

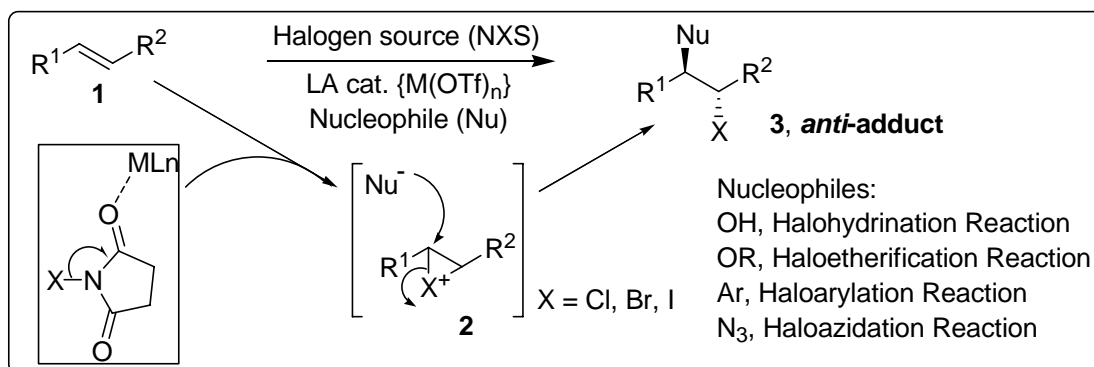
A.1 Introduction

“*Heterocyclic compound* also called *HETEROCYCLE*, Any of a class of organic compounds whose molecules contain one or more rings of atoms with at least one atom (the heteroatom) being an element other than carbon, most frequently oxygen, nitrogen, or sulfur.”– This is how the heterocyclic compounds have been defined in *Encyclopedia Britannica*.¹

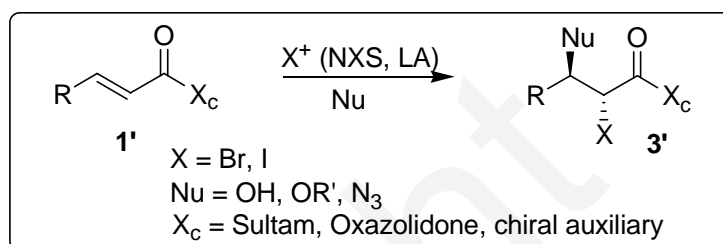
Chemists are all aware of the importance of heterocycles; nothing new is there to explain. From natural products to bio-medically active compounds, from polymer science to material science, from theoretical studies to domestic usage, from synthetic organic chemistry to coordination chemistry, heterocyclic compounds are welcomed all the way in various perspectives. Methods have been cultivated from ages, aiming the construction of heterocyclic rings. But, owing to the great mounting importance of properly decorated heterocycles, newer and advanced techniques (more selective and mild) are still stipulated.

With this curiosity, we propelled our research, guided toward the development of some newer methods for stereoselective synthesis of important heterocycles.

For last several years, our research group has been working on stereoselective 1,2-halofunctionalization of alkenes. Lewis acids ($L\bar{A}$), in particular metal triflates, are noticed to motivate the electrophilicity of *N*-halosuccinimide (*via* the coordination with imide oxygen, **Scheme 1**), which ultimately guides the formation of halonium ion from alkene. Subsequent nucleophilic quenching and ring opening (*in situ*) of halonium intermediate ends up with *vicinal* halo-functionalized product with absolutely **anti-stereochemistry**. This metal triflate mediated 1,2-halofunctionalization concept has been successfully generalized with variety of nucleophiles (**Scheme 1**),² including intra- and intermolecular arenes (Friedel-Crafts Reaction),^{2a, 2d} which provides a generalized method for synthesis of carbocycle and heterocycles. Chiral auxiliary (**Xc**) based diastereoselective approaches have also been developed from our laboratory (**Scheme 2**).³



Scheme 1. Metal Lewis acid catalyzed activation of NXS and halo-addition reaction.



Scheme 2. Chiral auxiliary based diastereoselective halo-functionalization reaction.

On this basis, we further exploited similar chemistry in propelling our research toward selective synthesis of important heterocycles.

A.2 References

1. Encyclopedia Britannica website, <http://www.britannica.com/EBchecked/topic/264227/heterocyclic-compound>.
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3. (a) Hajra, S.; Bhowmick, M.; Karmakar, A. Lewis acid catalyzed asymmetric halohydrin reactions of chiral α,β -unsaturated carboxylic acid derivatives with N-halosuccinimide (NXS) as the halogen source. *Tetrahedron Lett.* **2005**, *46*, 3073–3077. (b) Hajra, S.; Bhowmick, M.; Sinha, D. Highly regio- and stereoselective asymmetric bromoazidation of chiral α,β -unsaturated carboxylic acid derivatives: Scope and limitations. *J. Org. Chem.* **2006**, *71*, 9237–9240.