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SYNTHESIS OF FUNCTIONALIZED DIHYDROPYRROLES AND DIHYDROFURANS VIA INTRAMOLECULAR FURAN-YNE REACTION AND THEIR FURTHER ANNULATION

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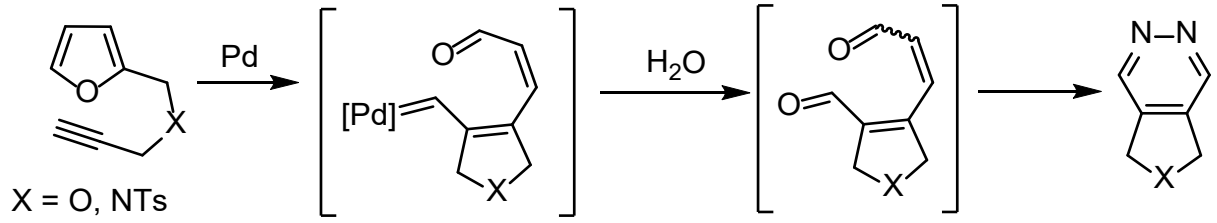
Abstract. Dearomatization of the furan ring has proved to be a relatively effective strategy among the synthetic methods toward heterocycles.[1] The homogeneous metal-complex catalysis plays a crucial role in this field as a proper tuning of a catalytic system as well as smart design of a starting material could lead to the formation of a highly complex functionalized product within a single synthetic operation.[2]

In independent studies of phenol synthesis based on a cascade transition metal-catalyzed transformation of furyl-tethered acetylenes, the research groups of Hashmi and Echavarren isolated the dicarbonyl by-product formed via water addition to the carbene center in trace amounts.[3,4]

Motivated by the hypothesis that such highly functionalyzed compounds can have high synthetic potential as building blocks in organic chemistry, we explored the process in detail, found optimal reaction conditions toward their selective formation and studied their reaction toward pyridazine formation.



Scheme 1. Synthesis of pyridazines

Details of the optimization studies, scope and limitation of the developed synthetic method as well as further synthetic Aves of the obtained products will be discussed.

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