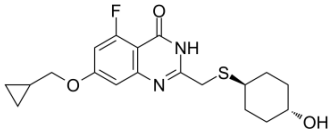
RBN012759

Cat. No.:	HY-136979
CAS No.:	2360851-29-0
Molecular Formula:	$C_{19}H_{23}FN_2O_3S$
Molecular Weight:	378.46
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 : 250 mg/mL (660.57 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6423 mL	13.2114 mL	26.4229 mL
	5 mM	0.5285 mL	2.6423 mL	5.2846 mL
	10 mM	0.2642 mL	1.3211 mL	2.6423 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: ≥ 2.08 mg/mL (5.50 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.50 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

RBN012759 is a potent, selective and orally active inhibitor of PARP14, with an IC_{50} of <3 nM. RBN012759 displays 300-fold selectivity over the monoPARPs and 1000-fold selectivity over the polyPARPs. RBN012759 decreases pro-tumor macrophage function and elicits inflammatory responses in tumor explants[1].

IC_{50} & Target

PARP14 <3 nM (IC_{50})	PARP4 10 μM (IC_{50})	PARP5a 8 μM (IC_{50})	PARP5b 10 μM (IC_{50})
PARP6 4 μM (IC_{50})	PARP7 4 μM (IC_{50})	PARP8 20 μM (IC_{50})	PARP10 1 μM (IC_{50})
PARP11 1 μM (IC_{50})	PARP12 5 μM (IC_{50})	PARP15 3 μM (IC_{50})	PARP16 6 μM (IC_{50})

In Vitro	RBN012759 (0.01-10 μM) decreases the MAR/PAR signal corresponding to PARP14 self MARylation and stabilizes PARP14 protein in a dose-dependent manner in human primary macrophages[1]. RBN012759 (0.1-10 μM) reduces IL-4 stimulated cytokine secretion in human primary macrophages[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	RBN012759 (500 mg/kg BID; p.o.) is well-tolerated in mice with repeat dosing[1]. RBN012759 (100 mg/kg; p.o.) exhibits moderate orally bioavailability (30%) and short plasma half-life (0.4 h) due to moderate clearance (54 mL/min/kg) and low steady-state volume of distribution (1.4 L/kg) in mice[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Schenkel L, et, al. A potent and selective PARP14 inhibitor decreases pro-tumor macrophage function and elicits inflammatory responses in tumor explants. AACR Annual Meeting 2020.

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