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Palladium-Catalysed C(sp^3)–H Glycosylation for Synthesis of *C*-Alkyl Glycoamino Acids
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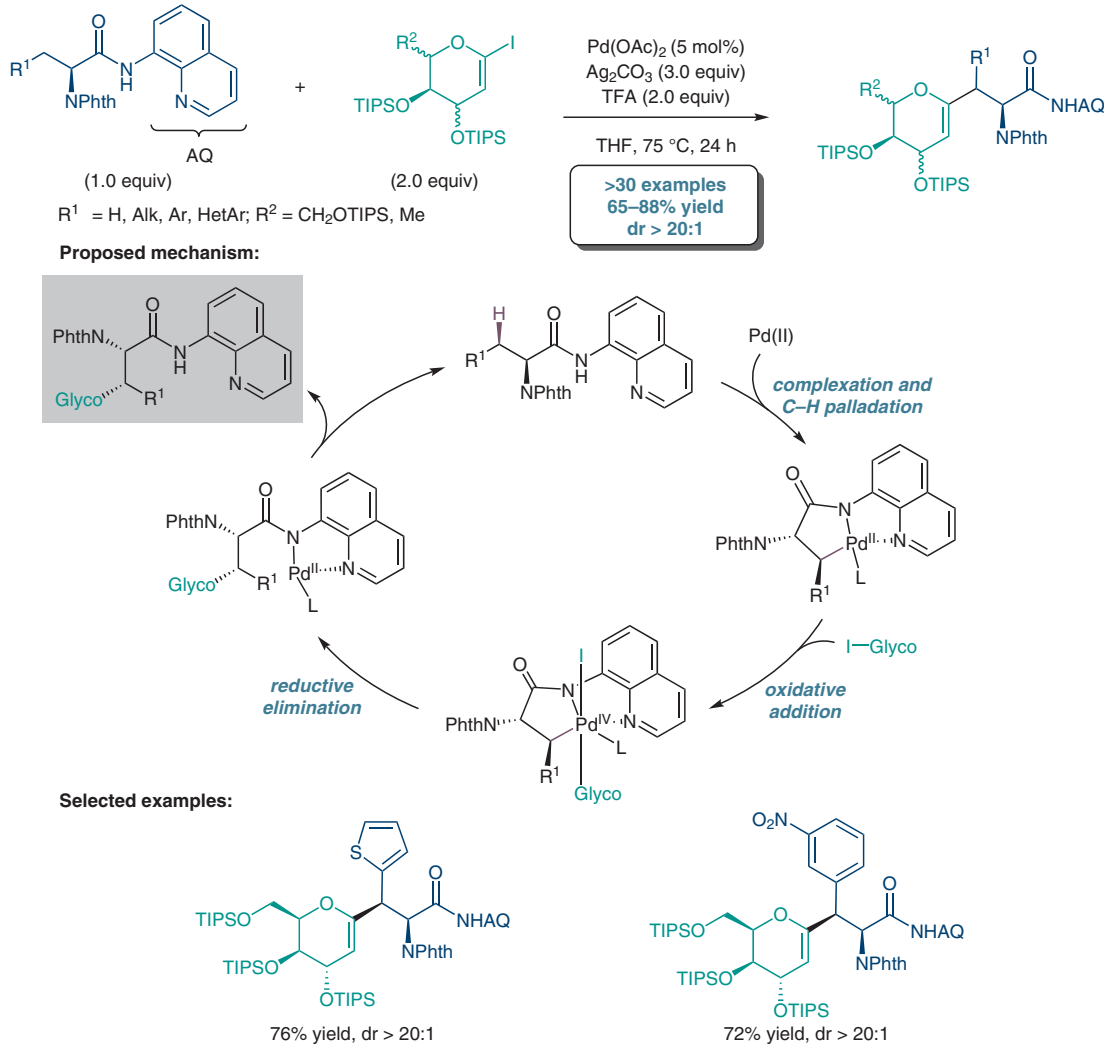
Synthesis of *C*-Alkyl Glycoamino Acids via Palladium-Catalyzed C(sp^3)–H Glycosylation



Significance: The authors report a highly efficient, regio- and diastereoselective palladium-catalyzed glycosylation of inert β-C(sp^3)–H bonds of *N*-phthaloyl α-amino acids under mild conditions. The method utilizes a combination of silver carbonate and trifluoroacetic acid in a polar solvent (THF), which enabled the activation cycle of this C–H bond. The reaction has a high tolerance towards functional groups and a broad scope, providing over 30 β-substituted *C*-alkyl glycoamino acids with up to 88% yield.

Comment: This method allows for the first time to activate C(sp^3)–H bonds for glycosylation reactions, thus advancing the state-of-the-art techniques in carbohydrate chemistry. Liu and co-workers propose a mechanistic cycle for the C–H activation, based on experimental studies. Therein, the formation of a palladacycle via cyclometallation plays a key role in the activation and the resulting diastereoselectivity.

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