**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.

**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 (dr = 11:1)
- 85% yield, 93% ee (no \(Ph_3P\)
- 88% yield, 93% ee (no \(Ph_3P\)

**Proposed catalytic cycle:**

1. \(L^*Cu\) reacts with \(R_3SiH\) to form \(L^*CuH\).
2. \(L^*CuH\) undergoes Markovnikov addition to form \(B\).
3. \(B\) is protonated to form the final product.
4. \(L^*CuO\) forms a byproduct.

**SYNFACTS Contributors:** Hisashi Yamamoto, Biplab Maji

*Synfacts* 2015, 11(7), 0719 Published online: 17.06.2015

DOI: 10.1055/s-0034-1380926; Reg-No.: H06215SF