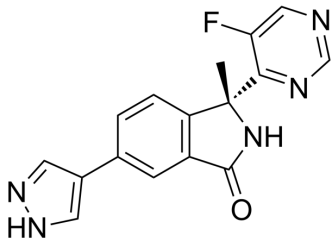
LY3177833

Cat. No.:	HY-100023
CAS No.:	1627696-51-8
Molecular Formula:	$C_{16}H_{12}FN_5O$
Molecular Weight:	309.3
Target:	CDK
Pathway:	Cell Cycle/DNA Damage
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 : ≥ 30 mg/mL (96.99 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.2331 mL	16.1655 mL	32.3311 mL
5 mM	0.6466 mL	3.2331 mL	6.4662 mL
10 mM	0.3233 mL	1.6166 mL	3.2331 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: ≥ 2.5 mg/mL (8.08 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.08 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.08 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

LY3177833 (Example 4) is an orally active CDC7 and pMCM2 inhibitor with IC_{50} values of 3.3 nM and 290 nM, respectively. LY3177833 is a senescence inducer[1][2].

IC_{50} & Target

Cdc7	pMCM2
3.3 nM (IC_{50})	290 nM (IC_{50})

In Vitro

LY3177833 (10 μM; 4 days) increases SA-β-gal content in Hep3B cells[2].

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

Western Blot Analysis[2]

Cell Line:	Hep3B cells
Concentration:	10 μM
Incubation Time:	4 days
Result:	Increased the expression of human SA-β-gal.

In Vivo

LY3177833 (Example 4; 10.4-31.2 mg/kg; oral gavage; twice a day; for 2 weeks; female athymic Balb/c nude mice with SW620 cells) treatment causes significant tumor regression in a dose-dependent manner. Also, no significant tumor growth is observed for 2 weeks after dosing cessation[1].

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

Animal Model:	Female athymic Balb/c nude mice (5-6 weeks old) with SW620 cells[1]
Dosage:	10.4 mg/kg, 20.8 mg/kg and 31.2 mg/kg
Administration:	Oral gavage; twice a day for 2 weeks
Result:	Showed dose dependent antitumor activity in SW620 mouse xenograft tumor model.

REFERENCES

[1]. Li X, et al. First-generation species-selective chemical probes for fluorescence imaging of human senescence-associated β-galactosidase. Chem Sci. 2020 Jun 17;11(28):7292-7301.

[2]. Robert Dean Dally, et al. CDC7 Inhibitors. Patent WO2014143601A1.

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