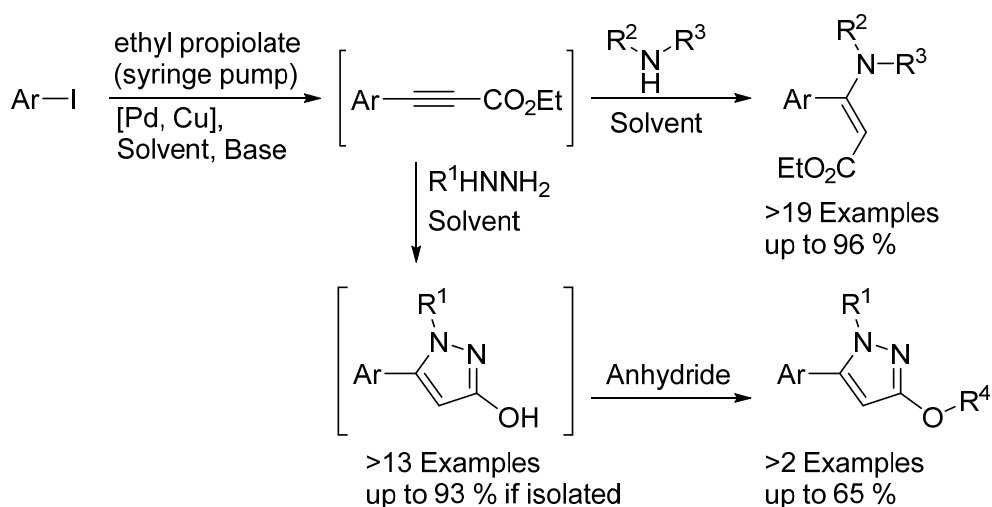


# ONE-POT MULTI-COMPONENT COUPLING-ADDITION SYNTHESIS OF HYDROXYPYRAZOLES, ALKOXYPYRAZOLES, AND $\beta$ -AMINO ENOATES

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In heterocyclic synthesis ethyl arylpropiolates are especially valuable building blocks as Michael systems or dienophiles, which can be excellently transformed into more complex structures. [1] Thus, a simple approach from aryl iodides covers a broad scope in very good yields. [2] The aim of this work is the easy accessibility of  $\beta$ -amino enoates, hydroxypyrazoles and alkoxy pyrazoles in sequential one-pot syntheses, i.e. without the isolation of intermediates. The sequence starts with Pd(0)/Cu(I)-catalyzed Sonogashira reaction of aryl iodides and ethyl propiolate (added by syringe pump to circumvent unproductive side reactions). The generated Michael acceptors are subsequently transformed with hydrazines into biologically interesting hydroxypyrazoles in excellent yields. In addition, hydroxypyrazoles can be transformed into alkoxy pyrazoles. A variety of  $\beta$ -amino enoates are generated from the Michael acceptors with secondary amines in excellent yields. Further transformations of the intermediate ethyl 3-(hetero)aryl propiolate into heterocycles are currently underway.



[1] E. Schreiner, S. Braun, C. Kwasnitschka, W. Frank, T. J. J. Müller, *Adv. Synth. Catal.* **2014**, 356, 14-15.

[2] A. C. Götzinger, C. S. Michaelis, T. J. J. Müller, *Dyes Pigm.* **2017**, 143, 308-316.