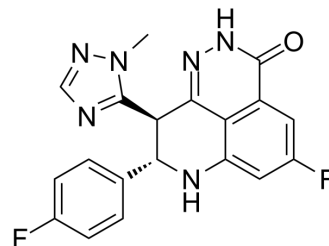


Talazoparib

Cat. No.:	HY-16106
CAS No.:	1207456-01-6
Molecular Formula:	C ₁₉ H ₁₄ F ₂ N ₆ O
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 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (65.73 mM; Need ultrasonic)

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	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

- 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
- 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
- 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
- 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
- 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_s 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

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

See more customer validations on www.MedChemExpress.com

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-

[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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