



Synthesis And Comparative Bioevaluation Of Aliphatic And Aromatic Triazolyl Derivatives Of Ursolic Acid As Anticancer Agents.

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ABSTRACT

Two series of ursolic acid triazolyl (aliphatic and aromatic) congeners have been designed and synthesized to screen them as anticancer agents. First series of triazolyl derivatives (Aliphatic) was obtained by employing regioselective approach of Huisgen 1,3 –dipolar cycloaddition reaction of ursolic acid – alkyne with aliphatic azides formed from different alcohols whereas the second series of aromatic triazolyl derivatives was obtained by using similar approach but using aromatic azides formed from different anilines through diazotisation process followed by displacement with sodium azide. The structures were confirmed by using various spectral techniques (¹H NMR, ¹³C NMR, IR and MS analysis) the compounds were evaluated for the anticancer activity against a panel of four human cancer cell lines A-549(Lung), MCF-7(Breast), HCT-116(Colon), THP-1(Leukemia) and normal human epithelial cell line (FR-2) using sulforhodamine- B assay. The pharmacological studies have shown that all triazolyl-derivatives (aliphatic and aromatic) exhibited more anticancer activities than parent ursolic acid. The aromatic triazolyl derivatives were more active than the aliphatic congeners.

Keywords: Anticancer agents, Sulforhodamine, ursolic acid.
