Synthesis, X-ray and *in vitro* cytotoxicity studies of the homochiral forms of Leakadine

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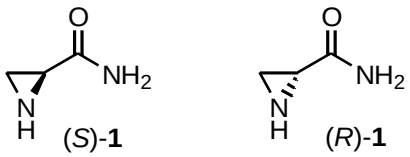
Aziridines are rather reactive constrained heterocycles. More than hundred compounds from aziridine class have shown certain biological activity.[1] In many cases this activity is based on strong alkylating properties of aziridines. Therefore, many representatives of aziridine series possess distinct cytotoxicity rather than selective biological activity. On the other hand, there are several classes of aziridines that have selective activities. These are natural alkaloids mitomycins,[2] peptides madurastatin and miraziridine,[3] anticancer azinomycin A[4] and others. Additionally, derivatives of aziridine carboxylic acid might act as neoplasm inhibitors and therefore are considered as useful anticancer drugs.[5] In the later class fall azimexon, imexon, and Leakadine (aziridine-2-carboxamide, **1**).[6] In the case of imexon each of its enantiomers is studied separately[7]; however on Leakadine such a study is still missing.
Hence, we would like to report here a practical synthesis of both enantiomers of Leakadine (*S*)-**1** and (*R*)-**1**,[8] their X-ray studies and cytotoxicities. Stability of Leakadine in water and its potential self-dimerization will be also discussed.



(*S*)-**1** (*R*)-**1**

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