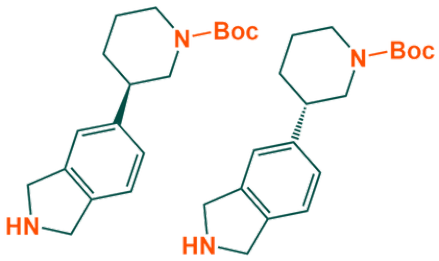
May 2023

LCC'S MOLECULES OF THE MONTH

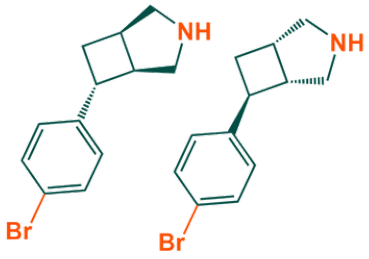
Linkers for Heterobifunctionals

To form stable protein degrading complexes, optimal linkers are required. Although most PROTAC structures contained alkyl and PEG motifs, more recently linear linkers have been replaced by rigid linkers (saturated heterocycles) which can positively improve pharmacokinetics (PK) properties.



Mono-protected diamines

Since 58% of LCC's synthons contain two synthetic handles, we are able to offer chiral linker sets for heterobifunctional applications. Potential applications of bifunctional linkers include PROTACs, molecular glues, DUBTACs, LYTACs, fragment growth, fragment linking, virtual library design etc.

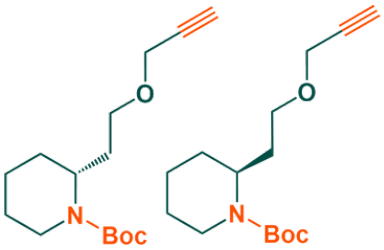


NH / ArBr

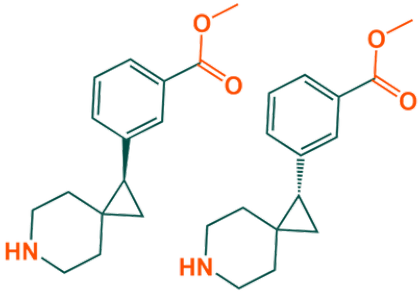
The collection of >1.6K bifunctional synthons contains:

- **Chirally-pure material** with absolute stereochemistry determined
- A variety of **pharmaceutically relevant heterocycles**
- **Diverse exit vectors** (angle and length)

Combining this with our **co-located parallel synthesis laboratory,** we have the capability to take on many types of projects involving bifunctional linkers.



N-Boc / Acetylenes



NH / Esters*

*could also be N-PG / acid

1Z. Liu, M. Hu, Y. Yang, C. Du, H. Zhou, C. Liu, Y. Chen, L. Fan, H. Ma, Y. Gong and Y. Xie, Molecular Biomedicine, 2022, 3.

To find out more about how you could make use of LCC's linker sets, along with our parallel synthesis laboratory, please get in touch!

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