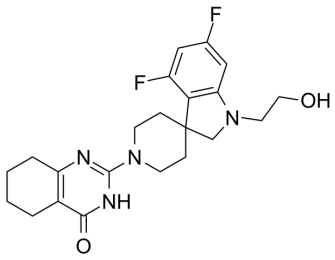
RK-287107

Cat. No.:	HY-123892
CAS No.:	2171386-10-8
Molecular Formula:	$C_{22}H_{26}F_2N_4O_2$
Molecular Weight:	416.46
Target:	PARP
Pathway:	Cell Cycle/DNA Damage; Epigenetics
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (300.15 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4012 mL	12.0060 mL	24.0119 mL
	5 mM	0.4802 mL	2.4012 mL	4.8024 mL
	10 mM	0.2401 mL	1.2006 mL	2.4012 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: ≥ 2.08 mg/mL (4.99 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.99 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.99 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

RK-287107 is a potent and specific tankyrase inhibitor with IC_{50}s of 14.3 and 10.6 nM for tankyrase-1 and tankyrase-2, respectively. RK-287107 blocks colorectal cancer cell growth[1].

IC_{50} & Target

tankyrase-1	tankyrase-2
14.3 nM (IC_{50})	10.6 nM (IC_{50})

In Vitro

RK-87107 (0.01-10 μM; 12 hours) shows an antiproliferative effect on colorectal cancer cells harboring short adenomatous polyposis coli (APC) mutations. The 50% growth inhibition (GI_{50}) value of RK-287107 on COLO-320DM cells is 0.449 μM[1]. RK-287107 (0.03-10 μM; 16 hours) causes accumulation of tankyrase and Axin1/2[1].

RK-287107 (0.03-10 μM; 16 hours) also downregulates β-catenin signaling in cultured cells[1].

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

Cell Proliferation Assay[1]

Cell Line:	Colorectal cancer COLO-320DM, SW403, RKO, HCC2998, HCT-116, and DLD-1 cells
Concentration:	0.01, 0.1, 1, 10 μM
Incubation Time:	12 hours
Result:	Inhibited the growth of APC-mutated (β-catenin-dependent) colorectal cancer COLO-320DM and SW403 cells. The GI_{50} value of RK-287107 on COLO-320DM is 0.449 μM. Did not inhibit the growth of APC-wild (β-catenin-independent) colorectal cancer cell lines, including RKO, HCT-116, HCC2998 and DLD-1.

Western Blot Analysis[1]

Cell Line:	COLO-320DM cells
Concentration:	0.03, 0.1, 0.33, 1, 3, and 10 μM
Incubation Time:	16 hours
Result:	Downregulation of active β-catenin was observed

In Vivo

RK-287107 (100 and 300 mg/kg; i.p. administration; once per day; 5-days on/ 2-days off schedule for 2 weeks) inhibits tumor growth in a mouse xenograft model[1].

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

Animal Model:	6-week-old female NOD.CB17-Prkdc^{scid}/J mice with colorectal cancer COLO-320DM[1]
Dosage:	100 and 300 mg/kg
Administration:	Administration i.p.; once per day; 5-days on/ 2-days off schedule for 2 weeks
Result:	100 and 300 mg/kg resulted in 32.9% and 44.2% TGI, respectively.

CUSTOMER VALIDATION

- Am J Cancer Res. 2022 Mar 15;12(3):1069-1087.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Mizutani A, et al. RK-287107, a potent and specific tankyrase inhibitor, blocks colorectal cancer cell growth in a preclinical model. Cancer Sci. 2018 Dec;109(12):4003-4014.

Caution: Product has not been fully validated for medical applications. For research use only.

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