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Highly Reactive, General and Long-Lived Catalysts for Palladium-Catalyzed Amination of Heteroaryl and Aryl Chlorides, Bromides, and Iodides: Scope and Structure–Activity Relationships

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# A Highly Efficient Catalyst for Pd-Catalyzed Amination of Aryl Halides

Category

Metal-Mediated Synthesis

Key words

Pd-catalyzed amination

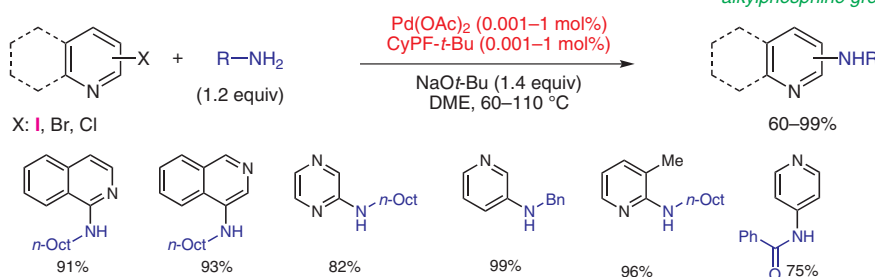
heteroaryl halides

aryl halides

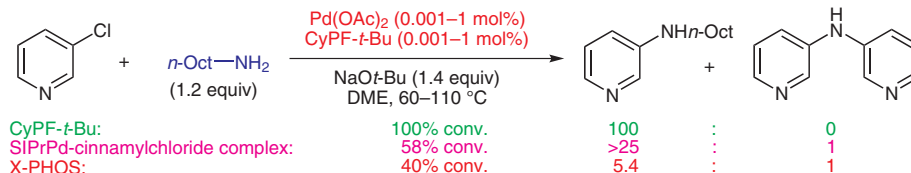
long-lived catalysts

**SYNFACT**  
of the month

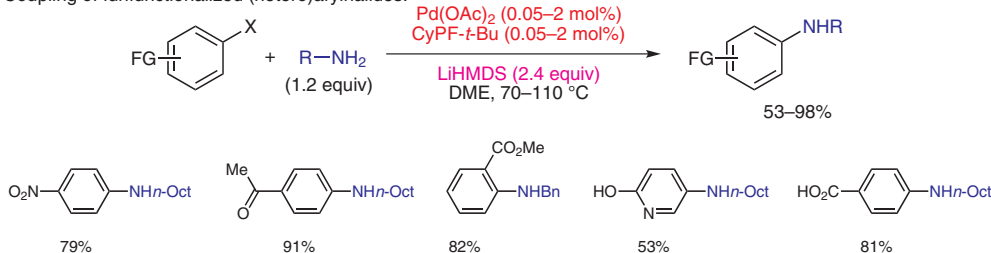
Coupling of heterohalides with primary alkylamines:



Comparison of activity and selectivity:



Coupling of multifunctionalized (hetero)arylhalides:



**Significance:** This article presents an extensive study on the selectivity, scope and structure–activity relations for the Pd-catalyzed amination of (het)aryl halides with primary amines. Aryl iodides, which are known to be more reluctant substrates to Pd-catalyzed amination, were also successfully converted.

**Comment:** CyPF-*t*-Bu is shown to be a selective catalyst for monoamination. Its effectiveness is explained by detailed studies on the ligand structure. Thus, the rigidity of the ferrocenyl backbone, the electron-donating character and the steric hindrance of the phosphine groups were identified as essential features of this selective amination catalyst.

**SYNFACTS Contributors:** Paul Knochel, Tobias Thaler  
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