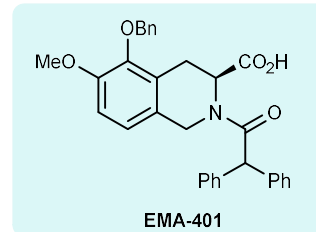
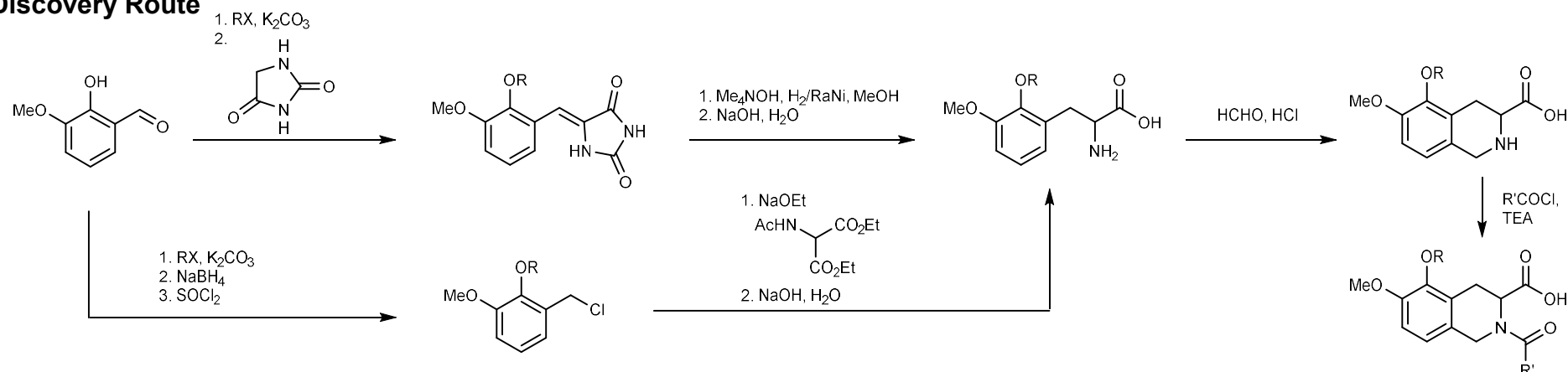




- First reports from early 1990s
- Identified in program developing angiotensin II type 2 receptor (AT₂R) antagonists
- In-licensed to Novartis in 2015
- Was in phase II clinical trials for the treatment of peripheral neuropathic pain

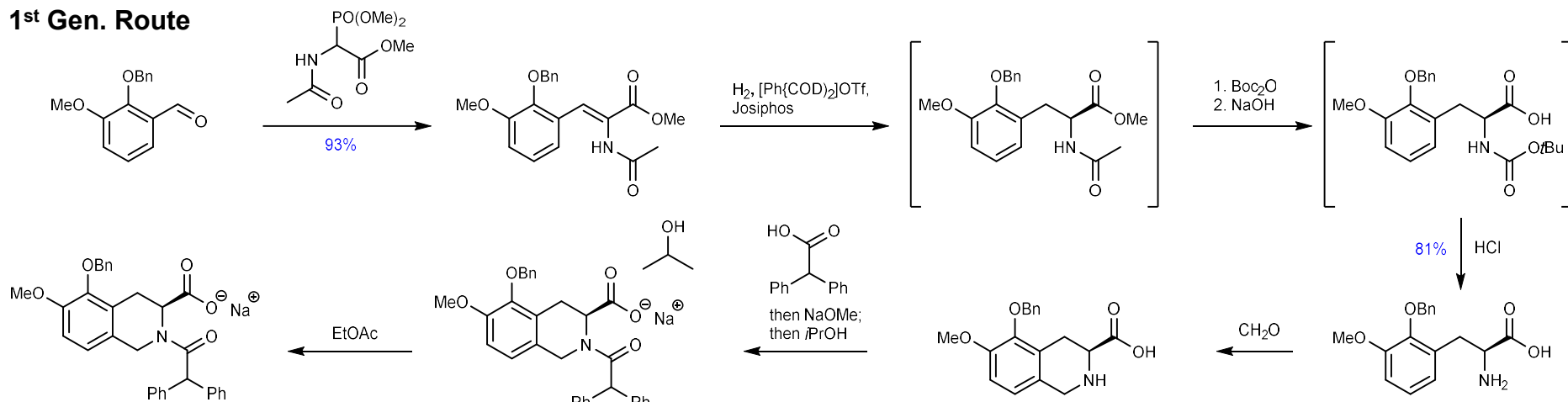


Discovery Route



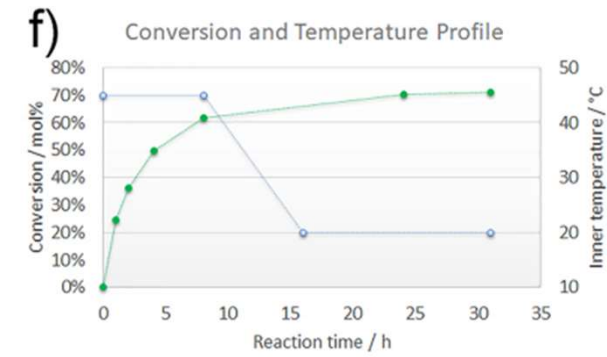
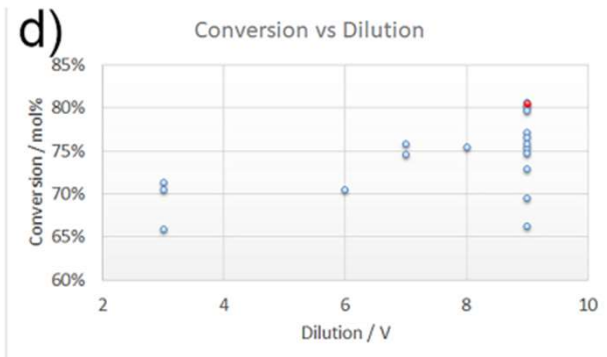
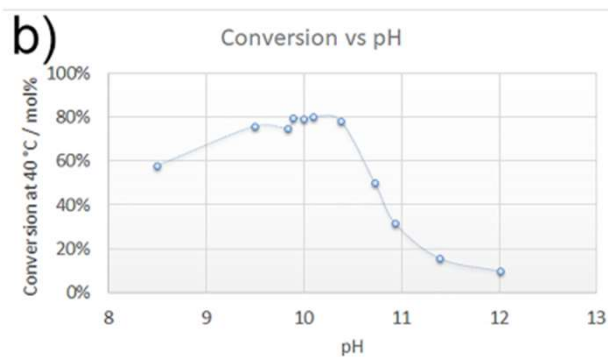
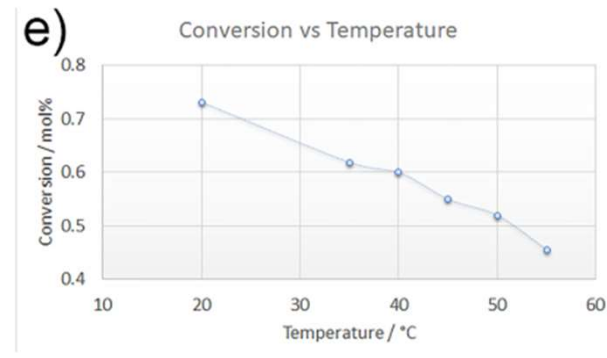
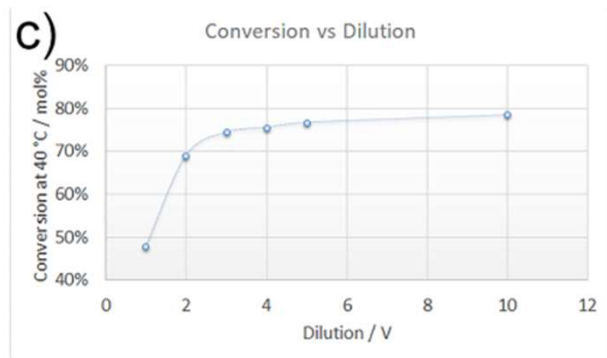
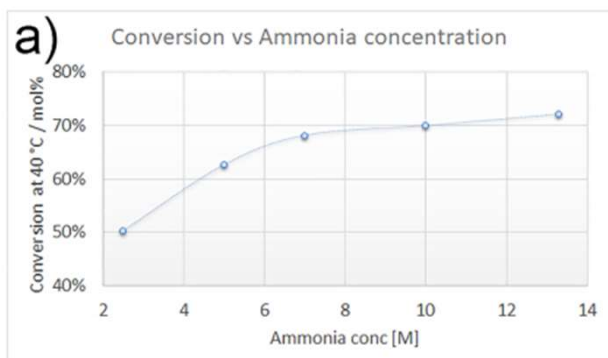
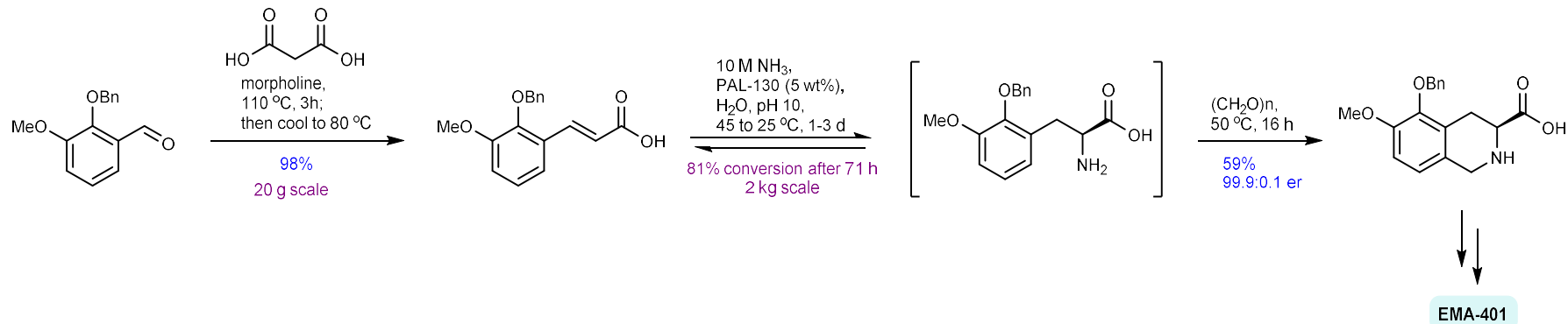
Hodges, J. C. *Bioorganic & Medicinal Chemistry Letters* **1994**, *4*, 57. [https://doi.org/10.1016/S0960-894X\(01\)81122-7](https://doi.org/10.1016/S0960-894X(01)81122-7)

1st Gen. Route



Hardegger, L. A. *Org. Process Res. Dev.* **2020**. <https://doi.org/10.1021/acs.oprd.0c00215>

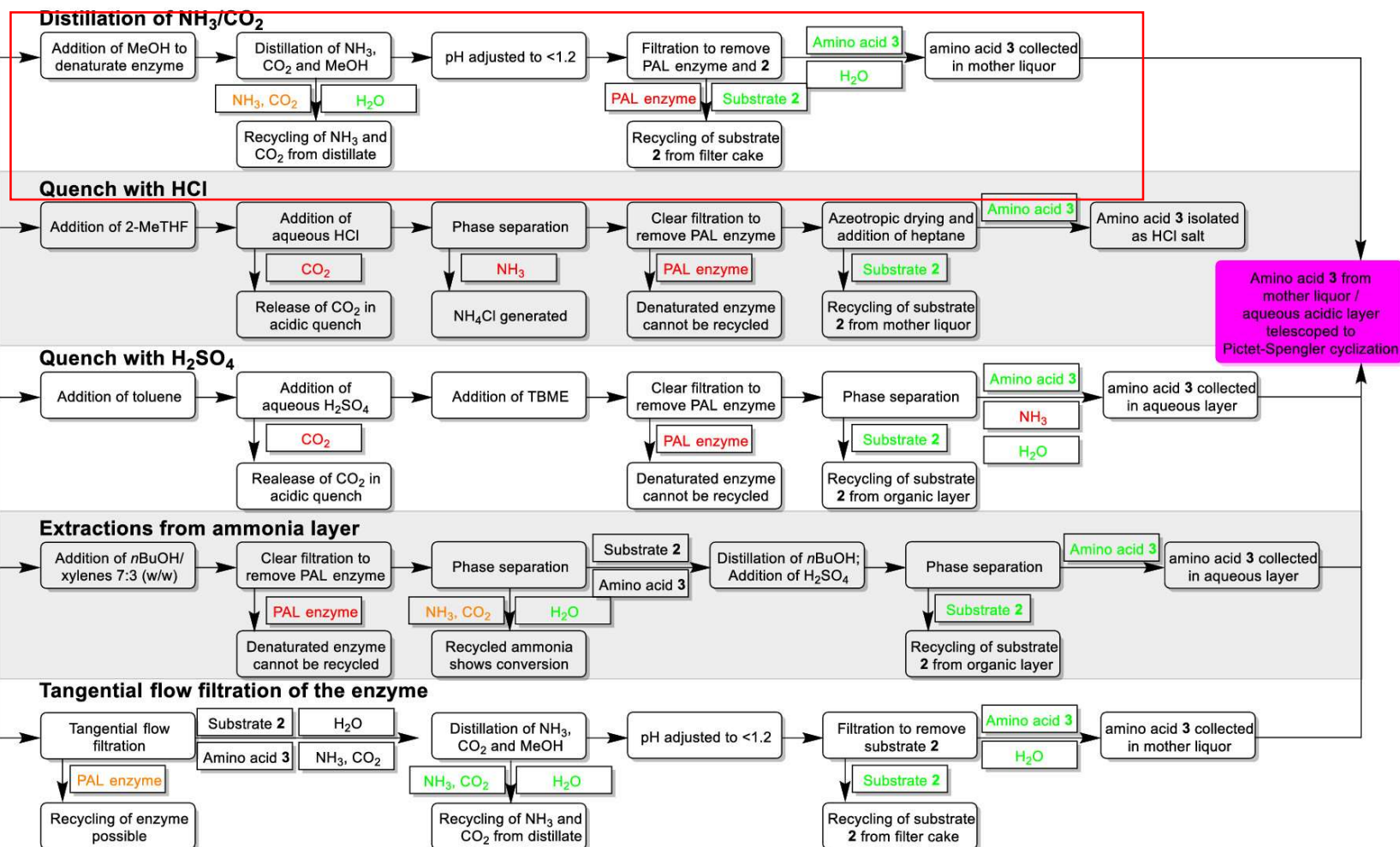
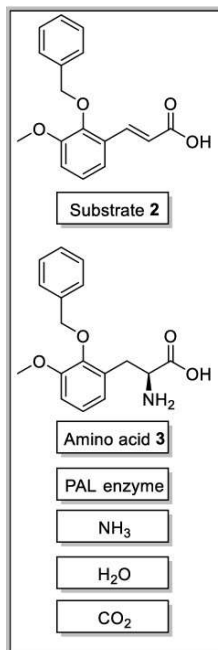
Optimizing Access to Precursor:



Hardegger, L. A. *Org. Process Res. Dev.* **2020**. <https://doi.org/10.1021/acs.oprd.0c00217>

Reaction mixture

Work-up Options



Legend:
■ Full recycling possible
■ Partial recycling possible
■ No recycling possible