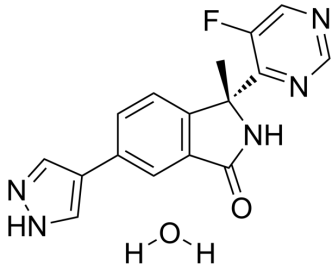
LY3177833 monhydrate

Cat. No.:	HY-100023A
CAS No.:	1627696-53-0
Molecular Formula:	$C_{16}H_{14}FN_5O_2$
Molecular Weight:	327.31
Target:	CDK
Pathway:	Cell Cycle/DNA Damage
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



BIOLOGICAL ACTIVITY

Description

LY3177833 (Example 4) monhydrate is an orally active CDC7 and pMCM2 inhibitor with IC_{50} values of 3.3 nM and 290 nM, respectively. LY3177833 monhydrate 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].

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

[2]. 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.

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