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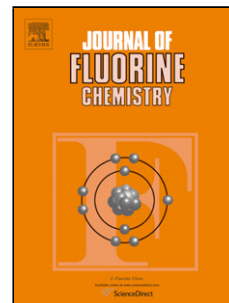
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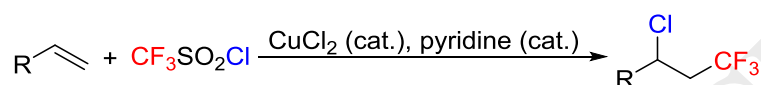
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Cu-catalyzed Chlorotrifluoromethylation of Alkenes with CF₃SO₂Cl

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Graphical abstract



Chlorotrifluoromethylation of various alkenes, including aryl alkenes, α,β -unsaturated alkenes and alkyl alkenes, with CF₃SO₂Cl catalyzed by a simple Cu complex is described.

Highlights

- CHLOROTRIFLUOROMETHYLATION WITH CF₃SO₂Cl CATALYZED BY SIMPLE SYSTEM CONSISTING OF CuCl₂ AND PYRIDINE WAS ACHIEVED.
- A WIDE SUBSTRATE SCOPE AND GOOD FUNCTIONAL GROUP TOLERANCE WERE OBSERVED.
- High yields were obtained under mild conditions.

Abstract: Although CF₃SO₂Cl is an efficient chlorotrifluoromethylation reagent, an expensive transition metal complex usually has to be used. We found that CuCl₂-catalyzed chlorotrifluoromethylation of alkenes with CF₃SO₂Cl 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 (CF₃) has proven to be a valuable functionality in medicinal chemistry and agrochemistry [3-6], and many CF₃-containing pharmaceuticals and agrochemicals have been developed, such as Fluoxetine, Efavirenz, Pleconaril and Aciclovir. The high demand for the biologically active CF₃-molecules has stimulated significant efforts to develop efficient methods for the installation of CF₃ group [7-10]. Difunctionalization-type trifluoromethylation of alkenes [11, 12], including hydrotrifluoromethylation [13-15], oxtrifluoromethylation [16-18], carbotrifluoromethylation

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