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Catalytic C(sp³)–H Bond Activation in Tertiary Alkylamines
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Palladium-Catalyzed γ-C(sp³)–H Bond Activation of Tertiary Alkyl Amines

Significance: Gaunt and co-workers report a novel ligand-enabled palladium(II)-catalyzed γ-C(sp³)–H arylation. Selective functionalization of tertiary alkyl amines is observed utilizing arylboronic acids under mild conditions. The reaction is enantioselective, with enantioprotective methyl group differentiation, allowing efficient and selective access to γ-aryl tertiary amine scaffolds.

Comment: The authors propose that the reaction proceeds by amine complexation to the palladium(II) ligand complex, followed by a concerted ligand-assisted metalation–deprotonation process to access the palladacycle. This intermediate then undergoes transmetalation with the boronic acid, which then undergoes a reductive elimination to afford the product.

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Key words
palladium catalysis
regioselectivity
C–H activation
γ-aryl tertiary amines

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