

Regioselective Nucleophilic Substitution of the Baylis-Hillman Adducts with Iodine

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Abstract : As synthetic organic methods are increasingly concerned with the growing importance of sustainable chemistry, iodine recently has emerged as an inexpensive, non-toxic, readily available and environmentally benign catalyst for various organic transformations to afford the corresponding products in high yields with high regio- and chemoselectivity. Iodine has found widespread applications in various organic synthesis such as Michael addition, coupling reaction and also in the multicomponent synthesis where it can efficiently activate C=C, C=O, C=N, and so forth. Iodine not only has been shown to be an efficient mild Lewis acid in various processes, but also due to its moderate nature, and water tolerance, reactions catalyzed by iodine can be effectively carried out in neutral media under very mild conditions. We have successfully described an efficient procedure for the nucleophilic substitution of the Baylis-Hillman (BH) adducts and their corresponding acetates with indoles to get α -substitution product using catalytic Silver Triflate (AgOTf) as Lewis acid. At this point, we were interested to develop an environmentally benign catalytic system to effect this substitution reaction and to avoid the use of metal Lewis acid as a catalyst. Since, we observed the formation of β -product during the course of the reaction, we also became interested to explore the reaction conditions in order to control regioselectivity and to obtain both regioisomers. The developed methodology resulted in regioselective substitution products with controlled selectivity. Further, the substitution products were used to synthesize various Tri- and Tetracyclo Azepino indole derivatives via reductive amination.

Keywords : indole, regioselective, Baylis-Hillman, substitution

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