

ON THE REACTIONS OF QUINOLINE 1-OXIDES WITH METHANESULFONYL
CHLORIDE IN THE PRESENCE OF POTASSIUM CYANIDE

Eisaku Hayashi and Noriaki Shimada

Shizuoka College of Pharmacy,

2-2-1 Oshika, Shizuoka

The reactions of quinoline 1-oxides with methanesulfonyl chloride in the presence of cyanide ion in acetone were carried out.

The reactions of 2-methyl-, 2-phenyl- and 2-cyano-quinoline 1-oxide gave the corresponding 4-(methylsulfonyl)quinolines. The reactions of 3-bromo-, 3-cyano-, 4-methoxy-, 4-chloro-, 4-cyano-, 6-bromo-, 6-nitro-, 7-chloro-quinoline 1-oxide gave the corresponding 2-(methylsulfonyl)quinolines and carbostyriles. The reactions of quinoline 1-oxide, 6-methoxy- and 6-chloro-quinoline 1-oxide gave the corresponding 2-(methylsulfonyl)quinolines (main product), 4-(methylsulfonyl)quinolines and carbostyriles, respectively. The reaction of 6-cyanoquinoline 1-oxide gave 6-cyano-2-(methylsulfonyl)quinoline and Henze reaction product (6-cyano-2-quinolinecarboxamide).

Thus, if the 2-position of quinoline 1-oxides was free, 2-(methylsulfonyl)-quinolines were obtained and if the 2-position was protected by substituent, 4-(methylsulfonyl)quinolines were obtained.

The reaction of 2,4-dimethylquinoline 1-oxide gave 2,4-dimethyl-3-(methylsulfonyl)quinoline. But in the case of 4-hydroxy- and 4-nitro-quinoline 1-oxide, the corresponding 2-(methylsulfonyl)quinolines were not obtained.

The possible reaction mechanism for the formation of (methylsulfonyl)quinoline was discussed and proposed in Chart 2.