

ON THE REACTION OF DIMETHYLSULFONIUM ACETYLCARBAMOYLMETHYLIDE
WITH QUINOLINE 1-OXIDESunao Furukawa, Toshio Kinoshita, and Yutaka SasadaFaculty of Pharmaceutical Sciences, Nagasaki University1-14 Bunkyo-machi, Nagasaki 852, Japan

The reaction of dimethylsulfonium acetylcarbamoylmethylide (1) with quinoline 1-oxide gave 6-methyl-5-methylthio-2-(2-quinoly1)methyl-1,3-oxazine-4-one (2) and 6-methyl-5-methylthio-2-bis(2-quinoly1)methyl-1,3-oxazine-4-one (3) in the presence of acetyl chloride suspended in N,N-dimethylformamide. The structure of 2 and 3, which the carbon-carbon bonds were formed between 2-position of quinoline and terminal methyl group of acetyl chloride using as acylating agent, were confirmed by chemical and physical methods. This is a new type reaction in stable sulfur ylides. Similarly, isoquinoline 2-oxide was treated with 1 to give 6-methyl-5-methylthio-2-(1-isoquinoly1)methyl-1,3-oxazine-4-one (4), as major product.

These 1,3-oxazine derivatives (2 and 4) were transformed to 2,6-dihydroxy-4-methyl-3-methylthio-5-(2-quinoly1, and 1-isoquinoly1)pyridine by treatment with acids, and 6-amino-2-hydroxy-4-methyl-3-methylthio-5-(2-quinoly1)pyridine, with ammonia.

In order to prove reaction mechanism of this novel reaction, various N-acyl derivatives of 1 were prepared, then these compounds reacted with quinoline 1-oxide and acylating agents. Acetyl and phenylacetyl derivatives, which possess activated methylene portion, converted to corresponding 1,3-oxazine-4-one derivatives. Possible reaction mechanism is proposed to the reaction.