TOPK-p38/JNK-IN-1

Cat. No.: HY-144761

CAS No.: 2745108-35-2

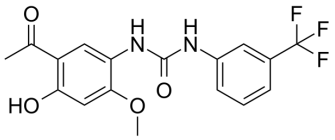
Molecular Formula: $C_{17}H_{15}F_3N_2O_4$

Molecular Weight: 368.31

Target: JNK

Pathway: MAPK/ERK Pathway

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



BIOLOGICAL ACTIVITY

Description

TOPK-p38/JNK-IN-1 (Compound B12) is an orally active TOPK-p38/JNK signaling pathway inhibitor with the IC_{50} value of 2.14 μM for NO production. TOPK-p38/JNK-IN-1 shows anti-inflammatory activities. TOPK-p38/JNK-IN-1 also inhibits phosphorylate downstream related proteins and avoids degradation of TOPK[1].

IC_{50} & Target	JNK	NO Production 2.14 μM (IC_{50})

In Vitro

TOPK-p38/JNK-IN-1 (Compound B12) (10 μM, 1 h) inhibits the NO production in RAW264.7 cells[1]

.TOPK-p38/JNK-IN-1 (Compound B12) (0-100 μM, 24 h for RAW264.7 cells; 0-50μM, 6h for HaCaT cells) inhibits cell proliferation in a dose-dependent manner[1]

.TOPK-p38/JNK-IN-1 (Compound B12) (0-10 μM, 1h for RAW264.7 cells; 6 h for HaCaT cells) suppresses LPS-induced TOPK/NF-jB/p38/JNK activation[1].

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

Cell Viability Assay[1]

Cell Line:	RAW264.7 cell lines
Concentration:	4 μM, 20 μM and 100μM
Incubation Time:	24 h
Result:	Inhibited cell proliferation in a dose-dependent manner.

Cell Proliferation Assay[1]

Cell Line:	HaCaT cell line.
Concentration:	0.78 μM, 1.56 μM, 3.125μM, 6.25 μM, 12.5 μM, 25 μM and 50 μM.
Incubation Time:	Pre-treated with compound B12 for 6 h, incubated with LPS (100 g/mL) for 24 h
Result:	Inhibited excessive proliferation of LPS-induced HaCaT cells in a dose-dependent manner.

Western Blot Analysis[1]

Cell Line:	RAW264.7 and HaCaT cell line.
Concentration:	2.5 μM, 5 μM and 10μM.
Incubation Time:	Pre-treated for 1 h, co-treated with LPS (0.5 μg/mL) for 0.5 h or 24 h and pre-treated for 6 h before SUV irradiation respectively.
Result:	Inhibited the expression of iNOS and COX-2 in a dose-dependent manner, affected the phosphorylation of TOPK and inhibited P38/JNK protein phosphorylation and NF-κB p65 translocated into the nucleus.

In Vivo

TOPK-p38/JNK-IN-1 (Compound B12) (Inbred 6–8-week-old female BALB/c mice; 20-40 mg/kg; IG, once a day, each group for 7 days) could improve psoriasis-like skin inflammation[1].

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

Animal Model:	Inbred 6–8-week-old female BALB/c mice[1].
Dosage:	20 mg/kg, 40 mg/kg
Administration:	IG, once a day, each group for 7 days. Induce skin inflammation by topically applying 62.5 mg of IMQ cream on the shaved 2 cm × 3 cm back skins.
Result:	Successfully reduced the scales, thickness and erythema in psoriasis-like mice, histopathologically alleviated hyperkeratosis, acanthocyte proliferation and inflammatory cell infiltration. Inhibited the expression of related proteins (p-STAT3, p-TOPK, TOPK, p-p38, p-JNKs, PCNA, p-H2AX) in mouse skin tissues in a dose-dependent manner.

REFERENCES

[1]. Jing Wu, et al. Discovery of novel paeonol-based derivatives against skin inflammation in vitro and in vivo. Journal of Enzyme Inhibition and Medicinal Chemistry, 37:1, 817-831.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA