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Dual role of Anthranils as amination surrogates and transient directing group sources: Synthesis of 2-acyl acridines

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The transient directing group assisted C–H functionalization of carbonyl compounds under transition metal catalysis has been recently investigated. Generally, this strategy obviates extra synthetic steps for the installation and removal of the external directing groups. Transition-metal-catalysed C–N bond formation via C–H bond activation event

has been of great interest in organic synthesis and medicinal chemistry. In this area, anthranils have been explored as aryl amine surrogates in the C–H amination reactions of sp² and sp³ C–H bonds, although anthranils have been employed to be coupled with organozinc by a Ni(0) catalyst. In continuation of our research goal on the construction of biologically relevant heterocycles through catalytic C–H functionalization, we herein present the transient imine directed C–H amination followed by intramolecular annulations of aromatic aldehydes with C³-aryl-substituted anthranils under cationic Rh(III) catalysis to deliver a range of 2-acyl acridines. In sharp contrast,

C³-alkyl-substituted anthranils are employed for the formation of dibenzoazocinones by the intramolecular aldol condensation. To our best knowledge, the dual role of anthranils as both transient directing groups and amination sources has been unexplored in the C–H functionalization event for the formation of 2-acyl acridine derivatives. Notably, based on the results, we speculated that a bidentate imine directing group derived from benzaldehyde and 2-benzoyl aniline might be very crucial to initiate the C–H bond activation and subsequent insertion of anthranil to form the desired 2-acyl acridine products.

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