

Product Data Sheet

Product Name: Talazoparib tosylate

Cat. No.: GC37728

Chemical Properties

Cas. No. 1373431-65-2

SMILES O=C1NN=C2C3=C1C=C(F)C=C3N[C@H](C4=CC=C(F)C=C4)[C@H]2C5=NC=NN5C(=O)S(=O)(=O)C6=CC=C(C)C=C6

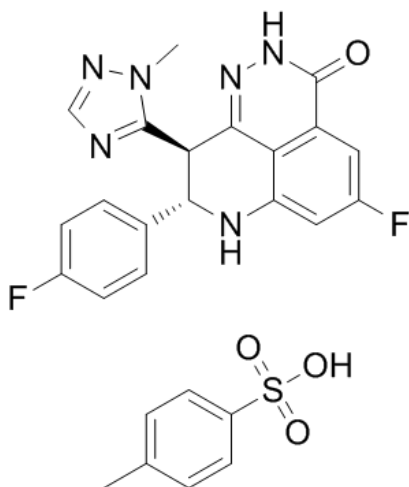
Formula $C_{26}H_{22}F_2N_6O_4S$ M.Wt 552.55

Solubility DMSO: ≥ 108 mg/mL (195.46 mM) Storage Store at $-20^{\circ}C$

General tips For obtaining a higher solubility, please warm the tube at $37^{\circ}C$ and shake it in the ultrasonic bath for a while. Stock solution can be stored below $-20^{\circ}C$ for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines Brca1^{-/-} BR5FVB1-Akt cells

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

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Preparation method	Cells were treated with Talazoparib (0.1, 1, 10, or 100 nM) for 72 h.
Reaction Conditions	0.1, 1, 10, or 100 nM; 72 h
Applications	Talazoparib inhibited the proliferation of Brca1 ^{-/-} BR5FVB1-Akt cells in a dose-dependent manner.
Animal experiment [2]:	
Animal models	Female athymic nu/nu mice
Preparation method	Two PTEN-null cell lines (MDA-MB-468 and LNCap) were established as subcutaneous xenograft models in nude mice, and treated with 0.33 mg/kg Talazoparib (oral, once a day for 28 days).
Dosage form	0.33 mg/kg; p.o.
Applications	Both tumor models responded well to Talazoparib treatment, resulting in tumor growth delay of 15.9 days (MDA-MB-468) and 22.8 days (LNCap) when compared with the vehicle-treated control cohort.

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References:

[1] Huang J, Wang L, Cong Z, et al. The PARP1 inhibitor BMN 673 exhibits immunoregulatory effects in a Brca1^{-/-} murine model of ovarian cancer[J]. Biochemical and biophysical research communications, 2015, 463(4): 551-556.

[2] Shen Y, Rehman F L, Feng Y, et al. BMN 673, a novel and highly potent PARP1/2 inhibitor for the treatment of human cancers with DNA repair deficiency[J]. Clinical Cancer Research, 2013, 19(18): 5003-5015.

Background

Talazoparib tosylate (BMN 673ts) is a potent and orally active PARP1/2 inhibitor, which is the tosylate salt form of Talazoparib. Talazoparib inhibits PARP1 with an IC₅₀ value of 0.57 nM^[1].

PARP1 is a DNA repair enzyme that is important for repairing single-strand breaks^[2]. Talazoparib has selective antitumor activity^[3].

In vitro, Brca1^{-/-} BR5FVB1-Akt cells treated with Talazoparib (0.1-100 nM) for 72 h inhibited cell proliferation in a dose-dependent manner^[4]. Talazoparib treatment of DT40 and DU145 cells for 72 h had significant cytotoxic effects, with IC₅₀ values of 4 nM and 11 nM, respectively^[5]. Talazoparib treatment of four human head and neck cancer cell lines (UMSCC-5, -6, -12, -38) showed a relative inhibitory effect on cell growth, with an IC₅₀ range of 0.1-10 μM^[6].

In vivo, oral treatment of mice bearing subcutaneous MX-1 tumor xenografts with Talazoparib (0.33mg/kg) significantly inhibited tumor growth and reduced intracellular ADP-ribose (PAR) levels in tumor cells^[7].

References:

[1] Shen Y, Rehman F L, Feng Y, et al. BMN 673, a novel and highly potent PARP1/2 inhibitor for the treatment of human cancers with DNA repair deficiency[J]. Clinical Cancer Research, 2013, 19(18): 5003-5015.

[2] Ray Chaudhuri A, Nussenzweig A. The multifaceted roles of PARP1 in DNA repair and chromatin

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remodelling[J]. Nature reviews Molecular cell biology, 2017, 18(10): 610-621.

[3] Ng R. Niraparib (Zejula), A Small Molecule, PARP1/2 Inhibitor for Treating Breast, Ovarian, and Pancreatic Cancers[J]. Current Drug Synthesis, 2022: 231-251.

[4] Huang J, Wang L, Cong Z, et al. The PARP1 inhibitor BMN 673 exhibits immunoregulatory effects in a Brca1^{-/-} murine model of ovarian cancer[J]. Biochemical and biophysical research communications, 2015, 463(4): 551-556.

[5] Murai J, Huang S Y N, Renaud A, et al. Stereospecific PARP trapping by BMN 673 and comparison with olaparib and rucaparib[J]. Molecular cancer therapeutics, 2014, 13(2): 433-443.

[6] Shin D D, Ratikan J, Manivong K, et al. The poly (ADP-ribose) polymerase inhibitor BMN 673 has single agent activity and augments cytotoxicity of radiation in human head and neck tumor cell line in vitro: a novel strategy for radiosensitization in head and neck cancer[J]. Cancer Research, 2013, 73(8_Supplement): 1595-1595.

[7] Shen Y, Rehman F L, Feng Y, et al. BMN 673, a novel and highly potent PARP1/2 inhibitor for the treatment of human cancers with DNA repair deficiency[J]. Clinical Cancer Research, 2013, 19(18): 5003-5015.

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