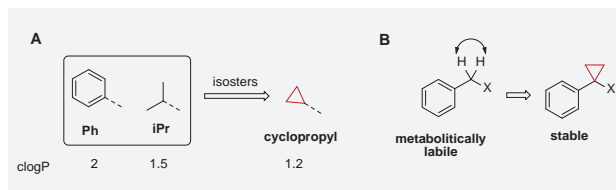
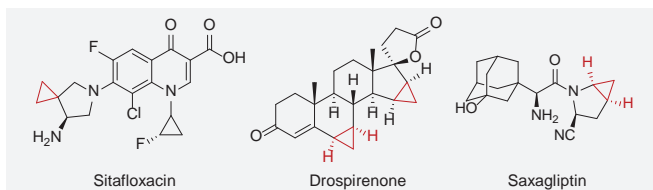


Novel spirocyclic and fused cyclopropane scaffolds for medicinal chemistry

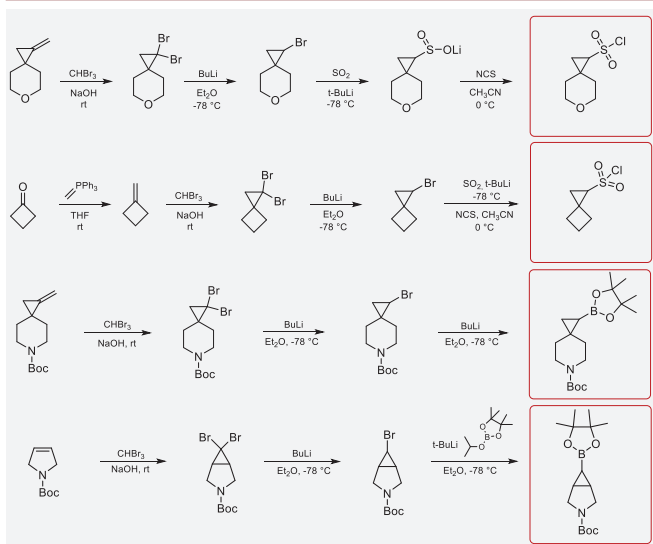
T. Savchiuk, M. Vybornyi, R. Iminov, R. Martirosov, M. Stambirskyi, P. Mykhailiuk, M. Bossert, A. Tolmachev

Introduction and Aim

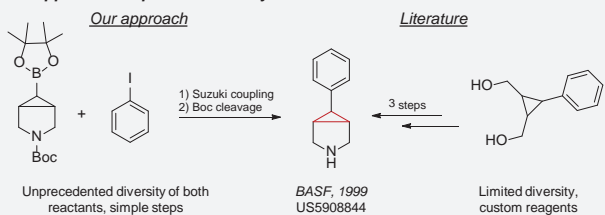
Designing novel bioactive molecules remains among the major challenges in modern drug discovery. Recent results emphasize the value of sp^3 -rich compounds as highly potent yet underexplored molecular scaffolds.¹ Conformational rigidity and defined three-dimensional structure are among the key characteristics determining the overall physicochemical parameters of a drug candidate.^{2,3} Not surprisingly, the smallest cycle – a cyclopropyl core – has been successfully exploited as a valuable structural motif vital for attaining the desired biological profiles in numerous approved and investigational drugs.⁴ To further extend the scope of conformationally restricted compounds, we developed synthetic routes toward novel functional cyclopropane scaffolds.



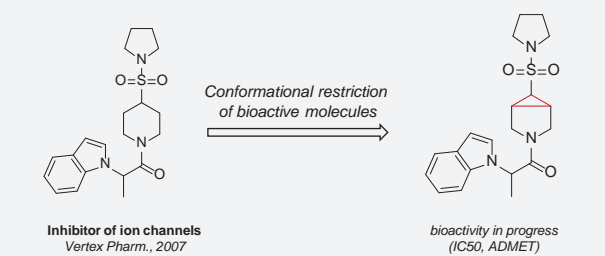
Synthesis



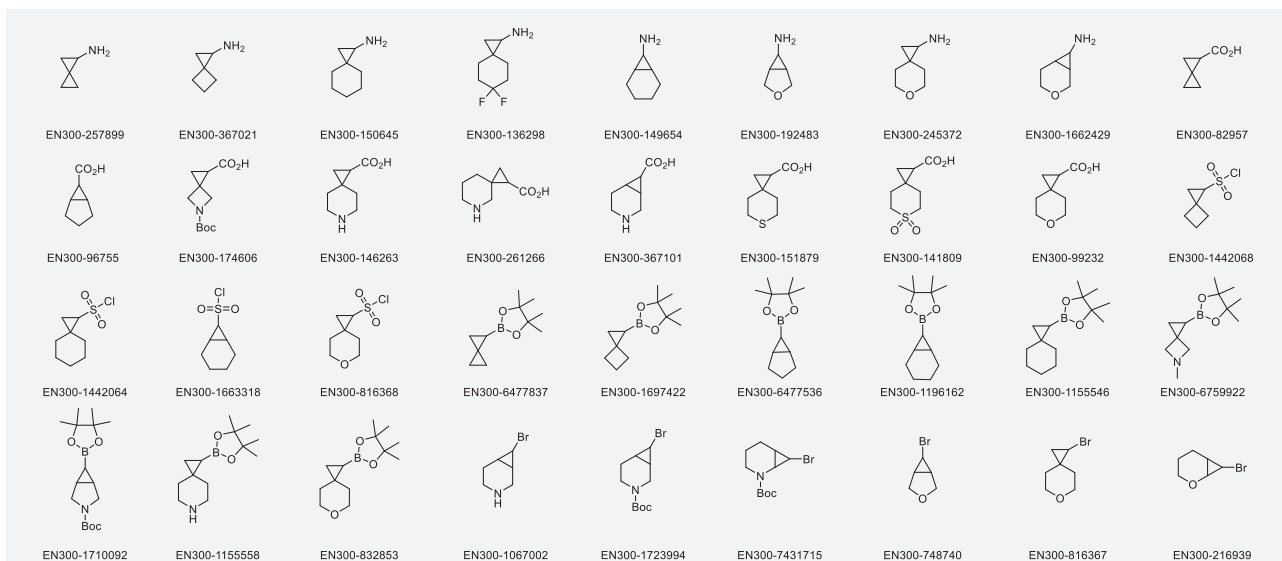
1st Application: Optimization of Synthetic Routes



2nd Application: Analogues of Bioactive Molecules



Results



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References

1. F. Lovering et al. *J. Med. Chem.* **2009**, 6752.
2. I. Kirichok et al. *Angew. Chemie - Int. Ed.* **2017**, 8865.
3. E. M. Carreira et al. *Chem. Rev.* **2014**, 8257.
4. T. T. Talele et al. *J. Med. Chem.* **2016**, 8712.