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Rh(III)-catalyzed C-H alkylation of indolines with enones through conjugate addition and protonation pathway

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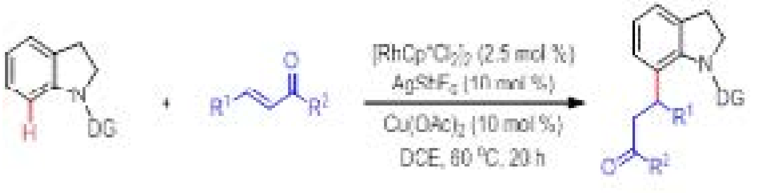
The transition-metal-catalyzed direct C-H additions to unsaturates such as C=C, C=O and C=N bonds have recently emerged as a powerful tool for the efficient construction of valuable organic molecules as compared to traditional Friedel-Crafts reactions and catalytic conjugate additions of organometallic reagents. Notably, a great deal of effort has been devoted to the Heck-type alkenylation of (hetero) aromatic compounds with alkenes and alkynes under various metal catalysis. Since the Ru(0)-catalyzed C-H alkylation of aromatic ketones with alkenes reported by Murai the direct C-H alkylations of arenes with α, β-unsaturated carbonyl compounds have been also realized by using various transition metals such as Ru(II), Re(I), Rh(I/III), Ir(I), Pd(II), Co(III), and Mn(I). In this context, rhodium catalysis has significantly contributed to the construction of β-aryl carbonyl compounds through 1, 4-conjugate addition and rapid protonation of C-Rh intermediates. The β-aryl ketones are among the versatile synthetic precursors in organic and medicinal chemistry, and are important structural motifs found in a range of bioactive natural products and pharmaceuticals. In particular, the β-indolinic ketone scaffold has been recognized as a crucial structural core found in various synthetic molecules with a broad spectrum of medicinal applications Based on the biologically importance of β-indolinic ketones and in continuation of our recent works on the catalytic C7-functionalizations of indolines. We herein disclose the Rh(III)-catalyzed direct C-H alkylation at the indolinic C7-position using enones and enals affording a range of β-indolinic carbonyl products.



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