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Cytotoxicity and anti-inflammatory activity of the constituents from the roots of *Pentas schimperi*

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A coumarin, cleomiscosin A and nine anthraquinones derivatives were isolated from the roots of Pentas schimperi. Their structures were elucidated by spectroscopic techniques (^{1}H NMR, ^{13}C NMR, HSQC, HMBC, ^{1}H-^{1}H COSY), mass spectrometry (EIMS, HREIMS) and by comparison with published data. The compounds were identified as 3-hydroxy-1-methoxy-2-methylanthraquinone (1), 2-hydroxymethylanthraquinone (2), schimperiquinone B (3), cleomiscosin A (4), damnacanthal (5), 1,2-dihydroxy anthraquinone (6), damnacanthol (7), 3-hydroxy-2-hydroxymethyl anthraquinone (8) for the isolated compounds and as 1-hydroxy-2-methoxyanthraquinone (9) and 2-hydroxymethyl-3-O-prenylanthraquinone (10) for the semi-synthetic derivatives. The derivative was characterized here for the first time. The cytotoxicity of compounds 3, 5, 7 and 8 against nine drug-sensitive and multidrug resistant (MDR) cancer cell lines was assessed. Compounds 5 and 7 displayed cytotoxic effects with IC50 values below 81 µM on all the nine tested cancer cell lines whilst 3 and 8 compounds displayed selective activities. The recorded IC_{50} values for compounds 5 and 7 ranged from 3.12 µM and 12.18 µM (towards leukemia CCRF-CEM cells) and from 30.32 µM and 80.11 µM (towards gliobastoma U87MG.*ΔEGFR* cells) respectively and from 0.20 µM (against CCRF-CEM cells) to 195.12 µM (against CEM/ADR5000 cells) for doxorubicin. Compounds 5 and 7 induced apoptosis in CCRF-CEM leukemia cells, mediated by the disruption of the MMP and increase in ROS production. The anti-inflammatory activity of a coumarin (4) and nine anthraquinones derivatives were determined. The anti-15-lipoxygenase activity and on nitric oxide (NO) production in lipopolysaccharide (LPS)-activated macrophages RAW 264.7 cells were determined. The Griess assay was used to measure nitric oxide production and the ferrous oxidation-xylenol orange assay was used to determine the 15-lipoxygenase inhibitory activity. All the compounds significantly decreased nitrite+nitrate accumulation in LPS-stimulated RAW 264.7 cells in concentration dependent manner with 85.67% to 119.75% inhibition of NOx production at 20 µg per mL. Most of the compounds had moderate inhibitory effect on 15-LOX activity. Compounds 8 and 10 were the more potent inhibitor both in NOx production with respective IC50 values of 1.56 µM and 6.80 µM. Compounds 2, 7 and 8 had good anti-15-lipoxygenase activity with respective IC50 values of 13.80 µM, 14.80 µM and 15.80 µM compared to quercetin, which was used as a standard LOX inhibitor (IC50 of 16.80 µM). Anthraquinones from *Pentas schimperi* and mostly damnacanthal, damnacanthol are potential cytotoxic natural products that deserve more investigations to develop novel antineoplastic drugs against multifactorial drug resistant cancers. Our study revealed also damnacanthol and 3-hydroxy-2-hydroxymethyl anthraquinone as potent inhibitor of both anti-15-lipoxygenase activity and nitric oxide (NO) production.

Biography

Nanfack Donfack Arno Rusel has completed his PhD degree under the supervision of Professor Pierre Tane in Organic Chemistry at the University of Dschang, Cameroon (2014), where he is currently working as a Research and Teaching Assistant at the Department of Chemistry. He has published about 5 papers in reputed journals and has been serving as Reviewer in *BMC Complementary and Alternative Medicine*.

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