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

S1RA

Catalog No: tcsc2187

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
878141-96-9

Formula:
$C_{20}H_{23}N_3O_2$

Pathway:
GPCR/G Protein

Target:
Sigma Receptor

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
E-52862

Observed Molecular Weight:
337.42

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Product Description

S1RA(E-52862) is a potent and selective sigma-1 receptor(σ1R, Ki=17 nM) antagonist, showed good selectivity against σ2R (Ki > 1000 nM).

IC50 value: 17 nM (Ki) [1]

Target: σ1R

in vitro: S1RA behaved as a highly selective σ1 receptor antagonist. It showed high affinity for human (Ki= 17 nM) and guinea pig (Ki= 23.5 nM) σ1 receptors but no significant affinity for the σ2 receptors (Ki > 1000 nM for guinea pig and rat σ2 receptors). Moderate affinity (Ki= 328 nM) and antagonistic activity, with very low potency (IC50= 4700 nM) was found at the human 5-HT2B receptor. S1RA showed no significant affinity (Ki > 1 μM or % inhibition at 1 μM

in vivo: Control (non-operated) and nerve-injured mice received a single or repeated (twice daily for 12 days) i.p. administration of S1RA at 25 mg·kg 1, the same dose used for the assessment of behavioural hypersensitivity in the chronic treatment study. Acute treatment was given on day 12 post-surgery and repeated treatment with S1RA started the day of surgery, as in the behavioural studies [2]. Intrathecal pre-treatment with idazoxan prevented the systemic S1RA antinociceptive effect, suggesting that the S1RA antinociception depends on the activation of spinal α2 -adrenoceptors which, in turn, could induce an inhibition of formalin-evoked glutamate release. When administered locally, intrathecal S1RA inhibited only the flinching behavior, whereas intracerebroventricularly or intraplantarly injected also attenuated the lifting/licking behavior [3].