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# NOVEL REACTIONS OF TRIFLUOROMETHYL GROUP IN HETEROCYCLES

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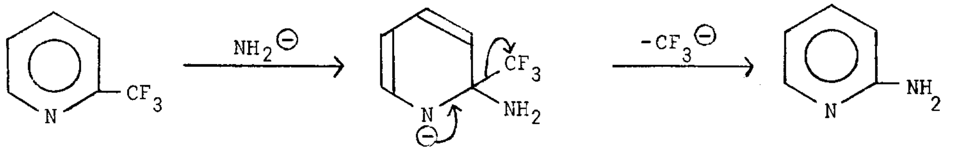
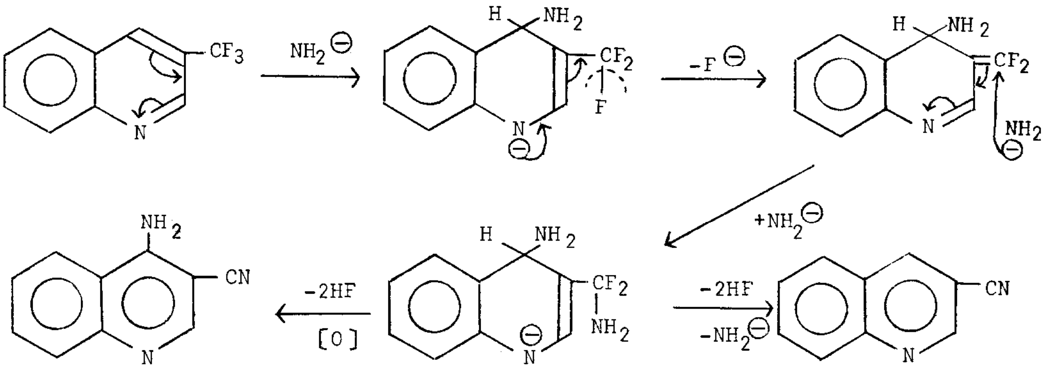
Trifluoromethyl group has often been refferred as a pseudohalogen (1), but there is no report of its substitution by a nucleophile involving a fission of carbon-carbon bond. Now, we report a novel reaction of trifluoromethyl heterocycles. 2-(Trifluoromethyl)quinoline reacts with sodium amide in liquid ammonia to give 2-aminoquinoline in 69.5% yield. Similarly, this reaction proceeds with 2-(trifluoromethyl)pyridine and 1-(trifluoromethyl)isoquinoline to give 2-aminopyridine and 1-aminoisoquinoline in 88% and 57% yields, respectively.

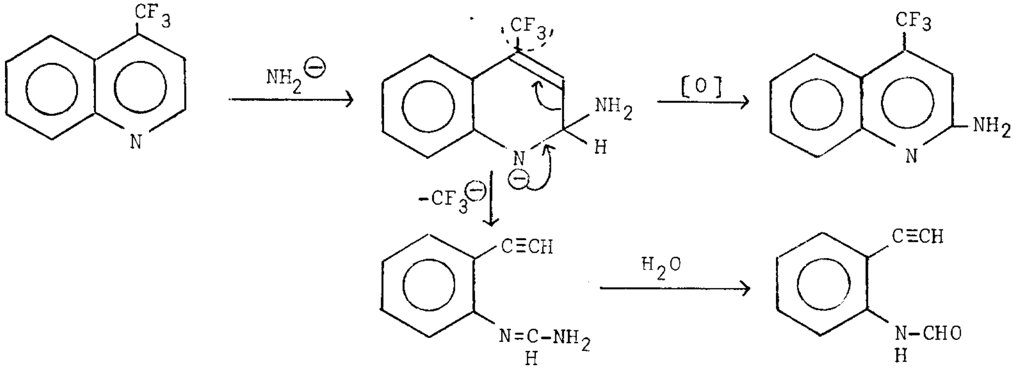
Then this reaction was applied to 3- and 4-(trifluoromethyl)quinoline. 3-(Trifluoromethyl)quinoline gave 3-cyanoquinoline and 4-amino-3-cyanoquinoline in 20% and 2.5% yields, respectively.

4-(Trifluoromethyl)quinoline gave 2-amino-4-(trifluoromethyl)quinoline and o-(formylamino)phenylethyne in 3% and 9% yields, respectively.

However, these reactions of trifluoromethyl group are characteristic of the heterocycles, since trifluoromethylbenzene does not undergo this reaction and is recovered quantitatively. The following is the assumption of the mechanisms of these reactions. In these mechanisms, behavior of the trifluoromethyl group differs according to its position in the heterocycle; these in the 2- and 4-positions were liberated in the same manner as halogens.







All the products were identified by IR spectra, NMR, and admixture melting point with the authentic samples.

## REFERENCE

1. W.A.Sheppard and C.M.Shirts, Organic Fluorine Chemistry, p. 62, W.A.Benjamin, Inc., New York (1969).