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Diagnostik & molekulare Diagnostik



Laborgeräte & Service

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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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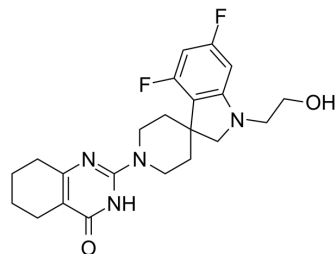
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RK-287107

Cat. No.:	HY-123892
CAS No.:	2171386-10-8
Molecular Formula:	C ₂₂ H ₂₆ F ₂ N ₄ O 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	<table border="1"> <thead> <tr> <th rowspan="2">Solvent Concentration</th> <th colspan="3">Mass</th> </tr> <tr> <th>1 mg</th> <th>5 mg</th> <th>10 mg</th> </tr> </thead> <tbody> <tr> <td>1 mM</td> <td>2.4012 mL</td> <td>12.0060 mL</td> <td>24.0119 mL</td> </tr> <tr> <td>5 mM</td> <td>0.4802 mL</td> <td>2.4012 mL</td> <td>4.8024 mL</td> </tr> <tr> <td>10 mM</td> <td>0.2401 mL</td> <td>1.2006 mL</td> <td>2.4012 mL</td> </tr> </tbody> </table>	Solvent Concentration	Mass			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			
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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 14.3 nM (IC ₅₀)	tankyrase-2 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 μ M; 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 μ M
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 μ M. 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 μ M
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.

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