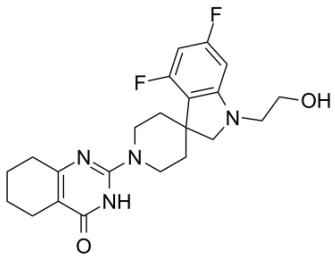
RK-287107

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:	Please store the product under the recommended conditions in the COA.



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].

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].

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.

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.

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