SYNTHESIS OF FUROINDOLES BY MEANS OF THE FISCHER REACTION

N. M. Sharkova, N. F. Kucherova, and V. A. Zagorevskii

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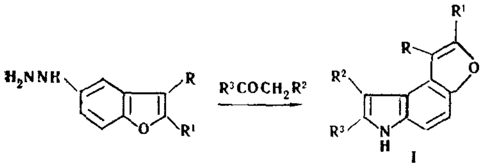
Furoindoles (I) were synthesized from various ketones (acetone, methyl ethyl ketone, cyclohexanone, tetrahydro-4-thiopyrone, and 1-methyl-4-piperidone) and from the previously unknown 5-hydrazinobenzofurans by Fischer indole ring closure.



The condensation was carried out by refluxing solutions of the ketone and hydrazine or its hydrochloride in absolute alcohol in the presence or absence of hydrogen chloride. The direction of the cyclization (at the 4 position of the benzofuran system) was established by means of a study of the PMR spectra of I: two doublets with J = 8-9 Hz from the orthoprotons of the benzene ring appear in the spectra.

EXPERIMENTAL

2,7,8-Trimethyl-6H-furo[3,2-e]indole (I, $R = H$, $R^1 = R^2 = R^3 = CH_3$). This compound was obtained in 39% yield from 2-methyl-5-hydrazinobenzofuran hydrochloride (mp 187-188°C) and methyl ethyl ketone by refluxing in absolute alcohol. The product melted at 148-149°. Found: C 78.0; H 6.5; N 7.3%. $C_{13}H_{13}NO$. Calculated: C 78.3; H 6.6; N 7.0%.

1,2-Dimethyl-6,7,8,10-tetrahydrofurano[3,2-e]thiopyrano[4,3-b]indole (I, $R = R' = CH_3$, $R^2-R^3 = -CH_2SCH_2CH_2-$). This compound (mp 230-232°) was similarly obtained in 52% yield from 2,3-dimethyl-5-hydrazinobenzofuran hydrochloride (mp 179.5-180°) and tetrahydro-4-thiopyrone. Found: C 70.3; H 6.1; N 5.6; S 12.3%. $C_{15}H_{15}NOS$. Calculated: C 70.0; H 5.9; N 5.4; S 12.4%.

2-Methyl-8H-1,2,3,4,9,10,11,12-octahydropyrido[3',4',4,5]furo[2,3-c]carbazole [I, $R-R' = -CH_2N(CH_3)CH_2CH_2-$, and $R^2-R^3 = -(CH_2)_4$]. This compound [mp 242-244° (dec.)] was obtained in 22% yield from 2-methyl-8-hydrazino-1,2,3,4-tetrahydrobenzofuro[3,2-c]pyridine (mp 121-122°) and cyclohexanone in a 10% absolute alcohol solution of hydrogen chloride. Found: C 77.3; H 7.1; N 10.1%. $C_{18}H_{20}N_2O$. Calculated: C 77.1; H 7.2; N 10.0%.

The other representatives of system I were similarly synthesized.

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