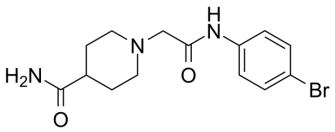
BCI-121

Cat. No.:	HY-21972
CAS No.:	432529-82-3
Molecular Formula:	$C_{14}H_{18}BrN_3O_2$
Molecular Weight:	340.22
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 : ≥ 100 mg/mL (293.93 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.9393 mL	14.6964 mL	29.3927 mL
5 mM	0.5879 mL	2.9393 mL	5.8785 mL
10 mM	0.2939 mL	1.4696 mL	2.9393 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.75 mg/mL (8.08 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.75 mg/mL (8.08 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.75 mg/mL (8.08 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BCI-121 is a SMYD3 inhibitor that impairs the proliferation of cancer cell.

In Vitro

BCI-121 significantly inhibits SMYD3-substrate interaction and chromatin recruitment and is effective in reducing proliferation in various cancer cells types. BCI-121 significantly reduces proliferation of HT29 (by 46%) and HCT116 (by 54%) cells at 72 h and decreases the expression levels of SMYD3 target genes. SMYD3 preferentially methylates histone H4, and the presence of BCI-121 impairs SMYD3-mediated H4 in vitro methylation. Cancer cells treated with BCI-121 show a significant reduction in their growth ability and accumulated in the S phase of the cell cycle. Cells treated with BCI-121 shows a dose-

dependent relationship between SMYD3 impairment and both inhibition of proliferation and reduction of targeted methyl marks (H4K5me and H3K4me2). BCI-121 shows antiproliferative properties in cancer cell lines overexpressing SMYD3 and, in general, replicated the effects of SMYD3-targeted RNAi. Experiments performed in cancer cells show that BCI-121 prevents SMYD3 recruitment on the promoters of its target genes and this event is correlated with reduced gene expression[1].

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

PROTOCOL

Cell Assay [1]

Cell proliferation is determined using the cell proliferation reagent WST-1. Cells are seeded into 96-well plates one day before treatment. After 48 h, 72 h, or 96 h of BCI-121 or DMSO exposure, 10 µL of the Cell Proliferation Reagent WST-1 are added to each well and incubated at 37 °C in a humidified incubator for up to 1 h. Absorbance is measured on a microplate reader at 450/655 nm. The proliferation index is calculated as the ratio of WST-1 absorbance of treated cells to WST-1 absorbance of control cells[1].

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

CUSTOMER VALIDATION

- Cell Death Dis. 2023 Jun 29;14(6):386.
- Acta Pharmacol Sin. 2021 Apr 13.
- Oncogene. 2021 Apr;40(15):2711-2724.
- iScience. 2023 May 29, 106994.

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REFERENCES

[1]. Peserico A, et al. A SMYD3 Small-Molecule Inhibitor Impairing Cancer Cell Growth. J Cell Physiol. 2015 Oct;230(10):2447-2460.

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