SHORT COMMUNICATIONS

Cyclopropenones. III. The Reaction of Diphenylcyclopropenone and Ammonia to Afford *cis*- and *trans*-3,4-Diphenylazetidinones

Fumio TODA, Tamio MITOTE and Katsuhiko AKAGI

Department of Industrial Chemistry, Faculty of Engineering, Ehime University, Matsuyama

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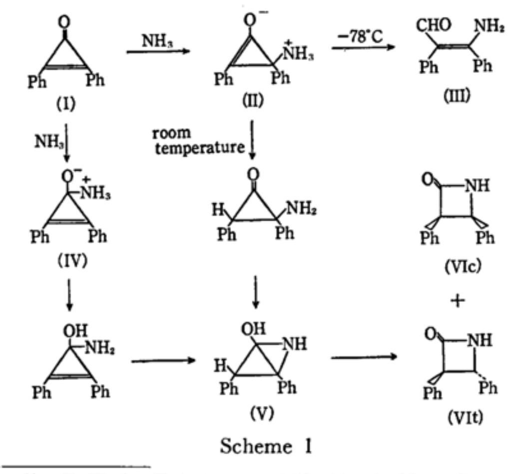
In a previous paper[1] of this series, we have reported a novel substitution reaction of diphenylcyclopropenone (I) with ammonia at −78°C to afford α-phenyl-β-amino-*trans*-cinnamaldehyde (III). When, however, the reaction was carried out at room temperature, *cis* (VIc) and *trans*-3,4-diphenylazetidinone (VIt) were obtained. This is the first instance in which azetidinone has been found to be formed from I, even though the ring-expansions of I by the reactions with hydroxylamine,[2] diazomethane,[2,3] enamine[4] and with azomethine ylid[5] to yield isoxazolone, pyridazone, cycloheptatrienone, and oxazoline respectively have been reported.

The treatment of an ether-tetrahydrofuran solution of I with ammonia at room temperature for 2 hr, followed by chromatographic separation on alumina, afforded VIc as colorless prisms (30%); mp 153°C; $\nu_{max}^{CHCl_3}$, 3410 (NH) and 1760 cm^{-1} (CO); NMR (CDCl$_3$), 2.94 (d, C_6H_5, 10H), 4.88 (d, J_{AB}=6 Hz, H_A, 1H) and 5.13τ (d, J_{AB}=6 Hz, H_B, 1H) and (VIt) as colorless needles (30%), mp 124°C; $\nu_{max}^{CHCl_3}$, 3410(NH) and 1760 cm^{-1} (CO); NMR (CDCl$_3$), 2.62 (d, C_6H_5, 10 H), 5.34 (d, J_{AB}=3 Hz, H_A, 1H) and 5.71τ(d, J_{AB}=3 Hz, H_B, 1H). The above data were identical with those reported[6] for VIc and VIt, which were prepared in 13 and 3% yields respectively by the photochemical reaction of α-phenylcinnamamide for 70 hr.



Scheme 1

Since the treatment of an ether-tetrahydrofuran solution of III with ammonia at room temperature gave no product, and since III was recovered quantitatively, the reaction yielding VI and the reaction yielding III may be independent of each other. The reaction path leading to VI can be interpreted as is shown in Scheme 1. Of two possible reaction paths, one is the initial formation of the same intermediate (II) as in the case of the substitution reaction leading to III followed by ketonization and ring-expansion *via* the bicyclic intermediate (V). The other possible reaction path is the formation of IV by the reaction of ammonia with carbonyl carbon of I, followed by ring-expansion *via* the bicyclic intermediate (V).

On the other hand, the reactions of both I and methylamine at −78°C and at room temperature afforded the *N*-methyl derivative of VI (VII) as colorless needles (68% in both cases); mp 132°C; $\nu_{max}^{CHCl_3}$, 1750 cm^{-1} (CO); NMR(CDCl$_3$), 2.94(d, C_6H_5, 10 H), 5.05 (d, J_{AB}=6 Hz, H_A, 1 H), 5.15 (d, J_{AB}=6 Hz, H_B, 1 H) and 7.09τ (d, CH_3, 3 H). Found: C, 80.85; H, 6.20%; mol wt (benzene), 246. Calcd for $C_{16}H_{15}ON$: C, 80.98; H, 6.37%; mol wt, 237. By comparing its NMR data, especially the coupling constant of the vicinal protons (J_{AB}) and the shielding effect against the phenyl protons, with those of VIc and VIt, VII was identified as the *cis*-isomer. It is not clear, however, why the *cis*-isomer was produced exclusively in this case.

The production of the *cis*-azetidinones in this series in good yields is of particular importance since these compounds are not easily obtainable by other procedures.

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