# Abstract

In the last decades, the chemistry of tetrazoles underwent a great expansion, which was closely connected with their usage as an isosteric replacement of carboxylic acid moiety in the molecules of potential or clinically used drugs.

In this work, existing methods of the preparation of 5-substituted tetrazoles were modified by utilising microwave irradiation and by changing the reaction conditions and the reaction medium.

First, Sharpless synthesis, consisting in the conversion of nitriles into 5-substituted tetrazoles via the reaction of sodium azide and zinc halide in boiling water, was modified. The application of the microwave irradiation decreased reaction time while maintaining high yields of products.

Furthermore, a new method for the preparation of 5-substituted tetrazoles was developed, based on the reaction of nitrile with sodium azide and triethylammonium chloride in the polar aromatic solvents under microwave irradiation. By this method, 5-substituted tetrazoles were prepared in high yields in short reaction times from nitriles, including those that react poorly using common methods.

Based on the results of several selected methods of the preparation of 5-substituted tetrazoles, carried out under either conventional or microwave heating at the same reaction temperatures, the non-thermal effects of microwaves on the preparation of 5-substituted tetrazoles were rejected. Those reactions gave the same yields regardless of the heating technique used.

Further work dealt with the regioselectivity of the alkylation of 5-substituted tetrazoles. During this study, one-step regioselective vinylation of 5-substituted tetrazoles was developed. This method consists in the reaction of 5-substituted tetrazole with the excess of 1,2-dibromoethane and triethylamine and leads to high yields in a wide range of organic solvents.

During this study, a plausible mechanism of this reaction was suggested. In the first step, 5-substituted tetrazole is likely alkylated by *in situ* generated (2-bromoethyl)triethylammonium bromide, which prefers position 2 of the tetrazole ring due to its sterical hindrance. Hofmann elimination then leads to 2-vinylderivatives of 5-substituted tetrazoles.

Within the scope of this work, selected lead compounds for the preparation of potential antituberculotic drugs.