

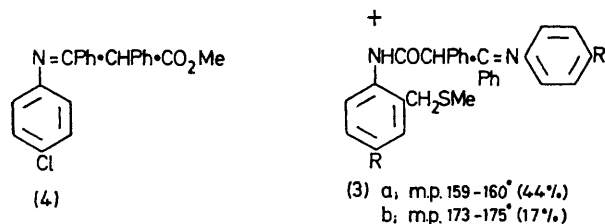
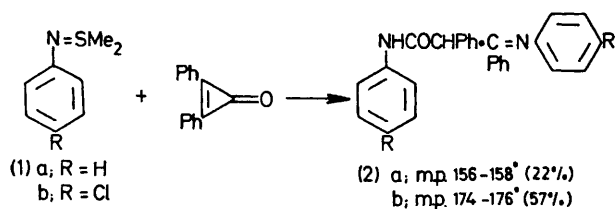
Synthesis of 4-Pyrimidone Derivatives from Sulphimides and Diphenylcyclopropenone

By THOMAS L. GILCHRIST, C. JOHN HARRIS, and CHARLES W. REES*

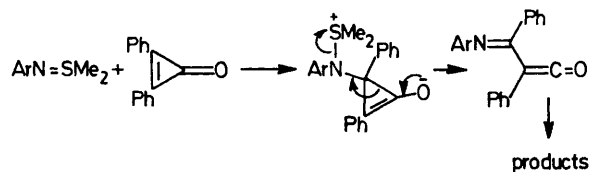
(*The Robert Robinson Laboratories, University of Liverpool, P.O. Box 147, Liverpool L69 3BX*)

Summary Diphenylcyclopropenone reacts with the sulphimides (5), (7), and (9) to give 4-pyrimidone derivatives in good yields; diphenylcyclopropenethione reacts analogously with the sulphimide (5).

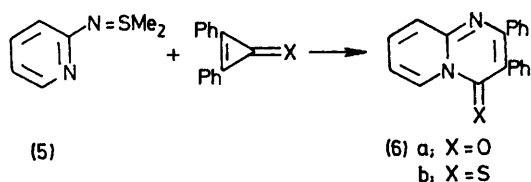
DIPHENYLCYCLOPROPENONE is known to react readily with many nucleophilic reagents, including pyridinium ylides¹ and pyridinium imines.² Having found that sulphimides derived from anilines³ and aminopyridines and pyrimidines⁴ react as nucleophiles with nitrile oxides, we investigated their reaction with diphenylcyclopropenone.



The arylsulphimides (1) reacted readily at room temperature in dichloromethane to give mixtures of the imines (2) and (3). These are probably formed by the mechanism

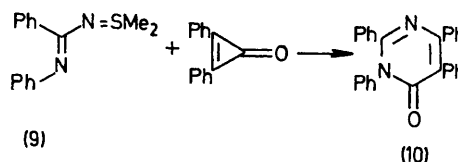
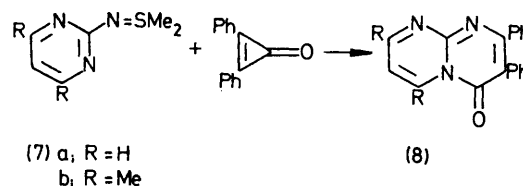


shown in the Scheme, involving an intermediate keten which is consumed by an excess of the sulphimide [to give (2) after hydrolysis] or by its Sommelet-Hauser rearrangement product⁵ [to give (3)]. When the reaction of the



sulphimide (1b) was carried out in methanol, the intermediate keten was intercepted as the ester (4) (25%), m.p. 153–154°.

The sulphimide (5) derived from 2-aminopyridine has an internal nucleophile available to intercept the keten; this reaction with diphenylcyclopropanone was found to be an efficient one, the pyrimidone (6a) m.p. 191–192° being formed in 93% yield at room temperature. Basic hydro-



lysis of (6a) gave deoxybenzoin. The reaction of (5) with diphenylcyclopropanethione⁶ similarly gave the thione (6b) (80%) m.p. 189–191°, which was converted into the pyrimidone (6a) (90%) with mercuric acetate in acetic acid at 100°. The pyrimidinosulphimides (7) gave the analogous annelated pyrimidones (8a) (70%), m.p. 191–192° and (8b) (75%), m.p. 207–208°, with diphenylcyclopropanone at room temperature. The amidinosulphimide (9) and diphenylcyclopropanone gave no detectable reaction at room temperature, but in boiling toluene 2,3,5,6-tetra-phenylpyrimid-4-one (10) (25%), m.p. 295–296° (lit.,⁷ 295°) was isolated.

The reactions of the pyrido- and pyrimidino-sulphimides to give these new pyrimidone derivatives further illustrate the potential of such sulphimides as annelating agents for heterocyclic synthesis under mild conditions.

(Received, 11th April 1974; Com. 420.)

¹ Th. Eicher, E. von Angerer, and A. M. Hansen, *Annalen*, 1971, **746**, 102; Th. Eicher and E. von Angerer, *ibid.*, p. 120.

² T. Sasaki, K. Kanematsu, and A. Kakehi, *J. Org. Chem.*, 1971, **36**, 2451.

³ T. L. Gilchrist, C. J. Harris, and C. W. Rees, *J.C.S. Chem. Comm.*, 1974, 485.

⁴ T. L. Gilchrist, C. J. Harris, C. J. Moody, and C. W. Rees, *J.C.S. Chem. Comm.* 1974, 486.

⁵ P. Claus, W. Vycudilik, and W. Rieder, *Monatsh.*, 1971, **102**, 1571; P. Claus and W. Rieder, *ibid.*, 1972, **103**, 1163; P. G. Gassman and G. Gruetzmacher, *J. Amer. Chem. Soc.*, 1973, **95**, 588.

⁶ J. W. Lown and T. W. Maloney, *J. Org. Chem.*, 1970, **35**, 1716.

⁷ L. Giammanco and F. P. Invidiata, *Ann. Chim. (Italy)*, 1970, **60**, 188.