Proteins



CLK-IN-T3

Cat. No.: HY-115470 CAS No.: 2109805-56-1 Molecular Formula: $C_{28}H_{30}N_6O_2$ Molecular Weight: 482.58 Target: CDK; DYRK

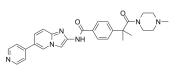
Pathway: Cell Cycle/DNA Damage; Protein Tyrosine Kinase/RTK

Storage: Powder -20°C 3 years

 $4^{\circ}C$ 2 years

-80°C In solvent 2 years

> -20°C 1 year



Product Data Sheet

SOLVENT & SOLUBILITY

In Vitro

DMSO: 4.83 mg/mL (10.01 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.0722 mL	10.3610 mL	20.7220 mL
	5 mM	0.4144 mL	2.0722 mL	4.1444 mL
	10 mM	0.2072 mL	1.0361 mL	2.0722 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 (4.31 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (4.31 mM); Clear solution

BIOLOGICAL ACTIVITY

Description CLK-IN-T3 is a high potent, selective, and stable CDC-like kinase (CLK) inhibitor with IC_{50} s of 0.67 nM, 15 nM, and 110 nM for CLK1, CLK2, and CLK3 protein kinases, respectively. CLK-IN-T3 has anti-cancer activity^[1]. IC₅₀ & Target CLK1 CLK2 CLK3 DYRK1A

0.67 nM (IC₅₀) 15 nM (IC₅₀) 110 nM (IC₅₀) 260 nM (IC₅₀)

> DYRK1B 230 nM (IC₅₀)

In Vitro CLK-IN-T3 inhibits DYRK1A (IC₅₀=260 nM) and DYRK1B (IC₅₀=230 nM)^[1]. CLK-IN-T3 (0.1-10.0 μ M; 24 hours) results in mild cell cycle arrest at the G2/M boundary with long-duration (24 h)^[1]. CLK-IN-T3 (0.5-1.0 μ M; 6 hours) decreases phosphorylation of CLK-targeted SR proteins and CLK proteins increase slightly^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only. Cell Cycle Analysis^[1]

Cell Line:	HCT-116 cells		
Concentration:	0.1, 0.5, 1.0, 5.0, 10.0 μM		
Incubation Time:	24 hours		
Result:	Resulted in mild cell cycle arrest at the G2/M boundary with long-duration (24 h).		
Western Blot Analysis ^[1]			
Cell Line:	HCT-116 cells		
Concentration:	0.5, 1.0 μΜ		
Incubation Time:	6 hours		
Result:	Decreased phosphorylation of CLK-targeted SR proteins and CLK proteins increase slightly.		

CUSTOMER VALIDATION

• J Med Chem. 2023 Mar 6.

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REFERENCES

[1]. Funnell T, et al. CLK-dependent exon recognition and conjoined gene formation revealed with a novel smallmolecule inhibitor. Nat Commun. 2017 Feb 23;8(1):7.

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

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