SEP-363856 hydrochloride

Cat. No.: HY-136109

CAS No.: 1310422-41-3

Molecular Formula: $C_9H_{14}ClNOS$

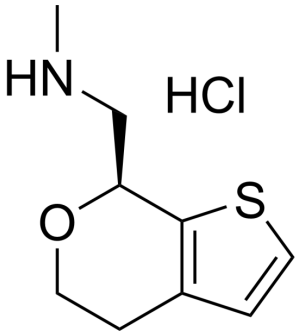
Molecular Weight: 219.73

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 100 mg/mL (455.10 mM; Need ultrasonic)

DMSO : 62.5 mg/mL (284.44 mM; Need ultrasonic)

Preparing Stock Solutions

Concentration / Solvent / Mass	1 mg	5 mg	10 mg
1 mM	4.5510 mL	22.7552 mL	45.5104 mL
5 mM	0.9102 mL	4.5510 mL	9.1021 mL
10 mM	0.4551 mL	2.2755 mL	4.5510 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (455.10 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 6.25 mg/mL (28.44 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 6.25 mg/mL (28.44 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 6.25 mg/mL (28.44 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SEP-363856 hydrochloride (SEP-856 hydrochloride), an orally active and CNS active psychotropic agent with a unique, non-D2/5-HT2A mechanism of action, exerts its antipsychotic-like effects. SEP-363856 hydrochloride (SEP-856 hydrochloride) has the potential for the study of schizophrenia[1].

IC_{50} & Target				
	TAAR1	5-HT_{1A} Receptor	5-HT_{1B} Receptor	5-HT_{1D} Receptor
	0.140 μM (EC50)	2.3 μM (EC50)	15.6 μM (EC50)	0.262 μM (EC50)

	5-HT_{2A} Receptor >10 μM (EC50)	5-HT_{2C} Receptor 30 μM (EC50)	5-HT_7 Receptor 6.7 μM (EC50)

In Vitro

SEP-856 (10 μM) shows >50% inhibition of specific binding at α_{2A}, α_{2B}, D_2, 5-HT_{1A}, 5-HT_{1B}, 5-HT_{1D}, 5-HT_{2A}, 5-HT_{2B}, 5-HT_{2C}, and 5-HT_7 receptors[1].

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

In Vivo

SEP-856 (0.3, 1 and 10 mg/kg, i.p.) is CNS active and exhibits a behavioral signature similar to known antipsychotic drugs[1].

?SEP-856 (0.3, 1 and 10 mg/kg, orally once) significantly reduces PCP-induced hyperactivity[1].

?Oral SEP-856 administration (1, 3 and 10 mg/kg) produces a dosedependent decrease in REM sleep, increase in latency to REM sleep and increase in cumulative wake (W) time[1].

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

Animal Model:	Acute treatment with phencyclidine (PCP), which induces robust hyperactivity in rodents [1].
Dosage:	0.3, 1 and 3 mg/kg.
Administration:	Orally once.
Result:	Resulted in a dose-dependent inhibition of PCP-induced hyperactivity responses in C57Bl/6J mice (1-way ANOVA $F_{(5, 59)} = 18.96$, $p < 0.0001$; Tukey's post-hoc test, $p < 0.05$) with a 50% effective dose (ED_{50}) of approximately 0.3 mg/kg.

Animal Model:	Male Sprague Dawley rats[1].
Dosage:	1, 2, and 5 mg/kg.
Administration:	I.V. injection. (Pharmacokinetic Analysis).
Result:	Rapidly absorbed with maximum plasma and brain concentrations reached within 0.25 to 0.5 hours in mice and rats and maximum plasma concentrations reached within 6 ± 2.83 hours in monkeys. Penetrated mouse and rat brains after oral administration (10 mg/kg), with average brain-to-plasma AUC ratios of ~3 respectively.

CUSTOMER VALIDATION

- Nature. 2023 Nov 7.
- Cell Host Microbe. 2023 Nov 8;31(11):1792-1803.e7.
- Front Pharmacol. 2023 Apr 21;14:1161964.

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REFERENCES

[1]. Dedic N, et al. SEP-363856, a Novel Psychotropic Agent with a Unique, Non-D2 Receptor Mechanism of Action. J Pharmacol Exp Ther. 2019 Oct;371(1):1-14.

Caution: Product has not been fully validated for medical applications. For research use only.

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