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Synthetic Utility of Michael Addition to 3-C-Nitromethylene Glucose

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Addition of various nucleophiles to diacetone- α -D-glucose derived ketone has been extensively exploited by chemists. Usually these reactions proceed with excellent diastereoselectivity as only *si*-face of the carbonyl group is freely accessible.

Compound **1** (Fig.1) is a major product in Henry reaction of nitromethane and ketone mentioned previously. Elimination of water is required and for that a quite practical method has been reported by Moffatt. This approach which uses mixture of DMSO and Ac₂O has been a standard for carbohydrate chemists for a long time [1].

Michael addition of nucleophiles to corresponding acceptor **2** allows the formation of otherwise minor product of Henry adduct **3**, as well as other useful compounds. Nucleophilic addition of amino group containing compounds leads to chiral diamine precursors (e.g. **5**), whose synthetic utility is yet to be explored.

At the same time, addition of natural amino acid esters gives product that can be converted to spirocyclic sugar-piperazinone **6**.

1. Albrecht, H. P.; Moffatt, J. G.; *Tetrahedron Lett.* **1970**, *11*, 1063

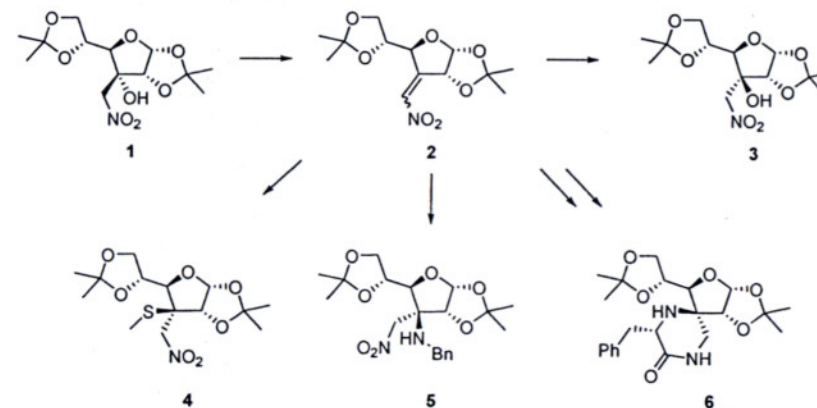


Fig.1 General approach for transformation of 3-C-nitromethylene glucose