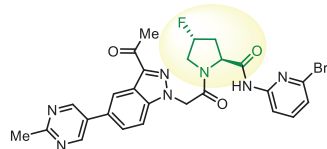


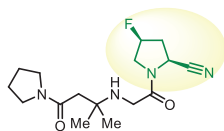
Fluoroprolines

Introduction

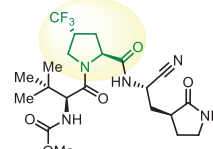
Fluorinated prolines help improve the lipophilicity, conformation, and dynamic properties of drugs.¹ The first fluoroproline-based drug molecule, danicopan, was approved by the FDA in March 2024.² Prusogliptin, another drug candidate, has recently shown success in Phase 3 trials.³ In April 2024, Pfizer disclosed the second-generation inhibitor of the SARS-CoV-2 main protease containing trifluoromethylproline. In this molecule, the fluorination enhances the dynamics of the amide rotation, thereby eliciting beneficial effects on bioavailability.⁴ Enamine offers a broad and remarkably rich collection of fluorine-containing analogues of proline.



Danicopan
complement factor D inhibitor
FDA approved 2024, treatment of paroxysmal nocturnal hemoglobinuria
AstraZeneca

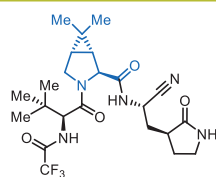


Prusogliptin
dipeptidyl peptidase-4 inhibitor
Phase 3 trials against type 3 diabetes completed 2024
CSPC Pharm. Group



PF-07817883
second generation SARS-CoV2 main protease inhibitor
Phase 1 clinical trials ongoing
Pfizer

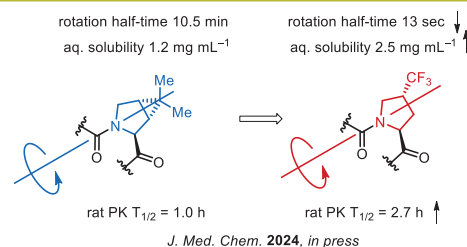
Case study



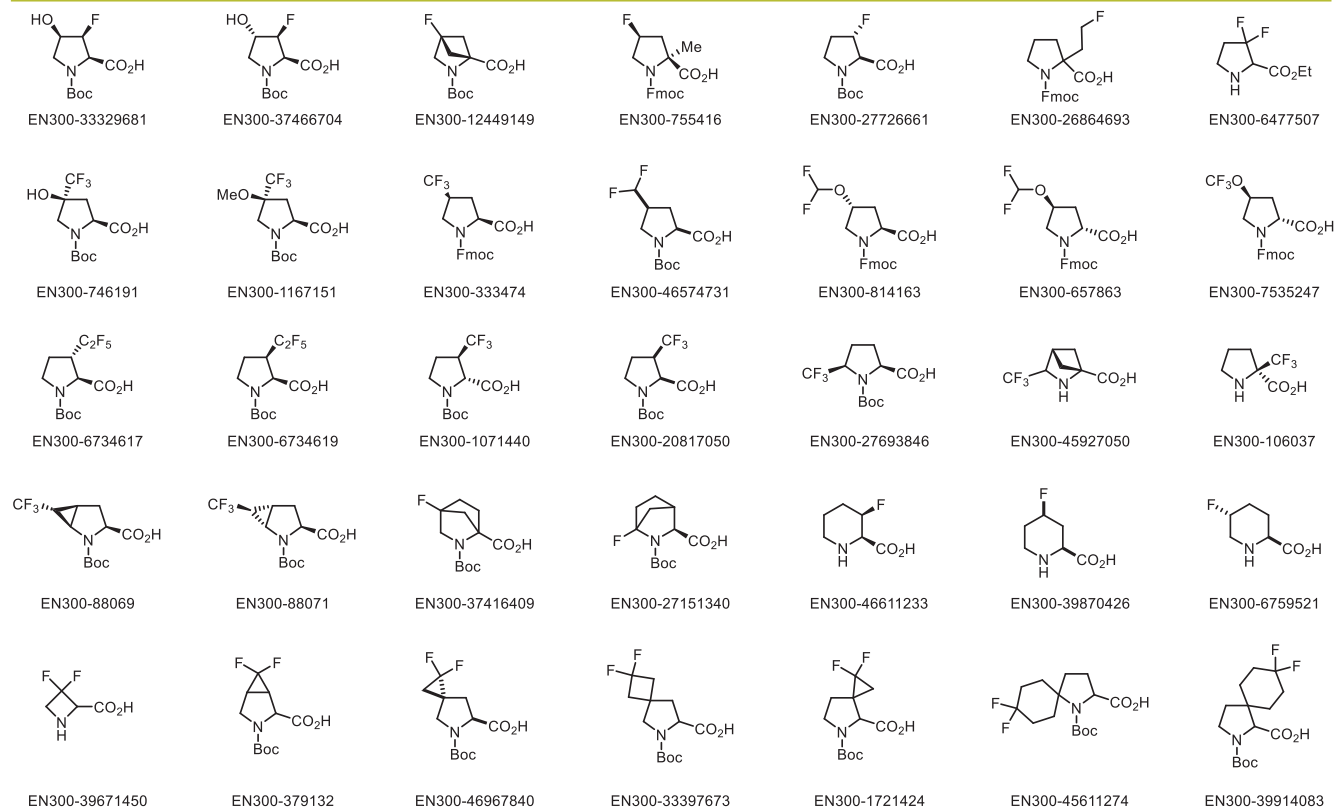
Nirmatrelvir
SARS-CoV2 main protease inhibitor
FDA approved 2023, against COVID-19



PF-07817883
second generation SARS-CoV2 main protease inhibitor
Phase 1 clinical trials ongoing



We offer: over 100 fluoro- and fluoroalkyl-prolines from stock on 5-10 gram scale.



References

1. P. Mykhailiuk. *J. Org. Chem.* **2022**, 87, 6961.
2. J. Wiles et al. *Cur. Med. Chem.* **2020**, 27, 4165.

3. W. Wang et al. *Diabetes Obes. Metab.* **2024**, 26, 1321.
4. C. Allerton et al. *J. Med. Chem.* **2024**, in press.



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