THE REACTION OF ENONES WITH N-SUBSTITUTED HYDRAZINES AND N-SUBSTITUTED UREAS

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We already clarified the fact that hydroxylamine had the nature of the ambident nucleophile, and prepared the unsummetric isoxazoles by the use of this property. N-Substituted hydrazines and N-substituted ureas were also expected to have the similar property. Therefore, we atempted the selective synthesis of pyrazoles and pyrimidinone from enones with hydrazine and ureas to comfirm the reactivity of these nucleophiles.

When the mixture of phenylhydrazine and enone (1) was heated for 12 hr, N-phenylpyrazole (2) was mainly obtained. On the other hand, the reaction of 1 with phenylhydrazine hydrochloride or phenylhydrazine in the presence of sodium hydride gave pyrazole (3). From these results, in the nutral condition, non-phenylated nitrogen of phenylhydrazine preferentialy attacked 3-carbon of enones. On the contraly, in the acidic or basic conditions, the nucleophilicity of hydrazine was on the phenylated nitrogen atom.

In the reaction of enones (1) with phenylurea in the presence of sodium hydride, N-phenylpyrimidinone (4) was obtained selectively.