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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

The Synthesis of Phosphorus Analogues of Hydantoic Acid

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To cite this Article Zai-guo, Li , Run-qiu, Huang and Hui-ying, Li(1999) 'The Synthesis of Phosphorus Analogues of Hydantoic Acid', Phosphorus, Sulfur, and Silicon and the Related Elements, 147: 1, 453

To link to this Article: DOI: 10.1080/10426509908053706 URL: http://dx.doi.org/10.1080/10426509908053706

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The Synthesis of Phosphorus Analogues of Hydantoic Acid

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Hydantoic acids have attracted much attention due to their good fungicidal, herbicidal activities and low toxicity for fish and warm-blooded animals.^[1] In this paper, some substituted ureidoalkyl-phosphonates 3, the phosphorus analogues of hydantoic acids, are synthesized.

The reported method for synthesis of ureidoalkylphosphonates is limited to the P-Mannich type reaction of substituted ureas, aldehyde and phosphite⁽²⁾. In this paper, a novel method for synthesis of ureidoalkyl-phosphonates is reported (Scheme I). The easily accessible α -aminoalkyl-phosphonic acid diphenyl esters 1 were converted to 3 with high yields (86-96%) by treated with triphosgene and amino acid methyl ester hydrochlorides consequently in one pot.

R=H,CH(CH₃)₂, CH₂CH(CH₃)₂, CH₂Ph; R'=H, 2-Q, 4-QI, 2.4-Q₂, 4-CH₃, 3-NO₂

Scheme I

In the synthetic procedure, the intermediates α -isocyanato-alkylphosphonic acid diphenyl esters **2** are observed (IR.: ν_{NCO} ~2240cm⁻¹) after the treatment of 1 with triphosgene. Using this method, a large variety of substituted ureidoalkylphosphonic acid diphenyl esters are prepared in good yields.

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