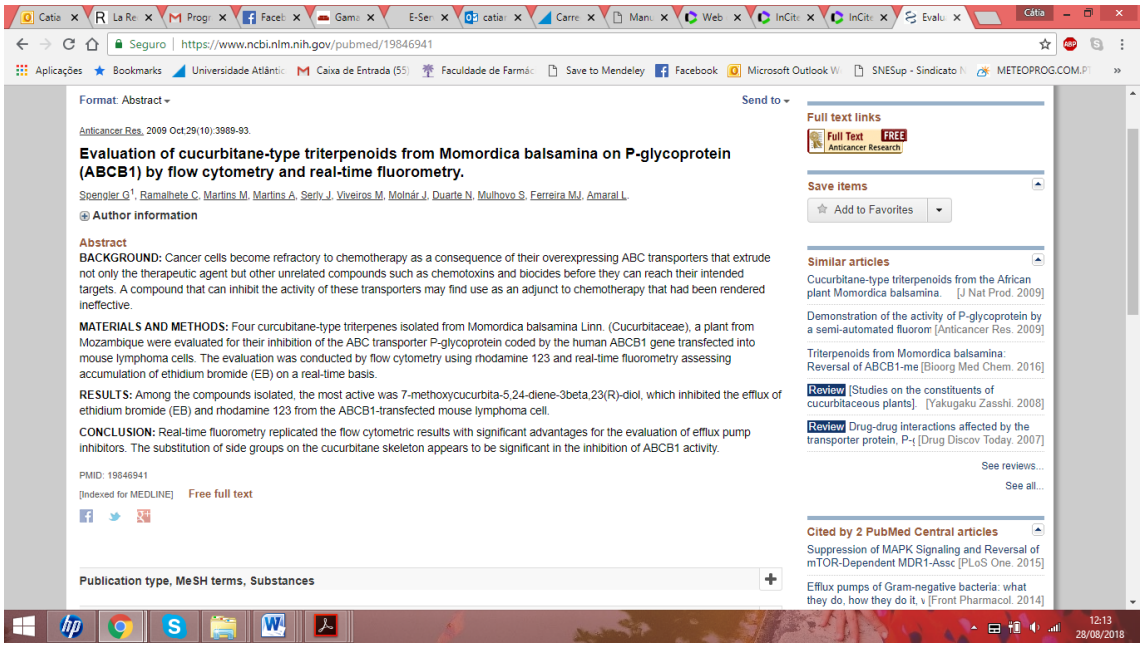
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Anticancer Res. 2009 Oct;29(10):3989-93.
Evaluation of cucurbitane-type triterpenoids from Momordica balsamina on P-glycoprotein (ABCB1) by flow cytometry and real-time fluorometry.
Spengler G[1], Ramalhete C, Martins M, Martins A, Serly J, Viveiros M, Molnár J, Duarte N, Mulhovo S, Ferreira MJ, Amaral L.
Author information
Abstract
BACKGROUND: Cancer cells become refractory to chemotherapy as a consequence of their overexpressing ABC transporters that extrude not only the therapeutic agent but other unrelated compounds such as chemotoxins and biocides before they can reach their intended targets. A compound that can inhibit the activity of these transporters may find use as an adjunct to chemotherapy that had been rendered ineffective.
MATERIALS AND METHODS: Four curcubitane-type triterpenes isolated from Momordica balsamina Linn. (Cucurbitaceae), a plant from Mozambique were evaluated for their inhibition of the ABC transporter P-glycoprotein coded by the human ABCB1 gene transfected into mouse lymphoma cells. The evaluation was conducted by flow cytometry using rhodamine 123 and real-time fluorometry assessing accumulation of ethidium bromide (EB) on a real-time basis.
RESULTS: Among the compounds isolated, the most active was 7-methoxycucurbita-5,24-diene-3beta,23(R)-diol, which inhibited the efflux of ethidium bromide (EB) and rhodamine 123 from the ABCB1-transfected mouse lymphoma cell.
CONCLUSION: Real-time fluorometry replicated the flow cytometric results with significant advantages for the evaluation of efflux pump inhibitors. The substitution of side groups on the cucurbitane skeleton appears to be significant in the inhibition of ABCB1 activity.
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