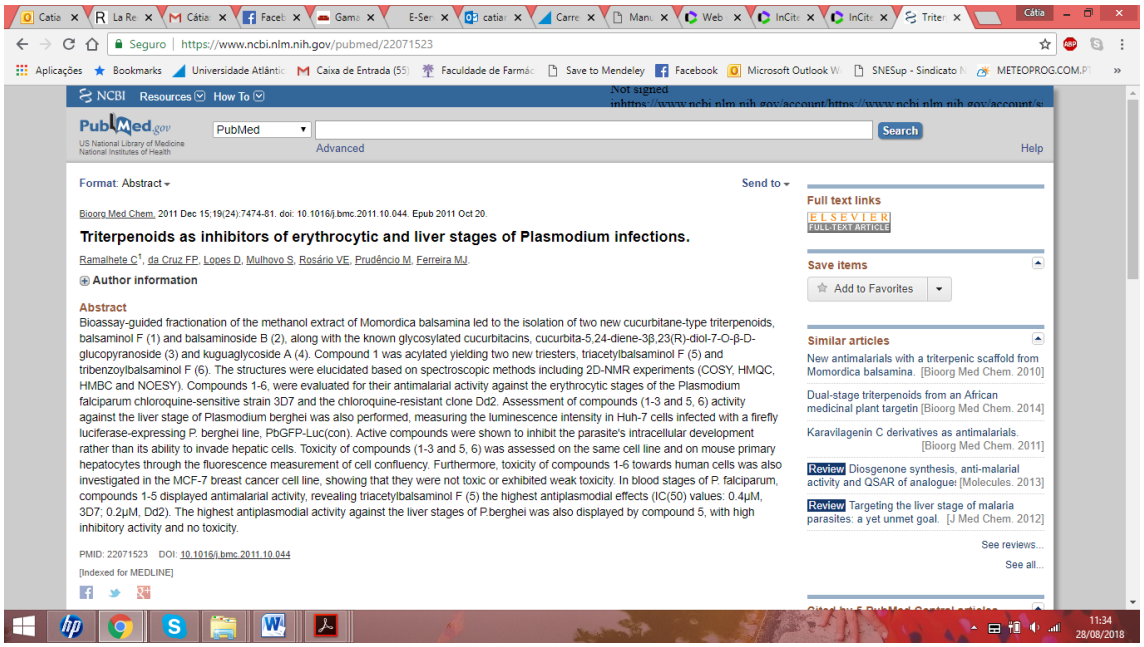
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Triterpenoids as inhibitors of erythrocytic and liver stages of Plasmodium infections.
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Abstract
Bioassay-guided fractionation of the methanol extract of Momordica balsamina led to the isolation of two new cucurbitane-type triterpenoids, balsaminol F (1) and balsaminoside B (2), along with the known glycosylated cucurbitacins, cucurbita-5,24-diene-3β,23(R)-diol-7-O-β-D-glucopyranoside (3) and kuguaglycoside A (4). Compound 1 was acylated yielding two new triesters, triacetylbalsaminol F (5) and tribenzoylbalsaminol F (6). The structures were elucidated based on spectroscopic methods including 2D-NMR experiments (COSY, HMQC, HMBC and NOESY). Compounds 1-6, were evaluated for their antimalarial activity against the erythrocytic stages of the Plasmodium falciparum chloroquine-sensitive strain 3D7 and the chloroquine-resistant clone Dd2. Assessment of compounds (1-3 and 5, 6) activity against the liver stage of Plasmodium berghei was also performed, measuring the luminescence intensity in Huh-7 cells infected with a firefly luciferase-expressing P. berghei line, PbGFP-Luc(con). Active compounds were shown to inhibit the parasite's intracellular development rather than its ability to invade hepatic cells. Toxicity of compounds (1-3 and 5, 6) was assessed on the same cell line and on mouse primary hepatocytes through the fluorescence measurement of cell confluency. Furthermore, toxicity of compounds 1-6 towards human cells was also investigated in the MCF-7 breast cancer cell line, showing that they were not toxic or exhibited weak toxicity. In blood stages of P. falciparum, compounds 1-5 displayed antimalarial activity, revealing triacetylbalsaminol F (5) the highest antiplasmodial effects (IC(50) values: 0.4μM, 3D7; 0.2μM, Dd2). The highest antiplasmodial activity against the liver stages of P.berghei was also displayed by compound 5, with high inhibitory activity and no toxicity.
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