

SYNTHESIS OF HETEROCYCLIC COMPOUNDS BY THE SULFENO-CYCLOAMINATION
AND ITS APPLICATION TO NATURAL PRODUCTS SYNTHESIS

Masataka Ihara, Tatsushi Ohsawa, and Keiichiro Fukumoto

Pharmaceutical Institute, Tohoku University, Aobayama, Sendai 980, Japan

Tetsuji Kametani

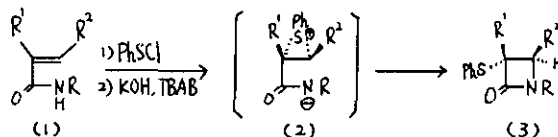
Institute of Medicinal Chemistry, Hoshi University, Ebara 2-4-41,

Shinagawa-ku, Tokyo 142, Japan

A novel C-N bond formation, which was named sulfeno-cycloamination, was investigated through addition of sulfenyl chlorides to amino- or amido-olefins followed by treatment of the adducts with bases. Applications to synthesis of β -lactam and pyrrolizidine derivatives involving the total synthesis of $(\pm)$ -retronecine and $(\pm)$ -turneforcidine are described.

1) Novel Stereoselective Synthesis of β -Lactams

α,β -Unsaturated amides (1) were reacted with benzenesulfenyl chloride in methylene chloride to give the mixture of regioisomers of adducts which was treated with potassium hydroxide in the presence of phase transfer catalyst to afford β -lactams (3). This cyclization proceeded in a stereoselective manner most probably via an episulfonium ion intermediate (2).



2) Stereoselective Synthesis of Pyrrolizidine Derivatives and Necine Bases

cis-1,8-H-1-Hydroxypyrrolizidine (7) was synthesized from amino-olefin (5), which was prepared from 3-pyrrolidinone (4) via regioselective [3,3]sigmatropic rearrangement as a key step, by the reaction with benzenesulfenyl chloride followed by treatment with potassium carbonate in the presence of sodium iodide. Similar procedure was applied to the total synthesis of necine bases, $(\pm)$ -retronecine (8) and $(\pm)$ -turneforcidine (9).

