RING TRANSFORMATION OF 2(1H)-PYRIMIDINONES WITH A VARIETY OF AMINES

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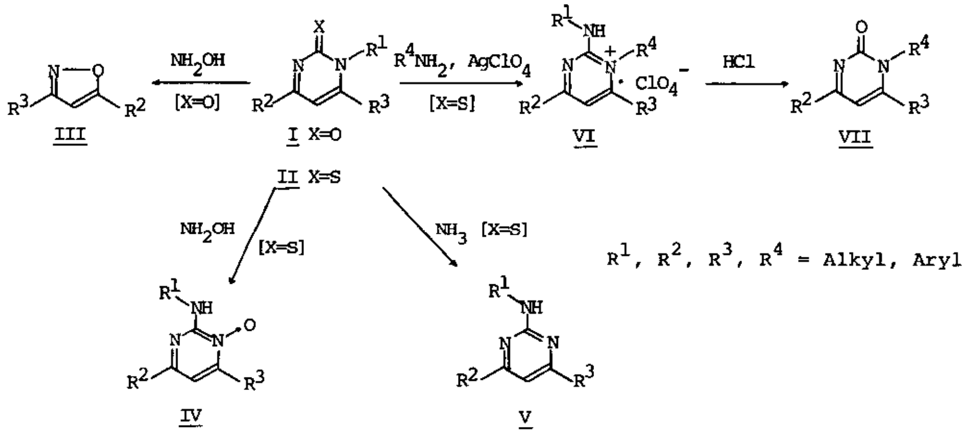
We have reported on the selective preparation of reduced pyrimidinones by the reaction of 2(1H)-pyrimidinones with nucleophiles such as Grignard reagents, organolithium reagents, sodium borohydride, or lithium aluminum hydride.

In the course of study on nucleophilic reaction, we investigated the reaction of 2(1H)-pyrimidinones with amines.

(1) 1,4,6-Trisubstituted 2(1H)-pyrimidinones (I) underwent ring transformation with hydroxylamine to give 3,5-disubstituted isoxazoles (III) in high yields. On the other hand, 2(1H)-pyrimidinethiones (II) underwent Dimroth type ring transformation to afford a new type of 2-anilinopyrimidine 1-oxides (IV).

(2) 2(1H)-Pyrimidinethiones (II) reacted with ammonia to yield 4,6-disubstituted 2-anilinopyrimidines (V).

(3) 2(1H)-Pyrimidinethiones (II) was treated with primary alkyl amines in the presence of silver perchlorate to afford 1,4,6-trisubstituted 2-anilinopyrimidinium perchlorate (VI). Further, compound VI was converted into 1-alkyl-4,6-disubstituted 2(1H)-pyrimidinones (VII) in high yields by the hydrolysis with concentrated hydrochloric acid.



R^1, R^2, R^3, R^4 = Alkyl, Aryl

From these results, it was found that 2(1H)-pyrimidinethiones underwent Dimroth type ring transformation with amines to convert into various 2-anilinopyrimidines.