

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

Product Description

S1RA(E-52862) is a potent and selective sigma-1 receptor(σ 1R, $K_i=17$ nM) antagonist, showed good selectivity against σ 2R ($K_i > 1000$ nM).

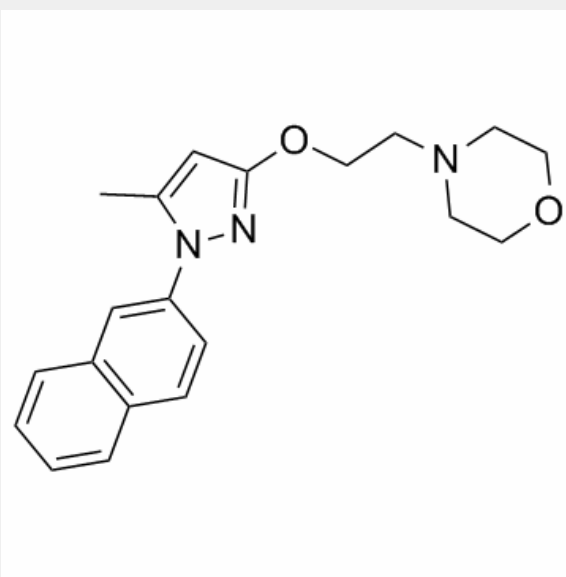
IC50 value: 17 nM (K_i) [1]

Target: σ 1R

in vitro: S1RA behaved as a highly selective σ 1 receptor antagonist. It showed high affinity for human ($K_i= 17$ nM) and guinea pig ($K_i= 23.5$ nM) σ 1 receptors but no significant affinity for the σ 2 receptors ($K_i > 1000$ nM for guinea pig and rat σ 2 receptors).

Moderate affinity ($K_i= 328$ nM) and antagonistic activity, with very low potency (IC50= 4700 nM) was found at the human 5-HT2B receptor. S1RA showed no significant affinity ($K_i > 1$ μ M or % inhibition at 1 μ M

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 α 2 -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].



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