**N-Tosyl Imines**

Compiled by Mukut Gohain

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**Introduction**

N-Tosyl imines are versatile synthetic intermediates in organic synthesis. These are activated imines where the limitations of aldimine functionality such as low electrophilicity of azomethine carbon and the tendency of enolizable imines to undergo deprotonation rather than addition can be circumvented. They are used in olefination reactions and various C–C bond forming reactions.

**Preparation**

N-Tosyl imines can be prepared by the reaction of aldehyde, p-toluene sulfonamide and sodium p-toluene sulfinate in aqueous formic acid, and subsequent treatment of the generated sulfonamide sulfone intermediate with sodium bicarbonate (Scheme 1).

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**Abstracts**

(A) The reaction of diethyl benzene sulfinyl methylphosphonate with N-tosyl imine in the presence of a catalytic amount of NaH (20 mol%) at 70 °C gives substituted (E)-α-benzene sulfinyl vinylphosphonates in 68–85% yields.

(B) The aza-Baylis–Hillman reaction of N-tosylimine with phenyl vinyl ketone gives the double aza-Baylis–Hillman adduct in good yields with excellent stereoselectivity in the presence of Lewis base DABCO in THF.

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**SYNLETT** 2003, No. 13, pp 2097–2098
Advanced online publication: 08.10.2003
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Reaction of N-tosylimines having proximal chelating groups with crotyl bromide and indium in aqueous media give α-crotylation products stereoselectively with syn selectivity.7

Monocarbonyl iodonium ylides generated in situ from (Z)- (2-acetoxyvinyl) iodonium salts via an ester exchange reaction with EtOLi undergo alkylidene transfer reactions to N-tosyl imine yielding 2-acyl aziridines in good yields.8

Deng et al. have used N-tosyl imines to prepare functionalized pyrrole derivatives. This approach is based on nucleophilic condensation of an α-diazo-β-ketoester or an α-diazo-β-ketoketone with N-tosyl imine followed by Rh(II)-catalysed diazodecomposition.9

Treatment of alkenylzirconocene chloride complexes to N-tosylimines in the presence of [RhCl(COD)]2 (2 mol%) catalyst in dioxane at room temperature gives allylic amine derivatives in excellent yield.10 This is the first example of the catalytic addition reactions of alkenyl zirconocene chloride complexes to aldime derivatives.

The formation of a 1,4-dipole from isoquinoline and dimethyl acetylene dicarboxylate (DMAD) and its trapping by phenyl isocyanate, diethyl mesoxalate and dimethyl azodicarboxylate were reported by Huisgen;11 the utility of this reaction for the synthesis of six-membered heterocycles has not been explored so far. Nair et al.12 have reported that the 1,4-dipole derived from isoquinoline and DMAD has been shown to react readily with N-tosyl imines resulting in the diastereoselective synthesis of 2H-pyrido[2,1-a]isoquinoline derivatives.

References


