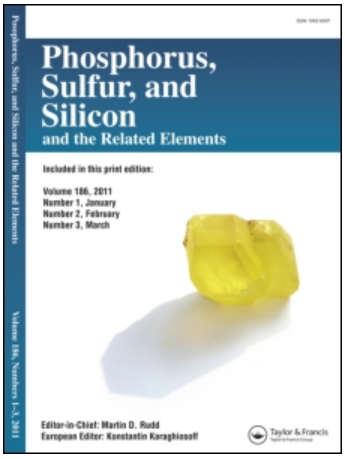

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A New Route to N-Alkylated Aziridines Via Alkylation of Oxazaphospholidines

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A NEW ROUTE TO N-ALKYLATED AZIRIDINES VIA ALKYLATION OF OXAZAPHOSPHOLIDINES

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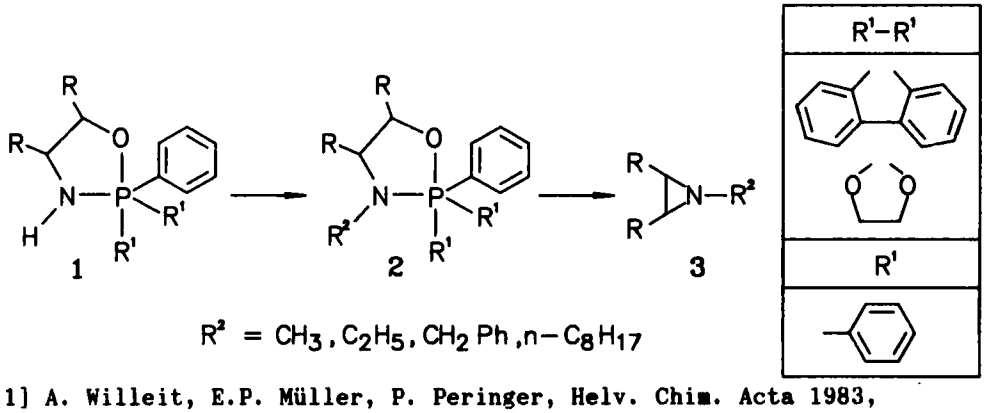
In previous papers we have shown that 1,3,2λ^5-oxazaphospholidines **1**, produced by Staudinger reaction of 2-azidoalcohols with trialkylphosphites [1] or triphenylphosphine [2], are essential intermediates in the stereospecific preparation of aziridines. Now we report the deprotonation and alkylation of **1** and the subsequent conversion into N-alkylaziridines.

In general, deprotonation of **1** is achieved by strong bases, e.g. BuLi, NaH or KH. However, to avoid the formation of valence tautomeric products, it is advisable to stabilize the anion by spirocyclization. Alkylation with primary alkylhalides leads to the N-alkylated 1,3,2λ^5-oxazaphospholidines **2**; alkylation with secondary or tertiary alkylhalides is not successful because of predominant elimination.

Conversion of **2** into the alkylated aziridines **3** is done easily by addition of acidic catalysts (cf. [2]).



$R^2 = CH_3, C_2H_5, CH_2Ph, n\text{-}C_8H_{17}$

[1] A. Willeit, E.P. Müller, P. Peringer, Helv. Chim. Acta 1983, 66, 2467.
[2] P. Pöchlauer, E.P. Müller, P. Peringer, Helv. Chim. Acta 1984, 67, 1238.