ONE-STEP SYNTHESIS OF SUBSTITUTED 2-OXAZOLIDINONES VIA BASE-CATALYZED CO_2-FIXATION AND AZA-MICHAEL ADDITION

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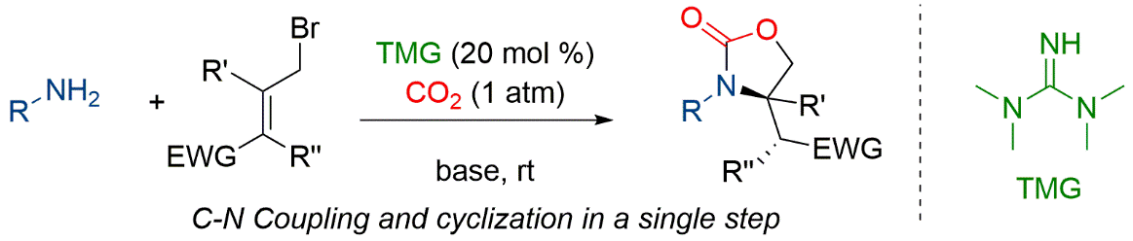
2-Oxazolidinones are a class of saturated heterocyclic compounds, which are increasingly popular targets in modern drug design. In this work we describe a novel, one-step pathway to 3,4-disubstituted 2-oxazolidinones via aza-Michael addition using CO_2 as a carbonyl source and catalytic 1,1,3,3-tetramethylguanidine (TMG).[1] The reaction is performed under mild conditions, and enables a modular synthesis between a γ-brominated Michael acceptor, CO_2 and an arylamine, aliphatic amine or phenylhydrazine,. Good yields (avg. 75 %) are obtained in a regiospecific manner, and with excellent functional group compatibility. Furthermore, we demonstrate late-stage functionalization of complex molecules and pharmaceuticals. Our experimental data supports a multi-step mechanism: TMG-assisted carbamate formation from aniline; alkylation, formation of a *O*-alkyl carbamate; and the final cyclization via an intramolecular aza-Michael addition.



C-N Coupling and cyclization in a single step

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[1] Jere K. Mannisto *et al*. One-step Synthesis of 3,4-Disubstituted 2-Oxazolidinones via Base-catalyzed CO_2-Fixation and Aza-Michael Addition, Manuscript submitted 2019