# Lurasidone

**Cat. No.:** HY-B0032A

**CAS No.:** 367514-87-2

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

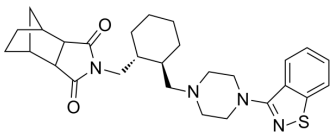
**Molecular Weight:** 492.68

**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].<br>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].<br>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.



Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA