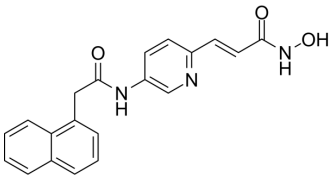
MC2590

Cat. No.:	HY-152226
CAS No.:	2284460-01-9
Molecular Formula:	$C_{20}H_{17}N_3O_3$
Molecular Weight:	347.37
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

MC2590 is a potent pyridine-containing histone deacetylase (HDAC) inhibitor. MC2590 is a inhibitor of HDAC1-3, -6, -8, and -10 (class I/IIb-selective inhibitor) with IC_{50}s of 0.015 μM-0.156 μM. MC2590 also inhibits HDAC isoforms HDAC4, HDAC5, HDAC7, HDAC9, HDAC11 with IC_{50}s of 1.35 μM-3.98 μM. MC2625 induces G2/M cell cycle arrest and modulates pro- and anti-apoptotic microRNAs towards apoptosis induction[1].

IC_{50} & Target

HDAC1 0.098 μM (IC_{50})	HDAC2 0.156 μM (IC_{50})	HDAC3 0.039 μM (IC_{50})	HDAC6 0.015 μM (IC_{50})
HDAC8 0.047 μM (IC_{50})	HDAC10 0.071 μM (IC_{50})	HDAC4 2.73 μM (IC_{50})	HDAC5 1.35 μM (IC_{50})
HDAC7 2.06 μM (IC_{50})	HDAC9 2.79 μM (IC_{50})	HDAC11 3.98 μM (IC_{50})	

In Vitro

MC2625 (compound 5e) has antiproliferative activity with Colorectal carcinoma HCT116 (IC_{50}=0.07 μM), Lung adenocarcinoma A549 (IC_{50}=0.32 μM), Chronic myelogenous leukaemia K562 (IC_{50}=0.05 μM) for 72 h[1].

MC2625 (1, 5 μM; 24, 48 h) displays mainly G2/M cell cycle arrest[1].

MC2625 (1, 5 μM; 24, 48 h) reveals H3K9/14 hyperacetylation activity, increases the acetyl-α-tubulin level, markedly upregulates the p21 protein[1].

MC2625 (1, 5 μM; 48 h) increases mRNA expression of p21, BAX and BAK, downregulates cyclin D1 and BCL-2 and modulates pro- and anti-apoptotic microRNAs towards apoptosis induction[1].

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

Cell Cycle Analysis[1]

Cell Line:	Human acute myeloid leukaemia U937 cells
Concentration:	1, 5 μM
Incubation Time:	24, 48 h
Result:	At 24 h, showed very low increase of the pre-G1 peak and led to a G2/M phase arrest at 1 μM; induced a 10% pre-G1 increase and displayed a block at the G2/M phase at 5 μM. At 48 h, induced a 70-85% block of the cell cycle at the G1 phase.

Western Blot Analysis[1]

Cell Line:	Human acute myeloid leukaemia U937 cells
Concentration:	1, 5 μM
Incubation Time:	24, 48 h
Result:	At 1 μM revealed H3K9/14 hyperacetylation activity, increased the acetyl-α-tubulin level, markedly upregulated the p21 protein.

RT-PCR[1]

Cell Line:	Human acute myeloid leukaemia U937 cells
Concentration:	1, 5 μM
Incubation Time:	48 h
Result:	At 1 μM significantly induced the expression of BAX and BAK, dose-dependently downregulated the antiapoptotic factor BCL-2. Downregulated miRNAs with antiapoptotic activity (miR-17-5p, miR-18-5p, miR-19b-3p, miR-20a-5p, miR-21-5p); induced the proapoptotic miRNAs (miR-let7a-5p, miR-125b-5p, miR-181a-5p, miR-181b-5p, miR-769-5p, miR-122-5p).

REFERENCES

[1]. Elisabetta Di Bello, et al. Novel pyridine-containing histone deacetylase inhibitors strongly arrest proliferation, induce apoptosis and modulate miRNAs in cancer cells. Eur J Med Chem. 2022 Dec 15;247:115022.

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