SYNTHESIS AND BIOLOGICAL ACTIVITY OF ALDIMINE DERIVATIVES BEARING 1,2,4-TRIAZOLE-3-THIOLYL MOIETY

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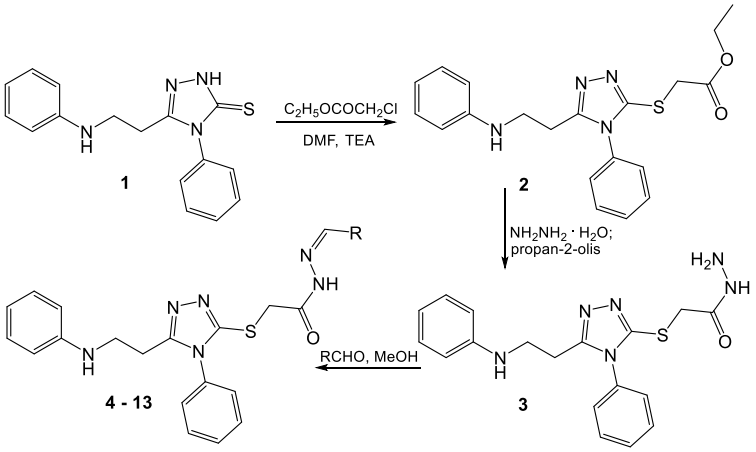
1,2,4-Triazole scaffold is a promising pharmacophore due to biological activity of its derivatives and extensive structural modification capability. 1,2,4-Triazole-3-thione derivatives as well as aldimine derivatives bearing various heterocyclic moieties possess antimicrobial, antioxidant, anticancer, anticonvulsant, anti-inflammatory, antiviral, antipyretic, etc. activity.

The target aldimine derivatives **4-13** were synthesized from 1,2,4-triazol-3-yl-thioacetohydrazide **3** and corresponding aldehydes in methanol (Scheme 1) [1,2].



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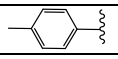
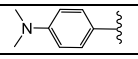
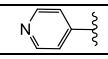
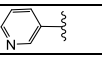
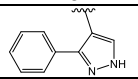
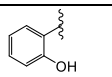
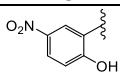
R					
	9	**10**	**11**	**12**	**13**
R					

Scheme 1. Synthesis of 1,2,4-triazol-3-yl-thioacetohydrazides **4**-**13**

Screening of the antioxidant activity of the synthesized compounds **4-13** has revealed that *N′*-(4-methylbenzylidene)-2-((4-phenyl-5-(2-(phenylamino)ethyl)-4*H*-1,2,4-triazol-3-yl)thio)acetohydrazide (**4**) possesses the highest DPPH radical scavenging activity as determined by DPPH radical scavenging assay and 2-((4-phenyl-5-(2-(phenylamino)ethyl)-4*H*-1,2,4-triazol-3-yl)thio)-*N′*-(pyridin-3-ylmethylene)acetohydrazide (**7**) exhibits the strongest reducing activity as identified by the reducing power assay. 2-((4-Phenyl-5-(2-(phenylamino)ethyl)-4*H*-1,2,4-triazol-3-yl)thio)-*N′*-(pyridin-4-ylmethylene)acetohydrazide (**6**) has shown the highest antibacterial activity against *Escherichia coli*, *Rhizobium radiobacter*, and *Xanthomonas campestris* bacteria among the tested compounds **4-13** by agar diffusion method.

Acknowledgements. The research leading to these results has received a funding from European Social Fund (project No 09.3.3-LMT-K-712-22-0083) under grant agreement with the Research Council of Lithuania (LMTLT).

References

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