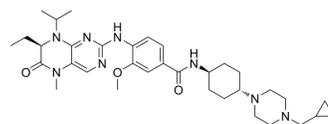


Volasertib

Cat. No.:	HY-12137
CAS No.:	755038-65-4
Molecular Formula:	C ₃₄ H ₅₀ N ₈ O ₃
Molecular Weight:	618.81
Target:	Polo-like Kinase (PLK); Apoptosis
Pathway:	Cell Cycle/DNA Damage; Apoptosis
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro	DMSO : 25 mg/mL (40.40 mM); ultrasonic and warming and heat to 60°C				
	Preparing Stock Solutions	Solvent Concentration \ Mass	1 mg	5 mg	10 mg
		1 mM	1.6160 mL	8.0800 mL	16.1600 mL
		5 mM	0.3232 mL	1.6160 mL	3.2320 mL
		10 mM	0.1616 mL	0.8080 mL	1.6160 mL
Please refer to the solubility information to select the appropriate solvent.					
In Vivo	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.08 mg/mL (3.36 mM); Clear solution				
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: 2.08 mg/mL (3.36 mM); Suspended solution; Need ultrasonic				
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (3.36 mM); Clear solution				

BIOLOGICAL ACTIVITY

Description	Volasertib (BI 6727) is an orally active, highly potent and ATP-competitive Polo-like kinase 1 (PLK1) inhibitor with an IC ₅₀ of 0.87 nM. Volasertib inhibits PLK2 and PLK3 with IC ₅₀ s of 5 and 56 nM, respectively. Volasertib induces mitotic arrest and apoptosis. Volasertib, a dihydropteridinone derivative, shows marked antitumor activity in multiple cancer models ^{[1][2]} .		
IC ₅₀ & Target	PLK1 0.87 nM (IC ₅₀)	PLK2 5 nM (IC ₅₀)	PLK3 56 nM (IC ₅₀)
In Vitro	Volasertib (BI 6727; 0.01-10000 nM; 72 hours) has EC ₅₀ values of 11 to 37 nmol/L in multiple cell lines ^[1] . ?Volasertib (10-1000 nM; 24 hours) results accumulation of cells with 4N DNA content, indicative of a cell cycle block in G2-M		

phase^[1].

?Volasertib (100 nM; 24-72 hours) induces cell apoptosis at 48 hours^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay^[1]

Cell Line:	Multiple cell lines
Concentration:	0.01-10000 nM
Incubation Time:	72 hours
Result:	Inhibited proliferation of multiple cell lines derived from various cancer tissues, including carcinomas of the colon (HCT 116, EC ₅₀ =23 nmol/L) and lung (NCI-H460, EC ₅₀ =21 nmol/L), melanoma (BRO, EC ₅₀ =11 nmol/L), and hematopoietic cancers (GRANTA-519, EC ₅₀ =15 nmol/L; HL-60, EC ₅₀ =32 nmol/L; THP-1, E ₅₀ =36 nmol/L and Raji, EC ₅₀ =37 nmol/L) with EC ₅₀ values of 11 to 37 nmol/L.

Apoptosis Analysis^[1]

Cell Line:	NCI-H460 cells
Concentration:	100 nM
Incubation Time:	24, 48, 72 hours
Result:	G2-M arrest at 24 hours was followed by induction of apoptosis at 48 hours.

Cell Cycle Analysis^[1]

Cell Line:	NCI-H460 cells
Concentration:	10, 30, 100, 300, 1000 nM
Incubation Time:	24 hours
Result:	Resulted in accumulation of cells with 4N DNA content, indicative of a cell cycle block in G2-M phase.

In Vivo

Volasertib (BI 6727; A total weekly dose of 50 mg/kg; Oral; once a week, twice a week, or daily; for 40 days) shows comparable efficacy in human colon carcinoma xenograft models^[1].

?Volasertib (15, 20, or 25 mg/kg/day; i.v.; 2 consecutive days per week; for 40 days) leads to significant tumor growth delay and even tumor regression in human colon carcinoma xenograft models^[1].

?Volasertib (70 mg/kg given once weekly or 10 mg/kg daily; oral) significantly delays tumor growth in a non-small cell lung carcinoma xenograft model derived from NCI-H460 cells^[1].

?Volasertib (a single dose of 40 mg/kg; iv) causes a significant (13-fold) increase in mitotic cells in HCT 116 tumor-bearing nude mice^[1].

?Volasertib has high volume of distribution and a long terminal half-life in mice (V_{ss}=7.6 L/kg, t_{1/2}=46 h) and rats (V_{ss}=22 L/kg, t_{1/2}=54 h)^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female BomTac:NMRI-Foxn1 ^{nu} mice (Taconic) were grafted s.c. with HCT 116 human colon carcinoma cells (ATCC CCL-247) ^[1]
Dosage:	A total weekly dose of 50 mg/kg
Administration:	Oral; once a week, twice a week, or daily; for 40 days

Result:	Showed comparable efficacy and were well tolerated.
Animal Model:	Female BomTac:NMRI-Foxn1 ^{nu} mice and male Wistar rats of the strain Crl:WI ^[1]
Dosage:	35 mg/kg (mice) or 10 mg/kg (rat) (Pharmacokinetic Analysis)
Administration:	IV 5-minute infusion; a single dose 5-minute infusion
Result:	Had high volume of distribution and a long terminal half-life in mice ($V_{ss}=7.6$ L/kg, $t_{1/2}=46$ h) and rats ($V_{ss}=22$ L/kg, $t_{1/2}=54$ h).

CUSTOMER VALIDATION

- Cell Discov. 2022 Sep 14;8(1):92.
- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Nat Commun. 2024 Mar 16.
- Nat Commun. 2020 Aug 13;11(1):4053.
- Biochim Biophys Acta Mol Basis Dis. 2024 Feb 10;1870(4):167062.

See more customer validations on www.MedChemExpress.com

REFERENCES

- [1]. Xie FF, et al. Volasertib suppresses tumor growth in cervical cancer. Am J Cancer Res. 2015 Nov 15;5(12):3548-59.
- [2]. Rudolph D, et al. BI 6727, a Polo-like kinase inhibitor with improved pharmacokinetic profile and broad antitumor activity. Clin Cancer Res. 2009 May 1;15(9):3094-102. Epub

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA