[Tetrahedron Lett., **36**, 245 - 248 (1995)] [Lab. of Pharm. Chemistry]

Selective C-S Bond Cleavage of 3-Aryl-β-sultams with $EtAlCl_2$

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Selective C-S bond cleavage of a β-sultam ring was achieved by the reactions of 3-aryl-β-sultams with $EtAlCl_2$. Aryl ketones or aldehydes were provided *via* processes of the C-S bond cleavage, 1,2-aryl shift and imine formation. These reactions were influenced by the cation stabilizing capability of C-4 substituents, by the electron density of C-3 aryl group and by the steric relation between C-3 and C-4 substituents, and anchimeric assistance played an important role for the selective C-S bond cleavage.

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Opioid Receptor Interaction and Adenylyl Cyclase Inhibition of Dihydroetorphine: Direct Comparison with Etorphine

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To find out the reason of weak addiction property of dihydroetorphine, we compared the affinities of dihydroetorphine to the type selective opioid receptor and inhibition effect on the adenylyl cyclase activity with those of etorphine. Dihydroetorphine and etorphine have almost the same binding affinities to all types (μ, δ and κ) of opioid receptors and antagonist binding sites, and have similar inhibition activities to forskolin stimulated adenylyl cyclase. However, dihydroetorphine showed significantly smaller value of DTNB-index compared with that of etorphine. This differentiation may explain partly the high analgesic with low dependence properties of dihydretorphine.

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Acid-promoted C_1-C_2 Bond Fission and Subsequent 1,5-Sulfeny Shift of 1-Acceptor-1-sulfenyl-substituted 2-Vinylcyclopropanes. Formation of 6-Sulfenyl-α,β-γ,δ-unsaturated Carboxylic Esters and Nitriles

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1-Acceptor-1-sulfenyl-substituted 2-vinylcyclopropanes were isomerized to 6-sulfenyl-α,β-γ,δ-unsaturated carboxylic esters and nitriles *via* a C_1-C_2 bond fission and *via* a 1,5-sulfenyl shift using an acid catalyst. The reaction efficiently took place using a sulfonic acid such as *p*-toluenesulfonic acid monohydrate and trifluoromethanesulfonic acid in benzene, a nonpolar solvent. The substituent effect of an arylthio group was slightly observed. The cross-over experiment revealed that the 1,5-sulfenyl shift proceeded intermolecularly.