BIX-01294 hydrochloride hydrate

Cat. No.: HY-10587A

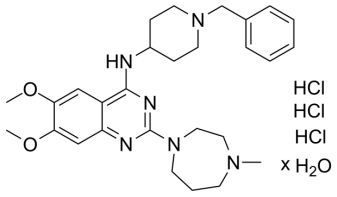
CAS No.: 1808255-64-2

Molecular Formula: $C_{28}H_{38}N_6O_2 \cdot 3ClH.xH_2O$

Target: Histone Methyltransferase; Apoptosis; Autophagy

Pathway: Epigenetics; Apoptosis; Autophagy

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

BIX-01294 hydrochloride hydrate is a histone-lysine methyltransferase (HMTase) inhibitor, which selective inhibits the G9aHMTase with IC_{50} of 1.7 μM, reduces histone-3 lysine (9) methylation (H3K9me), induces autophagy and apoptosis in human glioma cells[1][2].

IC_{50} & Target

G9a	GLP
1.7 μM (IC_{50})	38 μM (IC_{50})

In Vitro

BIX-01294 hydrochloride hydrate (1–10 μM) induces autophagy and apoptosis and reduces cell viability in LN18 glioma cells [1].BIX-01294 hydrochloride hydrate (1–10 μM) upregulates levels of autophagy-related genes LC3B, WIPI1 and downregulates the differentiation-related genes GFAP, TUBB3, results in an autophagy-dependent differentiation in glioma stem-like cells (GSC)[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	LN18
Concentration:	1–10 μM
Incubation Time:	24-72 h
Result:	Reduced cell viability of LN18 in a dose-dependent manner.

Western Blot Analysis[1]

Cell Line:	LN18
Concentration:	1–10 μM
Incubation Time:	24-72 h
Result:	Increased levels of cleaved caspase3/7 and cleaved PARP. Decreased levels of H3K9me2, H3K27me3 and accumulation of LC3-II.

CUSTOMER VALIDATION

- ACS Nano. 2023 Jan 19.
- J Exp Clin Cancer Res. 2018 Aug 17;37(1):196.
- Cell Syst. 2018 Apr 25;6(4):424-443.e7.
- Cell Death Dis. 2019 Apr 15;10(5):331.
- Cell Death Dis. 2017 Apr 6;8(4):e2726.

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REFERENCES

[1]. Ciechomska IA, et al., BIX01294, an inhibitor of histone methyltransferase, induces autophagy-dependent differentiation of glioma stem-like cells. Sci Rep. 2016 Dec 9;6:38723.

[2]. Kubicek S, O'Sullivan RJ, August EM, Hickey ER, Zhang Q, Teodoro ML, Rea S, Mechtler K, Kowalski JA, Homon CA, Kelly TA, Jenuwein T. Reversal of H3K9me2 by a small-molecule inhibitor for the G9a histone methyltransferase. Mol Cell. 2007 Feb 9;25(3):473-81.

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