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GASEOUS CO-FREE REDUCTIVE CYCLIZATION REACTIONS OF NITROARENES: TWO HEADS BETTER THAN ONE!

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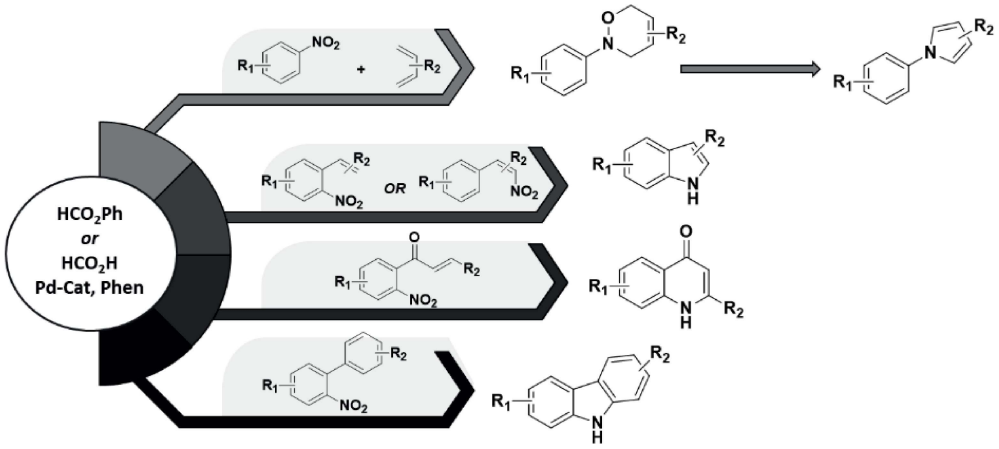
In 1986, Cenini and co-workers lit the fuse that eventually led to the synthesis of indoles through the reductive cyclization reaction of *o*-nitrostyrenes using CO as the reductant under harsh conditions.[1] Despite the fact that this type of reaction is still an intriguing area for research and development, it has not become of widespread use. This may be due to the need to use pressurized CO, which requires safety measures that are not accessible in most synthetic organic laboratories. As a result, our research group was the first to use phenyl formate [2-5] and formic acid [6] as cheap, readily available, effective, and safe *in-situ* CO surrogate for the reductive cyclization of a variety of nitroarenes to yield different *N*-heterocycles (**Scheme 1**). It is worth noting that, in most cases, yields were better than those previously reported using pressurized CO, even in a large-scale reaction, indicating that the use of these surrogates should not necessarily be considered a backup option when the use of CO gas is not possible. The reactions could be performed in a cheap thick-walled glass "pressure tube" instead of less available autoclaves, making this kind of reaction a "general tool" for the synthetic chemist.



Scheme 1. Synthesis of different *N*-heterocycles from nitroarenes.

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