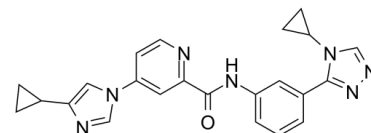


## Data Sheet

Product Name:	GS-444217
Cat. No.:	CS-6062
CAS No.:	1262041-49-5
Molecular Formula:	C <sub>23</sub> H <sub>21</sub> N <sub>7</sub> O
Molecular Weight:	411.46
Target:	Apoptosis; MAP3K
Pathway:	Apoptosis; MAPK/ERK Pathway
Solubility:	DMSO : 15.5 mg/mL (37.67 mM; Need ultrasonic and warming)



### BIOLOGICAL ACTIVITY:

GS-444217 is a potent, orally available and selective ATP-competitive inhibitor of apoptosis signal-regulating kinase 1 (ASK1) with an IC<sub>50</sub> of 2.87 nM<sup>[1]</sup>. IC<sub>50</sub> & Target: ASK1<sup>[1]</sup> **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<sup>[1]</sup>. **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<sub>50</sub> of approximately 1.6 μM for inhibiting the ASK1 pathway in rodent kidney<sup>[1]</sup>.

### 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.

### CAIndexNames:

2-Pyridinecarboxamide, 4-(4-cyclopropyl-1H-imidazol-1-yl)-N-[3-(4-cyclopropyl-4H-1,2,4-triazol-3-yl)phenyl]-

### SMILES:

O=C(C1=NC=CC(N2C=C(C3CC3)N=C2)=C1)NC4=CC=CC(C5=NN=CN5C6CC6)=C4

**Caution: Product has not been fully validated for medical applications. For research use only.**

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

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