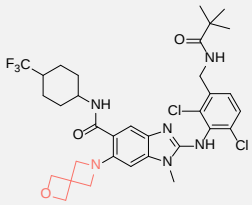
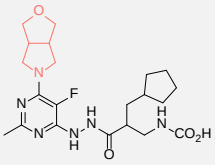
Morpholine Bioisosteres for Drug Design

Introduction

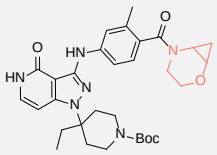
More than 20 FDA-approved drugs contain the morpholine moiety, although it is often metabolically labile.[1] Morpholine-based analogues may advantageously alter important pharmacokinetic properties such as lipophilicity and metabolic stability when grafted onto molecular scaffolds.[2,3] Herein we have designed and synthesized a library of morpholine analogues for drug design.[4-6]



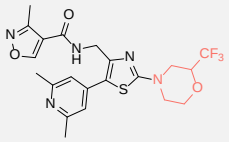
mPGES-1 inhibitor
WO 2012/076673 A1
Boehringer Ingelheim



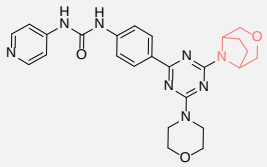
Peptide deformylase inhibitor
EP 2015/2217226 B1
GlaxoSmithKline



Janus kinase inhibitor
US 2018/10072025 B2
Merck&Co.

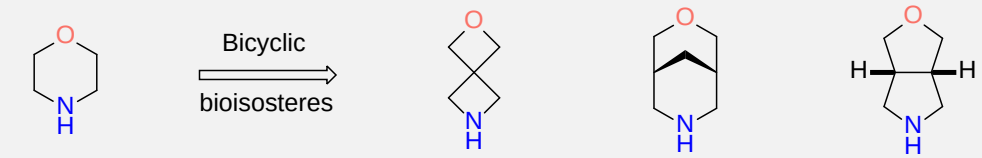


Alpha 7 positive allosteric modulator
EP 2015/2504333 B1
Janssen Pharm.



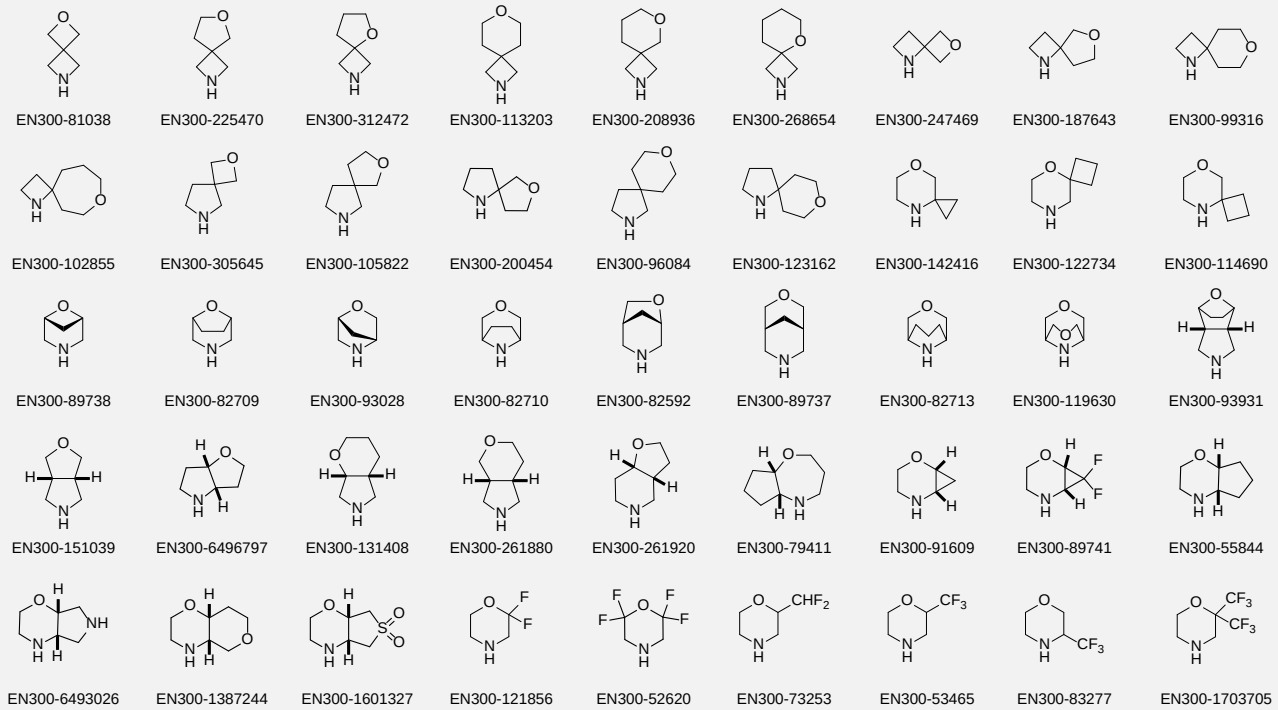
Inhibitor of PI3K
US 2013/109670 A1
Pfizer

Design



Morpholine | Spiro | Bridged | Fused

We offer >100 unique morpholine analogues on a 5-50 g scale from stock.



References

1. www.drugbank.ca
2. G. Wuitschik et al. *Angew. Chem. Int. Ed.* **2008**, 4512.
3. G. Wuitschik et al. *J. Med. Chem.* **2010**, 3227.
4. A. Shcherbatiuk et al. *Tetrahedron* **2013**, 3746.
5. A. D. Tereshchenko et al. *Tetrahedron* **2017**, 750.
6. A. Kirichok et al. *Chem. Eur. J.* **2018**, 5444.