ALKYLATION AND DEHYDROGENATION OF PIPERAZINO[1,2-*a*]INDOLE DERIVATIVES

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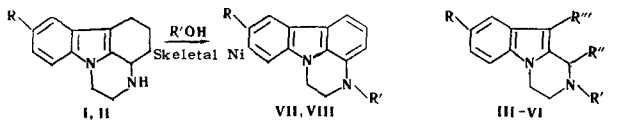
Derivatives of piperazino[1,2-*a*]indole cannot be alkylated using the usual alkylating agents [1,2]. Here we present a convenient method for the alkylation of piperazino[1,2-*a*]indoles (I-III) by alcohols in the presence of skeletal nickel catalysts. The reaction is carried out in an excess of alcohol for 4-6 hr at 55-60° C, with vigorous stirring. The alkyl derivatives IV-VI were thus obtained in yields of 87-92%. On heating I and II with skeletal nickel in boiling ethanol and butanol for 6 hr, simultaneous alkylation and dehydrogenation took place, to give, in nearly quantitative yields, derivatives of 1,2-dihydropyrazino[3,2,1-jk]carbazole (VII and VIII).



I, II VII, VIII III-VI

I R = H; II R = CH_3; III R = R' = H, R'' = C_6H_5, R''' = CH_3; IV R = R' = CH_3, R'', R''' = $-(CH_2)_3-$; V R = CH_3, R'', R''' = $-(CH_2)_3-$, R' = C_2H_5; VI R = H, R' = R''' = CH_3, R'' = C_6H_5; VII R = H, R' = C_2H_5; VIII R = CH_3, R' = C_4H_9

In the IR spectra of IV-VIII, bands due to the stretching vibrations of the NH group are absent, but they appear in I-III, in which N is unsubstituted, at 3250 cm^{-1}. The UV spectra of VII and VIII show absorption maxima with λ_{max}, nm (log ε): 230 (4.54), 255 (4.54), and 345 (3.69), close to the absorption maxima of carbazole derivatives [3].

TABLE 1. Properties of the Compounds Prepared

Compound	mp, ° C	Molecular formula	Found, %			Calculated, %			Yield, %
			C	H	N	C	H	N	
IV	135—136	$C_{16}H_{20}N_2$	79.65 79.73	8.56 8.42	11.62 11.50	79.96	8.39	11.65	92
V	105—106	$C_{17}H_{22}N_2$	80.50 80.10	8.75 8.48	11.20 11.32	80.27	8.71	11.01	91
VI	113—114	$C_{19}H_{20}N_2$	82.28	7.20	10.38	82.57	7.26	10.14	87
VII	109—110	$C_{16}H_{16}N_2$	81.48 81.57	6.68 6.85	11.86 12.07	81.32	6.82	11.85	91
VIII	160—161	$C_{19}H_{22}N_2 \cdot C_6H_3N_3O_7$	59.10 59.25	5.30 5.30	13.88 13.49	59.16	4.97	13.80	93,5

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