REGIOSELECTIVE N-ALLYLATION AND C-ALLYLATION BY PD-CATALYZED CROSS-COUPLING OF INDOLE WITH POTASSIUM ALLYLTRIFLUOROBORATE

Abstract: The biological activity of indole and its derivatives has spurred substantial interest in organic chemistry and pharmaceutical research, driving significant attention to the development of methods for functionalization of indole. Here we attempted to design a cross-coupling reaction of indole and potassium allyltrifluoroborate in the presence of palladium catalyst under microwave heating. Compared to the very unstable allyl boronic acid, allylBF₃K is quite stable in the open air. This new allyl source is not used for allylation with indole. In our study, we introduce this allyl reagent with various palladium catalysts and found a remarkable catalyst effect on regioselectivity of N-Allylation and C-allylation of indole. These observations and their mechanism will be presented.