Palladium-Catalyzed C(sp³)–H Arylation of Peptides Assisted by Unmodified Asparagine

Significance: Late-stage modification of peptides has emerged as an invaluable method in synthetic chemistry. The authors report a C(sp³)–H arylation of peptides by a palladium-catalyzed reaction with internal asparagine (Asn) as a directing group.

Comment: The site-selective C(sp³)–H arylation proceeded smoothly at the N-termini of di-, tri-, or tetrapeptides, assisted by the unmodified side chain of Asn, without any exogenous directing group.