Palladium-Catalyzed C\(^{sp^3}\)–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\(^{sp^3}\)–H arylation of peptides by a palladium-catalyzed reaction with internal asparagine (Asn) as a directing group.

**Comment:** The site-selective C\(^{sp^3}\)–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.