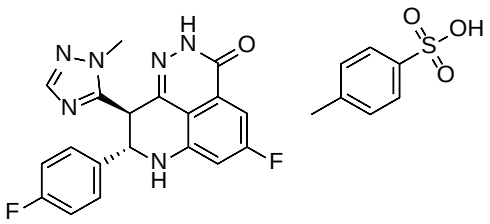
Product data sheet

MedKoo Cat#: 206970 Name: Talazoparib tosylate CAS#: 1373431-65-2 (tosylate) Chemical Formula: $C_{26}H_{22}F_2N_6O_4S$ Molecular Weight: 552.56	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Talazoparib, also known as BMN-673 and MDV-3800, is an orally bioavailable inhibitor of the nuclear enzyme poly(ADP-ribose) polymerase (PARP) with potential antineoplastic activity (PARP1 IC50 = 0.57 nmol/L). Talazoparib acts as an inhibitor of poly ADP ribose polymerase(PARP) which aids in single strand DNA repair. Cells that have BRCA1/2 mutations are susceptible to the cytotoxic effects of PARP inhibitors because of an accumulation of DNA damage. Talazoparib is theorized to have a higher potency than olaparib due to the additional mechanism of action called PARP trapping. PARP trapping is the mechanism of action where the PARP molecule is trapped on the DNA, which interferes with the cells ability to replicate. Talazoparib is found to be ~100 fold more efficient in PARP trapping than olaparib.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	108.0	195.45

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.81 mL	9.05 mL	18.10 mL
5 mM	0.36 mL	1.81 mL	3.62 mL
10 mM	0.18 mL	0.90 mL	1.81 mL
50 mM	0.04 mL	0.18 mL	0.36 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Kohl V, Flach J, Naumann N, Brendel S, Kleiner H, Weiss C, Seifarth W, Nowak D, Hofmann WK, Fabarius A, Popp HD. Antileukemic Efficacy in Vitro of Talazoparib and APE1 Inhibitor III Combined with Decitabine in Myeloid Malignancies. Cancers (Basel). 2019 Oct 3;11(10):1493. doi: 10.3390/cancers11101493. PMID: 31623402; PMCID: PMC6826540.

In vivo study

1. Laird JH, Lok BH, Ma J, Bell A, de Stanchina E, Poirier JT, Rudin CM. Talazoparib Is a Potent Radiosensitizer in Small Cell Lung Cancer Cell Lines and Xenografts. Clin Cancer Res. 2018 Oct 15;24(20):5143-5152. doi: 10.1158/1078-0432.CCR-18-0401. Epub 2018 Jun 26. PMID: 29945991; PMCID: PMC6742772.

7. Bioactivity

Biological target: Talazoparib tosylate is a PARP1/2 inhibitor with an IC50 of 0.57 nM for PARP1.

In vitro activity

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The cytotoxic efficacy of talazoparib and APE1 inhibitor III, inhibitors of PARP1/2 and APE1, were investigated in primary CD34+ MDS/CMML cell samples (n = 8; 4 MDS and 4 CMML) and in primary CD34+ or CD34- AML cell samples (n = 18) in comparison to healthy CD34+ donor cell samples (n = 8). Talazoparib and APE1 inhibitor III demonstrated critical antileukemic efficacy in selected MDS/CMML and AML cell samples. Low doses of talazoparib and APE1 inhibitor III further increased the cytotoxic efficacy of decitabine in MDS/CMML and AML cells. Moreover, low doses of APE1 inhibitor III increased the cytotoxic efficacy of talazoparib in MDS/CMML and AML cells.

Reference: Cancers (Basel). 2019 Oct 3;11(10):1493. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6826540/

In vivo activity

To assess the ability of talazoparib to inhibit PARP in vivo, mice implanted with SCLC PDX SCRX-Lu149 (small cell lung cancer cells) were treated with 0.2 mg/kg talazoparib administered by oral gavage. Talazoparib reduced tumor PAR by 37–68% compared to untreated controls ($p < 0.0001$), with statistically significant reductions in PAR polymerization at 6 ($p = 0.02$) and 18 hours ($p = 0.007$) and trends towards significance at 3 ($p = 0.13$) and 24 hours ($p = 0.08$). There were no statistically significant differences between treatment time points (Figure 5A).

Reference: Clin Cancer Res. 2018 Oct 15;24(20):5143-5152. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6742772/