MKK7-COV-9

Cat. No.: HY-122872

CAS No.: 2283355-59-7

Molecular Formula: $C_{18}H_{16}N_4O_2$

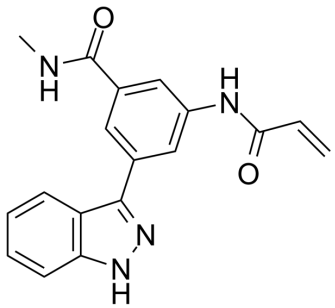
Molecular Weight: 320.35

Target: p38 MAPK

Pathway: MAPK/ERK Pathway

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (156.08 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.1216 mL	15.6079 mL	31.2159 mL
	5 mM	0.6243 mL	3.1216 mL	6.2432 mL
	10 mM	0.3122 mL	1.5608 mL	3.1216 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.80 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.80 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.80 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MKK7-COV-9 is a potent and selective covalent inhibitor of MKK7 and targets a specific protein–protein interaction of MKK7. MKK7-COV-9 blocks primary B cell activation in response to LPS with an EC_{50} of 4.98 μM[1].

IC_{50} & Target

p38 MAP kinase

In Vitro

Due to poor permeability, the piperidine analogs MKK7-COV-10 and MKK7-COV-11 proves to be inactive in ICW in 3T3 cells, as well as the carboxylic acid MKK7-COV-8. In contrast, as an amide counterpart , MKK7-COV-9, retains activity (EC_{50}=4.06 μ

M) and furthermore now provides a new vector for further derivatization[1].
MKK7-COV-9 (10 μM; 48 hours) shows limited cytotoxic effect only at the highest tested concentration. Only one cell line, HCT116, displayed half-maximal lethal dose (LD_{50})<10 μM for these two compounds[1].
MKK7-COV-9 (10 μM; 2 hr pre-incubation) is able to inhibit 60% of the $CD86^+$ response in response to LPS stimulation, in primary mouse B cells , except the negative control MKK7-NEG-1[1].
JNK is known to mediate activation of B cells in response to lipopolysaccharide (LPS; HY-D1056) through the TLR4 signaling pathway.
MKK7-COV-9 (0-10 μM; 2 hr pre-incubation) is able to mediate activation of B cells in response to LPS through the TLR4 signaling pathway, it shows a dose-response curves for inhibition of LPS induced activation and exhibits an EC_{50} value of 4.98 μM.(EC_{50}=4.98 μM for MKK7-COV-12; EC_{50}>10 μM for MKK7-COV-7; EC_{50}=2.23 μM for JNK-IN-8)[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	MDAMB231, HCT116, HT29,COLO205, HELA,Z93T, PC3,4T1,A549, PC9, MDAMB468
Concentration:	0-10 μM
Incubation Time:	48 hours
Result:	Showed little cytotoxic effect except for HCT116 cells.

REFERENCES

[1]. Amit Shraga, et al. Covalent Docking Identifies a Potent and Selective MKK7 Inhibitor. Cell Chem Biol. 2019 Jan 17;26(1):98-108.e5.

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