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The magic of C–H activation in the construction of pharmaceutically important heterocyclic molecules

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The heterocyclic molecules, particularly indoles, indolines, isoindolines, indazoles, and benzazepines among other heterocycles are ubiquitous structural motif as they exhibit the various biological and medicinal applications. For example, isoindoline motif is present in molecules that act as endothelin-A receptor antagonists and dipeptidyl peptidase inhibitors. Moreover, isoindoline derivatives are very crucial constituents in the field of materials science as attractive candidates for organic light-emitting devices. Compounds containing the indazole motif are known to exhibit to a variety of biological activities, such as estrogen receptor, HIV protease inhibition and anti-tumor activity. However, benzazepine derivatives have attracted considerable attention by virtue of their interesting biological properties. Typical examples, as galanthamine, capsazepine, and beclabuvir include the 2-benzazepine scaffold. Thus, these heterocycles can be a good candidate for the next generation of pharmaceuticals. Therefore, the development of highly efficient strategies for the formation of these heterocyclic architectures is an area of great interest in organic synthesis. C–H activation has provided a powerful tool in the synthesis of heterocyclic molecules, with transformative applications to pharmaceuticals and drug discovery. The past years, Rh(III)-catalyzed C–H activation followed by annulation reactions has been frequently used as a powerful tool to construct various heterocycles. In this talk, some of our recent efforts toward the rhodium-catalyzed heterocyclic molecules construction will be discussed.

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