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A CONVENIENT SYNTHESIS OF 7, 8, 9, 10-TETRAHYDRO-7-OXO-BENZO(C)PHENANTHRIDINES

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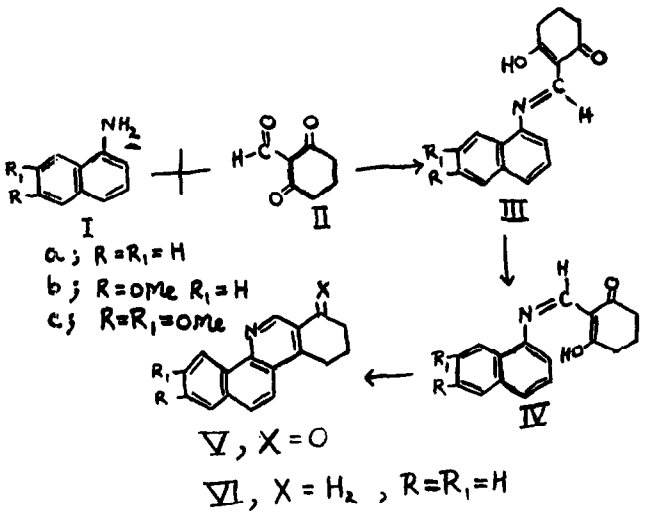
It has been observed that failure of cyclodehydration is typical of anils of β-keto-aldehydes where it is attributed to the supposed unfavourable trans - configuration about the C = N linkage as compared to the cis-configuration thought to be present in the cyclisable anils of β-diketones.[1] We have, however, found that anils of the type III (a, $C_{17}H_{15}NO_2$, m.p. 151-152°, ν 1665, 1635, 1595 cm^{-1}; b, $C_{18}H_{17}NO_3$, m.p. 157-158°, ν 1667, 1635, 1594 cm^{-1}; c, $C_{19}H_{19}NO_4$, m.p. 220-221°, ν 1668, 1636, 1594 cm^{-1}.) obtained in quantitative yields by room temperature condensation of the aldehyde II with amines I (a, b and c) cyclise readily in P.P.A. The I.R. spectra (Nujol mull) of all the three anils have a three band feature in the 1750-1550 cm^{-1} region and presence of cis structures cannot be ruled out on its basis[1]. Optimum yields of the 7,8,9,10 - tetrahydro-7-oxo-benzo(c)phenanthridines V are obtained in the temperature range of 130-170°(a, $C_{17}H_{13}NO$, m.p. 220-221°; b, $C_{18}H_{15}NO_2$, m.p. 187-188°; c, $C_{19}H_{17}NO_3$, m.p. 195-196°). It is surprising that even the anil IIIa having no activating

alkoxy groups[2] cyclises readily to furnish Va in more than 60% yield. To establish its structure beyond doubt it was subjected to modified Wolff-Kishner reduction to obtain a base whose picrate was identical with that of base VI[3].



This method furnishes a convenient route to benzo(c)phenanthridines and avoids the incorporation and subsequent removal of a 6-alkyl group as is necessary in the Rogers' and Smith procedure[1]. Conversion of the carbonyl function of Vc into a 7,8-dialkoxy system to obtain napthaphenanthridine alkaleids is currently under investigation.

The ketone Vb is considered a key intermediate for obtaining 11-azasteroids in which nitrogen occupies a position of special interest in view of the similarity to adrenocortical

hormones[4]. An earlier attempt by Cleme and Mishra to obtain this type of compounds was unsuccessful due to failure to functionalise the ring D[5]. Elaboration of the ketone Vb to aza-isosteres of some sex hormones is to form the subject of a separate communication.

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