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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>

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To cite this Article Genet, J. P. , Uziel, J. , Janin, Y. , Touzin, A. M. and Juge, S.(1990) 'Synthesis of α -Aminophosphonic Esters by Solid-Liquid Phase Transfer Catalysis', *Phosphorus, Sulfur, and Silicon and the Related Elements*, 51: 1, 412

To link to this Article: DOI: 10.1080/10426509008040939

URL: <http://dx.doi.org/10.1080/10426509008040939>

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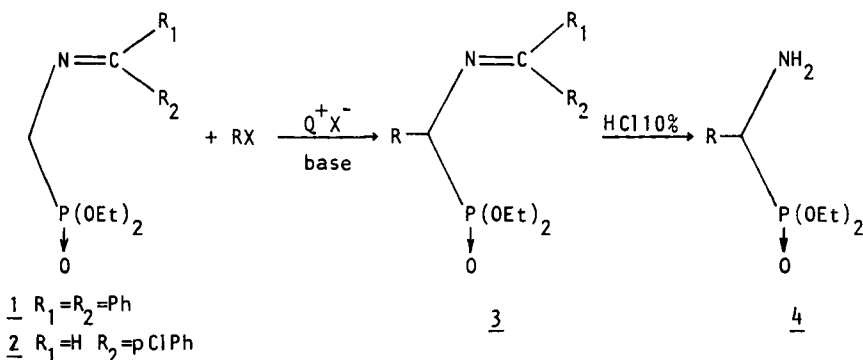
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SYNTHESIS OF α -AMINOPHOSPHONIC ESTERS BY SOLID-LIQUID PHASE TRANSFER CATALYSIS

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(1-aminoalkyl)phosphonic acids are important amino acids with interesting biological properties (1). They are available by various procedures (2). However, a potentially efficient and direct route not very well documented is the use of schiff bases derived from diethyl-aminomethylphosphonate. These substrates have been used to prepare α -alkylated phosphonic aminoacids using strong bases under anhydrous conditions (3). We report here a simple method using solid-liquid phase transfer catalysis (PTC) as well as solid-liquid PTC without solvent:



The successful utilisation of Aliquat 336 in PTC which is a non-solid quaternary ammonium salt led us to investigate the alkylation reaction of 1 without solvent. In fact the reaction proceed with methyl iodide or allyl, propargyl, alkyl, benzyl bromides in very good yields (70-90%) with exclusive formation of the monoalkylated products. Under these conditions aldimine 2 and allyl bromide give only the diallylated product with a poor yield of 30%. The described procedure provides a simple and inexpensive method for the synthesis of α -alkylaminophosphonic esters 4.

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2-For some recent synthesis see: a) C.Yuan and Y.Qi, Synthesis, 1986, 821; b) R.Huber and A.Vasella, Helv.Chim.Acta, 1987, 70, 144 and references cited therein.

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