

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

Aida Šermukšnytė^{1*}, Ilona Jonuškienė¹, Kristina Kantminienė², Ingrida Tumosienė¹

¹Department of Organic Chemistry, Kaunas University of Technology, LT-50254, Kaunas, Lithuania;

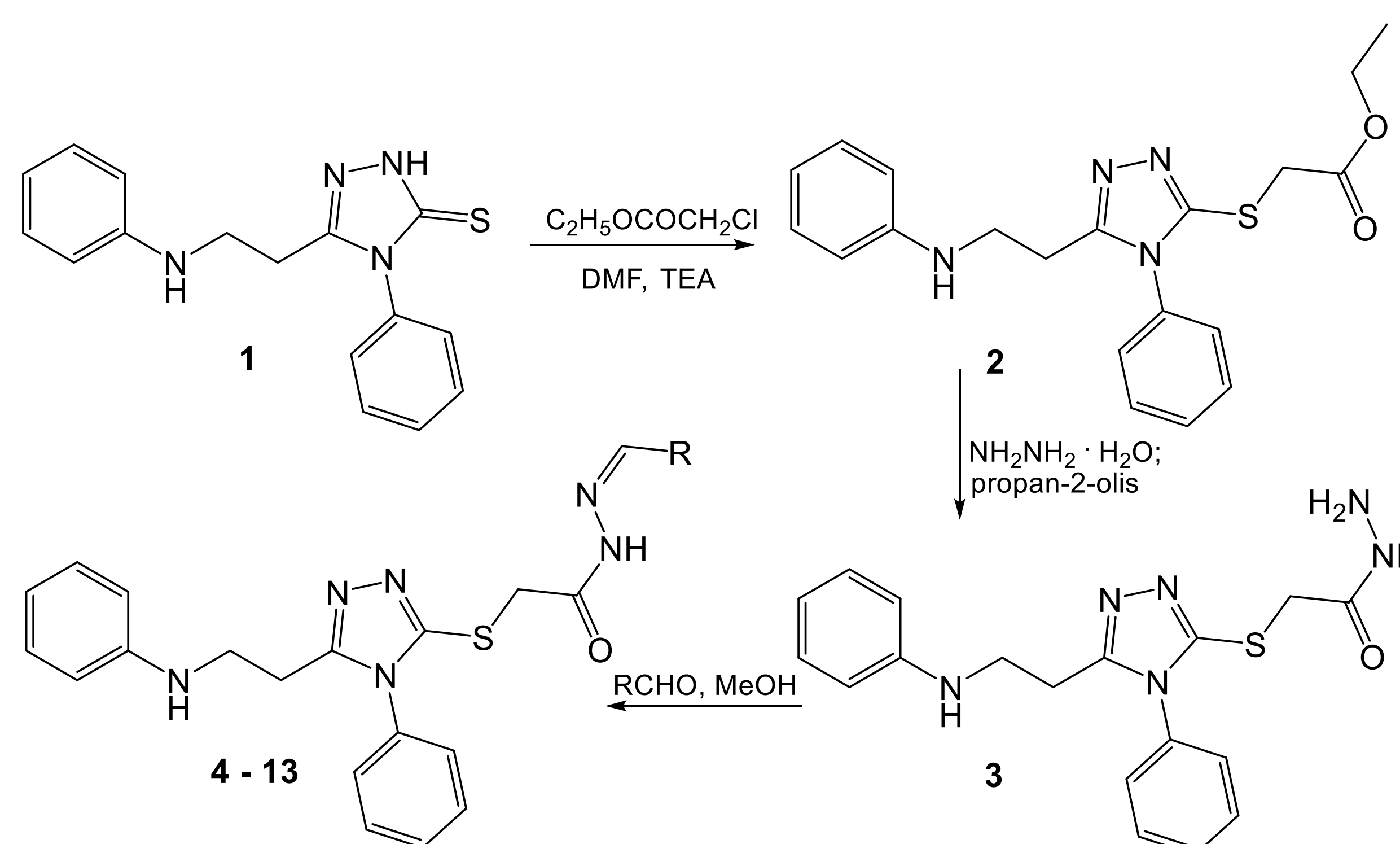
²Department of Physical and Inorganic Chemistry, Kaunas University of Technology, LT-50254, Kaunas, Lithuania;

Correspondence: aida.sermuksnyte@ktu.edu

INTRODUCTION. 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.

RESULTS AND DISCUSSION. Synthesis.

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



Scheme 1. Synthesis of the compounds **4-13**

	4	5
R		
	6	7
R		
	8	9
R		
	10	11
R		
	12	13
R		

Biological activity. Screening of the antioxidant activity of the synthesized compounds **4-13** has revealed that compound **4** possesses the highest DPPH radical scavenging activity as determined by DPPH radical scavenging assay and compound **7** exhibits the strongest reducing activity as identified by the reducing power assay. Compound **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.

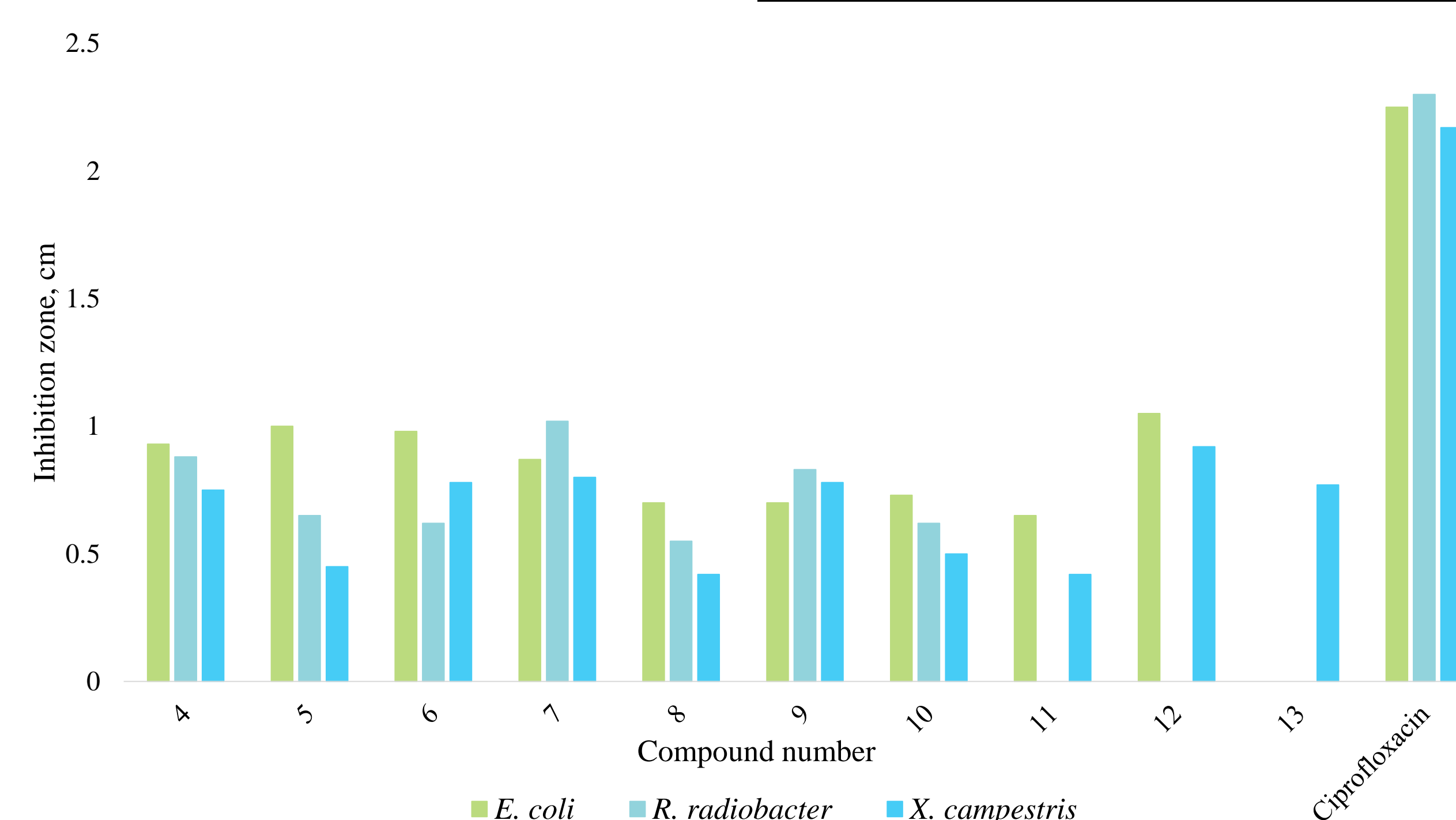


Fig. 1. Antibacterial activity of the compounds **4-13**

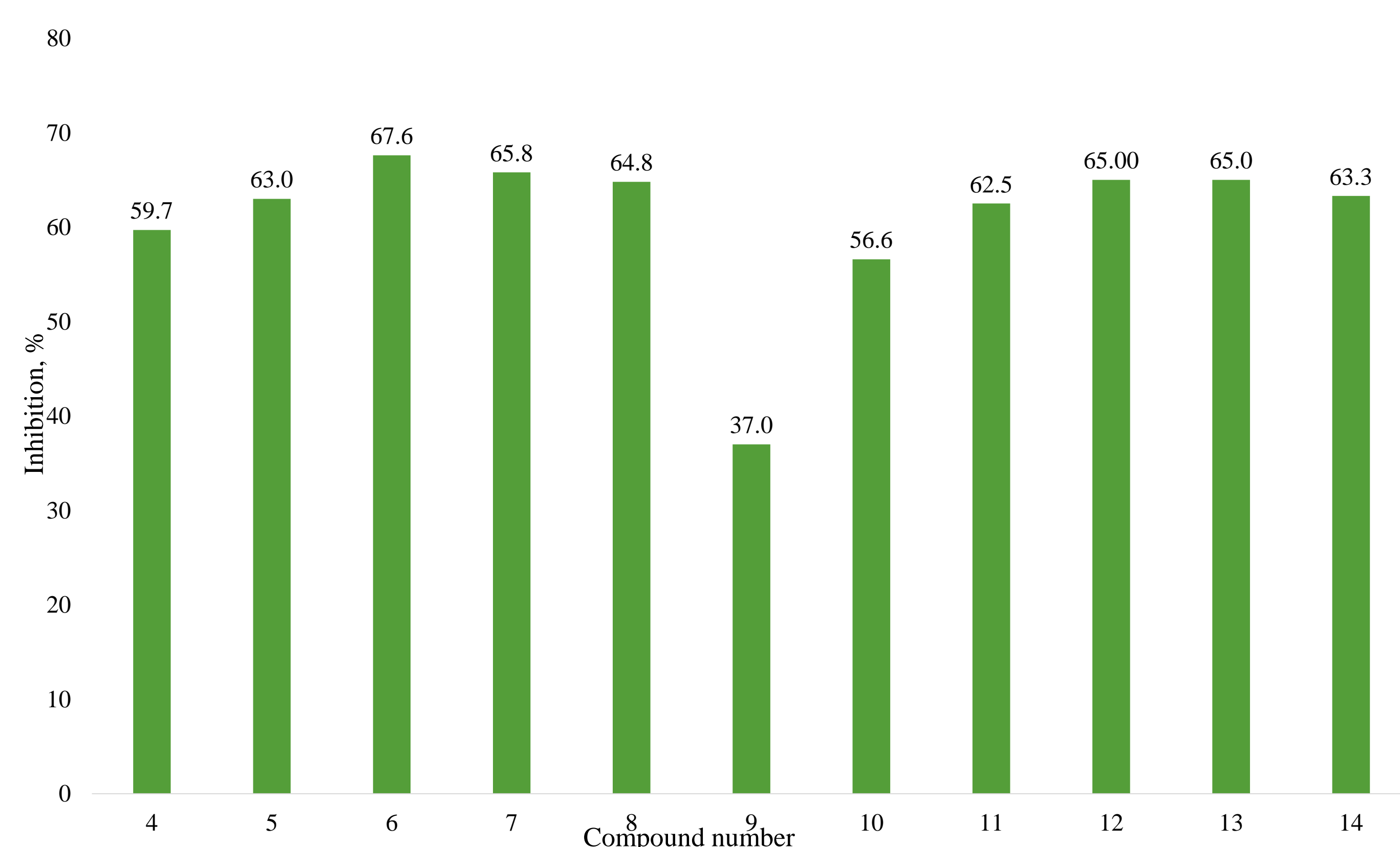


Fig. 2. DPPH radical inhibitory properties of the compounds **4-13**

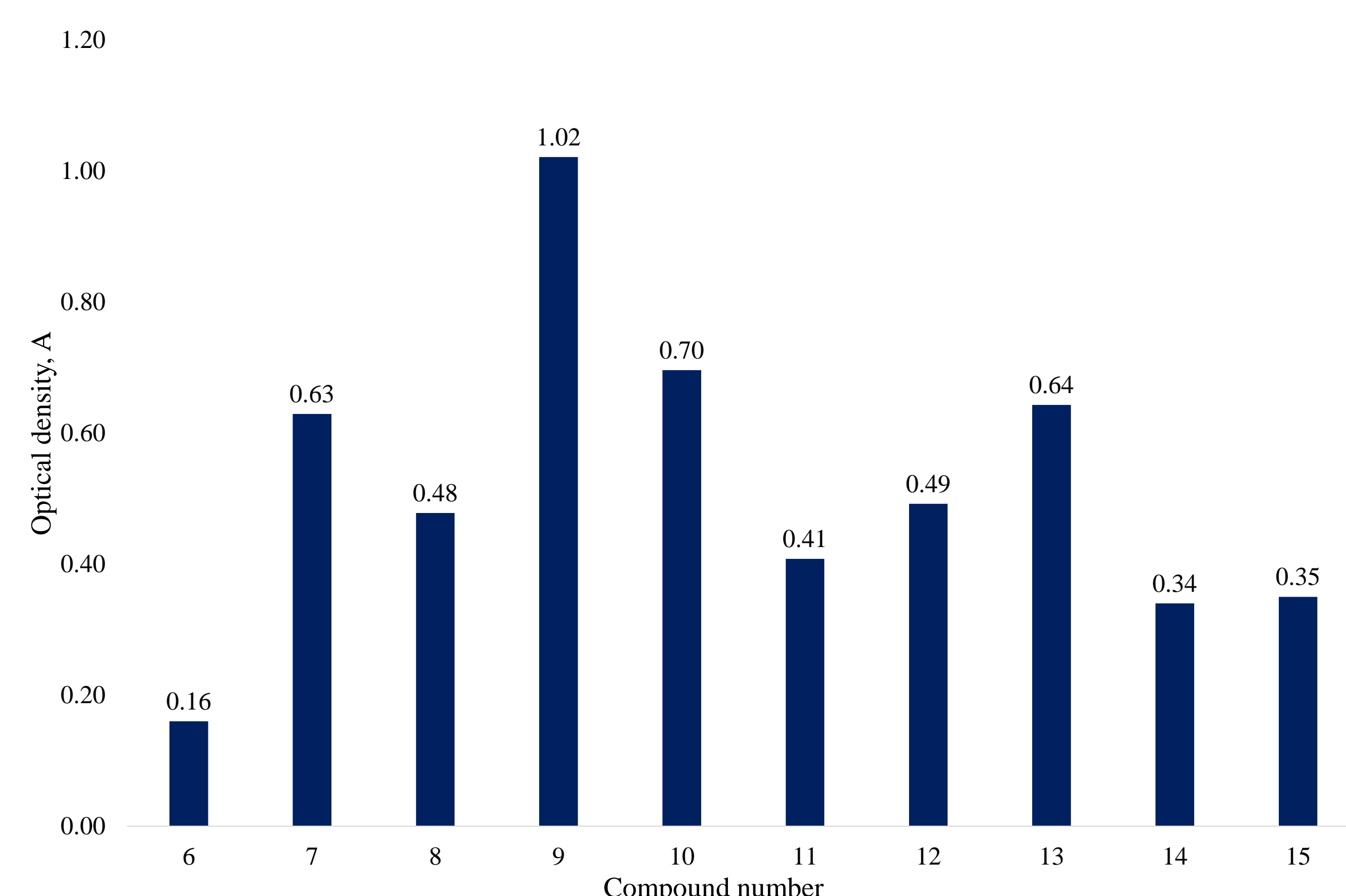


Fig. 3. Reductive properties of the synthetic compounds **4-13**

References

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- I. Tumosienė, K. Kantminienė, A. Pavilonis, Ž. Maželienė, Z.J. Beresnevičius. *Heterocycles*, 78 (2009) 59.