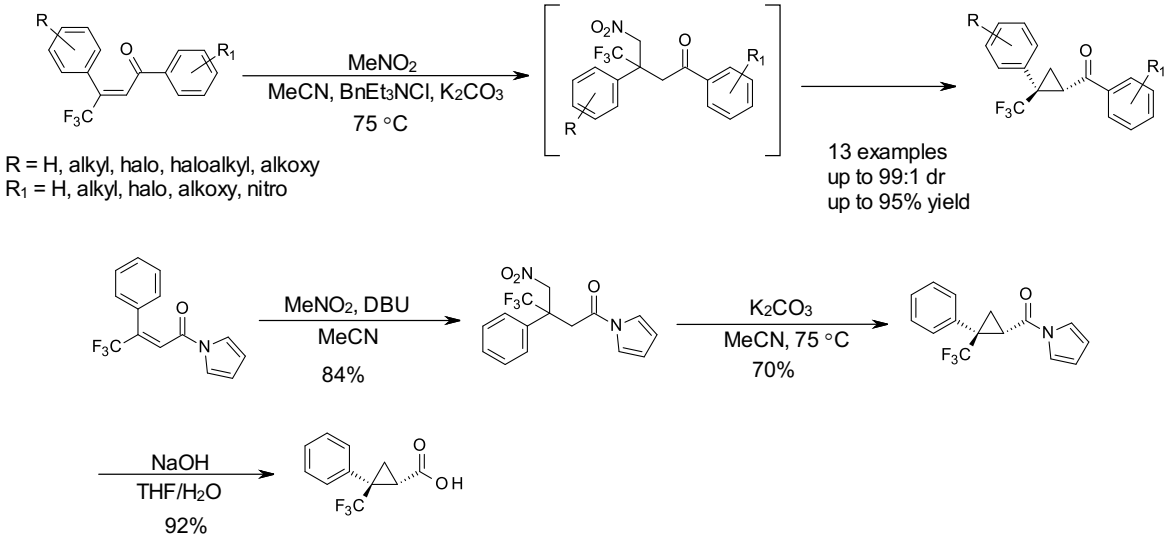
Stereoselective synthesis of trifluoromethyl containing cyclopropanes

Smits, H.; Bader, S.; Catak, S; E.Godineau

Syngenta Crop Protection AG, Schaffhauserstrasse, CH-4332, Stein, Switzerland
E-mail: helmars.smits@syngenta.com; edouard.godineau@syngenta.com

During the last decade there has been an increased attention in both academia and industry towards development of methods for incorporation of fluorine in organic molecules. The increased interest in fluorine chemistry arises from the fact that chemical and biological properties of small molecules are significantly altered by introduction of fluorine atoms and a significant percentage of drugs and agrochemicals contain fluorine atoms.[1]

Here we report a stereoselective cyclopropanation of β-trifluoromethyl chalcones using nitromethane as a source of methylene fragment. This methodology was also used for synthesis of corresponding carboxylic acid derivatives which are then amenable for further functionalization. Mechanism and origins of stereochemistry will also be discussed.



[1] Gilis, E.P.; Eastman, K.J.; Hill, M.D.; Donnelly, D.J.; Meanwell, N.A. *J. Med. Chem.* **2015**, *58*, 8315