

VISIBLE-LIGHT ENABLED LATE-STAGE AMINOCARBONYLATION OF ALKYL IODIDES WITH CARBON MONOXIDE

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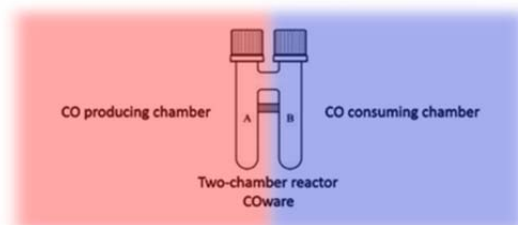
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Palladium-catalyzed carbonylation is a standard method to incorporate labeled carbon monoxide (CO) into complex druglike molecules. In this reaction, aryl or vinyl halides are coupled with CO in the presence of an appropriate nucleophile to afford the corresponding carbonyl-labeled product.[1] The scope of this reaction was recently broadened to include alkyl halides as the electrophilic coupling partner for unlabeled CO using visible light.[2,3] Odell et al demonstrated that alkyl halides could be effectively carbonylated with Pd(PPh₃)₄ catalysis to generate ketones under low CO pressure (2-3 atm).[2]

While the methodology described by Odell et al is useful for the transformation of alkyl halides under “cold” conditions, using an excess of CO in radiochemical synthesis is not optimal. Using the procedure by Odell et al as a starting point, we have adapted the methodology to give moderate yields of carbonylated product with 1 equivalents of CO.



[1] Friis, S. D. et al. *Acc. Chem. Res.* **2016**; 49, 4, 594–605.

[2] Roslin, S.; Odell, L. R. *Chem. Commun.* **2017**; 53, 51, 6895–6898.

[3] Chow, S. Y. et al. *Chem. Eur. J.* **2016**; 22, 27, 9155–9161.