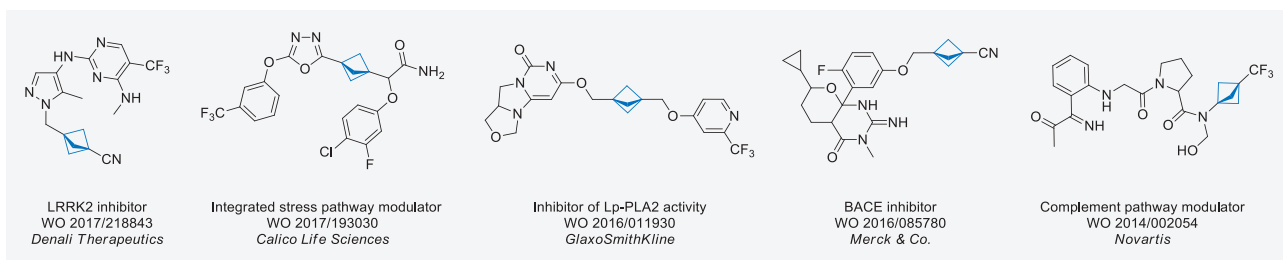


Saturated Bioisosteres of *para*-substituted Benzenes

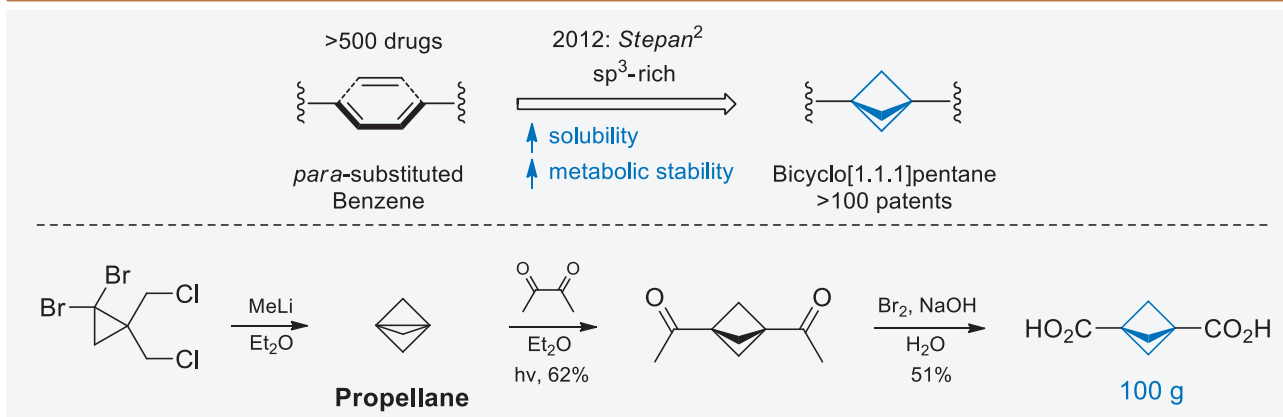
S. Kokhan, Y. Valter, A. Tymtsunik, I. Komarov, O. Grygorenko, P. Mykhailiuk, A. Tolmachev

Introduction and Aim

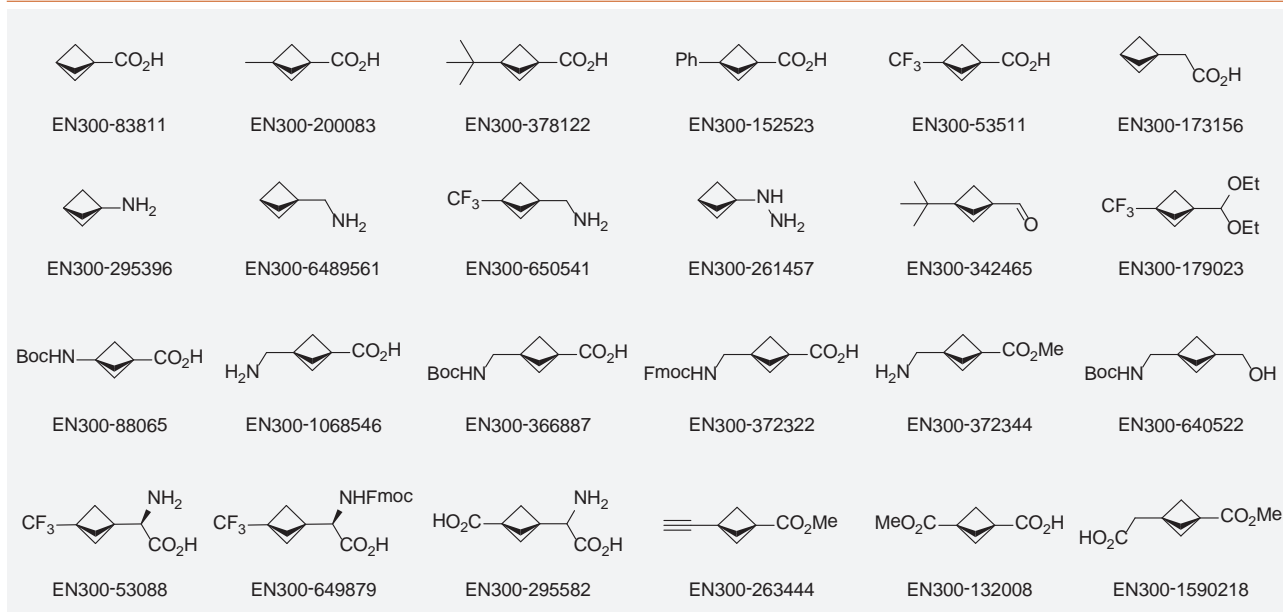
The fragment of benzene comprises of the structure of more than 500 FDA-approved drugs.¹ In 2012, *Stepan* and coworkers showed that bicyclo[1.1.1]pentane skeleton could act as a saturated "nonclassical phenyl ring bioisostere" in the design of a γ -secretase inhibitor.² Since then, the core of bicyclo[1.1.1]pentane is often used in the design of analogues of natural compounds,³ peptide studies,^{4,5} medicinal chemistry,^{6,7} and supramolecular chemistry.⁸ Herein we have designed and synthesized a library of saturated mimics of the *para*-benzene ring for drug design.



Design



We offer more than 50 *para*-substituted benzene mimics in our stock:



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References

1. R. D. Taylor et al. *J. Med. Chem.* **2014**, *57*, 5845.
2. A. F. Stepan et al. *J. Med. Chem.* **2012**, *55*, 3414.
3. Y. L. Goh et al. *J. Am. Chem. Soc.* **2016**, *138*, 1698.
4. S. O. Kokhan et al. *ANIE* **2016**, *55*, 14788.
5. K. C. Nicolaou et al. *ChemMedChem* **2016**, *11*, 31.
6. N. D. Measom et al. *ACS Med. Chem. Lett.* **2017**, *8*, 43.
7. A. M. Dilmac et al. *ANIE* **2017**, *56*, 5684.
8. S. O. Kokhan et al. *Eur. J. Org. Chem.* **2017**, *43*, 6455.