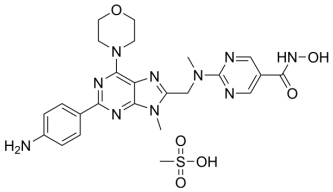
Purinostat mesylate

Cat. No.:	HY-150109
CAS No.:	2650188-32-0
Molecular Formula:	$C_{24}H_{30}N_{10}O_6S$
Molecular Weight:	586.62
Target:	HDAC; Apoptosis
Pathway:	Cell Cycle/DNA Damage; Epigenetics; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Purinostat mesylate is a selective inhibitor of HDAC. Purinostat mesylate inhibits class I and class IIb HDACs with IC_{50}s from 0.81 to 11.5 nM. Purinostat mesylate induces apoptosis and affects cell cycle of LAMA84 and 188 BL-2 cells, and shows potently anti-leukemia effects in vivo. Purinostat mesylate can be used for the research of lymphoblastic leukemia[1].

IC_{50} & Target

HDAC1 0.81 nM (IC_{50})	HDAC10 1.1 nM (IC_{50})	HDAC2 1.4 nM (IC_{50})	HDAC3 1.7 nM (IC_{50})
HDAC8 3.8 nM (IC_{50})	HDAC6 11.5 nM (IC_{50})	HDAC5 426 nM (IC_{50})	HDAC7 590 nM (IC_{50})
HDAC9 622 nM (IC_{50})	HDAC4 1072 nM (IC_{50})	HDAC11 3349 nM (IC_{50})	

In Vitro

Purinostat mesylate (1-10 μM) inhibits HDAC1, 2, 3 and 8 with IC_{50}s of 0.81, 1.4, 1.7 and 3.8 nM, inhibits HDAC6 and 10 with IC_{50}s of 11.5 and 1.1 nM, and inhibits HDAC4, 5, 7, 9 and 11 with IC_{50}s of 1072, 426, 690, 622 and 3348 nM, respectively[1]. Purinostat mesylate (0-60 nM; 24 h) induces apoptosis and affects cell cycle of LAMA84 and 188 BL-2 cells[1].

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

Cell Proliferation Assay[1]

Cell Line:	LAMA84 and 188 BL-2 cell lines
Concentration:	0-80 nM
Incubation Time:	24, 48 and 72 hours
Result:	Significantly inhibited cell proliferation of LAMA84 and 188 BL-2 cells.

Apoptosis Analysis[1]

Cell Line:	LAMA84 and 188 BL-2 cell lines
Concentration:	0-60 nM
Incubation Time:	24 hours

Result:	Induced apoptosis of LAMA84 and 188 BL-2 cells.

Cell Cycle Analysis[1]

Cell Line:	LAMA84 and 188 BL-2 cell lines
Concentration:	0-40 nM
Incubation Time:	24 hours
Result:	Dose-dependently blocked cell cycle progression at G0/G1 phase.

Western Blot Analysis[1]

Cell Line:	LAMA84 and 188 BL-2 cell lines
Concentration:	0-40 nM
Incubation Time:	24 hours
Result:	Dose-dependently increased the 191 levels of Ac-H3 and Ac-H4, and decreased HSP90.

In Vivo

Purinostat mesylate (5-10 mg/kg; i.p. three times a week for 5 weeks) effectively suppresses leukemia progression in vivo[1].
Purinostat mesylate (5-10 mg/kg; i.v. three times a week for 8 weeks) shows potently anti-leukemia effects in BCR-ABL(T315I)-induced primary B-ALL mice[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Non-irradiated C57BL/6 recipient mice with BL-2 cells injection[1]
Dosage:	5 and 10 mg/kg
Administration:	Intraperitoneal injection; 5-10 mg/kg three times a week; for five weeks
Result:	Significantly prolonged the overall survival rate and suppressed leukemia progression of mice, and no tumor cell was detected after stopped treatment.

Animal Model:	Non-irradiated C57BL/6 recipient mice with BL-2 secondary transplantation[1]
Dosage:	10 mg/kg
Administration:	Intravenous injection; 10 mg/kg three times a week
Result:	Completely eliminated $GFP^{+}B220^{+}$ cells in spleens on day 3 with two times treatment and this complete inhibition was maintained for 26 days duration of treatment.

Animal Model:	B-ALL mouse with BCR-ABL(T315I)-induced leukemia[1]
Dosage:	5 and 10 mg/kg
Administration:	Intravenous injection; 5 and 10 mg/kg three times a week; for 8 weeks
Result:	Significantly prolonged survival rate of BCR-ABL(T315I)-induced B-ALL mice. Survived all mice after treatment for 42 days.

REFERENCES

[1]. Yang L, et al. Purinostat Mesylate Is a Uniquely Potent and Selective Inhibitor of HDACs for the Treatment of BCR-ABL-Induced B-Cell Acute Lymphoblastic Leukemia. Clin Cancer Res. 2019 Dec 15;25(24):7527-7539.

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