INTRODUCTION OF THE C-SUBSTITUENTS INTO THE N-HETERO-AROMATIC RINGS USING ALKYL- AND ARYLMETALS

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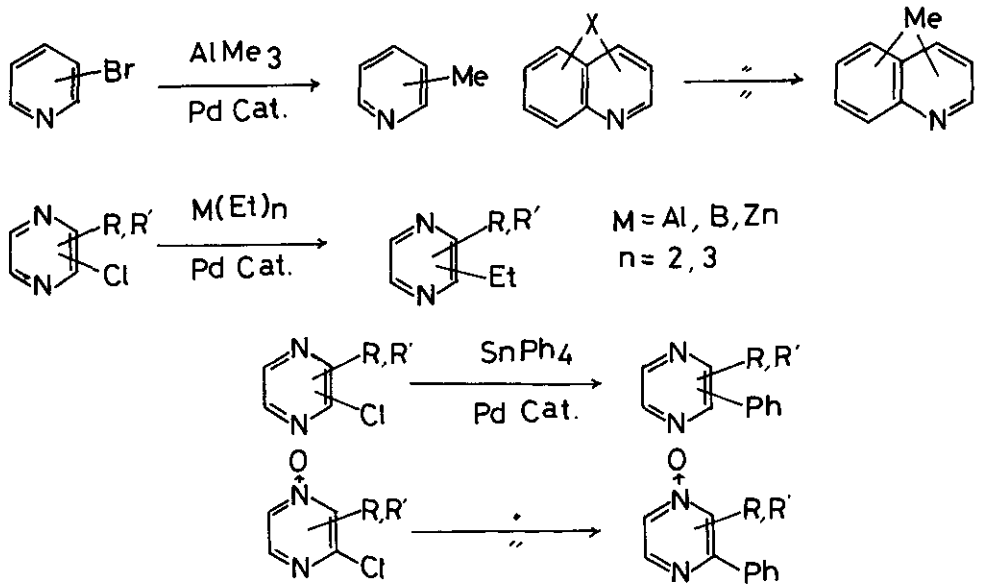
The cross-coupling reactions of chloropyrazines and their N-oxides with trimethylaluminum in the presence of the palladium catalyst give the corresponding methyl compounds in high yields.[1,2] In the continuation of these works this report deals with methylation, ethylation and phenylation of pyridines, quinolines and pyrazines, using organometallic compounds such as trimethylaluminum, triethylaluminum, diethylzinc, triethylborane and tetraphenyltin. Under the same conditions as reported, the halogenated pyridines, quinolines and pyrazines were treated with the organometallic compounds to give the expected products in high yields.



1) A. Ohta, A. Inoue and T. Watanabe, Heterocycles, 22, 2317 (1984).
2) A. Ohta, A. Inoue, K. Ohtsuka and T. Watanabe, Heterocycles, 23, 133 (1985).