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The reactivity of Morita–Baylis–Hillman adducts with benzylamines to access the 2-benzazepines under Rh(III) catalysis

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The directing group assisted transition-metal-catalyzed C–H activation has been one of the most attractive issues in organic synthesis due to their site-selective C–H bond functionalization, easy to remove after the reaction and undergo annulation reaction to offered new biologically active heterocyclic scaffolds. In this addition, free NH2 group as a directing group is less explored because of its poisoning nature for the transition metal. The Morita–Baylis–Hillman adduct (MBH) has been recognized as a useful 3-carbon synthon in C–C bond formation reactions. Azepine analogues are among the most interesting discovery in the field of natural products and pharmaceuticals. Particularly, benzazepine derivatives have attracted considerable attention by virtue of their interesting biological properties. Typical examples, such as galanthamine, capsazepine, and beclabuvir include the 2-benzazepine scaffold. Therefore, the synthesis of 2-benzazepines is of great interest in organic and medicinal chemistry. In this presentation, the rhodium(III)-catalyzed cross-coupling reaction between commercially available benzylamines and Morita–Baylis–Hillman adducts is described. This protocol provides a facile route for the synthesis of various 2-benzazepine derivatives via C(sp2)–H activation of *in situ* generated N-allyl benzylamines from MBH adduct and subsequent intramolecular olefin insertion process. To gain mechanistic insight into this transformation, DFT calculations were also performed.

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