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6α-Hydroxy-α-toxicarol and (+)-tephrodin with antiplasmodial activities from *Tephrosia species*

Lois Muiva-Mutisya [a], Bernard Macharia [a], Matthias Heydenreich [b], Andreas Koch [b], Hoseah M. Akala [c], Solomon Derese [a], Leonidah K. Omosa [a], Amir O. Yusuf [a], Edwin Kamau [c], Abiy Yenesew [a,*]

[a] *Department of Chemistry, University of Nairobi, P.O. Box 30197-00100, Nairobi, Kenya*
[b] *Institut für Chemie, Universität Potsdam, Karl-Liebknecht-Str. 24-25, D-14476 Potsdam, Germany*
[c] *Global Emerging Infections Surveillance (GEIS) Program, United States Army Medical Research Unit-Kenya (USAMRU-K), Kenya Medical Research Institute (KEMRI) – Walter Reed Project, Kisumu and Nairobi, Kenya*

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ABSTRACT

The CH_2Cl_2/MeOH (1:1) extract of the roots of *Tephrosia villosa* showed good antiplasmodial activity against the chloroquine-sensitive (D6) and chloroquine-resistant (W2) strains of *Plasmodium falciparum* with IC_{50} values of 3.1 ± 0.4 and 1.3 ± 0.3 μg/mL, respectively. Chromatographic separation of the extract yielded a new rotenoid, 6α-hydroxy-α-toxicarol, along with five known rotenoids, (rotenone, deguelin, sumatrol, 12a-hydroxy-α-toxicarol and villosinol). Similar treatment of the extract of the stem of *Tephrosia purpurea* (IC_{50} = 4.1 ± 0.4 and 1.9 ± 0.2 μg/mL against D6 and W2 strains of *P. falciparum*, respectively) yielded a new flavone having a unique substituent at C-7/C-8 [trivial name (+)-tephrodin], along with the known flavonoids tachrosin, obovatin methyl ether and derrone. The relative configuration and the most stable conformation in (+)-tephrodin was determined by NMR and theoretical energy calculations. The rotenoids and flavones tested showed good to moderate antiplasmodial activities (IC_{50} = 9 – 23 μM). Whereas the cytotoxicity of rotenoids is known, the flavones (+)-tephrodin and tachrosin did not show significant cytotoxicity (IC_{50} > 100 μM) against mammalian African monkey kidney (vero) and human larynx carcinoma (HEp2) cell lines.