Tentative Outline

Special Issue for Current Medicinal Chemistry

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Epigenetics: A novel frontier for drug discovery

Aims & Scope:

Epigenetic modifications have emerged as important mechanisms in the alteration of chromatin structure and the reprogramming of gene expression and are often dysregulated in cancer and neurological pathologies. An important attribute of these modifications is that they are reversible, making them excellent targets for therapeutic intervention. Histone acetylation is tightly regulated by the antagonistic activities of histone acetyltransferases (HATs) and histone deacetylases (HDACs). Similarly histone methylation is regulated by site specific histone methyltransferases (HMTs or KMTs) and histone demethylases (KD). Aberrant expression of these enzymes alters acetylation and site specific methylation homeostasis culminating in neurologic and oncological disorders. Thus the present thematic issue will focus on HAT modulators, HDAC inhibitors and histone methyltransferase inhibitors in chemotherapy against therapeutically challenging neurological pathologies and cancers. However, it is obvious that singlet therapy of these inhibitors do not yield desired efficacy. Thus special emphasis will be given to combinatorial therapeutic approaches involving these agents in conjunction with conventional therapeutic agents. This doublet therapeutic strategy achieves enhanced efficacy even at low dose combination and alleviates drug toxicity.

Keywords: HAT modulators, HDAC inhibitors, HMT inhibitors, Combinatorial therapy, Target specific inhibitors, Urothelial Carcinoma

Sub topics:

- HAT modulators as promising candidate in neurologic pathologies
- HDAC inhibitors in combined therapy against chemoresistant cancers
- HMT inhibitors in anticancer therapy
- Strategies for discovery and designing of novel and selective modulators against HATs, HDACs and HMTs
- Current challenges with the HDAC inhibitors

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