Proteins

Inhibitors

Echinomycin

Cat. No.: HY-106101 CAS No.: 512-64-1

Molecular Formula: $C_{51}H_{64}N_{12}O_{12}S_{2}$ Molecular Weight: 1101.26

HIF/HIF Prolyl-Hydroxylase; Antibiotic; Bacterial Target: Pathway: Metabolic Enzyme/Protease; Anti-infection

Storage: -20°C, stored under nitrogen

* In solvent: -80°C, 6 months; -20°C, 1 month (stored under nitrogen)

Product Data Sheet

SOLVENT & SOLUBILITY

In Vitro

DMSO: 5.3 mg/mL (4.81 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	0.9081 mL	4.5403 mL	9.0805 mL
	5 mM			
	10 mM			

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

BIOLOGICAL ACTIVITY

Description

Echinomycin (Quinomycin A) is potent small-molecule and cell-permeable inhibitor of hypoxia-inducible factor-1 (HIF-1) DNA-binding activity. Echinomycin selectively inhibits the cancer stem cells (CSCs) with an IC $_{50}$ of 29.4 pM $^{[1][2]}$.

In Vitro

Echinomycin (0-10 nM; 16 hours; U251 cells) treatment significantly inhibits hypoxia-induced VEGF mRNA expression in a dose-dependent fashion. Echinomycin very potently inhibits hypoxic induction of luciferase expression in U251-HRE in a dose-dependent fashion with an EC_{50} of 1.2 $nM^{[1]}$.

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

RT-PCR^[1]

Cell Line:	U251 cells	
Concentration:	0 nM, 0.625 nM, 1.25 nM, 5 nM, 10 nM	
Incubation Time:	16 hours	
Result:	Significantly inhibited VEGF mRNA expression induced by hypoxia in a dose-dependent fashion.	

In Vivo

Echinomycin (10 μ g/kg; intravenous injection; for 40 days; NOD-SCID mice) treatment efficiently eradicates mouse lymphoma and serially transplantable human acute myeloid leukemia (AML) in xenogeneic model by preferential elimination of cancer stem cells (CSCs). HIF1 α maintains mouse lymphoma CSCs by repressing a negative feedback loop in the Notch pathway^[2].

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Animal Model:	NOD-SCID mice received 1.8Gy of irradiation and i.v. injection with peripheral blood cells from patients AML-71 and AML-150 $^{[2]}$	
Dosage:	10 μg/kg	
Administration:	Intravenous injection; for 40 days	
Result:	Efficiently eradicated mouse lymphoma and serially transplantable human AML in xenogeneic model by preferential elimination of CSCs.	

CUSTOMER VALIDATION

- Theranostics. 2022 Apr 4;12(7):3196-3216.
- Theranostics. 2022 Jan 16;12(4):1621-1638.
- Oncol Rep. 2023 Dec;50(6):219.

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REFERENCES

- [1]. Kong D, et al. Echinomycin, a small-molecule inhibitor of hypoxia-inducible factor-1 DNA-binding activity. Cancer Res. 2005 Oct 1;65(19):9047-55.
- [2]. Wang Y, et al. Targeting HIF1α eliminates cancer stem cells in hematological malignancies. Cell Stem Cell. 2011 Apr 8;8(4):399-411.

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

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