

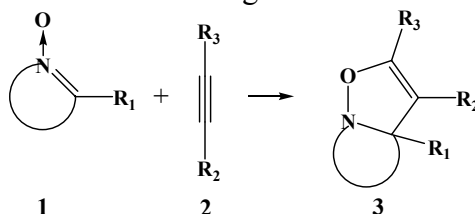
1,3-Dipolar Cycloaddition Reaction in the Synthesis of Imidazo[1,2b]isoxazole Derivatives

Sergey A. Popov, Vladimir A. Reznikov

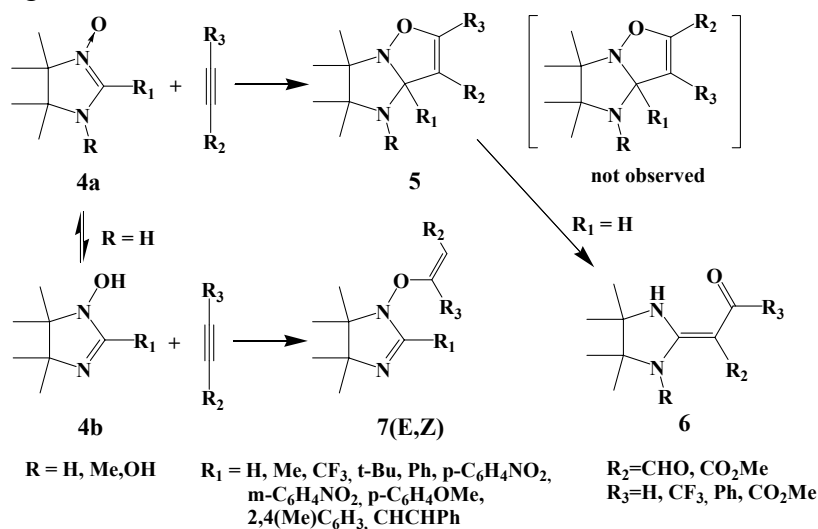
Novosibirsk Institute of Organic Chemistry, Siberian Division of Russian Academy of Sciences,
 Lavrentyeva prosp. 9, Novosibirsk 630090, Russia

E-mail: serge@nioch.nsc.ru

One of the most powerful approaches to the construction of five-membered heterocyclic systems is based on the 1,3-dipolar cycloaddition reactions. Thus, the reaction of alkynes **2** and nitrones **1** is applied successfully for the design of bicyclic structures **3** containing fused isoxazoline ring.



The derivatives of 2-imidazoline-3-oxides **4** as potential 1,3-dipoles are of particular interest in this sense, but are insufficiently explored. Reaction of dipoles **4** with alkynes was shown to proceed with high regioselectivity giving rise subsequent bicyclic structures **5** along with enaminoketones **6** (in the case of R₁ = H) - the products of spontaneous cleavage of isoxazoline ring.



Imidazolines **4** (R=H) was shown to exist in two tautomeric forms - aminonitrone (**4a**) and N-hydroxyaminoimino (**4b**) and of these two forms, only **4a** can act as a 1,3-dipole; form **4b** can only act as a nucleophile. Correspondingly, the formation of two types of products – addition **7** and cycloaddition **5** was observed in the reactions of these substrates.

The influence of different factors on the state of **4a** \rightleftharpoons **4b** equilibrium as well as on the rate of the competitive reaction products **5** and **7** also was studied.