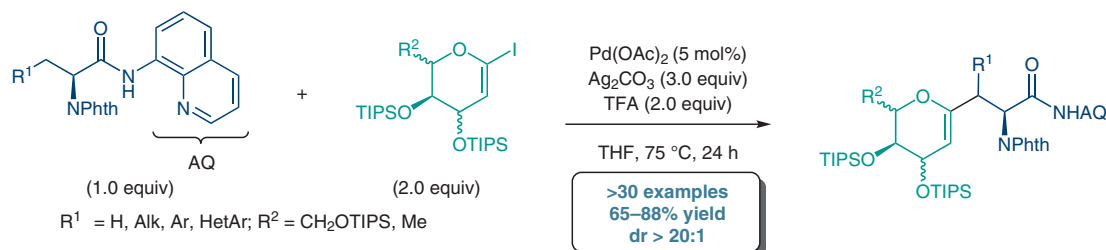


Y. LIU, Y. WANG, W. DAI, W. HUANG, Y. LI\*, H. LIU\* (FUDAN UNIVERSITY, SHANGHAI AND SHANGHAI INSTITUTE OF MATERIA MEDICA, P. R. OF CHINA)

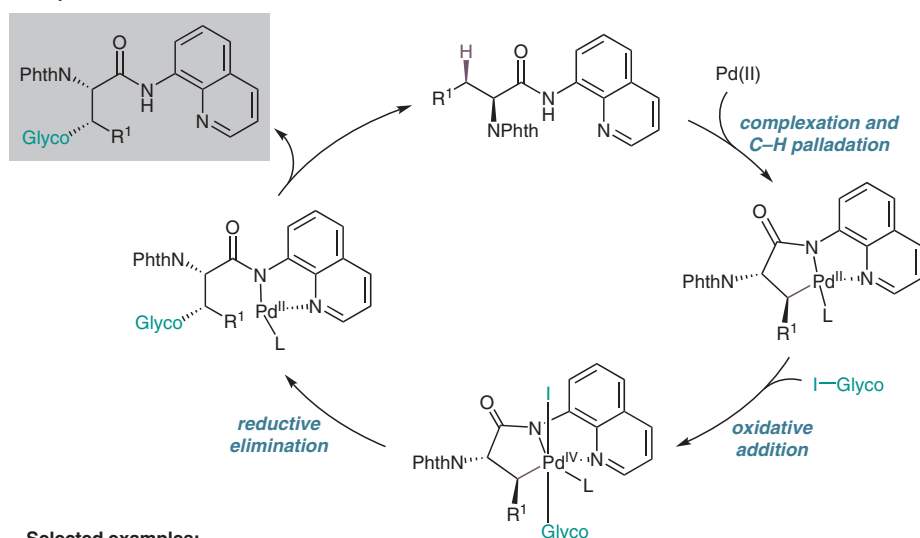
Palladium-Catalysed C(sp<sup>3</sup>)-H Glycosylation for Synthesis of C-Alkyl Glycoamino Acids

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 β-C(sp<sup>3</sup>)-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<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.

SYNFACTS Contributors: Paul Knochel, Simon Graßl  
Synfacts 2020, 16(03), 0291 Published online: 18.02.2020  
DOI: 10.1055/s-0039-1690382; Reg-No.: P00120SF

© 2020, Thieme. All rights reserved.  
Georg Thieme Verlag KG, Rüdigerstraße 14, 70469 Stuttgart, Germany

Category

Metals in Synthesis

Key words

palladium catalysis

glycosylation

amino acids

Synfact  
of the  
Month