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Diagnostik & molekulare Diagnostik



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Lieferung & Zahlungsart

siehe unsere [Liefer- und Versandbedingungen](#)

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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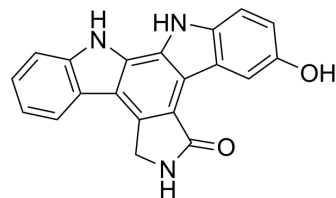
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THK01

Cat. No.:	HY-149266
CAS No.:	2226941-26-8
Molecular Formula:	C ₂₀ H ₁₃ N ₃ O ₂
Molecular Weight:	327.34
Target:	ROCK
Pathway:	Cell Cycle/DNA Damage; Cytoskeleton; Stem Cell/Wnt; TGF-beta/Smad
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (381.87 mM; Need ultrasonic)

Concentration	Solvent	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	3.0549 mL	15.2746 mL	30.5493 mL
	5 mM	0.6110 mL	3.0549 mL	6.1099 mL
	10 mM	0.3055 mL	1.5275 mL	3.0549 mL

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

BIOLOGICAL ACTIVITY

Description

THK01 is a potent ROCK2 inhibitor with IC₅₀ values of 5.7 and 923 nM for ROCK2 and ROCK1, respectively. THK01 inhibits breast cancer metastasis through the ROCK2-STAT3 signaling pathway. THK01 can be used in research of breast cancer^[1].

IC₅₀ & Target

ROCK2 5.7 nM (IC ₅₀)	ROCK1 923 nM (IC ₅₀)
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In Vitro

THK01 (1.25-10 μM; 48 h) suppresses the migration and invasion abilities of MDA-MB-231 cells in a dose-dependent manner^[1].

THK01 (5 μM; 24 h; MDA-MB-231 cells) suppresses breast cancer metastasis through STAT signal pathway^[1].

THK01 (1.25-10 μM; 24 h; MDA-MB-231 cells) down-regulates the phosphorylation level of STAT3^{Y705} in a dose-dependent manner, while slightly up-regulates the level of STAT3^{S727}^[1].

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

Western Blot Analysis^[1]

Cell Line:	MDA-MB-231 cells
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Concentration:	5 μ M
Incubation Time:	24 hours
Result:	Down-regulated the phosphorylation levels (p-STAT) of STAT1, STAT2, and STAT3, up-regulated of p-STAT5A and STAT6.
Western Blot Analysis ^[1]	
Cell Line:	MDA-MB-231 cells
Concentration:	1.25, 2.5, 5, 10 μ M
Incubation Time:	24 hours
Result:	Reduced the level of p-STAT3 ^{Y705} and increased the expression of p-STAT3 ^{S727} in MDA-MB-231 cells.

In Vivo

THK01 (15 mg/kg; i.v.; Female BALB/c nude mice) suppresses cell metastasis of breast cancer in vivo^[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female BALB/c nude mice with MDAMB-231-Luc-mcherry xenografts (6 weeks old, weight about 20 g) ^[1]
Dosage:	15 mg/kg
Administration:	Intravenous injection; once a day for 43 days
Result:	Reduced the pulmonary metastasis of MDA-MB-231 in vivo with negligible toxicity.

REFERENCES

[1]. Wang J, et, al. Discovery of unglycosylated indolocarbazoles as ROCK2 isoform-selective inhibitors for the treatment of breast cancer metastasis. *Eur J Med Chem.* 2023 Mar 15;250:115181.

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

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