Manganese-Promoted Regioselective Direct C3-Phosphinoylation of 2-Pyridones

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Phosphorus-substituted heterocycles represent a vital class of organophosphorus compounds, which have constantly received attention from chemists in both academia and industry. The phosphorus substituents can alter the physical and chemical properties of compounds as well as regulate important biological functions. A number of these compounds are known to exhibit attractive prospects as pharmaceuticals, agrochemicals, and materials. Thus, considerable efforts have been devoted to explore highly efficient methods for preparation of such compounds. Over the past decades, direct carbon–phosphorus (C–P) bond coupling from parent heterocycles via cross-dehydrogenative coupling (CDC)/C–H bond functionalization reactions have become promising strategies in modern synthetic chemistry, as they could bypass the requirement for pre-functionalization in both coupling partners.

Herein, we have successfully established a regioselective Mn-promoted oxidative C–P bond coupling of pyridones and secondary phosphine oxide. This method allows C3-phosphinoylation to take place effectively under radical process. The current protocol features simple and cheap catalytic operation (using substoichiometric amount of Mn2+), mild and robust reaction conditions under air with a broad range of substrate scope, which may lead towards further extension of the synthetic utility as well as a discovery of potent bioactive molecules and organic materials.

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