PALLADIUM CATALYZED REACTIONS OF PYRAZINES

A. Ohta, A. Inoue and Y. Akita

Tokyo College of Pharmacy, Hachioji, Tokyo 192-03

As already reported, palladium catalysts are useful tools for the cross coupling reaction of chloropyrazines with alkenes and alkynes, and the introduction of the cyano group into the pyrazine ring. It will be now described that the palladium catalysts are effective for the cross coupling reaction of chloropyrazines with some N-heterocycles such as indole and N-methylimidazole and that the dechlorination of chloropyrazine N-oxides is performed by some palladium catalysts without removing the N-oxide group.

1) Heating of a mixture of chloropyrazines and indole or N-methylimidazole in the presence of palladium catalysts under argon stream gives the coupling products in satisfactory yields.

2) Chloropyrazines and their N-oxides were heated with sodium formate in the presence of tetrakis(triphenylphosphine)palladium to afford the corresponding pyrazines and their N-oxides. Next, instead of sodium formate, potassium acetate and hydrogen gas were used to play a part as base and reducing agent. By heating of chloropyrazines and their N-oxides with potassium acetate under hydrogen gas, the dechlorination occurred also successfully to afford the desired products.