REGIOSELECTIVE BIOCATALYTIC DE-*O*-ACETYLATION OF TETRAACETYL THIOGLYCOSIDES

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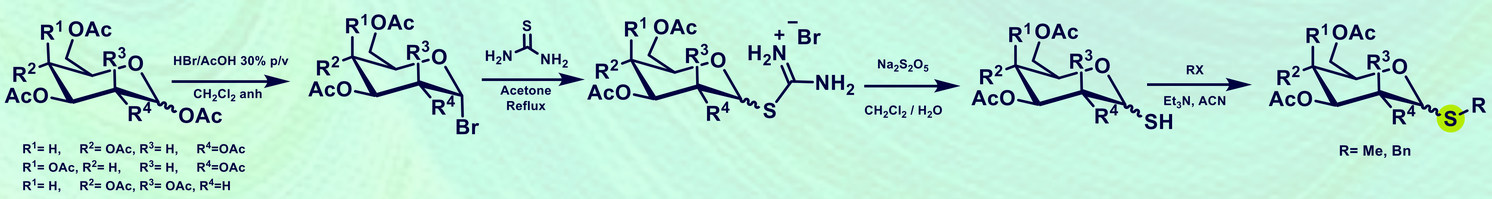
INTRODUCTION

Carbohydrate mimetics play vital roles in various cell-mediated processes due to their structural resemblance to natural sugars, yet they exhibit distinct properties. [1] Thioglycosides, in which an exocyclic oxygen atom is replaced by sulfur, are recognized as key building blocks in the preparation of glycans and the development of novel monosaccharides.[2] These compounds serve as valuable intermediates in carbohydrate chemistry, being widely utilized in sequential glycosylation strategies for oligosaccharide synthesis.[3] In drug design and therapeutics, thioglycosides have shown potential as anti-diabetic and anti-tumor agents, enzyme inhibitors, and have demonstrated in vitro inhibitory effects on DNA virus replication. [2]

Traditional methods for producing these compounds encounter significant challenges due to their reliance on multi-step chemical synthesis, which often involves toxic reagents, contaminating solvents, and inefficient protocols. [4] Enzymatic regioselective hydrolysis of per-*O*-acetylated sugars, catalyzed by lipases, has emerged as a promising alternative, offering a simpler and more efficient route for synthesizing sugar building blocks.

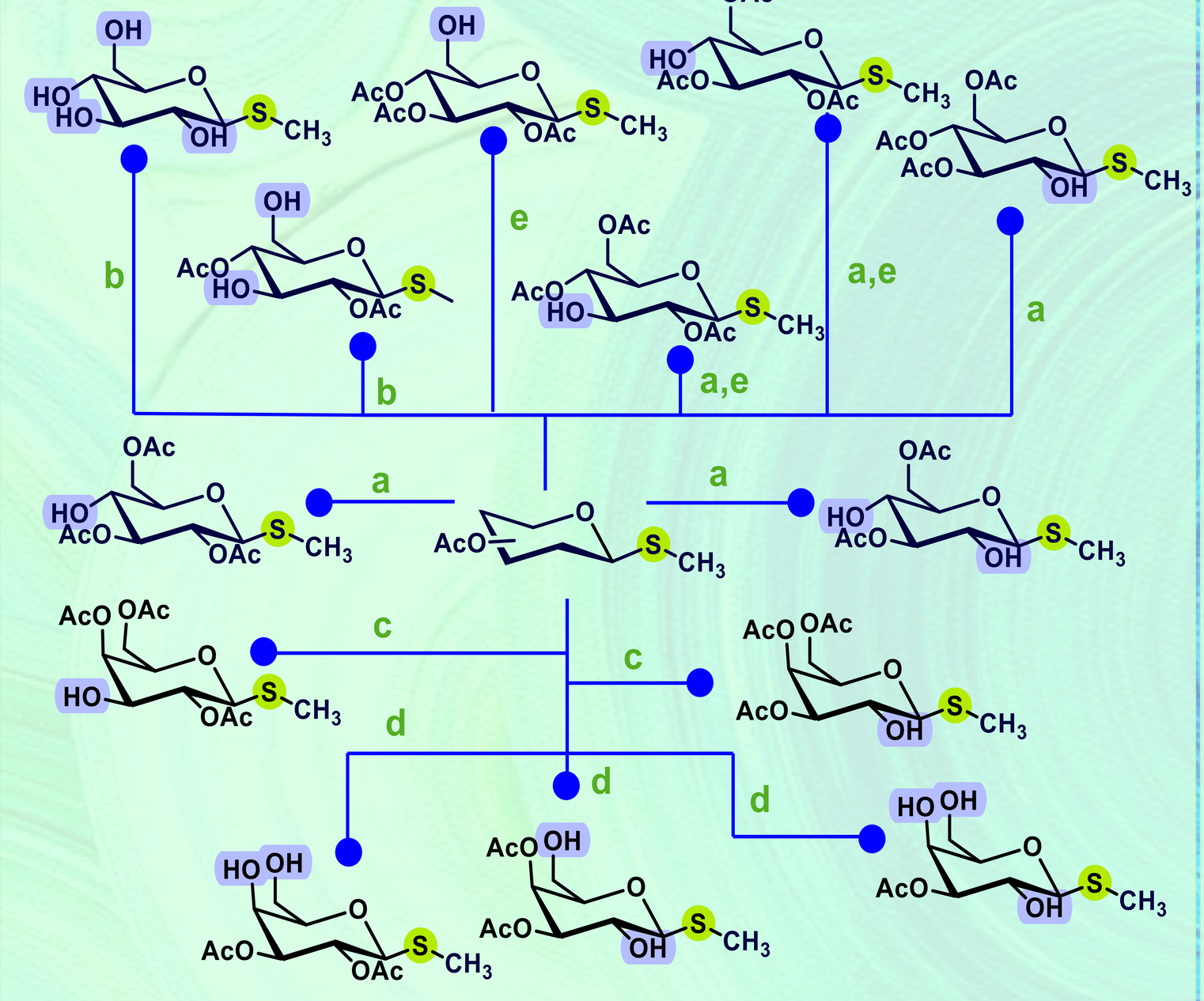
RESULTS AND DISCUSSION

SYNTHESIS OF THE SUBSTRATES



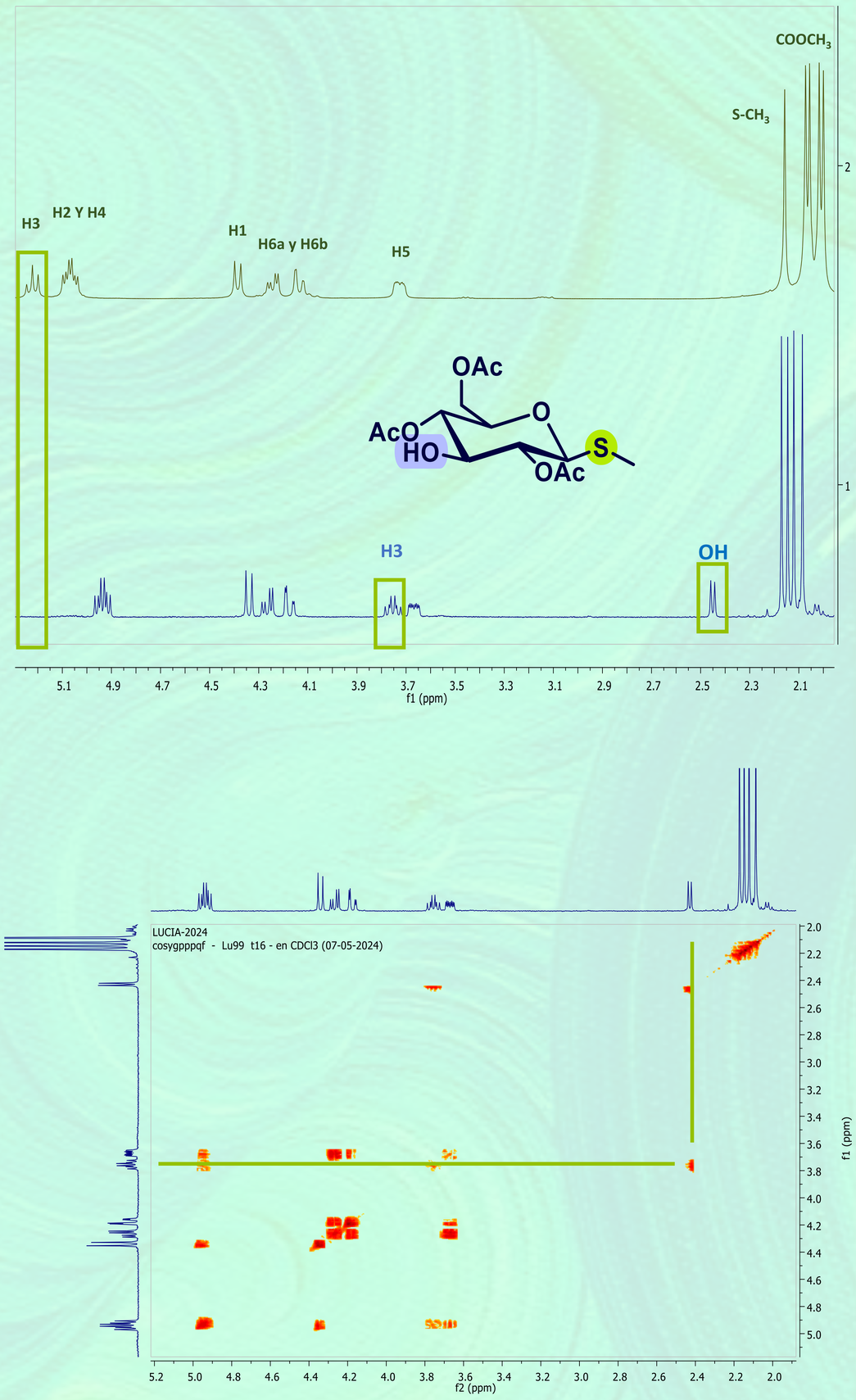
R^1= H, R^2= OAc, R^3= H, R^4=OAc
R^1= OAc, R^2= H, R^3= H, R^4=OAc
R^1= H, R^2= OAc, R^3= OAc, R^4=H

REGIOSELECTIVE BIOCATALYTIC DE-*O*-ACETYLATION



a= CRL, Phosphate Buffer pH=7, ACN 5%, 48h, 30°C; b= WGL, Phosphate Buffer pH=7, ACN 5%, 48h, 30°C;
c= PPL, Phosphate Buffer pH=7, ACN 5%, 24h, 30°C; d= WGL, Phosphate Buffer pH=7, ACN 5%, 24h, 30°C;
e= CRL, Ethyl ether, water 5%, 24h, 30°C

STRUCTURE ELUCIDATION BY 1D AND 2D NMR



CONCLUSION

- The regioselectivity of various lipases towards tetra-*O*-acetyl thioglycosides was evaluated, resulting in a wide range of compounds with distinct deacetylation patterns.
- Modifications in the glycone portion of the thioglycosides significantly influenced both the activity and the regioselectivity of the lipases employed.
- The structures of each product were unambiguously determined using 1D and 2D NMR spectroscopy.

REFERENCES

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[3] **Bennai, N., Ibrahim, N., Marrot, J., Belkadi, M., Alami, M., Magnier, E., & Messaoudi, S. (2020).** Synthesis of S-trifluoromethyl S-arylsulfoximine thioglycosides via Pd-catalyzed Migita cross-coupling. ***European Journal of Organic Chemistry***.

[4] **Pilar Hoyos, Almudena Perona, Teodora Bavaro, Francesca Berini, Flavia Marinelli, Marco Terreni, and María J. Hernáiz (2022).** Biocatalyzed Synthesis of Glycostructures with Anti-infective Activity. ***Accounts of Chemical Research.***