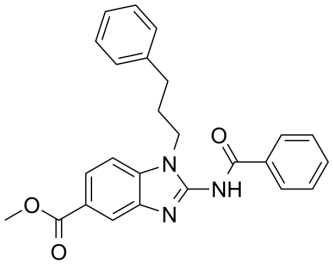
BRD4770

Cat. No.:	HY-16705
CAS No.:	1374601-40-7
Molecular Formula:	$C_{25}H_{23}N_3O_3$
Molecular Weight:	413.47
Target:	Histone Methyltransferase
Pathway:	Epigenetics
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 33.33 mg/mL (80.61 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 0.5% Methylcellulose/saline water
   Solubility: 6.25 mg/mL (15.12 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.05 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BRD4770 is a histone methyltransferase G9a inhibitor. BRD4770 reduces di- and trimethylation of lysine 9 on histone H3 (H3K9) with an EC_{50} of 5 μM, and has less or little effect toward H3K27me3, H3K36me3, H3K4me3, and H3K79me3. BRD4770 can activate the ataxia telangiectasia mutated (ATM) pathway and induce cell senescence[1].

IC_{50} & Target

Histone methyltransferase G9a[1]

In Vitro

BRD4770 (0-20 μM; 72 hours; PANC-1 cells) treatment reduces the number of cells after 72 h[1].
BRD4770 (2.5-5 μM; 24 hours; PANC-1 cells) treatment decreases H3K9 trimethylation level by 23% in PANC-1 cells[1].
BRD4770 induces a senescent phenotype in a pancreatic cancer cell line. BRD4770 also inhibits both anchorage-dependent and -independent cell proliferation and induces G2/M cell-cycle arrest. BRD4770 activates the ataxia telangiectasia mutated

(ATM) pathway without inducing DNA damage, while the ataxia telangiectasia and Rad3-related protein (ATR) pathway is not affected[1].

BRD4770 also induces increased levels of lysine acetylation in cells without inhibiting histone deacetylases[1].

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

Cell Viability Assay[1]

Cell Line:	PANC-1 cells
Concentration:	0 μM, 0.625 μM, 1.25 μM, 2.5 μM, 5 μM, 10 μM, 20 μM
Incubation Time:	72 hours
Result:	Reduced the number of cells after 72 h.

Western Blot Analysis[1]

Cell Line:	PANC-1 cells
Concentration:	2.5 μM, 5 μM
Incubation Time:	24 hours
Result:	Decreased H3K9 trimethylation level by 23% in PANC-1 cells.

CUSTOMER VALIDATION

- Proc Natl Acad Sci U S A. 2019 Feb 19;116(8):2961-2966.
- Front Cell Dev Biol. 2021 Aug 2;9:619795.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Yuan Y, et al. A small-molecule probe of the histone methyltransferase G9a induces cellular senescence in pancreatic adenocarcinoma. ACS Chem Biol. 2012 Jul 20;7(7):1152-7.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA