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C(sp^3)-H allkylation of 8-methylquinolines with allylic alcohols under Rh(III)-catalysis

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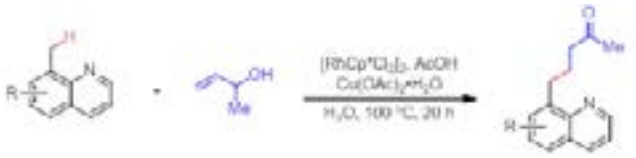
The rhodium(III)-catalyzed cross-coupling reaction of 8-methylquinolines with a range of allylic alcohols in water is described. This approach leads to the synthesis of various γ-quinolinyl carbonyl compounds, which are synthetically useful precursors for the construction of bioactive tetrahydroquinoline and azasteroid derivatives. Induced by the need to streamline the synthesis of valuable building blocks and complex molecules, the transition-metal catalyzed C–H bond activation has evolved as an important field in organic synthesis. It has attracted tremendous interest in developing cross-coupling reactions at low cost without the use of stoichiometric amounts of organometallic reagents. In particular, C(sp^2)–H functionalization has been studied to a greater extent, whereas less research attention has been paid to the activation of C(sp^3)–H bonds. In this area, sp^3 C–H functionalizations have been executed with the assistance of a range of chelating auxiliaries such as amides, carboxylic acids, oximes, N-heterocycles, etc. In particular, 8-methylquinolines have been found to be good substrates for sp^3 C–H functionalization due to their ability to form cyclometalated complexes. However, sp^3 C–H alkylation of 8-methylquinolines has rarely been explored. Although the catalytic C–H bond functionalizations provide atom economy, which constitutes a great contribution to the cross-coupling reaction, most of these reactions have been carried out in organic solvents. In continuation of our Rh(III)-catalyzed sp^2 and sp^3 C–H alkylations, we herein report for the first time the C(sp^3)–H alkylation of 8-methylquinolines with allylic alcohols in water as a green solvent.



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