

Diosgenin

In an endeavour to develop potent anti-tumor agents from diosgenin, a series of C-6 derived 1,2,3-triazolyl derivatives were designed and synthesized by employing Cu(I) catalyzed Huisgen 1,3-dipolar cycloaddition reaction of novel azides - (22R,25R)-6 β -azidospirostan-3 β ,5 α -diol and 6 β -azido-7 α -hydroxyspirosta-1,4-dien-3-one with aryl(hetaryl)alkynes. All the derivatives were evaluated for cytotoxic activity by MTT assay against eight different human cancer cell lines: T-cellular leucosis (CEM-13), human monocytes (U-937), breast (MDA-MB-231, BT-474), prostate (DU-145) and glioblastoma (U-87MG, SNB-19, T98G). The results of this study suggested that 6-(4'-aryl-1',2',3'-triazolyl)spirostan-3,5-diols 2, 3, 4, 5 and 6 possessed a promising cytotoxic potential. The corresponding 6-substituted 7-hydroxy-1,4-spirostadien-3-ones shown less cytotoxicity on the human cancer cells. Compounds 2, 3, 4, and 5 which demonstrated high growth inhibition against glioma cancer cells U-87 and T98G, and also on the human-derived N118669 primary glioblastoma cell line (with GI50 values in the range of 5-9 μ M), were not affected the growth of SNB-19 cells. The data revealed that phenyl, 4-methoxyphenyl, 4-fluorophenyl, 3,4,5-trimethoxyphenyl or 2-pyridinyl substituent in the triazole moiety at the C-6 position significantly improved the anti-tumor activity. The mentioned position at the spirostan core may be favourable for the synthesis of potent anticancer leads from diosgenin ¹⁾.

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Mironov ME, Oleshko OS, Pokrovskii MA, Rybalova TV, Pechurov VK, Pokrovskii AG, Cheresis SV, Mishinov SV, Stupak VV, Shults EE. 6-(4'-Aryl-1',2',3'-triazolyl)-spirostan-3,5-diols and 6-(4'-Aryl-1',2',3'-triazolyl)-7-hydroxyspirosta-1,4-dien-3-ones: Synthesis and analysis of their cytotoxicity. *Steroids*. 2019 Jul 22;108460. doi: 10.1016/j.steroids.2019.108460. [Epub ahead of print] PubMed PMID: 31344410.

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