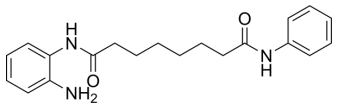
BML-210

Cat. No.:	HY-19350
CAS No.:	537034-17-6
Molecular Formula:	$C_{20}H_{25}N_3O_2$
Molecular Weight:	339.43
Target:	HDAC; Apoptosis
Pathway:	Cell Cycle/DNA Damage; Epigenetics; Apoptosis
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 : ≥ 30 mg/mL (88.38 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.9461 mL	14.7306 mL	29.4612 mL
5 mM	0.5892 mL	2.9461 mL	5.8922 mL
10 mM	0.2946 mL	1.4731 mL	2.9461 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.37 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BML-210 is a potent HDAC inhibitor. BML-210 can inhibit the HDAC4-VP16-driven reporter signal with an apparent IC_{50} of ~5 μM. BML-210 has a specific disruptive effect on the HDAC4:MEF2 interaction. BML-210 causes an increase in the G0/G1 phase. BML-210 induces apoptosis and displays antitumour activities in orthotopic mammary tumours in mice[1][2][3].

IC_{50} & Target

HDAC4:MEF2

In Vitro

BML-210 (10, 20 μM; 24, 48 hours) inhibits cell proliferation and growth inhibition of NB4 cells[2].
BML-210 (10, 20 μM; 24, 48 hours) causes a decrease in the proportion of NB4 cells in the S phase and an increase in the G0/G1 phase[2].
BML-210 (10, 20 μM; 24, 48 hours) causes cytotoxic effects on NB4 cells at 20 μM. BML-210 at a dose of 10 μM induces apoptotic cell death[2].

BML-210 (10, 20 μM; 24, 48 hours) inhibits HDAC Expression and Activity in NB4 Cells[2].
BML-210 (1.0 μM; for 48 h) causes higher expression levels differential expressed genes (DEGs) in mouse EO771 cells[3].
BML-210 does not reduce the expression of HDAC4-VP16[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[2]

Cell Line:	NB4 cells
Concentration:	10, 20 μM
Incubation Time:	24, 48 hours
Result:	Inhibited cell proliferation and growth inhibition of NB4 cells in a dose- and time-dependent manner.

Cell Cycle Analysis[2]

Cell Line:	NB4 cells
Concentration:	10, 20 μM
Incubation Time:	24, 48 hours
Result:	Caused a decrease in the proportion of NB4 cells in the S phase and an increase in the G0/G1 phase. Caused an increase in the G0/G1 phase up to 70% at 24 and 48 h with 10 μM.

Cell Cytotoxicity Assay[2]

Cell Line:	NB4 cells
Concentration:	10, 20 μM
Incubation Time:	24, 48 hours
Result:	Caused cytotoxic effects on NB4 cells in a dose- and time-dependent manner.

Apoptosis Analysis[2]

Cell Line:	NB4 cells
Concentration:	10, 20 μM
Incubation Time:	24, 48 hours
Result:	At a dose of 10 μM induced apoptotic cell death.

Western Blot Analysis[2]

Cell Line:	NB4 cells
Concentration:	10, 20 μM
Incubation Time:	24, 48 hours
Result:	At 10 μM dose inhibited HDAC1 gene expression up to 36% after 48 h of treatment Inhibited HDAC expression up to 74% at 8 h point at 20 μM. Had very low effect on HDAC 2 and HDAC 3 expression.

In Vivo	BML-210 (20 mg/kg; IP; three times per week for two weeks) notably suppresses the tumour growth and weight. BML-210 has no effect on tumour growth and weight in the immune-deficient nude (Nu/J) mice[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female C57BL/6 mice with mouse breast cancer EO771 cells[3]
Dosage:	20 mg/kg
Administration:	IP; three times per week for two weeks
Result:	Notably suppressed the tumour growth and weight.

CUSTOMER VALIDATION

- J Mol Med (Berl). 2019 Aug;97(8):1183-1193.

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REFERENCES

[1]. Zhuolong Zhou, et al. An organoid-based screen for epigenetic inhibitors that stimulate antigen presentation and potentiate T-cell-mediated cytotoxicity. Nat Biomed Eng. 2021 Nov;5(11):1320-1335.

[2]. Nimanthi Jayathilaka, et al. Inhibition of the function of class IIa HDACs by blocking their interaction with MEF2. Nucleic Acids Res. 2012 Jul; 40(12): 5378–5388.

[3]. Veronika Borutinskaite, et al. The Histone Deacetylase Inhibitor BML-210 Influences Gene and Protein Expression in Human Promyelocytic Leukemia NB4 Cells via Epigenetic Reprogramming. Int J Mol Sci. 2015 Aug; 16(8): 18252–18269.

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

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