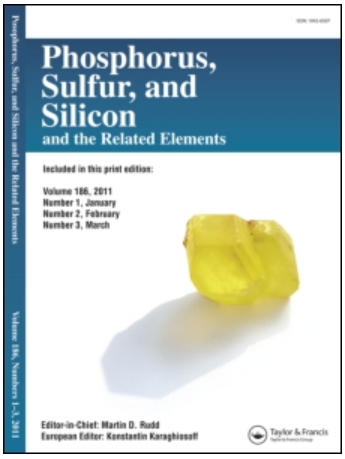

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The Synthesis of 1-(4-Antipyrylamino)-1-Arylmethylphosphonic Acid

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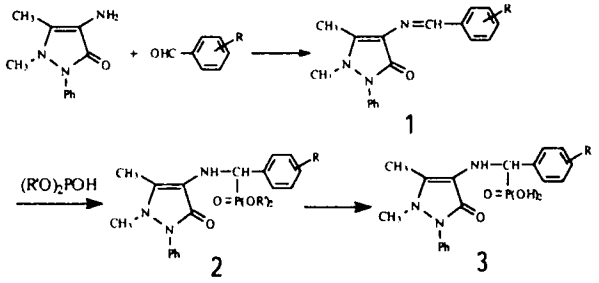
Recently, we found that some derivatives of heterocyclic substituted α-aminophosphonic acid showed very good inhibitory effects against tobacco mosaic virus. In this paper, we report the synthesis of the title compounds, which may serve as plant virucides.

α-Aminophosphonic acid diesters **2** were prepared by addition in neat of dialkylphosphite or diphenylphosphite to the Schiff base precursors. The acids **3** were synthesized by the hydrolysis of **2** with chlorotrimethylsilane.



R=H, OCH_3, Cl, Br, CH_3 etc; R'=CH_3, CH_2CH_3, $CH(CH_3)_2$, C_6H_5

The atomic charges of imine **1** were calculated in order to explain the effects of substitute R on the reaction of **1** with dialkylphosphite. The results indicate that a five-member cyclic transition state may exist in this reaction. The ^1H NMR spectra of **2** show that the two alkoxy groups are magnetically nonequivalent.

In the trimethyl silylation of **2**, KI or NaI should be present to stimulate the reaction. When R' is methyl group, the reaction proceeds very easily, but when R' is ethyl or i-propyl group, the reaction becomes more difficult due to the larger stereo-hindrance.