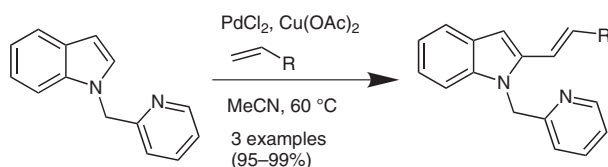


Directed Palladation: Fine Tuning Permits the Catalytic 2-Alkenylation of Indols



Significance: The synthesis of 2-vinyl indoles by a Pd-catalyzed C–H activation process induced by coordination from a *N*-picolinyl is described. By testing several different *N*-substituents e.g. oxazolono methyl, dimethylcarbamoyl, and allylmethylcarbamoyl, the picolinyl group is shown to be optimal for the C–H activation of the indole 2-position. In the three examples given ($\text{R} = \text{CO}_2\text{Me}$, SO_2Ph and CN), the reactions proceed in almost quantitative yields. Although not indicated, the starting substrate may be easily prepared (T. Guengoer, P. Malabre, J. Teulon *Synth. Commun.* **1994**, *24*, 2247).

Comment: 2-Vinyl or 2-styryl indoles are usually obtained from 2-prefunctionalized derivatives or, recently, by Pd-catalyzed Heck or cross coupling reactions of corresponding halide or boronic acid intermediates. This procedure, if generalized and tested for functional group tolerance, will provide an oxidative Heck route to 2-substituted indoles. The 2-alkenyl substituted indoles are useful intermediate, for example, in [2+4] cycloaddition chemistry.