Cu(II)-CATALYZED CROSS-DEHYDROGENATIVE ORTHO-AMINOMETHYLATION OF PHENOLS

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Cross-dehydrogenative couplings (CDCs) have attracted much attention in recent years because they do not require pre-activation of either coupling partners, and they are also more atom-economical. We have developed an efficient Cu(II)-catalyzed ortho-selective aminomethylation method of phenols by direct intermolecular CDC reaction (Scheme 1). An arguably broad variety of functional groups were moreover tolerated. This represents a rare case of C(sp²)-C(sp³) CDC with phenols. This unusual dehydrogenative process is anticipated to lead to the development of other general classes of C-C bond forming CDC reactions.[1]