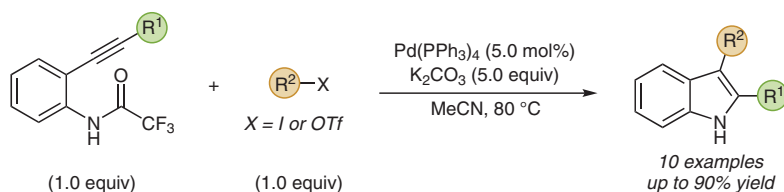


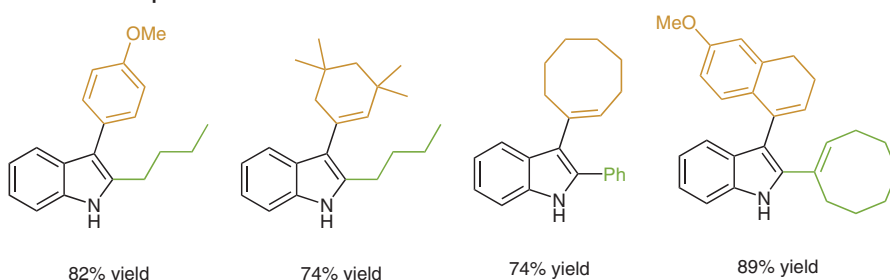
A. ARCADI, S. CACCHI*, F. MARINELLI (SAPIENZA UNIVERSITY OF ROME, ITALY)

A Versatile Approach to 2,3-Disubstituted Indoles through the Palladium-Catalysed Cyclization of *o*-Alkynyl-trifluoroacetanilides with Vinyl Triflates and Aryl Halides*Tetrahedron Lett.* **1992**, 33, 3915–2918, DOI: 10.1016/S0040-4039(00)74818-0.

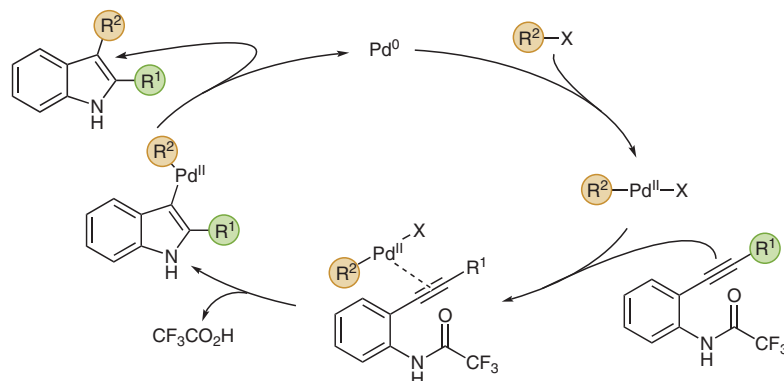
Synthesis of 2,3-Disubstituted Indoles via Palladium Catalysis



Selected examples:



Proposed mechanism:



Significance: Cacchi and co-workers report a palladium-catalyzed synthesis of disubstituted indoles. This approach utilizes aryl halides and vinyl triflates with alkyne-tethered aryl nucleophiles to synthesize highly decorated indoles. The reaction is suitable for a wide range of functionalities and has now been extended to diverse scaffolds.

Comment: The reaction proceeds via an initial oxidative addition of the electrophile. Subsequent coordination, nucleopalladation and reductive elimination yield the product. The scaffolds arising from this reaction have shown biological activity.