



Contents lists available at ScienceDirect

Bioorganic & Medicinal Chemistry

journal homepage: www.elsevier.com/locate/bmc



Bioorganic & Medicinal Chemistry Volume 19, Issue 12, 2011

Symposium-in-Print

Epigenetic Drug Discovery

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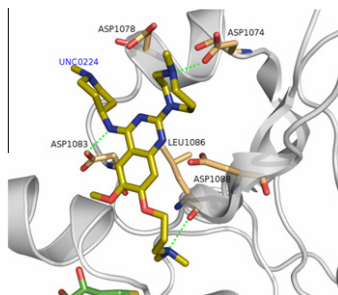
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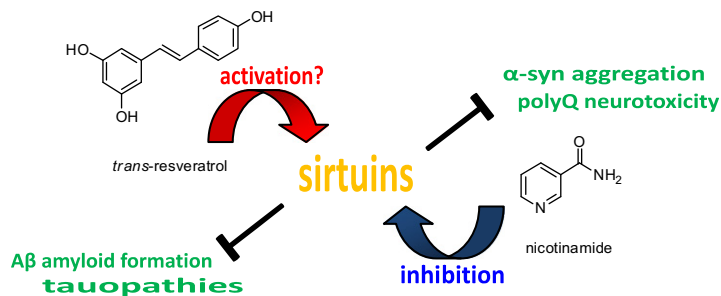
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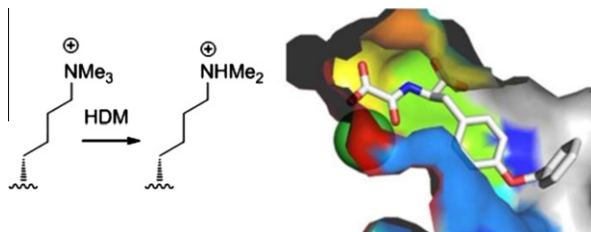
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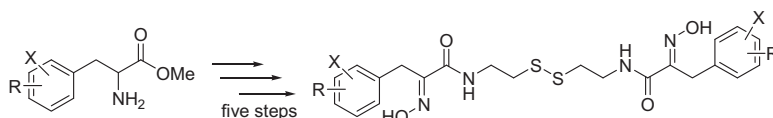
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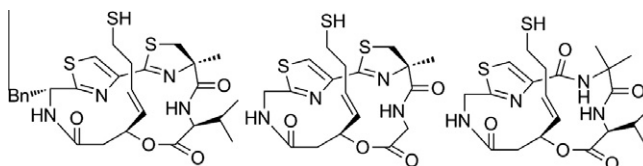
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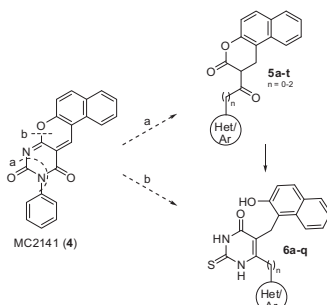
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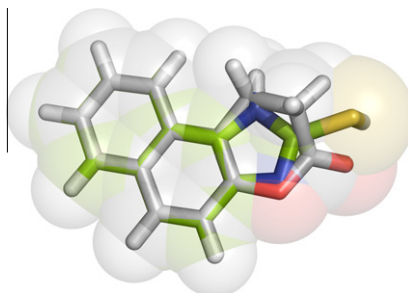
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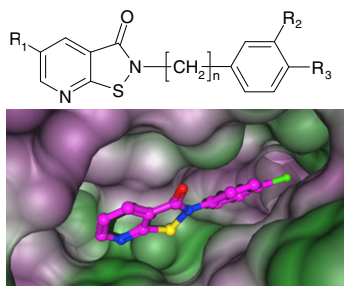
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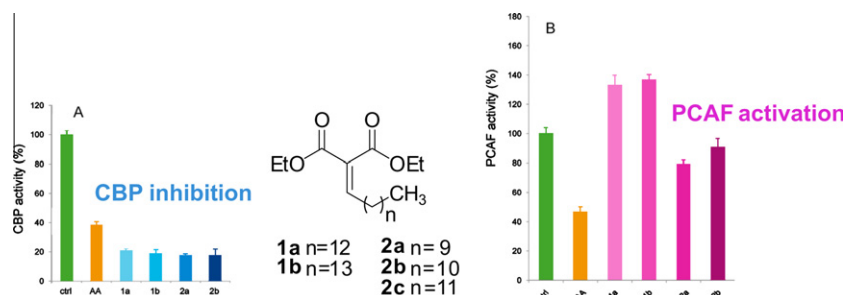
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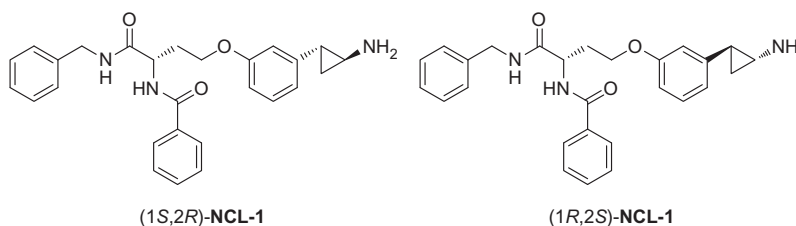
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**Synthesis and biological activity of optically active NCL-1, a lysine-specific demethylase 1 selective inhibitor**

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Daisuke Ogasawara, Takayoshi Suzuki*, Koshiki Mino, Rie Ueda, Mohammed Naseer Ahmed Khan, Takuya Matsubara, Koichi Koseki, Makoto Hasegawa, Ryuzo Sasaki, Hidehiko Nakagawa, Tamio Mizukami*, Naoki Miyata*



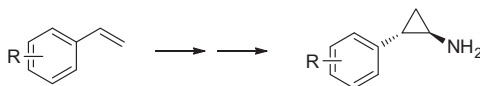
We synthesized optically active NCL-1, a lysine-specific demethylase 1 inhibitor, and evaluated its biological activity. In enzyme assays, the (1S,2R)-isomer was approximately four times more potent than the (1R,2S)-isomer. In cell-based assays, the two isomers showed similar activity in HEK293 cells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



Enantioselective synthesis of tranlylcypromine analogues as lysine demethylase (LSD1) inhibitors

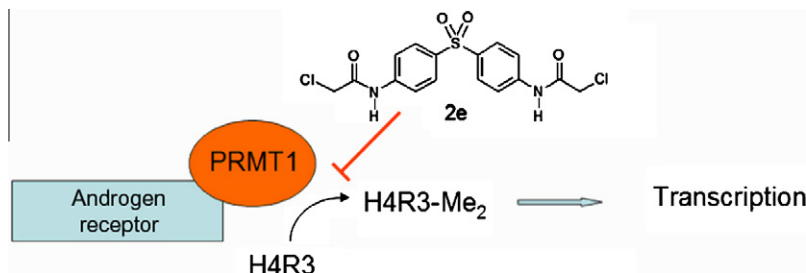
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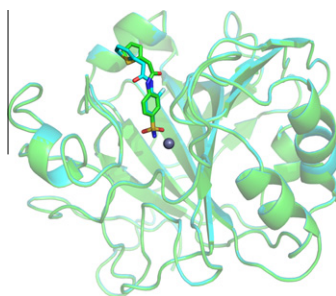
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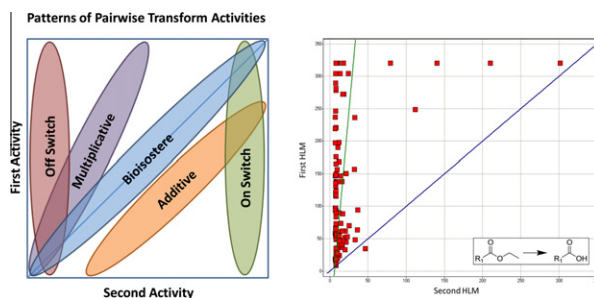
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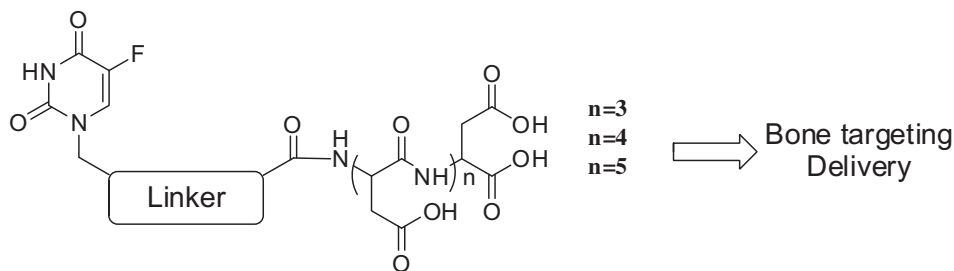
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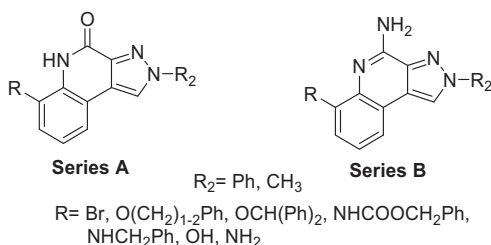
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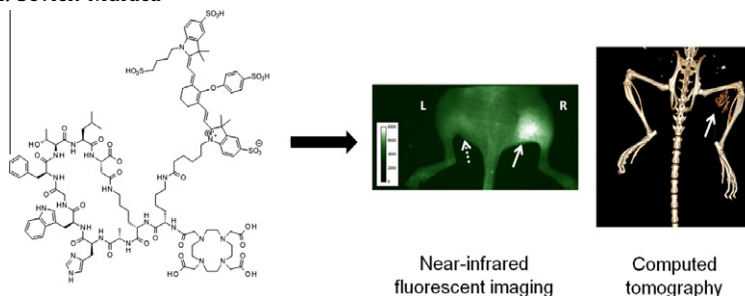
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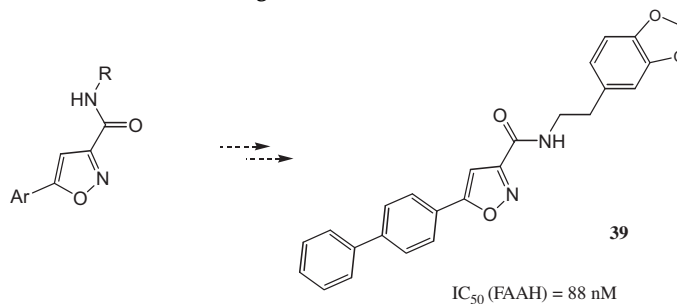
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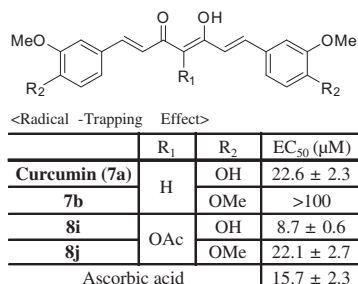
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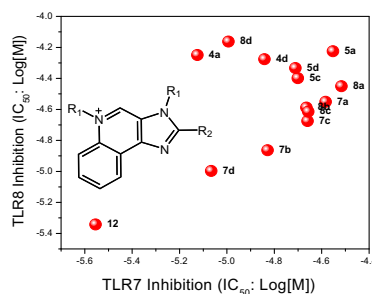
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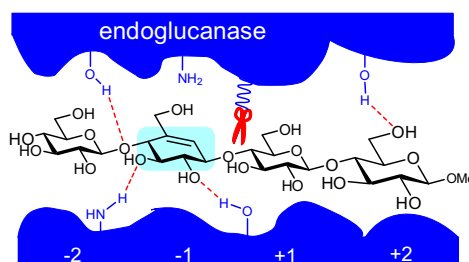
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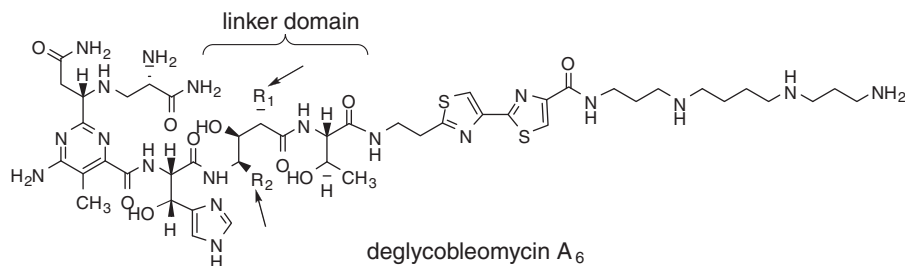
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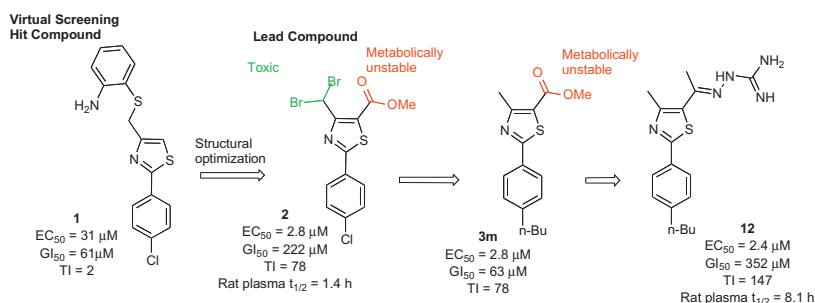
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**An investigation of phenylthiazole antiviral agents**

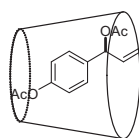
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Abdelrahman S. Mayhoub, Mansoor Khaliq, Carolyn Botting, Ze Li, Richard J. Kuhn, Mark Cushman*

**Biological activity of water-soluble inclusion complexes of 1'-acetoxychavicol acetate with cyclodextrins**

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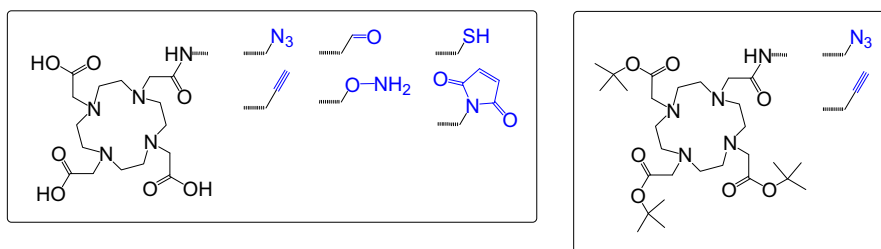


Water-soluble complexes of 1'-acetoxychavicol acetate (ACA) with cyclodextrins (CDs) were prepared by high-speed vibration milling technique and their biological activities were also investigated.

DOTA derivatives for site-specific biomolecule-modification via click chemistry: Synthesis and comparison of reaction characteristics

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Carmen Wängler*, Martin Schäfer, Ralf Schirmmacher, Peter Bartenstein, Björn Wängler



DOTA derivatives for click chemistry reactions: DOTA derivatives were synthesized, compared regarding their coupling yields and efficiencies to the model peptide Tyr³-octreotate via commonly used click chemistry reactions and radiolabeled with ⁶⁸Ga.

*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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ISSN 0968-0896