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Lizai-guo<sup>a</sup>; Huang Run-qiu<sup>a</sup>; Li Hui-ying<sup>a</sup>

<sup>a</sup> Institute and State Key Laboratory of Elemento-Organic Chemistry, Nakai University, Tianjin, P.R.C.

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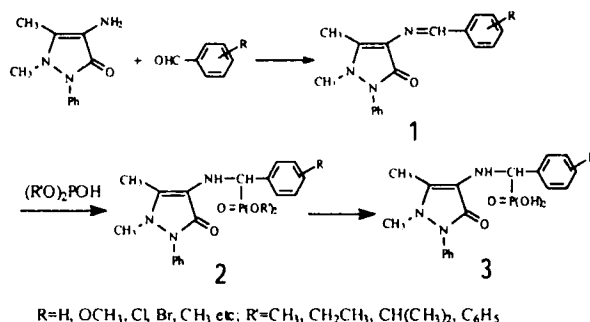
## The Synthesis of 1-(4-Antipyrilamino)-1-Arylmethylphosphonic Acid

LI ZAI-GUO, HUANG RUN-QIU and LI HUI-YING

*Institute and State Key Laboratory of Elemento-Organic Chemistry, Nankai University, Tianjin, 300071, P.R.C.*

Recently, we found that some derivatives of heterocyclic substituted  $\alpha$ -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.

$\alpha$ -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.



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  $^1\text{H}$  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.