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Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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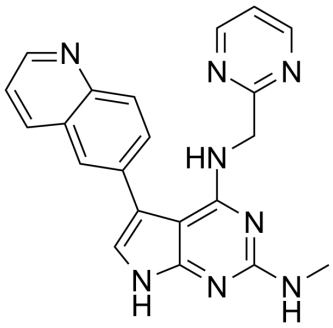
T025

Cat. No.:	HY-112296
CAS No.:	2407433-00-3
Molecular Formula:	$C_{21}H_{18}N_8$
Molecular Weight:	382.42
Target:	CDK; Apoptosis; DYRK
Pathway:	Cell Cycle/DNA Damage; Apoptosis; Protein Tyrosine Kinase/RTK
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 8.25 mg/mL (21.57 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6149 mL	13.0746 mL	26.1493 mL
	5 mM	0.5230 mL	2.6149 mL	5.2299 mL
	10 mM	0.2615 mL	1.3075 mL	2.6149 mL

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

In Vivo

1. Add each solvent one by one: 0.5% CMC-Na/saline water
   Solubility: 10 mg/mL (26.15 mM); Suspended solution; Need ultrasonic and warming and heat to 40°C

BIOLOGICAL ACTIVITY

Description

T025 is an orally active and highly potent inhibitor of Cdc2-like kinase (CLKs), with K_d values of 4.8, 0.096, 6.5, 0.61, 0.074, 1.5 and 32 nM for CLK1, CLK2, CLK3, CLK4, DYRK1A, DYRK1B and DYRK2, respectively. T025 induces caspase-3/7-mediated cell apoptosis. T025 reduces CLK-dependent phosphorylation. T025 exerts anti-proliferative activities in both hematological and solid cancer cell lines (IC_{50} values: 30-300 nM). T025 has an anti-tumor efficiency, mainly for MYC-driven disease research[1].

IC_{50} & Target

CLK2 0.096 nM (Kd)	CLK4 0.61 nM (Kd)	CLK1 4.8 nM (Kd)	CLK3 6.5 nM (Kd)
DYRK1A 0.074 nM (Kd)	DYRK1B 1.5 nM (Kd)	DYRK2 32 nM (Kd)	

In Vitro

T025 (0-1000 nM; 72 hours) significantly suppresses the growth of MDA-MB-468 cells in a dose-dependent manner[1].

T025 (0-1000 nM; 6 hours) reduces phosphorylation levels in MDA-MB-468 cells[1].

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

Cell Viability Assay[1]

Cell Line:	MDA-MB-468 cells
Concentration:	1, 10, 100 and 1000 nM
Incubation Time:	72 hours
Result:	Resulted in concentration dependent growth inhibition.

Western Blot Analysis[1]

Cell Line:	MDA-MB-468 cells
Concentration:	0, 10, 30, 100, 300 and 1000 nM
Incubation Time:	6 hours
Result:	Decreased both pCLK2 and CLK2.

In Vivo

T025 (50 mg/kg; p.o.; 2, 4, 8 hours, Balb/c nude mice (7 to 8 week-old females).) suppress the CLK-dependent phosphorylation and induce skipping exon in various genes[1].

T025 (50 mg/kg; p.o.; twice daily on 2 days per week, for 3 weeks, Balb/c nude mice (7 to 8 week-old females).) inhibits MDA-MB-468 xenograft mice tumor growth and without affect body weight [1].

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

Animal Model:	Balb/c nude mice (7 to 8 week-old females)[1]
Dosage:	50 mg/kg
Administration:	Oral administration; 2, 4, 8 hours.
Result:	pCLK2 detected with immunohistochemistry and immunoblotting decreased, by a reduction in the RPS6KB1 exon 7 and BCLAF1 exon 11 percentage splice-in (PSI) values.

Animal Model:	Balb/c nude mice (7 to 8 week-old females)[1]
Dosage:	50 mg/kg
Administration:	Oral administration; twice daily on 2 days per week, for 3 weeks.
Result:	Suppressed tumor growth and < 10% nadir body weight loss.

CUSTOMER VALIDATION

- Cell Mol Life Sci. 2023 Jan 17;80(2):43.
- Int J Mol Sci. 2023 Apr 4, 24(7), 6733.

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REFERENCES

[1]. Iwai K, et al. Anti-tumor efficacy of a novel CLK inhibitor via targeting RNA splicing and MYC-dependent vulnerability. EMBO Mol Med. 2018 Jun;10(6):e8289.

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