# Synthesis of Nitrogen Heterocycles by Palladium(0)-Catalyzed C($sp^3$)–H Activation

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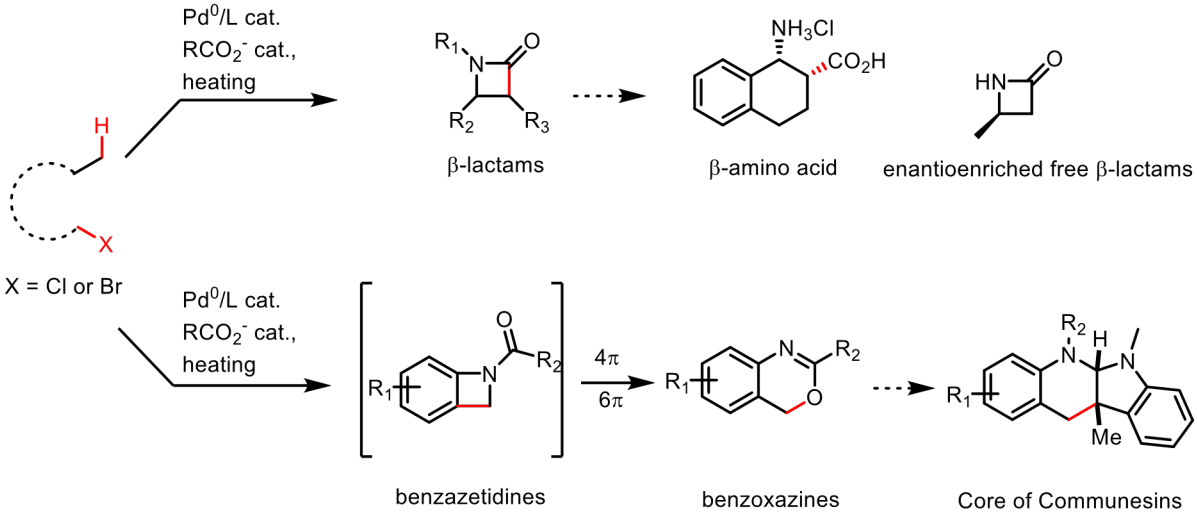
Nitrogen heterocycles are omnipresent in small-molecule pharmaceuticals, representing almost 60 % of drugs recently approved by the U.S. Food and Drug Administration.[1] In the last decades, synthetic methods have been widely developed to access these building blocks, notably through the use of a metal catalyst. In particular, methods based on C($sp^3$)-H activation have been introduced, including by our group,[2] to access N-heterocycles in a straightforward manner from easily accessible precursors. Herein, we report the synthesis of β-lactams[3] and benzoxazines,[4] with the latter arising from the electrocyclic rearrangement of benzazetidine intermediates, via palladium(0)-catalyzed intramolecular C($sp^3$)-H activation.




1. E. Vitaku, D. T. Smith, J. T. Njardarson, *J. Med. Chem.* **2014**, *57*, 10257; 2. O. Baudoin, *Acc. Chem.*