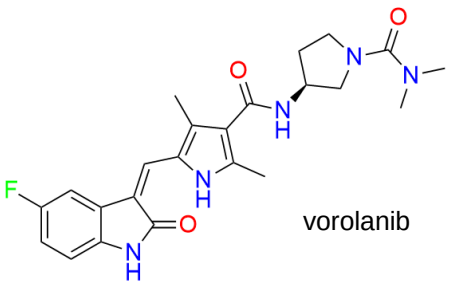
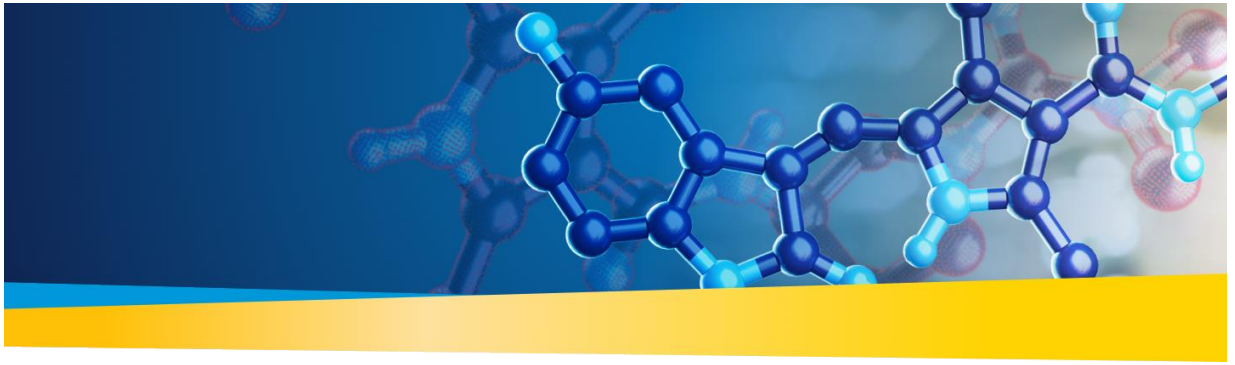
Vorolanib

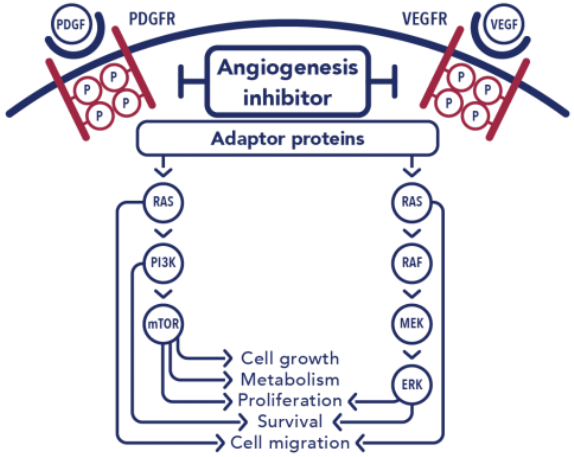


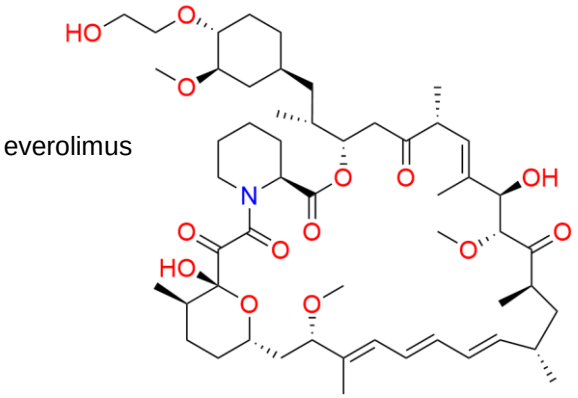
vorolanib



Overview

- small-molecule, multi-kinase inhibitor ideal for use in combination therapies
- currently available on the Chinese market, approved in combination with everolimus for patients with advanced renal cell carcinoma (RCC)
- Xcovery: phase 3 clinical trials
- highly potent, short half life (≤ 8.5 hours), no drug accumulation
- potentially less toxic compared to sunitinib, a similar multi-targeted tyrosine kinase receptor inhibitor
- intermittent inhibition of angiogenesis with once-daily dosing
- effective for the treatment of ocular disorders: age-related macular degeneration (AMD)





everolimus

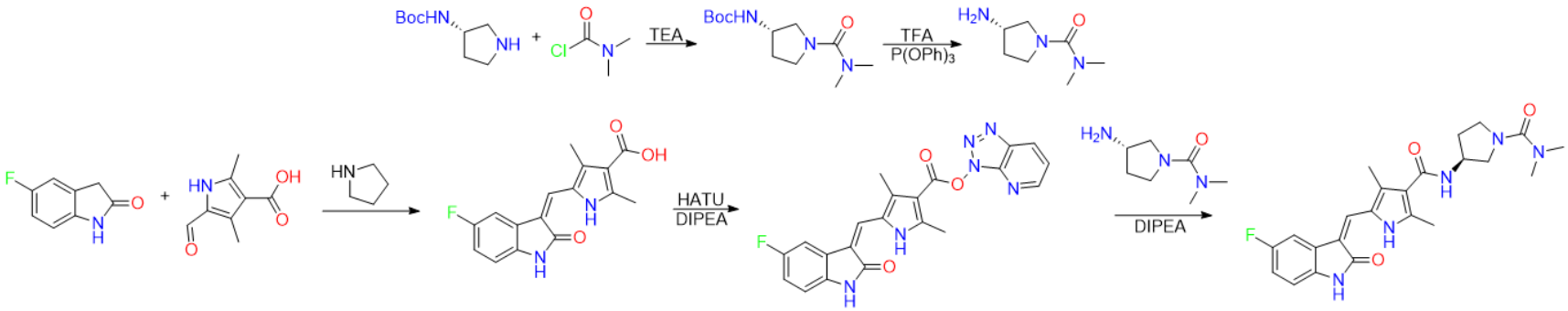
Pharmacology

- angiogenesis inhibitor
  - angiogenesis: necessary to sustain tumor growth dependent on the activation of various growth factors
  - inhibits the activity of vascular endothelial growth factor (VEGF), platelet-derived growth factor (PDGF), and FMS-like tyrosine kinase-3 (FLT-3) receptors
  - competitive inhibition at the ATP binding site of tyrosine kinase

https://xcovery.com/our-research/vorolanib/
Liang, C. Mol Ther Oncolytics. **2022** *24* 577-584. https://doi.org/10.1016/j.omto.2022.01.001
Gao, Y. *Eye* **2023** *37*, 3228–3233. https://doi.org/10.1038/s41433-023-02496-x

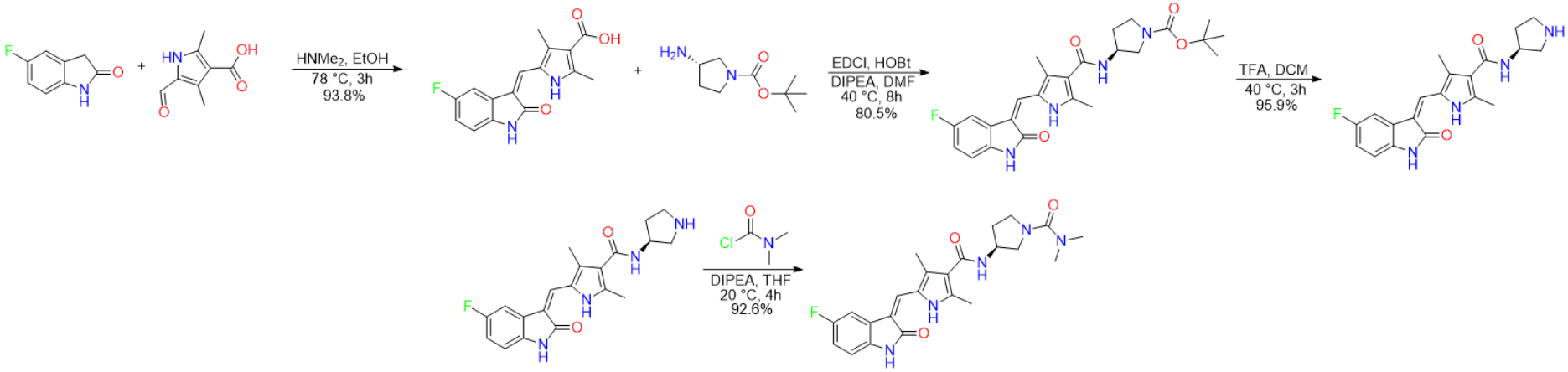
Vorolanib

Patent Route



- patent is lacking in specifics regarding vorolanib's synthesis

Process Route



- total yield of 67.1%, purity of 99.97%, no column chromatography, no chiral degradation

Xiu, X. Org. Process Res. Dev. **2024** https://doi.org/10.1021/acs.oprd.3c00311