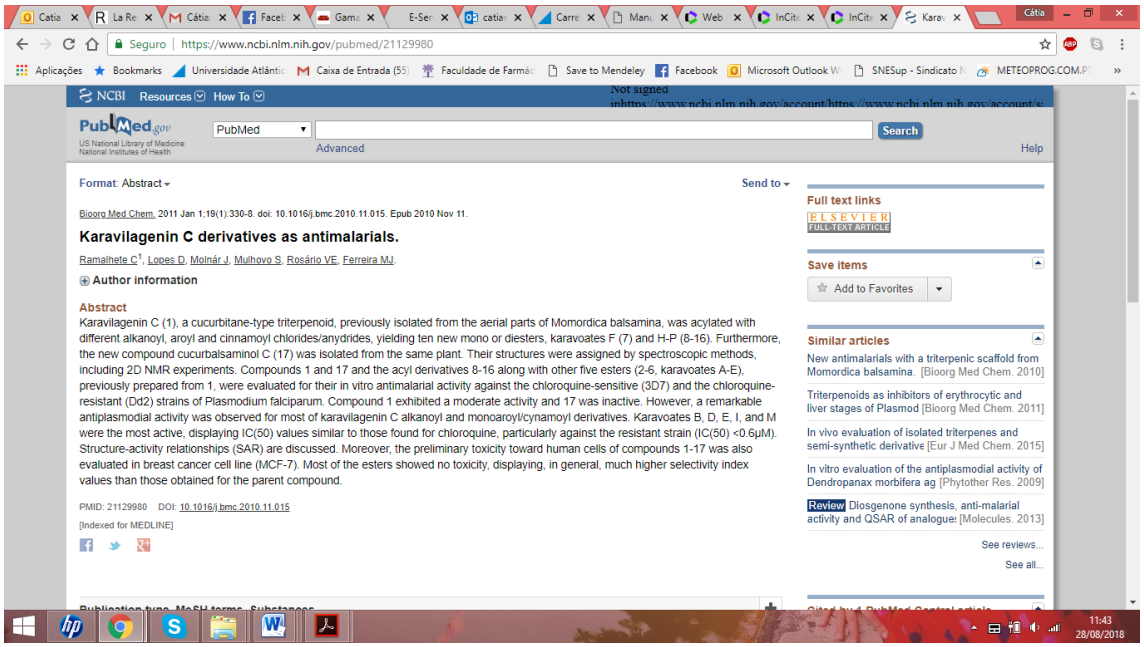
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Karavilagenin C derivatives as antimalarials.
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Abstract
Karavilagenin C (1), a cucurbitane-type triterpenoid, previously isolated from the aerial parts of Momordica balsamina, was acylated with different alkanoyl, aroyl and cinnamoyl chlorides/anydrides, yielding ten new mono or diesters, karavoates F (7) and H-P (8-16). Furthermore, the new compound cucurbalsaminol C (17) was isolated from the same plant. Their structures were assigned by spectroscopic methods, including 2D NMR experiments. Compounds 1 and 17 and the acyl derivatives 8-16 along with other five esters (2-6, karavoates A-E), previously prepared from 1, were evaluated for their in vitro antimalarial activity against the chloroquine-sensitive (3D7) and the chloroquine-resistant (Dd2) strains of Plasmodium falciparum. Compound 1 exhibited a moderate activity and 17 was inactive. However, a remarkable antiplasmodial activity was observed for most of karavilagenin C alkanoyl and monoaroyl/cynamoyl derivatives. Karavoates B, D, E, I, and M were the most active, displaying IC(50) values similar to those found for chloroquine, particularly against the resistant strain (IC(50) <0.6μM). Structure-activity relationships (SAR) are discussed. Moreover, the preliminary toxicity toward human cells of compounds 1-17 was also evaluated in breast cancer cell line (MCF-7). Most of the esters showed no toxicity, displaying, in general, much higher selectivity index values than those obtained for the parent compound.
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