

# SAGE-217

Catalog No: tcsc0023489



## Available Sizes

**Size:** 1mg

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

**CAS No:**

1632051-40-1

**Formula:**

$C_{25}H_{35}N_3O_2$

**Pathway:**

Neuronal Signaling;Membrane Transporter/Ion Channel

**Target:**

GABA Receptor;GABA Receptor

**Purity / Grade:**

>98%

**Solubility:**

DMSO :  $\geq 100$  mg/mL (244.16 mM)

**Observed Molecular Weight:**

409.56

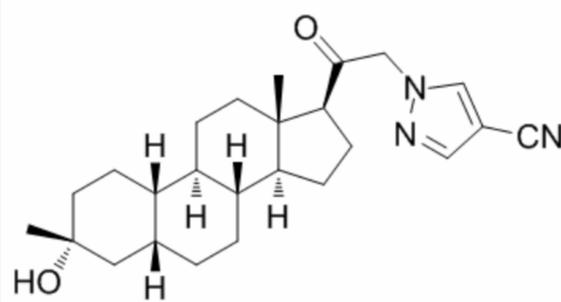
## Product Description

SAGE-217 is a potent **GABA<sub>A</sub> receptor** agonist with **EC<sub>50</sub>**s of 296 and 163 nM for **α<sub>1</sub>β<sub>2</sub>γ<sub>2</sub>** and **α<sub>4</sub>β<sub>3</sub>δ GABA<sub>A</sub> receptors**, respectively.

IC50 & Target: EC50: 296 nM (α<sub>1</sub>β<sub>2</sub>γ<sub>2</sub> GABA<sub>A</sub> receptor), 163 nM (α<sub>4</sub>β<sub>3</sub>δ GABA<sub>A</sub> receptor)<sup>[1]</sup>

**In Vitro:** Kinase assay demonstrates that SAGE-217 is a potent GABA<sub>A</sub> receptor agonist with EC<sub>50</sub>s of 296 and 163 nM for α<sub>1</sub>β<sub>2</sub>γ<sub>2</sub> and α<sub>4</sub>β<sub>3</sub>δ GABA<sub>A</sub> receptors, respectively. SAGE-217 is currently being studied in parallel phase 2 clinical trials for the treatment of postpartum depression (PPD) and major depressive disorder (MDD). SAGE-217 shows >30 μM inhibition in a cardiac panel of eight relevant cardiac ion channels. At 10 μM concentration of SAGE-217, only binding at the glycine (57%), sigma receptors (88%), and inhibition of the transient receptor potential vanilloid 1 (TRPV1, 95%) is noted<sup>[1]</sup>.

**In Vivo:** Acute administration of SAGE-217 (0.3 to 10 mg/kg, ip) effectively reduces pentylenetetrazol (PTZ)-induced seizures in mice (MEC<sub>plasma</sub>=85 nM) as well as produces a dose-dependent anticonvulsant effect in the mouse 6 Hz electrical stimulation model. In the rat lithium-pilocarpine model of status epilepticus (SE), SAGE-217 (0.3 to 5 mg, iv) abolishes both behavioral and electrographic seizure activity, even when administered 60 min after induction of SE. Additional PK studies of SAGE-217 in dog show low clearance ([1].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!