Introduction

“Escape the Flatland” concept has already gained considerable attention in medicinal chemistry. Scientists are looking more and more now for 3D-shaped saturated building blocks. In this context, conformationally rigid bicyclic tetrahydrofurans are intrinsically promising for drug discovery. In continuation of our ongoing program towards novel building blocks for drug discovery, herein we have designed and synthesized a library of saturated mimics of the benzene ring with improved solubility in water.

Plant growth regulator
US 1987/467004 A

Inhibitor of PI3K-γ
US 2018/009816 A1

Inhibitor of PI3K-γ
US 2018/009816 A1

Antiviral agent
WO 2016/162405 A1

Inhibitor of histone deacetylase
US 2018/0098977 A1

Design

>500 drugs
para-substituted Benzene

para-substituted Benzene

Escape from Flatland
2012: Stepan
Bicyclo[1.1.1]pentane
>100 patents

Improving Solubility in Water
This work

Mimic for meta-para-substituted Benzene

We offer more than 100 mono- and disubstituted benzene mimics with improved water solubility from stock on a 5-10 g scale:

References

12. V. Levterov et al. manuscript under preparation.