

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 : ≥ 100 mg/mL (244.16 mM)

Observed Molecular Weight:

409.56

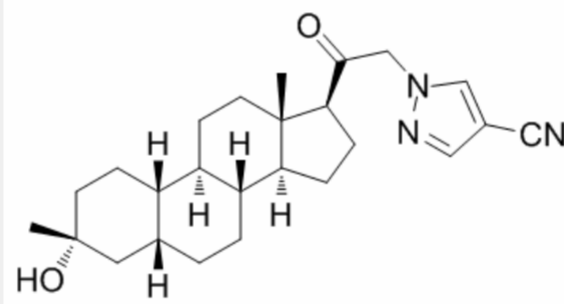
Product Description

SAGE-217 is a potent **GABA_A receptor** agonist with **EC₅₀s** of 296 and 163 nM for **α₁β₂γ₂** and **α₄β₃δ GABA_A receptors**, respectively.

IC50 & Target: EC50: 296 nM (α₁β₂γ₂ GABA_A receptor), 163 nM (α₄β₃δ GABA_A receptor)^[1]

In Vitro: Kinase assay demonstrates that SAGE-217 is a potent GABA_A receptor agonist with EC₅₀s of 296 and 163 nM for α₁β₂γ₂ and α₄β₃δ GABA_A 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^[1].

In Vivo: Acute administration of SAGE-217 (0.3 to 10 mg/kg, ip) effectively reduces pentylenetetrazol (PTZ)-induced seizures in mice (MEC_{plasma} = 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!