

ABSTRACT

Three novel compounds; two polymethoxylated flavonoids, 5,7,4'-trihydroxy-3,8,3',5'-tetramethoxyflavone (1), 5,7,3'-trihydroxy-3,8,4',5'-trimethoxyflavone (2), and a clerodane diterpenoid; 8-acetoxyisochiliolide lactone (3) were characterized from the leaf exudates of *Microglossa pyrifolia*. In addition, three known polymethoxylated flavonoids including; 5,7,4'-trihydroxy-3,8,3'-trimethoxyflavone (4), 5,3,4'-trihydroxy-3,7,8-trimethoxyflavone (5), 5,3,4'-trihydroxy-7-methoxyflavanone (6) and a clerodane diterpenoid; 7,8-epoxyisochiliolide lactone (7) were identified. Their structures were determined on the basis of spectroscopic evidence. All the compounds did not exhibit antiplasmodial and antimicrobial activities at 47.6 $\mu\text{g}/\text{mL}$ and were not cytotoxic at 5 $\mu\text{g}/\text{mL}$. Compound 6 exhibited modest antileishmanial activity with IC₅₀ value of 13.13 $\mu\text{g}/\text{mL}$ with 5 and 7 showing activities with IC₅₀ values of 31.13 and 38.00 $\mu\text{g}/\text{mL}$, respectively, therefore inactive. The flavonoids (quercetin derivatives, 4 and 5) showed similar antioxidant activities, using 2,2-diphenylpicrylhydrazyl (DPPH) assay, with IC₅₀ values of 6.2 ± 0.3 $\mu\text{g}/\text{mL}$ for 4 (17.3 μM) and 5 (17.8 μM) respectively. These activities were comparable to that of the standard quercetin (IC₅₀ value of 6.0 ± 0.2 $\mu\text{g}/\text{mL}$ (19.9 μM)), irrespective of methylation of the characteristic hydroxyl groups expected to be responsible for activity and additional substitution at C-8 in ring A of the flavonoid ring. These studies revealed that the presence of an hydroxyl group at C-4' positions and oxygenation at C-3 in flavone skeleton, appears to be necessary for good antioxidant activities as encountered in compounds 1, 4 and 5. Substantial reduction in antioxidant activity was shown by methoxylation of the 4'-OH as observed in compound 2 with an IC₅₀ value of 8.79 ± 0.3 $\mu\text{g}/\text{mL}$ (24.4 μM).