Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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

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Product Description

SAGE-217 is a potent **$GABA_A$ receptor** agonist with **EC_{50}**s of 296 and 163 nM for **$\alpha_1\beta_2\gamma_2$** and **$\alpha_4\beta_3\delta$ $GABA_A$ receptors**, respectively.

IC50 & Target: EC50: 296 nM ($\alpha_1\beta_2\gamma_2$ $GABA_A$ receptor), 163 nM ($\alpha_4\beta_3\delta$ $GABA_A$ receptor)[1]

In Vitro: Kinase assay demonstrates that SAGE-217 is a potent $GABA_A$ receptor agonist with EC_{50}s of 296 and 163 nM for $\alpha_1\beta_2\gamma_2$ and $\alpha_4\beta_3\delta$ $GABA_A$ 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 pentylenetretazol (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].