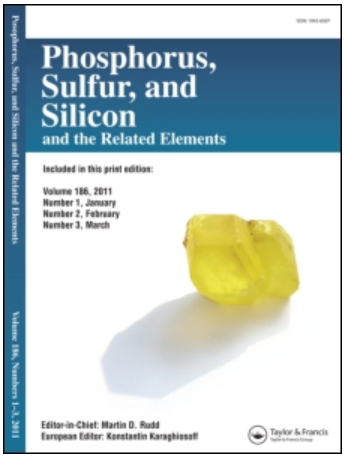

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Asymmetric Synthesis of New 1-Aminophosphonic Acid Amphiphiles

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ASYMMETRIC SYNTHESIS OF NEW 1-AMINOPHOSPHONIC ACID AMPHIPHILES

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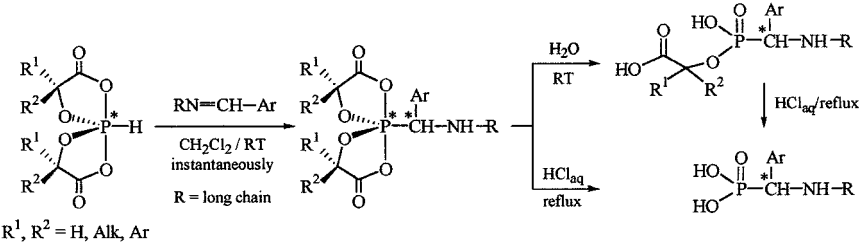
Addition reaction between labile P—H bond spirophosphoranes and long-chain aldimines occurs instantaneously at room temperature in quantitative yields and high stereoselectivity. Further selective hydrolysis gives racemic α-aminophosphonates (monoesters or acids); simple hydrolysis (moisty solvents) leads to phosphonocarboxylic acids, whereas more drastic conditions (concentrated aqueous hydrochloric acid under reflux) afford free α-aminophosphonic acids in high yields:[1]



SCHEME 1

The enantioselective version requires use of chiral spirophosphoranes and leads to chiral phosphonate monoesters. After separation of the two diastereomeric forms, acidic hydrolysis furnishes free α-aminoalkylphosphonic acids in both enantiomerically pure form. Effect of chirality on their supramolecular assemblies (chiral recognition) will be presented.

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[1] K. Vercruysse, C. Déjugnat, A. Munoz, and G. Etemad-Moghadam, *Eur. J. Org. Chem.*, 281 (2000).