SYNTHESIS OF 9-INDOLYLACRIDINES

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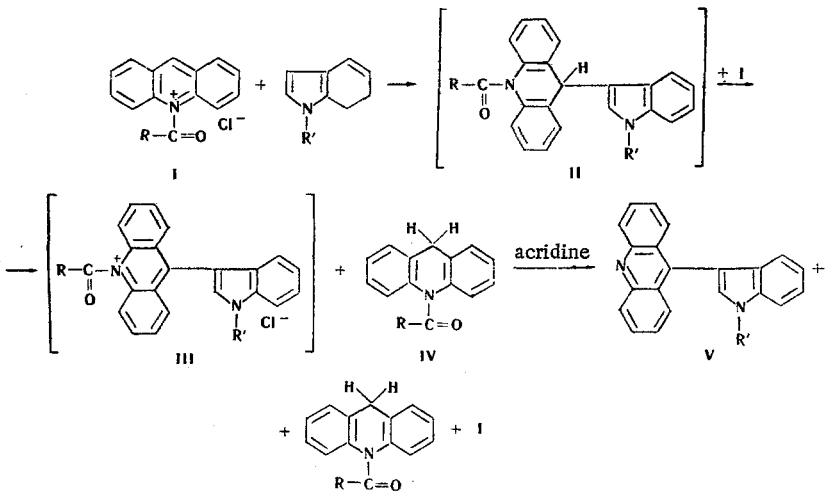
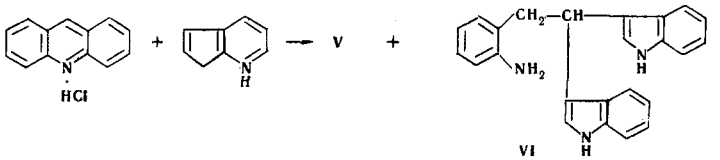
We have shown that acridine, in the presence of an activating reagent, reacts readily with indoles to give 9-(3-indolyl)acridines (V). We have used acyl halides as activating reagents, which in this case form N-acyl acridinium salts in situ, giving with indoles V and the N-acylacridanes IV according to the scheme:



9-(3-Indolyl)acridine (V, R' = H) was also obtained in high yield by reacting acridine hydrochloride with indole, the amino- β,β-di-(3-indolyl)ethylbenzene (VI) mp 170-171°, also being formed in less than 3% yield (acetyl derivative, mp 202° [1]).



On the other hand, in the presence of aqueous solutions of mineral acids, the main reaction product was VI, with only traces of V.

V was also synthesized by dehydrocondensation of acridine with indoles in the presence of aluminum and mercuric salts, under the conditions previously discovered by us for free-radical heteroarylation [2]:

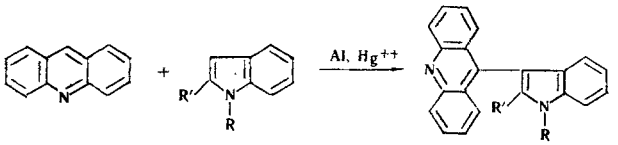


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TABLE 1. Properties of the Acridinylindoles V

R	R′	Mp, °C	Molecular formula	Found, %			Calculated, %			Yield, %
				C	H	N	C	H	N	
H	H	297—298	$C_{21}H_{13}N_2$	86,26	4,75	9,45	85,98	4,46	9,54	65
H	CH_3	313—314	$C_{22}H_{16}N_2$	85,08	5,19	9,05	85,68	5,22	9,08	68
CH_3	H	292—293	$C_{22}H_{16}N_2$	85,98	5,22	9,10	85,68	5,22	9,08	64

The properties of the acridinylindoles V are given in Table 1. Their purity was checked by thin layer chromatography in various solvent systems, and their structures were confirmed by their IR and PMR spectra.

LITERATURE CITED

1. W. Noland and N. Kuryla, J. Org. Chem., 25, 486 (1960).
2. A. K. Sheinkman, V. A. Ivanov, and S. N. Baranov, DAN UkrSSR, 619 (1970).