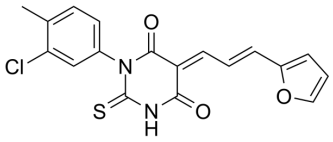
DCH36_06

Cat. No.:	HY-139108
CAS No.:	593273-05-3
Molecular Formula:	$C_{18}H_{13}ClN_2O_3S$
Molecular Weight:	372.83
Target:	Histone Acetyltransferase; Apoptosis
Pathway:	Epigenetics; Apoptosis
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (335.27 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6822 mL	13.4109 mL	26.8219 mL
	5 mM	0.5364 mL	2.6822 mL	5.3644 mL
	10 mM	0.2682 mL	1.3411 mL	2.6822 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (5.58 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (5.58 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

DCH36_06 is a potent and selective p300/CBP inhibitor with IC_{50}s of 0.6 μM and 3.2 μM for p300 and CBP, respectively. DCH36_06 mediated p300/CBP inhibition leading to hypoacetylation on H3K18 in leukemic cells. Anti-tumor activity[1].

In Vitro

DCH36_06 (6.7-20 μM; 24-48 hours) treatment arrests cell cycle at G1 phase and induces apotosis in a dose-dependent manner in leukemic cells[1].

DCH36_06 (5-10 μM; 24 hours) treatment significantly activates the cleavage of pro-caspase 3, pro-caspase 9 and PARP1 at dose-dependent manner[1].

DCH36_06 shows potent antiproliferative activity against tested leukemia cell lines (CEM, MOLT3, MOLT4, Jurkat, MV4-11, THP-1, RS4; 11, KOPN8, Kasumi-1 and K562 cells) in a dose-dependent manner with IC50 values at single-digit micromolar range[1].

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

Cell Cycle Analysis[1]

Cell Line:	MV4-11 cells
Concentration:	6.7 μM, 20 μM
Incubation Time:	24 hours, 48 hours
Result:	Dose-dependently arrested cell cycle at G1 phase.

Apoptosis Analysis[1]

Cell Line:	MV4-11 cells
Concentration:	6.7 μM, 20 μM
Incubation Time:	24 hours, 48 hours
Result:	Significantly induced apoptosis.

Western Blot Analysis[1]

Cell Line:	MV4-11 cells
Concentration:	5 μM, 10 μM
Incubation Time:	24 hours
Result:	Significantly activated the cleavage of pro-caspase 3, pro-caspase 9 and PARP1 at dose-dependent manner.

In Vivo

DCH36_06 (25-50 mg/kg; intraperitoneal injection; every two days; for 20 days) blocks the leukemic xenograft growth in mice [1].

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

Animal Model:	MV4-11 xenograft nude mice[1]
Dosage:	25 mg/kg, 50 mg/kg
Administration:	Intraperitoneal injection; every two days; for 20 days
Result:	The tumor growth rate showed significant reduction in dose-dependent manner.

REFERENCES

[1]. Wenchao Lu, et al. Discovery and biological evaluation of thiobarbituric derivatives as potent p300/CBP inhibitors. Bioorg Med Chem. 2018 Nov 1;26(20):5397-5407.

Caution: Product has not been fully validated for medical applications. For research use only.

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