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ABSTRACTS

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Synthesis of Triazolylmethyl Aziridine Derivatives

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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]

We would like to report here the synthesis of water-soluble aziridine-triazole conjugates of general type **2** and **3** (Fig.1). *N*-Protected analogs of **2** are known.[7] Nevertheless, to the best of our knowledge they have not been fully deprotected and studied for their biological activities. Additionally, the triazolyl-substituents in these structures have not been varied much.

This work represents broader scope of substituents at triazole core in general structure **2** as well as design of complementary molecular scaffold **3** which is characterized by direct attachment of triazole cycle to the aziridine moiety.

Synthesis of both, the racemic and enantiomerically pure series of compound classes **2** and **3** will be presented along with discussion of their biological activity.

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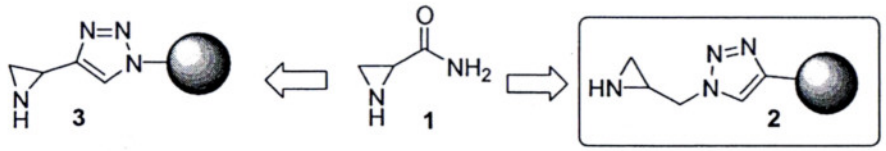

Fig.1 Design of aziridine-triazole conjugates (**2**, **3**) and their comparison with Leakadine (**1**)