Synthesis of 2-amino-4-aryl-1,3-oxazoles

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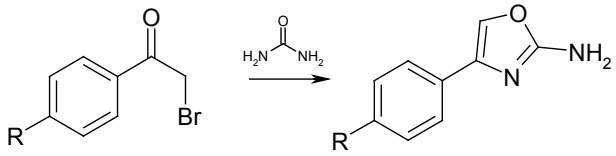
Introduction. Among the aminoxazole derivatives there are many compounds characterized by different types of biological activity. In particular, there are aminoxazolines, which are characterized by antiviral and antitumor activity [1, 2]. There are also known antidepressants, psychostimulants, appetite regulators [3]. One of the most popular methods for the synthesis of 4-aryl-2-aminooxazoles is the interaction of the corresponding haloketones with carbamide.



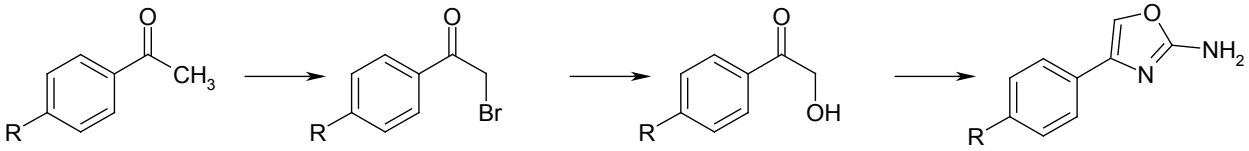
R = Ar

However, this transformation most often occurs at high temperatures and is accompanied by low yields of final products due to the formation of significant amounts of products.

The aim. In the course of our research, we tested the way in which aminooxazoles 4 a - b were obtained by the interaction of ketoalcohols 3 a - b with cyanamide.

Materials and methods. Organic synthesis, method ^{1}H NMR.

Results.



1 a-b 2 a-b 3 a-b 4 a-b

1 R = 4-H, 2 R = 4-Cl, 3 R = 4-Br

According to the proposed scheme, halogen ketones 2 a-b were converted into ketoalcohols 3 a-b with yields of 70-80%. In the last step, compounds 4 a-b were obtained with yields 37-63% in fairly mild conditions and did not actually need additional cleaning.

In the ^{1}H NMR spectra of the obtained compounds 4 a-b, measured in DMSO-d_6, there is a singlet of the 2-aminogroup at 6,6-6,8 ppm. and a 5-H proton signal of the oxazole nucleus at 8,0 ppm., which corresponds to the proposed structure. The other protons of the 4-aromatic residue resonate in their characteristic regions.

Conclusions. Thus, the proposed way of conversion of aromatic ketones 1 a-b into 4-aminoxazoles 4 a-b can be promising in further studies.

References

1. Ueda S., Terauchi H., Yano A., Ido M., Matsumotoc M. 4,5-Disubstituted-1,3-oxazolidin-2-imine derivatives: a new class of orally bioavailable nitric oxide synthase inhibitor. *Bioorganic & Medicinal Chemistry Letters*. 2004;14:313–316.
2. Agami C., Dechoux L., Hamon L., Melaimi M. An efficient synthesis of a new series of acyclonucleosides starting from amino alcohols. *Journal of Organic Chemistry*. 2000;65:6666–6669.
3. Trepanier D. L., Eldridge H., Faith J. N. Synthesis and screening for antideperessant activity of some aminoindanooxazolines, aminoindanooxazines, and aminoacenaphthoxazolines. *Journal of Medical Chemistry*. 1970;13(4):729–733.