# GS-444217

**Cat. No.:** HY-100844

**CAS No.:** 1262041-49-5

**Molecular Formula:** $C_{23}H_{21}N_7O$

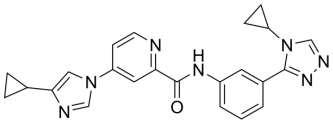
**Molecular Weight:** 411.46

**Target:** MAP3K; Apoptosis

**Pathway:** MAPK/ERK Pathway; Apoptosis

**Storage:**

| | | |
|---|---|---|
| Powder | -20°C | 3 years |
| | 4°C | 2 years |
| In solvent | -80°C | 2 years |
| | -20°C | 1 year |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 15.5 mg/mL (37.67 mM; Need ultrasonic and warming)

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 2.4304 mL | 12.1518 mL | 24.3037 mL |
| 5 mM | 0.4861 mL | 2.4304 mL | 4.8607 mL |
| 10 mM | 0.2430 mL | 1.2152 mL | 2.4304 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 (6.08 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.08 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.08 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

GS-444217 is a potent, orally available and selective ATP-competitive inhibitor of apoptosis signal-regulating kinase 1 (ASK1) with an $IC_{50}$ of 2.87 nM[1].

**$IC_{50}$ & Target**

ASK1
2.87 nM ($IC_{50}$)

**In Vitro**

Treatment with GS-444217 reduces ASK1 phosphorylation and prevents the phosphorylation of MKK3/6, MKK4, p38, and

| | |
|---|---|
| | JNK at concentrations of 0.3 μM and above with full suppression of ASK1 activity at 1 μM. GS-444217 (1 μM) reduces ASK1 activity within 5 minutes of addition to the cultures, reaching a maximum level of inhibition by 30 minutes. Removal of GS-444217 from the cultures results in reactivation of ASK1 autophosphorylation within 10 minutes and near-complete recovery 2 hours after drug washout[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **In Vivo** | GS-444217 reduces oxidative stress (OS)-induced ASK1 signaling in kidney and inhibits acute renal tubular injury in rats. GS-444217 (30 mg/kg) inhibits activation of ASK1, p38, and JNK in rat kidney. GS-444217 has an in vivo $EC_{50}$ of approximately 1.6 μM for inhibiting the ASK1 pathway in rodent kidney[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## CUSTOMER VALIDATION

- EMBO J. 2022 Feb 3;e109386.
- Environ Toxicol. 2022 Feb 15.
- PLoS One. 2023 Jun 13;18(6):e0286903.

See more customer validations on www.MedChemExpress.com

## REFERENCES

[1]. Liles JT, et al. ASK1 contributes to fibrosis and dysfunction in models of kidney disease. J Clin Invest. 2018 Oct 1;128(10):4485-4500.

[2]. Budas GR, et al. ASK1 Inhibition Halts Disease Progression in Preclinical Models of Pulmonary Arterial Hypertension. Am J Respir Crit Care Med. 2018 Feb 1;197(3):373-385.



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