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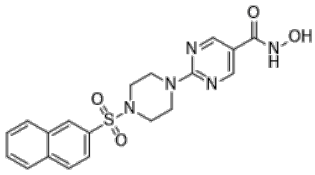
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	R306465
Cat. No.	:	PC-27078
CAS No.	:	604769-01-9
Molecular Formula	:	$C_{19}H_{19}N_5O_4S$
Molecular Weight	:	413.45
Target	:	HDAC
Solubility	:	10 mM in DMSO



Biological Activity

R306465 (JNJ-16241199) is a selective, potent inhibitor of class I histone deacetylase (HDACs) with IC50 of 3.31 nM and 23 nM for HDAC1 and HDAC8.
R306465 inhibits the class I HDAC8 at least 10 times more potently than vorinostat and panobinostat.
R306465 preferentially inhibits deacetylation of HDAC1/HDAC3 substrate B61 in rat liver HDAC preparation with IC50 of 51 nM, much weaker acitvity against HDAC6-specific substrate B12.
R306465 is a specific inhibitor of HDAC1/3 in A2780 tumour cells, induced H3 acetylation and p21waf1, cip1 induction at concentrations as low as 100 nM in human A2780 ovarian carcinoma cells, but not HDAC6 activity.
R306465 has broad-spectrum antiproliferative activity against solid and haematological cancer cell lines (A2780 proliferation IC50=39 nM).
R306465 induces apoptosis and inhibits angiogenesis in A2780 ovarian carcinoma cells, inhibits the growth of HUVECs with an IC50 of 186 nM.
R306465 (40 mpk, p.o. once daily, vehicle: 20% HP-β-CD) induces histone acetylation and activates the p21waf1,cip1 promoter in nude mice were injected s.c. with A2780 ovarian carcinoma cells.
R306465 (20/40 mpk, p.o. vehicle: 20% HP-β-CD) inhibits tumour growth in the A2780 ovarian xenograft model, and lung (H460) and colon (HCT116) xenograft models.

References

Arts J, et al. Br J Cancer. 2007 Nov 19;97(10):1344-53.

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