Lurasidone

Cat. No.: HY-B0032A

CAS No.: 367514-87-2

Molecular Formula: $C_{28}H_{36}N_4O_2S$

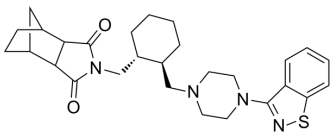
Molecular Weight: 492.68

Target: 5-HT Receptor; Dopamine Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, protect from light

* The compound is unstable in solutions, freshly prepared is recommended.



SOLVENT & SOLUBILITY

In Vitro

DMSO : 20.83 mg/mL (42.28 mM; Need ultrasonic)

Ethanol : 3.33 mg/mL (6.76 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0297 mL	10.1486 mL	20.2972 mL
5 mM	0.4059 mL	2.0297 mL	4.0594 mL
10 mM	0.2030 mL	1.0149 mL	2.0297 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.22 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Lurasidone (SM-13496) 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 (SM-13496) 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) 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) 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) demonstrates affinity for dopamine D_2 and 5-HT_{2A} receptors higher than other tested antipsychotics. Lurasidone (SM-13496) 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) dose-dependently increases the ratio of DOPAC/dopamine in frontal cortex and striatum, but it shows a preferential effect on the frontal cortex compare with the striatum, especially at higher doses. Lurasidone (SM-13496) (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) (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) dose-dependently inhibits tryptamine (TRY)-induced forepaw clonic seizure and p-chloroamphetamine (p-CAMP)-induced hyperthermia with ED_{50} values of 5.6 and 3.0 mg/kg, respectively. Lurasidone (SM-13496) (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 (SM-13496) 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.
- ACS Chem Neurosci. 2020 Jan 15;11(2):173-183.
- Antibiotics (Basel). 2024 Mar 28, 13(4), 308.
- bioRxiv. 2024 Jan 14.
- 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.

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