

THE RING TRANSFORMATION OF SUBSTITUTED ISOXAZOLINE
N-OXIDES BY LEWIS ACIDS

Kiyobumi Takahashi, Eisuke Kaji and Shonosuke Zen
School of Pharmaceutical Science, Kitasato University
Shirokane, Minato-ku, Tokyo 108

Hikaru Nakamura and Yoichi Iitaka
Faculty of Pharmaceutical Science, University of Tokyo
Hongo, Bunkyo-ku, Tokyo 113

4-Substituted 3,5-bis(methoxycarbonyl)isoxazoline N-oxides (1) reacted with Lewis acids, e.g. titanium(IV) chloride in dichloromethane to yield two different products, 3H-indole derivatives (2) and fused furo-isoxazoline derivatives (7). Their structures of the products were confirmed by way of chemical and spectral analyses as well as by the preparation of their further derivatives respectively. And also, single-crystal X-ray analysis of (7) showed the above-mentioned fused ring-structure.

Substituent effects of (1) and solvent effects for the reaction will be discussed in detail.

The reaction mechanism of this ring transformation is also proposed.

