Venadaparib

Cat. No.: HY-137457

CAS No.: 1681017-83-3

Molecular Formula: $C_{23}H_{23}FN_4O_2$

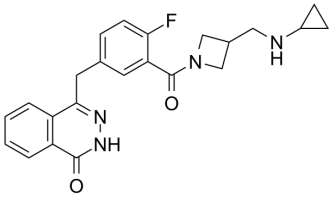
Molecular Weight: 406.45

Target: PARP

Pathway: Cell Cycle/DNA Damage; Epigenetics

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (246.03 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4603 mL	12.3016 mL	24.6033 mL
	5 mM	0.4921 mL	2.4603 mL	4.9207 mL
	10 mM	0.2460 mL	1.2302 mL	2.4603 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5.75 mg/mL (14.15 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 5 mg/mL (12.30 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 5 mg/mL (12.30 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Venadaparib (IDX-1197) is a potent, selective and orally active PARP inhibitor with IC_{50}s of 1.4 nM and 1.0 nM for PARP1 and PARP2, respectively. Venadaparib does not sensitive to PARP-5. Venadaparib prevents the repair of DNA single-strand breaks (SSB) and can be used for solid tumors research[1][2].

IC_{50} & Target

PARP1	PARP2
1.4 nM (IC_{50})	1 nM (IC_{50})

In Vitro	In DNA damage-induced Hela cells, Venadaparib (IDX-1197) significantly inhibits PARP1-mediated PAR expression (EC_{50} of 0.5 nM)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	In the germline BRCA1-mutated ovarian cancer PDX model, oral administration of Venadaparib (IDX-1197) exhibits significant PAR inhibition (>90%) in tumor tissues until 24 hr post dose. Venadaparib also dose-dependently led to potent tumor growth inhibition compared to Olaparib treatment group[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Yong Man Kim, et al. First-in-human dose-finding study of venadaparib (IDX-1197), a potent and selective PARP inhibitor, in patients with advanced solid tumors. Journal of Clinical Oncology. 39, no. 15_suppl (May 20, 2021) 3107-3107.

[2]. Myongjae Lee, et al. Abstract A106: Development of IDX-1197, a novel, selective, and highly potent PARP inhibitor. American Association for Cancer Research, 2018.

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