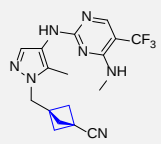
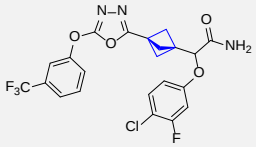
Saturated Bioisosteres of *para*-substituted Benzenes

Introduction

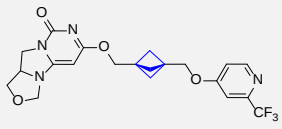
The residue of benzene comprises to the structure of more than 500 FDA-approved drugs.[1] In 2012, *Stepan* and coworkers showed that bicyclo[1.1.1]pentane skeleton could act as a saturated "*nonclassical phenyl ring bioisostere*" in the design of a γ-secretase inhibitor.[2] Since then, the core of bicyclo[1.1.1]pentane 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.



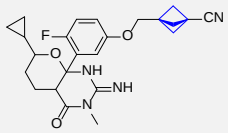
LRRK2 inhibitor
WO 2017/218843
Denali Therapeutics



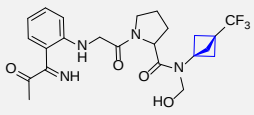
Integrated stress pathway modulator
WO 2017/193030
Calico Life Sciences



Inhibitor of Lp-PLA2 activity
WO 2016/011930
GlaxoSmithKline

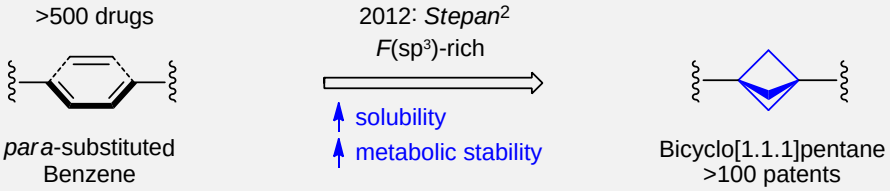


BACE inhibitor
WO 2016/085780
Merck & Co.

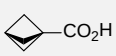


Complement pathway modulator
WO 2014/002054
Novartis

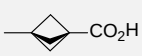
Design



We offer



EN300-83811

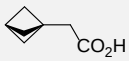


EN300-200083

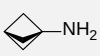
EN300-378122

EN300-152523

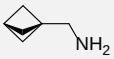
EN300-53511



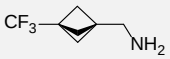
EN300-173156



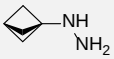
EN300-295396



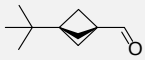
EN300-6489561



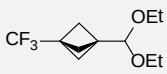
EN300-650541



EN300-261457



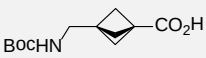
EN300-342465



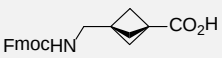
EN300-179023

EN300-88065

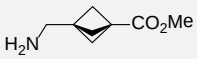
EN300-1068546



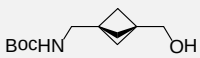
EN300-366887



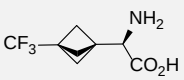
EN300-372322



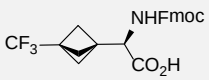
EN300-372344



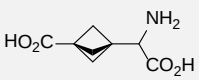
EN300-640522



EN300-53088



EN300-649879



EN300-295582

EN300-263444

EN300-132008

EN300-1590218

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. P. K. Mykhailiuk et al. *ANIE* **2006**, *45*, 5659.
5. S. O. Kokhan et al. *ANIE* **2016**, *55*, 14788.
6. K. C. Nicolaou et al. *ChemMedChem* **2016**, *11*, 31.
7. N. D. Measom et al. *ACS Med. Chem. Lett.* **2017**, *8*, 43.
8. A. M. Dilmac et al. *ANIE* **2017**, *56*, 5684 .

Enamine

ご興味があるものがあれば、こちらまでお問い合わせ下さい!!

ナミキ商事株式会社

E-mail：info@namiki-s.co.jp
TEL(本社)　：　03-3354-4026
TEL(大阪支店)：　06-6231-5444