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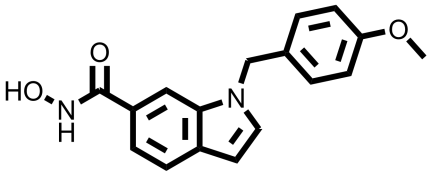
HDAC8 Inhibitor – PCI-34051

Chemical Name: N-hydroxy-1-(4-methoxybenzyl)-1H-indole-6-carboxamide



Molecular Weight:	296.32
Formula:	$C_{17}H_{16}N_2O_3$
Purity:	≥98%
CAS#:	950762-95-5
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

PCI-34051 is a potent and selective inhibitor of histone deacetylase 8 (HDAC8) with an IC_{50} ~10 nM. It displays >200 fold selectivity over other HDAC isoforms 1, 2, 3, 6 and 10. PCI-34051 has a unique mechanism of action involving PLCgamma1 activation and calcium-induced apoptosis, and could offer benefits including a greater therapeutic index for treating T-cell malignancies. PCI-34051 induces caspase-dependent apoptosis in cell lines derived from T-cell lymphomas or leukemia, but not in other hematopoietic or solid tumor lines. Unlike broad-spectrum HDAC inhibitors, PCI-34051 does not cause detectable histone or tubulin acetylation. Cells defective in T-cell receptor signaling were still sensitive to PCI-34051-induced apoptosis, whereas a phospholipase C-gamma1 (PLCgamma1)-defective line was resistant. In addition, steady-state calcium levels strongly influence the apoptosis induced by PCI-34051. It also induces cytochrome c release from mitochondria.

How to Use:

In vitro: PCI-34051 was used at 5 µM final concentration in various in vitro assays.

In vivo: n/a

Reference:

1. Balasubramanian S, et al. A novel histone deacetylase 8 (HDAC8)-specific inhibitor PCI-34051 induces apoptosis in T-cell lymphomas. (2008) Leukemia. 22(5):1026-34.
2. Pipalia NH, et al. Histone deacetylase inhibitor treatment dramatically reduces cholesterol accumulation in Niemann-Pick type C1 mutant human fibroblasts. (2011) Proc Natl Acad Sci USA. 108(14):5620-5.