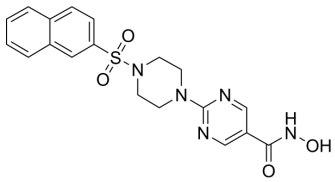
JNJ-16241199

Cat. No.:	HY-10226
CAS No.:	604769-01-9
Molecular Formula:	$C_{19}H_{19}N_5O_4S$
Molecular Weight:	413.45
Target:	Apoptosis; HDAC
Pathway:	Apoptosis; Cell Cycle/DNA Damage; Epigenetics
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

JNJ-16241199 is an orally active, selective hydroxamate-based histone deacetylase (HDAC) inhibitor, with the IC_{50} of 3.3 nM and 23 nM for HDAC1 and HDAC8, respectively. JNJ-16241199 induces histone 3 acetylation and strongly increases the expression of $p21^{waf1, cip1}$ in A2780 ovarian carcinoma cells. JNJ-16241199 induces cell apoptosis and shows anticancer activity in a broad spectrum of human malignancies. JNJ-16241199 can be used for cancer study[1].

IC_{50} & Target

HDAC1	HDAC8
3.3 nM (IC_{50})	23 nM (IC_{50})

In Vitro

JNJ-16241199 inhibits proliferation with comparable potency in acute lymphoblastic leukaemia (ALL), AML, chronic lymphoblastic leukaemia (CLL), chronic myeloid leukaemia (CML), lymphoma and myelomatumour cells (IC_{50} values = 15–486 nM) [1].

JNJ-16241199 inhibits the Primary human mammary epithelial cell (HMEC) proliferation with the IC_{50} of 32 nM, and is insensitive to quiescent, non-proliferative HMEC cells (IC_{50} = 7815 nM) [1].

JNJ-16241199 (0.1, 0.3, 1 μM, 24-48 h) induces apoptosis and inhibits angiogenesis in A2780 cell line[1].

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

Cell Cycle Analysis[1]

Cell Line:	Human A2780 ovarian carcinoma cells
Concentration:	0, 0.1, 0.3, 1 μM
Incubation Time:	24 h or 48 h
Result:	Decreased in S phase at 300 nM, with a parallel increase in G1 phase, but increased in the sub-G1 fraction of cells at the 1 μM after 24 h. Increased in sub-G1 phase at all active concentrations starting from 100 nM after 48 h.

In Vivo

JNJ-16241199 (10-40 mpk/day for 28 days, p.o.) inhibits the growth of A2780 ovarian, H460 lung and HCT116 colon carcinomas orthotopic xenograft tumor models [1].

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

Animal Model:	Human A2780, H460 and HCT116 orthotopic xenograft tumor models[1]

Dosage:	10-40 mpk/day for 28 days
Administration:	Oral gavage (p.o.)
Result:	Induced H3 acetylation and $p21^{waf1, cip1}$ promoter activity in A2780 ovarian tumour tissue. Decreased tumour volume in three orthotopic xenograft tumor models. Reached maximal decrease in final tumour volume to 76–87% in human A2780 orthotopic xenograft tumor models.

REFERENCES

[1]. Arts J, et al. R306465 is a novel potent inhibitor of class I histone deacetylases with broad-spectrum antitumoral activity against solid and haematological malignancies. Br J Cancer. 2007;97(10):1344-1353.

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

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