Palladium-Catalyzed C-H Activation: A Versatile Gateway to Biologically Active Fused 1,2,3-Triazole (Dihydro)quinolines

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The development of novel nitrogen-containing polycyclic heterocycles represents a milestone of modern medicinal chemistry, as these structures often possess pharmaceutical activity. Among these, 1,2,3-triazole-fused quinolines and dihydroquinolines were subject to a rising attention from researchers due to the therapeutic potential of both the quinoline and 1,2,3-triazole moieties. Molecules containing those frameworks have already shown promise as kinase inhibitors (Qiao et al., 2019; Zhang et al., 2023), diabetics II inhibitors (Avula et al., 2023), and antibacterials (Mukusheva et al., 2025) activities.

In this work (Ullah et al., 2025), we developed a simple and efficient synthetic approach toward structurally diverse fused polycyclic [1,2,3]triazolo[4,5-c]quinolines and 4,5-dihydro-[1,2,3]triazolo[4,5-c]quinolines.

The protocol is based on an intramolecular palladium-catalyzed C-H activation that bears together high atom economy and broad functional group tolerance. By fine-tuning the reaction conditions, we achieved high yields and selective access to those systems.

To further investigate the pharmaceutical utility of this method, Suzuki cross-coupling, Buchwald amination, and selective reduction were tested on those scaffolds.

These transformations enable the synthesis of complex derivatives with desired properties, serving as a tool for drug discovery processes.