TOCRIS a biotechne brand

Certificate of Analysis

www.tocris.com

Product Name: BIX 01294

Catalog No.: 3364 Batch No.: 3

CAS Number: 1392399-03-9

IUPAC Name:

-(Hevehydro-4-methyl 14 1 4 di

me: 2-(Hexahydro-4-methyl-1*H*-1,4-diazepin-1-yl)-6,7-dimethoxy-*N*-[1-(phenylmethyl)-4-piperidinyl]-4-quinazolinamine trihydrochloride

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: Batch Molecular Weight: Physical Appearance: Solubility: C₂₈H₃₈N₆O₂.3HCl.4¼H₂O 676.57 White solid water to 100 mM DMSO to 100 mM Desiccate at RT

Storage:

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: ¹H NMR: Mass Spectrum: Microanalysis: Shows 99.9% purity Consistent with structure Consistent with structure

	Carbon H	ydrogen N	litrogen	Chlorine
Theoretical	49.71	7.37	12.42	15.72
Found	49.34	7.17	12.2	15.71

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

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Description:

BIX 01294 is a GLP and G9a histone lysine methyltransferase inhibitor (IC_{50} values are 0.7 and 1.7 μ M respectively) that displays no activity at other histone methyltransferases up to 37 μ M. Modulates H3K9me2 levels in mammalian cells and potentiates induction of pluripotent stem cells from somatic cells in vitro. Also inhibits H3K36 methylation by oncoproteins NSD1, NSD2 and NSD3 (IC_{50} values are 40 - 112 μ M). Restores metabolic and antiviral function in exhausted CD8+ T cells from patients with chronic HCV infection. For more information about how BIX 01294 trihydrochloride may be used, see our protocol: Converting Fibro... Please see product specific page on www.tocris.com for full description.

Physical and Chemical Properties:

Batch Molecular Formula: $C_{28}H_{38}N_6O_2$.3HCl.4¹/₄H₂O Batch Molecular Weight: 676.57 Physical Appearance: White solid

Minimum Purity: ≥98%

Batch Molecular Structure:

MeO MeO HN .3HCI

Storage: Desiccate at RT

Solubility & Usage Info:

water to 100 mM DMSO to 100 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

References:

Barili *et al* (2020) Targeting p53 and histone methyltransferases restores exhausted CD8+ T cells in HCV infection. Nat.Commun. **11** 604. PMID: 32001678.

Morishita et al (2017) BIX-01294 inhibits oncoproteins NSD1, NSD2, and NSD3. Med.Chem.Res. 26 2038.

Malmquist et al (2012) Small-molecule histone methyltransferase inhibitors display rapid antimalarial activity against all blood stage forms in *Plasmodium falciparum*. Proc.Natl.Acad.Sci.U.S.A. **109** 16708. PMID: 23011794.

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