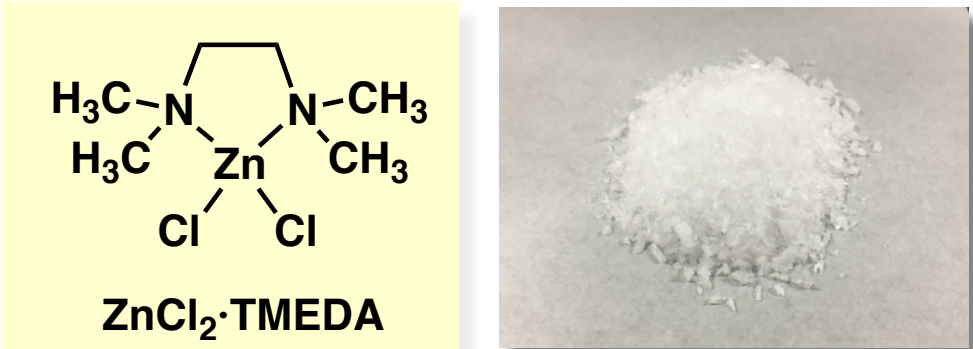
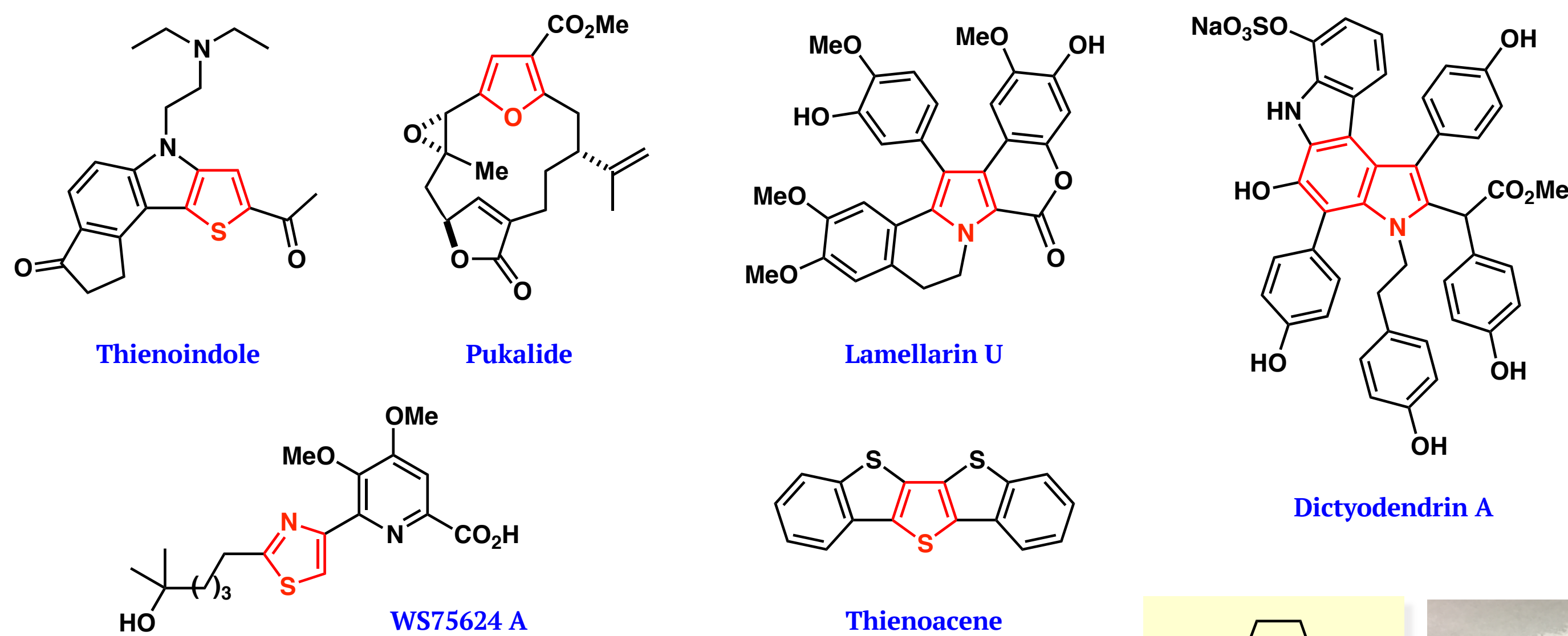




Substituted heteroaromatic compounds
→ Broad biological activities

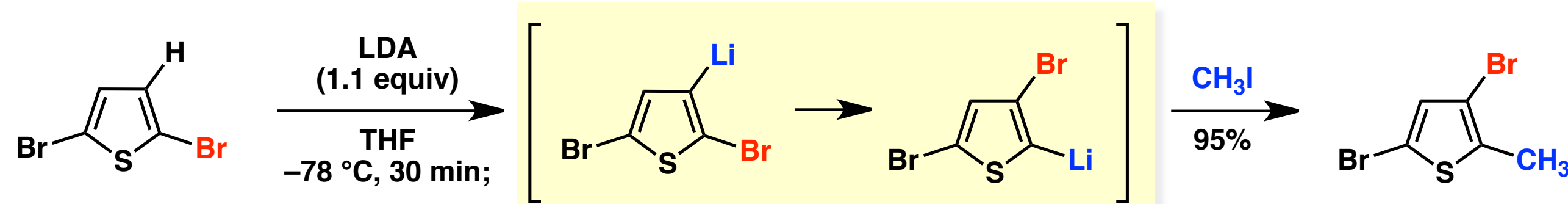
- Regiochemical issues
- Steric effects of pre-installed substituents



Isobe, M.; Kondo, S.; Nagasawa, N.; Goto, T. *Chem. Lett.* 1977, 6, 679.

Objective of this work

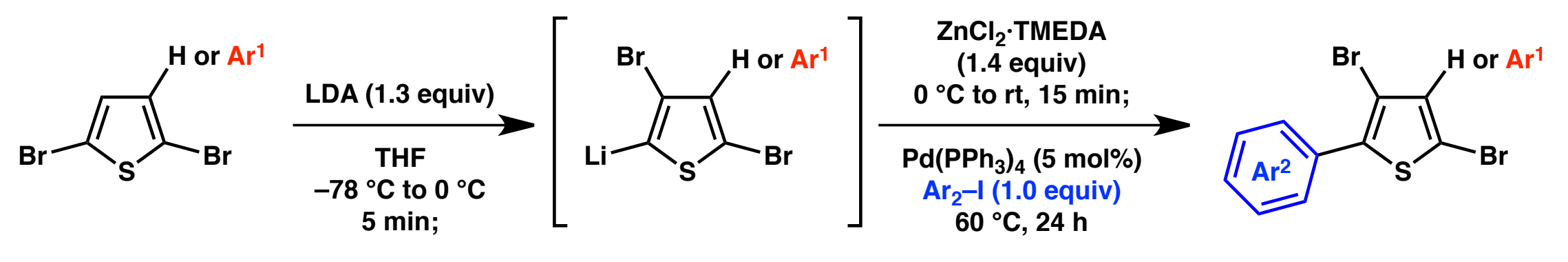
Establish a general method for the synthesis of heteroaromatic compounds by using halogen dance



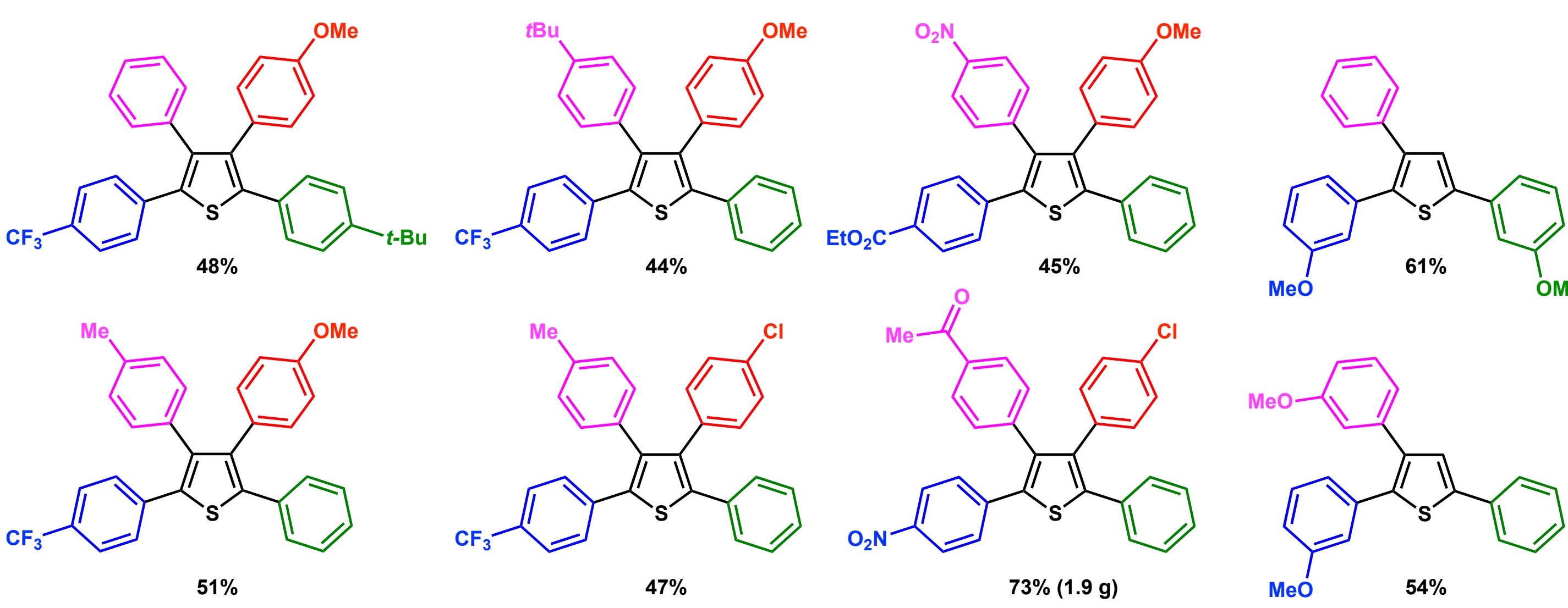
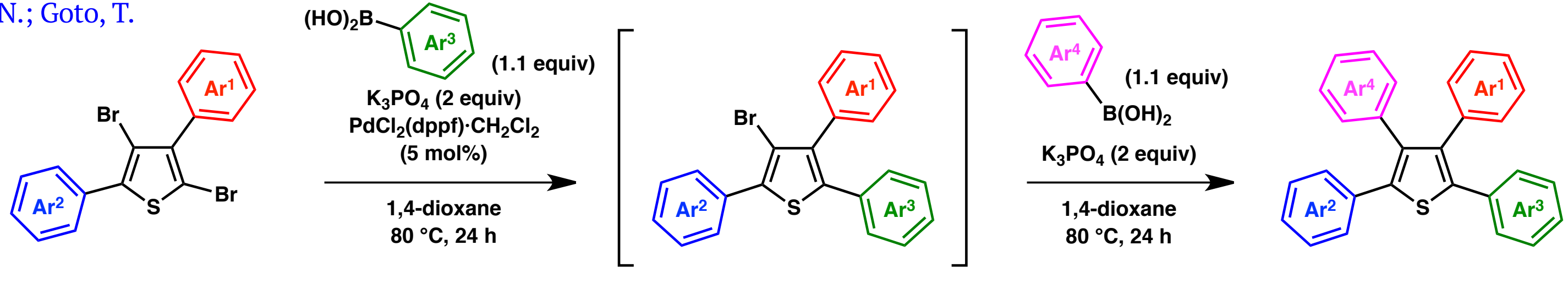
Kano, S.; Yuasa, Y.; Yokomatsu, T.; Shibuya, S. *Heterocycles* 1983, 20, 2055.
Vaitiekunas, A.; Nord, F. F. *Nature* 1951, 168, 875.
Schnürch, M.; Spina, M.; Khan, A. F.; Mihovilovic, M. D.; Stanetty, P. *Chem. Soc. Rev.* 2007, 36, 1046.

Results

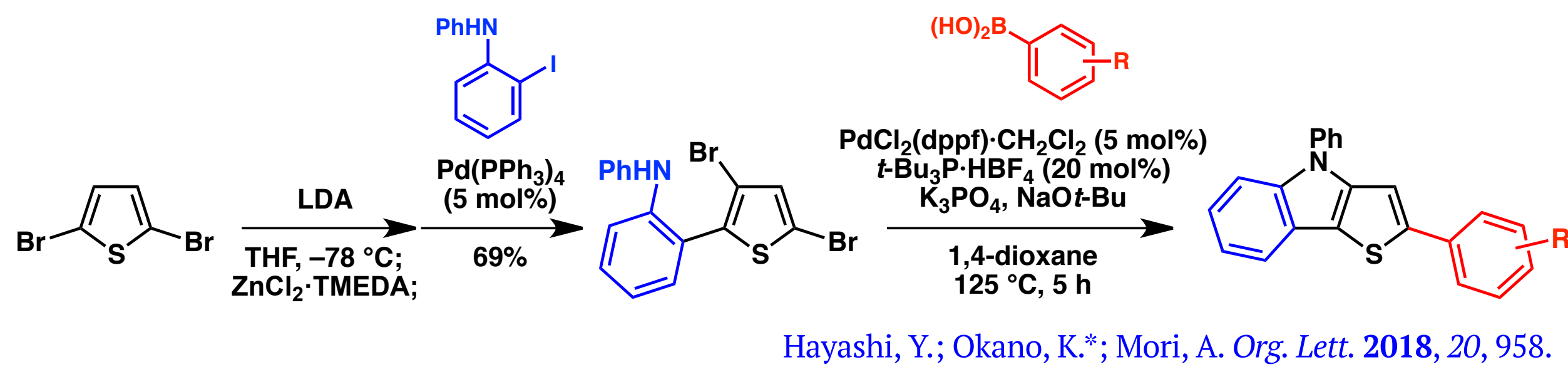
Two-pot synthesis of tetraarylated thiophenes



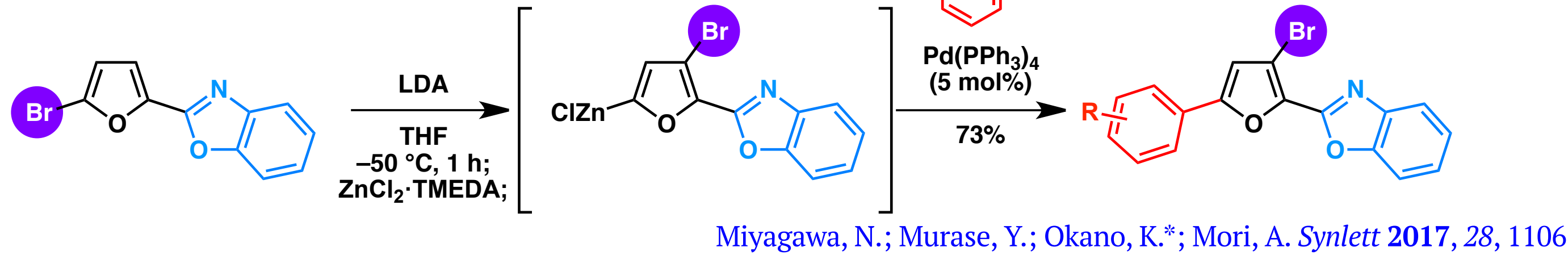
R	Yield (%)	R ¹	R	Yield (%)
H	59%	OMe	H	53%
OMe	68%	OMe	CF ₃	63%
Me	62%	OMe	CO ₂ Et	70%
CO ₂ Et	58%	Cl	H	53%
		Cl	CF ₃	57%
		Cl	NO ₂	67%
				83% (10 mmol)



Okano, K.*; Sunahara, K.; Yamane, Y.; Hayashi, Y.; Mori, A. *Chem. Eur. J.* 2016, 22, 16450.



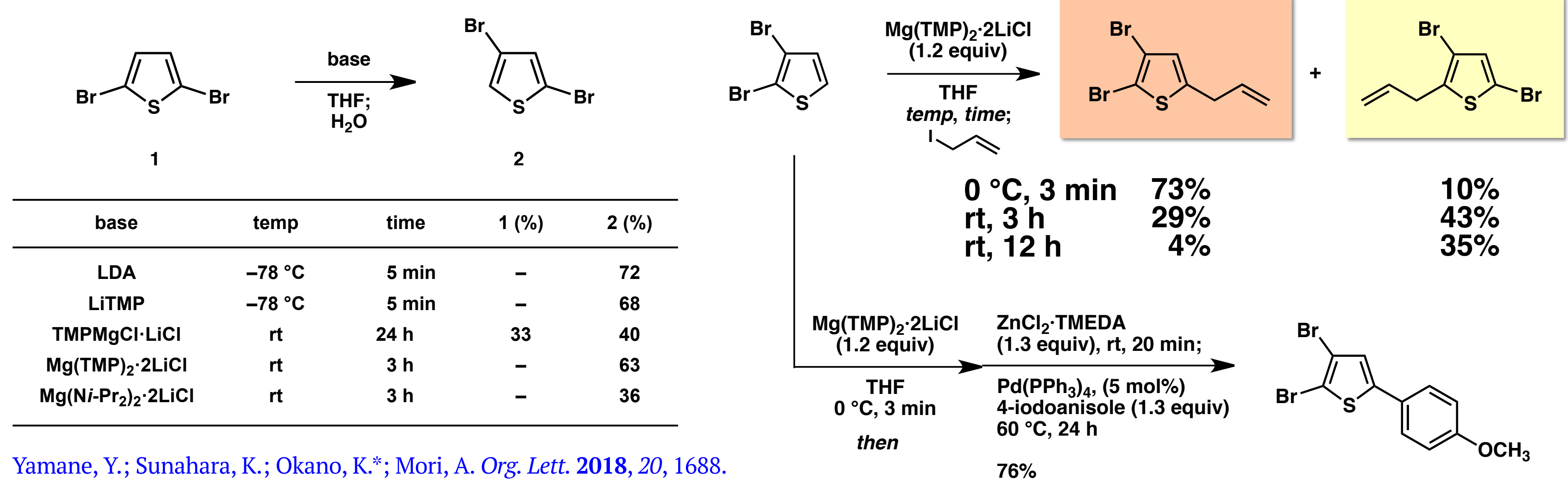
Hayashi, Y.; Okano, K.*; Mori, A. *Org. Lett.* 2018, 20, 958.



Miyagawa, N.; Murase, Y.; Okano, K.*; Mori, A. *Synlett* 2017, 28, 1106.

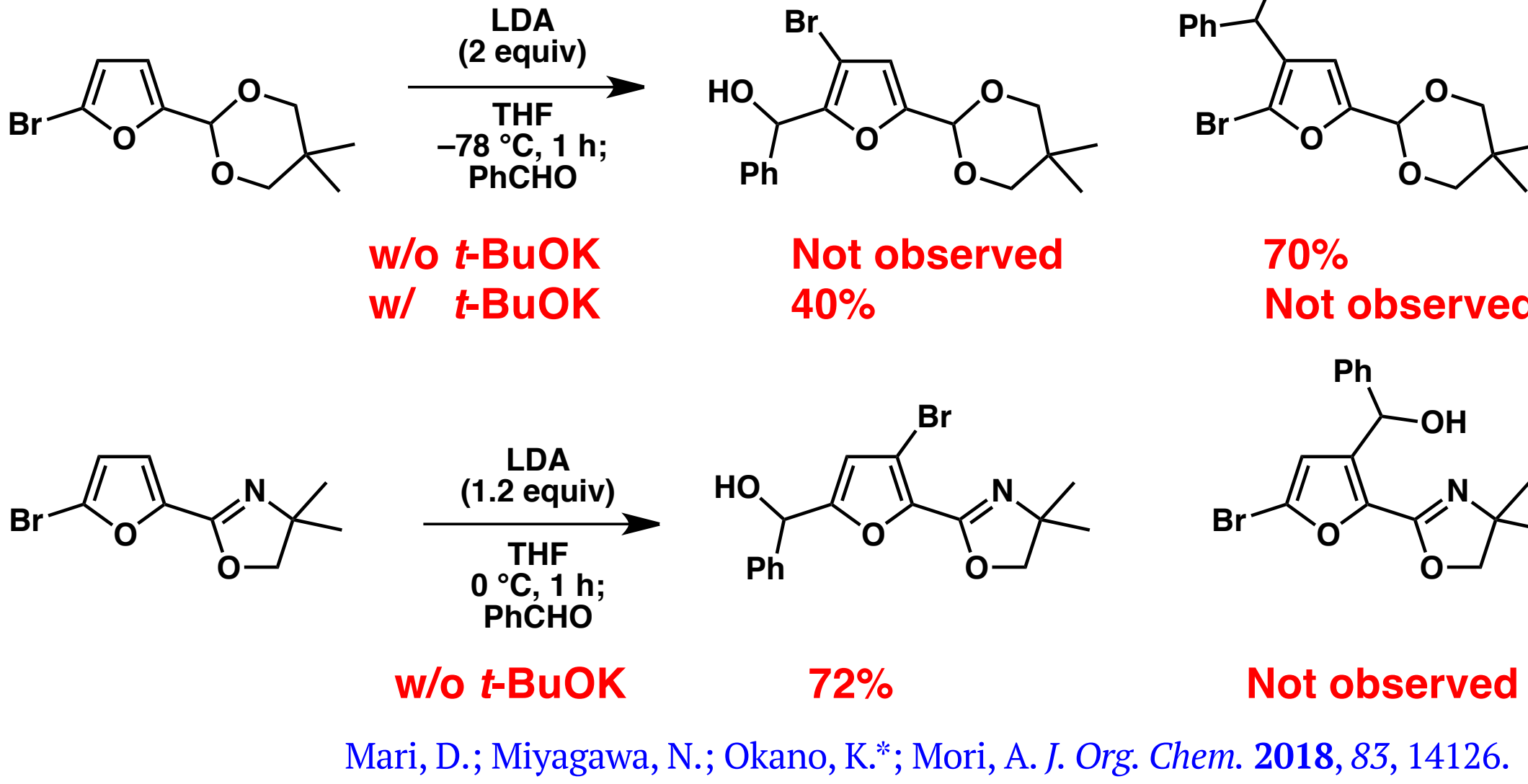
Halogen dance by magnesium amide

The first example of trapping of the short-lived anion species



Yamane, Y.; Sunahara, K.; Okano, K.*; Mori, A. *Org. Lett.* 2018, 20, 1688.

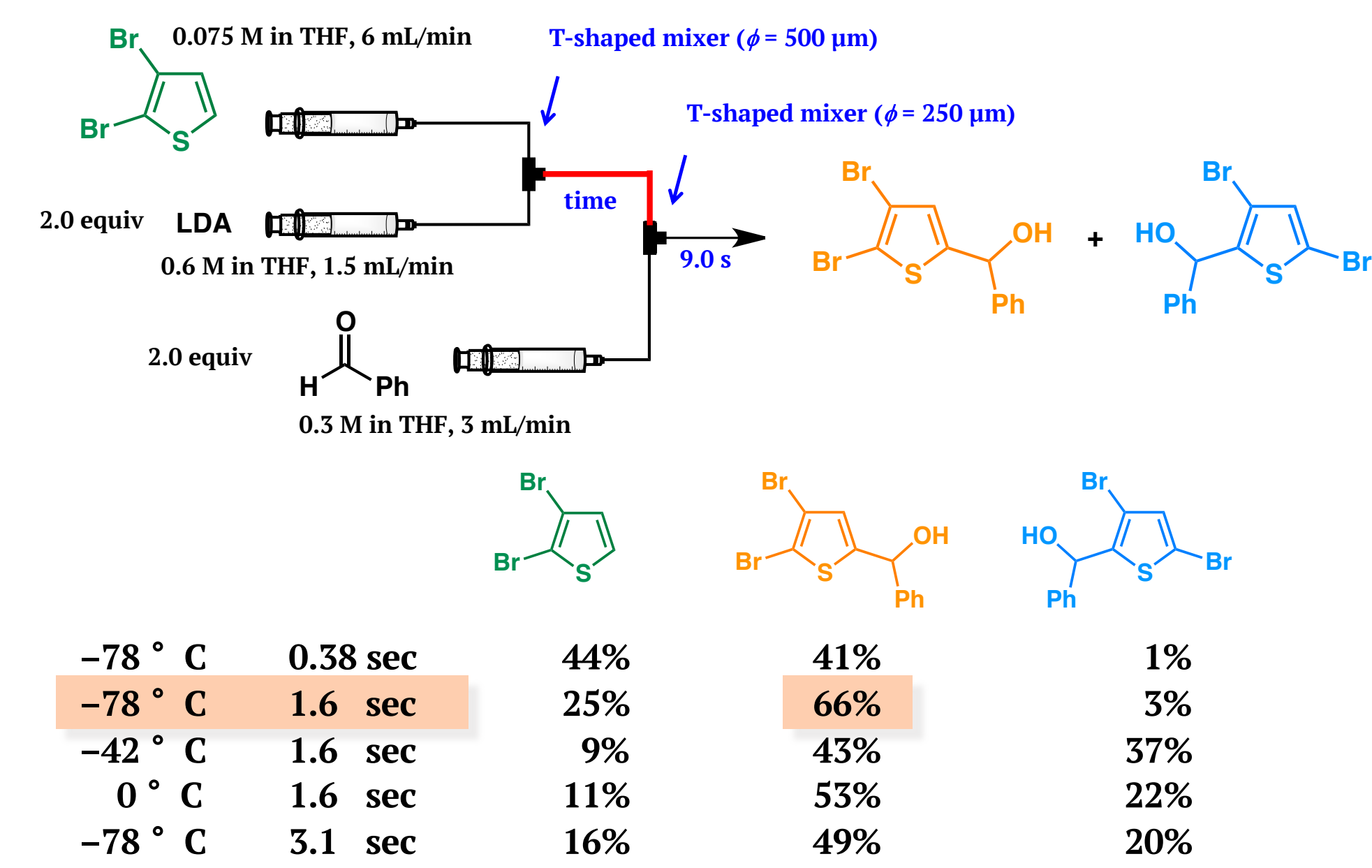
Regiocontrolled halogen dance



Mari, D.; Miyagawa, N.; Okano, K.*; Mori, A. *J. Org. Chem.* 2018, 83, 14126.

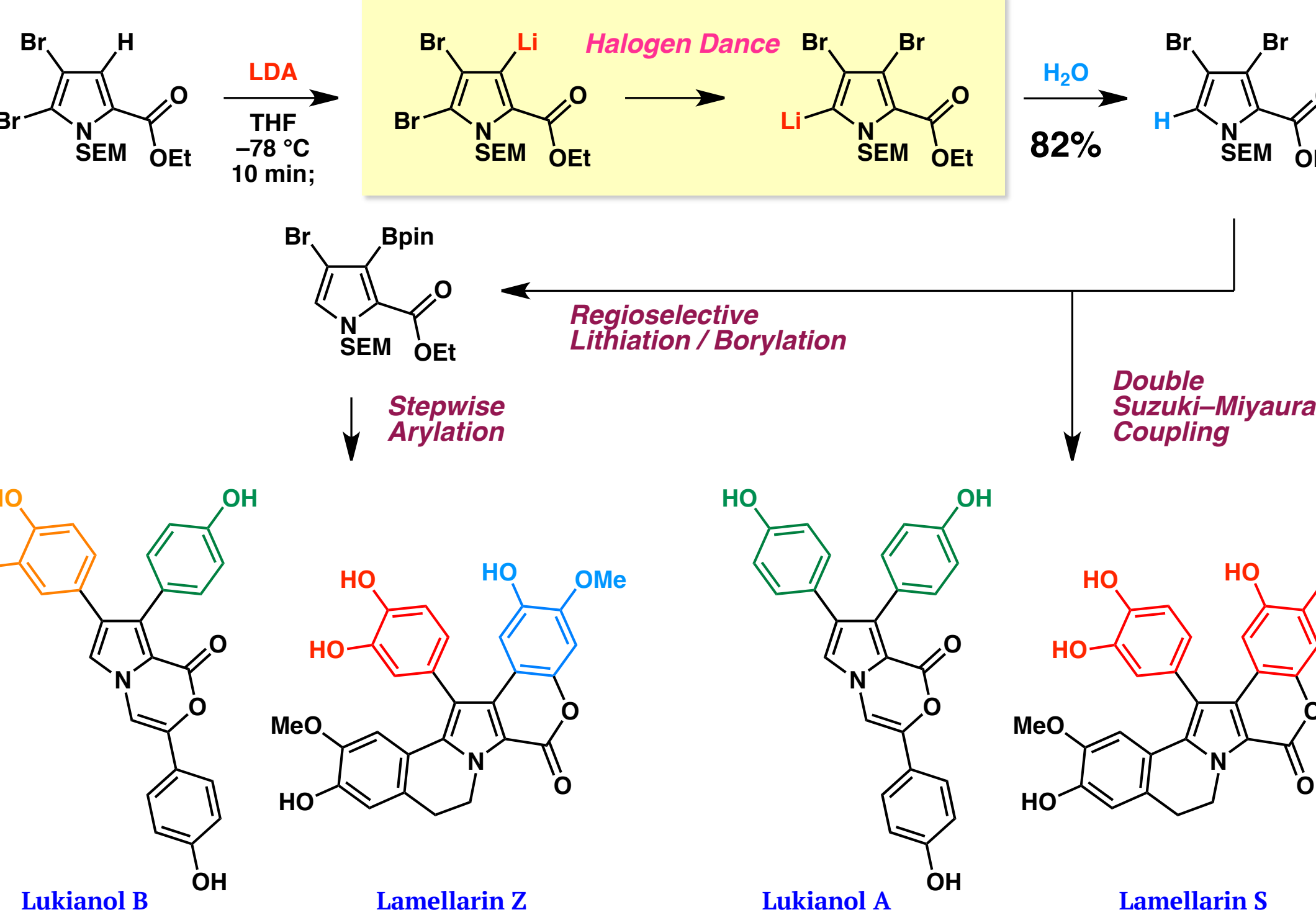
Trapping of transient thienyllithium in a flow microreactor

Collaboration with Professors Nagaki and Yoshida (Kyoto University)



Okano, K.*; Yamane, Y.; Nagaki, A.; Mori, A. *Synlett*, accepted.

Total syntheses of lamellarins by ester-promoted halogen dance



Morikawa, D.; Morii, K.; Yasuda, Y.; Mori, A.; Okano, K.* *J. Org. Chem.* 2020, 85, 8603.