Abstract

Chromatographic separation of *Acridocarpus chloropterus* extract led to the isolation and identification of five triterpenes: β-sitosterol (**1**), stigmasterol (**2**), friedelin (**3**), oleanolic acid (**4**), ursolic acid (**5**); and five flavonoids: apigenin (**6**), luteolin (**7**), vitexin (**8**), kaempferol (**9**) and quercetin (**10**). Quercetin (**10**) exhibited moderate *in vitro* anti-plasmodial activity (IC50 2.6+0.05 μg/ml) while the rest of compounds were inactive. Mild to weak *in vitro* anti-trypanosomal activity was observed in quercetin (**10**) (IC50 3.60+0.1 μg/ml), ursolic acid (**5**) (IC50 7.80+0.1 μg/ml) and apigenin (**6**) (IC50 9.0+0.1 μg/ml). Ursolic acid (**5**) exhibited strong *in vitro* anti-leishmanial activity (IC50 0.80+0.001 μg/ml) while oleanolic acid (**4**), apigenin (**6**), kaempferol (**9**) and quercetin (**10**) showed moderate to mild activity (2.10+0.1, 2.20+0.1, 5.90+ 0.1 and 3.5+0.2 μg/ml, respectively) whereas favorable selectivity was observed with all flavonoids. Structure-activity-relationship (SAR) comparison of the isolated triterpenoids confirmed that the hydroxyl group at C-3 together with C-23, C-25, C-26 and C-30 methyl groups, C-12/C-13 double bond, the C-28 carboxylic acid group, and H-20 in ursolic acid (**5**) and related compounds are all responsible for the strong anti-leishmanial activity. The 3-OH and 3′-OH in the apigenin (**6**) and related compounds are responsible for the strong anti-protozoal activity observed in the isolated flavonoids. The strong to moderate anti-leishmanial activity of the isolated triterpenes and flavonoids make them good candidates or templates for new anti-protozoal drug devel