

REACTIONS OF PYRIDONE DERIVATIVES WITH ISOCYANATES ;  
A SIMPLE PREPARATION OF 1,3,5-TRIAZINE DERIVATIVES

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A simple preparation of heterocyclic compounds containing 1,3-dialkyl-1,3,5-triazine-2,4-dione skeleton has been investigated.

The reactions of 4-quinolone(I) and various primary alkyl isocyanates such as methyl, ethyl, n-butyl, and benzyl isocyanates in the presence of 0.1eq. 1,1,3,3-tetramethylguanidine were carried out in DMF solution at room temperature under nitrogen atmosphere. Various cycloadducts, 1,3-dialkyl-1,3,5-triazine-2,4-dione derivatives(IV) consisting of a quinolone and two isocyanate moieties were obtained in good yields. A successive addition of a different type of alkyl isocyanates has confirmed the reaction pathway via the combination of two-step additions of isocyanates to 4-pyridones and an intramolecular Michael addition. A secondary alkyl isocyanate, for example, isopropyl isocyanate failed to give the corresponding 1:2 cycloadduct. An aryl isocyanate such as phenyl isocyanate trimerized by itself with such a base catalyst. The reaction of 4-pyridone with methyl isocyanates gave the corresponding 1:2 cycloadduct similarly.

The reactions of 4-quinazolone or 4-pyrimidone with methyl isocyanate successfully proceeded under similar conditions to give the corresponding 1:2 cycloadducts and 1:3 adducts.

The scope and limitations of this new preparation of 1,3,5-triazine derivatives will be discussed on the basis of the reactions of various pyridone derivatives with various isocyanates.

