HETERYLATION OF FURANS WITH AROMATIC

N-ACYLCYCLAMMONIUM CATIONS

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Direct heterylation of furans by intermediately formed N-acylcyclammonium cations occurs in the reaction of six-membered nitrogen heterocycles with furans in the presence of acylating agents:

The monoheteryl derivatives of furan (I) can be readily converted to II by reaction with an additional amount of N-acylcyclammonium salts. Only monoheteryl derivatives are formed in the reaction under analogous conditions with α -methylfuran, and hydrolysis of these leads to 2-methyl-5-heterylfurans. Using this path we were able to obtain pyridyl-, quinolyl-, isoquinolyl-, acridyl-, and phenanthridyl furans.

EXPERIMENTAL

2-Benzoyl-1-(2-furyl)-1,2-dihydroisoquinoline (I). This was obtained in 73% yield and had mp 98-99° (from ether), R_f 0.46 [benzene-hexane-chloroform (6:1:30)], and λ_{max} 300 nm (log ϵ 4.06). IR spectrum (cm⁻¹): 1600 (furan ring), 1650 (C =C of the dihydropyridine ring), 1680 (C =O). Found %: C 79.5; H 4.9; N 4.8. $C_{20}H_{15}NO_2$. Calculated %: C 79.7; H 5.0; N 4.6.

2,5-Bis (2-benzoyl-1,2-dihydro-1-isoquinolyl)furan (II). This was obtained in 20% yield and had mp 135-136° (from cyclohexane), R_f 0.16, and λ_{max} 295 nm (log ϵ 4.34). IR spectrum (cm⁻¹): 1600 (furan ring), 1650 (C=C), 1680 (C=O). Found %: C 80.4; H 5.0; N 5.0; mol. wt. 535.5. C₃₆H₂₆N₂O₃. Calculated %: C 80.9; H 4.9; N 5.2; mol. wt. 534.59.

 $\frac{2-\text{Benzoyl-1-(2-methyl-5-furyl)-1,2-dihydroisoquinoline (III).}{\text{max 295 nm (log ϵ 4.01).}} \text{ This was obtained in 30\% yield and had mp 87-88° (from methanol), Rf 0.48, and λ_{max} 295 nm (log ϵ 4.01).} \text{ IR spectrum (cm$^{-1}$): 1590 (furanting), 1630 (C=C), and 1670 (C=O).} \text{ Found \%: C 79.8; H 5.6; N 4.6. } \text{C}_{21}\text{H}_{17}\text{NO}_2.} \text{ Calculated \%: C 80.0; H 5.4; N 4.4.}$

 $\frac{5-\text{Benzoyl-6-(2-methyl-5-furyl)-5,6-dihydrophenanthridine.}}{\text{and R}_f} \text{ This had mp 117-118}^{\circ} \text{ (from ethanol)}} \text{ and R}_f \frac{5-\text{Benzoyl-6-(2-methyl-5-furyl)-5,6-dihydrophenanthridine.}}{\text{C 81.8; H 5.8; N 3.5. C}_{25}\text{H}_{19}\text{NO}_2}. \text{ Calculated \%: C 82.2; H 5.2; N 3.8.}}$

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