

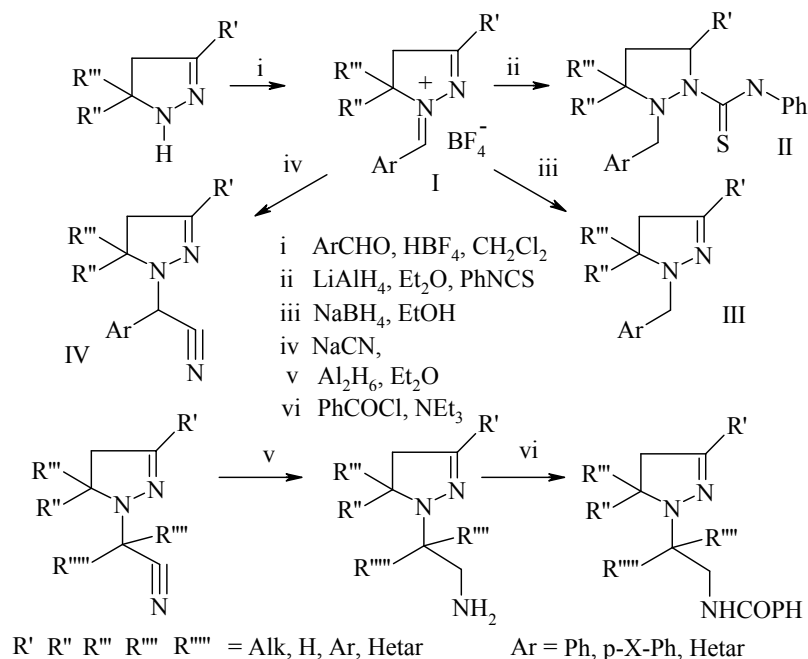
Nucleophilic Addition Reactions of 1-Aryliden-4,5-dihydropyrazolium Tetrafluoroborates

Nicolai I. Vorozhtsov, Galina A. Golubeva, Lyudmila A. Sviridova

*The Moscow State University, Chemical Department
 119899, Moscow, Leninskie Gori, MSU, Russia
 GNC NIOPIK, 123995 Moscow, B. Sadovaya 1, k.4, Russia
 E-mail: svirid@org.chem.msu.su*

It is known that low C=N bond polarization causes cyclic pyrazolines-2 to be practically inert in all nucleophilic addition reactions. However, the salts of 1-arylidenpyrazoline-2, obtained from condensation of pyrazoline-2 with aldehydes and ketones, are a convenient activated form of these compounds.

We synthesized by this method the 1-aryliden-4,5-dihydropyrazolium tetrafluoroborates (I) and investigated their nucleophilic reactions.



In reactions of compounds (I) with LiAlH₄, the both C=N bonds take part to give N-benzylpyrazolidine (II) isolated as phenylthiocarbomoyl derivatives. At the same time the reactions of 1-aryliden-4,5-dihydropyrazolium tetrafluoroborates (I) with NaBH₄ in EtOH at room temperature give only N-benzylpyrazolines-2 (III) with high yields (60-90 %). Similarly, the selective attack of exocyclic C=N bond takes place in the reactions of (I) with NaCN to give only 1-(1-cyano-1-arylmethyl)pyrazolines-2 (IV). Reduction of compounds (IV) by aluminum hydride leads to the corresponding amines.

Contrary to known before, our method practically has no limitations in the structure of used compounds. Thus, we have successfully involved 1-hetarylidenepyzolines-2 into these reactions and obtained the 3-indolylmethyl-, 4-pyrazolylmethyl- and 2-furylmethyl-pyrazolines-2 in high yields.