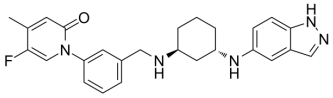
ROCK2-IN-7

Cat. No.:	HY-149700
CAS No.:	3000541-95-4
Molecular Formula:	$C_{26}H_{28}FN_5O$
Molecular Weight:	445.53
Target:	ROCK; MMP; STAT
Pathway:	Cell Cycle/DNA Damage; Cytoskeleton; Stem Cell/Wnt; TGF-beta/Smad; Metabolic Enzyme/Protease; JAK/STAT Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

ROCK2-IN-7 is a kinase inhibitor targeting to ROCK2. ROCK2-IN-7 inhibits ROCK2/pSTAT3 Signaling. ROCK2-IN-7 suppresses systemic immunity activation and attenuates inflammation in psoriasis model[1].

IC_{50} & Target			
	ROCK2	MMP-2	Stat-3

In Vitro

ROCK2-IN-7 (2-5 μM, 24h) inhibits ROCK2/pSTAT3 Signaling in HaCaT cells[1].

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

Western Blot Analysis

Cell Line:	HaCaT cells
Concentration:	2 μM, 5 μM
Incubation Time:	24 h
Result:	Inhibited the expression of matrix metalloproteinase-2 (MMP2), Fibronectin (FN), N-cadherin, Elastin involved in psoriasis pathogenesis. Decreased phosphorylation of STAT3.

In Vivo

ROCK2-IN-7 (20-80 mg/kg, Oral gavage (p.o.), for seven consecutive days) reduces key interleukins associated with the IL-23/Th17 axis and important factors involved in keratinocyte proliferation in IMQ-induced skin inflammation model [1].

ROCK2-IN-7 (20-80 mg/kg, Oral gavage (p.o.), for seven consecutive days) inhibits the thickening of the epidermis and suppresses systemic immunity activation and attenuate inflammation in imiquimod (IMQ)-induced skin inflammation model [1].

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

Animal Model:	IMQ-induced skin inflammation
Dosage:	20 mg/kg , 40 mg/kg, 80 mg/kg
Administration:	Oral gavage (p.o.)

Result:	Decrease the mRNA levels of interleukin (IL)-17A, IL-17F, IL-22, and IL-23. Decreased phosphorylation of STAT3. Attenuated psoriasis-like symptoms including invasive erythema, roughness, swelling, and scales. Reduced the spleen index. Reduced the number of IL-17A+ cells. Reduced the number of cells positive for phosphorylated signal transducers and activators of transcription 3 (pSTAT3) and transcription 5 (pSTAT5). Reversed the thickening of the epidermis. Decreased the number of $Ki67^{+}$ cells.

REFERENCES

[1]. Huang, Yun, et al. "Design, Synthesis, and Biological Evaluation of an Orally Bioavailable, Potent, and Selective ROCK2 Inhibitor for Psoriasis Treatment." Journal of Medicinal Chemistry (2023)

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