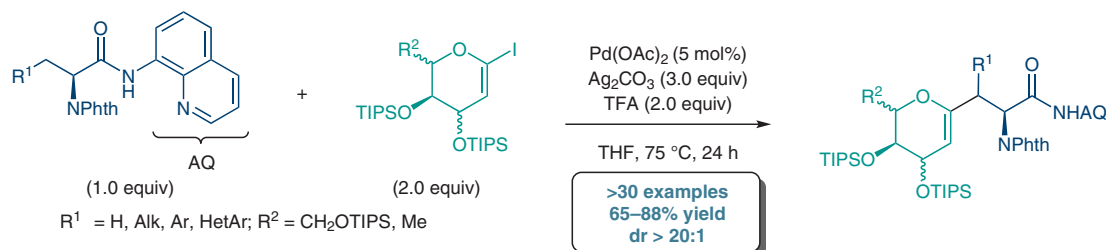


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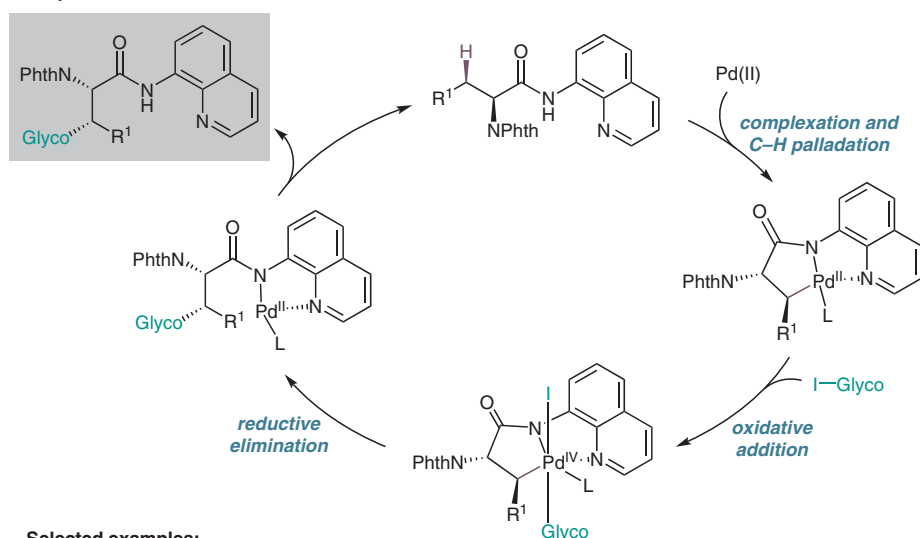
Palladium-Catalysed C(sp<sup>3</sup>)-H Glycosylation for Synthesis of C-Alkyl Glycoamino Acids

Angew. Chem. Int. Ed. 2020, 59, 3491–3494.

## Synthesis of C-Alkyl Glycoamino Acids via Palladium-Catalyzed C(sp<sup>3</sup>)-H Glycosylation



Proposed mechanism:



Selected examples:



**Significance:** The authors report a highly efficient, regio- and diastereoselective palladium-catalyzed glycosylation of inert  $\beta$ -C(sp<sup>3</sup>)-H bonds of *N*-phthaloyl  $\alpha$ -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  $\beta$ -substituted C-alkyl glycoamino acids with up to 88% yield.

**Comment:** This method allows for the first time to activate C(sp<sup>3</sup>)-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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Synfacts 2020, 16(03), 0291 Published online: 18.02.2020  
DOI: 10.1055/s-0039-1690382; Reg-No.: P00120SF

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Category

Metals in Synthesis

Key words

palladium catalysis

glycosylation

amino acids

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