Pyrazoles are among the most significant heteroaromatic compounds widely found in biologically and pharmacologically active molecules. They exhibit a variety of biological properties, including anti-inflammatory, antibacterial, analgesic, antifungal, antipyretic, antiviral, anticancer, antiobesity, and plant growth regulating activities, as well as a protein kinase, Cox-2, and HIV-1 reverse transcriptase inhibitory functions. They have been used as valuable building blocks and structural motifs in the synthesis of natural products, agrochemicals, dyes, and medicines. Typical approaches towards the synthesis of pyrazoles are based on the reaction of hydrazine’s with 1,3-dicarbonyl compounds or unsaturated hydrocarbons by condensation and oxidation sequence, the reaction of aryl amines with 1,3-dicarbonyl compounds forming β-amino α,β-enoates or enones, which would react further with nitriles. Accordingly, there is a demand for a facile one-step approach for the synthesis of pyrazoles. Herein, we present a synthesis of polysubstituted N-arylpyrazoles by oxidative [2+2+1] cycloaddition of readily available arylhydrazine hydrochlorides with β-enamino esters by using indium (III)/silver (I) dual catalysis (Scheme 1).

Scheme 1. Indium/silver dual-catalyzed construction of diverse and polyfunctionalized N-arylpyrazoles.

