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Synthesis and evaluation of antiandrogenic activity *in vitro* of novel steroidal 17β-cyclohexylamide

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In the present study several androstane analogues (2-5) were synthesized and evaluated in vitro for its binding capacity to the androgen receptors (AR) obtained from rat's prostate cytosol. The analogues were designed for inhibit the 5α-reductase (5α-R) enzyme, since have been deduced some structural requirements such as bulky and lipophilic groups, which could enhance the inhibitory activity. Nevertheless, the binding capacity to the AR is an important result to establish androgenic activity and its side effects. The compounds (2-5) were synthesized from 16-Dehydropregnenolone acetate as the starting material, which was modified by conventional procedures in order to introduce a cyclohexyl group in C17-position. The synthetic route performed (Scheme 1) started from 16-Dehydropregnenolone acetate (1), yielded the carboxylic acid (2) using the bromoform reaction (Br_2/NaOH). Alcohol group in C3 was protected (2) carried out by acetylation reaction afforded compound (3), compound 3 was treated with thionyl chloride (SOCl2) afforded the acyl chloride (4), which was reacted with cyclohexylamine afforded the novel compound (5), (3β-acetoxiandrostan-5,16-dien-17-cyclohexylamide). The reactions were monitored by thin layer chromatography, and were revealed by UV (254 nm) and cobalt chloride with subsequent heating at 100^{0}C. The results from these experiments indicated that the novel steroid did not bind to the AR.

Biography

Lopez-Lezama Juan currently pursues Ph.D. studies in Pharmaceutical Sciences from the National University of Colombia. He has graduate studies in chemistry of natural product. He is part of a team research in medicinal chemistry, which looking for new steroidal compounds with antiandrogenic activity.

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