SYNTHESIS OF INDOLE DERIVATIVES WITH A SILICOCARBON SUBSTITUENT IN THE β-POSITION

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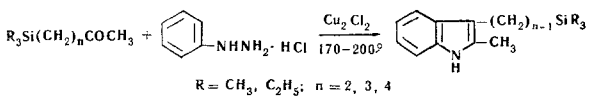
There is no information in the literature on silicon-containing indole derivatives. In the present work, it was shown that γ-, δ-, and ε-silicon-containing ketones react with phenylhydrazine hydrochloride in the presence of cuprous chloride, in the manner of a Fischer reaction, forming indole derivatives with silicocarbon substituents in the β-position.



$R = CH_3, C_2H_5$; $n = 2, 3, 4$

Condensation generally begins when a mixture of the reactants is heated to 140–160° C, being accompanied by a spontaneous rise in temperature to 180–200° C, and is complete in 10–15 min. The yields amount to 60–70%. The compounds synthesized are pale yellow, high-boiling viscous liquids with a characteristic indole odor. Their structure has been confirmed by their IR spectra.

α-Methyl-β-triethylsilylmethylindole. A mixture of 1.45 g of phenylhydrazine hydrochloride, 1.86 g of 4-triethylsilyl-2-butanone [1], and 0.02 g of Cu_2Cl_2 was heated at 160–170° C for 15 min. After cooling, 10 ml of water and 10 ml of ether were added, and the organic layer was separated off and, after drying, distilled. This gave 1.62 g (62%) of a liquid with bp 150–152° C (1.5 mm), d_4^{20} 0.9916, n_D^{20} 1.5604. Found, %: C 73.93; H 9.66; Si 10.93; MR_D 84.57. Calculated for $C_{16}H_{25}NSi$, %: C 74.05; H 9.71; Si 10.83; MR_D 83.34. IR spectrum, cm^{-1}: 3410 s, 3060 m, 3040 w, 1500, 1600 m, 1620 m.

α-Methyl-β-(2-trimethylsilylethyl)indole, bp 157–158° C (4 mm), d_4^{20} 0.9606, n_D^{20} 1.5415. Found, %: C 72.55; H 9.21; Si 12.07; MR_D 75.59. Calculated for $C_{14}H_{21}NSi$, %: C 72.66; H 9.15; Si 12.14; MR_D 74.58. IR spectrum, cm^{-1}: 3410 s, 3060 m, 1580 m, 1525 m, 1600 m—indole nucleus; 738 s, 858 w, 1242 s—$Si(CH_3)_3$ group.

α-Methyl-β-(3-diethylmethylsilylpropyl)indole, bp 159–160° C (1.5 mm), d_4^{20} 0.9637, n_D^{20} 1.5440. Found, %: C 74.56; H 10.01; Si 10.13; MR_D 89.44. Calculated for $C_{17}H_{27}NSi$, %: C 74.65; H 9.95; Si 10.27; MR_D 87.97. IR spectrum, cm^{-1}: 3420 s, 3060 m, 1489 s, 1528 m, 1587 m, 1620 s—indole nucleus.

REFERENCES

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