

Brønsted Base Catalyzed Addition of 2-Nitroethyl Sulfones to N-Boc Imines: An Entry to Allylic Amines

Iñaki Bastida, Maitane Zalacain, Rosa López and Claudio Palomo

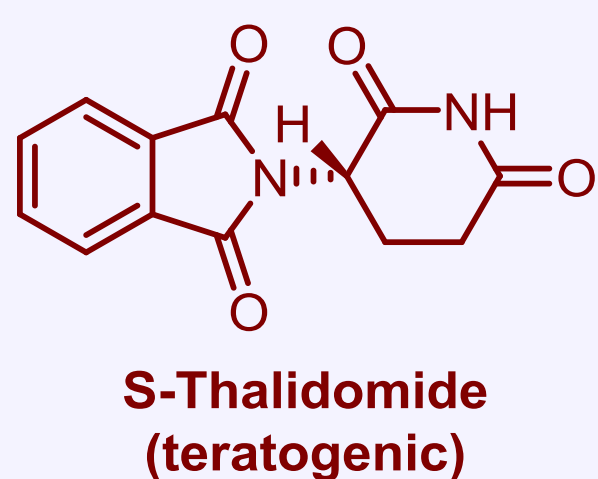
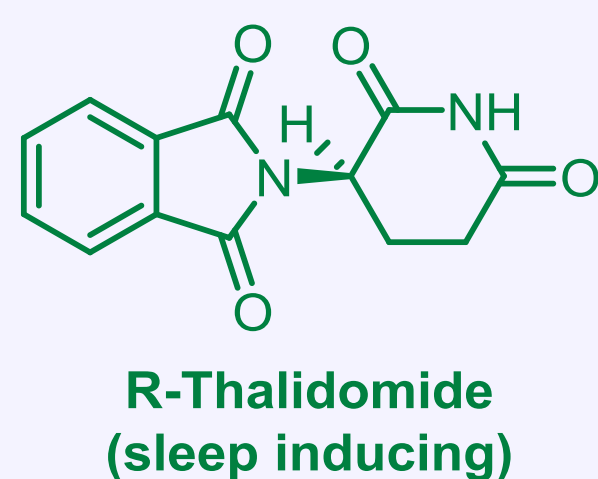
Department of Organic Chemistry I, Faculty of Chemistry, University of the Basque Country UPV/EHU

Paseo Manuel de Lardizabal 3, 20018, Donostia-San Sebastian

e-mail: inaki.bastida@ehu.eus

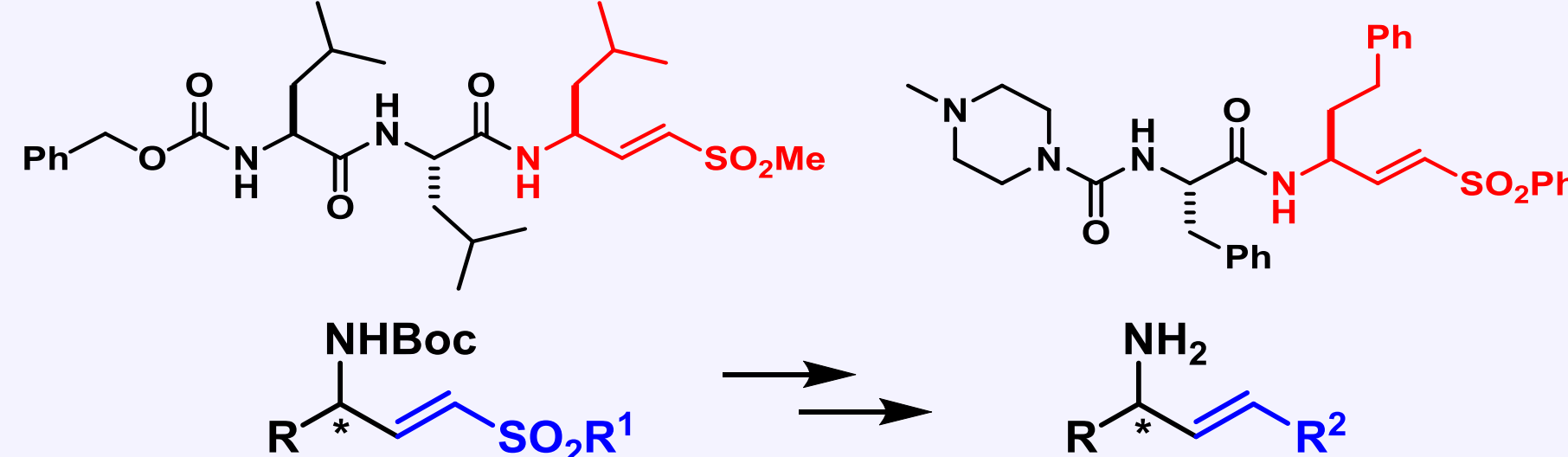
IMPORTANCE OF ASYMMETRIC SYNTHESIS:

Since the Thalidomide tragedy in the 1960s, the research of ways to obtain enantiomerically pure compounds has become of great interest due to the importance of the chirality in biological activities.

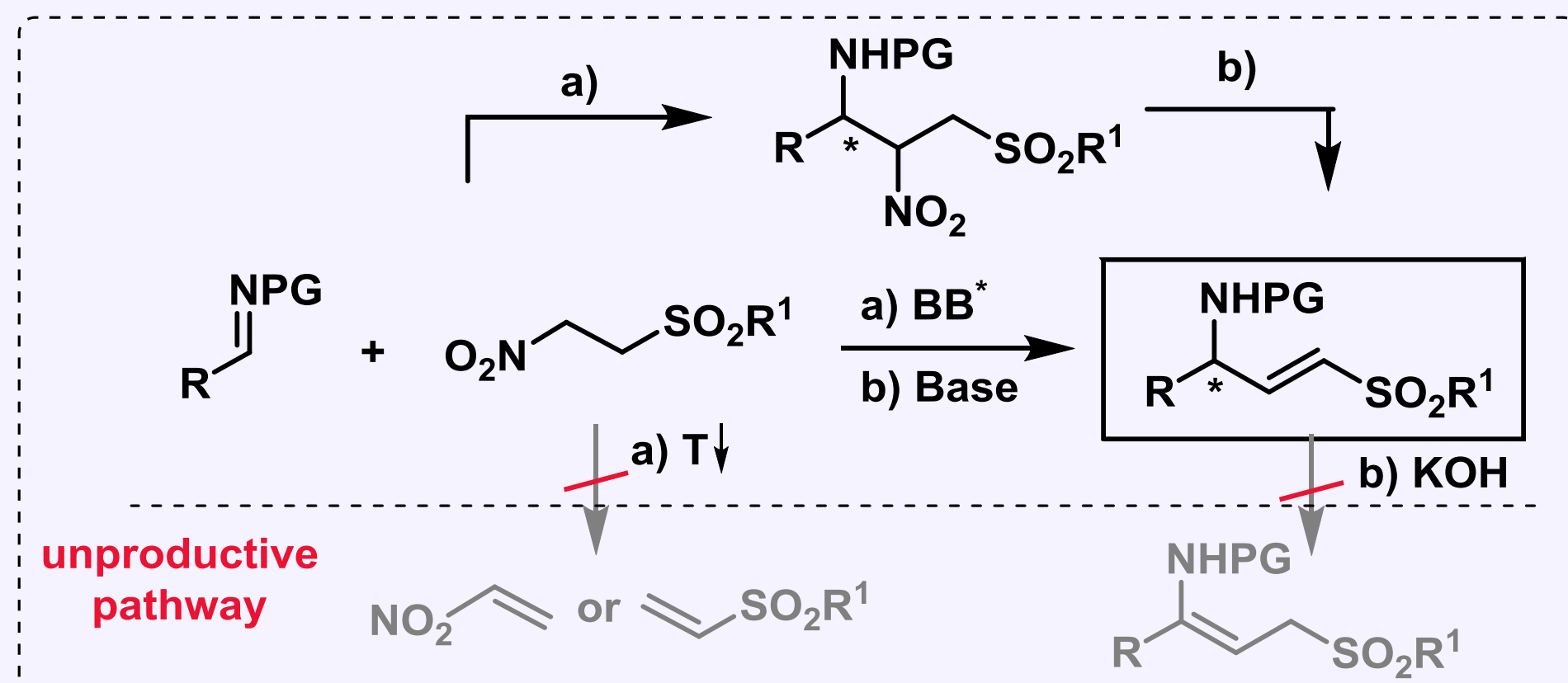


OBJECTIVE:

Structurally diverse γ -sulfonyl allyl amines have demonstrated their ability to inhibit a great variety of enzymatic processes. Our objective is to implement their synthesis to increase the pool of available structures.¹ Furthermore this structures could be transformed into different allyl amines.



APPROACH AND REACTION OPTIMIZATION:



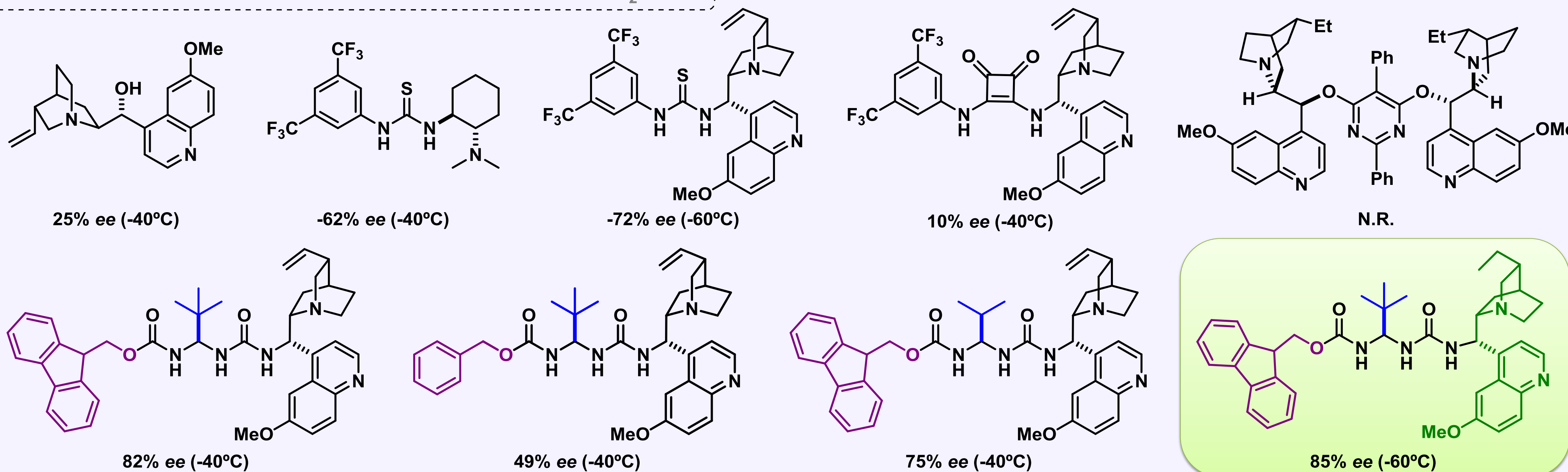
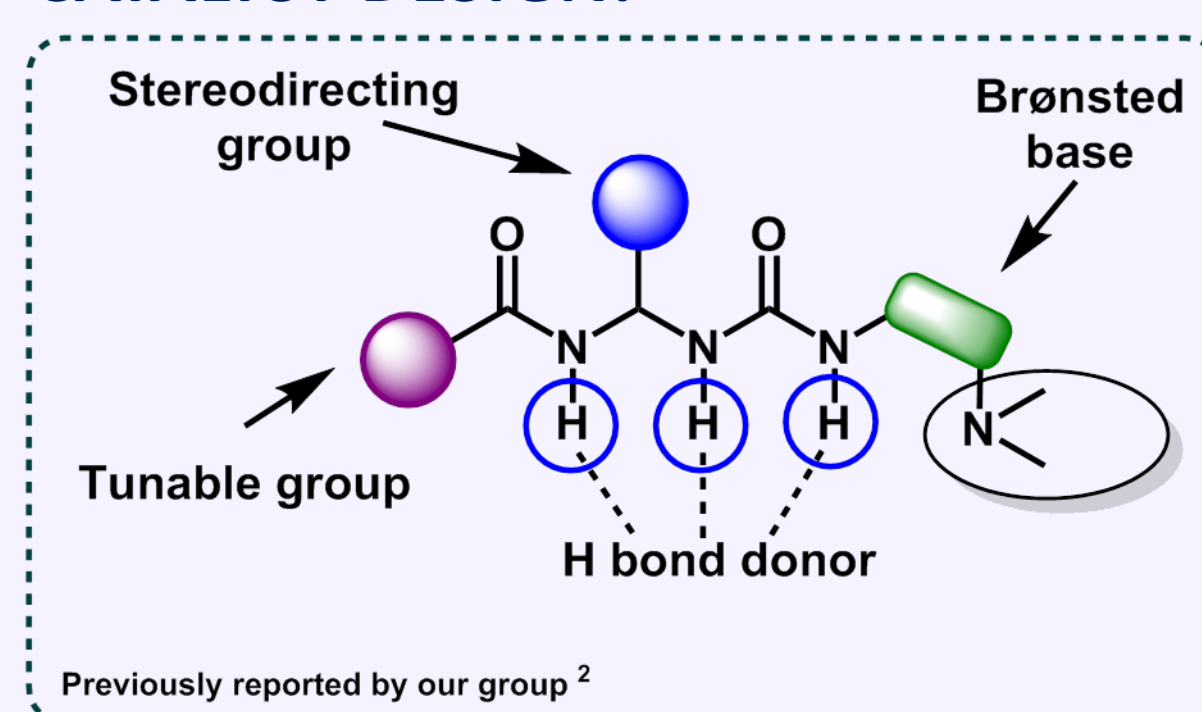
Conditions for catalyst screening:

 R: p-Tol R¹: Ph

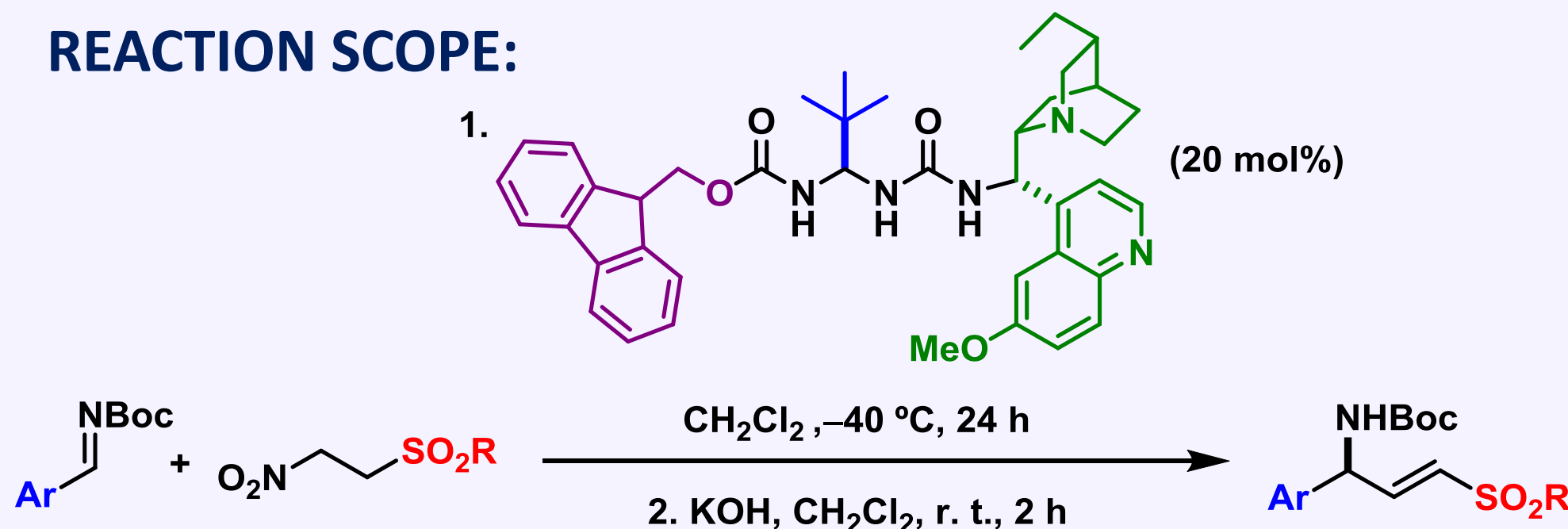
a) BB* (20 mol%), DCM, T, 24 h

b) KOH, DCM, r.t., 2 h

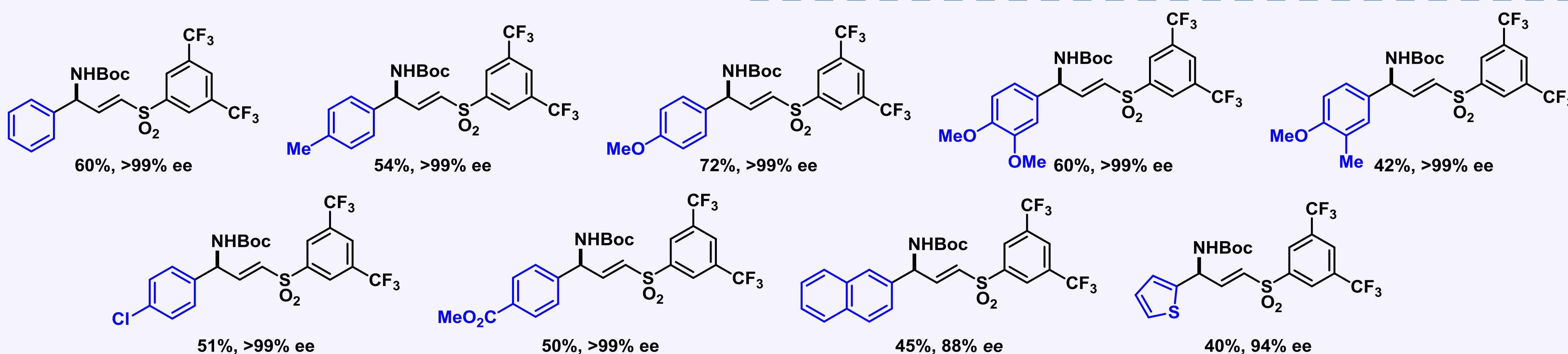
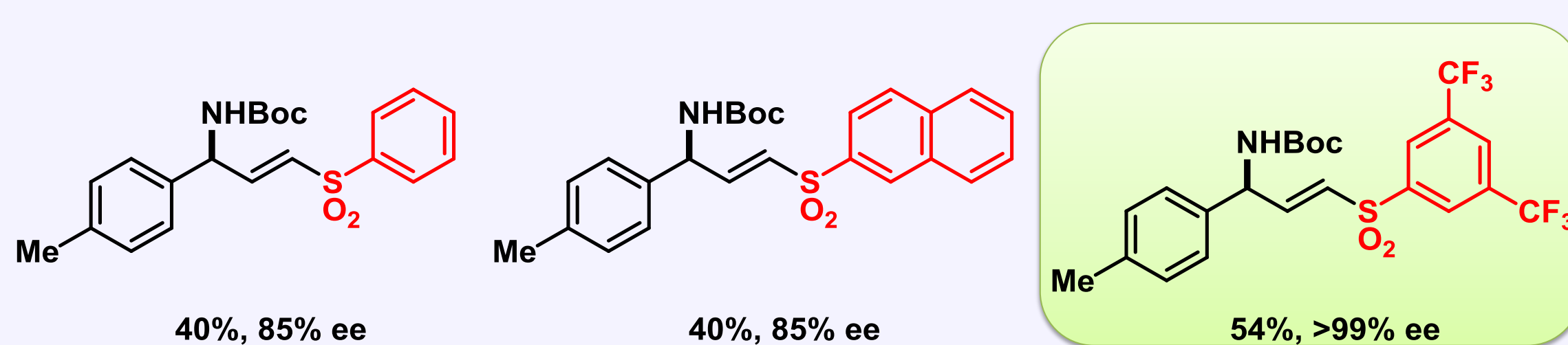
CATALYST DESIGN:



REACTION SCOPE:



Effect of the sulfonyl group:



REFERENCES:

- (a) Palmer et al. *J. Med. Chem.* **1995**, 38, 3193-3196. (b) Dunny et al. *J. Med. Chem.* **2013**, 56, 6638-6650. (c) Bogyo et al. *Proc. Natl. Acad. Sci.* **1997**, 94, 6629-6634.
- (a) Diosdado, S.; Etxabe, J.; Izquierdo, J.; Landa, A.; Mielgo, A.; Olaizola, I.; López, R.; Palomo, C. *Angew. Chem.* **2013**, 125, 12062-12067. (b) Diosdado, S.; López, R.; Palomo, C. *Chem. Eur. J.* **2014**, 20, 6926-6531. (c) Echave, H.; López, R.; Palomo, C. *Angew. Chem. Int. Ed.* **2016**, 55, 3364-3368.

ACKNOWLEDGEMENTS: