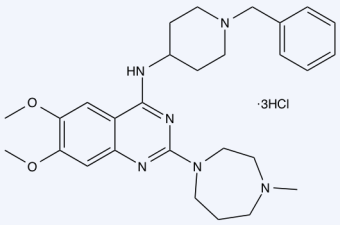
FOCUS on...

Lys Methyltransferase Inhibitors



BIX-01294

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Selective inhibitor of G9a histone methyltransferase (G9aHMTase; IC_{50} = 1.7 μM) as well as GLP HMTase (IC_{50} = 38 μM) leading to a decrease in H3K9me2(histone H3 lysine 9 methylation) *in vitro*.[1] BIX-01294 facilitates the reactivation of pluripotency genes and induces passive demethylation, thus promoting reprogramming. BIX-01294, in combination with calcium channel agonist BAY K8644 (Cat.# 10-4518), was found to improve reprogramming efficiencies of Oct4-Klf4-(OK)-infected neural progenitor cells.[2]

10-1335 5 mg , 25 mg

UNC-1999

A potent inhibitor of EZH1 and EZH2, H3K27 methyltransferases[3]. Reduces H3K27 trimethylation and selectively kills B cell lymphoma cell lines containing an EZH2(Y641N) mutation linked to myeloid and lymphoid malignancy formation . UNC1999 is orally available in mice allowing investigation of EZH1 and EZH2 function *in vivo*.

10-4542 5 mg , 25mg

Chaetocin

Antimicrobial fungal metabolite. Selective inhibitor of lysine specific histone methtransferase[4]. Antimyeloma activity which has been linked to induction of oxidative stress and subsequent apoptosis[5].

10-2404 200 µg

References

1. Kubicek *et al.* (2007), *Reversal of H3K9me2 by a small-molecule inhibitor for the G9a histone methyltransferase*; Mol. Cell. **25** 473
2. Shi *et al.* (2008), *A combined chemical and genetic approach for the generation of induced pluripotent stem cells*; Cell Stem Cell **2** 525
3. Konze *et al.* (2013), *An Orally Bioavailable Chemical Prove of the Lysine Methyltransferases EZH2 and EZH1;* ACS Chem. Biol., **8** 1324
4. Greiner *et al.* (2005), *Identification of a specific inhibitor of the histone methyltransferase SU(VAR)3-9;* Nat. Chem. Biol., **1** 143
5. Isham *et al.* (2007), *Chaetocin: a promising new antimyeloma agent with in vitro and in vivo activity mediated via imposition of oxidative stress;* Blood, **109** 2579