## Yasuhisa Ishiguro, Kazuhisa Funakoshi, Seitaro Saeki, Toshiyasu Endo and Masatomo Hamana Faculty of Pharmaceutical Sciences, Kyushu University Maidashi, Higashi-ku, Fukuoka 812, Japan

The 1,3-dipolar cycloaddition of various 2-,3-,4-monosubstituted, and 2,4- and 3,4-disubstituted quinoline N-oxides (QNO) with MeOCOCECCOOMe was examined. The reactivities and the reaction patterns were found to be greatly affected by the natures and positions of substituents. The characteristic features are as follows.

- 1) The reaction of 4-MeO-QNO gave a small amount of the demethylated 2,3-di-hydroquinoline (1), besides the 2-substituted quinoline, the N-ylide and the furo-[3,2-c]quinoline.
- 2) Reactions of 3-substituted QNO afforded N-ylides (2: X=NO<sub>2</sub>, 2-quinolyl, Br, Me) in good yields as the sole or main product. The ease of the N-ylide formation can be correlated with the electronegativity of 3-substituent.
- 3) The reaction of 2-Ph-QNO in  $CH_2Cl_2$  at room temperature gave a 3H-benzaze-pine (3) in good yield. On the other hand, the reaction in hot DMF yielded the 3-substituted 2-phenylquinoline (4), which was also obtainable upon heating 3 at  $100^{\circ}$  in DMF.
- 4) 4-MeO- and 4-Cl-2-Ph-QNO gave the furo[3,2-c]quinoline in good yields, no l-benzazepine derivatives being detected.
- 5) The reaction of 3-Br-4-MeO-QNO at room temperature in dioxane or DMF afforded the N-ylide (5) and the 2-substituted quinoline. On the other hand, when the reaction was conducted at 100°, rearrangement of 5 to the N-substituted 4-quinolone (6) occurred.