Histone Deacetylase Inhibitors

Histone deacetylase (HDAC) inhibitors are a class of cytostatic agents that inhibit the proliferation of tumor cells in various models by inducing cell cycle arrest, differentiation, or apoptosis¹.

Cells carry out gene expression by controlling the coiling and uncoiling of DNA around histones. This activity is regulated by histone acetylases, which acetylate lysine residues on each histone, loosening chromatin and allowing space for transcription to occur. Conversely, HDACs remove acetyl groups from the lysine residues, forming a more tightly wound, less active chromatin. Inhibiting HDAC induces the accumulation of hyperacetylated nucleosome core histones in most regions of chromatin and allows transcription of genes. HDAC inhibitors can induce p21 gene expression; p21 is a regulator of tumor suppressor p53 activity. HDAC inhibitors can also modulate expression of retinoblastoma, a protein that suppresses cell proliferation. HDAC inhibitor-induced chromatin inactivation and DNA methylation may inhibit growth and metastasis in centrally-mediated cancers such as glioma²⁻⁴.

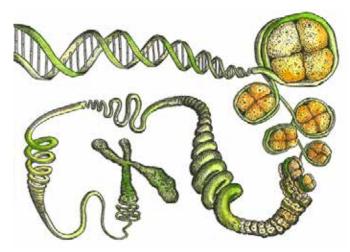
LKT Laboratories carries a variety of HDAC inhibitors that are used in anticancer, antiviral, immunomodulatory, anti-inflammatory, and neuroprotective research applications.

References:

- 1. Dokmanovic M, Clarke C, Marks PA. Mol Cancer Res. 2007 Oct;5(10):981-9.
- 2. Yin D, Ong JM, Hu J, et al. Clin Cancer Res. 2007 Feb 1;13(3):1045-52.
- 3. Horing E, Podlech O, Silkenstedt B, et al. Anticancer Res. 2013 Apr;33(4):1351-60.
- 4. Sharma V, Koul N, Joseph C, et al. J Cell Mol Med. 2010 Aug;14(8):2151-61.

See the representative list below for a selection of HDAC inhibitors.

A6132 Apicidin B1746 Belinostat B8275 n-Butyric Acid C2968 Chrysin C8112 CUDC-907 E5477 Entinostat I7559 Isoliquiritigenin L0528 LBH-589 M2409 MGCD-0103 P2815 Phenylbutyrate Sodium P2922 Phenylhexyl Isothiocyanate R5749 Romidepsin S1069 Scriptaid B8276 Sodium Butyrate T6933 Trichostatin A T8000 Tubacin T8006 Tubastatin A Hydrochloride V0147 Valproic Acid Sodium Salt V5734 Vorinostat



Inhibition of histone deacetylases induce cell cycle arrest by interferring with regular DNA coiling





