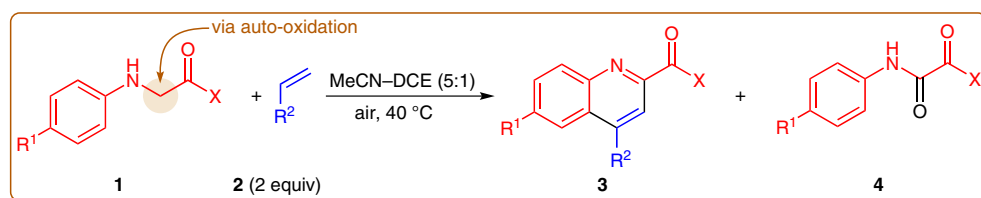
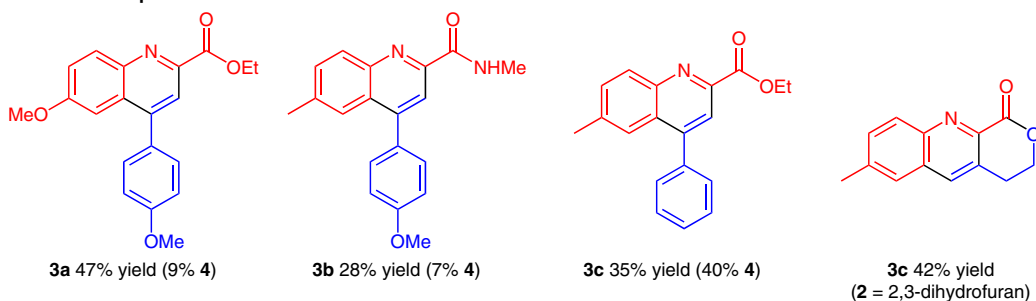


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Auto-Oxidative Coupling of Glycine Derivatives  
*Angew. Chem. Int. Ed.* **2014**, *53*, 13544–13547.

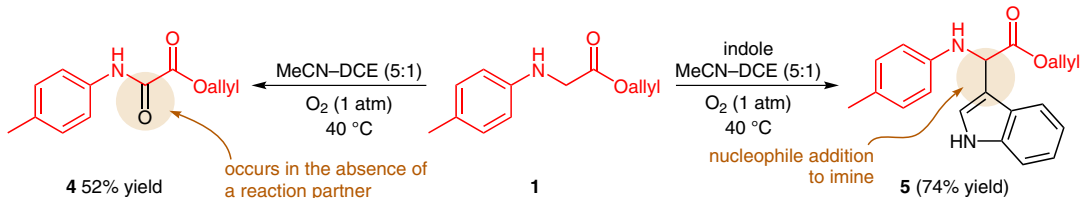
## Auto-Oxidation–Povarov Annulation Approach to Quinolines



### Selected examples:



### Other reactions also reported:



**Significance:** The reported auto-oxidation of *N*-aryl glycines **1** afforded a versatile imine intermediate, which underwent the Povarov annulation affording **3**; further oxidation led to **4**, while nucleophilic addition afforded **5**. When 2,3-dihydrofuran was employed in the Povarov reaction, a subsequent ring opening–ring closing sequence was observed, resulting in the isolation of compound **3c**. The reported Povarov reaction, in particular, is complementary to existing reactions of anilines with glyoxalates and terminal alkynes under acidic catalysis (for example, see: J. B. Bharate et al. *Org. Biomol. Chem.* **2014**, *12*, 6267) and avoids the selectivity issues associated with the functionalization of bishalogenated quinolines.

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**Comment:** Although a more extensive demonstration of substrate scope or functional group tolerance would have been appreciated in the presented synthesis, highlights include the tolerance of *N*-acyl glycines, allyl esters, and halides. Oxidation side products **4** were always observed in the Povarov annulations, but were minimized when R<sup>2</sup> was an electron-rich substituent. The reaction rates were found to be highly dependent on solvent choice, with a 5:1 mixture of MeCN and DCE required for optimal reactivity. The reaction efficiency was also noted to depend on the use of 3–5 week old DCE or on the addition of a trace quantity of HCl, an observation that will impact the reproducibility of these results without due attention.