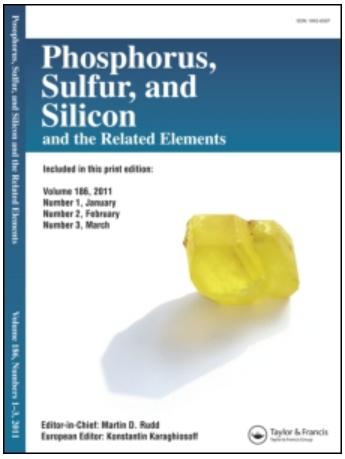

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The Synthesis and Reactivity of Alkylaminomethylene-Diphosphonates

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The Synthesis and Reactivity of Alkylaminomethylene-Diphosphonates

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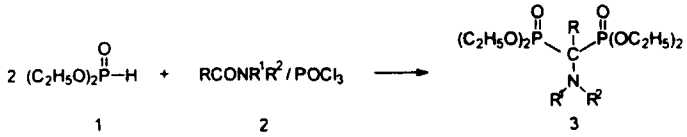
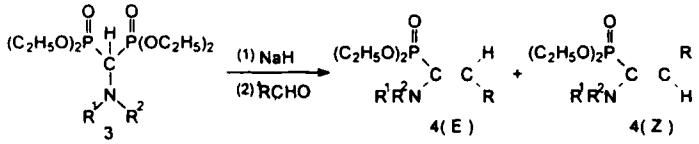
A accessible method for the synthesis of various alkylaminomethylenediphosphonates is presented. The performed Vilsmeier reagents 2 ($RCONR^1R^2/POCl_3$) react with diethyl phosphite 1 to give the products 3 in good yield.



R = H,Me,Ph,$PhCH_2$; R^1,R^2 = H,Me,Et,Pr,Ph; R R = $(CH_2)_5$,$(CH_2CH_2)_2O$

The compounds 3 (R = H) react with aldehydes under the conditions of the Wittig-Horner reaction to yield the vinylphosphonates 4. Their configuration of E or Z diasteroisomers is obtained from the coupling constant between phosphorus nuclei and double bond proton[1].



It was noteworthy that Z isomer could be converted to E isomer when an ethyl acetate solution of Z isomer was refluxed for 10 h,but E isomer was difficult to be converted to Z isomer because E isomer was more stable than Z one in refluxing ethyl acetate. At sufficiently high temperature, it was possible to secure rupture of the π -bond without breaking the sigma bond, so the conversion of the isomers would occur[2].

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