Reaction of a Nitrone with a Phosphono-ylide. A Novel Approach to Aziridines

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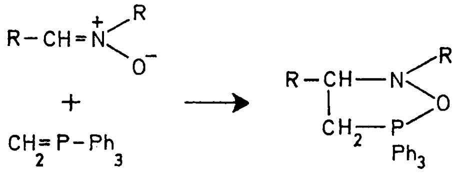
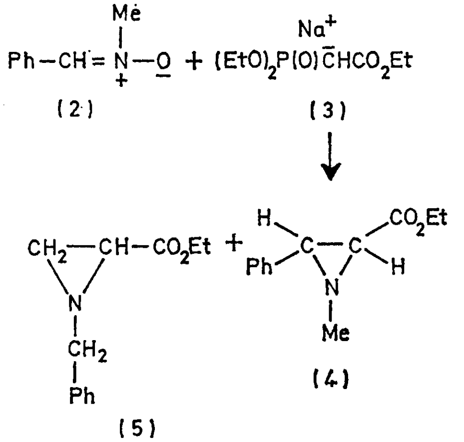
Summary Reaction of *N*-methyl-*C*-phenyl nitrone with sodio triethyl phosphonoacetate leads to *trans*-1-methyl-2-ethoxycarbonyl-3-phenylaziridine and to 1-benzyl-2-ethoxycarbonylaziridine.

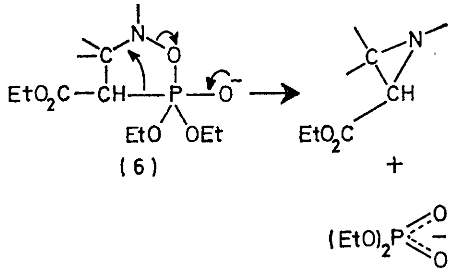
HUISGEN and Wulff have reported that the reaction of nitrones with triphenylphosphine methylene leads to thermally stable oxazaphospholidines (**1**).[1]


(1)

We have now treated *N*-methyl-*C*-phenylnitrone (**2**) with sodio triethyl phosphonoacetate (**3**) in dimethoxyethane at 70° and isolated *trans*-1-methyl-2-ethoxycarbonyl-3-phenylaziridine (**4**) (*ca.* 20%) and 1-benzyl-2-ethoxycarbonylaziridine (**5**) (*ca.* 40%). The products were identified by their spectral properties (n.m.r. and mass spectra), and by comparison with authentic samples.[2]

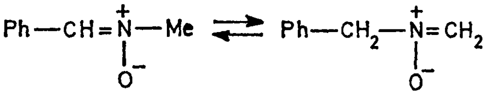


These results may be rationalized by assuming that in the first step the reaction leads to an oxazaphospholidine type intermediate (**6**), which subsequently loses diethyl phosphate. The decomposition of the intermediate is presumably aided by backdonation of electrons from the exocyclic, negatively charged oxygen to the phosphorus, resulting in rupture of the P–C bond.



The formation of the two isomeric aziridines (**4**) and (**5**), is accounted for, by assuming the existence of a tautomeric equilibrium between nitrone (**2**) and its isomer (**7**), and their separate reactions with ylide (**3**).[3]

The absence of the *cis* isomer of aziridine (**4**) in the product mixture indicates that as in the reactions of ylide (**3**) with aldehydes,[4] this reaction proceeds with a high degree of stereoselectivity.



$$\underset{(2)}{\mathrm{Ph{-}CH{=}\overset{+}{N}(O^-){-}Me}} \rightleftharpoons \underset{(7)}{\mathrm{Ph{-}CH_2{-}\overset{+}{N}(O^-){=}CH_2}}$$

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[1] R. Huisgen and J. Wulff, *Chem. Ber.*, 1969, **102**, 746.

[2] N. H. Cromwell, N. G. Barker, R. A. Wankel, P. J. Vanderhost, F. W. Olson, and J. H. Anglin, Jun., *J. Amer. Chem. Soc.*, 1951, **73**, 1044; M. A. Stolberg, J. J. O'Nell, and T. Wagner-Jauregg, *J. Amer. Chem. Soc.*, 1953, **75**, 5045.

[3] For tautomerism in nitrones see: A. C. Cope and A. C. Haven, Jun., *J. Amer. Chem. Soc.*, 1950, **72**, 4896; P. A. S. Smith and J. E Robertson, *J. Amer. Chem. Soc.*, 1962, **84**, 1197.

[4] M. Schlosser in 'Topics in Stereochemistry,' vol. 5, eds. E. L. Eliel and N. L. Allinger, Wiley-Interscience, New York, 1970, p. 1.