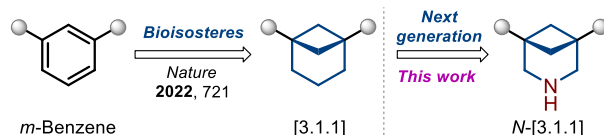


Synthesis of 3-Azabicyclo[3.1.1]heptanes

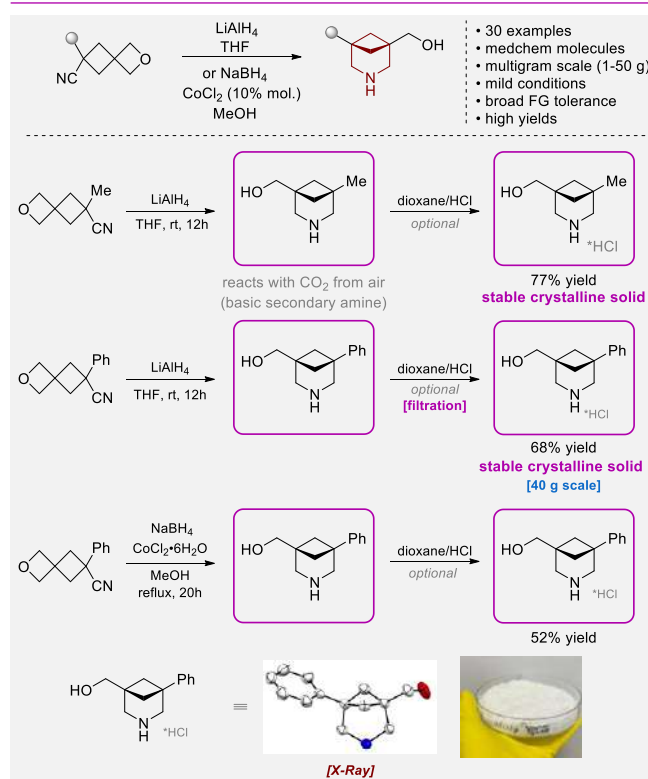
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Introduction and Aim

In 2022, bicyclo[3.1.1]heptanes were demonstrated to mimic the fragment of meta-substituted benzenes in biologically active compounds.¹⁻³ Both cores had similar angles between the exit vectors (119-120°), a similar distance between substituents (4.8-5.0 Å), and similar physicochemical properties. Here, we unexpectedly developed a general approach to their *aza*-analog: 3-azabicyclo[3.1.1]heptanes.⁴

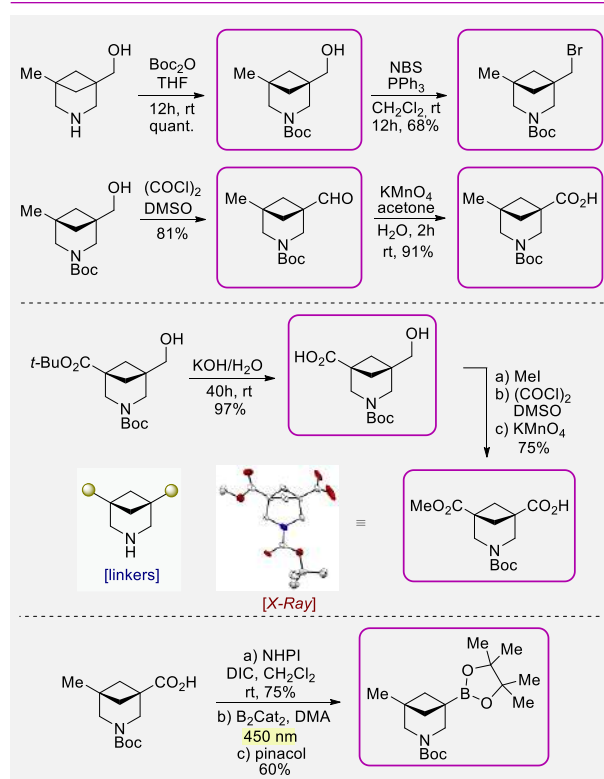


Synthesis

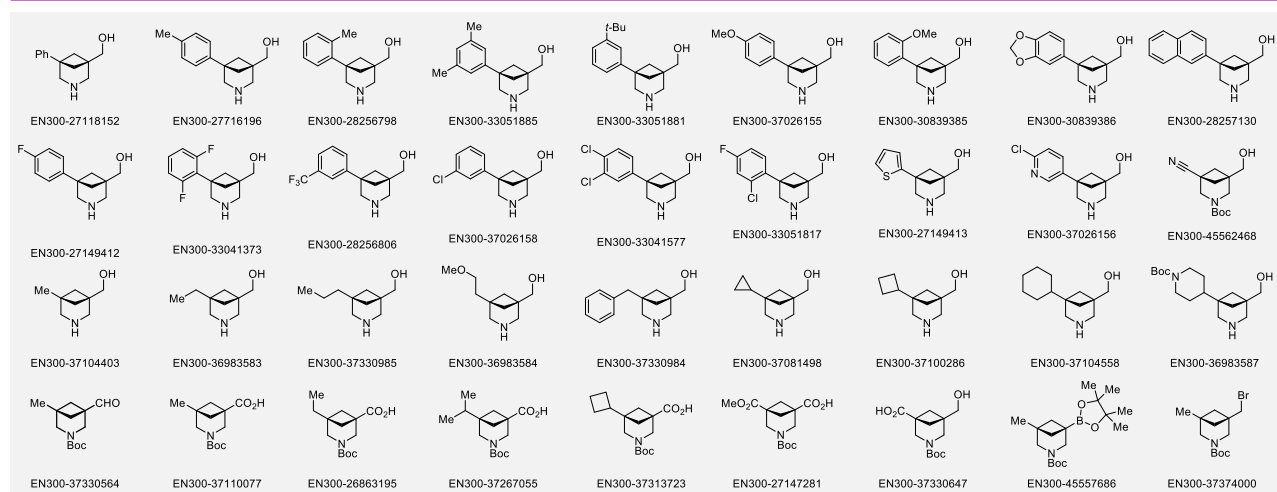


- 30 examples
- medchem molecules
- multigram scale (1-50 g)
- mild conditions
- broad FG tolerance
- high yields

Modifications



Results



Contact

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References

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2. T. Iida *et al.* *J. Am. Chem. Soc.* **2022**, 144, 21848.
3. T. Yu *et al.* *J. Am. Chem. Soc.* **2023**, 145, 4304.
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