STUDIES ON THE SYNTHESIS OF ISOCARBOSTYRIL DERIVATIVES

Hideo Iida, Toyohiko Kikuchi and Mamoru Narimiya

Tokyo College of Pharmacy

1432-1 Horinouchi, Hachioji, Tokyo 192-03, Japan

The base-induced alkylation of 2-methylhomophthalimide with 1-bromo-2-chloroethane produced the spirocyclopropane derivative and 1H,2H-furo[2,3-c]isoquinolone derivative.

The spiro[cyclopropane-1',4-2-methylhomophthalimide] was reduced with sodium borohydride, followed by treated with acid, afforded the spiro[cyclopropane-1,4-(3H)-isocoumarin].

In the reaction of 2-methylhomophthalimide with 1,3-dibromopropane gave only a pyrano[3,2-c]isocarbostyril derivative.

The spiro[cyclopentane-1',4-homophthalimide] derivatives which were prepared as follow, *homophthalimide derivatives* (*2-methyl*, *2-benzyl* and 2-ethylacetate) were treated with 1,4-dibromobutane containing of potassium caronate or sodium hydride.

The 4-spirocyclopentanehomophthalimide derivatives were reduced with sodium borohydride to give the 3-hydroxy-4-spirocyclopentaneisocarbostyril derivateves which were treated with p-toluensulfonic acid or sulfuric acid in benzene gave the phenanthridine derivatives, via the dehydration and migration of an alkyl growp.

This reaction mechanism may be able to explain that take acourse analogous to the Wagner-Meerwein rearrangement.

The phenanthridine derivatives constitute part of the skeleton of many alkaloids such as crinine, lycorine and lycoricidine.