ORGANOLITHIUM COMPOUNDS OF 1-METHYL- AND 2-METHYLINDAZOLE

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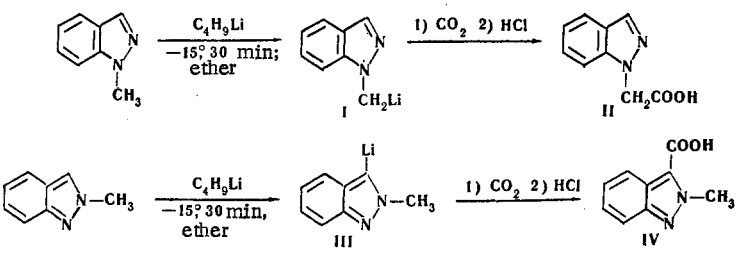
In order to find a path for the preparation of the unknown compounds of N-substituted indazole, we have carried out reactions of 1-methyl- and 2-methylindazole with butyllithium.

In conjunction with [1, 2] we supposed that 1-methylindazole would be converted to 3-lithio-1-methylindazole or to its rearrangement product – the nitrile of N-lithio-N-methylanthranilic acid. As it turned out, 1-methylindazole is metallated by butyllithium at the carbon atom of the N-methyl group to form 1-lithiomethylindazole (I). Neither the nitrile nor the amide of N-methylanthranilic acid could be isolated from the reaction mixture. In contrast to 1-methylindazole, 2-methylindazole gives the corresponding 3-lithio derivative (III).



The structures of I and III were proved by conversion to acids II and IV.

Indazole-1-acetic Acid (II). This acid was obtained in 18% yield and had mp 184-185° (185-186° [3]). The IR spectra of II and indazole-1-acetic acid obtained via the method in [3] were completely coincident.

2-Methylindazole-3-carboxylic Acid (IV). This acid was obtained in 73% yield and had mp 227-228° (decomp.) [225-266° (decomp.) [4]]. The IR spectra of IV and 2-methylindazole-3-carboxylic acid obtained via the method in [4] were identical.

LITERATURE CITED

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