A3 DOI: 10.14232/fgykf.2022.a3

Isolation of cytotoxic phenoloids from leaves of Centrapalus pauciflorus

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Centrapalus pauciflorus (Willd.) H. Rob. (Astereaceae, synonym: Vernonia pauciflora) is a perennial plant native to West, East, Central and Southern Africa. It is used for medicinal purposes in the treatment of diabetes, chest pain, external injury, and stomach problems [1-3]. The aims of the present work were the isolation and structural characterization of specialised metabolites of *C. pauciflorus* which may have selective cytotoxic effects on cancer cell lines.

Powdered leaves (548 g) were extracted with methanol using percolation method. The extract was subjected to solvent partitioning with chloroform. The chloroform-soluble phase was fractionated on polyamide column using a gradient system of methanol—water (20%, 40%, 60%, 80%, 100%) as eluent to yield five fractions. All fractions were evaluated on ovarian (A2780), cervical (HeLa) and breast cancer (231 and MCF-7) cell lines for their anti-cancer properties using MTT assay. 60% MeOH fraction showed the best anti-cancer potentials on all cell lines evaluated and was selected for further phytochemical investigation. Compounds were purified by normal and reverse phase vacuum chromatography, flash chromatography, HPLC and preparative TLC. Structures were established using 1D and 2d NMR and HRMS data.

Phytochemical investigation of *C. pauciflorus* leaves led to the isolation of 50 compounds: 48 coumarins or chromones and they derivatives, and 2 flavonoids 34 of the isolated compounds are previously undescribed natural products. 24 compounds were evaluated on cancer cell lines. Coumarin VP-172 showed the best anti-cancer properties among the compounds evaluated.

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M. B. Saidu acknowledges the Stipendium Hungaricum scholarship program for financial support.

Supervisor: Dóra Rédei