Gartisertib

Cat. No.: HY-136270

CAS No.: 1613191-99-3

Molecular Formula: $C_{25}H_{29}F_2N_9O_3$

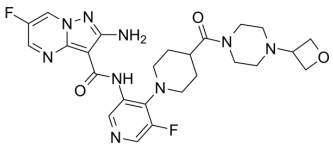
Molecular Weight: 541.55

Target: ATM/ATR

Pathway: Cell Cycle/DNA Damage; PI3K/Akt/mTOR

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (46.16 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8466 mL	9.2328 mL	18.4655 mL
	5 mM	0.3693 mL	1.8466 mL	3.6931 mL
	10 mM	0.1847 mL	0.9233 mL	1.8466 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.84 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.08 mg/mL (3.84 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Gartisertib (VX-803) is an ATP-competitive, orally active, and selective ATR inhibitor, with a K_i of <150 pM. Gartisertib potently inhibits ATR-driven phosphorylated checkpoint kinase-1 (Chk1) phosphorylation with an IC_{50} of 8 nM. Antitumor activity[1][2].

IC_{50} & Target

ATR
<150 pM (Ki)

In Vivo

In monotherapy efficacy studies Gartisertib shows tumor stasis to regression in tumor models with alternative lengthening of telomeres (ALT). In combination with PARP inhibitors, tumor regression could be observed in triple-negative breast cancer xenograft models[1].

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

REFERENCES

[1]. Frank T. Zenke, et al. Abstract 369: Antitumor activity of M4344, a potent and selective ATR inhibitor, in monotherapy and combination therapy. Experimental and Molecular Therapeutics.

[2]. Gorecki L, et al. Discovery of ATR kinase inhibitor berzosertib (VX-970, M6620): Clinical candidate for cancer therapy. Pharmacol Ther. 2020 Feb 26:107518.

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