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5α-Steroidal hydrazones: Synthesis and biological activity

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Several new 5α -steroidal hydrazones have been synthesized and examined for their biological activities. The starting compound for the synthesis was tigogenin, isolated from the plant *Jucca gloriosa* (family Liliaceae) introduced in Georgia. The condensation of 3β -hydroxy- 5α -pregn-16-en-20-one with isonicotinoylhydrazide or p-nitrophenylhydrazine at room temperature in ethanol in the presence of a catalytic amount of glacial acetic acid gives corresponding hydrazone, whereas treatment of the same ketones with phenylhydrazine, p-bromophenyl-, p-chlorophenyl- or p-methylphenylydrazine in the same conditions produces just product of their intramolecular cyclyzation – pyrazolines. Obtained steroidal isonicotinoylhydrazones readily cyclizes on heating to 5α -androstano-[17,16-d]-pyrazolines, whereas in the same conditions cyclization of p-nitrophenylhydrazones did not proceed. It can be explained by the effect of electron withdrawing substituent at the amine nitrogen atom of the hydrazone fragment of p-nitrophenylydrazones, which prevents process of their cyclization. Reaction of epiandrosterone and 5α -androstan-3,17-dione with isonicotinoylhydrazide, m-nitrobenzhydrazide or m-bromobenzhydrazide yields corresponding hydrazones.

All synthesized hydrazones and pyrazolines have been examined for their biological activities. Isonicotinoylhydrazone of epiandrosterone revealed high antituberculousis activity against *M.tuberculosis* H37Rv and can be considered as promising antituberculosis agent. Bis-hydrazones of 5α -androstan-3,17-dione showed high anticancer and anti-HIV activity.

Biography

Maia Merlani has completed her PhD from Tbilisi State University. She is a senior research scientist at Tbilisi State Medical University. Her field of interest is a chemistry and synthesis of biologically active compounds. She is the author of more than 50 papers in reputed journals and presentations at 60 international scientific conferences. She was granted Georgian Presidential scholarship for young scientists (1997), NATO scholarship (2002, 2003) and Matsumae International foundation scholarship (2013). She is a member of organizing committee of several international conferences in the field of organic and pharmaceutical Chemistry.

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