



VABILO NA PREDAVANJE  
V OKVIRU DOKTORSKEGA ŠTUDIJA  
KEMIJSKE ZNANOSTI

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z naslovom:

**New challenges to combat antibacterial resistance;  
novel approaches and synthetic pathways**

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v Novi predavalnici, na Fakulteti  
za kemijo in kemijsko tehnologijo, Aškerčeva 5

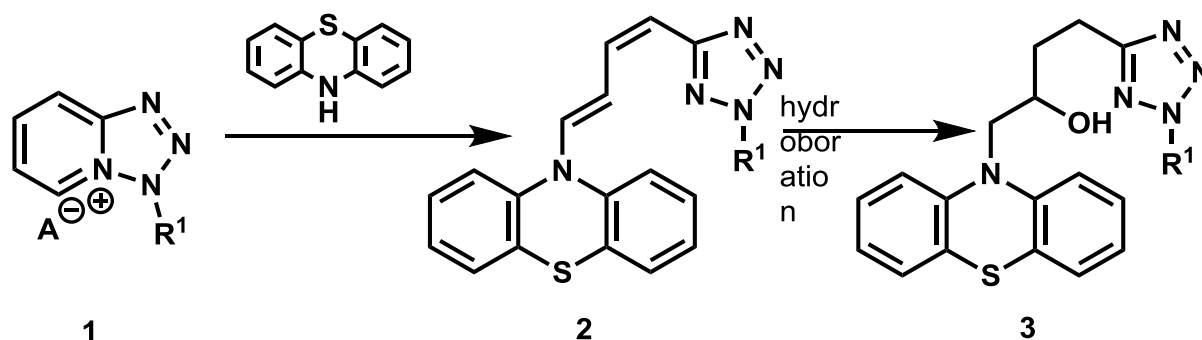
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## Abstract

Drug resistance is in front of interest world-wide. Even if the pharmaceutical industry is providing more and more effective drugs, their efficacy can be essentially decreased by resistance of the target cells rapidly developing after dosage of drug. The basis of this resistance is a pumping mechanism which, on one hand, can be of vital importance in context of maintaining proper immune system but, on the other hand, it can block the curing effect of a drug by decreasing its effective concentration in the cell by the pumping mechanism. All these circumstances reveal that there is a high need for novel drugs that can inhibit the pumping mechanism.

Our ongoing research on tetrazolopyridinium salts lead to recognition of a ring opening reaction resulting in novel dieneamines. By use of phenothiazines as secondary amines in this reaction, the procedure allows the synthesis of tetrazolyldienyl-phenothiazines, a group of compounds strongly reminiscent of established resistance-inhibitory compounds<sup>1</sup>.



In the lecture a systematic research series in order to find novel effective resistance inhibitors will be disclosed. Substituted tetrazolopyridinium salts (**1**) when reacted with phenothiazines result in opening of the pyridine moiety and lead to the diene (**2**). Hydroboration of these compounds affords derivatives containing a hydroxybutyl chain binding the tetrazole and phenothiazine moieties (**3**).<sup>2</sup> Further structural variations, *e.g.* selective oxidation to sulfoxides and sulfones, will also be discussed.

Various biological tests on bacteria, liver and tumor cells reveal that some of the new derivatives can be regarded as promising lead compounds for development of new effective resistance-inhibitors.

## Reference

<sup>1</sup>B. Pajak, J. Molnár, *et al.*, *In Vivo* **19**, 1101 (2005).

<sup>2</sup>D. Takács *et al.*, *Bioorg. Med. Chem.* **20**, 4258–4270 (2012).