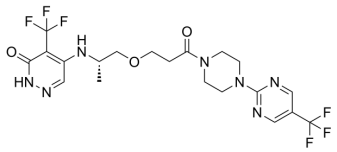
RBN-2397

Cat. No.:	HY-136174
CAS No.:	2381037-82-5
Molecular Formula:	$C_{20}H_{23}F_6N_7O_3$
Molecular Weight:	523.43
Target:	PARP
Pathway:	Cell Cycle/DNA Damage; Epigenetics
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 1 year -20°C 6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 200 mg/mL (382.10 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9105 mL	9.5524 mL	19.1048 mL
	5 mM	0.3821 mL	1.9105 mL	3.8210 mL
	10 mM	0.1910 mL	0.9552 mL	1.9105 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.78 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.97 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.97 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.97 mM); Clear solution
5. Add each solvent one by one: 1% DMSO >> 99% saline
   Solubility: ≥ 0.5 mg/mL (0.96 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

RBN-2397 is a potent, accross species and orally active NAD^+ competitive inhibitor of PARP7 (IC_{50}<3 nM). RBN-2397 selectively binds to PARP7 (K_d=0.001 μM) and restores IFN signaling. RBN-2397 has the potential for the study of advanced or metastatic solid tumors[1][2].

IC_{50} & Target	PARP-7 3 nM (IC_{50})	PARP-7 1 nM (Kd)

In Vitro

RBN-2397 (0.0001-100 μM; 24 hours) inhibits cells? proliferation with an IC_{50} value of 20 nM in NCI-H1373 lung cancer cells[2].
RBN-2397 (0.4 nM-1 μM; 24 hours) shows a restoration of type I IFN response by an increase in STAT1 phosphorylation as a dose-dependent manner in NCI-H1373 human lung cancer cells[2].
RBN-2397 (0.0001-1 μM; 24 hours) inhibits cell MARylation in a cell biochemial assay with an EC_{50} value of 1 nM[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[2]

Cell Line:	NCI-H1373 lung cancer cells
Concentration:	0.0001 μM; 0.001 μM; 0.001 μM; 0.1 μM; 1 μM; 10 μM; 100 μM
Incubation Time:	24 hours
Result:	Blocked cell proliferation.

Western Blot Analysis[2]

Cell Line:	NCI-H1373 lung cancer cells
Concentration:	0.4 nM-1 μM
Incubation Time:	24 hours
Result:	Increased p-STAT1 protein expression.

In Vivo

RBN-2397 (oral administration; 3-100 mg/kg; once daily; 24-32 days) induces tumor-specific adaptive immune memory in CT26 syngeneic model with durable complete responses in CT26 tumor-bearing BALB/c mice[2].
RBN-2397 (oral administration; 3-100 mg/kg; once daily; 32 days) causes complete regressions at the dose 100 mg/kg and exerts a dose-dependent effects on tumor growth at dose levels of ≥30 mg/kg[2].
The half-life ($t_{1/2}$) of RBN-2397 in vivo is 325 mins[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	CB17 SCID mice with NCI-H1373 xenografts[2]
Dosage:	3 mg/kg, 10mg/kg, 30 mg/kg, 100 mg/kg
Administration:	Oral administration; once daily; 24-32 days
Result:	Decreased tumor volume and exerted anti-tumor effects.

CUSTOMER VALIDATION

- EMBO Mol Med. 2023 Jan 18;e16235.
- Cells. 2021, 10(3), 623.
- Mol Cancer Ther. 2022 Apr 19;molcanther.0841.2021.
- Toxicol Sci. 2021 Jun 15;kfab075.
- Methods Mol Biol. 2023;2609:387-395.

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REFERENCES

[1]. RBN-2397-Inhibiting PARP7, a Key monoPARP Cancer Dependency

[2]. Melissa Vasbinder, et al. RBN-2397: A First-in-Class PARP7 Inhibitor Targeting a Newly Discovered Cancer Vulnerability in Stress-Signaling Pathways.

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