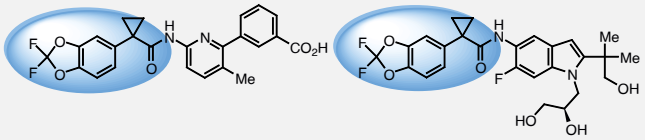
Fluorinated Benzodioxoles

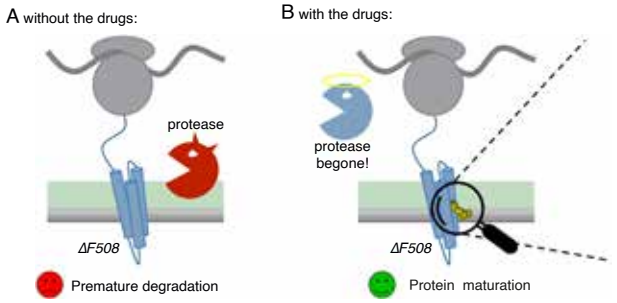
Introduction

Drugs with the benzodioxole moiety showed excellent bioavailability and low cytotoxicity. The benzodioxole structure inspired the creation of fluorinated analogues to improve drug-target interactions and metabolic stability. *Lumacaftor* and *Tezacaftor* represent an innovative type of drugs: **the small molecule chaperones**. The difluoro-1,3-benzodioxol-5-yl-cyclopropane carboxamide group, shared between both drugs, binds to the nascent chain of the mutant protein during its biosynthesis. In this way, the protein corrects the folding defects and escapes premature degradation that could cause disease.[1-4] *Enamine* offers a variety of advanced building blocks that share the difluoro-benzodioxole core structure.

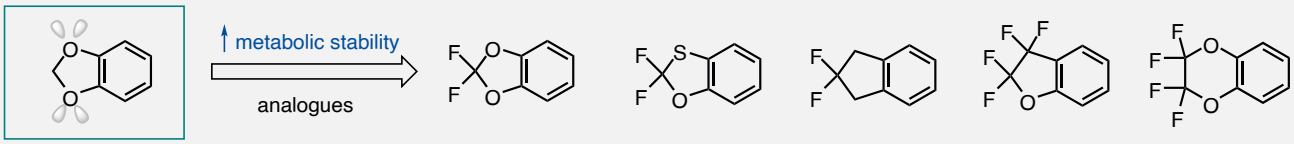


Lumacaftor (VX-809)
Treatment of Cystic Fibrosis
Vertex Pharmaceuticals
2015

Tezacaftor
Treatment of Cystic Fibrosis
Vertex Pharmaceuticals
2019

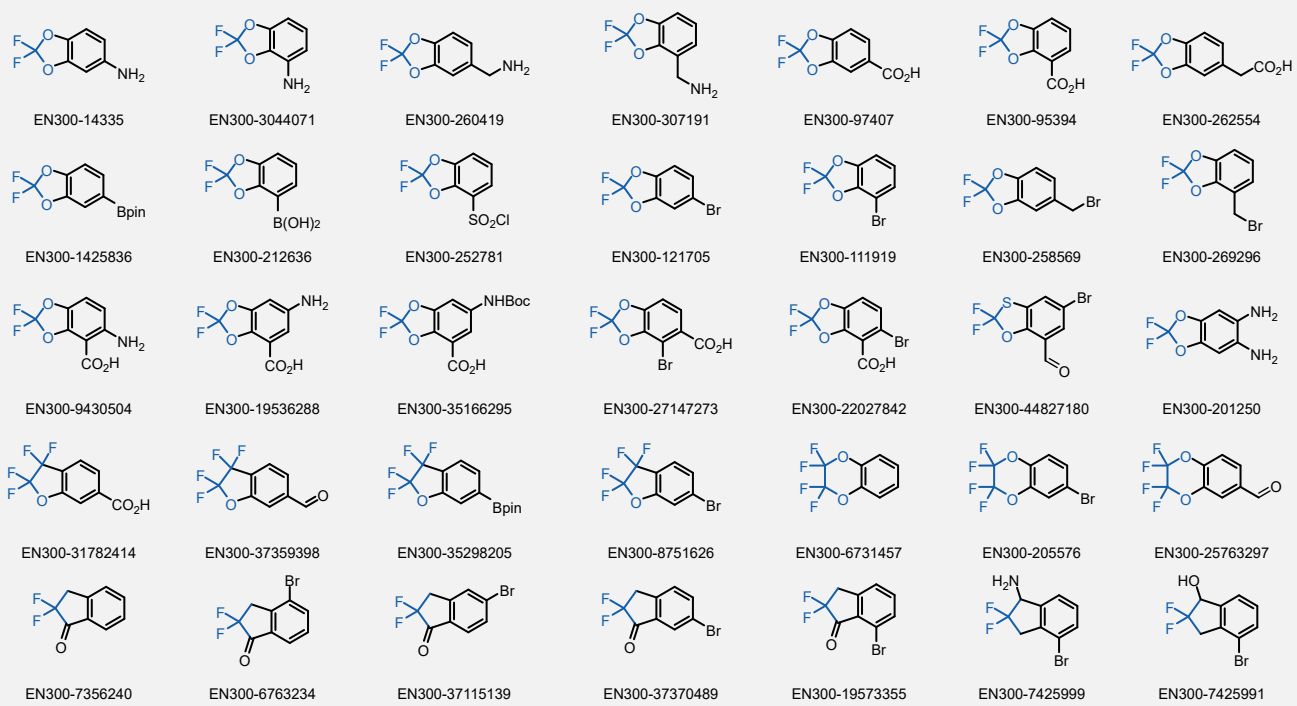


Case study



17 approved drugs
57 natural products

We offer: more than 50 fluorinated benzodioxoles from stock on a 5-10 g scale



References

1. J. Richter *et al. J. Med. Chem.* **2014**, *57*, 7933.
2. J. M. Keith *et al. ACS Med. Chem. Lett.* **2015**, *6*, 1204.
3. F. Stauffer *et al. ACS Med. Chem. Lett.* **2019**, *10*, 1655.
4. K. Fiedorczuk and J. Chen. *Cell* **2022**, *185*, 158.

Enamine

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