Synthesis of Natural

Products and Potential Drugs

Category

psychotrimine

Buchwald-Goldberg-Ullman reaction

palladium



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 ($\mathbf{A} \rightarrow \mathbf{D}$). 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–Ullman reaction that gave *N*-arylation product I. The subsequent treatment of I with Red-Al afforded the target molecule.

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