

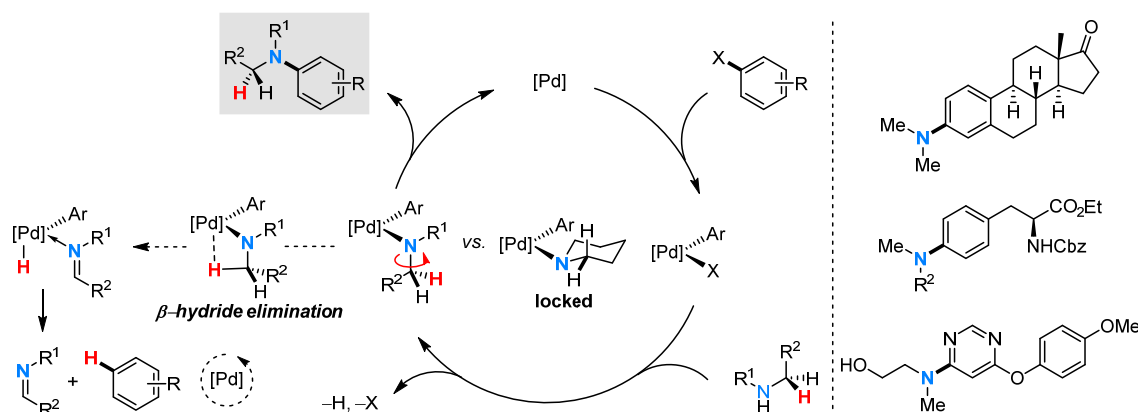
# SYNTHESIS OF ACYCLIC DIALKYL AMINES VIA BUCHWALD-HARTWIG AMINATION

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The palladium-catalyzed C–N cross-coupling reaction for the formation of aromatic amines has become an indispensable key reaction in recent decades. [1] Although the Buchwald-Hartwig reaction is already thoroughly investigated, there are only a limited number of publications addressing the implementation of acyclic dialkylamines. [2]

A possible reason for this lack of examples is the difficult handling of small gaseous amines such as dimethylamine which is of considerable importance in drug discovery. Furthermore, compared to the corresponding cyclic amines, acyclic secondary amines tend to undergo an undesired  $\beta$ -hydride-elimination causing protodehalogenation of the electrophile and thus impede the productive C–N bond formation. Our studies investigate the Buchwald-Hartwig amination using secondary dialkyl amines, with a focus on gaseous dimethylamine and functionalized aliphatic amines for the synthesis of pharmaceutically relevant tertiary amines and their subsequent derivatization.



[1] P. Ruiz-Castillo, S. L. Buchwald, Chem. Rev. 2016, 116, 12564.

[2] a) G. Mata, N. W. Luedtke, J. Am. Chem. Soc. 2015, 137, 699; b) L. Ji, A. Lorbach, R. M. Edkins, T. B. Marder, J. Org. Chem. 2015, 80, 5658; c) E. Benedetti, L. S. Kocsis, K. M. Brummond, J. Am. Chem. Soc. 2012, 134, 12418.