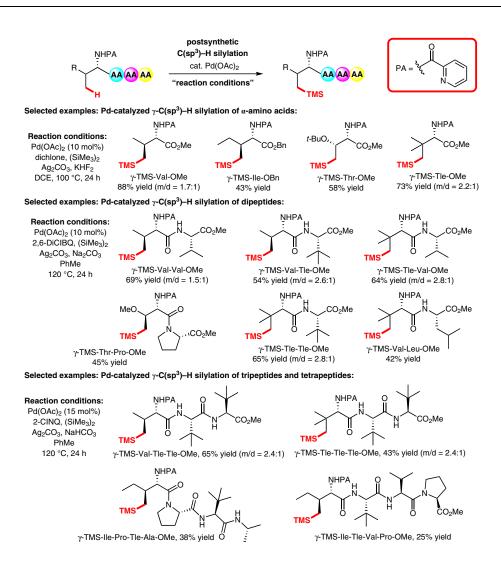
B.-B. ZHAN, J. FAN, L. JIN, B.-F. SHI* (ZHEJIANG UNIVERSITY, HANGZHOU, P. R. OF CHINA)

Divergent Synthesis of Silicon-Containing Peptides via Pd-Catalyzed Post-Assembly γ -C(sp³)-H Silylation ACS Catal. **2019**, 9, 3298–3303.

Palladium-Catalyzed Site-Selective γ -C(sp³)–H Silylation of Peptides



Significance: Chemically modified unnatural peptides are often endowed with improved biological and pharmacokinetic properties and are therefore valuable in the drug-discovery process. Modification by silicon-containing groups appears to be promising, because the presence of a silicon moiety in amino acids or peptides can help to improve permeation through membranes and increase proteolytic stability.

Comment: Shi and co-workers have developed an efficient procedure for the synthesis of various γ -silyl- α -amino acids and oligopeptides by palladium(II)-catalyzed γ -C(sp³)–H silylation. The present site-specific late-stage C(sp³)–H functionalization is assisted by a picolinamide auxiliary and uses cheap and commercially available hexamethyldisilane as a silylating agent. Compatibility with a broad range of amino acid residues and the facile removal of the picolinamide auxiliary are noteworthy features of the present protocol.

SYNFACTS Contributors: Hisashi Yamamoto, Sachin Suresh Bhojgude Synfacts 2019, 15(06), 0685 Published online: 20.05.2019 DOI: 10.1055/s-0037-1612531; Reg-No.: H03219SF **Peptide Chemistry**

Key words

functionalization
peptide modification
silylation
palladium catalysis
C-H activation

