Product Data Sheet

Product Name: OM-153
Cat. No.: GC67906

Chemical Properties

Cas. No.	2406278-81-5		
Formula	$C_{28}H_{24}FN_7O_2$	M.Wt	509.53
Solubility	DMSO : 100 mg/mL (196.26 mM; Need ultrasonic)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	OM-153		

Background

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].

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].

OM-153 (0.1-10 mg/kg; p.o.; twice daily; for 34 days) reduces WNT/β-catenin signaling

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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].

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.

[1]. 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.
[2]. 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.

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