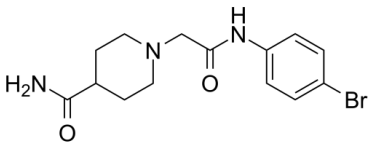
Data Sheet

Product Name:	BCI-121
Cat. No.:	CS-B1554
CAS No.:	432529-82-3
Molecular Formula:	C14H18BrN3O2
Molecular Weight:	340.22
Target:	Histone Methyltransferase
Pathway:	Epigenetics
Solubility:	DMSO : ≥ 100 mg/mL (293.93 mM)



BIOLOGICAL ACTIVITY:

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].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: BCI-121 is dissolved in DMSO.[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].

References:

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

CAIndexNames:

1-Piperidineacetamide, 4-(aminocarbonyl)-N-(4-bromophenyl)-

SMILES:

NC(C1CCN(CC(NC2=CC=C(Br)C=C2)=O)CC1)=O

Caution: Product has not been fully validated for medical applications. For research use only.

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