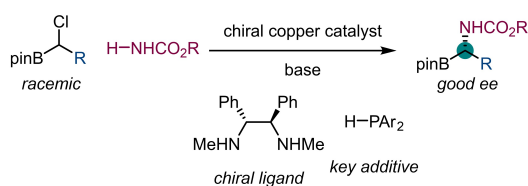


## Enantioselective Synthesis of $\alpha$ -Aminoboronic Acid Derivatives via Copper-Catalyzed N-Alkylation

G. Zuccarello<sup>1</sup>, S. M. Batiste<sup>1</sup>, H. Cho<sup>1</sup>, G. C. Fu<sup>1\*</sup>

<sup>1</sup>Division of Chemistry and Chemical Engineering, California Institute of Technology, Pasadena, California 91125, United States

Optically active  $\alpha$ -aminoboronic acids, bioisosteres of natural  $\alpha$ -amino acids, find application in medicinal chemistry as antitumor, antiviral, and antibacterial agents and for the treatment of type II diabetes. Herein, we present a new direct synthetic strategy based on the enantioselective cross-coupling of readily available racemic  $\alpha$ -chloro boronates with carbamates using an earth-abundant copper catalyst with commercial DMPEDA and a diaryl phosphine as the ligands. These reaction conditions originated from a detailed mechanistic study of a photoinduced system.



reaction development: driven by mechanistic studies

- [1] Giuseppe Zuccarello, Suzanne M. Batiste, Hyungdo Cho, Gregory C. Fu *J. Am. Chem. Soc.*, **2023**, 145, 3330–3334.
- [2] Guilherme Felipe Sandos Fernandes, William Alexander Denny, Jean Leandro Ds Santos *Eur. J. Med. Chem.* **2019**, 179, 791–804.
- [3] Gregory C. Fu *ACS Cent. Sci.* **2017**, 3, 692–700.
- [4] Caiyou Chen, Jonas C. Peters, Gregory C. Fu *Nature* **2021**, 596, 250–256.
- [5] Hyungdo Cho, Hidehiro Suematsu, Paul H. Oyala, Jonas C. Peters, Gregory C. Fu *J. Am. Chem. Soc.* **2022**, 144, 4550–4558.