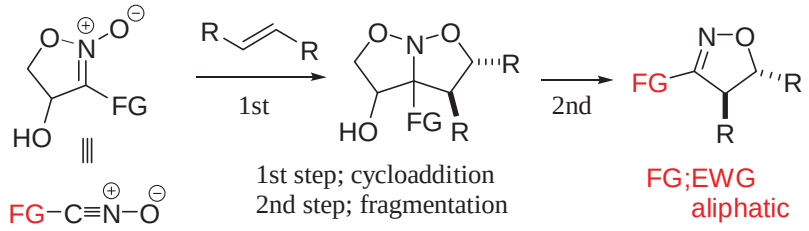
Faculty of Engineering
Tokushima University

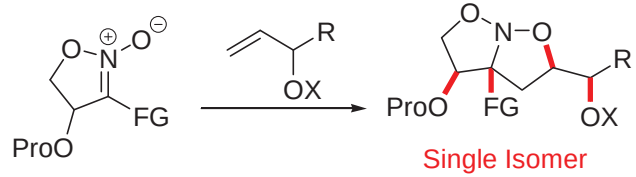
Development of Efficient Synthesis of Functionalized Heterocycles

Associate Professor Masaki Nishiuchi

α-Hydroxy Cyclic Nitronates as a Synthetic Equivalent of Functionalized Aliphatic Nitrile Oxides



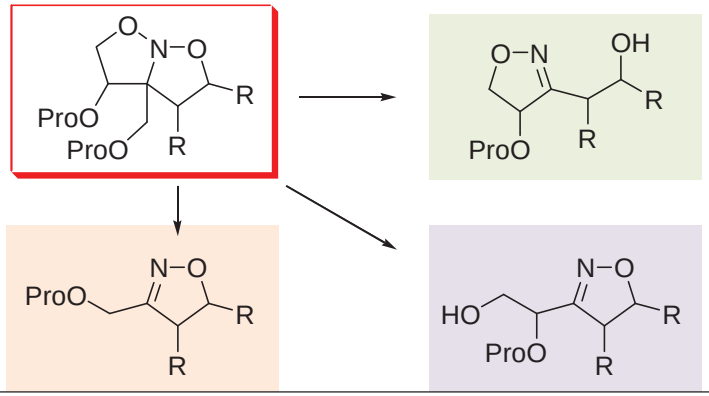
Stereoslective Cycloadditions and Activation of the Reactions



Pro; protecting group

X = H no reaction
MgBr high yield

Regioslective Fragmentaion to give 3-Functionalized 2-Isoxazolines from Bicyclic Isoxazolidines



Content:

Heterocycles are important compound group included in core structure of many biologically active substrances, organic functional materials and as intermediates masked synthetically important functionalities.

Cycloaddition reactions of nitrile oxides with alkenes produce 2-isoxazolines as cycloadducts in which a variety of synthetically important functionalities are masked such as β-hydroxy ketones, 1,3-diols, γ-amino alcohols, and others. Although 2-isoxazolines have been often utilized in synthetic works of complex molecules, synthesis of 3-substituted 2-isoxazolines still remains difficult due to the limited availability of functionalized or aliphatic nitrile oxides as starting materials.

We have found that α-hydroxy cyclic nitronates act as synthetic equivalents of nitrile oxides. The reactions of **3-substituted** 4-hydroxy-2-isoxazoline-*N*-oxides with a variety of alkenes; the resulting perhydroisoxazolo[2,3-b]isoxazoles as cycloadducts are then regioselectively transformed under the acidic conditions to give 2-isoxazolines through elimination of hydroxylacetaldehyde.

Keywords : Organic Chemistry, Synthesis of Heterocycles, 1,3-Dipolar Cycloaddition, Asymmetric Synthesis

E-mail: nishiuch@chem.tokushima-u.ac.jp
Tel. 088-656-7400
Fax: 088-655 -7025
HP : http://www.chem.tokushima-u.ac.jp/lab_a.html#ttl01