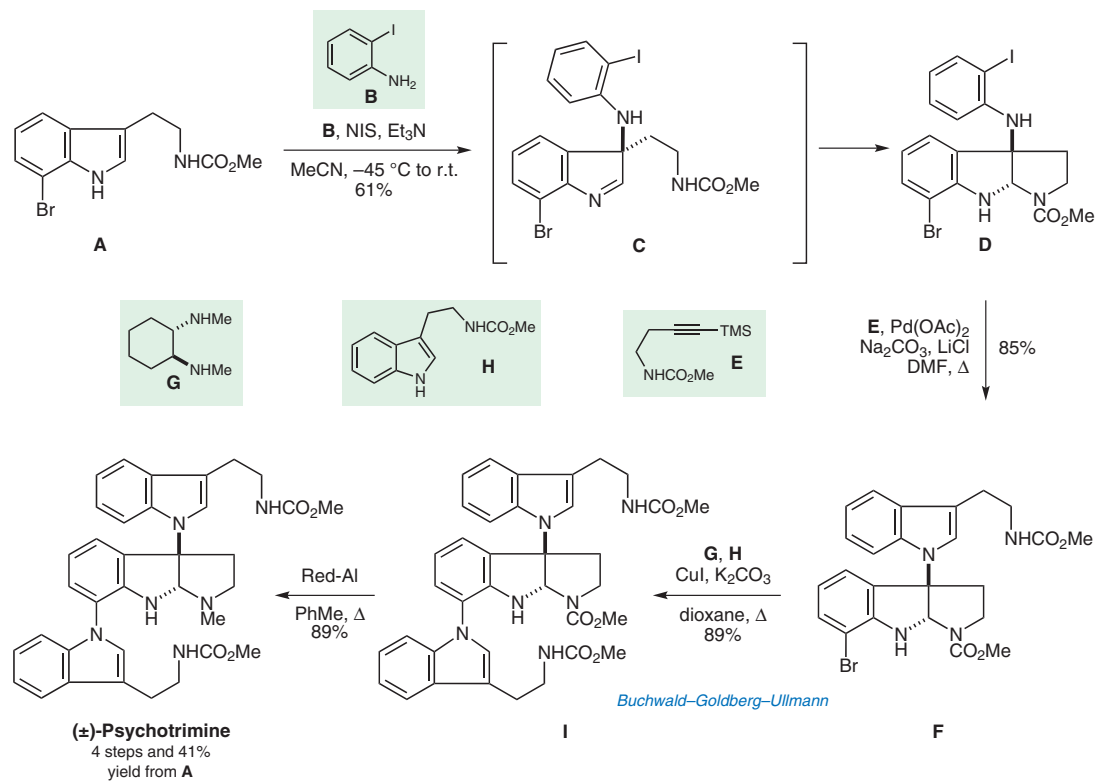


Synthesis of (±)-Psychotrimine



Significance: Psychotrimine was isolated from a South African rainforest shrub *Psychotria rostrata*, belonging to the coffee family. This very concise and high-yielding synthesis features a unique, direct indole-aniline coupling (**A** → **D**). More than two gram of the target molecule was obtained in four relatively high-yielding steps.

Comment: The direct coupling of bromotryptamine derivative **A** with iodoaniline **B** afforded adduct **D** in a satisfactory yield. The Pd-catalyzed reaction of **D** with alkyne **E** resulted in **F**, which was next subjected to a chemoselective Buchwald–Goldberg–Ullmann reaction that gave *N*-arylation product **I**. The subsequent treatment of **I** with Red-Al afforded the target molecule.