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A novel process of Pd-catalyzed cross-coupling of acyl halides and aryltrifluoroborates

Abstract

Benzoylation is an important organic transformation and has many applications for developing cyclooxygenase (COX) inhibitors like non-steroidal anti-inflammatory drugs (NSAIDs). Stille's pioneer works on palladium catalyzed cross-coupling reaction of benzoyl chloride and aryltin (toxic) compounds open up a new era for aromatic ketone synthesis in one step. Al-Masum's group at TSU has established many reaction processes for direct aroylation reaction from aroyl chlorides and potassium organotrifluoroborates (non-toxic) in one step in minutes. The same kind of reaction with acyl chlorides is yet to be resolved. In this project, we have established new catalyst system for the acylation of potassium aryltrifluoroborates. This new process and its mechanism will be discussed

Potassium allyltrifluoroborate
$$Aroyl \ chloride$$
 $Aroyl \ chloride$ $Aroyl \ chloride$

Scheme. Organotrifluorborates and direct aroylation to crotonophenones, chalcones, and ketone

New reaction
$$Ar^{1}BF_{3}K + \bigvee_{sp^{3}} CI \xrightarrow{PdCl_{2}(PPh_{3})_{2}} \bigvee_{Ar^{1} \longrightarrow R} R$$