

A SYNTHETIC APPLICATION OF  $\beta$ -LACTAM TO HETEROCYCLIC COMPOUNDS

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A study of synthetic application of  $\beta$ -lactam to the other heterocyclic compounds was investigated. N-Arylazetidins-2-ones were heated in trifluoroacetic acid or methanesulfonic acid to give 1,2,3,4-tetrahydro-4-oxoquinolines through the Fries type rearrangement. Thus, 6-methoxy-, 6-bromo-, 6-chloro-4-oxoquinolines were obtained from the corresponding 1-phenylazetidins-2-one possessing a substituent at the 4'-position. In the case of 1-(3-substituted)phenylazetidins-2-ones, rearrangement occurred at both ortho and para positions to the substituent and 5- and 7-substituted 4-oxoquinolines were obtained. Furthermore, 2-substituted 4-oxoquinolines were also derived from 1-phenylazetidins-2-ones possessing a substituent such as methyl, ethoxycarbonyl,  $\alpha$ -piperidino, N,N-dimethylhydrazino group at the 4-position. Cleavage of amide bond of  $\beta$ -lactam with nucleophile was examined. 4-Hydroxymethyl-1-phenylazetidins-2-one was converted to 4-anilino-2-oxotetrahydrobutyrolactone. 1-(4-Methoxy)phenyl-4-( $\alpha$ -)piperidinoazetidins-2-one was lead to 4-(4-methoxyphenyl)aminoctahydroindolizins-2-one. This cleavage of amide bond with hydroxyl and amino groups was applied for preparation of 3,4-dihydrocarbostyryl, 3,4-dihydrocoumarine, octahydroindolizins-2-one possessing an aminomethyl group at  $\alpha$ -position of carbonyl group.