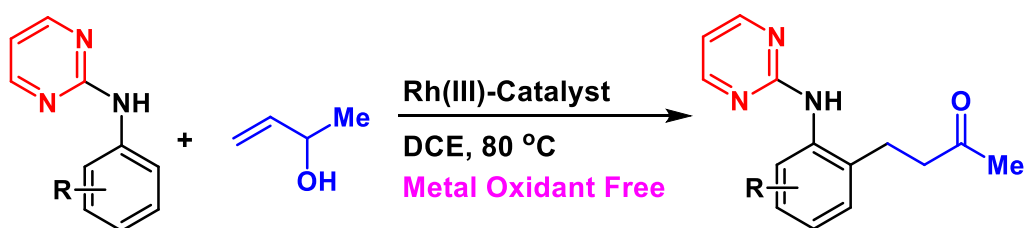


Rh-Catalyzed Oxidative Carbon-Hydrogen Alkylation of Aniline Derivatives with Allylic Alcohol

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The transition metal catalyzed direct C–H bond functionalization *via* chelation assistance is one of the most attractive fields in organic chemistry because of its step economical applications in synthetic field.¹ In last decades, transition metal catalyzed direct C–H alkylation reaction has emerged as a powerful tool to access various pharmaceutical precursors, complex organic molecules and drug compounds in step and atom economical manner.² Recently, allyl alcohol have been widely used as alkylating reagent because of their commercially availability, low cost, and easy preparation and handling. Transition metals, such as Co, Rh, Ru have shown great advancement in the C–H bond alkylation of arenes and heteroarenes with allyl alcohols using directing group strategy.³ Among all these reports, the reaction requires a stoichiometric amount of oxidants or metal salts to achieve oxidative C–H alkylation products, which consequently generates metal waste. In this context, the development of mild and efficient catalytic system for C–H alkylation with allyl alcohol without use of oxidants or metal salt is highly desirable. Herein, we present the Rh(III)-catalyzed oxidative C–H alkylation of aniline derivatives with allylic alcohol using a pyrimidinyl group as a directing group without use of oxidant or metal salts. This reaction provides broad substrate scope for aniline derivatives. In addition, the reaction tolerates various important functional groups. Preliminary mechanistic studies have been carried out to understand the reaction mechanism.



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