REACTIONS OF PYRIDONE DERIVATIVES WITH ISOCYANATES; PREPARATION OF 1,3,5-TRIAZINE-2,4-DIONE DERIVATIVES

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

The reaction of 4-pyridone or 4-quinolone(1) with methyl isocyanate in the presence of 0.1eq. 1,1,3,3-tetramethylguanidine gave the cycloadduct(4) consisting of one pyridone or quinolone and two isocyanate moieties. Various primary and secondary alkyl isocyanates, such as ethyl, i-propyl, n-butyl, and benzyl isocyanates, gave the corresponding cycloadducts in good to poor yields, depending on alkyl substituents. Phenyl isocyanate trimerized by itself under the conditions. Isolations of the intermediates(2a),(3a) and analytical HPLC monitorings confirmed that the reaction proceeds via stepwise additions of isocyanates followed by an intramolecular Michael addition to form the cycloadduct. Product studies based on a successive addition of two different alkyl isocyanates, such as benzyl and methyl isocyanates, proved that the reactions are reversible.

The reaction of 4-pyrimidone or 4-quinazolone with methyl isocyanate gave the corresponding 1:2 cycloadduct and another 1:3 adduct, while that of 2-pyridone, 4-thiopyridone, or substituted uracil derivatives failed to give the corresponding cycloadduct.

The scope and limitations of this new preparation of 1,3,5-triazine-2,4-dione derivatives will be discussed.