In/Ag-CATALYZED CONSTRUCTION OF *N*-ARYLPYRAZOLES *VIA* REGIOSELECTIVE [2+2+1]-OXIDATIVE *N*-ANNULATION: TWO IS BETTER THAN ONE

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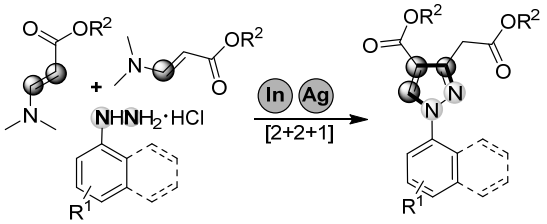
Pyrazoles are among the most significant heteroaromatic compounds widely found in biologically and pharmacologically active molecules.[1] They exhibit a variety of biological properties, including anti-inflammatory, antibacterial, analgesic, antifungal, antipyretic, antiviral, anticancer, antidiabetic, 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.[2] 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).[3]



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

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