Antitrypanosomal isothiocyanate and thiocarbamate glycosides from Moringa peregrina

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O-Methyl (1), O-ethyl (2), and O-butyl (3) 4-[(α-L-rhamnosyloxy) benzyl] thiocarbamate (E), along with 4-(α-L-rhamnosyloxy) benzyl isothiocyanate (4) have been isolated from the aerial parts of Moringa peregrina. The compounds were tested for in vitro activity against Trypanosoma brucei rhodesiense and cytotoxicity in rat skeletal myoblasts (L6 cells). The most potent compound was 4 with an IC50 of 0.10 ţM against T.b. rhodesiense and a selectivity index of 73, while the thiocarbamate glycosides 1, 2, and 3 showed only moderate activity. Intraperitoneal administration of 50 mg/kg body weight/day of 4 in the T.b. rhodesiense STIB 900 acute mouse model revealed significant in vivo toxicity. Administration of 10 mg/kg body weight/day resulted in a 95% reduction of parasitemia on day 7 postinfection, but did not cure the animals. Because of its high in vitro activity and its ability to irreversibly inhibit trypanothione reductase, an attractive parasite-specific target enzyme, 4-[(α-L-rhamnosyloxy) benzyl] isothiocyanate (4), can be considered as a lead structure for the development and characterization of novel antitrypanosomal drugs.
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