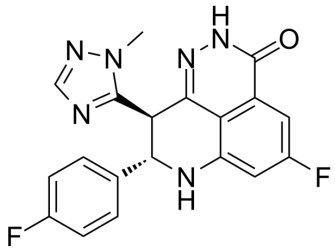
Talazoparib

Cat. No.:	HY-16106
CAS No.:	1207456-01-6
Molecular Formula:	$C_{19}H_{14}F_2N_6O$
Molecular Weight:	380.35
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 : 25 mg/mL (65.73 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6292 mL	13.1458 mL	26.2916 mL
	5 mM	0.5258 mL	2.6292 mL	5.2583 mL
	10 mM	0.2629 mL	1.3146 mL	2.6292 mL

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

In Vivo

1. Add each solvent one by one: 10% DMAC >> 6% Solutol HS-15 >> 84% PBS
   Solubility: 5 mg/mL (13.15 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.57 mM); Clear solution
3. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: 1.25 mg/mL (3.29 mM); Suspended solution; Need ultrasonic
4. Add each solvent one by one: 2% DMSO >> 40% PEG300 >> 5% Tween-80 >> 53% saline
   Solubility: ≥ 0.5 mg/mL (1.31 mM); Clear solution
5. Add each solvent one by one: 1% DMSO >> 99% saline
   Solubility: ≥ 0.25 mg/mL (0.66 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Talazoparib (BMN-673) is a highly potent, orally active PARP1/2 inhibitor.Talazoparib inhibits PARP1 and PARP2 enzyme activity with K_is of 1.2 nM and 0.87 nM, respectively. Talazoparib has antitumor activity[1].

IC_{50} & Target	PARP2 0.87 nM (Ki)	PARP1 1.2 nM (Ki)
In Vitro	Talazoparib shows an EC_{50} of 2.51 nM in cellular PARylation assay[1]. Talazoparib shows EC_{50}s of 0.3 nM, 5 nM and 0.31 for MX-1 cells (BRCA1 mutant), Capan-1 cells (BRCA2 mutant) and MRC-5 cells (normal)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
In Vivo	Talazoparib (0.33 mg/kg; i.g.; once daily; for 28 days) exhibits antitumor activity against BRCA1 mutant breast cancer model in mice[1]. Talazoparib exhibits moderate oral bioavailability (rat 56%) and C_{max} (rat 7948 ng/mL) following oral administration (rat 10 mg/kg)[1].Talazoparib exhibits the terminal elimination half-life (rat 2.25 h) due to plasma clearance (2 mL/min/kg) following intravenous administration (rat 5 mg/kg)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	

Animal Model:	Female athymic nu/nu mice (8-10 weeks old), with MX-1 xenograft-bearing mice[1]
Dosage:	0.33 mg/kg
Administration:	Oral gavage, once daily, for 28 days
Result:	Significantly inhibited xenograft MX-1 tumor growth.

Animal Model:	Sprague-Dawley rats[1]
Dosage:	5mg/kg for i.v.; 10 mg/kg for oral (Pharmacokinetic Analysis)
Administration:	Intravenous administration and oral administration
Result:	Oral bioavailability (56%), C_{max} (7948 ng/mL), $T_{1/2}$ (2.25 h).

CUSTOMER VALIDATION

- Cancer Cell. 2020 Dec 14;38(6):844-856.e7.
- Nat Genet. 2022 Dec;54(12):1983-1993.
- Cancer Discov. 2022 May 12;candisc.1181.2021.
- Cancer Discov. 2017 Sep;7(9):984-998.
- Nat Cancer. 2022 Oct;3(10):1211-1227.

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REFERENCES

[1]. Wang B, et al. Discovery and Characterization of (8S,9R)-5-Fluoro-8-(4-fluorophenyl)-9-(1-methyl-1H-1,2,4-triazol-5-yl)-2,7,8,9-tetrahydro-3H-pyrido[4,3,2-de]phthalazin-3-one (BMN 673, Talazoparib), a Novel, Highly Potent, and Orally Efficacious Poly(ADP-ribose) Polymerase-1/2 Inhibitor, as an Anticancer Agent. J Med Chem. 2016 Jan 14;59(1):335-57.

[2]. Shen Y, et al. BMN 673, a novel and highly potent PARP1/2 inhibitor for the treatment of human cancers with DNA repair deficiency.Clin Cancer Res. 2013 Sep 15;19(18):5003-15.

Caution: Product has not been fully validated for medical applications. For research use only.

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