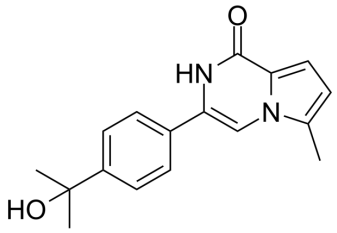
MSC2504877

Cat. No.:	HY-123851
CAS No.:	1460286-21-8
Molecular Formula:	$C_{17}H_{18}N_2O_2$
Molecular Weight:	282.34
Target:	PARP
Pathway:	Cell Cycle/DNA Damage; Epigenetics
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (442.73 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.5418 mL	17.7091 mL	35.4183 mL
	5 mM	0.7084 mL	3.5418 mL	7.0837 mL
	10 mM	0.3542 mL	1.7709 mL	3.5418 mL

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

BIOLOGICAL ACTIVITY

Description

MSC2504877 (M2912) is a potent and orally active tankyrase inhibitor with IC_{50}s of 0.0007, 0.0008, 0.54 μM for TNKS, TNKS2, PARP1, respectively. MSC2504877 increases the expression of AXIN2 and TNKS protein levels and decreases β-catenin levels. MSC2504877 shows anti-tumor activity[1].

IC_{50} & Target			
	PARP1	TNKS	TNKS2
	0.54 μM (IC_{50})	0.0007 μM (IC_{50})	0.0008 μM (IC_{50})

In Vitro

MSC2504877 (1, 3, 10 μM; 24 h) increases the expression of AXIN2 and TNKS protein levels and decreases β-catenin levels in APC mutant COLO320DM colorectal tumor cells[1].

MSC2504877 (0-100 μM; 5 days) inhibits the survival of $APC^{-/-}$ cells and COLO320DM cells[1].

MSC2504877 (1 μM; 24 h) combinant with albociclib (HY-50767) (0.03 μM) induces cell cycle arrest at G1 phase[1].

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

Western Blot Analysis[1]

Cell Line:	APC mutant COLO320DM colorectal tumour cells

Concentration:	1, 3, 10 μM
Incubation Time:	24 h
Result:	Increased AXIN2 protein levels and decreased β-catenin levels.

In Vivo

MSC2504877 (30 mg/kg; p.o.; once) inhibits TNKS and Wnt signalling in mice[1].

MSC2504877 (30 mg/kg+ palbociclib (HY-50767) 150 mg/kg; p.o.; once) suppresses hyperproliferation in Apc defective cells in vivo[1].

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

Animal Model:	CB17 SCID mice (APC mutant COLO320DM tumour cell xenografts)[1]
Dosage:	30 mg/kg
Administration:	P.o.; once
Result:	Elicited an increase in both TNKS and AXIN2 levels in tumours, peaking at 6–10 hours after drug administration and falling 18 hours after.

Animal Model:	Villin-CreERT2; Apcfl/fl mice[1]
Dosage:	50 mg/kg + palbociclib (150 mg/kg)
Administration:	P.o.; once
Result:	Suppressed the expression of the archetypal Wnt target gene and stem cell marker Lgr5 and combination drug treatment caused a profound increase in nuclear p21.

REFERENCES

[1]. Menon M, et al. A novel tankyrase inhibitor, MSC2504877, enhances the effects of clinical CDK4/6 inhibitors. Sci Rep. 2019 Jan 17;9(1):201.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA