NEW ROUTE TO 3-FURYLINDOLE

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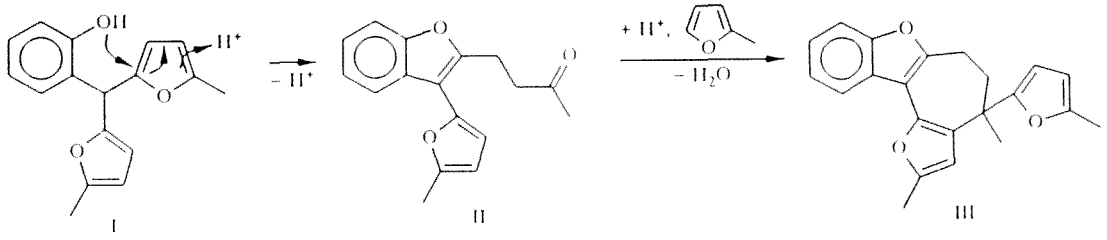
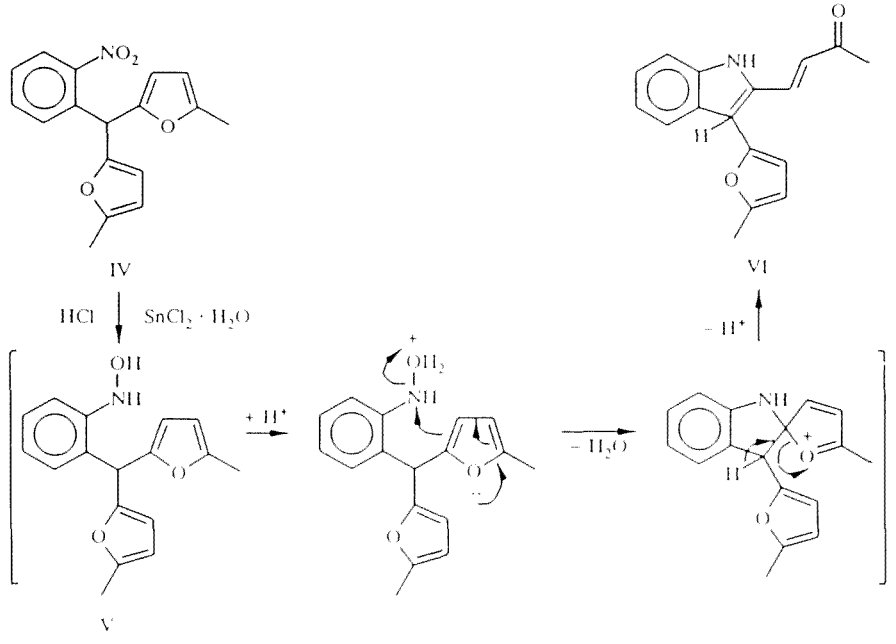
We have previously established [1] that condensation of salicylaldehydes with 2-methylfuran frequently does not stop at the formation of 2-hydroxyarylbis(5-methylfur-2-yl)methanes (I) but is accompanied by subsequent recyclization to the benzofuran derivatives II and III [2]:



Hoping to extend the use of this reaction we have attempted to synthesize derivatives of 3-furylindole. Ketone VI was prepared in 53% yield by the reduction of 2-nitrophenylbis(5-methylfur-2-yl)methane (IV) with tin(II) chloride in the presence of hydrochloric acid in ether.

Since the expected 2-aminophenylbis(5-methylfur-2-yl)methane was not observed in the reaction mixture, the most likely intermediate in our view is the hydroxyamine V. The key step in the reaction is the intramolecular attack by the electrophilic nitrogen at position 2 of the furan ring. Hence the mechanism for the formation of the indole structure differs from that for the conversion of I into the benzofuran derivative II.



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In the latter case the hydroxyl group acts as the nucleophile in the intramolecular attack.

3-(5-Methylfur-2-yl)-2-(3-oxobut-1-enyl)indole (VI, $C_{17}H_{15}NO_2$). mp 216-217°C (from methanol). IR spectrum: ν_{CO} 1680, ν_{NH} 3320 cm^{-1}. ^1H NMR spectrum (250 MHz, acetone-D_6), δ: 2.37 (3H, s, $COCH_3$), 2,43 (3H, s, CH_3), 6.25 (1H, d, H^4-fur, J = 3.2 Hz), 6.68 (1H, d, H^3-fur, J = 3.2 Hz), 6.78 (1H, d, H^α, J = 16 Hz), 7.13 (1H, m, H^6, J = 9.0, 8.0, 0.5 Hz), 7.29 (1H, m, H^5, J = 9.0, 8.0, 0.5 Hz), 7.42 (1H, dd, H^7, J = 8.0, 0.5 Hz), 7.91 (1H, dd, H^4, J = 8.0, 0.5 Hz), 8.12 (1H, d, H^β, J = 16 Hz), 10.92 ppm (1H, br. s, NH).

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