σ1 Receptor antagonist-1

Cat. No.: HY-10815

CAS No.: 1204401-49-9

Molecular Formula: $C_{21}H_{23}NOS$

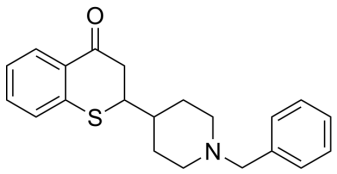
Molecular Weight: 337.48

Target: Sigma Receptor; Apoptosis

Pathway: Neuronal Signaling; Apoptosis

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (296.31 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.9631 mL	14.8157 mL	29.6314 mL
5 mM	0.5926 mL	2.9631 mL	5.9263 mL
10 mM	0.2963 mL	1.4816 mL	2.9631 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.41 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.41 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

σ1 Receptor antagonist-1 is a highly potent and selective sigma 1 receptor antagonist (pK_i=10.28). σ1 Receptor antagonist-1 inhibits cell growth, arrests cell cycle at G0/G1 phase and induces apoptosis of MCF-7/ADR cells[1].

IC_{50} & Target

Sigma 1 Receptor

In Vitro

σ1 Receptor antagonist-1 (compound 9) (10 μM; 48 hours) inhibits cell growth, arrests cell cycle at G0/G1 phase and induces apoptosis of MCF-7/ADR cells[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cycle Analysis[1]

Cell Line:	MCF-7/ADR, MCF-7 cells
Concentration:	10 μM
Incubation Time:	48 hours
Result:	Increased the number of cells in G0/G1 (27.8%) and decreased those in S phase (46.7%), in a sigma 1-dependent manner. It selectively affects the high sigma 1 receptor expressing MCF-7/ADR but not the low sigma 1 receptor expressing MCF-7 cells.

In Vivo

Pretreatment withσ1 Receptor antagonist-1 (1 mg/kg; s.c.) significantly increases the anti-nociceptive effect produces by morphine over the entire time course starting at 60 min[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male CD-1 mice[1]
Dosage:	1 mg/kg
Administration:	S.c.
Result:	Significantly increased the anti-nociceptive effect produced by morphine over the entire time course starting at 60 min.

CUSTOMER VALIDATION

- Cells. 2023 Jan 3;12(1):197.

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REFERENCES

[1]. Piergentili A, et al. Novel highly potent and selective sigma 1 receptor antagonists related to spipethiane. J Med Chem. 2010;53(3):1261-1269.

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