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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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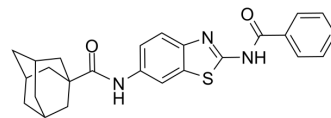
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NVP 231

Cat. No.:	HY-13945
CAS No.:	362003-83-6
Molecular Formula:	C ₂₅ H ₂₅ N ₃ O ₂ S
Molecular Weight:	431.55
Target:	Apoptosis
Pathway:	Apoptosis
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 : ≥ 41 mg/mL (95.01 mM)
 * "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM		2.3172 mL	11.5861 mL	23.1723 mL
	5 mM		0.4634 mL	2.3172 mL	4.6345 mL
	10 mM		0.2317 mL	1.1586 mL	2.3172 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 2.5 mg/mL (5.79 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: ≥ 2.5 mg/mL (5.79 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.5 mg/mL (5.79 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

NVP 231 is a potent, specific, and reversible ceramide kinase (CerK) inhibitor (IC₅₀=12 nM) that competitively inhibits binding of ceramide to CerK^[1]. NVP 231 induces cell apoptosis by increasing DNA fragmentation and caspase-3 and caspase-9 cleavage^[2].

IC₅₀ & Target

IC₅₀: 12 nM (CerK); apoptosis^{[1][3]}

In Vitro

NVP-231 (0-500 nM; 24 hours) gradually reduces the cellular CerK activity, as measured by NBD-C1P formation, demonstrating that NVP-231 active in transfected cells. The IC₅₀ for CerK in this cellular system is 59.70 ± 12 nM^[3]. NVP-231 (0-1000 nM; 48 hours) decreases cell viability in a dose-dependent manner. This compound shows IC₅₀ values of 1 μM in MCF-7 cells and 500 nM in NCI-H358 cells^[3]. NVP-231 (1 μM; 24-72 hours) induces caspase-3 and caspase-9 cleavage in both cell lines. However, the highest caspase-3 and caspase-9 cleavage and activation occurred at 24 hours in MCF-7 cells, then decreases again. In NCI-H358 cells, caspase-3 and caspase-9 cleavage occurs continuously over 72 hours^[3]. NVP-231 (0-500 nM; 24 hours) causes a concentration-dependent up-regulation of cyclin B1 phosphorylation at Ser133 and a reduction of CDK1 phosphorylation at Tyr15. The total CDK1 expression also declined upon CerK inhibition^[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay^[3]

Cell Line:	MCF-7 cells; NCI-H358 cells
Concentration:	0 nM, 10 nM, 100 nM, 300 nM, 500 nM, 1000 nM
Incubation Time:	48 hours
Result:	Reduced MCF-7 cells and NCI-H358 cells in a concentration manner.

Apoptosis Analysis^[3]

Cell Line:	MCF-7 cells; NCI-H358 cells
Concentration:	1000 nM
Incubation Time:	24-72 hours
Result:	Increases caspase-3 and caspase-9 cleavage in MCF-7 and NCI-H358 cells.

Western Blot Analysis^[3]

Cell Line:	MCF-7 cells; NCI-H358 cells
Concentration:	0 nM, 100 nM, 300 nM, 500 nM
Incubation Time:	24 hours
Result:	Decreased p-cyclin B1, p-CDK1 as a concentration manner.

CUSTOMER VALIDATION

- Pharmacol Res. 2022 Nov 18;106558.
- bioRxiv. 2023 Sep 12.

See more customer validations on www.MedChemExpress.com

REFERENCES

- [1]. Graf C, et al. Targeting ceramide metabolism with a potent and specific ceramide kinase inhibitor. Mol Pharmacol. 2008 Oct;74(4):925-32.
- [2]. Graf C, et al. A secondary assay for ceramide kinase inhibitors based on cell growth inhibition by short-chain ceramides. Anal Biochem. 2009 Jan 1;384(1):166-9.

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

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