LETTERS TO THE EDITOR

ACRIDYLATION OF DIALKYLANILINES AND THEIR ANALOGS

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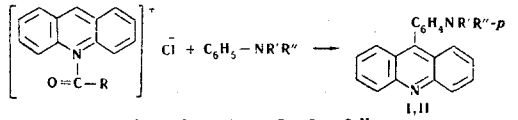
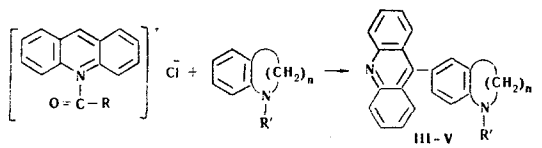
In the quinolination of dialkylanilines with salts of N-acylquinolines, only 2-substituted 1-acyl-1,2-dihydroquinolines are formed: these can be converted by alkaline hydrolysis into the corresponding 2-(p-dialkylaminophenyl)-quinolines [1]. The reaction of 2-acylisoquinolinium chlorides takes place similarly [2]. In contrast to this, the reaction of N-acylpyridine salts with dialkylanilines immediately forms 4-(p-dialkylaminophenyl)pyridines, the acyl residue apparently being split off in the course of the reaction from the 1-acyl-1,4-dihydropyridine derivatives formed as intermediates [3]. It has been found that in the reaction of N-acyl derivatives of acridine with dialkylanilines, likewise, no N-acyl-9,10-diacridine derivatives are formed but 9-(p-dialkylaminophenyl)acridines (I, II) are obtained directly.



I $R' = R'' = CH_3$; II $R' = R'' = C_2H_5$

Thus, it has proved possible to introduce an acridine residue into the aromatic nucleus of partially hydrogenated condensed nitrogen heterocycles, for example 1-alkyl-1,2,3,4-tetrahydroquinolines (**III, IV**) and 1-alkyl-2,3-dihydroindoles (**V**):



III $R' = CH_3$, $n = 3$; IV $R' = C_2H_5$, $n = 3$; V $R' = CH_3$, $n = 2$

The acridylation reaction takes place readily with yields of 60-80% in aprotic solvents when a mixture of an acridine, an aromatic or aliphatic carboxylic acid chloride, and a dialkylaniline or a 1-alkyl-1,2,3,4-tetrahydroquinoline is heated at 50-100° C for 5-8 hr. The structures of compounds **I** and **II** have been established by comparison with authentic 9-(p-dimethylaminophenyl)- and 9-(p-diethylaminophenyl)acridines [4], and the structures of compounds **III-V** are confirmed by the analogy of their UV and IR spectra with the spectra of **I** and **II** and by the results of their elementary analysis and an analysis of their picrates.

9-(p-Dimethylaminophenyl)acridine (I). Yield 60%, yellow crystals: mp 289-290° C (from amyl alcohol). R_f 0.63 (one fluorescing spot on alumina in the benzene-hexane-chloroform [6 : 1 : 30] system). UV spectrum, λ_{max}, nm (log ε): 250 (4.46); 340 (3.50); 320 (3.33); 400 (3.58). Found, %: C 84.52; H 6.28; N 9.13. Calculated for $C_{21}H_{18}N_2$, %: C 84.53; H 6.08; N 9.38. According to the literature, mp 279° C.

9-(p-Diethylaminophenyl)acridine (II). Yield 62%, yellow crystals, mp 197-198° C (from petroleum ether). R_f 0.760. UV spectrum, λ_{max}, nm (log ε): 250 (4.46); 340 (3.50); 312 (3.33); 400 (3.58). Found, %: C 84.71; H 6.98; N 9.03. Calculated for $C_{23}H_{22}N_2$, %: C 84.62; H 6.79; N 8.58. According to the literature [4], mp 197° C.

6-(9'-Acridyl)-1-methyl-1,2,3,4-tetrahydroquinoline (III). Yield 79%, yellow crystals, mp 251-252° C (from propanol). R_f 0.52. UV spectrum, λ_{max}, nm (log ε): 250 (4.72); 324 (3.62); 355 (3.88); 425 (3.72). Found, %: C 85.35; H 6.41; N 8.24. Calculated for $C_{23}H_{20}N_2$, %: C 85.15; H 6.21; N 8.63. **Picrate.** Mp 248-249° C. Found, %: N 12.62. Calculated for $C_{23}H_{20}N_2 \cdot C_6H_3N_3O_7$, %: N 12.65.

6-(9'-Acridyl)-1-ethyl-1,2,3,4-tetrahydroquinoline (IV). Yield 83%, yellow crystals, mp 239-240° C (from ethanol), R_f 0.43. UV spectrum, λ_{max}, nm (log ε): 250 (4.74); 305 (3.38); 355 (3.77); 455 (3.69). Found, %: C 85.45; H 6.89; N 8.13. Calculated for $C_{24}H_{22}N_2$, %: C 85.17; H 6.55; N 8.28. **Picrate.** Mp 227-229° C. Found, %: N 11.97. Calculated for $C_{24}H_{22}N_2 \cdot C_6H_3N_3O_7$, %: N 12.34.

5-(9'-Acridyl)-1-methyl-2,3-dihydroindole (V). Yield 70%, yellow crystals, mp 222-224° C (from ethanol). R_f 0.64. UV spectrum, λ_{max}, nm (log ε): 473; 355 (3.88); 400 (3.80). Found, %: C 85.20; H 5.67; N 8.75. Calculated for $C_{22}H_{18}N_2$, %: C 85.13; H 5.85; N 9.03. **Picrate.** Mp 282-284° C. Found, %: N 12.78. Calculated for $C_{22}H_{18}N_2 \cdot C_6H_3N_3O_7$, %: N 12.98.

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