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SYNTHESIS AND BIOLOGICAL EVALUATION OF N-UNSUBSTITUTED AZIRIDINE DERIVATIVES

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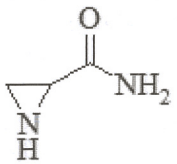
Some aziridine derivatives are known as potential anti-tumor agents. In the middle of 1970s anti-cancer drug Leakadine (**1**) was developed in Latvian Institute of Organic Synthesis. [1, 2] Here we report a synthesis of series of *N*-unsubstituted aziridine derivatives **2** and their cytotoxicity on 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.



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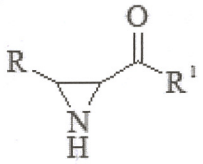

2

IC_{50} value of Leakadine (**1**) is 204 μg/ml on the cell line HT-1080 (human lung fibrosarcoma) and 263 μg/ml on the cell line SHSY5Y (human neuroblastoma). The most active compound of the synthesized has IC_{50} = 57 μg/ml on the cell line HT-1080 and 27 μg/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). 1978-04-28.
2) Kalvinsh, I. Y. et al. Pharmaceutical composition and method for treating tumors susceptible to 2-carbamoylaziridine. Pat. US4686215A. 1987-08-11.