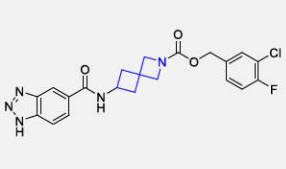
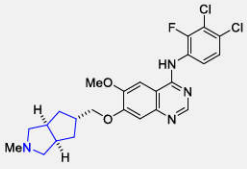
Analogues of Piperidine for Drug Design

Introduction

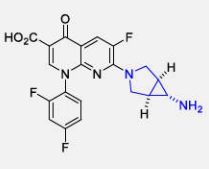
More than 70 FDA-approved drugs contain the piperidine moiety. Piperidine-based analogues may advantageously alter important pharmacokinetic properties such as lipophilicity and metabolic stability when grafted onto molecular scaffolds. Synthetic strategies for setting new spirocyclic, fused and bridged sp^3-rich scaffolds are in high demand in the medicinal chemistry community.[1-6] Herein, we have designed and synthesized a library of piperidine analogues for drug design.



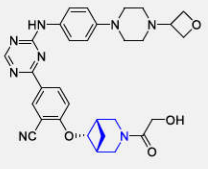
Autotaxin inhibitor
US 20200207769, A1
F. Hoffmann-La Roche



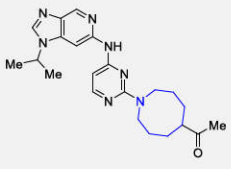
Tesevatinib
Treatment of kidney cancer
(Phase III, *Exelixis*)



RIP1 inhibitor
US 20210069208, A1
Rigel Pharm.

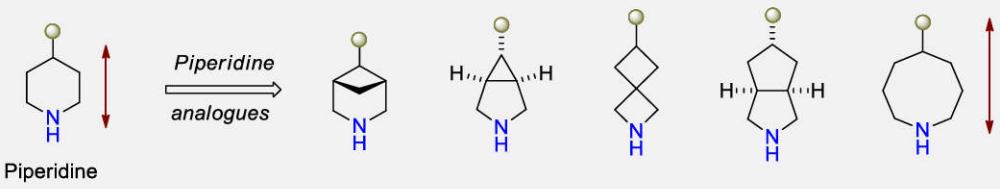


TBK inhibitor
US 10253019, B2, 2019
Gilead Sciences

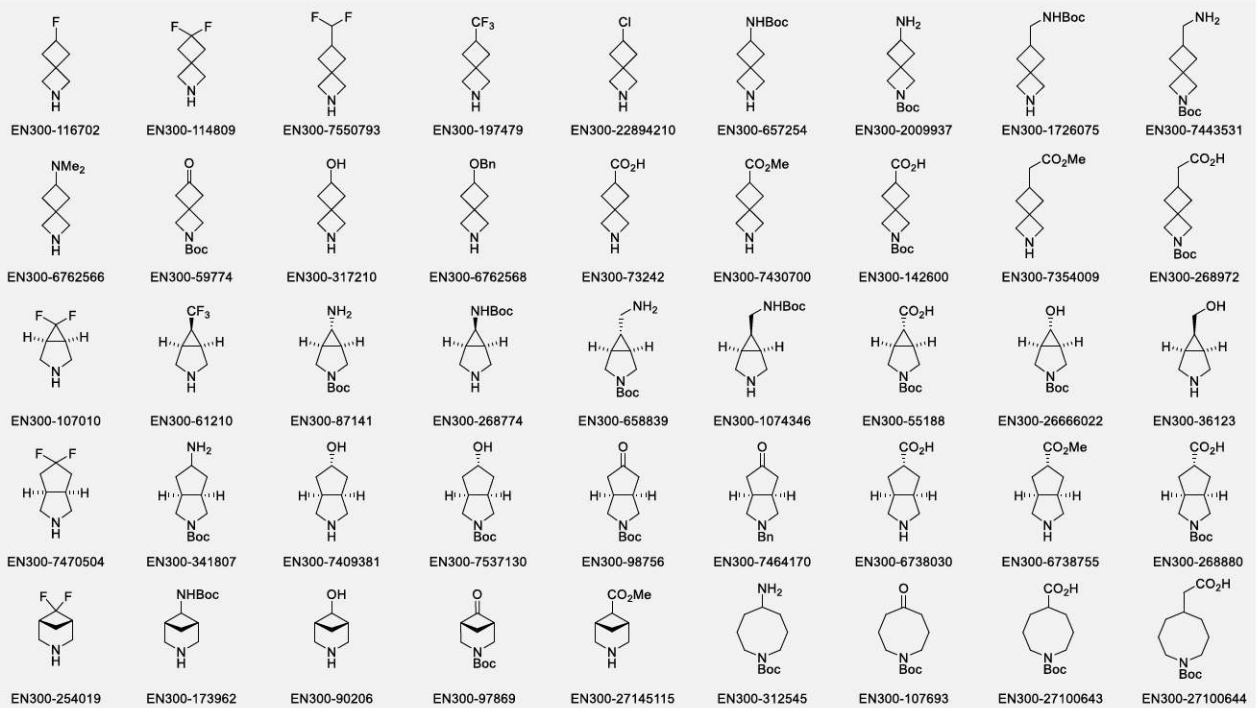


Inhibitor of T790M containing EGFR mutants
EP-3181564-A1
Genentech

Design



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



References

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2. A. A. Kirichok et al. *Angew. Chem. Int. Ed.* **2017**, 8865.
3. Y. Skalenko et al. *J. Org. Chem.* **2018**, 6275.
4. S. L. Degorce et al. *ACS Med. Chem. Lett.* **2019**, 1198.
5. A. V. Chernykh et al. *Synlett* **2016**, 21824.
6. D. J. Sheffler et al. *Bioorg. Med. Chem. Lett.* **2014**, 1062.

Enamine

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