NU9056

Cat. No.: HY-110127

CAS No.: 1450644-28-6

Molecular Formula: $C_6H_4N_2S_4$

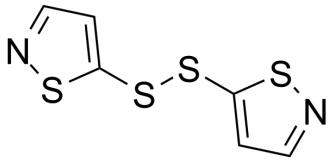
Molecular Weight: 232.37

Target: Histone Acetyltransferase; Apoptosis

Pathway: Epigenetics; Apoptosis

Storage:

Pure form	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (537.94 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	4.3035 mL	21.5174 mL	43.0348 mL
	5 mM	0.8607 mL	4.3035 mL	8.6070 mL
	10 mM	0.4303 mL	2.1517 mL	4.3035 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (8.95 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (8.95 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.1 mg/mL (4.73 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

NU9056 is a potent and selective Tip60 (KAT5) histone acetyltransferase inhibitor with an [1][2] of 2 μM. NU9056 shows >16-fold selectivity for Tip60 over PCAF, p300 and GCN5. NU9056 induces apoptosis of prostate cancer cells[1].

In Vitro

NU9056 (17-36 μM; 24-96 hours) results in both caspase 3 and caspase 9 activation in a time- and concentration-dependent manner[1].

NU9056 (2.5-40 μM; 2 hours) treatment results in decreased levels of acetylated histone H4K16, H3K14 and H4K8, targets for Tip60-mediated acetylation[1].

NU9056 treatment also decreases androgen receptor, prostate specific antigen, p53 and p21 protein levels[1].

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

Apoptosis Analysis[1]

Cell Line:	LNCaP cells
Concentration:	17 μM, 24 μM, 36 μM
Incubation Time:	24 hours, 48 hours, 72 hours, 96 hours
Result:	Induced apoptosis via activation of caspase 3 and caspase 9 in a concentration- and time-dependent manner.

Western Blot Analysis[1]

Cell Line:	LNCaP cells
Concentration:	2.5 μM, 5 μM, 10 μM, 20 μM, 40 μM
Incubation Time:	2 hours
Result:	Resulted in decreased levels of acetylated histone H4K16, H3K14 and H4K8, targets for Tip60-mediated acetylation.

In Vivo

The mice are injected with Nu9056 (2 μg/g) and the hippocampus is collected 1 h later. Tip60 inhibition reduces H2A.Z binding at the -1 nucleosome of Arc, and the +1 nucleosome of Arc and Syp. Additionally, Nu9056 increases acetylation at the -1 nucleosome of Fos, Tacstd2, and Gria4, and the +1 nucleosome of Gria4[2].

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

CUSTOMER VALIDATION

- Mol Cell Proteomics. 2023 Jan 25;100504.

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REFERENCES

[1]. Kelly Coffey, et al. Characterisation of a Tip60 specific inhibitor, NU9056, in prostate cancer. PLoS One. 2012;7(10):e45539.

[2]. Klotilda Narkaj, et al. Blocking H2A.Z Incorporation via Tip60 Inhibition Promotes Systems Consolidation of Fear Memory in Mice. eNeuro. 2018 Nov 8;5(5):ENEURO.0378-18.2018.

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