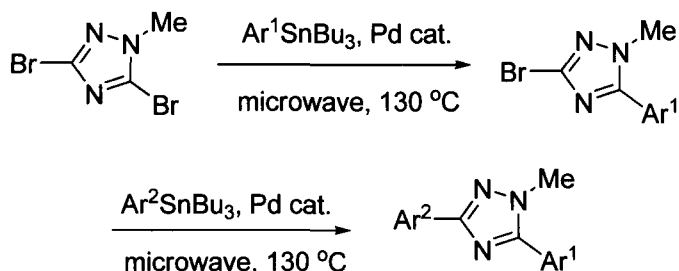


9.2.2 Substitution of the 1,2,4-Triazole

1,2,4-Triazoles are readily alkylated on nitrogen by alkyl halides although it is often difficult to predict which of the possible isomers will be formed.⁸ 1,2,4-Triazole is chlorinated at C-3 through a 1-chloro-derivative that can be isolated.⁸ The 3,5-dibromo-1,2,4-triazole is prepared from the parent 1,2,4-triazole in a 90% isolated yield.¹² The 3,5-dibromo-1,2,4-triazole can be reacted with stannyl derivatives in a microwave to yield 5-aryl-1,2,4-triazoles that can then be further elaborated to 3,5-diaryl-1,2,4-triazoles.¹²



9.2.3 Alkylation of triazoles

Alkylation of 1,2,3-triazole

The 1,2,3-triazole is alkylated on nitrogen by alkyl halides, dimethyl sulfate, diazoalkanes, methyl *p*-toluenesulfonate, or through Mannich reaction. Unsubstituted 1,2,3-triazole prefers alkylation on N-1 when treated with methyl iodide and base. Alternatively, diazomethane regioselectively provides the N-2 alkylated product. The corresponding N-1 alkylated triazole tends to dialkylated at N-3, while the N-2 alkylated triazole needs a more powerful alkylating reagent such as methyl fluorosulfonate to give the 1,2-disubstituted compound.¹³

