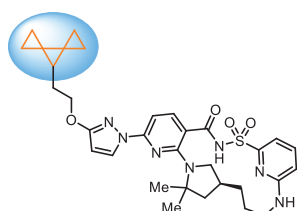


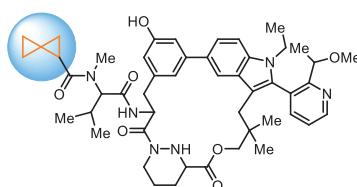
Spiropentanes

Introduction

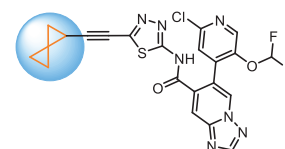
The spiropentyl substituent has gained traction in recent medicinal chemistry projects, not only for its elegant, bow-tie-like appearance but also for its highly desirable 3D structure.^{1,2} Recent studies from *Merck* demonstrate that this fragment enhances local hydrophobicity while resisting metabolic clearance – favorable traits for improving a drug's pharmacokinetic profile.^{3,4} In July 2024, *Vertex* submitted a new drug application to the FDA for vanzacaftor, a molecule featuring the spiropentyl group, aimed at treating cystic fibrosis. *Enamine* offers an extensive selection of molecular building blocks with small spiro skeletons, spiropentane and dispiroheptane.



Vanzacaftor (VX-121)
Phase 3 trials against cystic fibrosis
Vertex Pharm



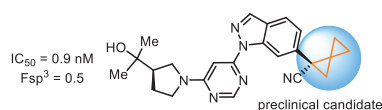
Ras protein inhibitor, anticancer
WO 2024/081363
Revolution Med



Polymerase theta inhibitor, radiotherapy
WO 2024/069592
Repare Ther

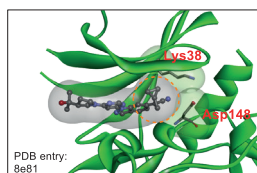
Case study

selective brain penetrant inhibitor of leucine-rich repeat kinase 2

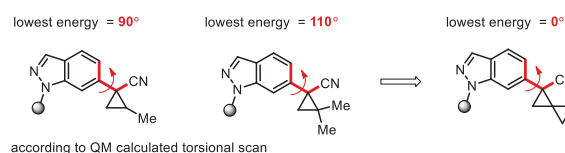


J. Med. Chem. **2022**, *65*, 16801
Merck

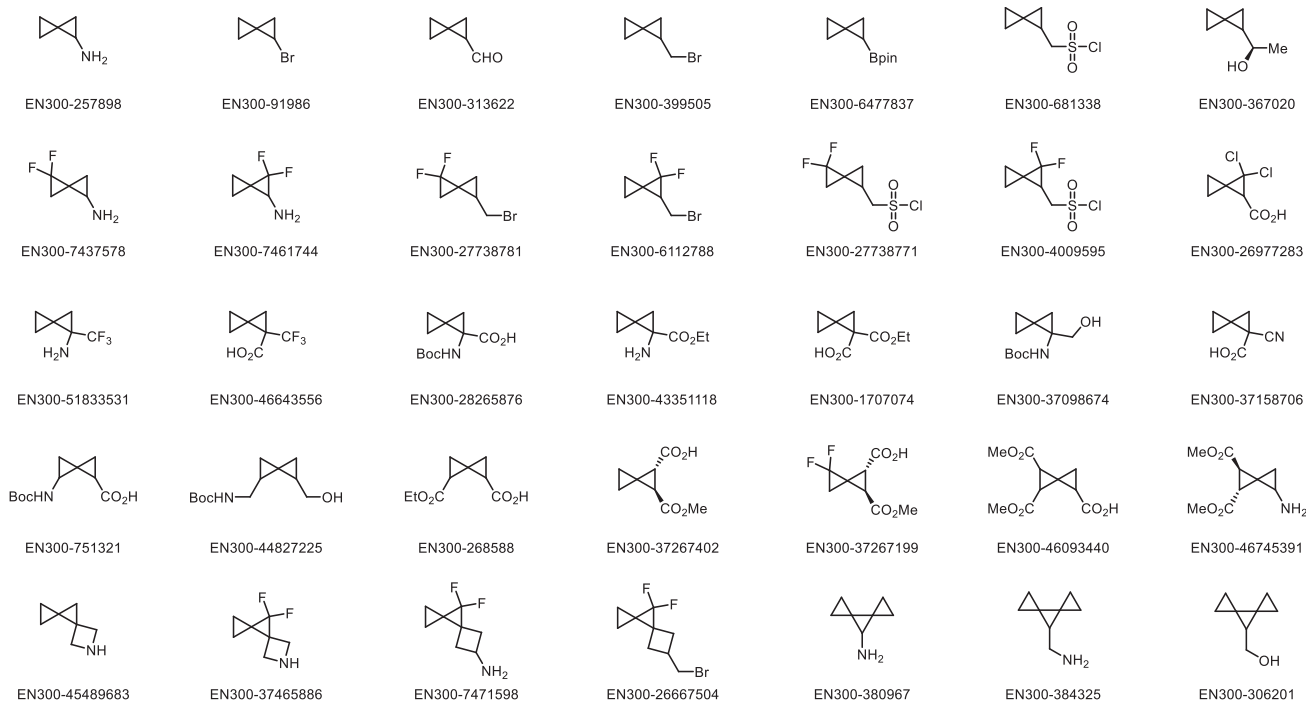
pocket fit:



conformation:



We offer: over 50 spiropentanes from stock on 5-10 gram scale.



References

1. K. Zhang et al. *J. Med. Chem.* **2023**, *66*, 4378.
2. R. Sabnis and A. Sabnis. *ACS Med. Chem. Lett.* **2023**, *14*, 698.

3. K. Candito et al. *J. Med. Chem.* **2022**, *65*, 16801.
4. S. Kattar et al. *J. Med. Chem.* **2023**, *66*, 14912.



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