Development of Novel Methods for Polyfunctionalized Compound Preparation Using Nitro Systems

Project Leader

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Objective

Heterocyclic compounds having multi-functional groups are expected to be applicable to new functional materials such as medicines, agrochemicals, and dyes. However, synthesis of these compounds is not always convenient, hence development of easily accessible methods is in high demand. In the present project, new methodologies will be developed using the characteristic properties of a nitro group that 1) strongly electron-withdraws, 2) activates an adjacent C-C double bond, 3) promotes acidity of an -proton, and 4) concverts to various functional groups.

Project Outline

(1) Development of Highly Efficient SyntheticMethods by Pseudo-Intramolecular Process[1])

Recently, the project leader has proposed a new concept "**pseudo-intramolecular process**," which proceeds like an intramolecular process although it is actually an intermolecular process. Since the reaction proceeds efficiently under mild conditions with diminishing side-reactions, it is concluded to be an environmentally acceptable synthetic methodology. Moreover, this method requires only simple experimental manipulations. In this project, we will attempt to establish this methodology as a general method of organic syntheses for versatile polyfunctionalized systems.

(2) Development of New Generation Method for Functionalized Nitrile Oxide[2])

Nitrile oxide has played an important role in synthetic chemistry because two bonds are formed at the same time constructing heterocyclic structures. However, functionalized nitrile oxides are not general species because of difficult availability. From this viewpoint, we will attempt to develop facile methods for generation of nitrile oxides having a functional group such as a carbonyl, a cyano and a halogeno group starting from easily available functionalized nitro compounds.

(3) Development of a Diverse Building Block Having Multi-Functionalities[3])

The built-in method is one of the effective approaches to functionalized heterocyclic compounds, and thus development of diverse building block is strongly desired for practical use. In the present project, we focus our attention on functionalized nitroenamines, azadienamines, glutaronitriles, amidoximes and cyano-aci-nitroacetate as suitable substrates for the preset purpose: derivation to various kinds of polyfunctionalized compounds will be studied.

References

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3) a) *Org. Biomol. Chem.* **2009**, *7*, 325; b) *Synthesis* **2006**, 3453; c) *J. Org. Chem.* **2005**, *70*, 10169; d) *J. Org. Chem.* **2004**, *69*, 8382; e) *Synlett* **2004**, 703; f) *Heterocycles* **2003**, *60*, 303.

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