Indolizidine Formation through Dearomative [3+2] Annulation Reactions of N-Heterocycles with Aminocyclopropanes

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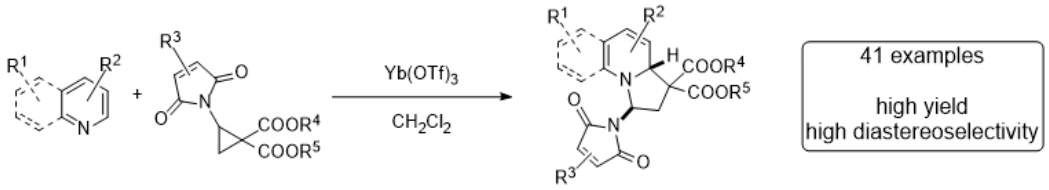
Many natural abundant and highly bioactive alkaloids contain an indolizidine skeleton.[1] We developed a straightforward, high yielding methodology to synthesize this scaffold from simple planar *N*-heterocycles. A wide range of pyridines, quinolines, and isoquinolines react with 2-amino cyclopropane-1,1-dicarboxylates via an ytterbium catalyzed [3+2] annulation reaction to the desired products. They are generally obtained with high diastereoselectivities as *trans*-isomers. Additionally, we show that the aminal in the products can be easily converted into secondary and tertiary amines through intermediary imine formation followed by reduction or nucleophile addition.



[1] J. P. Michael, *Nat. Prod. Rep.* **2008**, *25*, 139-165.