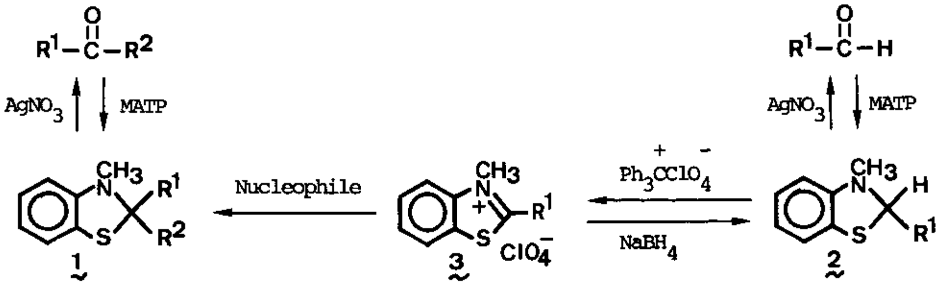
3-METHYLBENZOTHIAZOLINES AS A NEW PROTECTED FORM FOR THE CARBONYL FUNCTION AND RELATED REACTIONS UTILIZING THEM

Hidenori Chikashita, Shunichiro Komazawa and Kazuyoshi Itoh
Department of Applied Chemistry, Faculty of Engineering, Kansai University
3-3-35, Yamate-Cho, Suita, Osaka 564, Japan

We now wish to report a useful new type of protection for the carbonyl function by conversion to 3-methylbenzothiazoline derivatives (1, 2). This method allows efficient protection and deprotection under mildly neutral conditions, and affords protection of carbonyl groups against various basic and acidic conditions.

Conversion of carbonyl compounds to the corresponding thiazolines (1, 2) was conveniently effected in excellent yields by refluxing the solution of N-methyl-o-aminothiophenol (MATP) in ethanol. The selective protection of aldehydic groups in the presence of ketone functional groups and the selective monobenzothiazolination of steroid diketones could be realized in good yields. Hydrolysis of thiazolines (1, 2) to the parent carbonyl compounds could be accomplished, for example, by treatment with $AgNO_3$ in *aq.* CH_3CN buffered to pH 7. Thiazolines (1, 2) almost survived under the severe conditions commonly required for removal of other protecting groups and resistibilities to both of basic and acidic conditions add some practically useful value to the present protection method.



On the other hand, the hydrogen atom of 2 could be substituted with a variety of nucleophiles *via* the salt (3) which was readily prepared by treating 2 with trityl perchlorate in acetonitrile. Therefore, the protected form (2) can be considered to be functional.