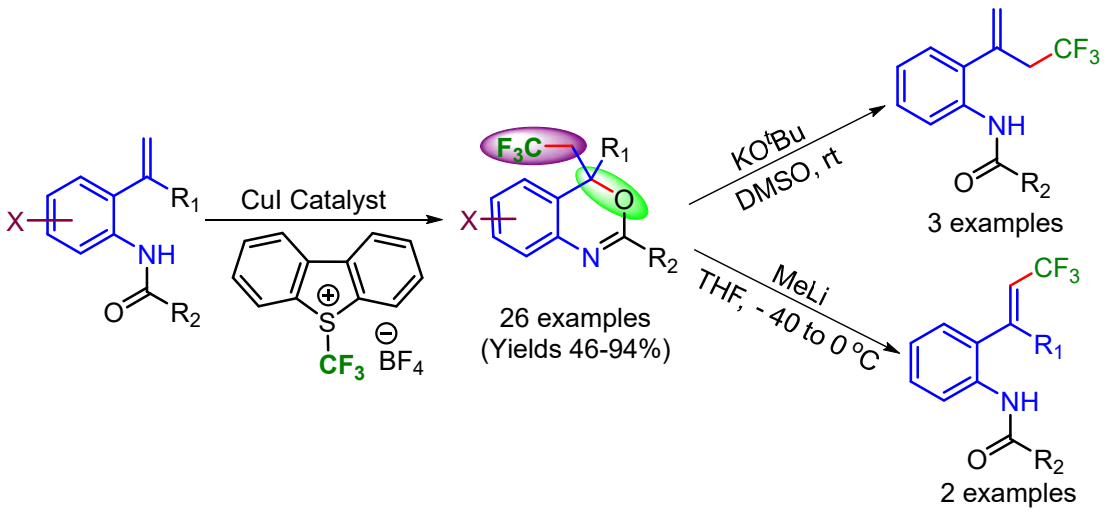
Copper-Catalyzed Oxytrifluoromethylation of Alkenes

Sadhan Jana, and Sangit Kumar*
Department of Chemistry, IISER Bhopal, Madhya Pradesh, INDIA
(E-mail: sjana@iiserb.ac.in)

Abstract: Benzoxazines, *N*,*O*-containing six membered heterocycles, are privileged core structures in medicinally relevant compounds and also widely used as building blocks in many bioactive molecules. They show interesting biological properties and various pharmaceutical applications such as progesterone receptor (PR) modulation, high agonist, and antagonist activities.[1] Incorporation of CF_3 group enhances the biological activities of Benzoxazines, as it imparts unique properties.[2] In particular, efavirenz (reverse transcriptase inhibitor) is a CF_3 containing benzoxazine drug which is used to treat HIV infection. In spite of their potential applications, synthesis of CF_3 containing benzoxazines has remained an unexplored area.

In this poster, by using umemoto's reagent, a simple base and ligand free copper catalyzed method for the construction of trifluoromethylated benzoxazines will be discussed.[3]



References:

1. Zhang, P.;Terefenko, A. E.; Fensome, A.; Zhang, Z.; Zhu, Y.; Cohen, J.; Winnerker, R.; Wroble, J.; Yardley, J., *Bioorg. Med. Chem.* Lett. **2002**, *12*, 787-90
2. Purser, S.; Moore, P. R.; Swallow, S.; Gouverneur, V., *Chem Soc Rev* **2008,** *37* (2), 320-30
3. Jana, S.; Ashokan, A.; Kumar, S.; Verma, A.; Kumar, S., *Org Biomol Chem* **2015,** *13* (31), 8411-15