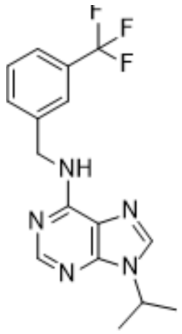
Longdaysin

Cat. No.:	HY-18285
CAS No.:	1353867-91-0
Molecular Formula:	$C_{16}H_{16}F_3N_5$
Molecular Weight:	335.33
Target:	Casein Kinase; ERK; CDK
Pathway:	Cell Cycle/DNA Damage; Stem Cell/Wnt; MAPK/ERK Pathway
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 (372.77 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9821 mL	14.9107 mL	29.8214 mL
	5 mM	0.5964 mL	2.9821 mL	5.9643 mL
	10 mM	0.2982 mL	1.4911 mL	2.9821 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 (6.20 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (6.20 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Longdaysin is a inhibitor of the Wnt/β-catenin signaling pathway, which exerts antitumor effect through blocking CK1δ/ε-dependent Wnt signaling. Longdaysin inhibits CK1α, CK1δ, CDK7, and ERK2 with IC_{50}s of 5.6 μM, 8.8 μM, 29 μM, and 52 μM, respectively[1][2].

IC_{50} & Target				
	CKIα	CKIδ	CDK7	ERK2
	5.6 μM (IC_{50})	8.8 μM (IC_{50})	29 μM (IC_{50})	52 μM (IC_{50})

In Vitro

Longdaysin (0-9 μM; 1 week) lengthens circadian period in adult tail fibroblasts, lung explants, and SCN explants from mPer2^{Luc} knockin mice[2].

Longdaysin (0-25 μM; 1 week) inhibits the colony formation, migration, invasion, and sphere formation of breast cancer Hs578T or MDA-MB-231 cells[3].

Longdaysin (0-50 μM; 24 h) inhibits Wnt/β-catenin signaling by inhibition of CK1δ and CK1ε in HEK293T cells[3].

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

Western Blot Analysis[3]

Cell Line:	HEK293T cells
Concentration:	0-50 μM
Incubation Time:	24 h
Result:	Reduced the levels of phosphorylated LRP6, total LRP6, phosphorylated DVL2, activated β-catenin, and total β-catenin.

In Vivo

Longdaysin (0-9 μM; 1 week) increases circadian period in zebrafish[2].

Longdaysin (5 mg/kg, i.p., every 3 days for 3 weeks) inhibits tumor growth in MDA-MB-231 xenografts mice[3].

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

Animal Model:	MDA-MB-231 xenografts[3]
Dosage:	5 mg/kg
Administration:	i.p., every 3 days for 3 weeks
Result:	Decreased tumor cell density and proliferation (indicated by Ki-67). Reduced the expression of active and total β-catenin, and decreased the expression of phosphorylated and total LRP6, phosphorylated DVL2, and active and total β-catenin. Reduced mRNA expression of the Wnt target genes including Axin2, DKK1, LEF1, and Survivin.

REFERENCES

[1]. Xiong Y, et al. Longdaysin inhibits Wnt/β-catenin signaling and exhibits antitumor activity against breast cancer. Onco Targets Ther. 2019 Feb 5;12:993-1005.

[2]. Griffett K, et al. The mammalian clock and chronopharmacology. Bioorganic & Medicinal Chemistry Letters, 2013, 23(7):1929-1934..

[3]. Hirota T, et al. High-throughput chemical screen identifies a novel potent modulator of cellular circadian rhythms and reveals CKIα as a clock regulatory kinase. PLoS Biol. 2010 Dec 14;8(12):e1000559.

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