MAP4K4-IN-3

Cat. No.: HY-125012

CAS No.: 1811510-58-3

Molecular Formula: $C_{15}H_{12}ClN_5$

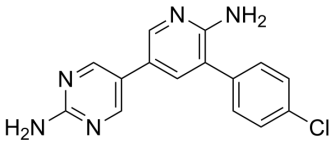
Molecular Weight: 297.74

Target: MAP4K

Pathway: MAPK/ERK Pathway

Storage: 4°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 10 mg/mL (33.59 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.3586 mL	16.7932 mL	33.5864 mL
	5 mM	0.6717 mL	3.3586 mL	6.7173 mL
	10 mM	0.3359 mL	1.6793 mL	3.3586 mL

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

BIOLOGICAL ACTIVITY

Description

MAP4K4-IN-3 (Compound 17) is a potent and selective MAP4K4 inhibitor with an IC_{50} of 14.9 nM in kinase assay, an IC_{50} of 470 nM in cell assay. Antidiabetic agent[1].

IC_{50} & Target

MAP4K4

14.9 nM (IC_{50})

In Vitro

MAP4K4, a serine/threonine protein kinase may be a viable target for antidiabetic agents[1].

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

In Vivo

Oral dosing in telemetered rats for 5 days with MAP4K4-IN-3 (Compound 2; 25 mg/kg, b.i.d.) results in a number of adverse effects. Substantial weight losses (7%) and body temperature increases (0.4°C) relative to controls are observed over the course of the study. For cardiovascular end points, maximal heart rate increases of 25 bpm, relative to controls, are induced by treatment with MAP4K4-IN-3[2].

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

CUSTOMER VALIDATION

- bioRxiv. 2023 Apr 17.

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REFERENCES

[1]. Ammirati M, et al. Discovery of an in Vivo Tool to Establish Proof-of-Concept for MAP4K4-Based AntidiabeticTreatment. ACS Med Chem Lett. 2015 Oct 6;6(11):1128-33.

[2]. Dow RL, et al. 2-Aminopyridine-Based Mitogen-Activated Protein Kinase Kinase Kinase Kinase 4 (MAP4K4) Inhibitors: Assessment of Mechanism-Based Safety. J Med Chem. 2018 Apr 12;61(7):3114-3125.

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