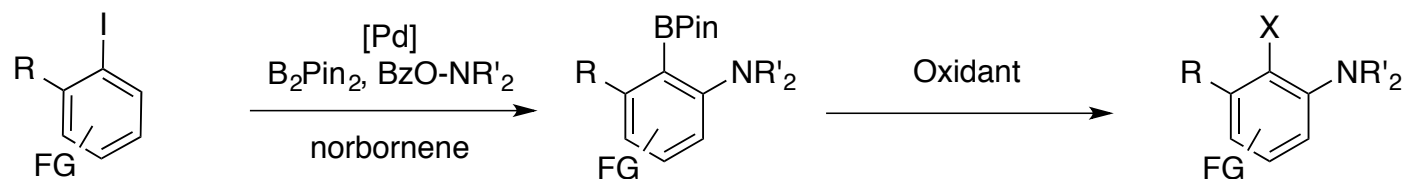
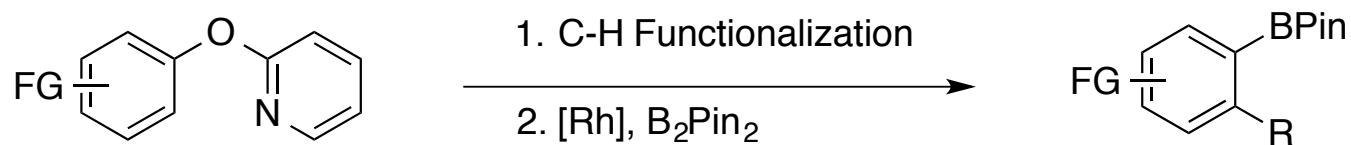
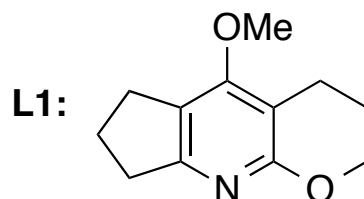
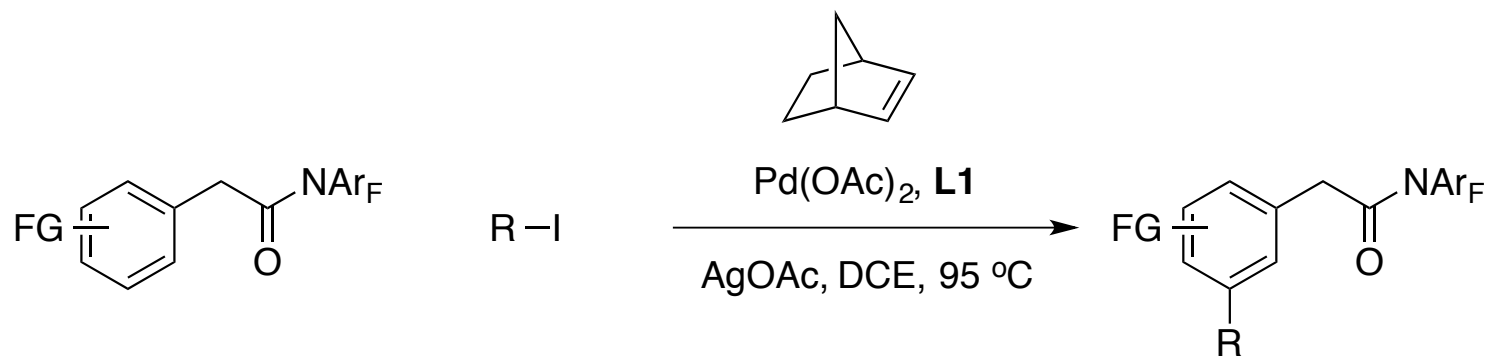


Modular C-H Functionalization Cascade of Aryl Iodides

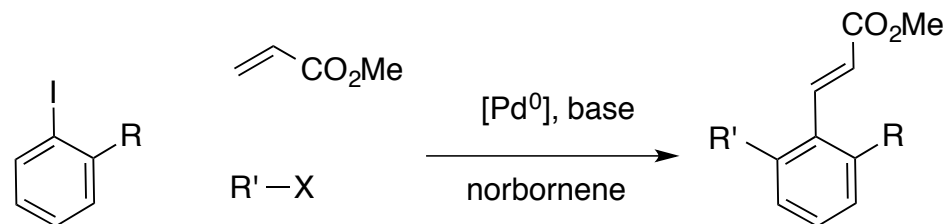
Hang Shi, David J. Babinski, and Tobias Ritter
J. Am. Chem. Soc. **2015**, *137*, 3775-3378.



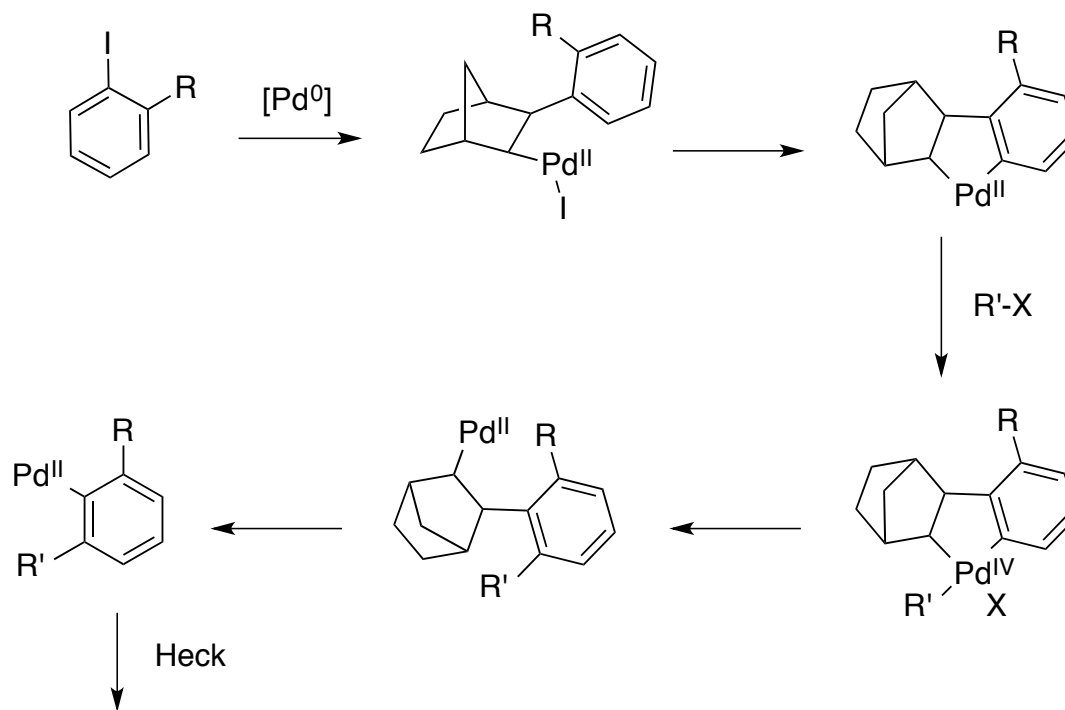
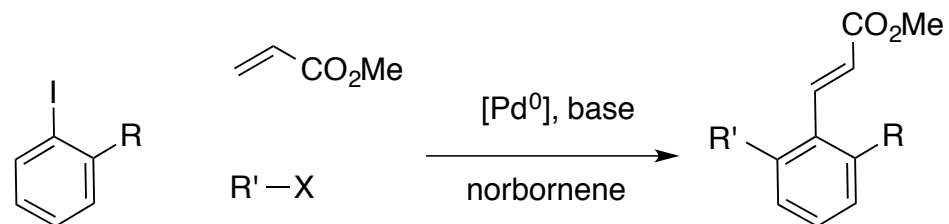
Recent Related Current Lit. Presentations



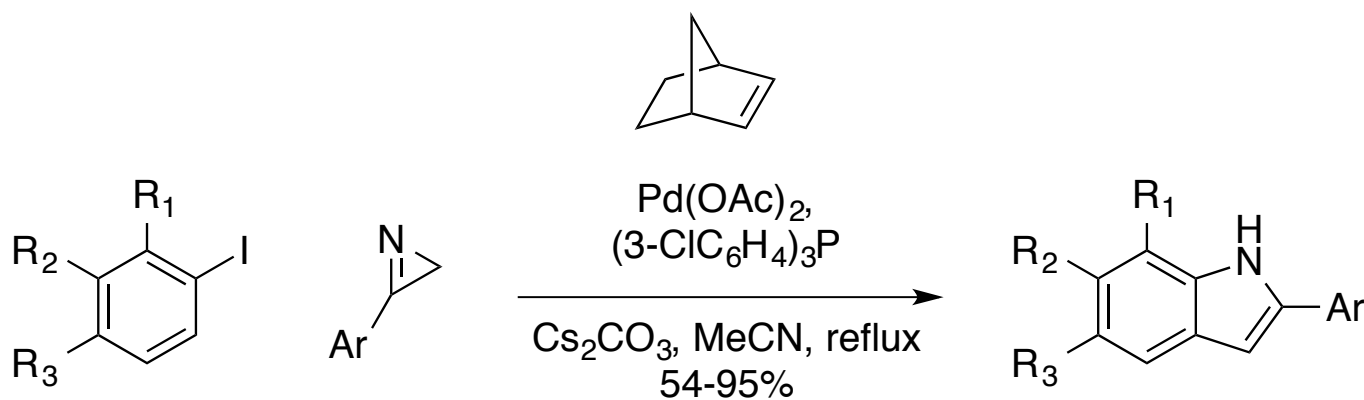
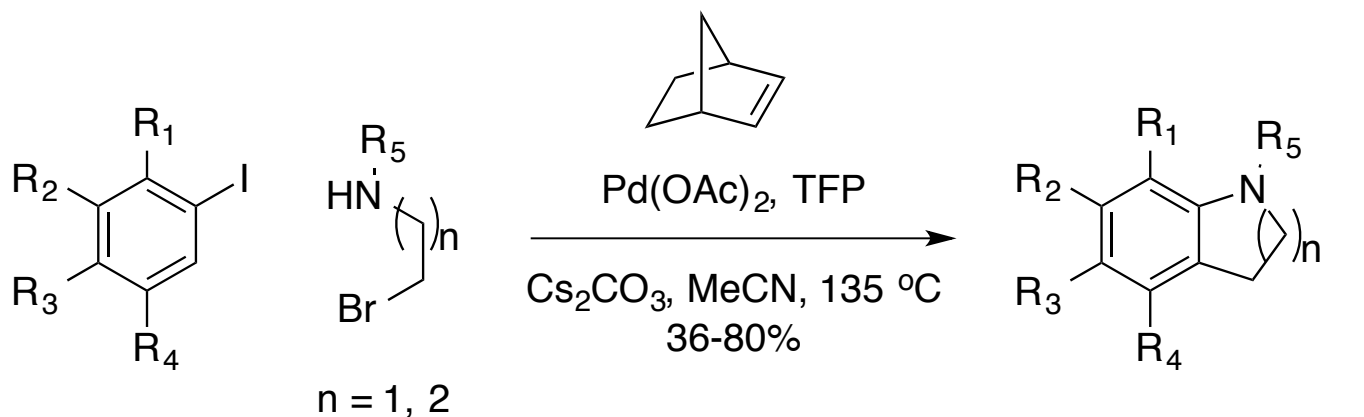
The Catellani Reaction



The Catellani Reaction

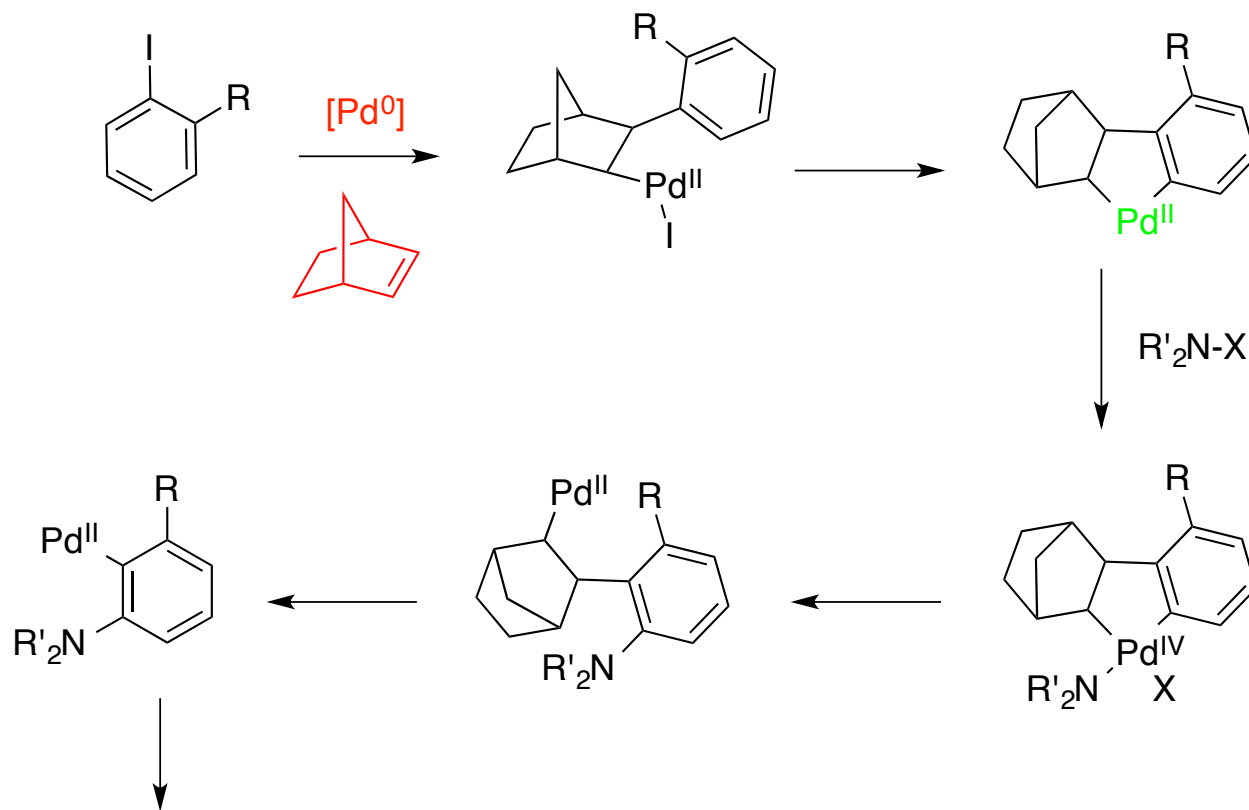


Previous *ipso* C-X Bond Formation by Catellani Reaction

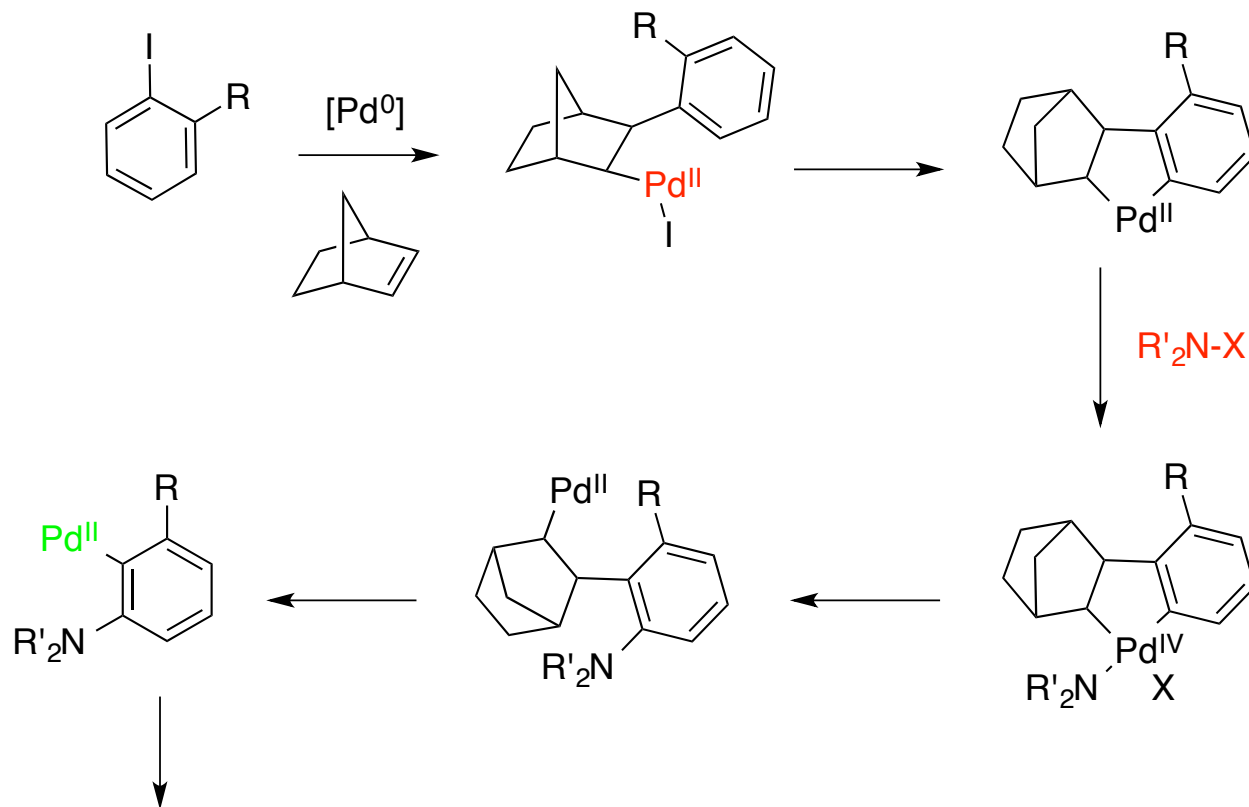


Org. Lett. **2009**, 9, 5255-5258
Org. Lett. **2010**, 12, 3312-3315

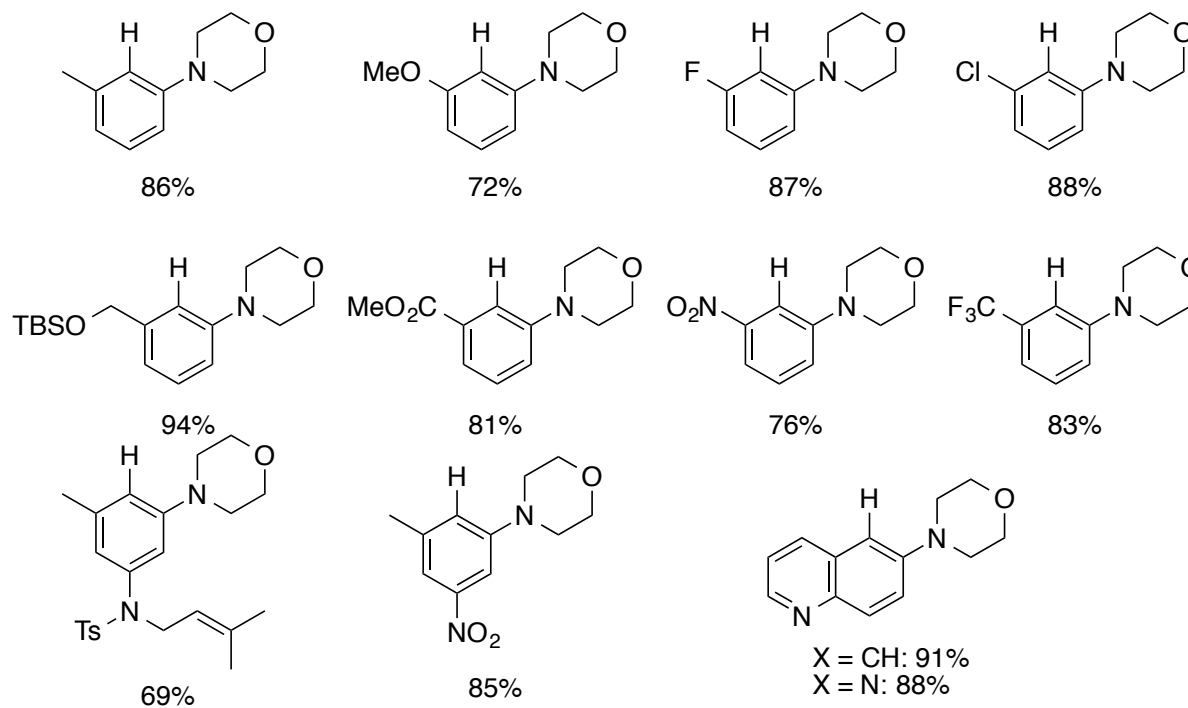
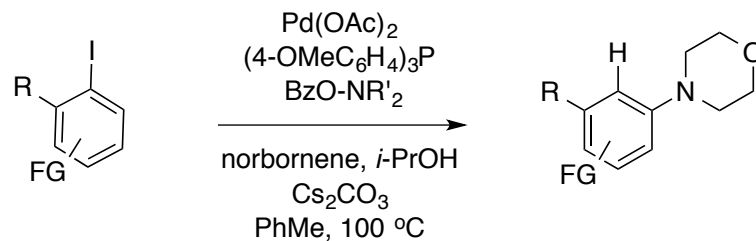
Challenges with *ortho-ipso* Functionalization



Challenges with *ortho-ipso* Functionalization

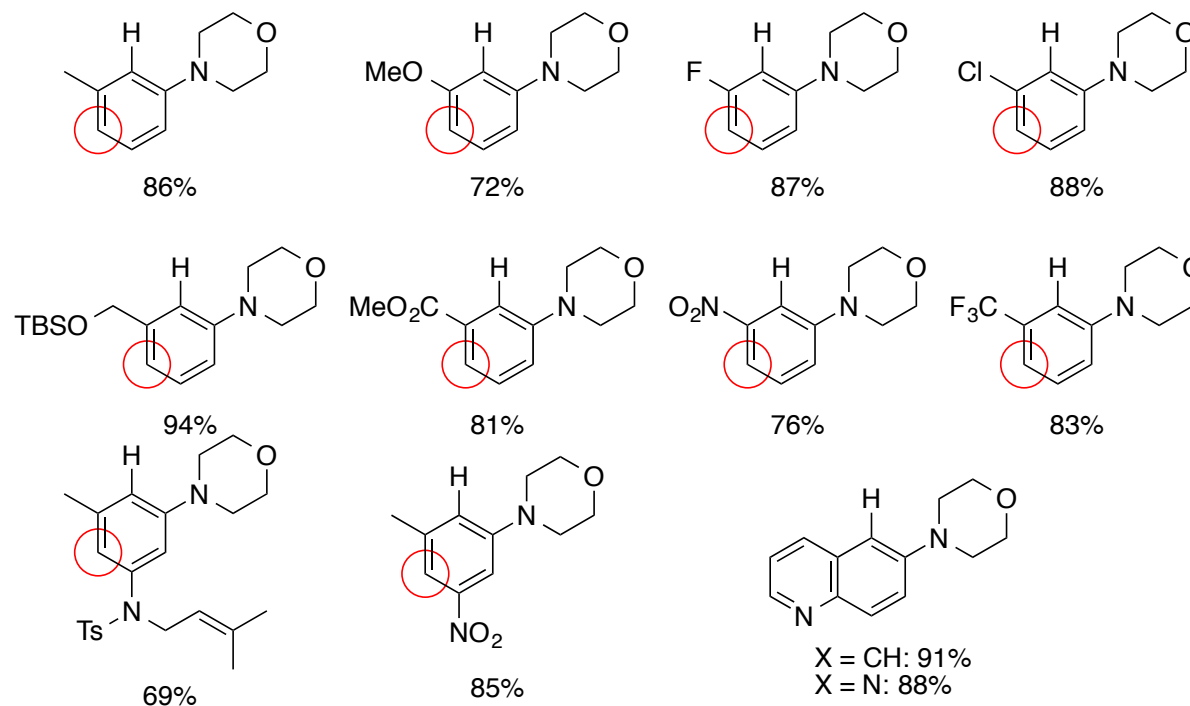
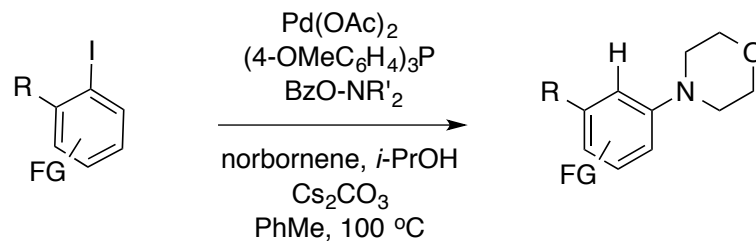


Dong's *ipso* Hydrogenation: Ar-I Scope



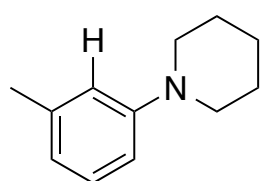
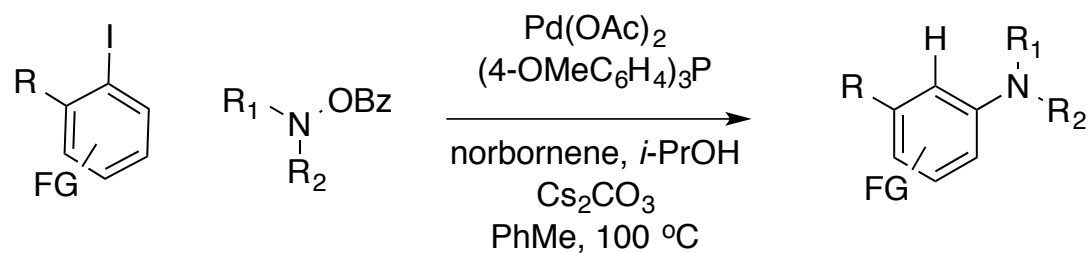
J. Am. Chem. Soc. **2013**, *135*, 1850-1853

Dong's *ipso* Hydrogenation: Ar-I Scope

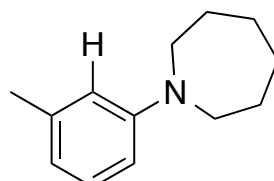


J. Am. Chem. Soc. **2013**, *135*, 1850-1853

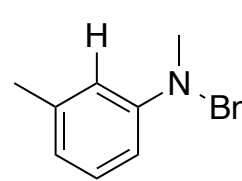
Dong's *ipso* Hydrogenation: BzO-N Scope



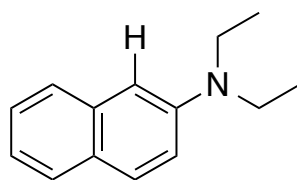
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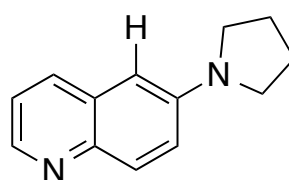
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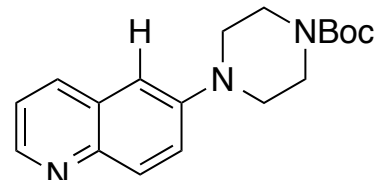
70%



55%



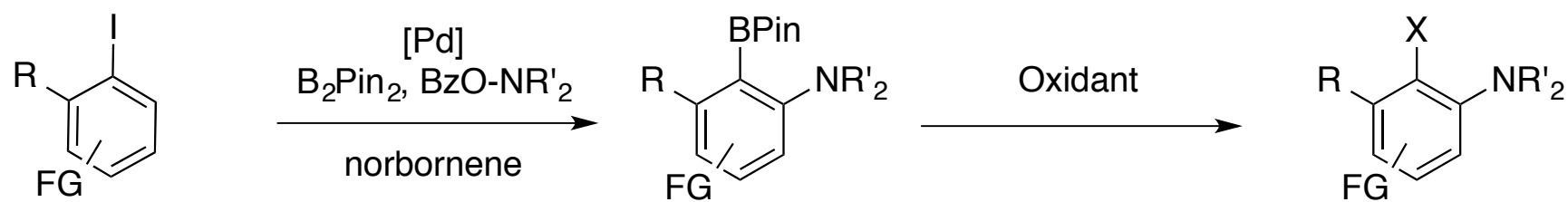
50%



99%

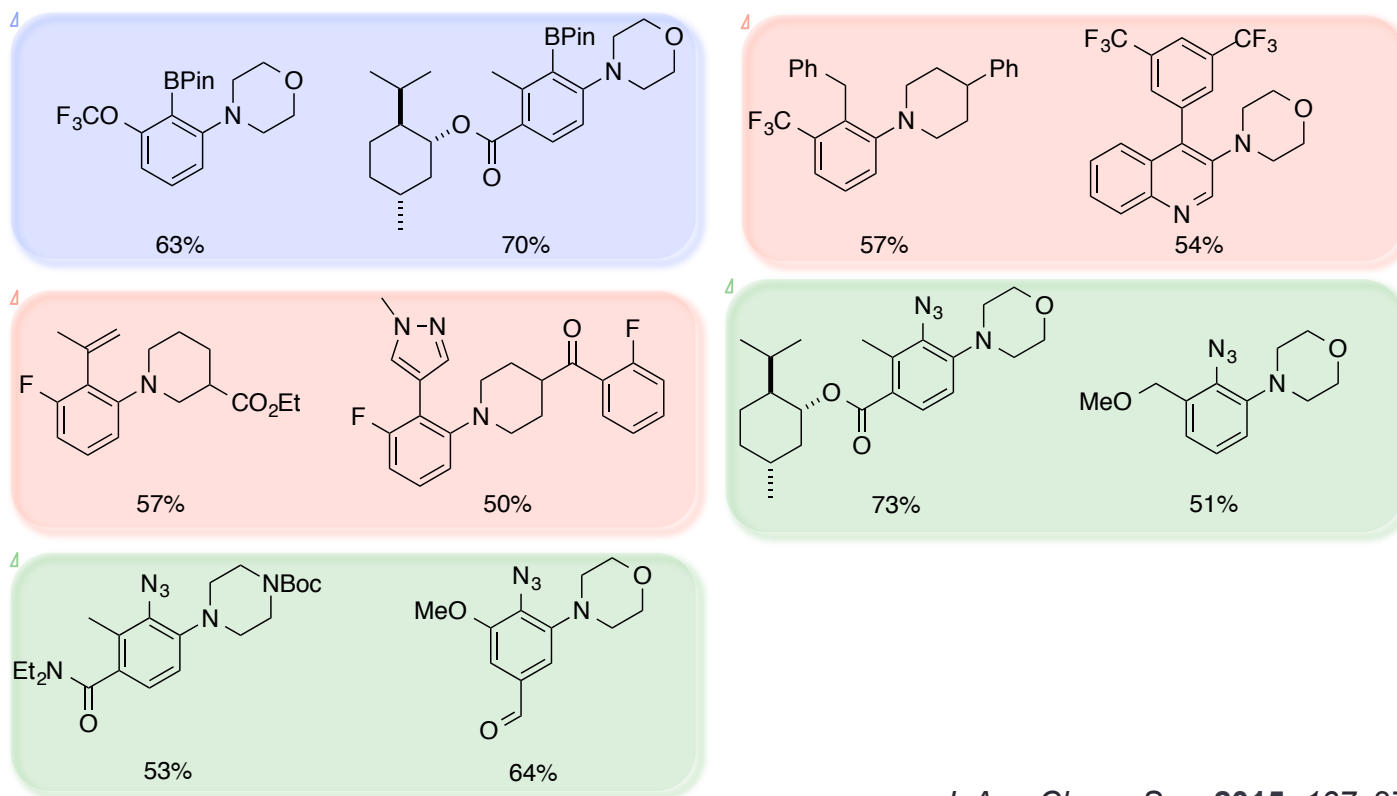
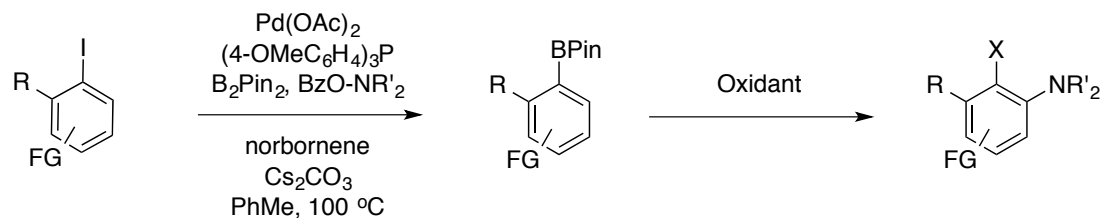
J. Am. Chem. Soc. **2013**, *135*, 1850-1853

Current Work



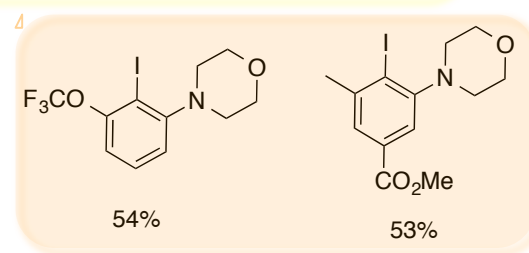
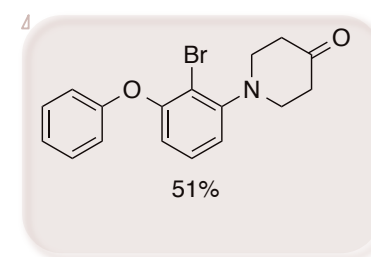
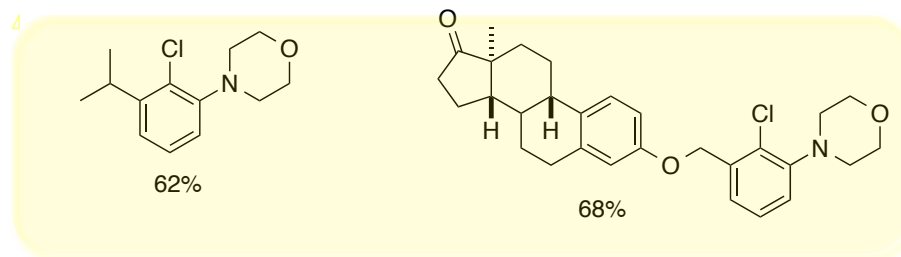
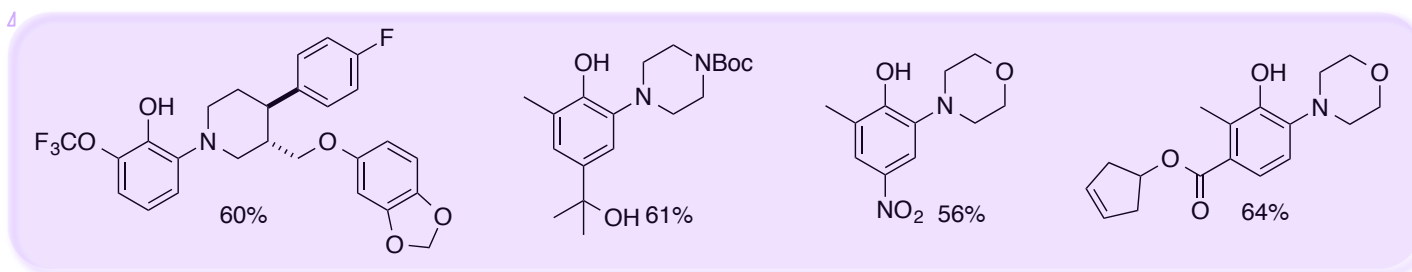
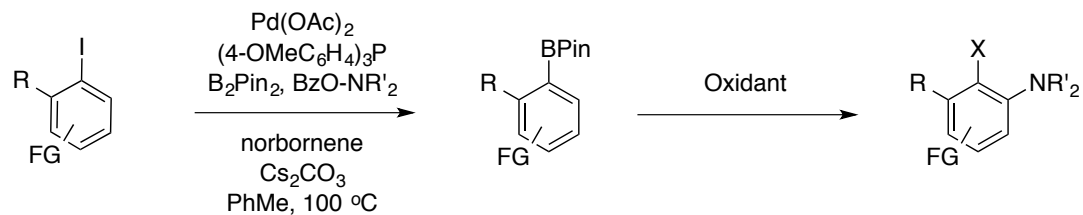
J. Am. Chem. Soc. **2015**, *137*, 3775-3778

Scope: C-B, C-C, C-N Bond Formation



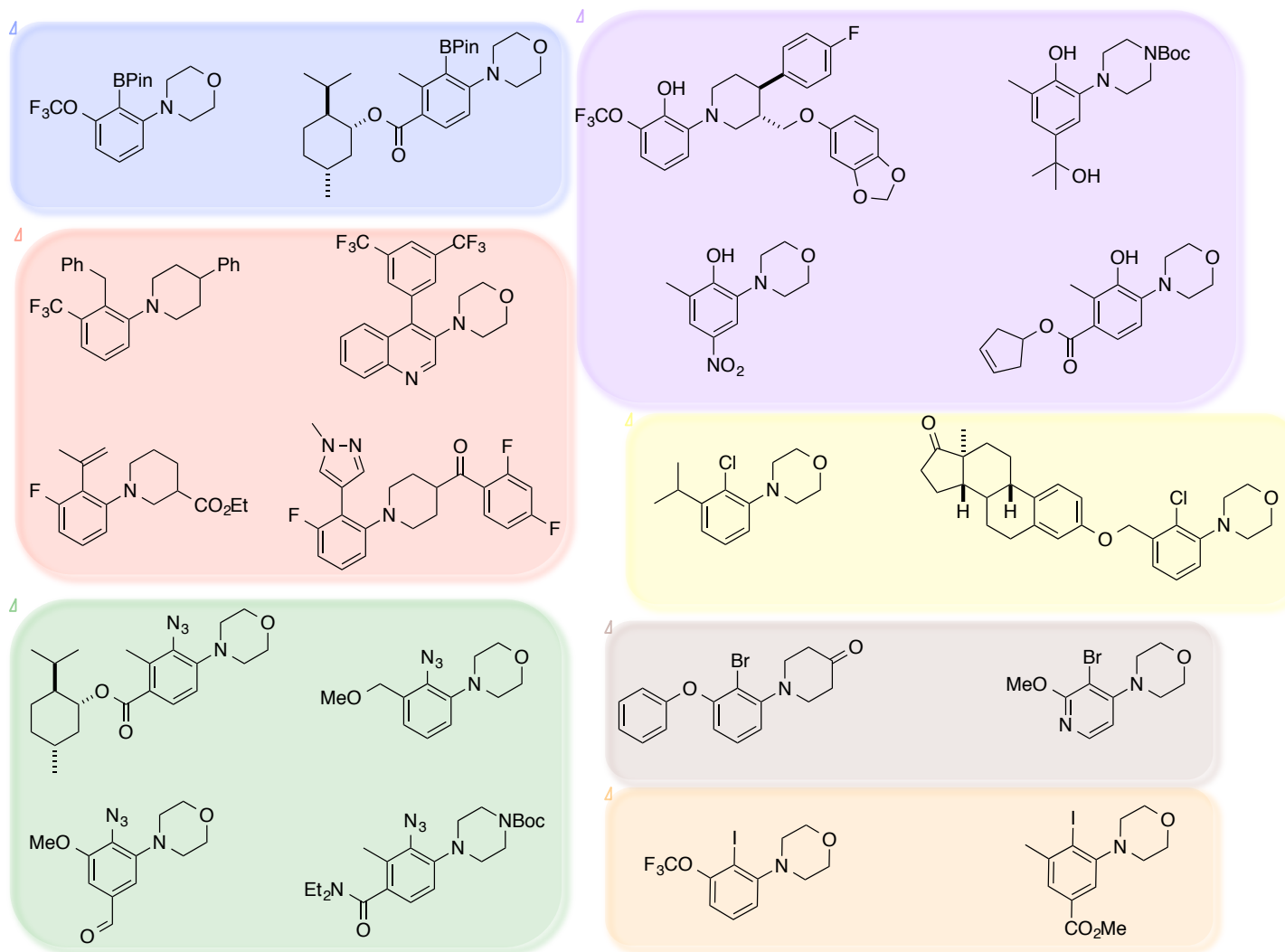
J. Am. Chem. Soc. **2015**, *137*, 3775-3778

Scope: C-O, C-Cl, C-Br, C-I Bond Formation



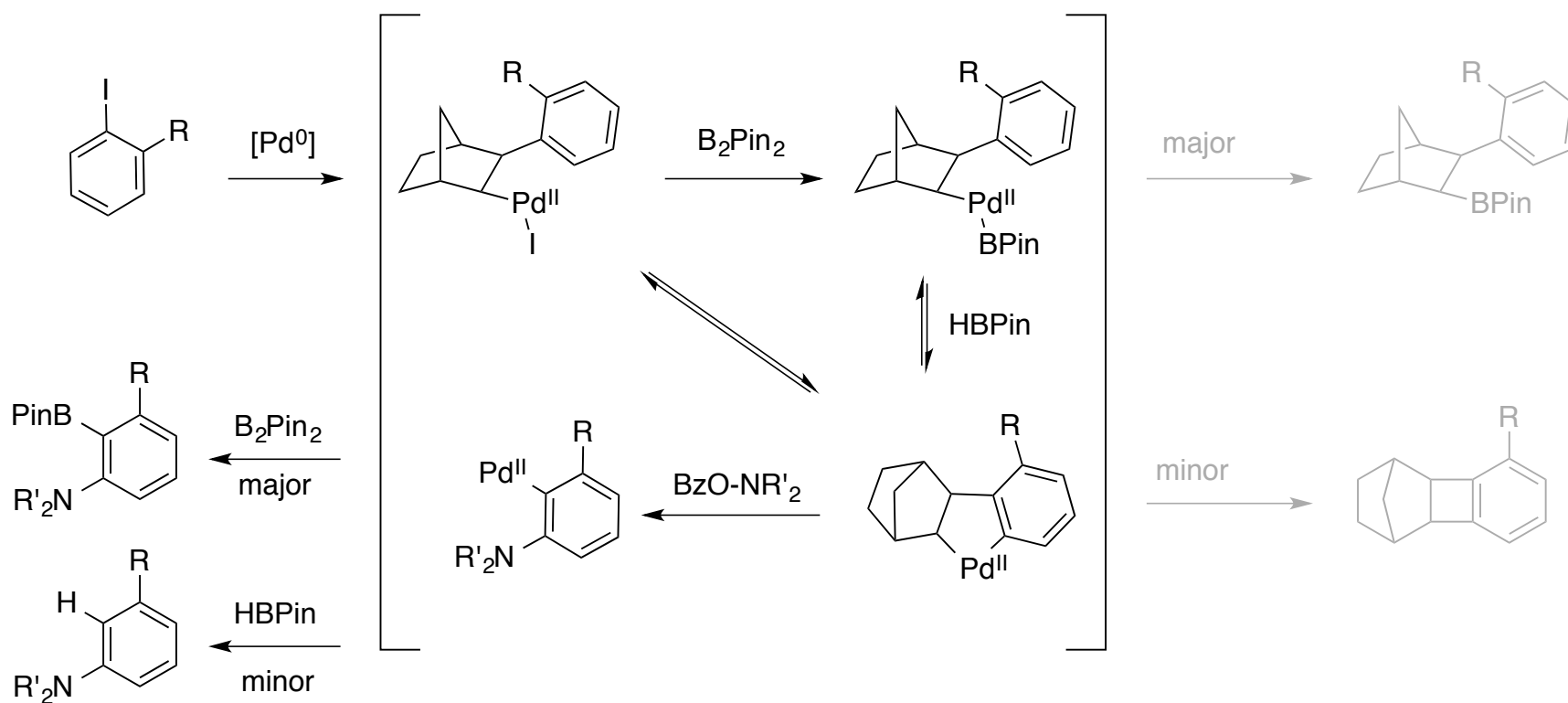
J. Am. Chem. Soc. **2015**, *137*, 3775-3778

Comparison



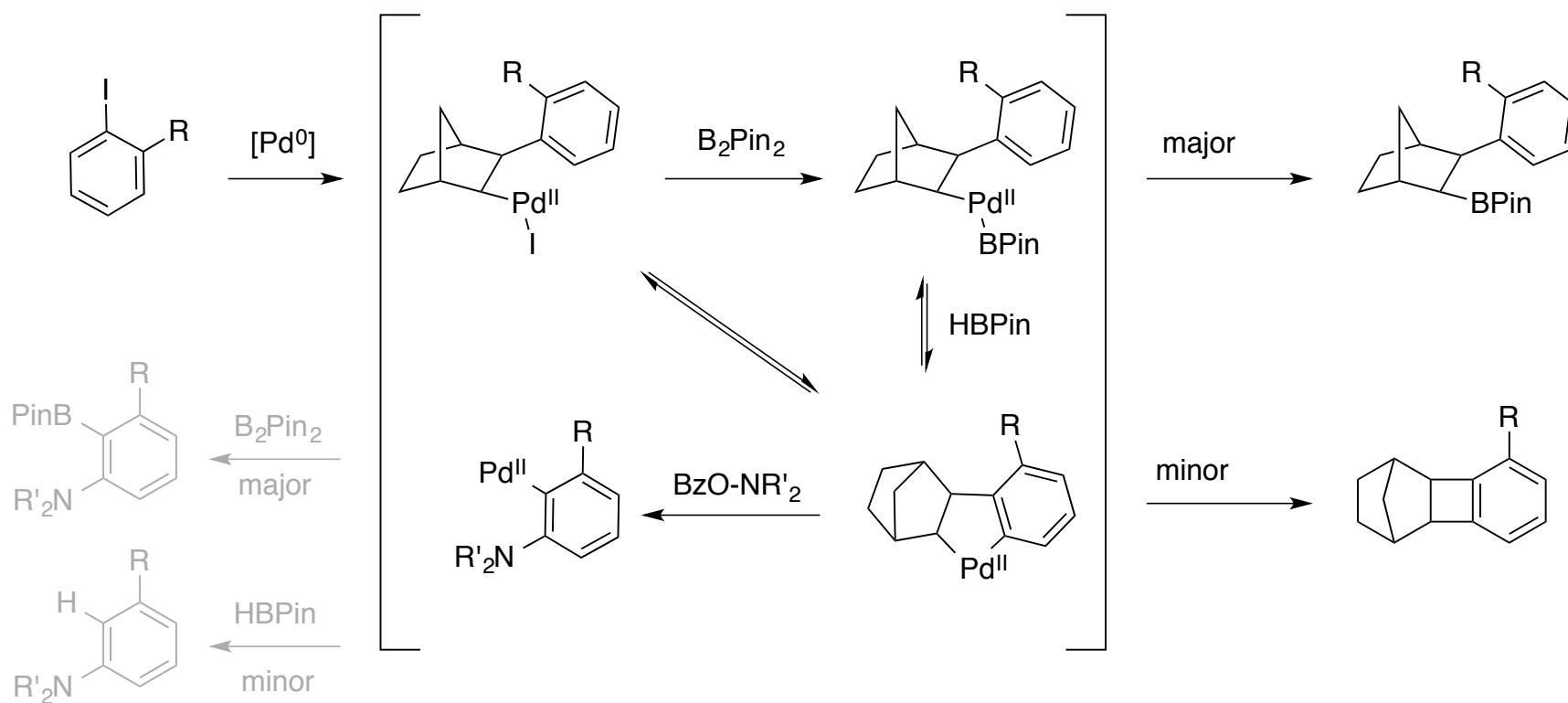
J. Am. Chem. Soc. **2015**, *137*, 3775-3778

Mechanism



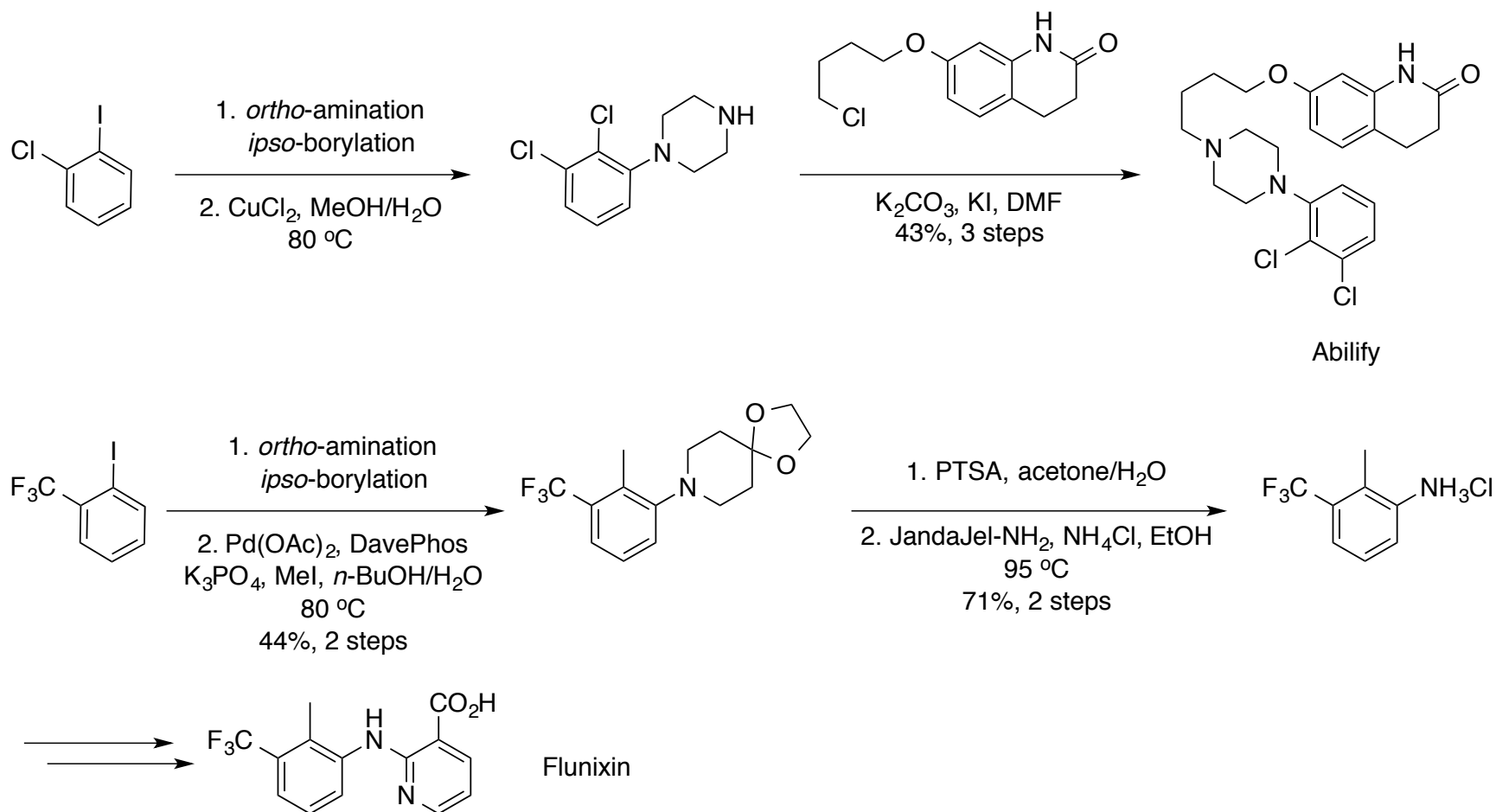
J. Am. Chem. Soc. **2015**, *137*, 3775-3778

Mechanism



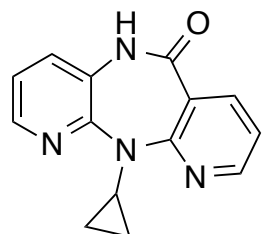
J. Am. Chem. Soc. **2015**, *137*, 3775-3778

Applications: Abilify and Flunixin

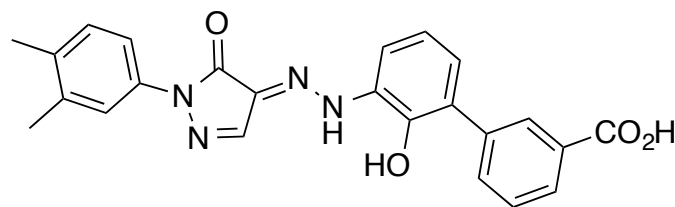


J. Am. Chem. Soc. **2015**, *137*, 3775-3778

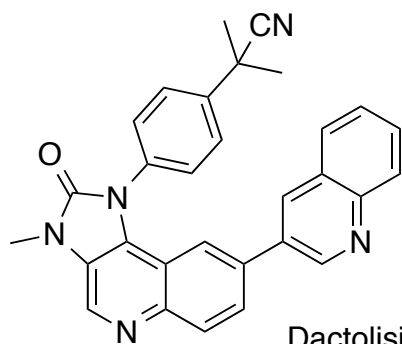
Pharmaceuticals with 2,3 Disubstituted Anilines



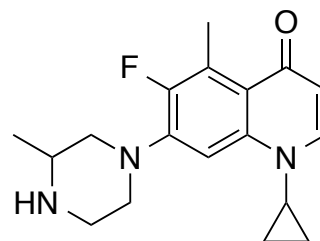
ViraMune



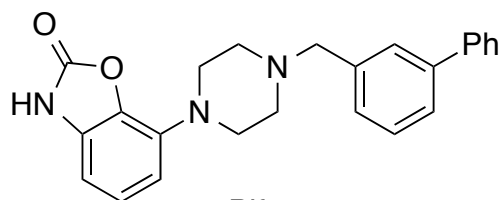
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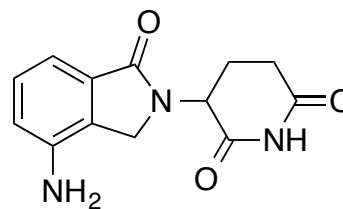
Dactolisib



Grepafloxacin



Bifeprunox



Revlimid

J. Am. Chem. Soc. **2015**, *137*, 3775-3778

Conclusions

- *Ortho*-amination/*ipso*-borylation and subsequent functionalization has been developed
- Currently linear and five membered amines are not compatible