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Synthesis of ?-Keto Aldehydes via Selective Cu(I)-catalyzed Oxidation of alph-Hydroxy Ketones

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PURPOSE OF THE ABSTRACT

alph-Keto aldehydes have been widely used for the synthesis of morpholinones, quinoxalines, and other fine chemicals. Currently, the most widely used method to prepare alph-keto aldehydes is Kornblum oxidation of alkenes, alkynes, and ketones. However, the required highly reactive and hazardous oxidants (such as, I2, SeO2, or halogenated reagents) and high temperature limits its application. Herein, we reported an efficient approach to synthesize ?-keto aldehydes via Cu(I)-catalyzed oxidation of alph-hydroxy ketones with oxygen as oxidant. A variety of aromatic and aliphatic ?-keto aldehydes were prepared and isolated in moderate to good yields. Notably, the developed method shows high selectivity to the hydroxy groups in the alph-hydroxy ketone units, when all other types of hydroxy groups (primary, secondary, and vicinal diol groups) remain untouched. Gram-scale reaction and follow-up reactions proved the potential utilization of this reaction.

FIGURES

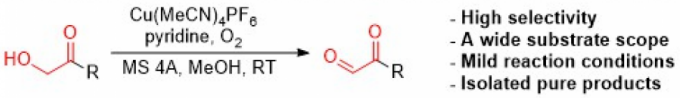

FIGURE 1

Scheme 1

Cu-catalyzed oxidation of alph-hydroxy ketones

FIGURE 2

KEYWORDS

Cu-catalysis | oxidation | alph-Keto aldehydes

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