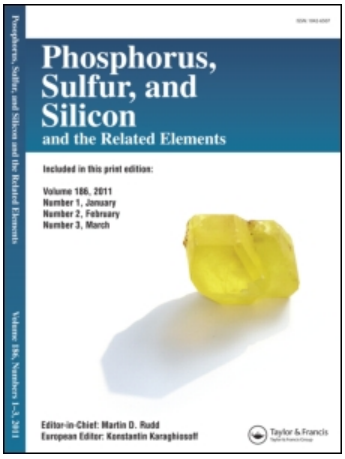

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Diastereoselective Synthesis of Enantiopure Cyclic α-Aminophosphonic Acids

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Diastereoselective Synthesis of Enantiopure Cyclic α-Aminophosphonic Acids

Vladimir A. Alfonsov,[1] Charles E. McKenna,[2] Evgenia V. Bayandina,[1] Boris Kashemirov,[2] Liliya N. Yarmieva,[1] Olga N. Kataeva,[1] and Lyudmila N. Punegova[1]
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A new and efficient route for the synthesis of cyclic aminophosphonic acids by the reaction of dialkylchlorophosphites with β-aldiminoalcohols has been described.

Keywords 1,4,3-oxazaphosphorine; β-aldiminoalcohols; dialkylchlorophosphites; intramolecular cyclization reactions

The reaction of dialkylchlorophosphites with β-aldiminoalcohols proceeds by intramolecular cyclization with formation of 1,4,3-oxazaphosphorine derivatives. Imines containing an asymmetric center in the parent β-amino alcohols give rise to a new chiral center at position 3 of oxazaphosphorine ring with very high diastereoselectivity, resulting in a non-equal mixture of the two diastereomers **A** and **B**, which are distinguished only by the configuration at the phosphorus atom. Thus, we have found a new and efficient route for the synthesis of cyclic aminophosphonic acids by the reaction of dialkylchlorophosphites with β-aldiminoalcohols.

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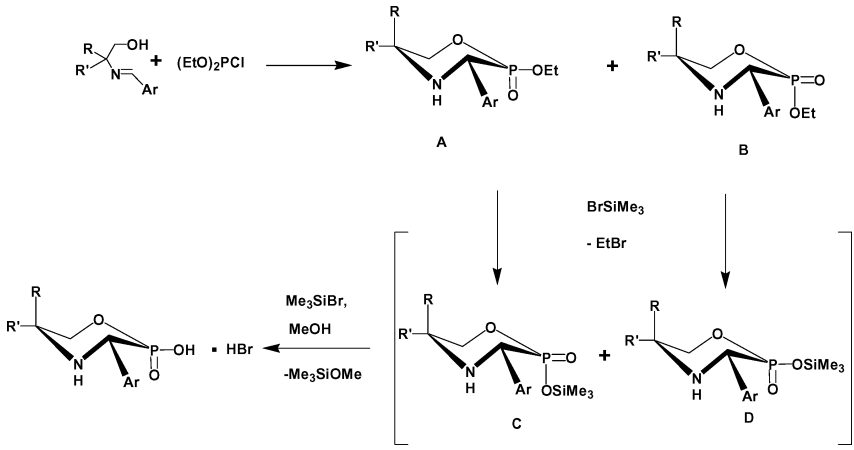

Following the well-known method for generation of free phosphonic acids from the corresponding dialkyl esters by silyl mediated dealkylation with trimethylbromosilane we obtained the cyclic aminophosphonic acids in enantiopure form as HBr salts.