REACTIVITY OF β-(1-IMIDAZOLYL)ENONES WITH NUCLEOPHILE

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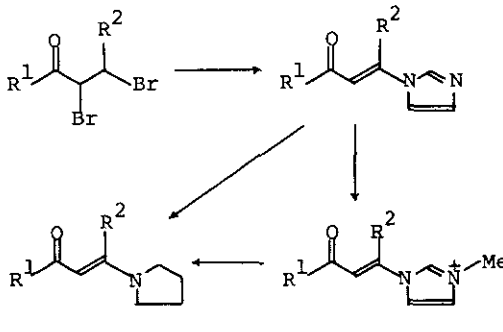
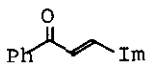
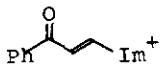
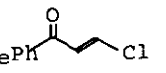
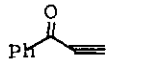
β-Substituted enones were widely used for the synthesis of various organic substances. For example, β-aminoenones were converted regioselectively into enones and heterocycles. However, the preparation of these β-substituted enones was occasionally very difficult. In spite of unstabilities and bad handling character, β-haloenones and ynones were used for the preparation of various β-substituted enones by the treatment with nucleophiles.

Recently N-acylimidazoles were actively investigated for the acylation of various nucleophiles such as amines, thiols and alcohols. As the vinylogues of N-acylimidazoles, β-(1-imidazolyl)enones have been prepared from α,β-dibromoketones. Also β-(1-imidazolyl)enones have been treated with various nucleophiles to afford β-substituted enones. Furthermore 3-methyl-1-(3-oxoalkenyl)imidazolium iodides, which were easily derived from β-(1-imidazolyl)enones by the methylation with methyl iodide, were found to be *available for the synthesis of* β-substituted enones. From these facts β-(1-imidazolyl)enones should be equivalent synthetically to β-haloenones and ynones.

This time, we studied the reaction rates of these β-(1-imidazolyl)enones and their methiodide salts with pyrrolidine by means of UV spectrometry. Comparing with the reaction rates of β-haloenones and ynones, these compounds reacted with nucleophiles having the nearly equal reaction rates. This results showed that the β-(1-imidazolyl)enones and their methiodide salts had much enough reactivities with nucleophiles for the synthesis of β-substituted enones. Furthermore, these compounds were superior to β-haloenones and ynones in the points of easier preparation and better handling character.



Compound	Rate ($M^{-1}min^{-1}$)	Rel. Rate
	223	0.11
	2032	1.0
	2530	1.25
	6655	3.28