

SYNTHESES AND REACTIONS OF (TRIFLUOROMETHYL)BENZOFURANS

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Treatment of benzofuran-2- and 3-carboxylic acids with sulfur tetrafluoride gave the corresponding (trifluoromethyl)benzofurans in poor yields. Reaction of 2-bromobenzofuran with $\text{CF}_3\text{I-Cu}$ gave 2-(trifluoromethyl)benzofuran (I). Reaction of 3-bromobenzofuran with $\text{CF}_3\text{I-Cu}$ in DMF gave I and 3-(trifluoromethyl)benzofuran (II) in the ratio of 1 : 9, while the same reaction in pyridine gave I and II in the ratio of 10 : 1.

Reaction of I and II with LiAlH_4 in ether did not proceed. Reaction of I and II with alcoholic sodium hydroxide gave the corresponding benzofuran carboxylic acids in the yields of 5 % and 19 %, respectively. Reaction of I with sodium amide in liquid ammonia gave 3-amino-2-(trifluoromethyl)-2,3-dihydrobenzofuran, o-hydroxy- ω -cyanoacetophenone and o-hydroxybenzyl cyanide, while II gave 2-amino-3-cyanobenzofuran in the same reaction.

These results will be discussed in comparison with the previously reported results of the indole and quinolene series.