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Epigenetic Drug Discovery

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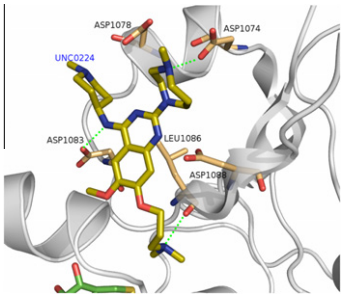
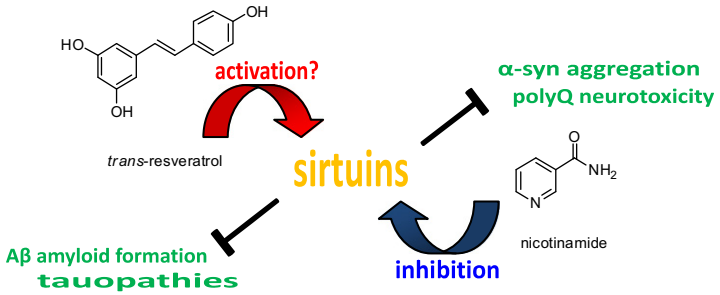
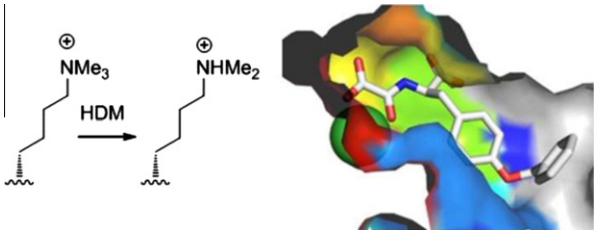
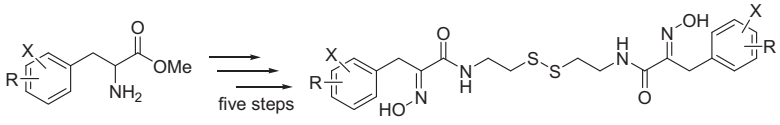
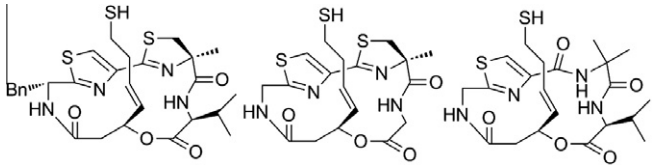
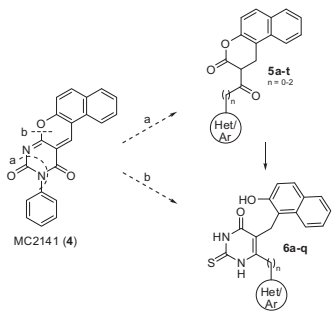


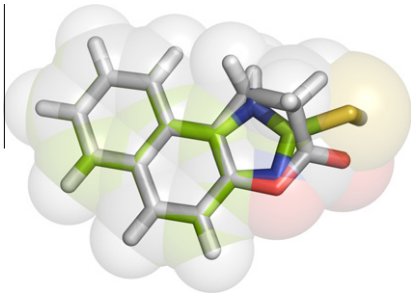


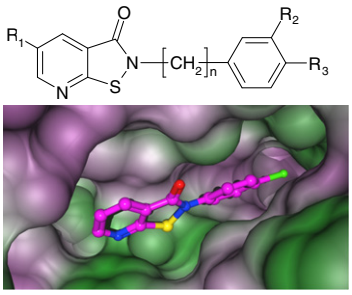


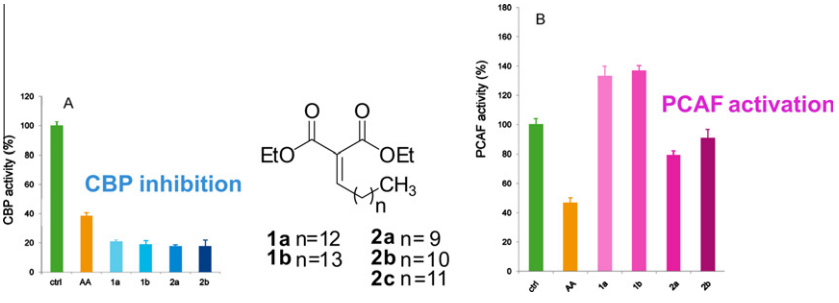


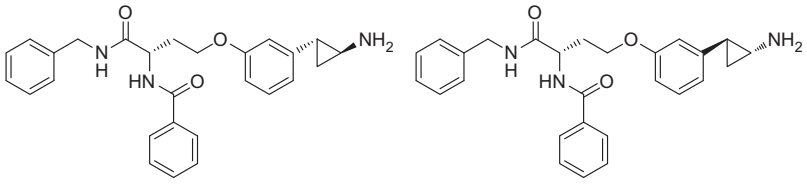






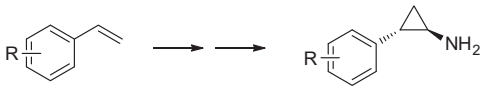


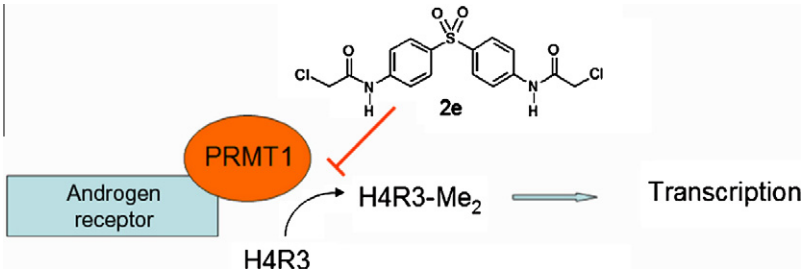




(1*S*,2*R*)-**NCL-1** (1*R*,2*S*)-**NCL-1**

We synthesized optically active NCL-1, a lysine-specific demethylase 1 inhibitor, and evaluated its biological activity. In enzyme assays, the (1*S*,2*R*)-isomer was approximately four times more potent than the (1*R*,2*S*)-isomer. In cell-based assays, the two isomers showed similar activity in HEK293 cells and SH-SY5Y cells, whereas the (1*S*,2*R*)-isomer showed approximately four times more potent activity than the (1*R*,2*S*)-isomer in HeLa cells.





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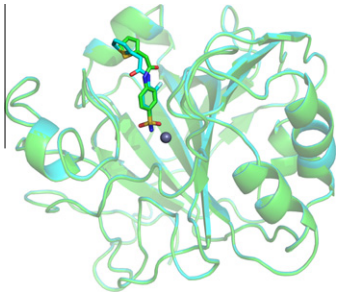
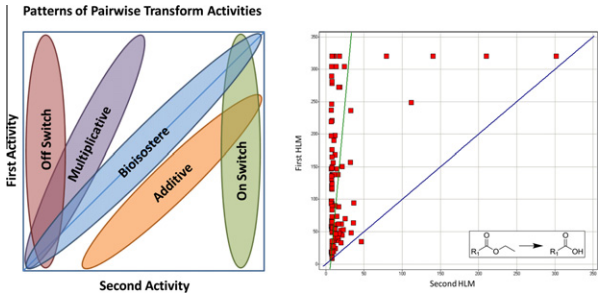
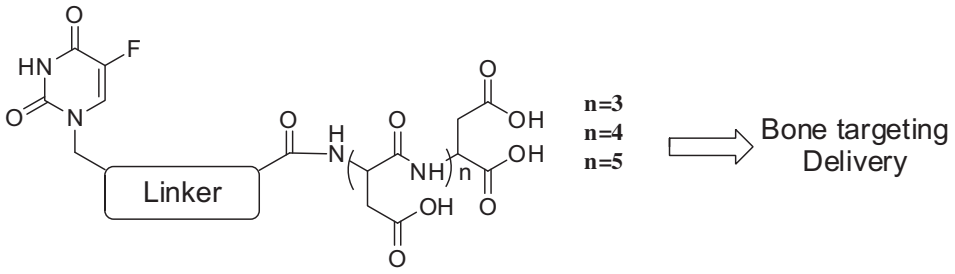
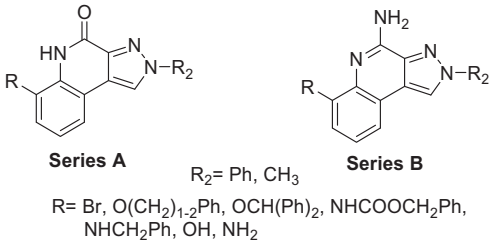
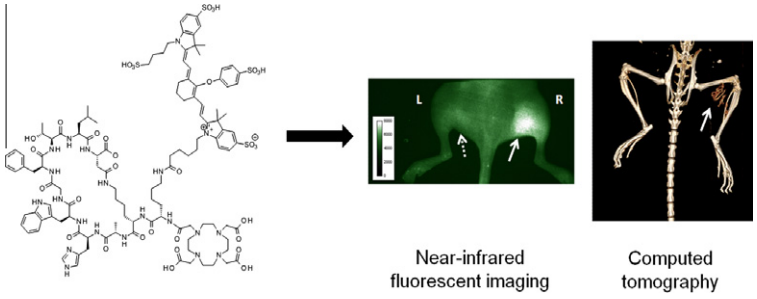
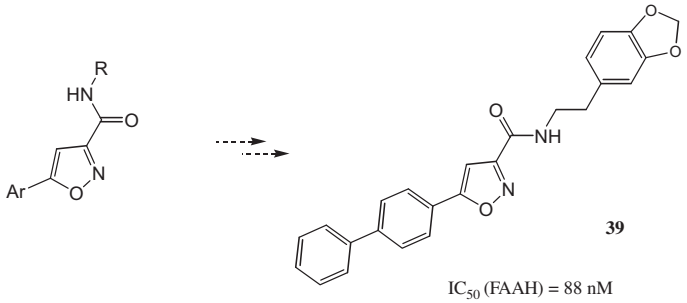


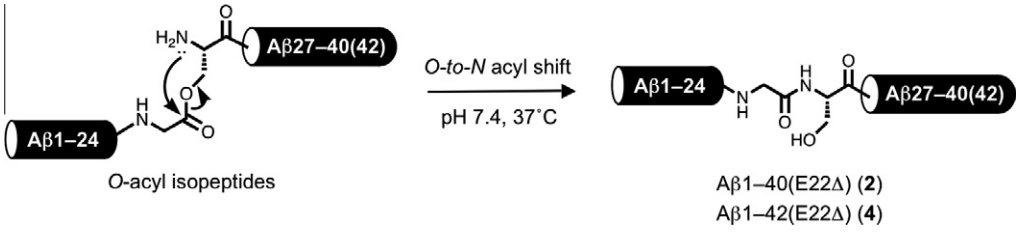


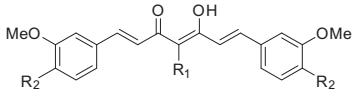






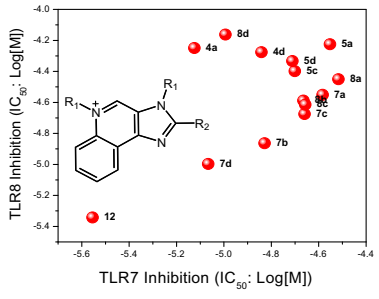


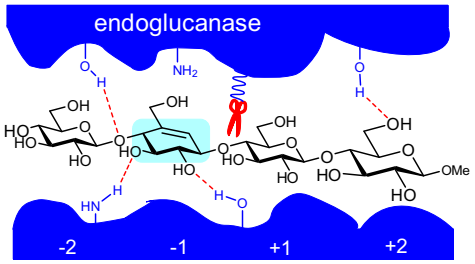


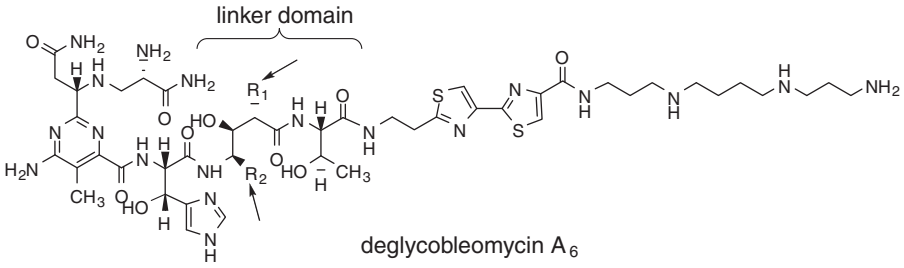


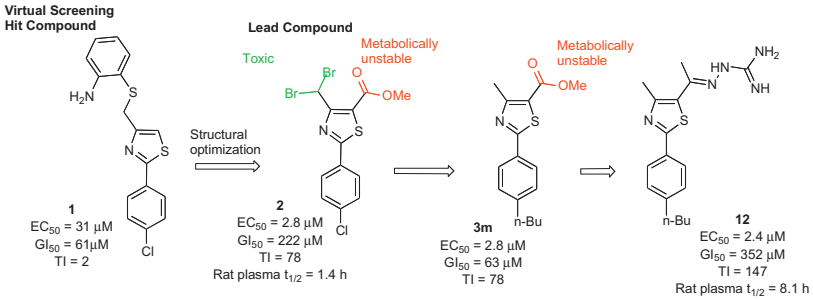
<Radical -Trapping Effect>

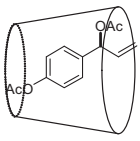
	R_1	R_2	EC_{50} (μM)
Curcumin (7a)	H	OH	22.6 ± 2.3
7b		OMe	>100
8i	OAc	OH	8.7 ± 0.6
8j		OMe	22.1 ± 2.7
Ascorbic acid			15.7 ± 2.3





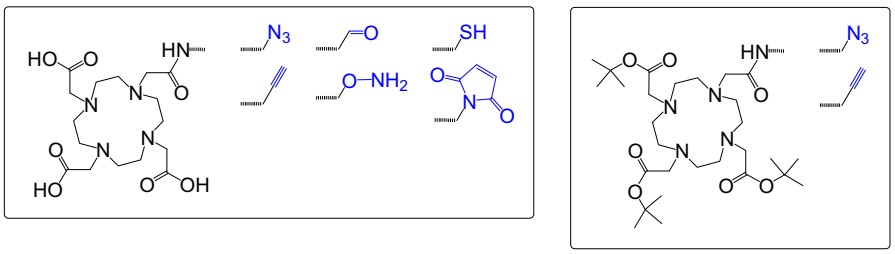






Structure of CD·ACA complex

Water-soluble complexes of 1′-acetoxychavicol acetate (ACA) with cyclodextrins (CDs) were prepared by high-speed vibration milling technique and their biological activities were also investigated.



DOTA derivatives for click chemistry reactions: DOTA derivatives were synthesized, compared regarding their coupling yields and efficiencies to the model peptide Tyr^3-octreotate via commonly used click chemistry reactions and radiolabeled with ^{68}Ga.

*Corresponding author
Supplementary data available via ScienceDirect

COVER

Crystal structure of the GLP methyltransferase (3FPD.pdb) in complex with the inhibitor BIX-02194 (colored cyan). This complex represents the first solved crystal structure of a histone methyltransferase with a bound inhibitor. The molecular surface of the binding pocket is shown in orange.

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