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Phosphorus, Sulfur, and Silicon and the Related Elements

Publication details, including instructions for authors and subscription information:

<http://www.informaworld.com/smpp/title~content=t713618290>

Synthesis of Oxazoles and Thiazoles Using Stabilized Thioimides

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To cite this Article Yokoyama, Masataka(1994) 'Synthesis of Oxazoles and Thiazoles Using Stabilized Thioimides', *Phosphorus, Sulfur, and Silicon and the Related Elements*, 95: 1, 477 — 478

To link to this Article: DOI: 10.1080/10426509408034281

URL: <http://dx.doi.org/10.1080/10426509408034281>

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SYNTHESIS OF OXAZOLES AND THIAZOLES USING STABILIZED THIOIMIDATES

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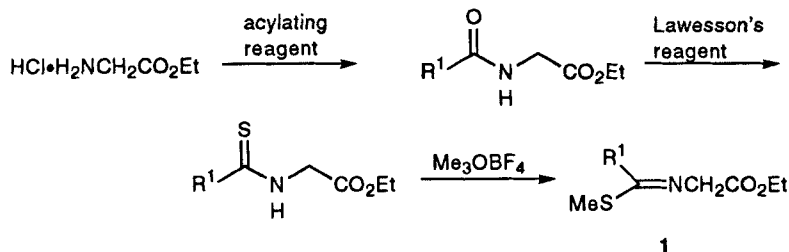
Abstract Several kinds of oxazoles and thiazoles were synthesized easily by the reaction of *N*-(methylthioalkylidene)glycine ethyl ester with diethyl oxalate, acid halides, and thionesters in the presence of base. Furthermore, the reaction could be applied to the synthesis of imidazolines, oxa-zolines,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

INTRODUCTION

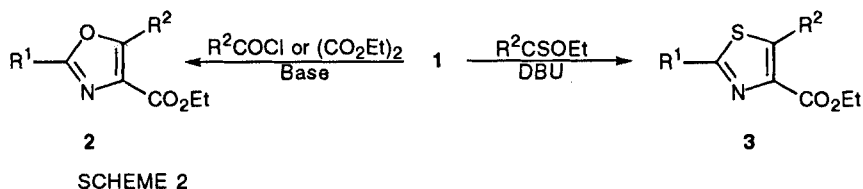
In the course of study on the rearrangement of alkyl *O*-vinylcarbohydroximates to alkyloxazoles,¹ we needed the thioimide 1 in order to elucidate the reaction mechanism. Compound 1 can exist at equilibrium with the corresponding azomethine ylide, which is regarded as the synthon of nitrile ylide. Therefore 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

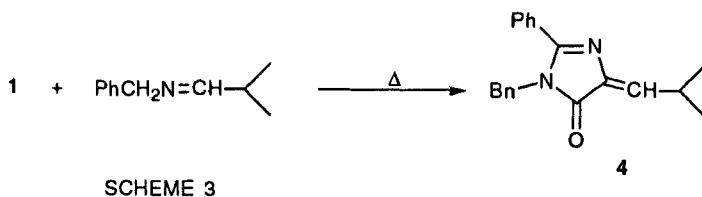
The *N*-acylglycine ethyl esters were prepared by acylation of glycine ethyl ester hydrochloride and then converted into the corresponding *N*-thioacylglycine ethyl esters via the *O/S* exchange using Lawesson's reagent. Thus obtained *N*-thioacylglycine ethyl esters were methylated with Meerwein's reagent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



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).



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).



REFERENCES

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