

12. (a) A. B. Smith III. and R. K. Dieter, *Tetrahedron*, **37**, 2407 (1981); (b) D. E. McClure, P. K. Lumma, B. H. Arison, J. H. Jones, and J. J. Baldwin, *J. Org. Chem.*, **48**, 2675 (1983).
13. T. Kametani, N. Kanaya, T. Mochizuki, and T. Honda, *Tetrahedron Lett.*, **24**, 221 (1983).

Reactivity and Synthesis of 1,2,5-Thiadiazolidine 1,1-Dioxides: Synthesis of 4-Aryl-3-imino-1,2,5-thiadiazolidine 1,1-Dioxides

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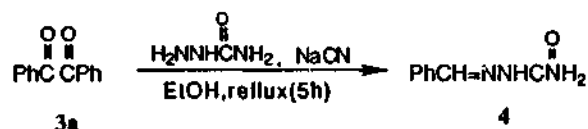
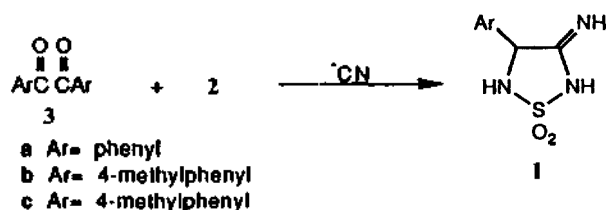
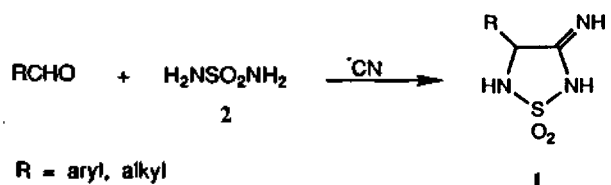
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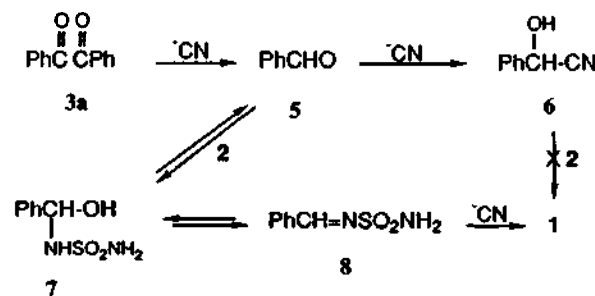
In recent years, an increasing number of articles describing the synthesis, properties, and biological activities of 1,2,5-thiadiazolidine 1,1-dioxides which contain the sulfamide moiety has appeared¹. Previously, Lee and Kohn have reported a general method for the synthesis of 3-imino-1,2,5-thiadiazolidine 1,1-dioxides **1** from aldehydes, sodium cyanide and sulfamide (**2**) by modifying the Strecker synthesis of hydantoin². The compounds **1** have been known to possess anticonvulsant effect³.



In this paper, we wish to describe a new route for the preparation of **1** from benzil derivatives **3** instead of aldehydes, which were originally used in the Lee-Kohn procedure. Table 1 summarizes the experimental results. Shown repor-

Table 1. Synthesis of **1** from Benzil Derivatives **3**

Products		mp. (°C) ²	Isolated yields (%)
No.	Ar		
1a	phenyl	272-273	51
1b	4-methylphenyl	252-254	50
1c	4-methoxyphenyl	247-248	62



Scheme 1

ted in 1971 that the cyanide-mediated cleavage of benzil (**3a**) furnished benzaldehyde along with benzoic acid⁵. When we repeated this experiment in the presence of semicarbazide hydrochloride benzaldehyde semicarbazone was obtained in 75% yield. Treatment of benzil (**3a**) with sodium cyanide and sulfamide also produced 4-phenyl compound **1a** in 51% yield.

A typical experimental procedure for the synthesis of **1** from benzil derivatives is as follows: An ethanolic solution (20 ml) of 4-methylbenzil (240 mg, 10 mmol), sulfamide (290 mg, 300 mmol), and sodium cyanide (54 mg, 11 mmol) was heated at reflux for 5 h and then cooled to room temperature. The mixture was acidified to pH 2.0 and then allowed to stand at 0-5°C for 24 h. The resulting crystalline material was collected by filtration and dried *in vacuo* to give 115 mg (50%) of **1b**: mp. 252-254°C (dec); IR (KBr) 3450, 1645, 1355, 1120 cm⁻¹; ¹H-NMR (DMSO-d₆) δ 2.35 (s, 3H), 5.20 (d, 1H, J=6.0 Hz), 7.00-7.30 (m, 4H), 7.34 (s, 1H, exchangeable with D₂O), 7.50 (s, 1H, J=6.0 Hz, exchangeable with D₂O), 8.10 (s, 1H, exchangeable with D₂O).

The probable mechanism of this reaction is depicted in Scheme 1. When N-benzilidene-sulfamide (**8**)⁶, which was prepared by the reaction of N,N'-dibenzilidene-sulfamide with aniline, was reacted with sodium cyanide, the compound **1a** was obtained in 15% yield. However reaction of mandelonitrile (**6**) with sulfamide under the same reaction conditions did not produce compound **1**. This fact suggests that the mechanism should be **3a**→**5**→**7**→**8**→**1**. Although this procedure permitted the preparation of aryl derivatives **3** from benzil derivatives **4**, reaction of aliphatic diones such as 1,2-cyclohexanedione proved to be unsuccessful. Further application of this procedure to various aromatic heterocyclic diones and reactivity studies of the resulting products **1** are in progress.

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