Novel series of photoreactive benzamide probes for histone deacetylases (HDACs)

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Technology description

These probes can be used in cell-free and cell-based experiments to discover selective inhibitors for specific HDAC isoforms, as well for labeling and isolated HDACs.
Histone deacetylases (HDACs) play global roles in the regulation of gene transcription, cell growth, survival and proliferation, and require assembly into larger protein complexes for activity.
They are enzymes that remove acetyl groups from histones, increasing their positive charge and encouraging high-affinity binding between histones and the DNA backbone. The increased DNA binding condenses DNA structure, preventing transcription.
Recent studies have shown that HDACs aberrant expression or activity can lead to the development of cancer. This has led to intense interest in the development of HDAC inhibitors as anticancer agents for the treatment of solid and hematological malignancies.
Apart from oncology, HDAC inhibitors are also being evaluated in other indications, such as Huntington's disease or Friedreich's ataxia, because in both cases transcriptional dysregulation has been shown to be a common major pathology.
University of Illinois at Chicago scientists have developed a series of novel photoreactive HDAC probes based on the use of benzamide scaffolds. They have demonstrated some selective inhibition between HDAC1 and HDAC2 isoforms, and both are more selective compared to the HDAC3 and HDAC8 isoforms.
These probes can be used in cell-free and cell-based experiments and are invaluable tools for a) discovering selective inhibitors for specific HDAC isoforms, b) understanding the binding properties of the probes to their targets and c) labeling and isolating HDACs as well as other proteins that form biologically active complexes with them.

Application area

Discovery of selective inhibitors for specific HDAC isoforms and elucidating their binding properties
Labeling and isolating HDACs as well as other proteins that form biologically active complexes with them.

Advantages

Visualization and isolation of HDACs
Identification of HDAC binding partners
Analysis of protein binding sites

Institution

University of Illinois, Chicago

联系我们

叶先生

电 话：021-65679356
手 机：13414935137
邮 箱：yeyingsheng@zf-ym.com