

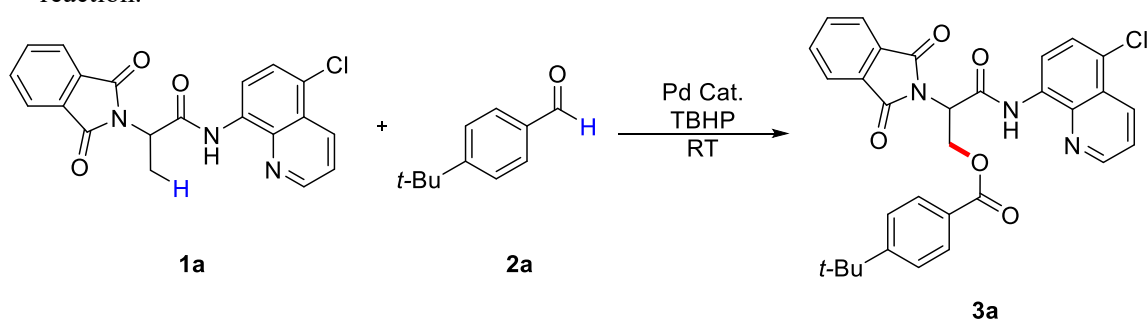
Pd-Catalyzed C-H Benzoxylation of Alanine Derivatives with Aldehydes under Ambient Conditions

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The ester moiety is found in many important organic molecules and is a useful and versatile functional group in a variety of organic reactions. To overcome the limitations of conventional reactions for ester formations, the transition-metal-catalyzed regioselective C-H bond acyloxylation has emerged as an atom-economical and straightforward strategy for the introduction of ester functionality. Since the pioneering work by Corey for the sp^3 C-H acetoxylation of α -amino acids derivatives in 2006,¹ various transition metal catalysts have been used for the direct introduction of acyloxy group. However, most emphasis is put on acetoxylation and benzoxylation of sp^2 C-H bonds mainly at high temperatures. We disclose a palladium-catalyzed sp^3 benzoxylation of alanine derivatives with aldehydes under ambient conditions.

When alanine derivative **1a** and 4-*tert*-butylbenzaldehyde (**2a**) was subjected to Pd(tfa)₂ and TBHP in a toluene/H₂O (2/1) co-mixture as solvent at room temperature, a benzoxylation product **3a** was formed in 68% yield. Various aromatic aldehydes as well as an aliphatic aldehyde participated in the reaction in 24-68% isolated yields. This is the first example using 8-aminoquinoline-based directing group to facilitate sp^3 C-H benzoxylation reaction.



1) B. V. S. Reddy, L. R. Reddy, E. J. Corey, *Org. Lett.*, **2006**, 8, 3391.