# Data Sheet

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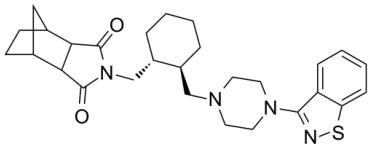
| **Product Name:** | Lurasidone |
| **Cat. No.:** | CS-D0229 |
| **CAS No.:** | 367514-87-2 |
| **Molecular Formula:** | C28H36N4O2S |
| **Molecular Weight:** | 492.68 |
| **Target:** | 5-HT Receptor; Dopamine Receptor |
| **Pathway:** | GPCR/G Protein; Neuronal Signaling |
| **Solubility:** | DMSO : 20.83 mg/mL (42.28 mM; Need ultrasonic); H2O : < 0.1 mg/mL (insoluble) |



## BIOLOGICAL ACTIVITY:

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. IC50 & Target: IC50: 1.68 nM (dopamine $D_2$), 0.495 nM (5-$HT_7$), 6.75 nM (5-$HT_{1A}$)[1] **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]. **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].

## PROTOCOL (Extracted from published papers and Only for reference)

**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].

## 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.

## CAIndexNames:

4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-[[(1R,2R)-2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]cyclohexyl]methyl]hexahydro-, (3aR,4S,7R,7aS)-

## SMILES:

O=C([C@H]1[C@H]2C[C@H](CC2)[C@@H]31)N(C[C@H](CCCC4)[C@@H]4CN5CCN(CC5)C6=NSC7=CC=CC=C67)C3=O

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

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