

Research on synthesis of heterocycles Associate Professor Masaki Nishiuchi

C-Disubstituted Nitronates as a Synthetic Equivalent of Functionalized Nitrile Oxides

$$FG-C=N-O$$

$$HO \longrightarrow FG$$

$$HO \longrightarrow C=N-O$$

$$C-Disubstituted$$

$$Nitronate$$

$$Functionalized$$

$$Nitrile Oxide$$

$$Regioselective Fragmentation$$

$$Regioselective Fragmentation$$

$$Regioselective Fragmentation$$

Introduction of Various Substituents to 3-position of 2-Isooxazoline

Application to Biologically Active Substance

I research on the development of the selective and effective synthetic method of the heterocycle compound that the application to biologically active substance (medicine, agricultural chemicals, etc.) is expected. Mainly, about the synthetic method of the hetero five-membered rings compounds containing nitrogen, oxygen using 1,3-dipolar cycloaddition reactions:

- 1) Activation of 1,3-DC reaction by catalyst
- 2) Development of regio- and stereoselective reaction
- 3) Synthesis of biologically active substance

I have accomplished the following matters so far:

- Development of high-rate acceleration and regioand stereocontrol of nitrile oxide cycloadditions by Lewis acid.
- 2) Development of *C*-disubstituted nitronates as a synthetic equivalent of functionalized nitrile oxides.
- 3) Formal synthesis of dysibetaine and synthesis of core structure of lopinavir (HIV-prtease inhibitor).

Keywords: biologically active substance, stereoselective,

regioselective, catalytic reaction

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