

# S1RA (hydrochloride)

Catalog No: tcsc2188



## Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

**CAS No:**

1265917-14-3

**Formula:**

$C_{20}H_{24}ClN_3O_2$

**Pathway:**

GPCR/G Protein

**Target:**

Sigma Receptor

**Purity / Grade:**

>98%

**Solubility:**

DMSO :  $\geq 57$  mg/mL (152.46 mM)

**Alternative Names:**

E-52862 hydrochloride

**Observed Molecular Weight:**

373.88

## Product Description

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

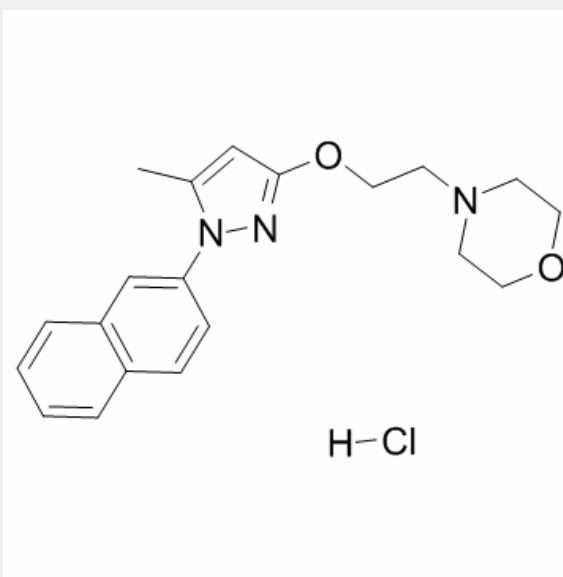
IC50 value: 17 nM ( $K_i$ ) [1]

Target:  $\sigma$ 1R antagonist

in vitro: S1RA behaved as a highly selective  $\sigma$ 1 receptor antagonist. It showed high affinity for human ( $K_i= 17$  nM) and guinea pig ( $K_i= 23.5$  nM)  $\sigma$ 1 receptors but no significant affinity for the  $\sigma$ 2 receptors ( $K_i > 1000$  nM for guinea pig and rat  $\sigma$ 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 ( $K_i > 1$   $\mu$ M or % inhibition at 1  $\mu$ 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<sup>-1</sup>, 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  $\alpha$ 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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