CLEAVAGE OF THE N–N BOND IN N-SUBSTITUTED INDAZOLES

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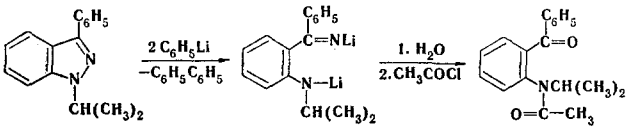
We have shown [1] that 3-bromo-1-benzylindazole is converted to N-benzylanthranilonitrile by the action of sodium or phenylsodium. This observation and data [2, 3] on the opening of the ring of N-substituted indazoles in the presence of sodium amide made it possible to conclude that the opening of the N–N bond in these cases precedes the formation of 3-sodioindazoles. However, we observed that 1-isopropyl-3-phenylindazole (I), under the influence of phenyllithium, is also capable of cleavage at the N–N bond to give, after hydrolysis, 2-isopropylaminobenzophenone, which was identified as the N-acetyl derivative (II).

The probable reaction scheme is as follows:



I II

This conversion is apparently also possible for other 1,3-disubstituted indazoles.

EXPERIMENTAL

2-(N-Acetylisopropyl)aminobenzophenone. A solution of 3.5 g (0.015 mole) of 1-isopropyl-3-phenylindazole in 25 ml of ether was added to phenyllithium [from 0.56 g (0.081 g-atom) of lithium and 6.3 g (0.04 mole) of bromobenzene] in 30 ml of ether, and the mixture was then heated for 5 min with 25 ml of 10% hydrochloric acid. The amino ketone was isolated in the usual manner and acylated with acetyl chloride in pyridine to give 0.46 g (11%) of II with mp 138-139° (from benzene–petroleum ether). Found: C 76.8; H 7.0; N 4.9%. $C_{18}H_{19}NO_2$. Calculated: C 76.9; H 6.8; N 5.0%. No melting-point depression was observed for a mixture of this product and the compound obtained by acylation of a genuine sample of 2-isopropylaminobenzophenone.

LITERATURE CITED

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