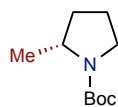
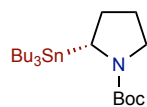


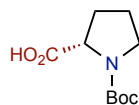
71%, 94% ee



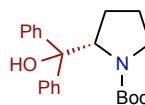
76%, 96% ee



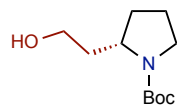
70%, 94% ee



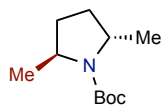
55%, 88% ee



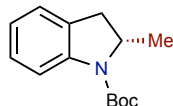
75%, 90% ee



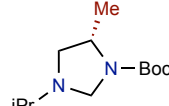
83%, 82% ee



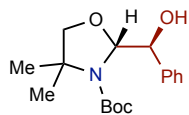
50%, 92:8 d.r.



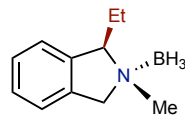
52%, 96% ee



44%, 84% ee

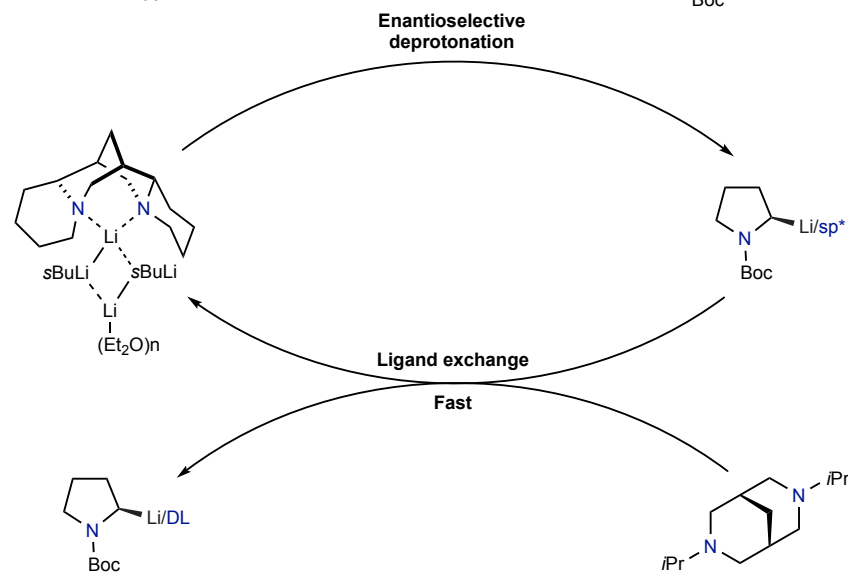
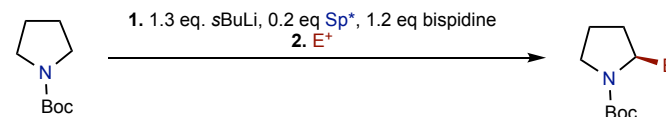


71%, 86% ee
9:1 d.r.



71%, 76% ee
10:1 d.r.

Catalytic in sparteine:



Asymmetric deprotonation \gg racemic deprotonation

Advantages:

1-step synthesis of functionalized cyclic amines

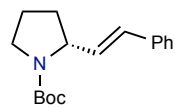
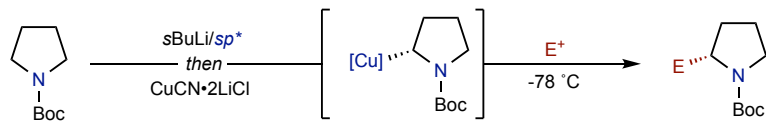
Available and convenient Boc-amines

Challenges:

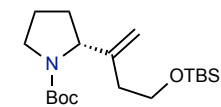
α-aminocarbanions are not configurationally stable above -50 °C

Reactive electrophiles required for good yields and e.e.

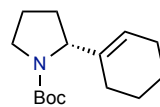
α-aminocuprates:



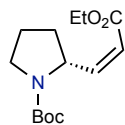
85%, 80% ee



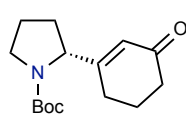
84%, 88% ee



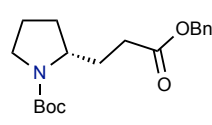
65%, 88% ee



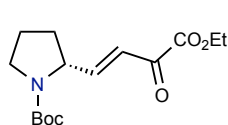
89%, 90% ee



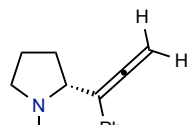
79%, 60% ee



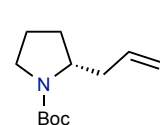
95%, 0% ee



56%, 44% ee



57%, 30% ee



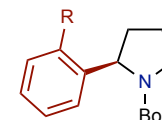
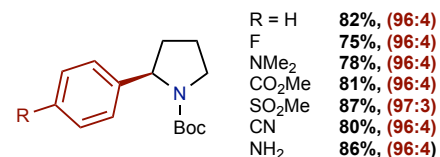
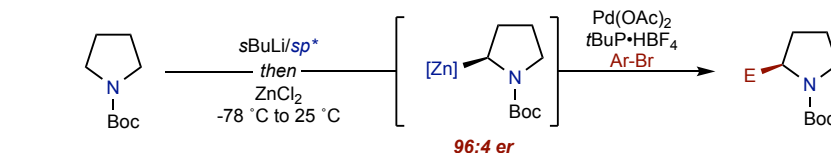
100%, 78% ee

Transmetalation to copper increases reactivity of
α-aminocarbanions:

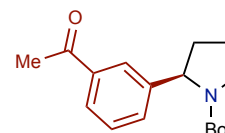
Expanded scope to more electrophiles

Less reactive electrophiles gave poor enantioselectivity

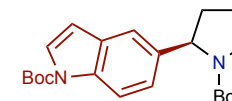
α-aminozincates:



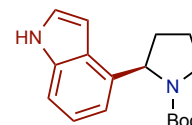
R = Me 71%, (96:4)
OMe 72%, (96:4)



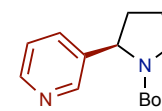
78%, (96:4)



81%, (96:4)



77%, (96:4)



60%, (96:4)

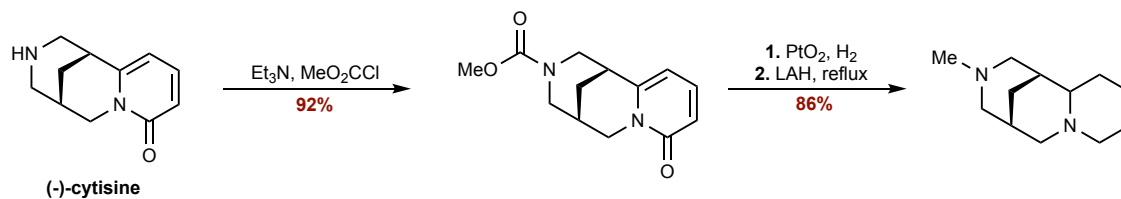
α-aminozincates are configurationally stable,
even at room temperature

Transmetalation to palladium intercepts cross-coupling
intermediates

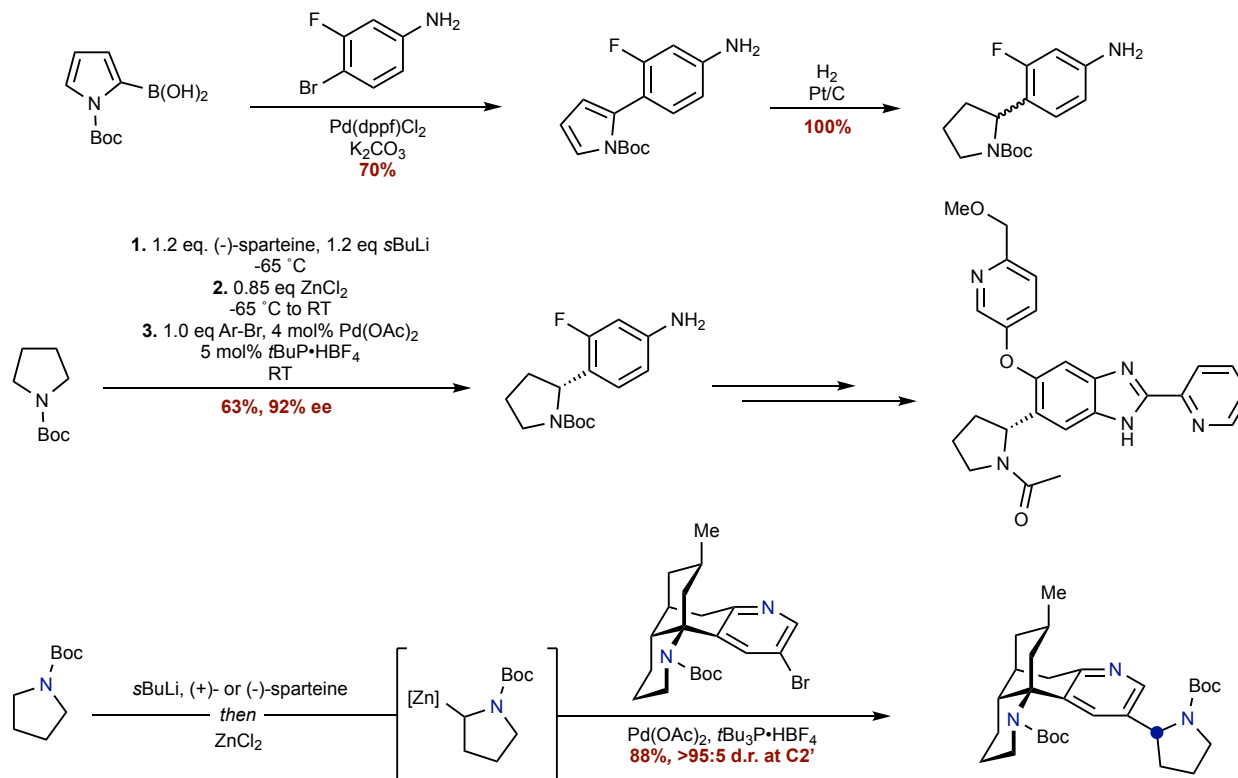
Scope further expanded to electron rich and electron
poor aryl bromides!

Acidic functionality tolerated

(+)-sparteine Surrogate:



Applications in Synthesis



Chem. Soc. Rev., 2007, 36, 1069, <https://doi.org/10.1039/B607547A>

Org. Synth. 1997, 74, 23 <https://doi.org/10.15227/orgsyn.074.0023>