

Methyltransferase Inhibitors I

BIX-01294

Selective inhibitor of G9a histone methyltransferase (G9aHMTase; $IC_{50} = 1.7 \mu M$) as well as GLP HMTase ($IC_{50} = 38 \mu M$) leading to a decrease in H3K9me2(histone H3 lysine 9 methylation) *in vitro*.¹

Product No: 10-1335 5 mg/ 25 mg/

UNC1999

A potent inhibitor of EZH1 and EZH2, H3K27 methyltransferases.² UNC1999 is orally available in mice allowing investigation of EZH1 and EZH2 function *in vivo*.

Product No: 10-4542 5 mg/ 25 mg/

Chaetocin

Antimicrobial fungal metabolite. Selective inhibitor of lysine specific histone methyltransferase.³ Antimyeloma activity which has been linked to induction of oxidative stress and subsequent apoptosis.⁴

Product No: 10-2404 200 μg / 1 mg/

Sinefungin

Potent competitive methyltransferase inhibitor (protein, DNA and RNA methyltransferases).^{5,6} $IC_{50} < 1.0$ and $2.5 \mu M$ for PRMT1 and SET7/9 respectively.

Product No: 10-2454 1 mg/

UNC0379

Selective inhibitor of SETD8 ($IC_{50} = 7.3 \mu M$). Selective against 15 other methyltransferases.⁷

Product No: 10-4870 5 mg/ 25 mg/

(R)-PFI-2

Potent ($IC_{50} = 2nM$) and selective inhibitor of lysine methyltransferase SETD7.⁸

Product No: 10-4033 5 mg/ 25 mg/

GSK126

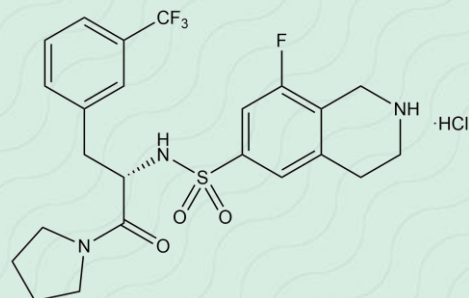
Potent ($K_i = 0.5 - 3nM$) and selective inhibitor of EZH2 methyltransferase. >150-fold selectivity over EZH1 and >1000-fold selectivity over 20 other methyltransferases.⁹

Product No: 10-3394 5 mg/ 25 mg/

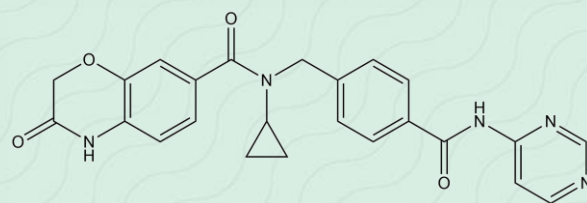
UNC6934

Inhibitor of the lysine methyltransferase nuclear receptor-binding SET domain-containing 2 (NSD2). Selective over 33 other methyltransferases.¹⁰

Product No: 10-4119 5 mg/ 25 mg/



(R)-PFI-2



UNC6934

REFERENCES

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