Epigenetic Regulation and Drug Discovery for Cancer Therapy

Epigenetic regulation is a reversible process, which is essential for maintaining normal function. Abnormal epigenetic regulation is closely associated with the formation of various diseases including cancer, diabetes, inflammation and neuropsychiatric disorders. Therefore, targeting epigenetic regulation has becoming an emerging strategy for the treatment of diseases, numerous small-molecule compounds are currently being used in clinic or being evaluated in clinical trials. Till date, there are two common epigenetic approaches used for drug discovery: (1) Remodeling the chromatin and affecting global gene regulation by targeting the enzymes responsible for altering the epigenetic code; (2) Targeting the abnormally regulated genes.

In this special issue, we aim to provide an up-to-date summary on some epigenetic targets (e.g. HDAC, Sirt2, etc.) and development of related inhibitors for cancer therapy. Duan et al. highlights the promises of epi-drugs to cure cancer and other genetic diseases/disorders [1]. Bai et al. summarize research advances of histone deacetylase inhibitors for epigenetic targeting of cancer [2]. Peng et al. extensively summarize representative HDAC inhibitors and their synthetic routes [3]. Lu et al. highlight recent advances of dual HDAC/PARP inhibitor and their therapeutic potential for the treatment of tumors [4]. Wang et al. show the discovery of Sirt2 inhibitors and highlight their anticancer potential for various cancers [5]. Yin et al. show recent advances and promises of pharmaceutical inhibition of neddylation pathway for various cancers [6].

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REFERENCES


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