone was ten times more active on CCRF-CEM leukemia cells than costunolide.

- [1] Duke, J.A., Ayensu, E.S.: Medicinal plants of China, Vol. 1, p.178, 1985, References Publications Inc, Algonac, MI, USA.