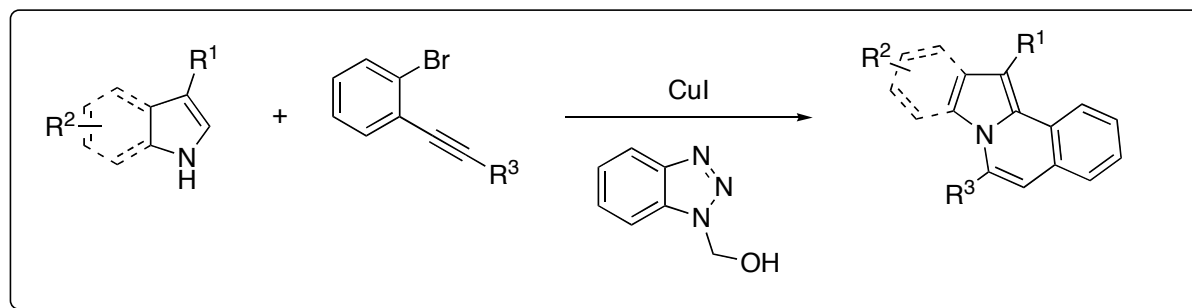


A Copper-Catalyzed Tandem Synthesis of Indolo- and Pyrrolo[2,1-a]isoquinolines

Verma, A. K.; Kesharwani, T.; Singh, J.; Tandon, V.; Larock, R. C. *Angew. Chem. Int. Ed.* **2008**,

Early View



Eric E. Buck
Current Literature
January 17, 2009



Hantzsch-Widman nomenclature of polycyclic systems

Rules

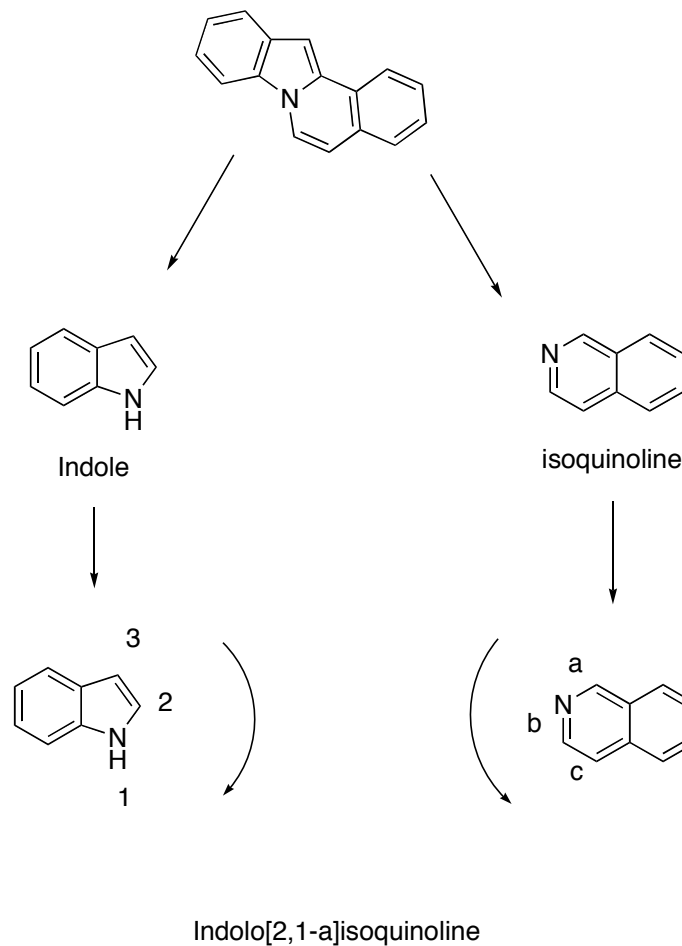
Break into components

Determine the base component

- contains nitrogen
- contains a different hetero atom
- # of rings
- Size of rings
- # of heteroatoms
- ...

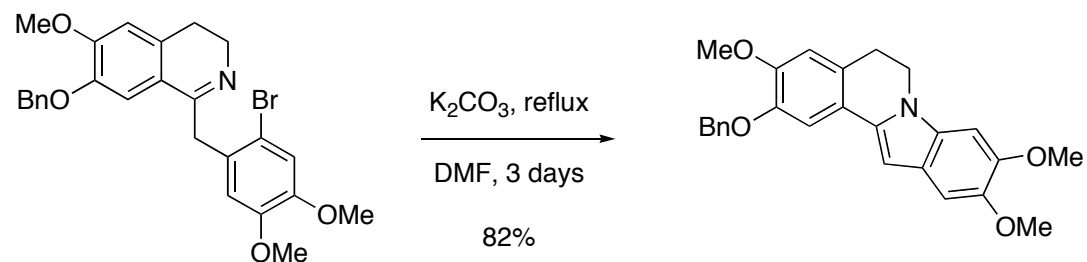
Letter the base component bonds

Number the fused ring

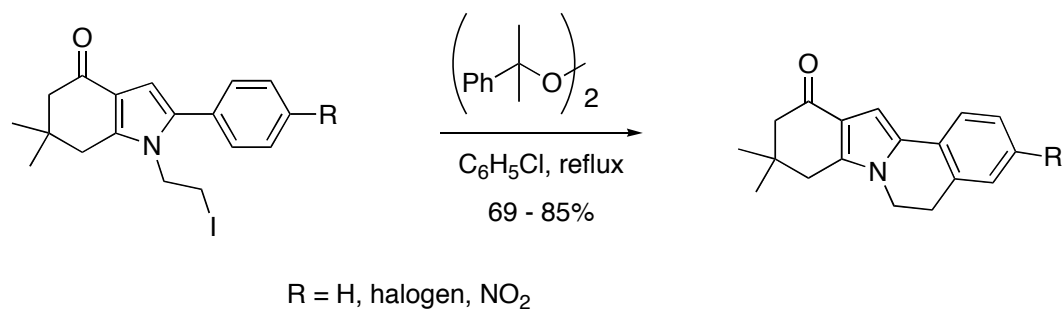


The Chemistry of Heterocycles, 2nd. Ed. Eicher, T.; Hauptmann, S. Thieme, **2003**, 9 - 10

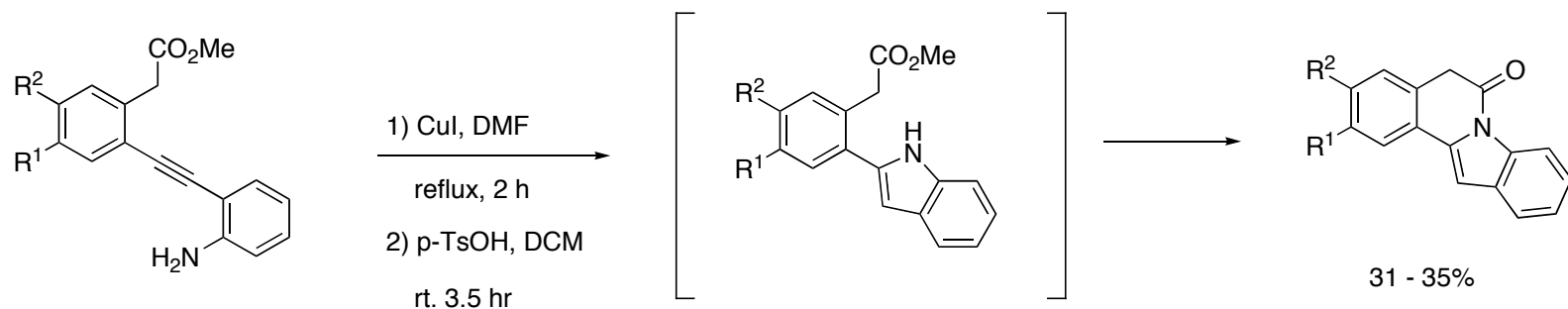
Previous Methods for construction



Orito, K.; Harada, R.; Uchiito, S.; Tokuda, M. *Org. Lett.* **2000**, 2, 1799 - 1801

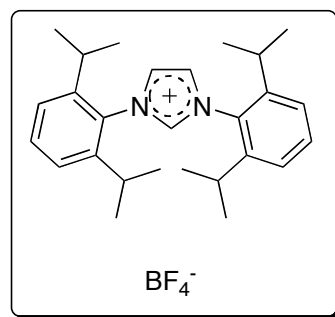
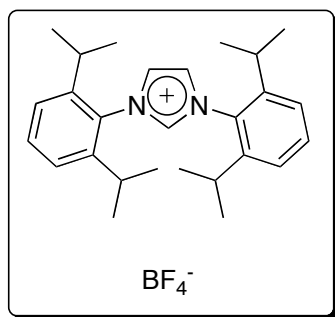
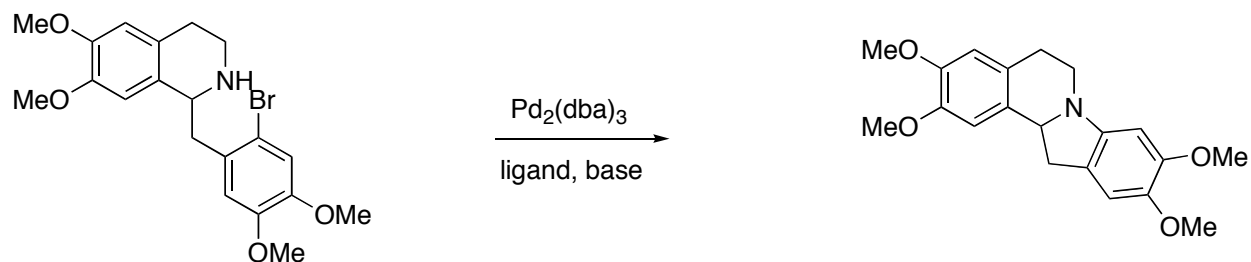


Arzate, M. M.; Martnez, R.; Almanza, R. C.; Muchowski, J. M.; Osornio, Y. M.; Miranda, L. D. *J. Org. Chem.* **2004**, 69, 4001 - 4004



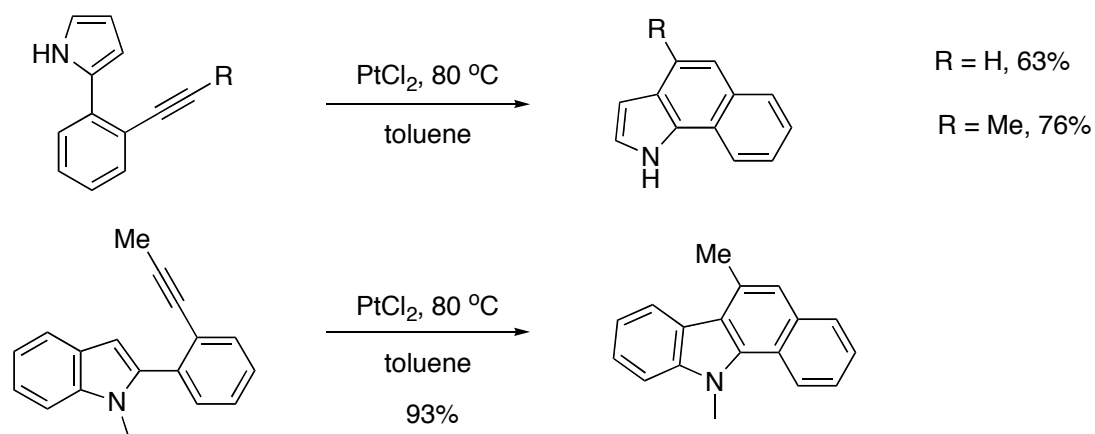
Reboredo, F. J.; Treus, M.; Estevez, J. C.; Castedo, L.; Estevez, R. J. *Synlett* **2003**, 11, 1603 - 1606

Previous Methods for construction



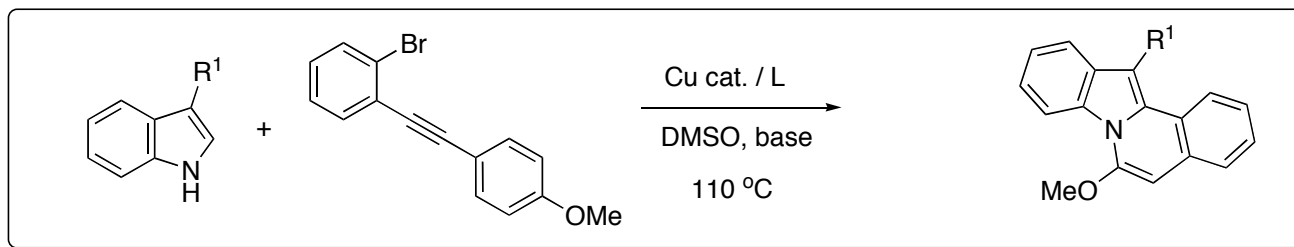
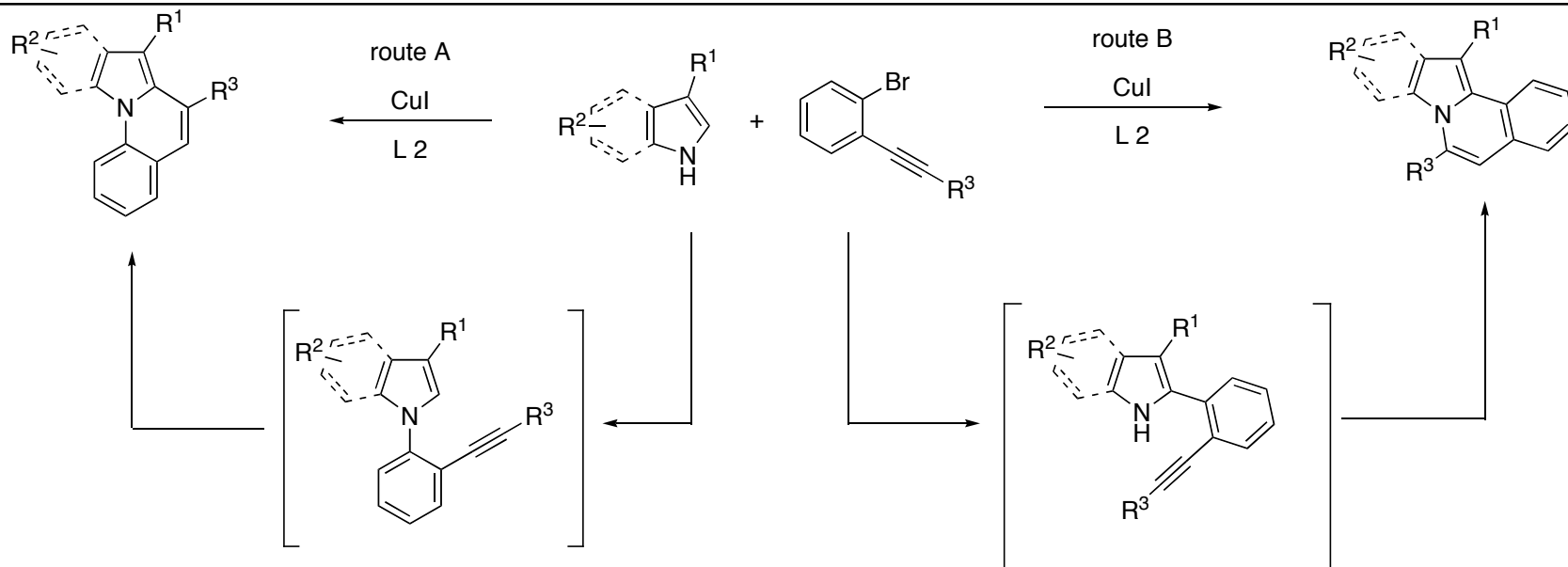
ligand	base	solvent	yield
PPh ₃	^t BuONa	toluene	0
IPr	^t BuONa	DME	60
IPr	^t BuONa	toluene	78
SIPr	^t BuONa	toluene	85

Vincze, Z.; Biro, A. B.; Csekei, M.; Timari, G.; Kotschy, A. *Synthesis*, **2006**, 8, 1375 - 1385

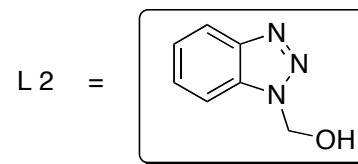


Mamane, V.; Hannen, P.; Furstner, A. *Chem. Eur. J.* **2004**, 10, 4556 - 4575

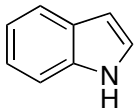
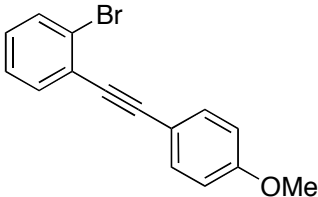
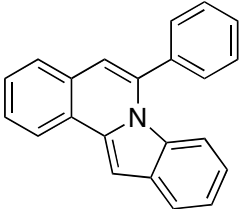
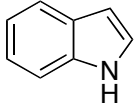
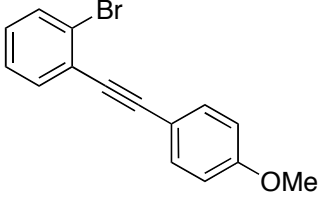
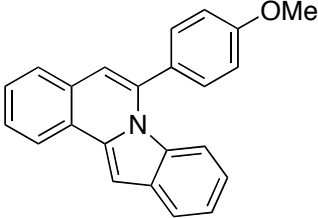
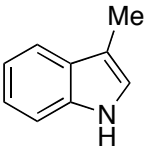
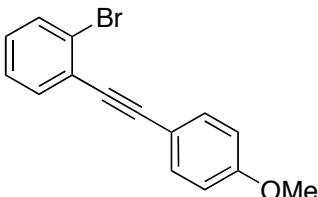
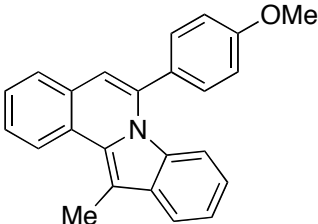
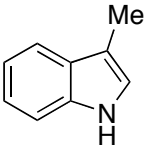
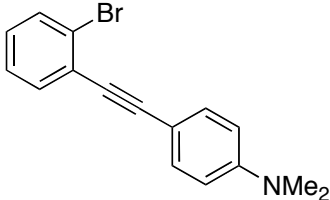
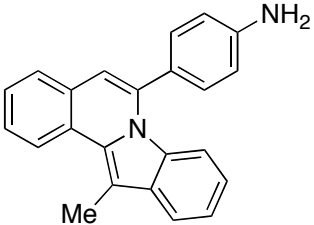
Title paper: The design and optimization



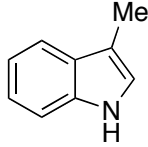
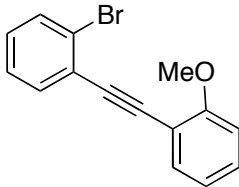
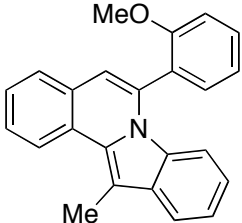
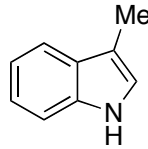
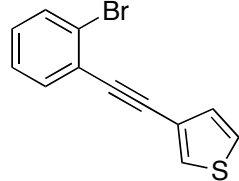
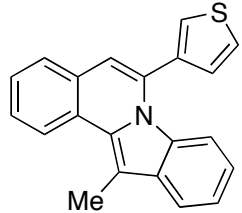
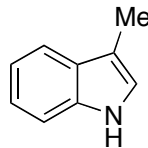
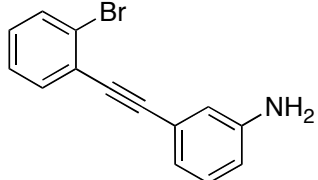
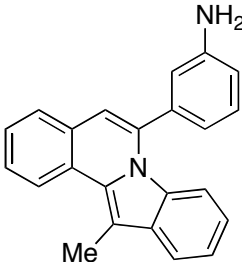
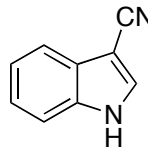
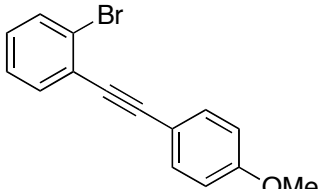
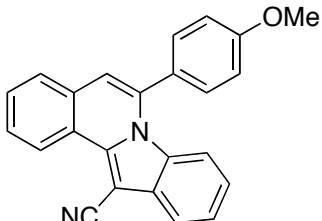
Cu cat. (mol %)	L (mol %)	Base	t [h]	yield
CuI (5)	L2 (10)	KO ^t Bu	24	80
CuI (2.5)	L2 (5)	KO ^t Bu	36	42
CuCl (5)	L2 (10)	KO ^t Bu	36	39
Cu(Br)	L2 (10)	KO ^t Bu	36	45
Cu(OAc) ₂	L2 (10)	KO ^t Bu	24	48



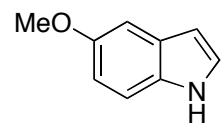
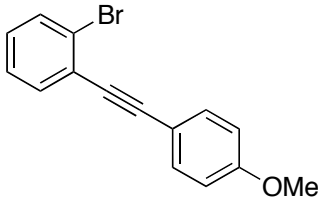
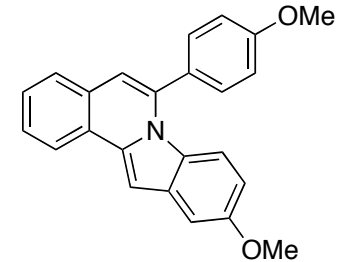
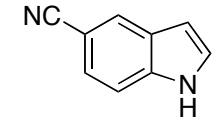
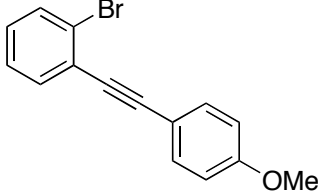
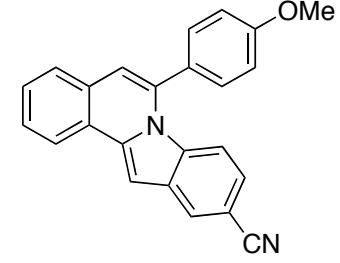

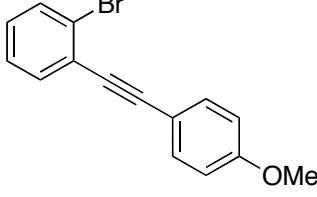
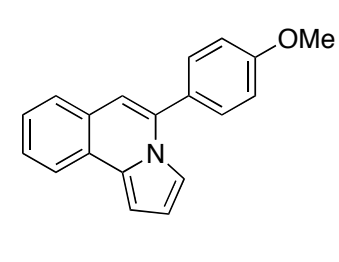
Title paper: Scope of reaction

Heterocycle	Alkyne	Product	yield [%]
			62
			76
			82
			72

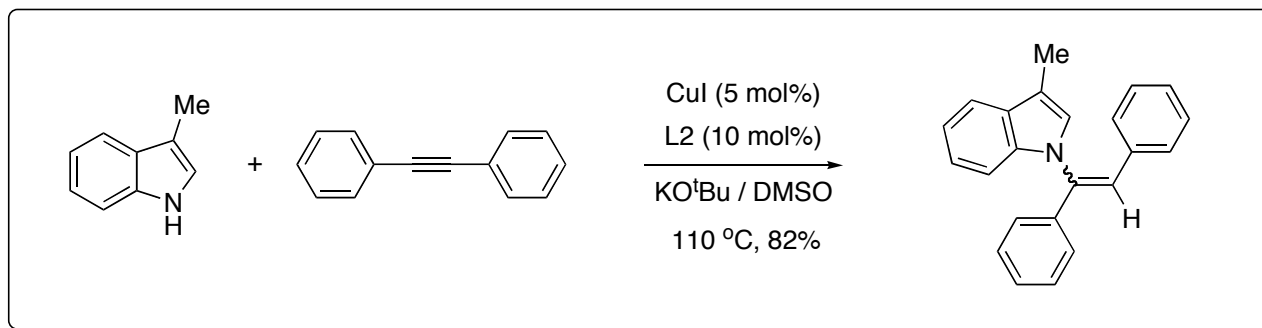
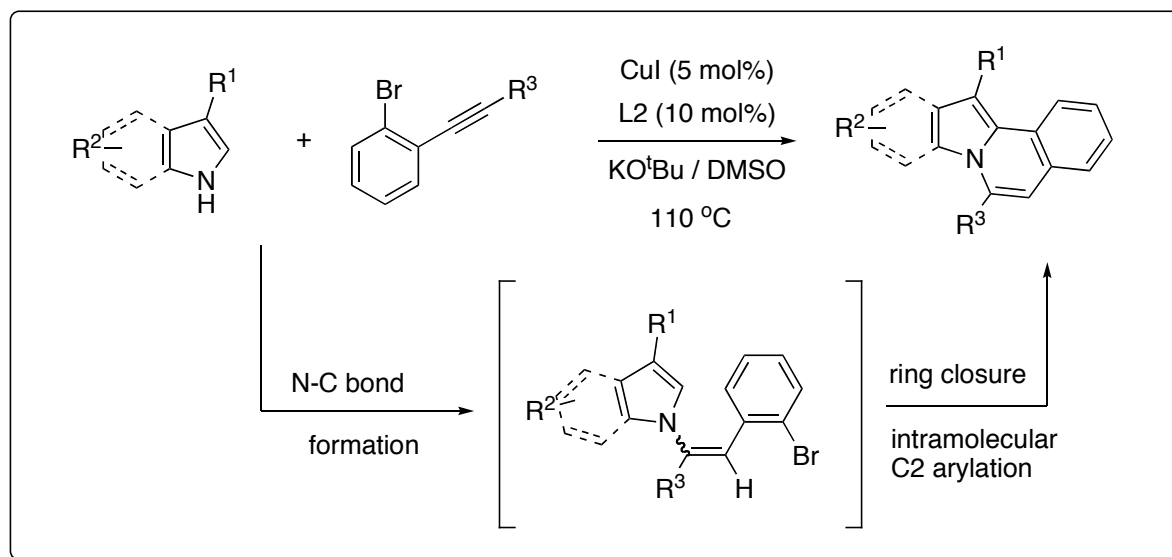
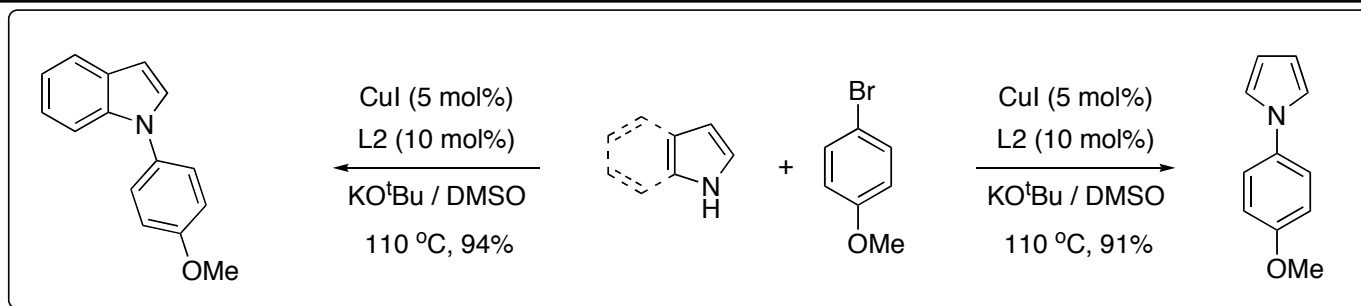
Title paper: Scope of reaction

Heterocycle	Alkyne	Product	yield [%]
			-
			80
			53
			-

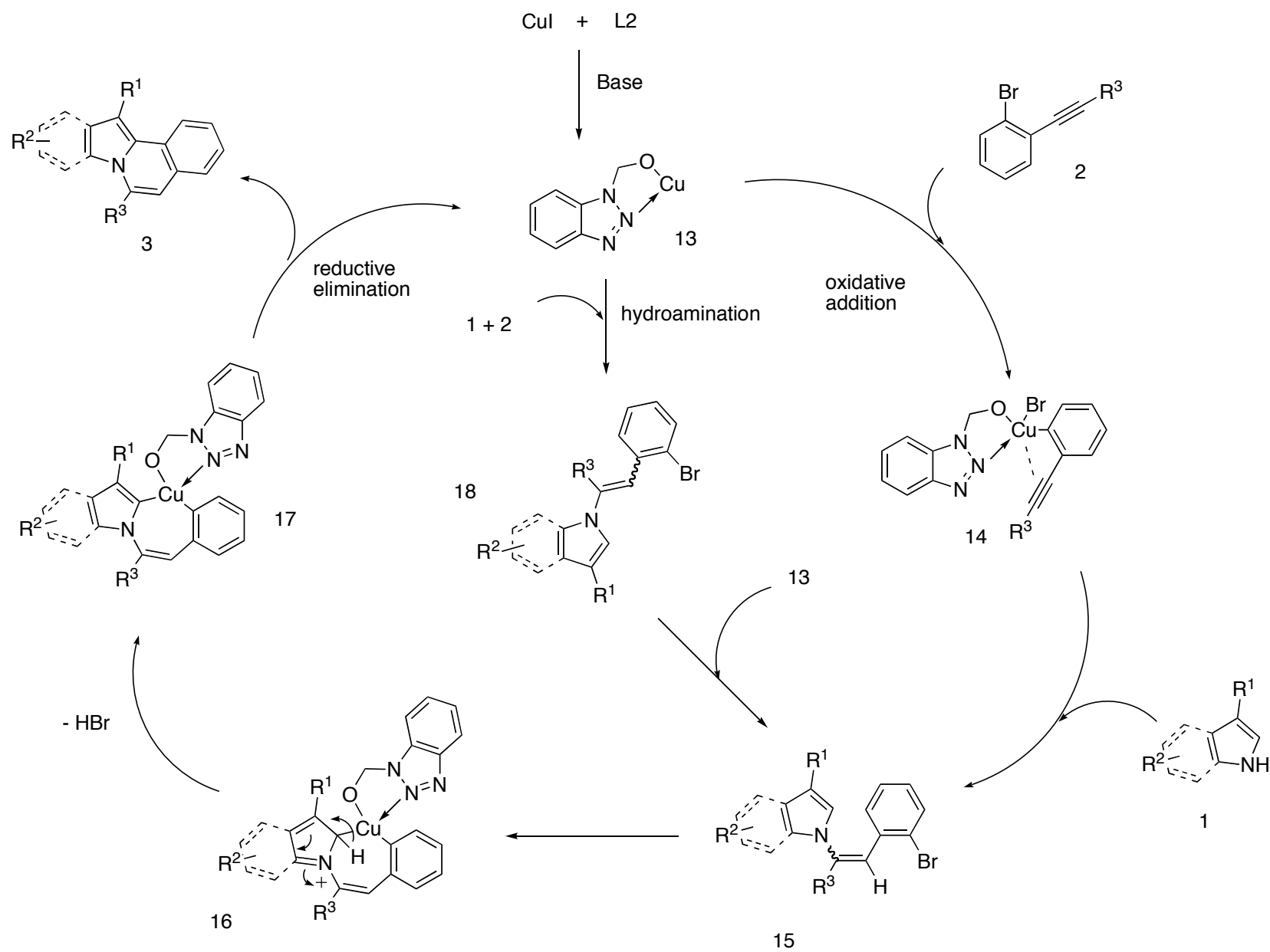
Title paper: Scope of reaction

Heterocycle	Alkyne	Product	yield [%]
			85
			-
			78

Title paper: Control experiments



Title paper: Plausible Mechanism



Conclusion

- A cheap method for constructing Indolo- and Pyrrolo[2,1-a]isoquinolines
- Probed the electronics of the system
- More complex setting
- Pathway A