

Publication

Antitrypanosomal activity of sesquiterpene lactones from Helianthus tuberosus L. including a new furanoheliangolide with an unusual structure

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As part of our efforts to exploit the antitrypanosomal potential of sesquiterpene lactones (STL) from; Helianthus tuberosus; L. (Asteraceae), besides the known 4,15-; iso; -atriplicolide tiglate, -methacrylate and -isobutyrate, a hitherto unknown STL was isolated. Its structure was solved by extensive NMR measurements and confirmed by single crystal X-ray crystallography. This novel compound is a structural analog 4,15-; iso; -atriplicolide tiglate that possesses the same basic furanoheliangolide skeleton but differs in the position of the oxo function which is at C-2 instead of C-1, as well as in the fact that the oxygen atom of the furanoid ring is part of a hemiketal structure at C-3 and a double bond between C-5 and C-6. For this new STL we propose the name heliantuberolide-8-; O; -tiglate. Its activity against; Trypanosoma brucei rhodesiense; (causative agent of East African Human Typanosomiasis,; Trypanosoma cruzi; (Chagas Disease),; Leishmania donovani; (Visceral Leishmaniasis) and; Plasmodium falciparum; (Tropical Malaria) as well as cytotoxicity against rat skeletal myoblasts (L6 cell line) was determined along with those of the hitherto untested 4,15-iso-atriplicolide methacrylate and isobutyrate. In comparison with the iso-atriplicolide esters, the new compound showed a much lower level of bioactivity.

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