BESTMANN-OHIRA REAGENT AND DIAZOSULFONE AS CYCLOADDITION PARTNERS IN THE REGIOSELECTIVE SYNTHESIS OF FUNCTIONALIZED AND FUSED PYRAZOLEs

Dr. Irishi N. N. Namboothiri
Department of Chemistry, Indian Institute of Technology Bombay, Mumbai 400 076, irishi@iitb.ac.in

Abstract: The role of Bestmann-Ohira reagent (dialkyl 1-diazo-2-oxopropylphosphonate, BOR) as a cycloaddition partner has been investigated by us for the first time. Base mediated reaction of BOR with nitro alkenes provided phosphonylpyrazoles with potential for biological activity as single regioisomers in high yield. The same strategy was employed for the synthesis of highly functionalized pyrazoles and pyrazoles fused to carbocycles and heterocycles in a one-pot room temperature reaction. The interconversion between two tautomers of pyrazole was investigated by dynamic (VT) NMR using $^1$H and $^{31}$P as the probe nuclei. Novel pyrazole and pyrazoline phosphonates have also been synthesized by reacting BOR with enones. Recently, we have extended this chemistry to diazosulfones for the synthesis of sulfonylpyrazoles and application of our methodology has been demonstrated by an efficient synthesis of pyrazole alkaloid Withasomnine. A one-pot, two-step, three component conversion of aldehydes to phosphonyl- and sulfonylpyrazoles demonstrating the dual role of diazoalkanes has been carried out. Synthesis of Withasomnine alkaloids and their non-natural analogs from aldehydes and 4-nitro-1-butanol in three steps, and the reactivity of vinyl sulfones as dipolarophiles with BOR and diazosulfone have been reported by us recently.

References