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S1RA

Cat. No.: HY-18099

CAS No.: 878141-96-9

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

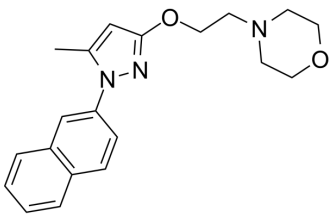
Molecular Weight: 337.42

Target: Sigma Receptor; 5-HT Receptor

Pathway: Neuronal Signaling; GPCR/G Protein

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

1M HCl : 50 mg/mL (148.18 mM; ultrasonic and adjust pH to 1 with HCl)
DMSO : 33.33 mg/mL (98.78 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9637 mL	14.8183 mL	29.6367 mL
	5 mM	0.5927 mL	2.9637 mL	5.9273 mL
	10 mM	0.2964 mL	1.4818 mL	2.9637 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.41 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (7.41 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.41 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

S1RA (E-52862) is a highly selective σ1 receptor (σ1R) antagonist with K_is of 17 nM and 23.5 nM for human σ1R and guinea pig σ1R, respectively. S1RA has Moderate antagonistic activity for human 5-HT_{2B} receptor (K_i= 328 nM). S1RA has antinociceptive effects in neuropathic pain models. S1RA prevents mechanical and cold hypersensitivity in Oxaliplatin (HY-17371)-treated mice[1][2].

IC_{50} & Target	human σ1R	guinea pig σ1R	guinea pig σ2R	rat σ2R

	17 nM (Ki)	23.5 nM (Ki)	>1000 nM (Ki)	9300 nM (Ki)
	human 5-HT_{2B} Receptor 328 nM (Ki)			
In Vitro	S1RA (E-52862; 100 μM; 4 h) inhibit intracellular calcium responses in TRPA1 expressing HEK293 cells[2]. S1RA (100 μM; 4 h) impairs the formation of TRPA1–Sigma-1R complexes and reduces TRPA1 expression at the plasma membrane[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.			
In Vivo	S1RA (E-52862; 40 mg/kg; IP; single dose) produces a substantial reduction in pain-related responses to intradermal Allylisothiocyanate (AITC) in WT mice[2]. S1RA (40 mg/kg; IP; once a day for 11 consecutive days; starting 3 days before Oxaliplatin injection) prevents mechanical and cold hypersensitivity in Oxaliplatin (6 mg/kg; i.p.; Day 0, Day 2, Day 4)-treated mice[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.			

Animal Model:	WT and TRPA1 KO mice with AITC (10 μl at 10 mM) in their hind paws[2]
Dosage:	40 mg/kg
Administration:	IP; single dose; 24-h before evaluation
Result:	Produced a substantial reduction in pain-related responses to intradermal AITC in WT mice.

CUSTOMER VALIDATION

- Eur J Med Chem. 5 August 2022, 114649.

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REFERENCES

[1]. Díaz JL, et al. Synthesis and biological evaluation of the 1-arylpyrazole class of σ(1) receptor antagonists: identification of 4-{2-[5-methyl-1-(naphthalen-2-yl)-1H-pyrazol-3-yloxy]ethyl}morpholine (S1RA, E-52862). J Med Chem. 2012 Oct 11;55(19):8211-24.

[2]. Aida Marcotti, et al. TRPA1 modulation by Sigma-1 receptor prevents oxaliplatin-induced painful peripheral neuropathy. Brain. 2023 Feb 13;146(2):475-491.

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