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Programme

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STEREOSELECTIVE SYNTHESIS OF *CIS*-3,4-DISUBSTITUTED PIPERIDINES THROUGH RING TRANSFORMATION OF 2-(2-MESYLOXYETHYL)AZETIDINES

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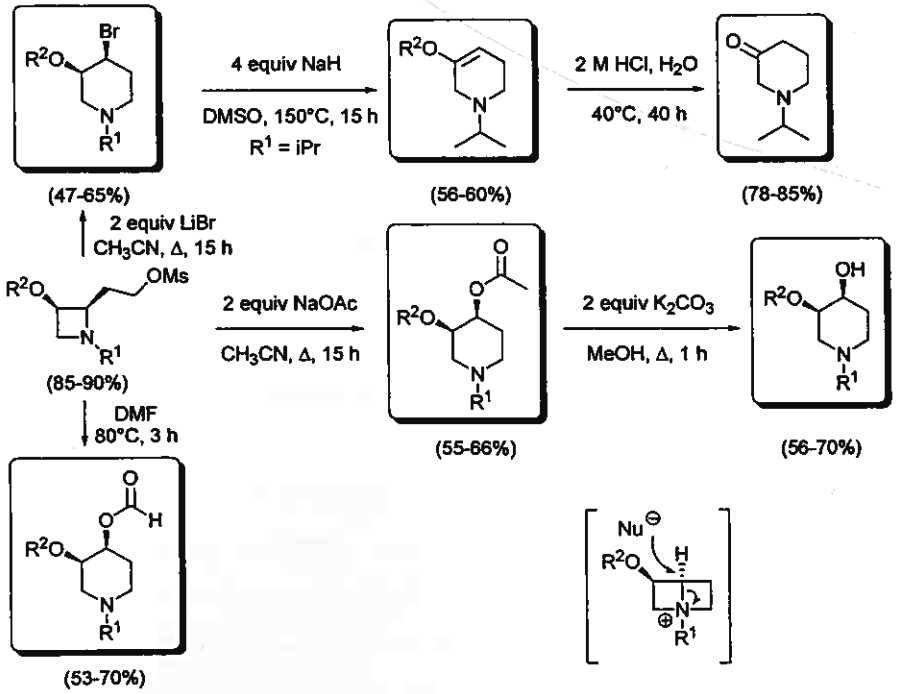
Piperidines are found in a whole variety of natural products and pharmaceutical compounds, and they continue to attract considerable attention due to their diverse and important biological activities.
In this presentation, the reactivity of 2-(2-mesyloxyethyl)azetidines, obtained through monochloroalane reduction and mesylation of the corresponding β-lactams, with regard to different nucleophiles was evaluated for the first time, resulting in the stereoselective preparation of a variety of new 4-acetoxy-, 4-hydroxy-, 4-bromo- and 4-formyloxypiperidines.



During these reactions, transient 1-azoniabicyclo[2.2.0]hexanes were prone to undergo an S_N2-type ring opening to afford the final azaheterocycles. Furthermore, *cis*-4-bromo-3-(phenoxy- or benzyloxy)piperidines were elaborated into the piperidin-3-one framework via dehydrobromination followed by acid hydrolysis.

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