

Synthesis and evaluation of a potent and selective cell-permeable p300 histone acetyltransferase inhibitor.

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Rok wydania

2005

Czasopismo

Journal of the American
Chemical Society

Numer woluminu

127

Strony

17182-17183

DOI

10.1021/ja0558544

Kolekcja

Naukowa

Język

Angielski

Streszczenie

This paper describes the first potent and selective p300 histone acetyltransferase (HAT) inhibitor which is effective in live cells. This compound **7** is a coenzyme A analogue conjugated to a cell permeabilizing oligoArg peptide via disulfide linkage. This compound was shown to block cellular histone acetylation and transcription using a p300-sensitive reporter. It should thus be broadly useful for dissecting the role of p300 HAT activity in physiologic and disease states.

Słowa kluczowe

Disulfides, Peptides and proteins, Organic reactions, Assays, Inhibitors

Adres publiczny

<https://doi.org/10.1021/ja0558544>

Strona internetowa wydawcy

<https://www.acs.org/content/acs/en.html>

Artykuł

Plik został wygenerowany dnia 2026-10-27 14:11:00

Adres w repozytorium <https://old.chem.uni.wroc.pl/pl/repozytorium/qFatnv->.