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### Synthesis of $\alpha$ -Aminophosphonic Acids Sy Pd(0)Alkylation of Diethyl Aminomethylphosphonate Schiff Bases

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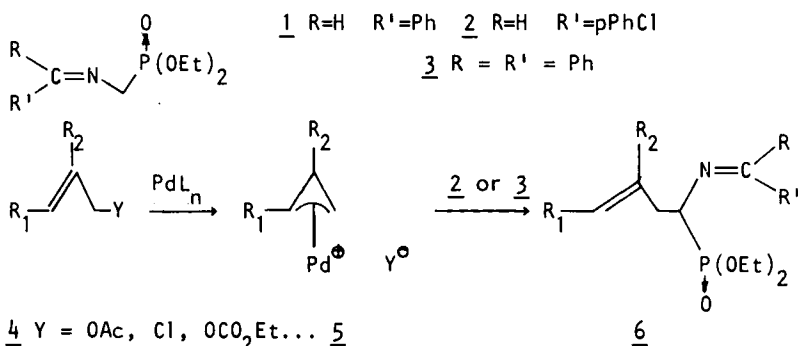
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# SYNTHESIS OF $\alpha$ -AMINOPHOSPHONIC ACIDS BY Pd(O)ALKYLATION OF DIETHYL AMINOMETHYLPHOSPHONATE SCHIFF BASES

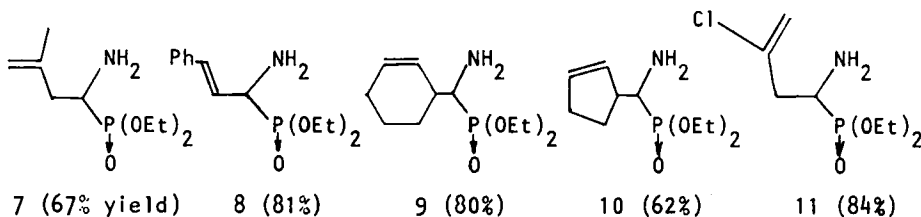
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Aminoalkyl phosphonic compounds have many interesting biological properties: they are used as herbicides, antibiotics and enzyme inhibitors. Several approaches to the preparation of  $\alpha$ -aminophosphonic acids have been reported. One efficient method using the schiff base of diethyl amino methylphosphonate **1** has been developed, allowing alkylation at the  $\alpha$  carbon after deprotonation using strong bases such as LDA. In our continuing program dealing with the synthesis of unusual  $\alpha$ -aminoacids which relies on C-C bond formation by transition metal catalyzed reactions (1), we report here a method based on the palladium-promoted alkylation of diethyl aminophosphonate schiff bases **2** and **3** with  $\eta^3$ -allyl species **5** generated *in situ* from the allylic derivative **4**:



The schiff bases **2**, **3** as their lithium or sodium enolates react with allylic esters in the presence of catalytic amounts of PdL: the most efficient catalyst is the preformed Pd(dppe)<sub>2</sub> or this generates *in situ* from Pd(dba)<sub>2</sub> or Pd(OAc)<sub>2</sub> by adding 2 equiv. of DPPE. The  $\alpha$ -alkylated products **6** can be readily hydrolyzed (HCl, 4 equiv., 24 h, r.t) into the corresponding  $\alpha$ -alkylated  $\alpha$ -aminophosphonic esters **7-11** in 62-84% yields. For instance, we have prepared the phosphonic analogues **8** and **11** which are enzymes inhibitors.



1) J.P.Genêt, S.Juge, S.Achi, S.Mallart, J.Ruiz-Montès and G.Levif Tetrahedron, 1988, **44**, 5263 and references cited therein.