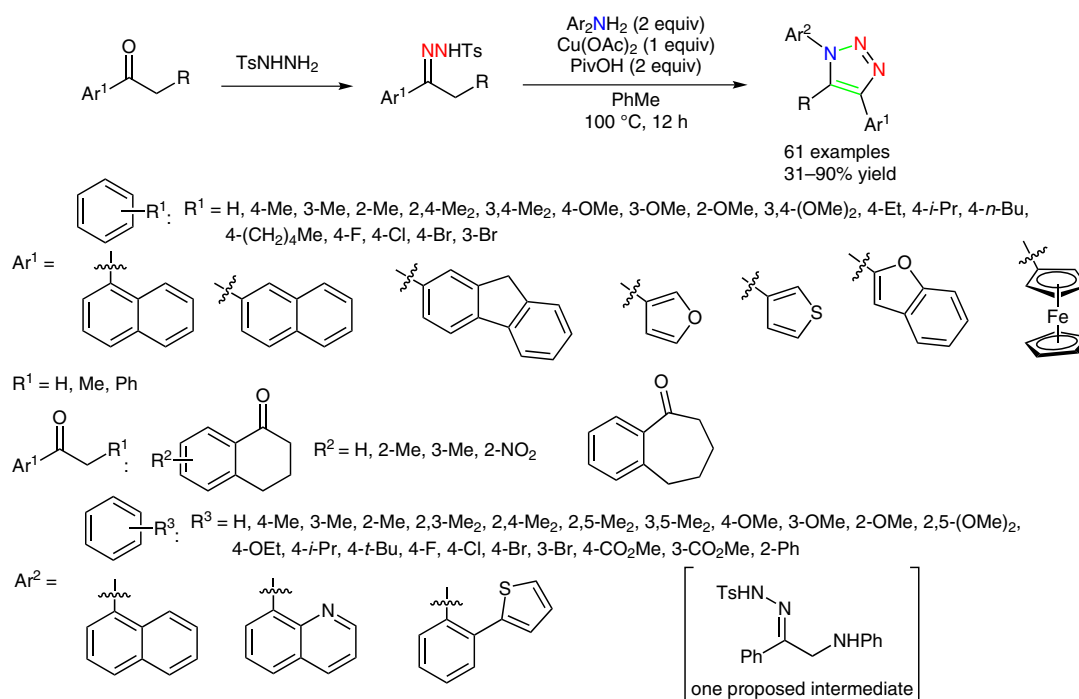


Synthesis of 1,2,3-Triazoles from *N*-Tosylhydrazones and Anilines



Significance: Reported is a remarkable synthesis of 1,4-disubstituted and 1,4,5-trisubstituted 1,2,3-triazoles. The reaction of readily available *N*-tosylhydrazones with anilines is mediated by copper(II) and pivalic acid. The reaction involves cyclization through C–N and N–N bond formation. This method enables an azide-free access to 1,2,3-triazoles with high efficiency under mild conditions. It exhibits a broad scope tolerating anilines with either electron-withdrawing or -donating groups and a variety of *N*-tosylhydrazones. More significantly, the two-step synthesis starting from aryl ketones to the desired triazoles can also be executed in a one-pot fashion without compromising the yield. An exclusive high regioselectivity – 1,4-disubstituted or 1,4,5-trisubstituted products – constitutes another advantage of this method.

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Comment: The most common route to build 1,2,3-triazoles is through Huisgen-type [3+2] cycloadditions. Although numerous methods for the improvement of the Huisgen reaction were reported recently, it is inevitable that an azide (which may sometimes not be readily available) will be involved. To the best of our knowledge, this paper is the first report to synthesize 1,2,3-triazoles without using toxic and potential explosive azide species. A possible tosylhydrazone intermediate (see structure above) of the reaction was proposed and synthesized. Its subsequent treatment with a catalytic amount of copper resulted in the formation of the expected product. Examples of utilizing heterocyclic amines (e.g., 3-aminopyridine) as substrates in this reaction may be anticipated.