



Universiteit
Leiden
The Netherlands

Exploring chemical space in covalent and competitive glycosidase inhibitor design

Chen, Y.

Citation

Chen, Y. (2022, October 13). *Exploring chemical space in covalent and competitive glycosidase inhibitor design*. Retrieved from <https://hdl.handle.net/1887/3480333>

Version: Publisher's Version

License: [Licence agreement concerning inclusion of doctoral thesis in the Institutional Repository of the University of Leiden](#)

Downloaded from: <https://hdl.handle.net/1887/3480333>

Note: To cite this publication please use the final published version (if applicable).

Propositions

Accompanying the thesis

Exploring chemical space in covalent and competitive glycosidase inhibitor design

1. The position of the fluorophore on a glycosidase probe to a large extent determines its potency.
This thesis, **chapter 2**.
2. Prior reduction of the azido group into an amine can be key for successful, reproducible palladium(0)-catalyzed hydrogenolysis of benzylated *epi*-cyclophellitols bearing a 4'-azidooctyl chain.
This thesis, **chapter 2 and 3**.
3. Structurally simple molecules are often the most difficult ones to synthesize.
This thesis, **chapter 5**.
4. The stability of fluorescent 1,6-*epi*-cyclophellitol cyclosulfate based probes needs to be further explored.
This thesis, **chapter 6**.
5. X-ray crystallography studies can provide straightforward evidence to ascertain if a molecule is a 'true' enzyme inhibitor.
This thesis, **chapter 5**.
6. Even the best glycosidase inhibitors are imperfect transition-state analogues.
Bols *et al.*, *Chem. Rev.* **2002**, *102*, 515–553.
7. Transferring the structural characteristics of a highly potent glycosidase inhibitor to differently configured structural analogues may not yield covalent inhibitors of the targeted glycosidases with equal potency and selectivity.
Artola *et al.*, *Chem. Sci.*, **2019**, *10*, 9233–9243.
8. Choosing appropriate protecting groups can save a lot of efforts in the process of synthesis.
9. Working efficiently is much more important than working hard.
10. Chemistry — Chem is to try.