TC ASK 10

Cat. No.: HY-103258

CAS No.: 1005775-56-3

Molecular Formula: $C_{21}H_{23}Cl_2N_5O$

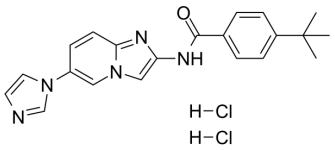
Molecular Weight: 432.35

Target: Apoptosis; MAP3K

Pathway: Apoptosis; MAPK/ERK Pathway

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (231.29 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3129 mL	11.5647 mL	23.1294 mL
	5 mM	0.4626 mL	2.3129 mL	4.6259 mL
	10 mM	0.2313 mL	1.1565 mL	2.3129 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 (5.78 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.78 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.78 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TC ASK 10 (Compound 10) is a potent, selective and orally active apoptosis signal-regulating kinase 1 (ASK1) inhibitor with an IC_{50} of 14 nM. The inhibitory activities of TC ASK 10 towards other representative panel of kinases are less than 50%, except for ASK2 (IC_{50} of 0.51 μM)[1].

IC_{50} & Target

ASK1
14 nM (IC_{50})

In Vitro

TC ASK 10 (Compound 10; 0-10 μM; 1 hour; INS-1 cells) treatment inhibits streptozotocin (STZ)-induced JNK in INS-1 pancreatic β cells from 0.3 μM. Phosphorylation of p38 is also inhibited in a dosedependent manner[1].

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

Western Blot Analysis[1]

Cell Line:	INS-1 cells
Concentration:	0 μM, 0.3 μM, 1 μM, 3 μM, 10 μM
Incubation Time:	1 hour
Result:	Was found to inhibit streptozotocin (STZ)-induced JNK in INS-1 pancreatic β cells from 0.3 μM. Phosphorylation of p38 was also inhibited in a dosedependent manner.

In Vivo

Pharmacokinetic profiles in rats are tested. TC ASK 10 (Compound 10•HCl; rat cassette doing at 0.1 mg/kg, iv and 1 mg/kg, po.) has a good oral bioavailability. The C_{max}, T_{max} and $AUC_{po,0\text{-}8h}$ are 285.1 ng/mL, 1.67 h and 275.4 ng.h/mL, respectively for TC ASK 10[1].

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

REFERENCES

[1]. Terao Y, et al. Design and biological evaluation of imidazo[1,2-a]pyridines as novel and potent ASK1 inhibitors. Bioorg Med Chem Lett. 2012 Dec 15;22(24):7326-9.

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