

Preparation of Alkylated Pyridine Derivatives via Radical Addition to *N*-Methoxypyridinium Salts

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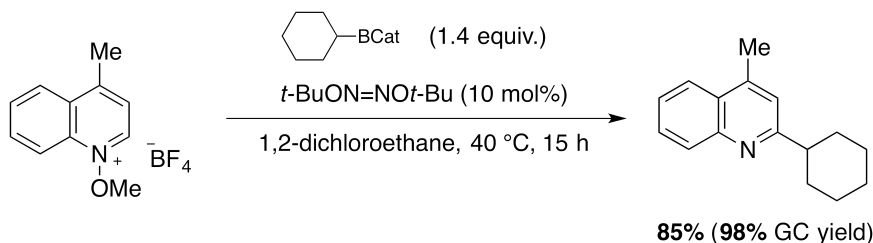
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The Minisci reaction consists of alkylation or acylation of a protonated, electron-poor heteroaromatic base using a nucleophilic carbon-centered radical. Due to polar effects, the reaction shows selectivities that would be impossible to obtain under Friedel-Crafts reaction conditions.^[1]

However, the reaction suffers from drawbacks such as use of a stoichiometric amount of oxidant, low regioselectivity and polyalkylation.

Due to the viability of this method for late stage functionalization of organic compounds, it is of great interest to overcome these limitations.

Herein, we describe a method that uses non-protic activation of the substrate. Alkylboranes (RBCat^[2], R₃B) react with *N*-methoxypyridinium salts in the presence of a radical initiator to afford substituted pyridines. Interestingly, no external oxidizing agent is required to run this reaction. The scope and limitation of this reaction will be discussed.



[1] C. Punta, F. Minisci, *Chem. Inform.* **2008**, 13, 1-69.

[2] A.-P. Schaffner, P. Renaud, *Eur. J. Org. Chem.* **2004**, 2004, 2291-2298.