Addition of Aromatic Nucleophiles to a C=N Double Bond of 1,2,5-Thiadiazole 1,1-Dioxide

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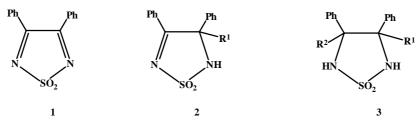
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Abstract: A new synthesis of 3,4-diphenyl-4-aryl-1,2,5-thiadiazolines 1,1-dioxide through the addition of aromatic derivatives to 1,2,5-thiadiazole 1,1-dioxide is presented. Anhydrous $AlCl_3$ is used as catalyst.

Introduction

Compounds of the 1,2,5-thiadiazolidines 1,1-dioxide type (**3**) are interesting owing to a number of therapeutic and synthetic applications [1]. Their are almost exclusively obtained through the condensation reaction of vicinal diamines or amino-alcohols with sulfamide. The availability of the precursors limits the synthetic possibilities.

A recently reported new method [2] for the synthesis of 3 uses substituted thiadiazolines intermediates (2), obtained from thiadiazoles (1) by addition with Grignard reagents.



A new method for the addition of activated aryl nucleophiles to the C=N double bond of 1 is presented in this work. The addition is carried out in solution at room temperature and with adequate yields, using ACl₃ as a catalyst.

Experimental

The synthesis were carried out in Cl_2CH_2 solution, except in the cases of toluene and anisole addition, were these reagents were also used as solvents.

Results and Discussion

The nucleophiles used were anisole, toluene, phenol, N,N-dimethylaniline, resorcinol and benzene. The products and yields obtained were: 3,4-diphenyl-4-(4-methoxyphenyl)- (η = 64%), 3,4- diphenyl - 4-(4-methylphenyl)- (η = 92%), 3,4- diphenyl -4-(4-hidroxyphenyl)- (η = 90%) and 3,4- diphenyl -4-(4-N,N-dimethylaminophenyl)-1,2,5-thiadiazoline 1,1-dioxide (η (unoptimized) = 38%).

The products were purified, their EA was obtained and crystals were grown for X-ray diffraction structure measurements. Spectroscopic (IR, ¹H-RMN, ¹³C-RMN and EM) characterization was also performed.

In the case of benzene, a complex mixture of reaction products, containing mainly polymers derived from benzene, was obtained. Three as yet unidentified reaction products were obtained with resorcinol.

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References and Notes

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