

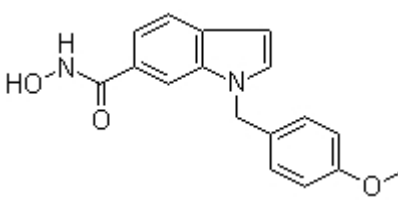


## Product Introduction

### PCI-34051

PCI-34051 is a potent and specific **HDAC8** inhibitor with **IC50** of 10 nM. It has greater than 200-fold selectivity over HDAC1 and 6, more than 1000-fold selectivity over HDAC2, 3, and 10.

#### Technical Data:

<b>Molecular Weight (MW):</b>	296.32	
<b>Formula:</b>	C <sub>17</sub> H <sub>16</sub> N <sub>2</sub> O <sub>3</sub>	
<b>Solubility (25°C)</b>	DMSO 59 mg/mL	
<b>* &lt;1 mg/ml means slightly soluble or insoluble:</b>	Water <1 mg/mL	
	Ethanol <1 mg/mL	
<b>Purity:</b>	>98%	
<b>Storage:</b>	3 years -20°C Powder 6 months -80°C in DMSO	
<b>CAS No.:</b>	950762-95-5	

#### Biological Activity

PCI-34051 possesses promising potency for HDAC8 with a  $K_i$  of 10 nM. PCI-34051 has high selectivity (approximately fivefold) for HDAC8 relative to the other class I HDACs including HDAC1. PCI-34051 reveals greater than 200-fold selectivity over HDAC1 and HDAC6, and greater than 1000-fold selectivity over HDAC2, HDAC3 and HDAC10. PCI-34051 inhibits ovarian tumor line OVCAR-3 with a GI50 of 6  $\mu$ M and 15% cell death. Neither significant tubulin nor histone acetylation is observed in the sensitive cell lines treated with PCI-34051 at concentrations less than 25  $\mu$ M at 24 hours nor at earlier timepoints. PCI-34051  
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induces a selective cytotoxic effect in cell lines derived only from T-cell malignancies. PCI-34051 induces caspase-dependent apoptosis. When caspase-3 activity is measured at various times after treatment with 5  $\mu$ M PCI-34051, increasing levels of activity are observed from 12 to 24 to 48 hours, another hallmark of apoptosis, consistent with the higher levels of caspase activity at this timepoint. PCI-34051 does not stimulate Bid cleavage, a characteristic effect of the extrinsic apoptotic pathway. While P116 and J.RT3-T.5 are sensitive to PCI-34051, the PLC $\gamma$ 1-deficient J.gamma1 line reveals a marked decrease in the extent of PCI-34051-induced apoptosis. In addition, steady-state calcium levels strongly influence the apoptosis induced by PCI-34051. PCI-34051 induces cytochrome c release from mitochondria.[1]

## References

[1] Balasubramanian S, et al. Leukemia. 2008, 22(5), 1026-1034.



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