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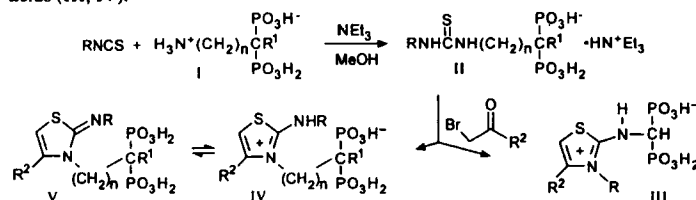
Synthesis of Thiazolium Substituted gem-Bisphosphonates and Investigation of Some their Properties

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In the course of our investigation on aminobisphosphonic acids we have developed
 the synthesis of thioureidoalkylidene- (II) and aminothiazole-1,1-bisphosphonic
 acids (III, IV):



We have established that thioureas (II) by the reaction of Hantzsch with α -bromoketones yielded the thiazolium substituted bisphosphonates (III) or (IV) depending on the nature of the substituents R and the steric hindrance. As a rule only less hindered nitrogen atom is involved into the cyclization with formation of one from two of possible isomers. Compounds (IV) are formed if $\text{R}=\text{Ar}$ and $n>0$. Compounds (III) are formed if $\text{R}=\text{R}^3\text{CH}_2-$ and $n=0$. In the case when $\text{R}=\text{Ar}$ and $n=0$ mixture of both (III) and (IV) forms. Reaction of sterically hindered thioureas (II) with $n=0$ and $\text{R}=\text{Adamantyl-}$ or *tert*-Bu- with bromoacetone leads to thiazole (III) also. But reactions with bromoacetophenones stop before dehydration step on thiazolines in these cases.

Obtained thiazoliumalkylidene-1,1-bisphosphonic acids are high-melting, crystalline substances insoluble in usual organic solvents and soluble in water in the presence of alkali or amines. On the screening we have established that some ureas (II) and thiazoliums (III, IV) possessed the active growth-regulating, antistressing and fungicide properties.

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