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Reactions of Thiourea-S,S,S-Trioxides with ß-Diketo Enolates

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REACTIONS OF THIOUREA-S,S,S-TRIOXIDES WITH β-DIKETO ENOLATES Milana Maletic, Dept. of Chemistry, IWU, Judith J. Bischoff*

Aminoiminomethanesulfonic acid derivatives are susceptible to nucleophilic attack by amino acids to form stable guanidino acids. Thus N-phenylaminoiminomethanesulfonic acid, PAIMSO (<u>1</u>), and other thiourea-S,S,S-trioxides should also undergo nucleophilic addition reactions with enolates (e.g. Meldrum's acid (<u>2</u>) and diethylmalonate). This would provide a convenient route to the synthesis of N-substituted amidino acetic acids (<u>3</u>). These compounds are of particular interest because homologous amidino carboxylic acids have been shown to have antifungal activity.



Furthermore, it may be possible to effect intramolecular ring closure of $\underline{3}$ to form a β -lactam ($\underline{4}$), which is the active functionality in penicillin and cephalosporin antibiotics.



We have attempted the nucleophilic addition reaction of Meldrum's acid and diethylmalonate with PAIMSO under a variety of conditions.