Introduction

The fragment of benzene is the most popular ring in bioactive compounds. In fact, more than 500 drugs and agrochemicals are benzene-containing molecules. In 2012, chemists at Pfizer replaced the substituted phenyl fragment in a $\gamma$-secretase inhibitor Avagacestat with the bicyclo[1.1.1]pentyl skeleton. The obtained analogue showed higher activity, solubility and metabolic stability. Since then, bicyclo[1.1.1]pentanes, bicyclo[2.2.2]octanes and cubanes are used in medicinal chemistry as saturated bioisosteres for para-substituted benzenes. Therefore, here we have designed and synthesized saturated mimetics for ortho-substituted benzenes.

Design

We offer

References

5. A. Denisenko et al. ANIE 2020, just accepted (doi.org/10.1002/anie.202004183)