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Derivatives of aziridine-2-carboxamide and their biological evaluation

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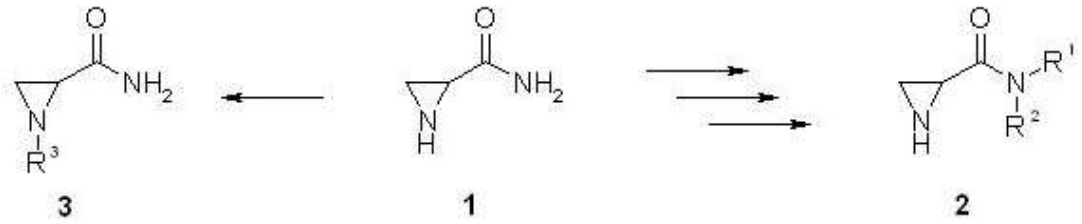
Leakadine (aziridine-2-carboxamide, **1**) is anti-cancer drug which was developed in 1970s in Latvian Institute of Organic Synthesis. [1, 2]

Here we report a synthesis of novel aziridine-2-carboxamide derivatives **2** and **3**.



Synthesized series of compounds **2** and **3** have exhibited high biological activity on several cell lines. The highest cytotoxicity was obtained on the cell line HT-1080 (human lung fibrosarcoma) with best hit of IC_{50} = 11 μg/ml.

The obtained results of structure – activity relationship will allow to design and synthesize more active compounds and will help to obtain new anti-cancer agents.

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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.