Bioheterocycles



BIOHETEROCYCLES 2015

XVI International Conference on Heterocycles in Bioorganic Chemistry



Campus du Saulcy Metz, France June 8-11, 2015



Book of Abstracts

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SYNTHESIS AND BIOLOGICAL EVALUATION OF N-UNSUBSTITUTED AZIRIDINE DERIVATIVES

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Some aziridine derivatives are known as potential anti-tumor agents. In the middle of 1970s anti-cancer drug Leakadine (1) was developed in Latvian Institute of Organic Synthesis. [1, 2] Here we report a synthesis of series of *N*-unsubstituted aziridine derivatives 2 and their cytotoxicity on several tumor cell lines. The cytotoxicity of synthesized compounds was compared with the cytotoxicity of Leakadine. 7 of 31 synthesized compounds have exhibited higher cytotoxicity than Leakadine.

$$\begin{array}{c|c}
 & O \\
 & N \\
 & N \\
 & N \\
 & H
\end{array}$$

IC₅₀ value of Leakadine (1) is 204 μ g/ml on the cell line HT-1080 (human lung fibrosarcoma) and 263 μ g/ml on the cell line SHSY5Y (human neuroblastoma). The most active compound of the synthesized has IC₅₀ = 57 μ g/ml on the cell line HT-1080 and 27 μ g/ml on the cell line SHSY5Y.

Acknowledgements: InnovaBalt

References

1) Kalvinsh, I. Y. et al. Preparation medicinale pour le traitement des neoformations malignes. Pat. BE860239 (A1).

2) Kalvinsh, I. Y. et al. Pharmaceutical composition and method for treating tumors susceptible to 2-carbamoylaziridine. Pat. US4686215A. 1987-08-11.