

Antiplasmodial and Larvicidal Flavonoids from the Seedpods of *Tephrosia elata* and *Tephrosia aequilata*

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ABSTRACT

The genus *Tephrosia* is rich in flavonoids and isoflavonoids including rotenoids. In the search for compounds with antiplasmodial and larvicidal activities from medicinal plants, the seedpods of *Tephrosia elata* and *Tephrosia aequilata* were analyzed. The dried and ground seedpods of *T. elata* and *T. aequilata* were extracted separately with CH₂Cl₂/MeOH (1:1) by cold percolation for 24 hours at room temperature. The crude extracts showed significant antiplasmodial activities with IC₅₀ values of 8.4 ± 0.3 and 8.6 ± 1.0 µg/ml for *T. elata*, and 1.5 ± 0.2 µg/ml and 22.4 ± 5.2 µg/ml for *T. aequilata*, against chloroquine-sensitive (D6) and chloroquine-resistant (W2) strains of *Plasmodium falciparum*, respectively. The crude seedpods extract of *T. elata* also showed larvicidal activity against the mosquito larvae of *Aedes aegypti* with LC₅₀ of 68.9 ± 0.3 µg/ml at 24 hours and 40.2 ± 0.2 µg/ml at 48 hours. Chromatographic separation of the CH₂Cl₂/MeOH (1:1) extract of the seedpods of *T. elata* led to the isolation of seven compounds. These were identified as the β-hydroxydihydrochalcone (*S*)-(-)-3',4'-(2'',2''-dimethylpyrano)-2'',-dihydroxy-6'-methoxydihydrochalcone, trivial name elatadihydrochalcone (**1**); the chalcone obovatachalcone (**3**); the flavanones, obovatin (**4**) and obovatin methyl ether (**5**); the rotenoids deguelin (**6**) and rotenone (**7**). The CH₂Cl₂/MeOH (1:1) crude seedpods extract of *T. aequilata* yielded three known compounds, obovatin methyl ether (**5**), (*E*)-praecansone A (**8**) and demethylpraecansone B (**9**). Elatadihydrochalcone (**1**) is a novel compound and is the first of its kind in the genus *Tephrosia*. The presence of β-hydroxy group was confirmed by the preparation of the mono acetate, β-acetoxyelatadihydrochalcone (**2**). Obovatachalcone (**3**), obovatin (**4**), deguelin (**6**) and rotenone (**7**) are reported here for the first time from *T. elata*. The identification of these compounds was based on spectroscopic techniques (¹H NMR, ¹³C NMR, HMBC, HMQC, COSY, DEPT, nOe, UV and MS). The stereochemistry in elatadihydrochalcone (**1**) was

determined from CD spectrum. The isolated compounds from *T. elata* were tested for antiplasmodial activities. The novel compound, elatadihydrochalcone (**1**) showed antiplasmodial activity with $IC_{50} = 2.8 \pm 0.3 \mu\text{g/ml}$ and $5.5 \pm 0.3 \mu\text{g/ml}$ against (D6) and (W2), respectively. Obovatin methyl ether (**5**) showed activity against (D6) and (W2) strains of *P. falciparum* with IC_{50} value of 3.8 ± 0.3 and $4.4 \pm 0.6 \mu\text{g/ml}$, respectively. Praecansone A (**8**) showed antiplasmodial activity with IC_{50} value of $6.6 \pm 1.1 \mu\text{g/ml}$ and $6.4 \pm 1.0 \mu\text{g/ml}$ against D6 and W2 respectively. Deguelin (**6**) and rotenone (**7**) together showed larvicidal activity against 3rd instar mosquito larvae of *Aedes aegypti* with LC_{50} value of $7.6 \pm 0.4 \mu\text{g/ml}$ at 24 hours.