

Reactions of Nitrenes with Strained Olefins. Preparation of  
Dimethyl-*N*-phthalimidyl-5-azabicyclo[2.1.0]pentane-1,4-dicarboxylate

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Sir:

Although the 5-azabicyclo[2.1.0]pentane ring system is of considerable theoretical and synthetic interest, it has received little attention. Only two syntheses of the system have thus far been reported. Both involve the addition of a nitrene intermediate to a cyclobutene. Labows and Swern (2) have isolated *N*-carboethoxy-1,4-dimethyl-5-azabicyclo[2.1.0]pentane (I) in good yield by the photolysis of a dichloromethane solution of ethyl azidoformate and 1,2-dimethylcyclobutene. Anderson and Fagerburg (3) have prepared *N*-phthalimidyl-2,3-dichloro-5-azabicyclo[2.1.0]pentane (II) in a 6% yield by the reaction of *N*-aminophthalimide with 3,4-dichlorocyclobutene.

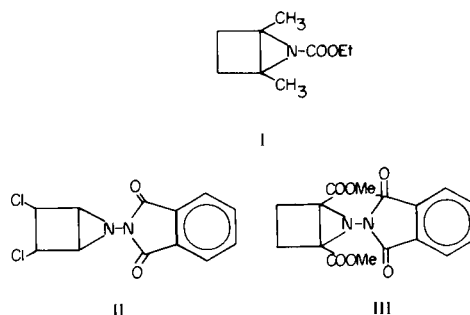
Rees (4) has postulated that *N*-nitrenes are nucleophilic. This hypothesis is supported by the high yields of aziridines obtained when *N*-nitrenes add to electrophilic olefins. In an attempt to obtain a reasonable yield of a bicyclic aziridine from an *N*-nitrene, we decided to substitute electron withdrawing groups directly on the carbon atoms of the double bond, thus placing them closer to the reaction site.

The title compound (III) was obtained by adding lead tetraacetate (2.91 g., 6.56 mmoles) to a solution of dimethylcyclobutene-1,2-dicarboxylate (5) (3.20 g., 18.75 mmoles) and *N*-aminophthalimide (6) (1.01 g., 6.25 mmoles) in dry dichloromethane (20 ml.) over a period of 11 minutes. After stirring for an additional 10 minutes (in the dark) the reaction mixture was filtered and the solid washed with additional dichloromethane (40 ml.). The combined dichloromethane solutions were evaporated to dryness on a rotary evaporator and the residue treated with several portions of benzene to remove the acetic acid. The benzene was removed to leave an orange-yellow liquid which solidified on cooling. Recrystallization from ether gave dimethyl *N*-phthalimidyl-5-azabicyclo[2.1.0]pentane-1,4-dicarboxylate (0.73 g., 35% yield) as white crystals, m.p. 134-137°. The mass spectrum showed the parent peak at *m/e* 330. Infrared absorption was recorded at 1720, 1740, 1765 and 1780  $\text{cm}^{-1}$ . The nmr spectrum (deuterio-

chloroform with TMS as an internal standard) had  $\delta$  7.9 (s, 4H), 3.9 (s, 6H), 2.8 and 1.7 (m, 4H).

*Anal.* Calcd. for  $\text{C}_{16}\text{H}_{14}\text{N}_2\text{O}_6$ : C, 58.17; H, 4.28; N, 8.48. Found: C, 58.28; H, 4.32; N, 8.45.

The much higher yield of bicyclic aziridine obtained from dimethyl cyclobutene-1,2-dicarboxylate than from 3,4-dichlorocyclobutene is encouraging and is in agreement with Rees' hypothesis. Further investigations into the nitrene approach to small heterobicyclic compounds are in progress.



Acknowledgments.

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