Lurasidone Hydrochloride

Cat. No.: HY-B0032

CAS No.: 367514-88-3

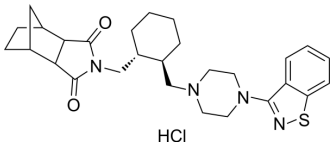
Molecular Formula: $C_{28}H_{37}ClN_4O_2S$

Molecular Weight: 529

Target: 5-HT Receptor; Dopamine Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 6.67 mg/mL (12.61 mM; ultrasonic and warming and adjust pH to 3 with HCl and heat to)
Ethanol : 2 mg/mL (3.78 mM; Need ultrasonic)
H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8904 mL	9.4518 mL	18.9036 mL
5 mM	0.3781 mL	1.8904 mL	3.7807 mL
10 mM	0.1890 mL	0.9452 mL	1.8904 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: ≥ 0.67 mg/mL (1.27 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.67 mg/mL (1.27 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Lurasidone (Hydrochloride) (SM-13496 (Hydrochloride)) is an antagonist of both dopamine D_2 and 5-HT_7 with IC_{50}s of 1.68 and 0.495 nM, respectively. Lurasidone (Hydrochloride) (SM-13496 (Hydrochloride)) is also a partial agonist of 5-HT_{1A} receptor with an IC_{50} of 6.75 nM.

IC_{50} & Target

5-HT_7 Receptor	5-HT_{1A} Receptor	D_2 Receptor
0.495 nM (IC_{50})	6.75 nM (IC_{50})	1.68 nM (IC_{50})

In Vitro

Lurasidone (SM-13496) Hydrochloride is an antagonist of dopamine D_2 and 5-HT_7 with IC_{50}s of 1.68±0.09 and 0.495±0.090 nM, respectively. Lurasidone (SM-13496) Hydrochloride is also a partial agonist of 5-HT_{1A} receptor with an IC_{50} of 6.75±0.97

	nM. In vitro receptor binding experiments reveal that Lurasidone (SM-13496) Hydrochloride demonstrates affinity for dopamine D_2 and 5-HT_{2A} receptors higher than other tested antipsychotics. Lurasidone does not increase [^{35}S]GTPγS binding to the membrane preparations for dopamine D_2 receptors by itself, but it antagonizes dopamine-stimulated [^{35}S]GTPγS binding in a concentration-dependent manner with a K_B value of 2.8±1.1 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Lurasidone (SM-13496) Hydrochloride dose-dependently increases the ratio of DOPAC/dopamine in both regions, but it shows a preferential effect on the frontal cortex compare with the striatum, especially at higher doses. Lurasidone (SM-13496) Hydrochloride (ED_{50} values 2.3 to 5.0 mg/kg) shows a comparable potency with olanzapine (ED_{50} values 1.1 to 5.1 mg/kg), higher potency than clozapine (ED_{50} 9.5 to 290 mg/kg), and slightly lower potency than haloperidol (ED_{50} values 0.44 to 1.7 mg/kg). Lurasidone (SM-13496) Hydrochloride (1 to 10 mg/kg) dose-dependently inhibits conditioned avoidance response (CAR) in rats, and the ED_{50} values are 6.3 mg/kg. Lurasidone (SM-13496) Hydrochloride dose-dependently inhibits TRY-induced forepaw clonic seizure and p-CAMP-induced hyperthermia with ED_{50} values of 5.6 and 3.0 mg/kg, respectively. Lurasidone (SM-13496) Hydrochloride (0.3 to 30 mg/kg) dose-dependently and significantly increases the number of shocks received by rats in the conflict test with MED of 10 mg/kg ($p<0.01$)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	SD rats are individually isolated in clear plastic cages and injected with methamphetamine (MAP) (1 mg/kg i.p.) 1 h after the administration of drugs or vehicle. In the test of persistence of the effect, Lurasidone (Hydrochloride) (SM-13496 (Hydrochloride)) is administered 1, 2, 4, and 8 h before the MAP injection. Locomotor activity is measured for 80 min from 10 min after MAP injection. Four or five groups of 6 to 13 rats are used to calculate the ED_{50} value that inhibits MAP-induced hyperactivity by 50% of the animals tested[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nature. 2023 Dec;624(7992):672-681.
- bioRxiv. 2024 Jan 14.
- Marmara Pharm J. 2017;21 (4): 931-937.
- Marmara Pharm J. 2017;21 (4): 931-937.

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REFERENCES

[1]. Ishibashi T, et al. Pharmacological profile of lurasidone, a novel antipsychotic agent with potent 5-hydroxytryptamine 7 (5-HT7) and 5-HT1A receptor activity. J Pharmacol Exp Ther. 2010 Jul;334(1):171-81.

[2]. Sakine Atila Karaca, et al. Development of a validated high-performance liquid chromatographic method for the determination of Lurasidone in pharmaceuticals. Marmara Pharm J. 2017;21 (4): 931-937.

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

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