SYNTHESIS OF AZOLES AND THEIR ANTIOXIDANT AND ANTIBACTERIAL ACTIVITY

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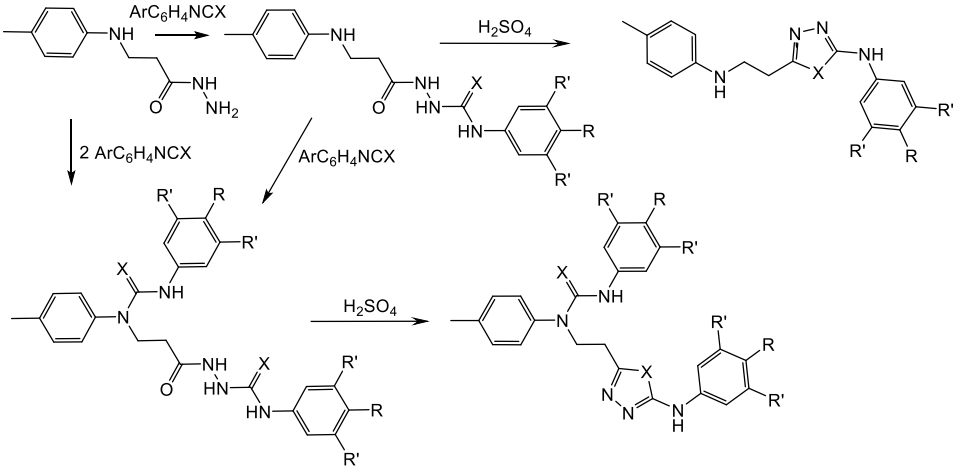
Reactive oxygen species (ROS) are responsible for many cell disorders and the development of many undesired processes. Unfavourable environmental conditions result in the overproduction of ROS that leads to oxidative cell injuries at high concentrations. There is a growing interest in search of new bioactive compounds possessing antioxidant properties. Derivatives containing the 1,3,4-thiadiazole and 1,3,4-oxadiazole nuclei exhibit a wide range of pharmacological activities that include antioxidant, antimicrobial, anticancer and antitubercular properties [1, 2].

Reactions of *N*-(4-methylphenyl)-*β*-alanine hydrazide with phenyl isocyanate or phenyl isothiocyanate, depending on the molar ratio of the reacting substances, gave semicarbazides/semithiocarbazides or their phenylcarbamoyl derivatives, which underwent cyclisation under acidic conditions to provide target 1,3,4-oxadiazole or 1,3,4-thiadiazole derivatives [3].



Scheme. Synthesis of azoles

Screening of the antioxidant activity of the synthesized compounds by ferric reducing antioxidant power assay (FRAP) has revealed that *N*-(3,5-dimethylphenyl)-5-{2-[(4-methylphenyl)amino]ethyl}-1,3,4-oxadiazole-2-amine and 3-(4-methylphenyl)-1-phenyl-3-{2-[5-(phenylamino)-1,3,4-thiadiazol-2-yl]ethyl}thiourea possess the highest antioxidant activity in comparison with the synthetic antioxidant butylated hydroxytoluene (BHT).

N-(4-Methylphenyl)-5-{2-[(4-methylphenyl)amino]ethyl}-1,3,4-thiadiazole-2-amine has been identified as possessing the highest antibacterial activity against *Escherichia coli*, *Xanthomonas campestris*, and *Bacillus subtilis* bacteria by agar diffusion method.

References

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