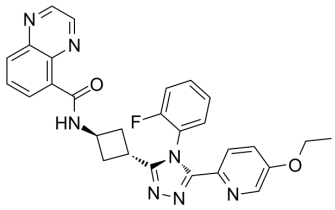
OM-153

Cat. No.:	HY-145267
CAS No.:	2406278-81-5
Molecular Formula:	$C_{28}H_{24}FN_7O_2$
Molecular Weight:	509.53
Target:	PARP; Wnt
Pathway:	Cell Cycle/DNA Damage; Epigenetics; Stem Cell/Wnt
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (196.26 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9626 mL	9.8130 mL	19.6259 mL
	5 mM	0.3925 mL	1.9626 mL	3.9252 mL
	10 mM	0.1963 mL	0.9813 mL	1.9626 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (4.91 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.91 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

OM-153 is a potent and orally active tankyrase inhibitor with IC_{50}s of 13 nM and 2 nM for tankyrase 1 and tankyrase 2 (TNKS1/2), respectively. OM-153 inhibits luciferase-based Wnt/β-catenin signaling reporter activity with an IC_{50} value of 0.63 nM. OM-153 shows inhibition of Wnt/β-catenin signaling and proliferation in COLO 320DM[1][2].

IC_{50} & Target

TNKS1	TNKS2	Wnt/β-catenin
13 nM (IC_{50})	2 nM (IC_{50})	0.63 nM (IC_{50})

In Vitro

OM-153 shows picomolar IC_{50} inhibition (0.63 nM) in a cellular (HEK293) WNT/β-catenin signaling reporter assay, no off-target liabilities, overall favorable absorption, distribution, metabolism, and excretion (ADME) properties, and an improved pharmacokinetic profile in mice[1].

	OM-153 decreases cell growth in COLO 320DM cells with a GI_{50} value of 10 nM and a GI_{25} value of 2.5 nM (concentrations resulting in 50% and 25% growth inhibition, respectively), while cell growth in RKO cells was insubstantially affected by the treatment[2]. OM-153 inhibits WNT/β-catenin, YAP, and MYC signaling and shows an antiproliferative fffect in human cancer cell lines[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	OM-153 (0.1-10 mg/kg; p.o.; twice daily; for 34 days) reduces WNT/β-catenin signaling and tumor progression in COLO 320DM colon carcinoma xenografts[2]. OM-153 potentiates anti-PD-1 immune checkpoint inhibition and antitumor effect in a B16-F10 mouse melanoma model[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	CB17-SCID mice bearing COLO 320DM cells[2]
Dosage:	10 mg/kg, 3.3 mg/kg, 1 mg/kg, 0.33 mg/kg, or 0.1 mg/kg
Administration:	p.o.; twice daily; for 34 days
Result:	Reduced WNT/β-catenin signaling and tumor progression in COLO 320DM colon carcinoma xenografts.

Animal Model:	C57BL/6N mice injected with B16-F10 tumors[2]
Dosage:	10 mg/kg, 1 mg/kg, and 0.1 mg/kg
Administration:	p.o.; twice daily; for 20 days
Result:	Potentiated anti-PD-1 immune checkpoint inhibition and antitumor effect.

REFERENCES

[1]. Shoshy A. Brinch, et al. The Tankyrase Inhibitor OM-153 Demonstrates Antitumor Efficacy and a Therapeutic Window in Mouse Models. Cancer Research Communications (2022) 2 (4): 233-245.

[2]. Leenders RGG, et al. Development of a 1,2,4-Triazole-Based Lead Tankyrase Inhibitor: Part II. J Med Chem. 2021;64(24):17936-17949.

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