The antiplasmodial activity of isolates from Ajuga remota

Kuria, KA; Chepkwony, H; Govaerts, C; Roets, E; Busson, R; De Witte, P; Zupko, I; Hoornaert, G; Quirynen, L; Maes, L; Janssens, L; Hoogmartens, J; Laekeman, G

Abstract:

Ajuga remota is the most frequently used medicinal herb for malaria treatment in Kenya. Its two known isolates ajugarin-1 (1) and ergosterol-5,8-endoperoxide (3) and a new isolate 8-O-acetylharpagide (2) were evaluated for their in vitro antiplasmodial activity. Ajugarin-1 was moderately active, with an IC(50) of 23.0 +/- 3.0 microM, as compared to chloroquine (IC(50) = 0.041 +/- 0.003 microM) against the chloroquine-sensitive (FCA 20/GHA) strain of Plasmodium falciparum. Ergosterol-5,8-endoperoxide was about 3x as potent (IC(50) = 8.2 +/- 1.1 microM), while 8-O-acetylharpagide, whose structure was established by spectroscopic evidence, was inactive. Both ajugarin-1 and ergosterol-5,8-endoperoxide did not exhibit cytotoxicity against A431 (skin carcinoma) cell line, but 8-O-acetylharpagide was significantly cytotoxic. This iridoid glucoside, which has been formerly isolated from Ajuga decumbens, was identified in A. remota for the first time.