

BRD4770

Chemical Properties

CAS No. : 1374601-40-7

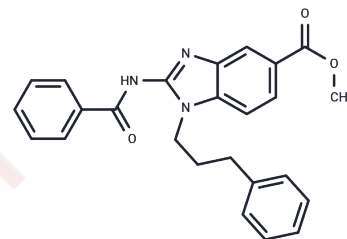
Formula: C₂₅H₂₃N₃O₃

Molecular Weight: 413.47

Store at low temperature

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

Actual storage temperature shall be subject to the COA.



Biological Description

Description	BRD4770, a histone methyltransferase G9a inhibitor, induces cell senescence.
Targets(IC50)	Histone Methyltransferase
In vivo	When used in conjunction with cotinine, BRD4770 increases the levels of LC3-II and the number of autophagosomes, thereby synergistically inducing cell death in the PANC-1 pancreatic cancer cell line. In these cells, BRD4770 induces senescence and inhibits both adhesion-dependent and -independent proliferation by suppressing G9a, which leads to a reduction in the cellular levels of di- and trimethylated H3K9.
Kinase Assay	Biochemical activity of G9a: Dissociation Enhanced Lanthanide Fluoro-ImmunoAssays (DELFI) are performed in white, opaque 384-well plates coated with Neutravidin. Test compounds are diluted to 12 µg/mL in 50 mM Tris-HCl pH 8.5 containing 4% DMSO and 10 µL is dispensed into the wells. Blank and control wells received only compound buffer. GST-G9a at 10 µg/mL and SAM at 40 µM are diluted in 50 mM Tris HCl pH 8.5/10 mM DTT and added in a volume of 20 µL. Blank wells receives Tris/DTT buffer only. The reactions are initiated by the addition of 800 nM H3(1-20)-cysbiotin substrate in 50 mM Tris pH 8.5 in a volume of 10 µL, and incubated at room temperature for 60 minutes. The plates are washed 3 times with 100 µL of Wash Buffer (50 mM Tris pH 7.4, 150 mM NaCl, 0.05% Tween 20, 0.2% BSA). Next, 50 µL of Fluoroimmunoassay (FI) Buffer (50 mM Tris HCl pH 7.8, 150 mM NaCl, 0.05% Tween 40, 25 µM DTPA, 0.2% BSA, 0.05% BGG) containing 5ng α-2X-di-meth H3-K9 and 5ng goat anti-rabbit Eu chelate is added to all wells of the plate, and the plate is incubated for an additional hour at room temperature. The plates are washed 3 times with 100 µL of Wash Buffer, and 50 µL of Enhancement Solution is added to each well. Time resolved fluorescence is measured after 45 minutes on a Viewlux Microplate Imager imaging for 15 seconds with a 354 µs window, 400 µs delay, excitation at 360 nm, and emission at 618 nm.
Cell Research	PANC-1 cells are seeded and treated with BRD4770 in 6-well plates for 72 h. Cells are trypsinized and tested for soft agar colony formation using CytoSelect 96-Well Cell Transformation Assay, using the CyQuant GR dye to measure total cellular nucleic acid levels. Fluorescence is detected with an Analyst HT plate reader using a 485/520 nm filter set.(Only for Reference)

Solubility Information

Solubility	DMSO: 15.15 mg/mL (36.64 mM),Sonication is recommended. H2O: 10 mg/mL (24.19 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 0.5 mg/mL (1.21 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4186 mL	12.0928 mL	24.1856 mL
5 mM	0.4837 mL	2.4186 mL	4.8371 mL
10 mM	0.2419 mL	1.2093 mL	2.4186 mL
50 mM	0.0484 mL	0.2419 mL	0.4837 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Yuan Y, et al. ACS Chem Biol. 2012, 7(7), 1152-1157.

Yuan Y, et al. Cell Death Dis. 2013, 4,e690.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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