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Efficient Synthesis and *Iodine*-Functionalization of Pyrazoles using KIO_3/PhSeSePh System

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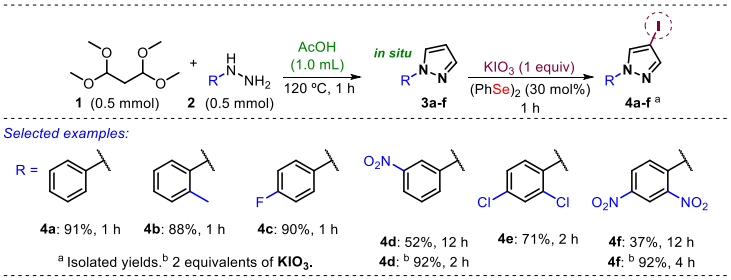
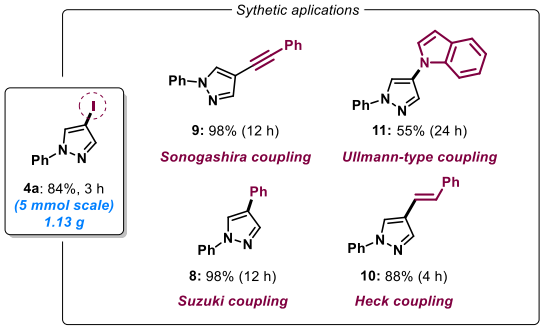
ABSTRACT

Pyrazoles are five-membered heterocyclic compounds, containing two adjacent nitrogen atoms, found in a range of compounds with biological properties.[1] Currently, several pharmaceutical products on the market, incorporate pyrazole in their fundamental structure.[2] Furthermore, some derivatives demonstrate important photophysical properties, including very selective fluorescence sensors and high Stokes' shifts.[3] In this context, the 4-iodopyrazoles derivatives stands out in this class because they are important synthetic tools for various cross-coupling reactions. In particular, this becomes interesting since additional functionalization allowing access to more complex and valuable systems.[4] This led to a significant research field whose goal for new synthetic or functionalization routes for these promising structures.[5] In this way, we developed an easy one-pot synthesis of 4-iodopyrazoles using 1,1,3,3-tetramethoxypropane **1** and arylhydrazines **2**, followed by the utilization of a novel iodination system under acidic conditions (Scheme 1). Besides, large-scale product **4a** was used for synthetic applications, obtaining the cross-coupling products in good yields (Scheme 2).



Scheme 1. Scope investigation of 4-iodo-1-aryl-1*H*-pyrazoles **4a-f**. [a-b]



Scheme 2. Synthetic applications for compound **4a**.

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