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.

**Equation:**

\[
\text{R}^1\text{N}_2\text{BF}_4 + \text{Ar}^2\text{N}_2\text{BF}_4 \rightarrow \text{Ar}^1\text{N}_2\text{BF}_4,
\]

where \( \text{Ar}^1\) and \( \text{Ar}^2\) are aryl groups.

**Key words:** indoles, pyridazinones, pyrazoles, diazonium salts, alkenes.