SL-45. Histone Deacetylase (HDAC) Inhibitors

ASINEX
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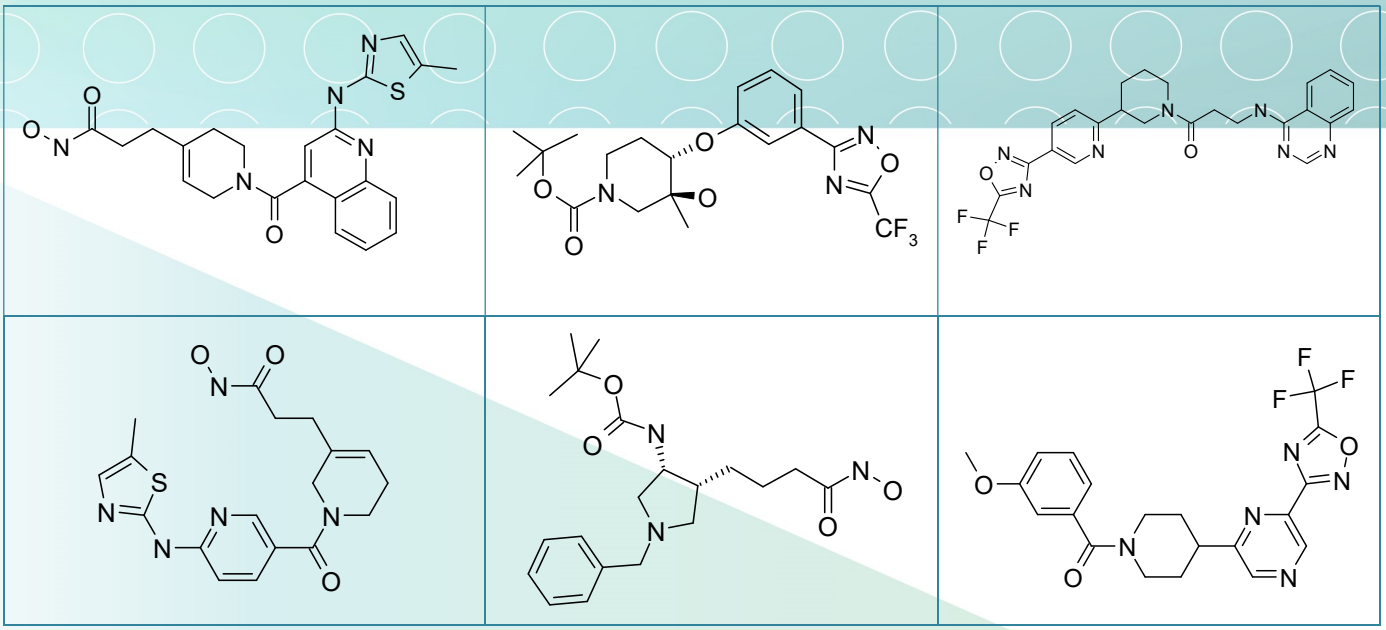
Histone deacetylases (HDAC) play a central role in chromatin structure formation associated with the nuclear distribution of DNA. Aberrant activity of HDACs is associated with many oncologic and nononcologic diseases [1]. Various natural products and synthetic molecules have been identified as inhibitors of HDAC demonstrating significant antitumor and apoptosis-inducing activity [2]. Two inhibitors of HDAC, Vorinostat and Romidepsin, are FDA approved drugs for use against refractory cutaneous T cell lymphoma, and many others are currently under clinical development [2]. The efficacy of more than 20 different clinical candidates has been largely restricted to hematological malignancies (e.g. Hodgkin lymphoma, multiple myeloma, and AML); structurally the most clinically efficacious Class I, II and IV HDAC inhibitors are represented by hydroxamic acid derivatives (vorinostat) and the benzamides (entinostat, mocetinostat), all contain Zn2+ coordinating moieties. At ASINEX, we have screened a 50K library of small molecules and identified a number of hits with significant HDAC (HeLa cell lysate) inhibitory activity.



Signature Library 45

Formats	Supplementary Information
80 compounds per plate 0.1 mg; 1 mg; 2 mg dry film/powder 0.1 µmol; 1 µmol DMSO solutions	SL#45_HDAC inhibitors.sdf IC_{50} (uM) – HDAC inhibition

References:

1 Clinical Epigenetics 2012 4:5 doi: 10.1186/1868-7083-4-5

2. J Clin Invest. 2014 Jan;124(1):30-9. doi: 10.1172/JCI69738. Epub 2014 Jan 2.

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