Selective synthesis of 1-R-5-phenyltetrazoles

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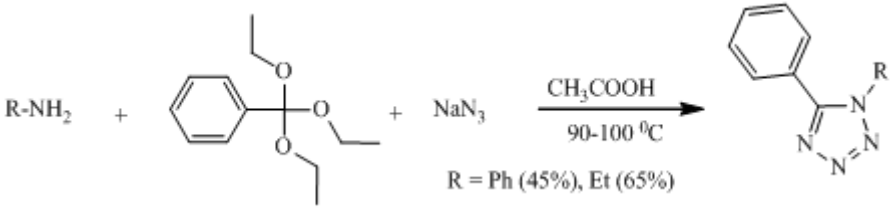
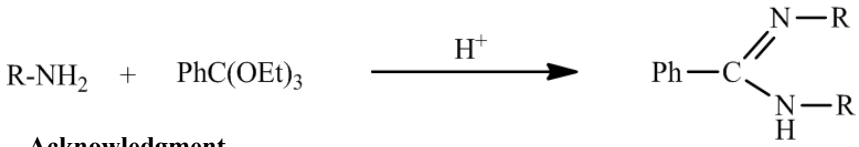
Despite the vast potential for the practical use of tetrazole derivatives, the specific use of many of them is hampered by the lack of convenient preparative methods of synthesis. We have previously shown that a convenient and effective method for the preparation of a wide range of 1-substituted tetrazoles is the heterocyclization reaction of primary amines with triethylorthoformate and sodium azide [1, 2]. In continuation of these studies within the framework of this work, using aniline and ethylamine as examples, we showed that 1-substituted 5-phenyltetrazoles, which are difficult to obtain by other methods, can be synthesized in good yields by heterocyclization of the corresponding primary amines with triethylorthobenzoate and sodium azide.



The maximum yields of the target 1-R-5-phenyltetrazoles, as in the case of using triethylorthoformate, are achieved when the process is carried out in a temperature range of 90–100 ^{0}C at a molar ratio of RNH_2 : $PhC(OEt)_3$: NaN_3 : CH_3COOH = 1 : 3: 1,1: 8. The use of excess triethylorthobenzoate during the process is due to the need to suppress the side reaction of the formation of benzimidamides.



Acknowledgment

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References

[1] P.N. Gaponik et al. Chem. Heterocycl. Compd. (1985), 21: 1255
[2] Y.V. Grigoriev et al. Chem. Heterocycl. Compd. (2017), 53: 670