Pamiparib

Cat. No.: HY-104044

CAS No.: 1446261-44-4

Molecular Formula: $C_{16}H_{15}FN_4O$

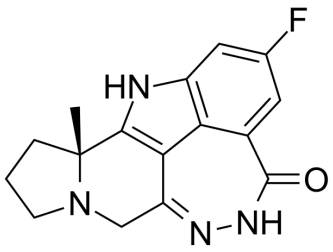
Molecular Weight: 298.31

Target: PARP

Pathway: Cell Cycle/DNA Damage; Epigenetics

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (167.61 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.3522 mL	16.7611 mL	33.5222 mL
	5 mM	0.6704 mL	3.3522 mL	6.7044 mL
	10 mM	0.3352 mL	1.6761 mL	3.3522 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: ≥ 2.25 mg/mL (7.54 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Pamiparib (BGB-290) is an orally active, potent, highly selective PARP inhibitor, with IC_{50} values of 0.9 nM and 0.5 nM for PARP1 and PARP2, respectively. Pamiparib has potent PARP trapping, and capability to penetrate the brain, and can be used for the research of various cancers including the solid tumor[1][2].

IC_{50} & Target

PARP

In Vitro

Pamiparib shows potent DNA-trapping activity with an IC_{50} of 13 nM. In the cellular assays, Pamiparib inhibits intracellular PAR formation with an IC_{50} of 0.24 nM. Tumor cell lines with homologous recombination defects are profoundly sensitive to Pamiparib. Pamiparib is highly active both in vitro and in vivo in BRCA mutant tumors[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Pamiparib suppresses PARP activity in patient-derived glioblastoma multiforme and small-cell-lung cancer xenografts, and

potentiates the effects of Temozolamide. In vivo activities of Pamiparib, and its combination activity with chemotherapies in patient biopsy derived small cell lung cancer (SCLC) xenograft models[4].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nature. 2019 Dec;576(7786):274-280.
- bioRxiv. April 22, 2021.

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REFERENCES

[1]. Changyou Zhou, et al. Fused tetra or penta-cyclic dihydrodiazepinocarbazolones as parp inhibitors. WO 2013097225 A1.

[2]. Friedlander M, et al. Pamiparib in combination with tislelizumab in patients with advanced solid tumours: results from the dose-escalation stage of a multicentre, open-label, phase 1a/b trial. Lancet Oncol. 2019 Sep;20(9):1306-1315.

[3]. Zhiyu Tang, et al. Abstract 1653: BGB-290: A highly potent and specific PARP1/2 inhibitor potentiates anti-tumor activity of chemotherapeutics in patient biopsy derived SCLC models. Cancer Research. August 2015, Volume 75, Issue 15.

[4]. Shiv K. Gupta, et al. Abstract 3505: Inhibition of PARP activity by BGB-290 potentiates efficacy of NSC 362856 in patient derived xenografts of glioblastoma multiforme. Cancer Research. August 2015, Volume 75, Issue 15

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