Copper Hydride Catalyzed Synthesis of 2,3-Disubstituted Indolines

**Significance:** The L*CuH-catalyzed diastereo- and enantioselective synthesis of 2,3-disubstituted cis-indolines proceeds under mild conditions and tolerates bulky functional groups, including heterocycles, olefins, or substituted aromatic rings. A methyl substituent at the C-4 position of the indoline ring results in lower reactivity.

**Comment:** The yield of the reaction is significantly dependent on the choice of alcohol. t-BuOD is superior to t-BuOH, as it reduced the amount of byproduct by slowing the protonolysis of B. Interestingly, the reaction is highly cis-diastereoselective. Addition of triphenylphosphine as a secondary ligand significantly improves the catalyst turnover.

**Proposed catalytic cycle:**

**Selected examples:**

- 91% yield, 90% ee
- 88% yield, 92% ee
- 74% yield, 90% ee
- 85% yield, 95% ee
- 68% yield, 89% ee
- 33% yield, 95% ee
- 82% yield, 89% ee
- 88% yield, 93% ee

Dr = 11:1