

THE RING TRANSFORMATION OF 4-SUBSTITUTED
3,5-BIS(METHOXYCARBONYL)ISOXAZOLINE-2-OXIDES

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

4-substituted 3,5-bis(methoxycarbonyl)isoxazoline-2-oxide (1) were readily transformed into 2-methoxycarbonyl-1-oxido-3H-indole-3-acetates (2) and/or dimethyl 3a,4-dihydro-5aH-benzofuro[3,3a-d]isoxazole-3,4-dicarboxylate derivatives (3) in the presence of Lewis acid such as titanium tetrachloride in dichloromethane. (Chart 1)

With regard to substitution effect, the reaction of 4-disubstituted phenylisoxazoline-2-oxides (1b~1e) was examined to obtain interesting results. As this reaction was applicable to 4-(1-naphthyl)isoxazoline-2-oxide derivative (1f), dimethyl 3a,4-dihydro-5aH-naphtho[2',1'-2,3]furo[1,9b-d]isoxazole-3,4-dicarboxylate (3f) was afforded as a ring transformed product, followed by ring opening of N-O bond in the presence of Raney Nickel in acetic acid-Methanol under hydrogen atmosphere. Then two products, 6f and its lactone 7f were given, as shown in Chart 2.

