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Palladium-Catalyzed Phosphonylation of Pyrazoles Substituted by Electron-Withdrawing Groups

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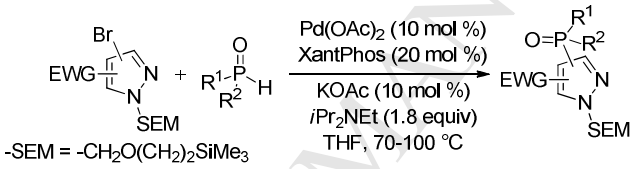

A series of bromopyrazoles substituted by electron-withdrawing groups such as an ester, a trifluoromethyl group or a cyano group were used in Pd-catalyzed phosphonylation. Moderate to good yields were obtained in the corresponding phosphonylated pyrazoles.

Keywords: Pyrazoles, phosphonylation, palladium catalysis

1. Introduction

Substituted pyrazoles are important heterocycles as they display a broad range of biological activities and are extensively used in agrochemical and pharmaceutical applications. For example, rimonabant, an anorectic antiobesity product,[1] fipronil, an insecticide,[2] tebufenpyrad, an acaricide,[3] or viagra[4] are commercially available (Figure 1). In addition, pyrazoles can serve as optical brighteners,[5] U.V. stabilizers,[6] ligands in coordinating compounds,[7] and building blocks in supramolecular assemblies.[8]

Figure 1. Selected examples of bioactive pyrazoles.