Data Sheet (Cat.No.T16979)



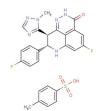
Talazoparib tosylate

Chemical Properties

CAS No.: 1373431-65-2 Formula: C26H22F2N6O4S

Molecular Weight: 552.55
Appearance: N/A

Storage: 0-4°C for short term (days to weeks), or -20°C for long term (months).



Biological Description

Description	Talazoparib tosylate is a novel and potent PARP1/2 (n IC50: 0.57 nM for PARP1).			
Targets(IC ₅₀)	50) PARP1: 0.57 nM			
In vitro	Talazoparib inhibits PARP1 and -2 to a similar extent (Kis: 1.20 and 0.85 nM, respectively). Talazoparib induces nuclear γ -H2AX foci at concentrations as low as 100 pM. Talazoparib has no effect on PARG activity at concentrations up to 1 μ M. Talazoparib binds to PARP1 with a dissociation constant of 0.29 nM. Talazoparib selectively targets tumor cells with BRCA1, BRCA2, or PTEN gene defects with 20- to more than 200-fold greater potency than existing PARP1/2 inhibitors. Talazoparib targets tumor cells with homologous recombination gene defects. Tumor models that are either BRCA1-deficient or BRCA2-deficient are profoundly sensitive to Talazoparib. [1].			
In vivo	Talazoparib is readily orally bioavailable, with more than 40% absolute oral bioavailability in rats when dosed in carboxymethyl cellulose. Talazoparib (p.o.) elicits remarkable antitumor activity; xenografted tumors that carry defects in DNA repair due to BRCA mutations or PTEN deficiency are profoundly sensitive to oral Talazoparib treatment at well-tolerated doses in mice [1].			

Solubility Information

Solubility	DMSO: 108 mg/mL (195.46 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.81 mL	9.049 mL	18.098 mL
5 mM	0.362 mL	1.81 mL	3.62 mL
10 mM	0.181 mL	0.905 mL	1.81 mL
50 mM	0.036 mL	0.181 mL	0.362 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

Reference

1. 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.

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