NB512

Cat. No.: HY-163282

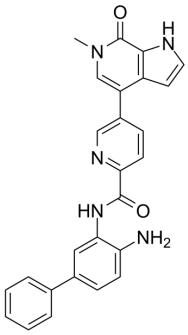
Molecular Formula: $C_{26}H_{21}N_5O_2$

Molecular Weight: 435.48

Target: HDAC; Epigenetic Reader Domain

Pathway: Cell Cycle/DNA Damage; Epigenetics

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

NB512 (compound 39a) is a dual inhibitor for BET and HDAC, which exhibits a efficient binding affinity with BRD4 bromodomains and HDAC1/2, with EC_{50}s of 100-400 nM. NB512 exhibits an anti-proliferative activity towards cancer cells PaTu8988T and NMC[1].

IC_{50} & Target

HDAC2	HDAC1	BRD4 BD2	BRD4 BD1
0.1 μM (EC50)	0.11 μM (EC50)	0.25 μM (EC50)	0.36 μM (EC50)

HDAC3
13.6 μM (EC50)

In Vitro

NB512 (1 μM) inihibits the deacetylation of histone H3 K9/K14, upregulates the BET targeting marker HEXIM1 and cell cycle regulator gene p57 in PaTu8988T in a dose-dependent manner[1].

NB512 (1 μM) exhibits an anti-proliferative activity towards PaTu8988T and NMC, with IC_{50}s of 3.6 and 0.42 μM, respectively [1].

NB512 (1 μM) downregulates oncogenic transcription factors MYC and TP63 in NMC cells[1].

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

Western Blot Analysis[1]

Cell Line:	PaTu8988T
Concentration:	0-1 μM
Incubation Time:	48 h
Result:	Increased acetylated histone H3 K9/K14 in a dose-dependent manner.

RT-PCR[1]

Cell Line:	PaTu8988T
Concentration:	0-1 μM
Incubation Time:	6 h
Result:	Upregulated mRNA levels of HEXIM1 and p57 in a dose-dependent manner.

REFERENCES

[1]. Bauer N,et al., Development of Potent Dual BET/HDAC Inhibitors via Pharmacophore Merging and Structure-Guided Optimization. ACS Chem Biol. 2024 Feb 16;19(2):266-279.

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