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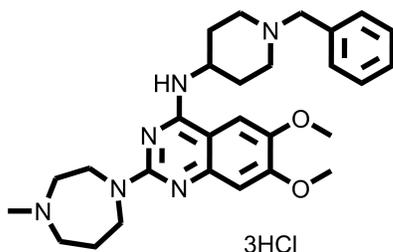
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G9a/GLP HMTase Inhibitor – BIX-01294

Chemical Name: N-(1-benzylpiperidin-4-yl)-6,7-dimethoxy-2-(4-methyl-1,4-diazepan-1-yl)quinazolin-4-amine trihydrochloride



Molecular Weight:	600.02
Formula:	C ₂₈ H ₃₈ N ₆ O ₂ ·3HCl
Purity:	≥98%
CAS#:	1392399-03-9
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

BIX-01294 is a potent and selective G9a and GLP histone lysine methyltransferase inhibitor with an IC₅₀ ~0.7 μM and 1.7 μM respectively. It has no activity at other histone methyltransferases. BIX-01294 modulates H3K9me2 levels in mammalian cells and potentiates induction of pluripotent stem cells from somatic cells in vitro. It could reactivate expression of HIV-1 from latently infected cells such as ACH-2 and OM10.1, suggesting the involvement of histone H3 lysine 9 (H3K9) methyltransferase G9a in the maintenance of HIV-1 latency. It can also inhibit malaria parasite histone methyltransferases, resulting in rapid and irreversible parasite death.

How to Use:

In vitro: BIX-01294 was used at 10 μM in vitro and cellular assays.

In vivo: n/a

Reference:

1. Kubicek S, et al. Reversal of H3K9me2 by a small-molecule inhibitor for the G9a histone methyltransferase. (2007) *Mol Cell*. 25(3):473-81.
2. Shi Y, et al. Induction of pluripotent stem cells from mouse embryonic fibroblasts by Oct4 and Klf4 with small-molecule compounds. (2008) *Cell Stem Cell*. 3(5):568-74.
3. Chang Y, et al. Structural basis for G9a-like protein lysine methyltransferase inhibition by BIX-01294. (2009) *Nat Struct Mol Biol*. 16(3):312-7.
4. Imai K, et al. Involvement of histone H3 lysine 9 (H3K9) methyltransferase G9a in the maintenance of HIV-1 latency and its reactivation by BIX01294. (2010) *J Biol Chem*. 285(22):16538-45.
5. Malmquist NA, et al. Small-molecule histone methyltransferase inhibitors display rapid antimalarial activity against all blood stage forms in *Plasmodium falciparum*. (2012) *Proc Natl Acad Sci USA*. 109(41):16708-13.
6. Kim Y, et al. BIX-01294 induces autophagy-associated cell death via EHMT2/G9a dysfunction and intracellular reactive oxygen species production. (2013) *Autophagy*. 9(12):2126-39.

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