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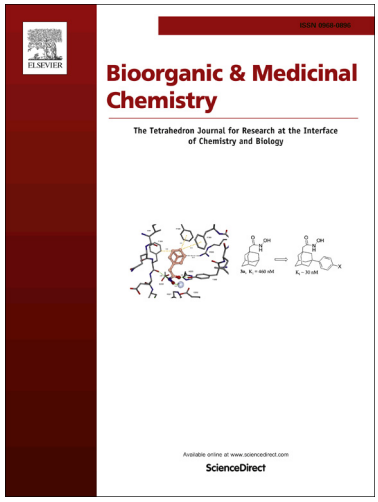

New indolizines with phenanthroline skeleton: synthesis, structure, antimycobacterial and anticancer evaluation

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ACCEPTED MANUSCRIPT

Title

New indolizines with phenanthroline skeleton: synthesis, structure, antimycobacterial and anticancer evaluation

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Abstract

We report herein a feasible study concerning the design, synthesis, structure and *in vitro* antimycobacterial and anticancer activity of two new classes (containing four and five fused rings) of indolizine with phenanthroline skeleton. The preparation is straight and efficient, involving a Huisgen [3+2] dipolar cycloaddition of cycloimmonium ylides to alkynes or alkenes dipolarophiles. The cycloaddition reactions are highly stereo- or regioselective, according with the dipolarophiles nature. The structure of the new compounds was assigned unambiguously, X-ray analysis including. The primary antimycobacterial screening reveals that one of the thirteen tested compounds had a good activity against *M. tuberculosis* H37Rv under aerobic conditions. The antiproliferative evaluation against a NCI 60 human tumor cell line panel, revealed that two indolizine with phenanthroline skeleton exhibit a selective and significant antitumor growth inhibitory activity against Breast Cancer (MCF7 and T-47D) and a slightly moderate activity against some forms of Leukemia, Non-Small Cell Lung Cancer, Renal Cancer and Breast Cancer (MDA-MB-468). The X-ray diffraction study of the indolizines with phenanthroline skeleton prove a flat coplanar structure which, corroborated with their anticancer activity, allow us to suggest that an interaction with DNA (via an intercalation mechanism) would be reasonable.

Keywords: Antimycobacterial; Anticancer; DNA Intercalation; Indolizine and Phenanthroline; Cycloaddition

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