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Produktinformation



Forschungsprodukte & Biochemikalien



Zellkultur & Verbrauchsmaterial



Diagnostik & molekulare Diagnostik



Laborgeräte & Service

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See the following pages for more information!



Lieferung & Zahlungsart

siehe unsere [Liefer- und Versandbedingungen](#)

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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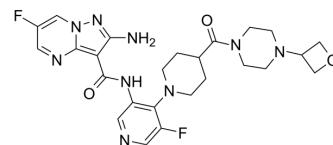
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Gartisertib

Cat. No.:	HY-136270
CAS No.:	1613191-99-3
Molecular Formula:	C ₂₅ H ₂₉ F ₂ N ₉ O ₃
Molecular Weight:	542
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 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro	DMSO : 25 mg/mL (46.13 mM; Need ultrasonic)					
	Preparing Stock Solutions	Solvent Concentration	Mass	1 mg	5 mg	10 mg
		1 mM		1.8450 mL	9.2251 mL	18.4502 mL
		5 mM		0.3690 mL	1.8450 mL	3.6900 mL
		10 mM		0.1845 mL	0.9225 mL	1.8450 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 potentially 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 (K _i)
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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Caution: Product has not been fully validated for medical applications. For research use only.

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