Small Molecules

BIX01294

Epigenetic modifier; Inhibits G9a histone methyltransferase

Catalog #	72042	1 mg
	72044	5 mg

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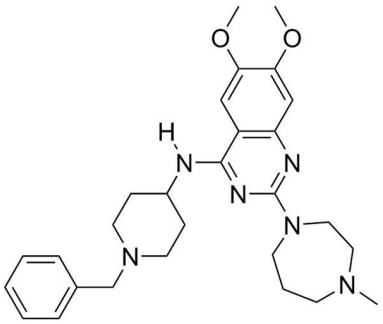
Product Description

BIX01294 is a very specific inhibitor of the G9a (IC_{50} = 1.9 µM) and G9a-like (GLP, IC_{50} = 0.7 µM) histone methyltransferases. These methyltransferases target the lysine 9 position on histone 3 (H3K9). BIX01294 inhibits G9a and GLP by occupying the histone binding site, preventing interaction with histones (Chang et al.; Kubicek et al.). This product is supplied as the trihydrochloride hydrate form of the molecule.

Molecular Name:	BIX01294 (Trihydrochloride Hydrate)
Alternative Names:	Not applicable
CAS Number:	1808255-64-2
Chemical Formula:	$C_{28}H_{38}N_6O_2 \cdot 3HCl\ [XH_2O]$
Molecular Weight:	600.0 g/mol (anhydrous basis)
Purity:	≥ 98%
Chemical Name:	2-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-6,7-dimethoxy-N-[1-(phenylmethyl)-4-piperidinyl]-4-quinazolinamine, trihydrochloride, hydrate
Structure:	



3HCl [XH_2O]

Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at -20°C as supplied. Protect from prolonged exposure to light. For long-term storage store with a desiccant. Stable as supplied for 12 months from date of receipt.
Solubility:	· Water ≤ 16 mM · DMSO ≤ 8 mM For example, to prepare a 5 mM stock solution in water, resuspend 1 mg in 333 µL of water. Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

REPROGRAMMING
· Enhances reprogramming of mouse embryonic fibroblasts or fetal neural progenitor cells to induced pluripotent stem cells without using c-Myc and SOX2 (Shi et al. 2008a; Shi et al. 2008b).

References

Chang Y et al. (2009) Structural basis for G9a-like protein lysine methyltransferase inhibition by BIX-01294. Nat Struct Mol Biol 16(3): 312–7.
Kubicek S et al. (2007) Reversal of H3K9me2 by a small-molecule inhibitor for the G9a histone methyltransferase. Mol Cell 25(3): 473–81.
Shi Y et al. (2008a) A combined chemical and genetic approach for the generation of induced pluripotent stem cells. Cell Stem Cell 2(6): 525–8.
Shi Y et al. (2008b) Induction of pluripotent stem cells from mouse embryonic fibroblasts by Oct4 and Klf4 with small-molecule compounds. Cell Stem Cell 3(5): 568–74.

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