SB-399885 hydrochloride

Cat. No.: HY-103099

CAS No.: 402713-81-9

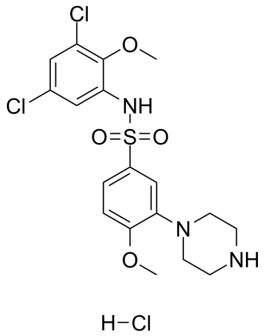
Molecular Formula: $C_{18}H_{22}Cl_3N_3O_4S$

Molecular Weight: 482.81

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

DMSO : 100 mg/mL (207.12 mM; Need ultrasonic)
H_2O : 6.67 mg/mL (13.81 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0712 mL	10.3560 mL	20.7121 mL
5 mM	0.4142 mL	2.0712 mL	4.1424 mL
10 mM	0.2071 mL	1.0356 mL	2.0712 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 (5.18 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.18 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.18 mM); Clear solution

BIOLOGICAL ACTIVITY

Description SB-399885 hydrochloride is a 5-HT_6 receptor antagonist.

IC_{50} & Target 5-HT_6 Receptor

In Vivo Compare with the control vehicle SB-399885 hydrochloride 10 mg/kg significantly increases wakefulness (W) ($F_{(3,15)}$=3.32, P<0.05) while slow wave sleep (SWS), rapid-eye-movement sleep (REMS) and the number of REM periods are reduced ($F_{(3,15)}$ =4.0, P<0.01; $F_{(3,15)}$=3.14, P<0.05 and $F_{(3,15)}$=2.62, P<0.05, respectively). Analysis of sleep variables in 2-h blocks shows that SB-399885 hydrochloride 10 mg/kg increases W ($F_{(3,15)}$=5.48, P<0.01) and reduces SWS ($F_{(3,15)}$=5.42, P<0.01) and REMS (F

$_{(3,15)}$= 4.05, P<0.01) during the first 2-h period. SB-399885 hydrochloride 5 and 10 mg/kg augment light sleep over the first ($F_{(3,15)}$=3.46,P<0.01 and $F_{(3,15)}$= 3.65, P<0.01, respectively) and the second ($F_{(3,15)}$=3.23, P<0.05 and $F_{(3,15)}$=3.08, P<0.05, respectively) 2-h recording periods. SB-399885 hydrochloride 10 mg/kg significantly increases REMS latency ($F_{(3,15)}$=3.60, P<0.01) and reduces the number of REM periods during the first 2-h of recording ($F_{(3,15)}$=3.88, P<0.01)[1].

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

PROTOCOL

Animal Administration [1]

Twelve male Wistar rats weighing 350 to 400 g at the time of surgery are used. SB-399885 hydrochloride 2.5, 5 and 10 mg/kg or vehicle (1% aqueous solution of Tween 80) (n=6) are administered intraperitoneally in animals adapted to a 12 h dark/12 h light cycle for 4 weeks, starting 2 h after the beginning of the dark period. Each animal receives all 12 treatments. Recordings are begun 15 min later and continued for 6 h. The control solution and SB-399885 hydrochloride are given at least three days apart[1].

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CUSTOMER VALIDATION

- Integr Zool. 2023 Jan 7.

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REFERENCES

[1]. Monti JM, et al. Effects of the 5-HT6 receptor antagonists SB-399885 and RO-4368554 and of the 5-HT(2A) receptor antagonist EMD 281014 on sleep and wakefulness in the rat during both phases of the light-dark cycle. Behav Brain Res. 2011 Jan 1;216(1):381-8

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