**Larock Indole Synthesis**

**Significance:** In 1998, Larock and co-workers reported a palladium-catalyzed coupling of 2-iodoanilines with internal alkynes to afford 2,3-disubstituted indoles; an important heterocyclic scaffold. The reaction proceeds under mild conditions to afford the indole products in good to excellent yields.

**Comment:** The regioselectivity of the reaction is dependent on both substrate and reaction conditions employed. When more than one equivalent of the chloride is added, the reaction rate is retarded, and there is an increase in the formation of side products.


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**Proposed catalytic cycle:**

**Selected examples:**

- 98% yield source of Cl⁻ = n-Bu₄NCl base = Na₂CO₃ Ph₃P = 5 mol% target = SiMe₃
- 91% yield source of Cl⁻ = n-Bu₄NCl base = KOAc Pd₃Cl = none target = t-Pr
- 85% yield source of Cl⁻ = LiCl base = KOAc Ph₃P = none target = Et
- 86% yield source of Cl⁻ = n-Bu₄NCl base = KOAc Ph₃P = none target = t-Bu