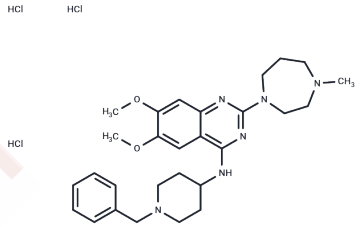
Data Sheet (Cat.No.T1959)

TargetMol

BIX-01294 trihydrochloride

Chemical Properties



CAS No. : 1392399-03-9

Formula: C28H38N6O2·3HCl

Molecular Weight: 600.02

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	BIX-01294 trihydrochloride is an inhibitor of G9a histone methyltransferase.In a cell-free assay, the IC50=2.7 μM for G9a histone methyltransferase.
Targets(IC50)	Histone Methyltransferase,Autophagy
In vivo	In wild-type embryonic stem (ES) cells, mouse embryonic fibroblasts, and HeLa cells, BIX-01294 (4.1 μM) reduces the levels of H3K9me2. BIX-01294 can specifically inhibit G9a (IC50=1.7 μM) and GLP (IC50=38 μM).
Kinase Assay	ATR for use in the in vitro enzyme assay is obtained from HeLa nuclear extract by immunoprecipitation with rabbit polyclonal antiserum raised to amino acids 400-480 of ATR contained in the following buffer: 25 mM HEPES (pH 7.4), 2 mM MgCl2, 250 mM NaCl, 0.5 mM EDTA, 0.1 mM Na3VO4, 10% v/v glycerol, and 0.01% v/v Tween 20. ATR-antibody complexes are isolated from nuclear extract by incubating with protein A-Sepharose beads for 1 h and then through centrifugation to recover the beads. In the well of a 96-well plate, 10 μL ATR-containing Sepharose beads are incubated with 1 μg of substrate glutathione?S-transferase-p53N66 (NH2-terminal 66 amino acids of p53 fused to glutathione?S-transferase are expressed in?E. coli) in ATR assay buffer (50 mM HEPES (pH 7.4), 150 mM NaCl, 6 mM MgCl2, 4 mM MnCl2, 0.1 mM Na3VO4, 0.1 mM DTT, and 10% (v/v) glycerol) at 37°C in the presence or absence of inhibitor. After 10 min with gentle shaking, ATP is added to a final concentration of 3 μM and the reaction continued at 37°C for an additional 1 h. The reaction is stopped by addition of 100 μL of PBS, and the reaction is transferred to a white opaque glutathione coated 96-well plate and incubated overnight at 4°C. This plate is then washed with PBS/0.05% (v/v) Tween 20, blotted dry, and analyzed by a standard ELISA technique with a phosphoserine 15 p53 antibody. The detection of phosphorylated glutathione?S-transferase-p53N66 substrate is performed in combination with a goat anti-mouse horseradish peroxidase-conjugated secondary antibody. Enhanced chemiluminescence solution is used to produce a signal, and chemiluminescent detection is carried out via a TopCount plate reader. The resulting calculated % enzyme activity is then used to determine the IC50? values for the compounds (IC50?taken as the concentration at which 50% of the enzyme activity is inhibited).

Solubility Information

Solubility	H2O: 60 mg/mL (100 mM),Sonication is recommended. DMSO: 40 mg/mL (66.66 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.6666 mL	8.3331 mL	16.6661 mL
5 mM	0.3333 mL	1.6666 mL	3.3332 mL
10 mM	0.1667 mL	0.8333 mL	1.6666 mL
50 mM	0.0333 mL	0.1667 mL	0.3333 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Kubicek S, et al. Mol Cell, 2007, 25(3), 473-481.
Liu Shanshan, Yu Sun, Ming Jiang, Yangkai Li, Ye Tian, Weili Xue, Ninghe Ding, Zheng Ling, et al. Glyceraldehyde-3-phosphate dehydrogenase promotes liver tumorigenesis by modulating phosphoglycerate dehydrogenase. Hepatology. 2017 Aug;66(2):631-645
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Imai K, et al. J Biol Chem, 2010, 285(22), 16538-16545.
Zhang W, Yang D, Yuan Y, et al. Muscular G9a regulates muscle-liver-fat axis by musclin under overnutrition in female mice[J]. Diabetes. 2020
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Liu Shanshan, Yu Sun, Ming Jiang, Yangkai Li, Ye Tian, Weili Xue, Ninghe Ding, Zheng Ling, et al. Glyceraldehyde-3-phosphate dehydrogenase promotes liver tumorigenesis by modulating phosphoglycerate dehydrogenase [J]. Hepatology. 2017 Aug;66(2):631-645