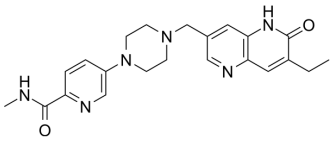
Saruparib

Cat. No.:	HY-132167
CAS No.:	2589531-76-8
Molecular Formula:	$C_{22}H_{26}N_6O_2$
Molecular Weight:	406.48
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 : 12.5 mg/mL (30.75 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4601 mL	12.3007 mL	24.6015 mL
	5 mM	0.4920 mL	2.4601 mL	4.9203 mL
	10 mM	0.2460 mL	1.2301 mL	2.4601 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 0.56 mg/mL (1.38 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.56 mg/mL (1.38 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.56 mg/mL (1.38 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Saruparib (AZD5305) is a potent, orally active and selective PARP inhibitor and trapper with IC_{50} values of 3 nM and 1400 nM for PARP1 and PARP2, respectively. Saruparib has anti-proliferative activity and inhibits growth in cells with deficiencies in DNA repair[1][2].

IC_{50} & Target	PARP1	PARP2
	3 nM (IC_{50})	1400 nM (IC_{50})

In Vitro	Saruparib (AZD5305) (0.1 nM-100 μM) inhibits PARylation in A549 WT cells by selectively blocking PARP1 enzymatic activity with an IC_{50} value of 2.3 nM[1]. AZD5305 (0.1 nM-100 μM; A549 WT cells) is a potent and selective trapper of PARP1 in a dose-dependent manner by single digit nanomolar concentrations[1]. AZD5305 (0.1 nM-100 μM; 48 h; DLD1 WT and DLD1 $BRCA2^{-/-}$) has anti-proliferative activity and targets cancer cells with HRR-deficiency, inducing DNA damage accumulation and cell-cycle arrest[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Saruparib (AZD5305) (0.01-0.3 mg/kg; p.o.; daily, for 35 d; female Han Wistar rats) demonstrates sustained antitumor activity in BRCAm xenograft and PDX models in vivo[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female Han Wistar rats with BRCAm xenograft and PDX models (12-13 weeks of age)[1]
Dosage:	0.01, 0.03, 0.1, and 0.3 mg/kg
Administration:	Oral administration; daily, for 35 days
Result:	Had antitumor efficacy in a dose-dependent manner.

CUSTOMER VALIDATION

- Biochemistry. 2023 Aug 2.

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REFERENCES

[1]. Illuzzi G, et, al. Preclinical Characterization of AZD5305, A Next-Generation, Highly Selective PARP1 Inhibitor and Trapper. Clin Cancer Res. 2022 Nov 1;28(21):4724-4736.

[2]. Johannes JW, et, al. Discovery of 5-{4-[(7-Ethyl-6-oxo-5,6-dihydro-1,5-naphthyridin-3-yl)methyl]piperazin-1-yl}-N-methylpyridine-2-carboxamide (AZD5305): A PARP1-DNA Trapper with High Selectivity for PARP1 over PARP2 and Other PARPs. J Med Chem. 2021 Oct 14;64(19):14498-14512.

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