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The Synthesis and Reactivity of Alkylaminomethylene-Diphosphonates

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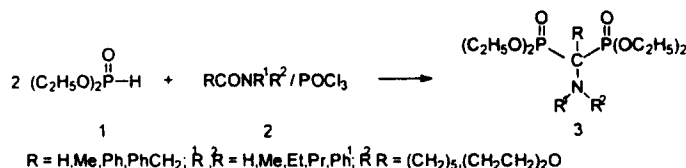
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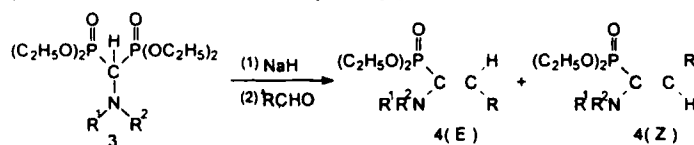
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A accessible method for the synthesis of various alkylaminomethylenediphosphonates is presented. The performed Vilsmeier reagents **2** ($\text{RCONR}^1\text{R}^2/\text{POCl}_3$) react with diethyl phosphite **1** to give the products **3** in good yield.



The compounds **3** ($\text{R} = \text{H}$) react with aldehydes under the conditions of the Wittig-Horner reaction to yield the vinylphosphonates **4**. Their configuration of E or Z diastereoisomers 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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