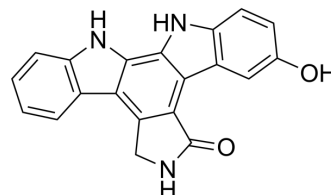


THK01

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:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	THK01 is a potent ROCK2 inhibitor with IC ₅₀ 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)																
In Vitro	<p>THK01 (1.25-10 μM; 48 h) suppresses the migration and invasion abilities of MDA-MB-231 cells in a dose-dependent manner^[1].</p> <p>THK01 (5 μM; 24 h; MDA-MB-231 cells) suppresses breast cancer metastasis through STAT signal pathway^[1].</p> <p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Western Blot Analysis^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>MDA-MB-231 cells</td> </tr> <tr> <td>Concentration:</td> <td>5 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>24 hours</td> </tr> <tr> <td>Result:</td> <td>Down-regulated the phosphorylation levels (p-STAT) of STAT1, STAT2, and STAT3, up-regulated of p-STAT5A and STAT6.</td> </tr> </table> <p>Western Blot Analysis^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>MDA-MB-231 cells</td> </tr> <tr> <td>Concentration:</td> <td>1.25, 2.5, 5, 10 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>24 hours</td> </tr> <tr> <td>Result:</td> <td>Reduced the level of p-STAT3^{Y705} and increased the expression of p-STAT3^{S727} in MDA-MB-231 cells.</td> </tr> </table>		Cell Line:	MDA-MB-231 cells	Concentration:	5 μM	Incubation Time:	24 hours	Result:	Down-regulated the phosphorylation levels (p-STAT) of STAT1, STAT2, and STAT3, up-regulated of p-STAT5A and STAT6.	Cell Line:	MDA-MB-231 cells	Concentration:	1.25, 2.5, 5, 10 μM	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.
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In Vivo	THK01 (15 mg/kg; i.v.; Female BALB/c nude mice) suppresses cell metastasis of breast cancer in vivo ^[1] .																	

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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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