

Simple-Looking but Non-Obvious Transformations

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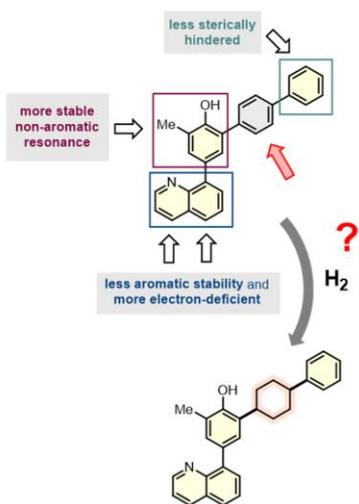
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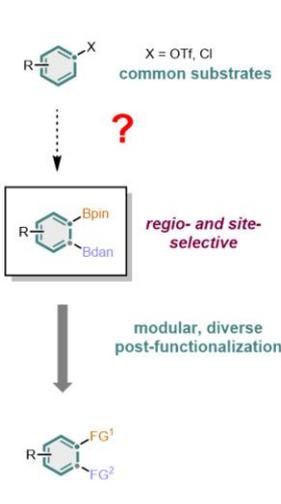
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Late-stage functionalization has become an increasingly important strategy for increasing structural diversity of analogues in drug discovery. However, the current late-stage functionalization tactics remain limited, mostly centered on C-H functionalization. In this talk, three simple-looking but non-obvious transformations will be discussed, which allow for unusual late-stage modifications of complex molecules. The first transformation promotes directed saturation of unactivated arenes, leading to site-selective conversion of a benzene ring into a cyclohexane ring in complex molecules. The second transformation enables simultaneous installation of two chemically different boryl groups side-by-side into common aryl triflates or chlorides, resulting in divergent regioselective difunctionalization. The third one represents an "atom swap" strategy, which replaces a carbonyl group with a heteroatom, such as sulfur or nitrogen. This method can efficiently introduce saturated heterocycles from readily available cyclic ketones, and the application includes rapid conversion of readily available steroids into the corresponding thia- and azasteroids.

site-selective arene saturation



differential diborylation



sp^3 atom swap

