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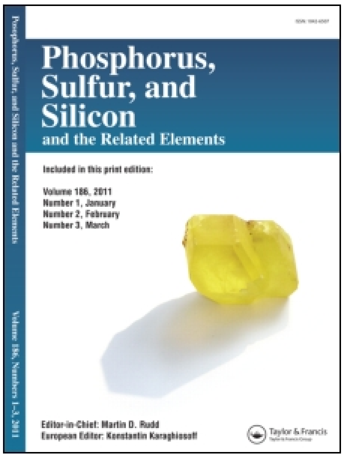

Phosphorus, Sulfur, and Silicon and the Related Elements

Publication details, including instructions for authors and subscription information:
http://www.informaworld.com/smpp/title~content=t713618290

The Synthesis of Aminophosphinocarbonic Acids

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To cite this Article Odinets, I. L. , Mascxenko, N. V. , Petrovsky, P. V. , Matveeta, A. G. , Mastryukova, T. A. , Kabachnik, M. I. and Nesmeyanov, A. N.(1990) 'The Synthesis of Aminophosphinocarbonic Acids', Phosphorus, Sulfur, and Silicon and the Related Elements, 51: 1, 395

To link to this Article: DOI: 10.1080/10426509008040922

URL: http://dx.doi.org/10.1080/10426509008040922

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Phosphorus, Sulfur, and Silicon, 1990, Vols. 51/52, p. 395

THE SYNTHESIS OF AMINOPHOSPHINOCARBONIC ACIDS

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A practical synthesis of racemic phosphinothricin and both of its enantiomers by the Michael addition of Schiff base of glycine to vinylphosphinate in the presence of sodium ethoxide or potassium hydroxide in ethanol was reported (I).

The present study deals with the addition of Schiff bases, synthesized from p-substituted benzaldehydes and various α-aminoacids to some vinylphosphorus compounds, followed by hydrolysis to aminophosphinocarbonic acids.

$$\mathrm{RP(O)(OMe)CH{=}CH_2 + p\text{-}XC_6H_4CH{=}N\text{-}CH(R^1)COOMe} \xrightarrow{B}$$

$$\longrightarrow \mathrm{RP(O)(OMe)CH_2CH_2C(R^1)(COOMe)N{=}CH\text{-}C_6H_4X\text{-}p} \xrightarrow{H^+,\ H_2O}$$

$$\longrightarrow \mathrm{RP(O)(OH)CH_2CH_2C(R^1)(COOH)NH_2}$$

$\mathrm{R = Me, Ph;\ R^1 = H, CH_3, C_3H_7;\ X = H, Br, Cl, Me_2N}$

This reaction proceeds easily in the polar aprotonic solvents in the presence of strong bases (B). The rate of the addition depends on the polarity of the solvent as well as on the strength and the concentration of the catalyst, the structure and CH-acidity (pK) of Schiff bases. The kinetics of such an addition in DMSO with the use of DBU was studied. A mechanism of the reaction was proposed. The yield of phosphinothricin after hydrolysis was about 75%, its analogs were obtained with 25-50% yields.

I.N.Minowa et al., Bull. Chem. Soc. Jap., 60, 1761 (1987).