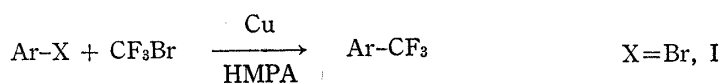


Trifluoromethylation with Bromotrifluoromethane

We previously reported a new method of introducing a trifluoromethyl group into the desired position of an aromatic ring in less steps than the known methods in a good yield by the reaction of aromatic halogen compounds with iodotrifluoromethane under the presence of copper powder.¹⁾ For instance, by using 3-bromoquinoline and iodobenzene as the starting material, 3-trifluoromethylquinoline (yield: 74%) and benzotrifluoride (yield: 72%) were obtained, respectively. It is assumed that trifluoromethylcopper is first formed, which reacts with aromatic halogen compounds, in this reaction; the problem with this reaction is the costliness of iodotrifluoromethane used here. In order to find a new reagent in its place, we investigated the applicability of bromotrifluoromethane.



3-Bromoquinoline (4.0 g), copper powder (5.0 g), hexamethylphosphoric triamide (20 ml), and bromotrifluoromethane (5 ml) were shaken with heating at 130° for 20 hr in a stainless steel autoclave, and then the reaction mixture was worked up as in the case of the reaction with iodotrifluoromethane. 3-Trifluoromethylquinoline (0.84 g, 22%) was obtained. In the same manner, benzotrifluoride (11%) was obtained from iodobenzene.

From the above results, it is confirmed that bromotrifluoromethane is useful as a reagent to synthesize aromatic compounds with a trifluoromethyl group and it is especially promising on a large-scale synthesis, because of its extreme low cost and ready availability (commercially available as fire extinguisher) compared with iodotrifluoromethane, although the latter gives the desired product in a better yield.

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