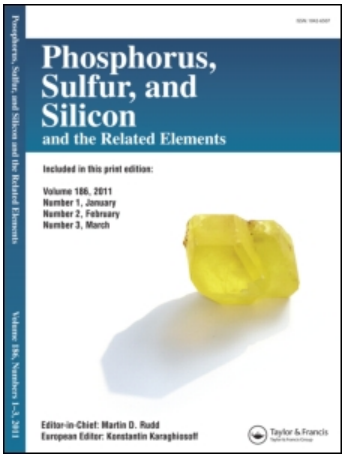

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Synthesis of Unsaturated Phosphonates as Acyclic Nucleosides Analogues

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SYNTHESIS OF UNSATURATED PHOSPHONATES AS ACYCLIC NUCLEOSIDES ANALOGUES

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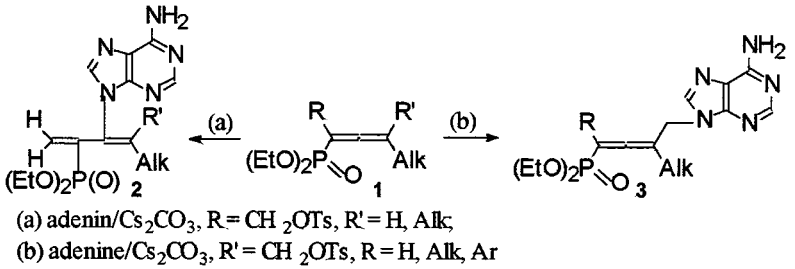
In connection with our work on the application of phosphorylated allenes for the construction of biological active compounds, we report a new method for the preparation of the phosphonate analogues of unsaturated acyclic nucleosides (**2**) and (**3**). Compounds (**2**) and (**3**) were synthesized by a simple three-step procedure.



SCHEME 1

Synthesis of the starting allenes began with the coupling of appropriate carbonyl compounds with the acetylenic Grignard compounds to afford propargylic alcohols. Treatment of the propargylic alcohols with diethyl chlorophosphite in the presence of triethylamine afforded, after a [2,3]-sigmatropic rearrangement, allenes in good yields. Condensation of tosylates (**1**) with adenine in the presence of Cs_2CO_3 in DMF at 80°C gave (**2**) and (**3**) in ~50% yields. Structures of (**2**) and (**3**) were identified by IR, ^1H, ^{13}C, ^{31}P, MS spectral data, and x-ray analysis.

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