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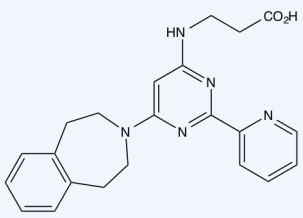
Demethylase Inhibitors



GSK J1

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Non-cell permeable JMJ H3K27 Demethylase inhibitor. IC_{50} = 60 nM for purified human JMJD3.[4]

10-1393 5 mg , 50 mg

GSK J4

Cell permeable JMJ H3K27 Demethylase inhibitor. Ethyl ester derivative of GSK J1. IC_{50} = 9 µM in human macrophages (measured as downstream inhibition of TNFα release).[4]

10-1394 5 mg , 50 mg

Daminozide

Commercially used plant growth regulator that has been shown to inhibit the human histone demethylase KDM2/7 subfamily. Inhibition is ≥60-fold selective for KDM2/7 family members over other demethylases and 2-oxoglurate oxygenases.[5]

10-1403 50 mg , 250 mg

IOX1

Inhibits the JMJD family of 2-oxoglutarate-dependent histone demethylases. IC_{50} = 0.12, 0.07, 0.2, 0.3, 0.6, and 1 µM for JMJD3, JMJD1A, JMJD2A, JMJD2E, JMJD2C and UTX respectively[1]. A broad spectrum 2-oxoglutarate oxygenase inhibitor which may also be used to study hypoxic signaling[1]. Cell permeable

10-1426 5 mg , 25 mg

Tranylcypromine

Inhibitor of BHC110/LSD1 (IC_{50} < 2 µM)[6]. Embryonal carcinoma cells treated with tranylcypromine show increased H3K4 methylation as well as reduced transcription of BHC110 target genes Egr1 and Oct4.

10-4020 50 mg , 250 mg

Ketoconazole

Ketoconazole is a broad spectrum antifungal agent acting via inhibition of cytochrome P450 14-α-demethylase.[2] Inhibitor of CYP3A and CYP1A1.[3]

10-1015 50 mg , 500 mg

References

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2. Loose *et al.* (1983), J. Clin. Invest. **71** 1495
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4. Kruidenier *et al.* (2012, Nature **488** 404
5. Rose *et al.* (2012), J. Med. Chem. **55** 6639
6. Lee *et al.* (2006), Chem. Biol. **13** 563

400 Davis Dr. Suite 600 Plymouth Meeting PA 19462 • sales@focusbiomolecules.com • 610-994-1134 • focusbiomolecules.com

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