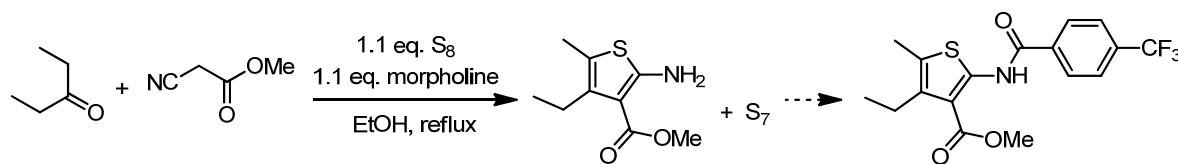


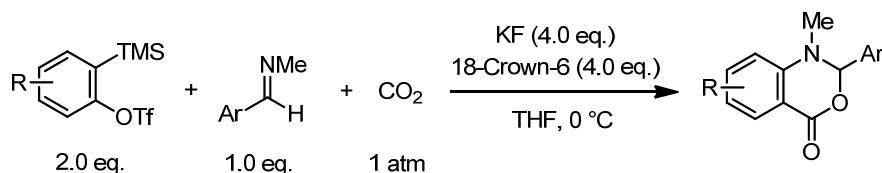
Juan Carlos Castillo Millán – STeRÉO Group – 17/03/2014

I. Access to 2-aminothiophene (Gewald Reaction)

Corelli and co-workers reported the synthesis of 2-(acylamino)thiophene as metabolically stable, orally, effective allosteric modulators of the GABA_B receptor (*J. Med. Chem.* **2013**, *56*, 3620–3635). Suggest a reaction mechanism for the synthesis of 2-aminothiophene.

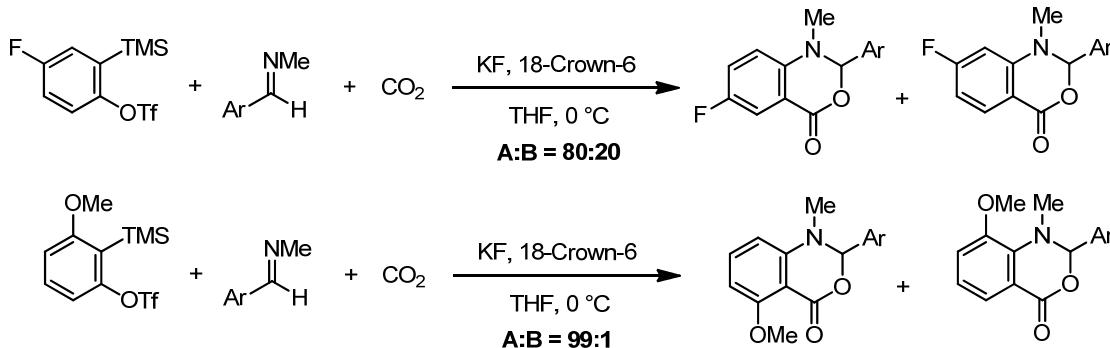


II. Access to benzoxazinones (CO₂ incorporation reaction using arynes)



Yoshida, H.; Fukushima, H.; Ohshita, J.; Kunai, A. *J. Am. Chem. Soc.* **2006**, *128*, 11040–11041

III. The observed regioselectivities in the reaction of the unsymmetrical arynes should be ascribable to electronic and/or steric effects of a substituent on the arynes. Based on this information which one and why regioisomer is favored?



IV. Alkane C-H insertion by aryne intermediates with a silver catalyst

Mechanistic studies suggest that the C-H bond-breaking and new bond-forming events take place in a concerted manner, rendering a formal 1,2-addition of C-H bond across the π-bond of arynes (Yun, S.; Wang, K.; Lee, N.; Mamidipalli, P.; Lee, D. *J. Am. Chem. Soc.* **2013**, *135*, 4668–4671).

