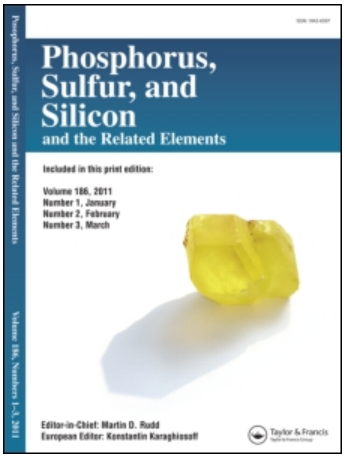

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Synthesis of Oxazoles and Thiazoles Using Stabilized Thioimidates

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SYNTHESIS OF OXAZOLES AND THIAZOLES USING STABILIZED THIOIMIDATES

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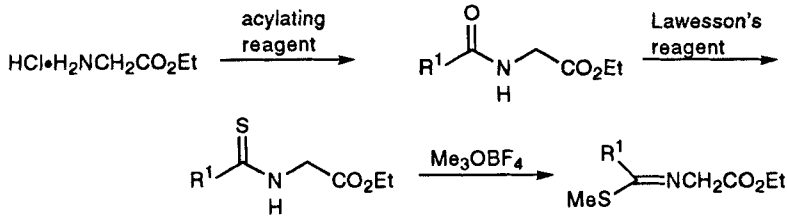
Abstract Several kinds of oxazoles and thiazoles were synthesized easily by the reaction of *N*-(methylthioalkylidene)glycine ethyl ester with diethyl oxalate, acid halides, and thionesters in the presence of base. Furthermore, the reaction could be applied to the synthesis of imidazolines, oxa-zolines, and pyrroles.

INTRODUCTION

In the course of study on the rearrangement of alkyl *O*-vinylcarbohydroximates to alkyloxazoles,[1] we needed the thioimidate **1** in order to elucidate the reaction mechanism. Compound **1** can exist at equilibrium with the corresponding azomethine ylide, which is regarded as the synthone of nitrile ylide. Therefore **1** is an useful reagent in the organic synthesis. In this report we wish to present a synthetic method of oxazoles and thiazoles by utilizing **1**.

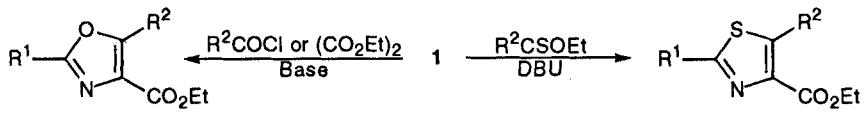
RESULTS AND DISCUSSION

The *N*-acylglycine ethyl esters were prepared by acylation of glycine ethyl ester hydrochloride and then converted into the corresponding *N*-thioacylglycine ethyl esters *via* the *O/S* exchange using Lawesson's reagent. Thus obtained *N*-thioacylglycine ethyl esters were methylated with Meerwein's reagent to give the corresponding ethyl *N*-(methylthioalkylidene)glycine ethyl esters **1** quantitatively (Scheme 1).



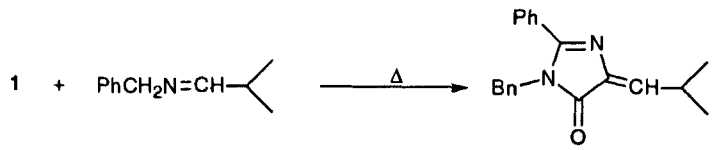
SCHEME 1

Next, **1** was allowed to react with ethyl oxalate, acyl chlorides, and thionesters in the presence of 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU) or triethylamine to afford the corresponding oxazoles **2** and thiazoles **3** in moderate to good yields, respectively (Scheme 2).



SCHEME 2

The synthetic methods for the oxazoles and thiazoles using amino acid esters as the starting material have been known as the Wrede method, the Dakin-West method, and the Cornforth method, which have drawbacks in low yield or troublesome operation. From this point the present method is a synthetically convenient procedure. Recently Bazureau *et al.* have reported the cycloaddition of imidates with imines to form 4-yliden-5-imidazolinones. Compound **1** could also undergo the same reaction to afford the corresponding 4-yliden-5-imidazolinones **4** (Scheme 3).



SCHEME 3

REFERENCES

(1) Yokoyama, M.; Irie, M.; Sujino, K.; Kagemoto, T.; Togo, H.; Funabashi, M. J. Chem. Soc., Perkin Trans. 1, 1992, 2127.