

NEW 5 β ,6 β -EPOXYSTEROIDS WITH CYTOTOXIC ACTIVITY

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The synthesis of 5 β ,6 β -epoxides from Δ^5 -steroids is a very important reaction since the former functionality is present in a number of biologically active steroids. Thus, natural occurring compounds such as Withaferin A [1], Withanolide D [2], Jaborosalactone A [3] or marine steroids isolated from *C. viridis* [4], all possess 5 β ,6 β -epoxide functions and have demonstrated antitumor activity.

Steroidal 5 β ,6 β -epoxides can easily be obtained from Δ^5 -steroids by use of numerous potassium permanganate-metal sulfate and nitrate systems for the oxidation of C-C double bonds [5]. Starting with 16-dehydropregnenolone acetate, new compounds were synthesized as promising antitumoral agents.

Compounds 1 and 2 (Fig. 1) showed good cytotoxic activity against HT-29 colon cancer cells, with an IC₅₀ of 181 and 20 μ M respectively, in preliminary studies. Further studies of cytotoxic activity against lung cancer cell lines, such as A549, are currently in progress.

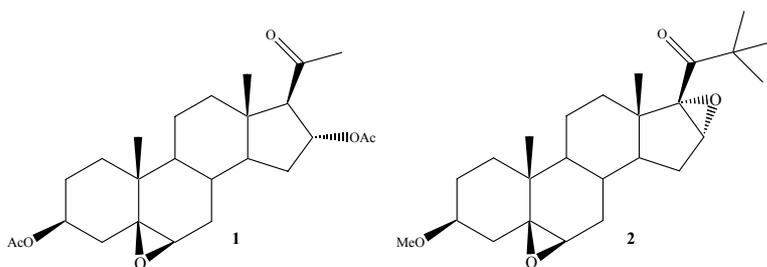


Fig. 1

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