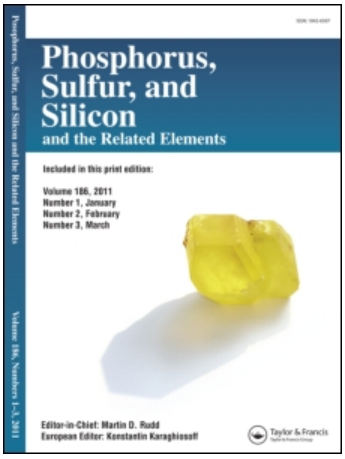

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Synthesis of New $C_{\alpha,\alpha}$-Diarylaminomethylphosphonic Acids and their Esters

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Synthesis of New $C_{\alpha,\alpha}$-Diarylaminomethylphosphonic Acids and their Esters

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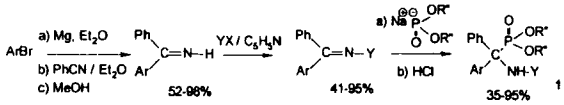
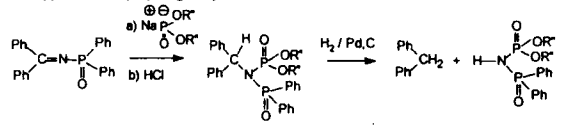
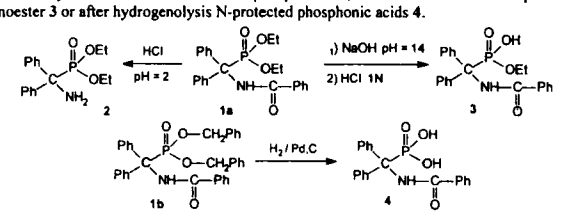
A procedure for the preparation of N-protected **1** or unprotected $C_{\alpha,\alpha}$-disubstituted (diarylaminomethyl)-phosphonic acids and their esters is reported.[1] This method is a generalisation of the Kabachnik-Fields method described in the literature.[2]
This convenient and efficient three-step synthesis allows diversification of the substituents on the carbon in α-position to the phosphorus, as well as of the protective group on the amine and the phosphonate ester group with good yields.



Ar = Ph, *o*-tolyl, 1-naphthyl, Y = PhC(O), *o*-tolylC(O), MeC(O), $PhCH_2OC(O)$, *p*Ts, R''= Et, CH_2Ph
Surprisingly, when Y = Ph_2PO, the phosphonate anion reacts on the nitrogen and not on the carbon atom to give an isomer of the expected compound.The structure has been proved by spectroscopy but also by hydrogenolysis



Deprotection studies were performed on representative compounds **1a** and **1b** to obtain either : under weakly acidic conditions free aminophosphonate **2**, under basic conditions N-protected monoester **3** or after hydrogenolysis N-protected phosphonic acids **4**.



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[1] Cristau H.-J., Lambert J.M. and Pirat J.L., *Synthesis*, **in print,** 1998.
[2] a) Medved, T. Ya.; Kabachnik, M.I., *Bull. Acad. Sci. USSR Div. Chem. Sci*, **1954,** 314, *Chem. Abstr.*, **1954,** 48, 10541b, b) Fields, E. K., *J. Am. Chem. Soc.*, **1952,** 74, 1528.