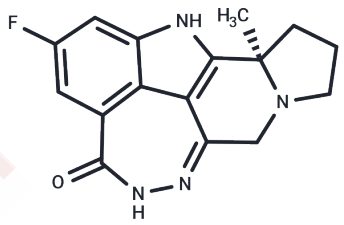
Data Sheet (Cat.No.T5058)

TargetMol

Pamiparib

Chemical Properties



CAS No. : 1446261-44-4

Formula: C16H15FN4O

Molecular Weight: 298.31

Storage: Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Pamiparib (BGB-290) is an orally active, potent, highly selective PARP inhibitor with IC50 values of 0.9 nM for PARP1 and 0.5 nM for PARP2. It exhibits strong PARP trapping, has the capability to penetrate the brain, and is used for researching various cancers, including solid tumors.
Targets(IC50)	PARP
In vivo	Pamiparib, an investigational Poly (ADP-ribose) polymerase (PARP) inhibitor in clinical development, demonstrates excellent selectivity for both PARP1 and PARP2, and superb anti-proliferation activities in tumor cell lines with BRCA1/2 mutations or HR pathway deficiency (HRD).?Pamiparib has good bioavailability and is 16-fold more potent than olaparib in an efficacy study using BRCA1 mutated MDA-MB-436 breast cancer xenograft model.?Pamiparib also shows strong anti-tumor synergy with temozolomide (TMZ), a DNA alkylating agent used to treat brain tumors.?Compared to other PARP inhibitors, pamiparib demonstrated improved penetration across the blood brain barrier (BBB) in mice.?Oral administration of pamiparib at a dose as low as 3 mg/kg is sufficient to abrogate PARylation in brain tumor tissues.?In SCLC-derived, TMZ-resistant H209 intracranial xenograft model, combination of pamiparib with TMZ overcomes its resistance and shows significant tumor inhibitory effects and prolonged life span[1].

Solubility Information

Solubility	DMSO: 62.5 mg/mL (209.51 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (6.7 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.067 mL	0.3352 mL	0.6704 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Xiong Y , Guo Y , Liu Y , et al. Pamiparib is a potent and selective PARP inhibitor with unique potential for the treatment of brain tumor[J]. Neoplasia (New York, N.Y.), 2020, 22(9):431-440.
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.
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