上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话：021-61312973　　传真：021-55068248
网址：www.shyuanye.com
邮箱：shyysw@sina.com

产品名称：罗西酮盐酸盐
产品别名：Lurasidone Hydrochloride；盐酸鲁拉西酮；SM-13496 (Hydrochloride)

生物活性：

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	IC50: 1.68 nM (dopamine D_2), 0.495 nM (5-HT_7), 6.75 nM (5-HT_{1A})[1]
In Vitro	Lurasidone (Hydrochloride) (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 (Hydrochloride) (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 (Hydrochloride) (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].
In Vivo	Lurasidone (Hydrochloride) (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 (Hydrochloride) (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 (Hydrochloride) (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 (Hydrochloride) (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 (Hydrochloride) (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].

In Vitro:

DMSO : 10 mg/mL (**18.90 mM;** Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent / Mass / Concentration	**1 mg**	**5 mg**	**10 mg**
	1 mM	1.8899 mL	9.4493 mL	18.8986 mL
	5 mM	0.3780 mL	1.8899 mL	3.7797 mL
	10 mM	0.1890 mL	0.9449 mL	1.8899 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

Solvent&Solubility	***In Vivo:*** 请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂： ——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶 1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline Solubility: ≥ 1 mg/mL (1.89 mM); Clear solution 此方案可获得 ≥ 1 mg/mL (1.89 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 10.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。 2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: ≥ 1 mg/mL (1.89 mM); Clear solution 此方案可获得 ≥ 1 mg/mL (1.89 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 10.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 1 mg/mL (1.89 mM); Clear solution 此方案可获得 ≥ 1 mg/mL (1.89 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 10.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
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.

实验参考：

Animal Administration	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].
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.