A SYNTHETIC APPLICATION OF β-LACTAM TO HETEROCYCLIC COMPOUNDS

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A study of synthetic application of β-lactam to the other heterocyclic compounds was investigated. N-Arylazetidin-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-phenylazetidin-2-one possessing a substituent at the 4'-position. In the case of 1-(3-substituted)phenylazetidin-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-phenylazetidin-2-ones possessing a substituent such as methyl, ethoxycarbonyl, α-piperidino, N,N-dimethylhydrazino group at the 4-position. Cleavage of amide bond of β-lactam with nucleophile was examines. 4-Hydroxymethyl-1-phenylazetidin-2-one was converted to 4-anilino-2-oxotetrahydrobutyrolactone. 1-(4-Methoxy)phenyl-4-(α-)piperidinoazetidin-2-one was lead to 4-(4-methoxyphenyl)aminooctahydroindolizin-2-one. This cleavage of amide bond with hydroxyl and amino groups was applied for preparation of 3,4-dihydrocarbostyril, 3,4-dihydrocoumarine, octahydroindolizin-2-one possessing an aminomethyl group at α-position of carbonyl group.