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Cascade Multicomponent Synthesis of Indoles, Pyrazoles, and Pyridazinones by Functionalization of Alkenes
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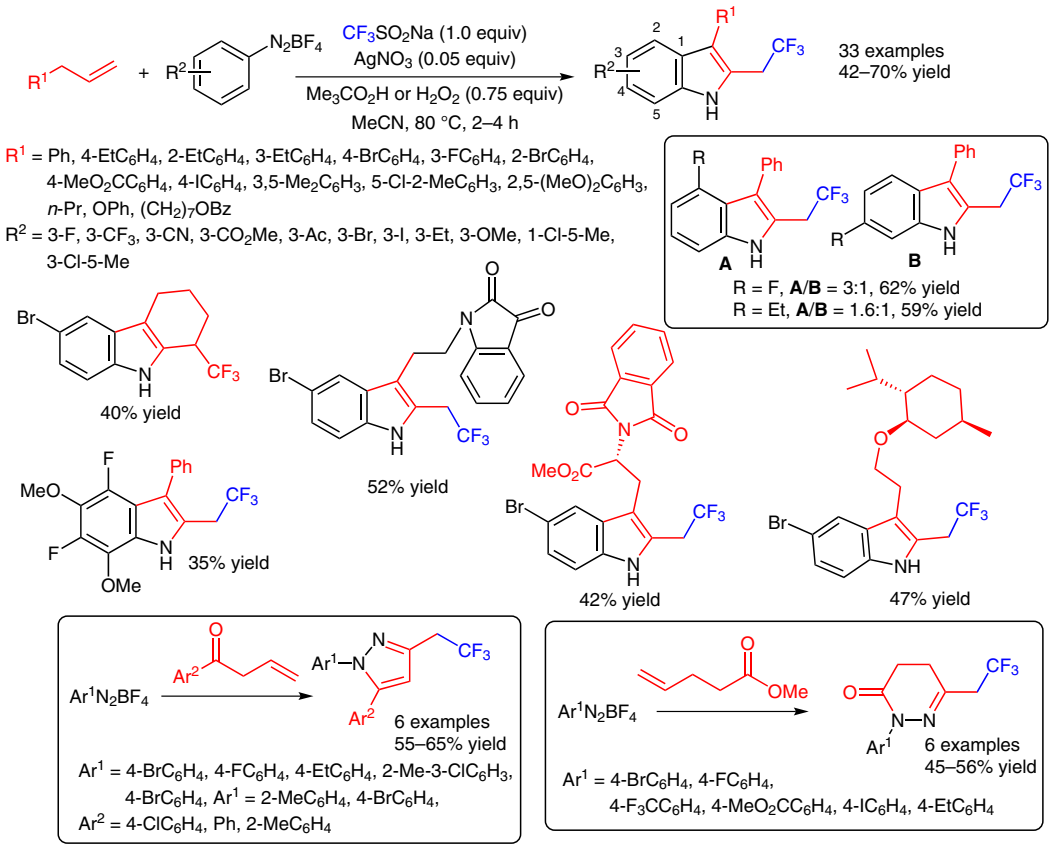
Synthesis of Indoles, Pyrazoles, and Pyridazinones



Significance: Reported is a one-pot synthesis of indoles, pyrazoles, and pyridazinones by a variation of the Japp–Klingemann Fischer indole synthesis, involving a trifluoromethylation. The reaction was found to well-tolerate a variety of functionalized arenediazonium salts and aryl allyl ketones. *meta*-Substituted arenediazonium salts provided mixtures of regioisomeric indoles (**A** and **B**). *para*-Substituted arenediazonium salts were also used with methyl pent-4-enoate to provide dihydropyridazinones in good yields.

Comment: The indole and pyrazole heterocyclic core is found in a number of top-selling drugs, such as sumatriptan, zolmitriptan, rizatriptan, tadalafil, and celecoxib (M. Baumann et al. *Beilstein J. Org. Chem.* **2011**, *7*, 442). Therefore, a simple and efficient synthesis of these heterocyclic cores is a worthwhile quest. The developed method gives access to various trifluoromethylated heterocycles. Previously, a similar methodology has been used to synthesize pyrazoles (A. Citterio et al. *J. Heterocycl. Chem.* **1981**, *18*, 763). Unexplained is the fact that all examples of dihydropyridazinone synthesis use *para*-substituted diazonium salt precursors.

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