

Volasertib

Catalog No: tcsc0274



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

755038-65-4

Formula:

$C_{34}H_{50}N_8O_3$

Pathway:

Cell Cycle/DNA Damage

Target:

Polo-like Kinase (PLK)

Purity / Grade:

>98%

Solubility:

DMSO : 50 mg/mL (80.80 mM; Need ultrasonic)

Alternative Names:

BI 6727

Observed Molecular Weight:

618.81

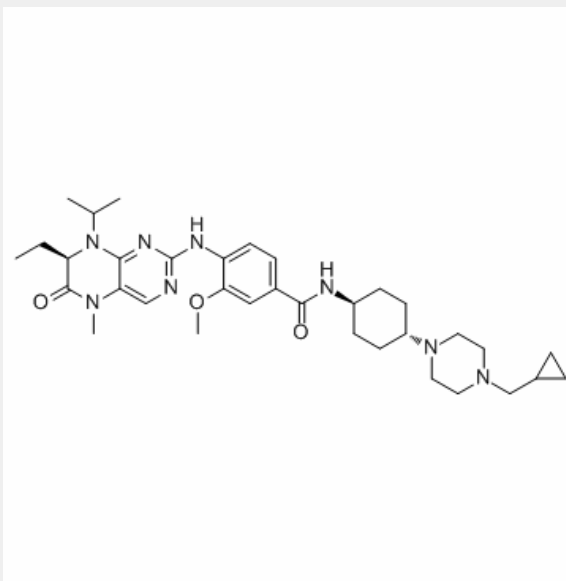
Product Description

Volasertib is a highly potent **PLK1** inhibitor with an **IC₅₀** of 0.87 nM, as well as the two closely related kinases **Plk2** and **Plk3** with **IC₅₀** values of 5 and 56 nM, respectively.

IC50 & Target: IC50: 0.87 nM (PLK1), 5 nM (PLK2), 56 nM (PLK3)^[3]

In Vitro: Volasertib is potent against HeLa and Caski cells with IC₅₀ values of 0.02 μM and 2.02 μM, respectively. Volasertib (0.03 μM) induces cell cycle arrest at G2/M Phase in cervical cancer cells. Volasertib (0.003-0.03 μM) induces apoptosis in HeLa cells, and Volasertib (0.3-3 μM) results in Caski cell apoptosis. Volasertib (1, 3 μM or 0.01, 0.03 μM) augments the fluorescent intensity of DHE in Caski and HeLa cells in a dose-dependent manner^[1]. Volasertib shows inhibitory activities against the proliferation of all 40 cell lines tested, with a mean half-maximal growth inhibitory concentration of 313 nM (range: 4-5000 nM)^[2]. Volasertib inhibits proliferation of multiple cell lines derived from various cancer tissues, including carcinomas of the colon (HCT 116, EC₅₀=23 nM) and lung (NCI-H460, EC₅₀=21 nM), melanoma (BRO, EC₅₀=11 nM), and hematopoietic cancers (GRANTA-519, EC₅₀=15 nM; HL-60, EC₅₀=32 nM; THP-1, EC₅₀=36 nM and Raji, EC₅₀=37 nM) with EC₅₀ values of 11 to 37 nM. Volasertib (100 nM) causes G2-M arrest and induces apoptosis in NCI-H460 cells^[3].

In Vivo: Volasertib (15 mg/kg, i.p.) potentiates the activity of cisplatin to inhibit xenograft tumor growth of cervical cancer cells in nude mice^[1]. Volasertib (70 mg/kg, p.o. once a week or 10 mg/kg, p.o. daily) significantly delays tumor growth in a non-small cell lung carcinoma xenograft model. In addition, Volasertib (15 mg/kg, i.v.) markedly suppresses tumor growth and is well tolerated^[3].



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