

Product Data Sheet

Product Name: BI6727(Volasertib)

Cat. No.: GC11224

Chemical Properties

Cas. No. 755038-65-4

Chemical Name N-[4-[4-(cyclopropylmethyl)piperazin-1-yl]cyclohexyl]-4-[[[(7R)-7-ethyl-5-methyl-6-oxo-8-propan-2-yl-7H-pteridin-2-yl]amino]-3-methoxybenzamide

SMILES CCC1C(=O)N(C2=CN=C(N=C2N1C(C)C)NC3=C(C=C(C=C3)C(=O)NC4CCC(CC4)N5C CN(CC5)CC6CC6)OC)C

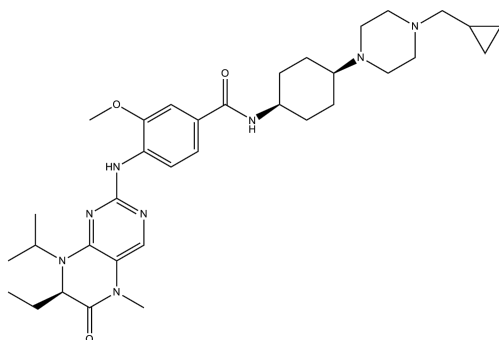
Formula $C_{34}H_{50}N_8O_3$ M.Wt 618.83

Solubility $\geq 10.3\text{mg/mL}$ in DMSO Storage 4°C , protect from light

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°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]:

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

Product Data Sheet

Cell lines A375 cells

Preparation Method A375 cells (1×10^5) were seeded in 6-well tissue culture dishes and treated with BI6727 (1, 10, 25, 50, and 100nM) for 24h. After treatment, the cells were trypsinized for 5min and then transferred to a 5mL centrifuge tube containing medium. The cells were centrifuged at 1000RPM for 2min, the supernatant was aspirated, and the cells were resuspended in 4% paraformaldehyde for fixation before flow cytometry analysis.

Reaction
Conditions 1, 10, 25, 50, and 100nM; 24h

Applications BI6727 significantly induced apoptosis of A375 cells in a dose-dependent manner.

Animal experiment [2]:

Animal models Athymic (nu/nu) mice

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

Product Data Sheet

Six-week-old athymic (nu/nu) mice were housed in microisolation cages under pathogen-free conditions and fed laboratory food and water ad libitum. H526 cells (1×10^7) suspended in serum-free medium were mixed with Matrigel solution and injected subcutaneously into the flank region of mice. Subcutaneous tumor growth was measured until the tumor size reached approximately 100mm^3 . Tumor-bearing mice were matched by body weight and Preparation Method tumor volume and randomly assigned to each treatment group, with 6-8 mice in each group. Mice were treated with the following compounds: BI6727 (20mg/kg; weekly intraperitoneal injection), cisplatin (3mg/kg; weekly i.p.), irinotecan (25mg/kg; weekly i.p.), and cisplatin (3mg/kg; weekly i.p.). Tumor volume ($V = 1/2ab^2$, where "a" and "b" represent tumor length and width, respectively) and body weight were monitored twice weekly. At the end of the experiment, subcutaneous tumors were removed and weighed.

Dosage form 20mg/kg/week; for 5 weeks; i.p.

Applications BI6727 treatment significantly suppressed tumor volume and weight without changing the body weight of mice.

References:

- [1] Cholewa B D, Ndiaye M A, Huang W, et al. Small molecule inhibition of polo-like kinase 1 by volasertib (BI 6727) causes significant melanoma growth delay and regression in vivo[J]. Cancer letters, 2017, 385: 179-187.
- [2] Zhang G, Pannucci A, Ivanov A A, et al. Polo-like Kinase 1 Inhibitors Demonstrate In Vitro and In Vivo Efficacy in Preclinical Models of Small Cell Lung Cancer[J]. Cancers, 2025, 17(3): 446.

Background

BI6727(Volasertib) is an orally ATP-competitive polo-like kinase (PLK) inhibitor and potently inhibits PLK1, PLK2 and PLK3 with IC_{50} values of 0.87nM, 5nM and 56nM, respectively^[1]. BI6727 has been widely used in various cancer cell lines and cancer xenograft models as an anti-cancer agent^[2].

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

Product Data Sheet

In vitro, BI6727 treatment for 4 days significantly inhibited the viability of anaplastic thyroid cancer (ATC) cell lines, with the IC₅₀ values of 22.7nM for 8505C cells and 51.2nM for KAT18 cells, respectively^[3]. Treatment of HeLa cells with 0.03μM BI6727 for 48 hours significantly inhibited cell growth, induced cell cycle arrest in G2/M phase, and cell apoptosis, and decreased the protein expression of PLK1 substrates survivin and wee1^[4]. Treatment of A375 cells with 100nM BI6727 for 24h resulted in the decreased growth, viability, and colony-forming survival as well as increased apoptosis^[5].

In vivo, BI6727 treatment (20mg/kg/week; i.p.) for 5 weeks significantly suppressed tumor volume and weight in the H526 cell xenograft mouse model without changing body weight^[6]. Intravenous injection of BI6727 at a dose of 40mg/kg once weekly for 12 weeks significantly reduced tumor volume and prolonged mouse survival in MV-4-11 tumor-bearing nude mice^[7].

References:

- [1] Rudolph D, Steegmaier M, Hoffmann M, et al. BI 6727, a Polo-like kinase inhibitor with improved pharmacokinetic profile and broad antitumor activity[J]. Clinical cancer research, 2009, 15(9): 3094-3102.
- [2] Gjertsen B T, Schöffski P. Discovery and development of the Polo-like kinase inhibitor volasertib in cancer therapy[J]. Leukemia, 2015, 29(1): 11-19.
- [3] Lin S F, Yeh C N, Huang Y T, et al. Therapeutic inhibition of polo-like kinases in anaplastic thyroid cancer[J]. Cancer Science, 2021, 112(2): 803-814.
- [4] Xie F F, Pan S S, Ou R Y, et al. Volasertib suppresses tumor growth and potentiates the activity of cisplatin in cervical cancer[J]. American journal of cancer research, 2015, 5(12): 3548.
- [5] Cholewa B D, Ndiaye M A, Huang W, et al. Small molecule inhibition of polo-like kinase 1 by volasertib (BI 6727) causes significant melanoma growth delay and regression in vivo[J]. Cancer letters, 2017, 385: 179-187.
- [6] Zhang G, Pannucci A, Ivanov A A, et al. Polo-like Kinase 1 Inhibitors Demonstrate In Vitro and In Vivo Efficacy in Preclinical Models of Small Cell Lung Cancer[J]. Cancers, 2025, 17(3): 446.
- [7] Rudolph D, Impagnatiello M A, Blaukopf C, et al. Efficacy and mechanism of action of volasertib, a potent and selective inhibitor of Polo-like kinases, in preclinical models of acute myeloid leukemia[J]. The Journal of pharmacology and experimental therapeutics, 2015, 352(3): 579-589.

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