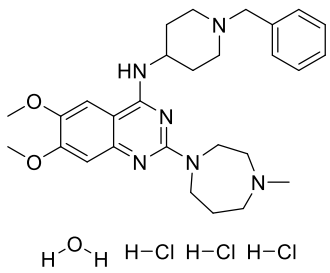
Product data sheet

MedKoo Cat#: 573453 Name: BIX 01294 trihydrochloride hydrate CAS#: 935693-62-2 Chemical Formula: $C_{28}H_{38}N_6O_2 \cdot 3HCl \cdot xH_2O$ Exact Mass: 600.2462 Molecular Weight: 600.04	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
BIX 01294 trihydrochloride hydrate, a diazepin-quinazolinamine derivative, is a histone-lysine methyltransferase (HMTase) inhibitor that modulates the epigenetic status of chromatin. BIX-01294 inhibits the G9aHMTase dependent levels of histone-3 lysine (9) methylation (H3K9me). Bix-01294 and valproic acid, a histone deacetylase (HDAC) inhibitor, may replace the requirement for ectopic OCT4 (POU5F1) and cMyc respectively in pluripotent stem cell induction (iPS) recipes.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	110.0	183.32

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.67 mL	8.33 mL	16.67 mL
5 mM	0.33 mL	1.67 mL	3.33 mL
10 mM	0.17 mL	0.83 mL	1.67 mL
50 mM	0.03 mL	0.17 mL	0.33 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Ciechomska IA, Przanowski P, Jackl J, Wojtas B, Kaminska B. BIX01294, an inhibitor of histone methyltransferase, induces autophagy-dependent differentiation of glioma stem-like cells. Sci Rep. 2016 Dec 9;6:38723. doi: 10.1038/srep38723. PMID: 27934912; PMCID: PMC5146656.
2. Tsuda H, Zhao N, Imai K, Ochiai K, Yang P, Suzuki N. BIX01294 suppresses osteoclast differentiation on mouse macrophage-like Raw264.7 cells. Bosn J Basic Med Sci. 2013 Nov;13(4):271-5. doi: 10.17305/bjbms.2013.2339. PMID: 24289765; PMCID: PMC4334004.

In vivo study

1. Oh SY, Seok JY, Choi YS, Lee SH, Bae JS, Lee YM. The Histone Methyltransferase Inhibitor BIX01294 Inhibits HIF-1α Stability and Angiogenesis. Mol Cells. 2015 Jun;38(6):528-34. doi: 10.14348/molcells.2015.0026. Epub 2015 May 27. PMID: 26013382; PMCID: PMC4469910.
2. Deng BB, Jiao BP, Liu YJ, Li YR, Wang GJ. BIX-01294 enhanced chemotherapy effect in gastric cancer by inducing GSDME-mediated pyroptosis. Cell Biol Int. 2020 Sep;44(9):1890-1899. doi: 10.1002/cbin.11395. Epub 2020 Jun 8. PMID: 32437063; PMCID: PMC7496303.

Product data sheet

7. Bioactivity

Biological target:

BIX-01294 is an inhibitor of G9a Histone Methyltransferase with an IC50 of 1.9 μM.

In vitro activity

Here it's demonstrated that BIX01294, an inhibitor of a G9a histone methyltransferase (introducing H3K9me2 and H3K27me3 repressive marks) triggers autophagy in human glioma cells. Pharmacological or genetic inhibition of autophagy decreased LC3-II accumulation and GFP-LC3 punctation in BIX01294-treated cells. GSCs-enriched spheres originating from glioma cells and GBM patient-derived cultures express lower levels of autophagy related (ATG) genes than the parental glioma cell cultures. Typical differentiation inducers that upregulate neuronal and astrocytic markers in sphere cultures, increase the level of ATG mRNAs. G9a binds to the promoters of autophagy (LC3B, WIPI1) and differentiation-related (GFAP, TUBB3) genes in GSCs. Higher H3K4me3 (an activation mark) and lower H3K9me2 (the repressive mark) levels at the promoters of studied genes were detected in serum-differentiated cells than in sphere cultures. BIX01294 treatment upregulates the expression of autophagy and differentiation-related genes in GSCs. Pharmacological inhibition of autophagy decreases GFAP and TUBB3 expression in BIX01294-treated GSCs suggesting that BIX01294-induced differentiation of GSCs is autophagy-dependent.

Reference: Sci Rep. 2016 Dec 9;6:38723. https://www.ncbi.nlm.nih.gov/pmc/articles/pmid/27934912/

In vivo activity

To determine if BIX01294 can suppress VEGF-induced angiogenesis in vivo, mice were injected with 200 μl of VEGF containing Matrigel with or without BIX01294. VEGF induced endothelial cells from the neighboring blood vessels to develop functional neo-vessels into the Matrigel plug. BIX01294 treatment reduced the extent of VEGF-induced microvessel formation within the Matrigel plug (Fig. 3C). These results demonstrated that BIX01294 potently blocked in vivo neovascularization.

Reference: Mol Cells. 2015 Jun;38(6):528-34. https://www.ncbi.nlm.nih.gov/pmc/articles/pmid/26013382/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.