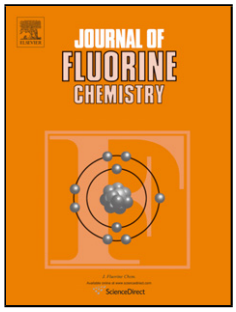

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Cu-catalyzed Chlorotrifluoromethylation of Alkenes with CF_3SO_2Cl

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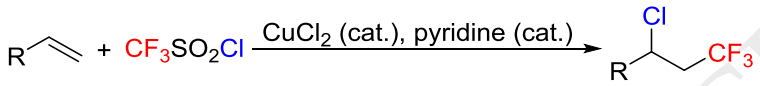
Graphical abstract



Chlorotrifluoromethylation of various alkenes, including aryl alkenes, α,β-unsaturated alkenes and alkyl alkenes, with CF_3SO_2Cl catalyzed by a simple Cu complex is described.

Highlights

- **Chlorotrifluoromethylation with CF_3SO_2Cl catalyzed by simple system consisting of $CuCl_2$ and pyridine was achieved.**
- **A wide substrate scope and good functional group tolerance were observed.**
- High yields were obtained under mild conditions.

Abstract: Although CF_3SO_2Cl is an efficient chlorotrifluoromethylation reagent, an expensive transition metal complex usually has to be used. We found that $CuCl_2$-catalyzed chlorotrifluoromethylation of alkenes with CF_3SO_2Cl occurred smoothly under mild conditions. A wide substrate scope and good functional group compatibility were observed.

Keywords: Chlorotrifluoromethylation, Alkenes, Catalysis, Copper, Fluorine

1. Introduction

Due to its strong electron-withdrawing nature (Hammett constants $\sigma_p = 0.43$, $\sigma_m = 0.54$) and high lipophilicity (Hansch constant $\pi = 0.88$) [1, 2], trifluoromethyl group (CF_3) has proven to be a valuable functionality in medicinal chemistry and agrochemistry [3-6], and many CF_3-containing pharmaceuticals and agrochemicals have been developed, such as Fluoxetine, Efavirenz, Pleconaril and Acifluorfen. The high demand for the biologically active CF_3-molecules has stimulated significant efforts to develop efficient methods for the installation of CF_3 group [7-10]. Difunctionalization-type trifluoromethylation of alkenes [11, 12], including hydrotrifluoromethylation [13-15], oxtrifluoromethylation [16-18], carbotrifluoromethylation