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Development of 3, 5-dinitrophenyl containing heterocycles: Structure-antimycobacterial activity relationships studies

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Our research focuses on the design and synthesis of novel nitro group containing heterocyclic compounds with high and selective antimycobacterial efficiency and on the study of relationships between their structure and antimycobacterial activities/toxicities. Previously described 1-alkyl-5-(3,5-dinitrobenzyl)sulfanyl-1H-tetrazoles and 2-alkyl-5-(3,5-dinitrobenzyl) sulfanyl-1,3,4-oxadiazoles showed outstanding activities against drug-susceptible and drug-resistant strains of *Mycobacterium tuberculosis (M. tuberculosis.)*. Their minimum inhibitory concentrations reached 0.5 μm and 0.03 μm, respectively. Moreover, 1, 3, 4-oxadiazole derivatives were active against replicating and nonreplicating strains of *z*. Described compounds demonstrated selective effect on mycobacteria as were inactive against tested fungi and bacteria and exhibited low *in vitro* genotoxicity and toxicity in mammalian cell lines. Current work continues to study the role of individual fragments of previously described molecules in their biological properties. We focused on influence of the heterocycle and Benzylsulfonyl linker on antimycobacterial activity. Results of *in vitro* evaluation showed that both fragments play a significant role in the antimycobacterial efficacy of target compounds. Moreover, alkyl/aryl substituents on heterocycle could also affect compounds efficacy.

Biography

Galina Karabanovich has completed her PhD at the Faculty of Pharmacy in Hradec Kralove, Charles University. Currently, she occupies the Postdoctoral position at the same University. She has published 12 papers, majority of them in medicinal chemistry journals. Her research interests are focused on the design and synthesis of compounds with potential antimycobacterial activity; study of the relationships between structure and antimycobacterial activity of prepared substances; synthesis of dexrazoxane analogues.

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