

Reaction of Dimethyloxosulphonium Methylide with *N*-Arylsulphonyl-2-phenylaziridines: a New Synthesis of *N*-Arylsulphonyl-2-phenylazetidines

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Summary Reaction of dimethyloxosulphonium methylide with certain *N*-arylsulphonyl-2-phenylaziridines, which on heating do not yield azomethine ylides, gives the corresponding azetidines.

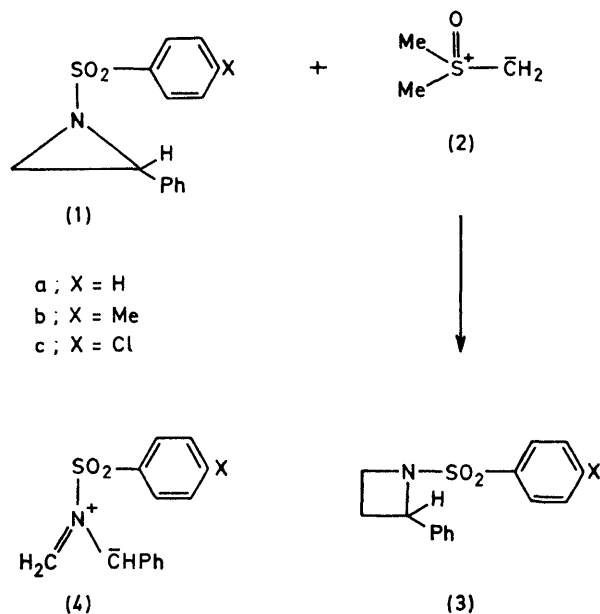
METHYLENE transfer from sulphur ylides to aziridines is an attractive approach to the synthesis of azetidines, which are of considerable current interest and yet not easily accessible.¹ Even so, this route has been little explored,

the only work being that of Carrie *et al.*,² who found that azomethine ylides, obtainable by heating certain aziridines, reacted with sulphur ylides to give azetidines. The reaction was considered characteristic of azomethine ylides and apparently only those aziridines which yield these intermediates could be used. Since sulphur ylides are stabilized carbanions, and carbanions are known to attack aziridines,³ we thought that direct nucleophilic attack on the aziridine

ring, leading to azetidines, was also feasible and would greatly improve the usefulness of this procedure. We now report the realisation of this possibility.

The aziridines (**1a—c**)⁴ (1 equiv.) reacted smoothly with the ylide (**2**) (1.5 equiv.) under dry nitrogen at ambient temperature for 18—20 h to give the corresponding azetidines (**3a—c**) in 51—72% yield (unoptimized) of pure isolated products. Comparison with known methods^{5,6} shows that, at least for the cases studied, this synthesis is efficient and simple besides being based on readily available starting materials. The azetidines (**3a—c**) gave correct elemental analyses and showed the expected spectral properties. Thus, (**3b**) showed M^+ at m/e 287 and a mass spectrum identical with that previously reported,⁵ and a ¹H n.m.r. spectrum similar to that for *N*-tosyl-2-methoxycarbonylazetidine.⁷

The choice of aziridines (**1a—c**) was dictated partly by our interest in similar systems⁸ but more importantly because (a) the arylsulphonyl group would make the aziridine more susceptible to nucleophilic attack, (b) the azomethine ylide (**4**) formed from such an aziridine would be expected to be unstable and, therefore, less likely to be formed, and (c) the arylsulphonyl group can in many cases, though sometimes not without difficulty, be cleaved reductively to give *N*-unsubstituted azetidines.⁹ Indeed, the aziridines (**1a—c**) were found to be thermally stable and could be recovered unchanged after refluxing in ethanol or benzene for 8 h. Also, treatment of (**1a**) with dimethyl acetylenedicarboxylate at ambient temperature for 12 h or at 60—70 °C for 5 h gave back the starting aziridine, clearly indicating non-intermediacy of an azomethine ylide.



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