
대 학 원 세 미 나

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Biomedical applications of Pd-mediated cross coupling reactions

Part I

Based on mechanism-driven reaction design, a Pd-catalyzed nucleophilic fluorination of aryl bromides and iodides has been developed. The method exhibits a broad substrate scope, especially with respect to nitrogen-containing heteroaryl bromides. The use of Pd(0) species $[L \bullet Pd(1,5\text{-cyclooctadiene})Pd \bullet L]$ ($L = Ad_2ArP$) as a precatalyst, a facilitated ligand modification process, and an additive effect of KF was shown to be critical to the success of the reaction. The process does not result in significant formation of arene reduction products, which is a limitation of existing methods.

Part II

The Pd-catalyzed cross-coupling reactions have been applied to synthesize radiotracers for positron emission tomography and bioconjugates. The enabling technology has successfully mediated C-C and C-N bond-forming reactions under highly specialized settings. Key to the success was the easy and expeditious preparation of the stable oxidative addition complexes, which has greatly simplified the overall process.

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