

REACTIONS OF CHALKONES

ALTHOUGH a large number of chalkone derivatives have been synthesized by different authors, very little work has been reported on chalkones containing a cyano group.¹

In the present investigation a number of such chalkones were synthesized by the condensation of *p*- and *m*-cyanobenzaldehydes with different ketones. No chalkone derivatives however could be obtained with *o*-cyanobenzaldehyde.

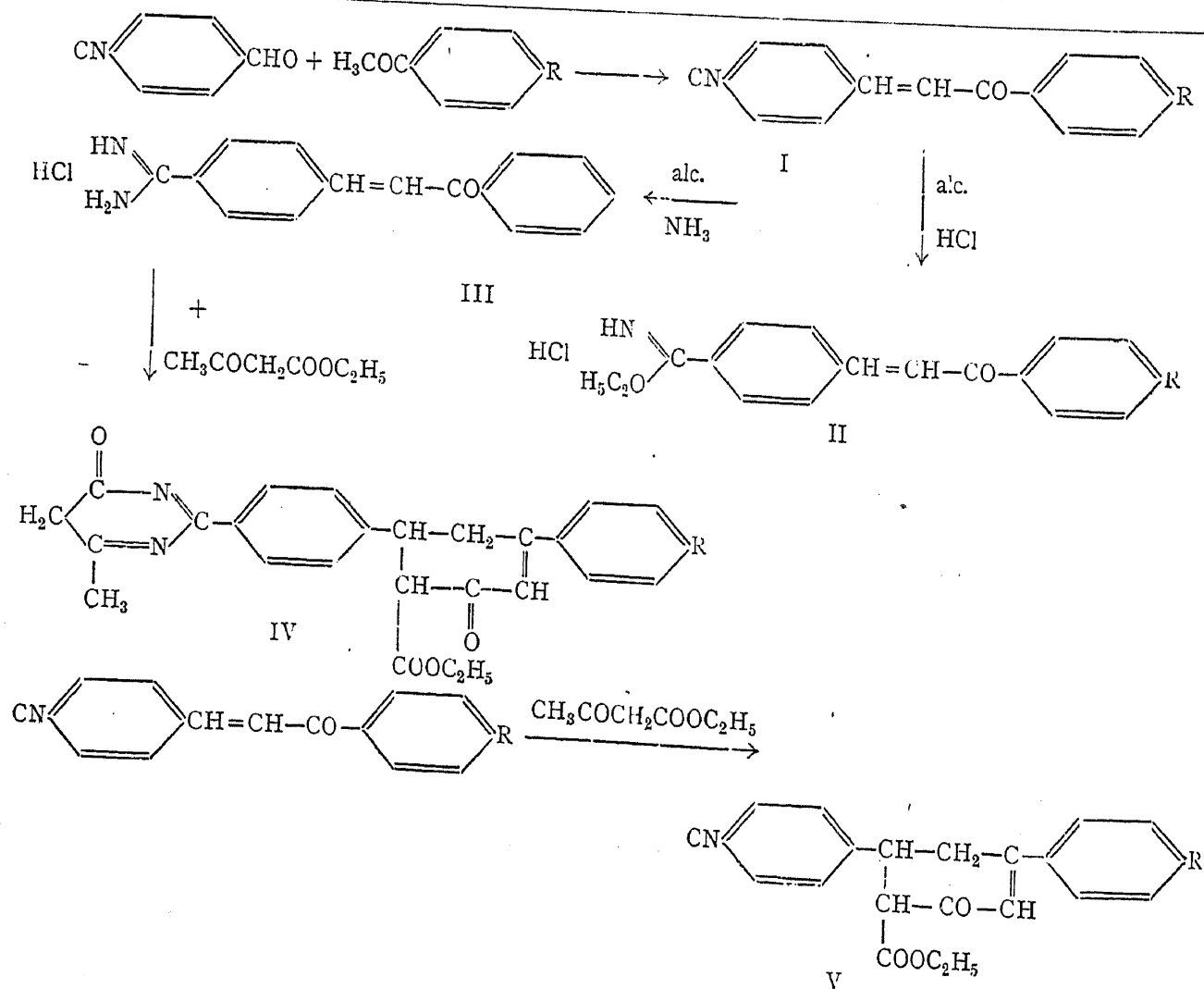
The cyanochalkones on treatment with hydrogen chloride in alcoholic solution yielded the corresponding imino ethers (II), which on reaction with alcoholic ammonia gave amidinehydrochloride (III). The latter were condensed with ethylacetoacetate to give pyrimidine derivatives (IV) of the general structure shown on next page.

In addition to the reaction with the amidine group, the acetoacetic ester undergoes also Michael addition with the chalkone group. Michael's addition, using ethyl acetoacetate, has also been carried out with chalkones 1 and 2,

TABLE I

Chalkones 1 to 3 are $\text{CN}-\text{C}_6\text{H}_4-\text{CH}=\text{CH}-\text{CO}-\text{R}$, Chalkones 4 to 6 are $\text{C}_6\text{H}_4(\text{CN})-\text{CH}=\text{CH}-\text{CO}-\text{R}$

Chalkone R = 1, 2	m.p.	Analysis		Imino-ether hydrochloride m.p.	Amidine hydro- chloride m.p.	Pyrimidine		
		Calculated	Found			m.p.	Analysis	
							Calculated	Found
1 -OCH ₃	.. 170°	C, 77.55 H, 5.00 N, 5.30	77.6 5.1 5.6	203°	275°	233-34°	C, 70.7 H, 5.8 N, 6.15	70.6 6.1 6.3
2 -NH ₂	.. 230-31°	C, 77.40 H, 4.80 N, 11.29	77.1 4.7 11.4	228° (decomp.)	200°	261° (decomp.)	C, 70.4 H, 5.6 N, 9.4	70.1 5.8 9.4
3 -Br	.. 167°	C, 61.56 H, 3.20 N, 4.48	61.3 3.5 4.8	240-41°	range	247-48°	C, 61.5 H, 4.5 N, 9.4	61.2 4.2 9.5
4 -OCH ₃	.. 141°	C, 77.55 H, 5.00 N, 5.30	77.4 5.2 5.5	144-46°	127-29°	190-91°	C, 70.7 H, 5.8 N, 6.15	70.9 6.0 6.4
5 -NH ₂	.. 223°	C, 77.40 H, 4.80 N, 11.29	77.2 4.6 11.00	208° (decomp.)	220-21°	275° (decomp.)	C, 70.4 H, 5.6 N, 9.4	70.7 5.9 9.8
6 -Br	.. 147°	C, 61.56 H, 3.30 N, 4.48	61.6 3.5 4.6



giving adducts of the type (V), shown on p. 94. The adduct of (1) melted at 136-37°, (Calcd.: C 73.6; H 5.6; N 3.7; Found: C 73.6; H 5.7; N 3.8). The adduct of (2) melted at 185-86° (Calcd.: C 73.3; H 5.55; N 6.77; Found: C 73.4; H 5.7; N 7.9).

Condensation also occurs with ethyl malonate and ethyl cyanoacetate. A detailed paper regarding the structures of these products will be published elsewhere.

Institute of Science, J. R. MERCHANT.
Bombay-1, A. S. U. CHOUGHULEY.
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