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产品名称:

R-(-)-7-Chloro-8-hydroxy-3-methyl-1-phenyl-2,3,4,5-tetrahydro-1H-3-benzazepine, hydrochloride

产品别名: SCH 23390 hydrochloride; R-(+)-SCH23390 hydrochloride

生物活性:

Description	SCH 23390 hydrochloride is a potent dopamine receptor D1 antagonist with K_i values of 0.2 and 0.3 nM for the D1 and D5.																										
IC₅₀ & Target	K_i : 0.2 nM (D1), 0.3 nM (D5)[1]																										
In Vivo	SCH 23390 has been a major tool in gaining a better understanding of the role of the dopamine system. SCH 23390 is a very short-acting compound with an elimination half-life of around 25 min following administration of 0.3 mg/kg i.p. in the rat[1]. The repeated administration of SCH 23390 (0.05 mg/kg s.c., thrice daily for 21 days) enhances the steady-state density of dopamine D1 receptors in the striatum (+30%) and substantia nigra (+24%). This treatment also increases the production rates of dopamine D1 receptors in the striatum (+44%) and substantia nigra (+54%)[4]. Systemic SCH 23390 reduces saccharin seeking evidenced by a significant reduction in active lever responding and a significant reduction in the number of active lever-contingent deliveries of the tone + light cue following pretreatment with 10 μ g/kg SCH 23390[5].																										
In Vitro: DMSO : \geq 32 mg/mL (98.69 mM) H ₂ O : < 0.1 mg/mL (insoluble) * " \geq " means soluble, but saturation unknown.																											
Solvent&Solubility	<table border="1"><thead><tr><th rowspan="2">Preparing Stock Solutions</th><th>Solvent</th><th>Mass</th><th rowspan="2">1 mg</th><th rowspan="2">5 mg</th><th rowspan="2">10 mg</th></tr><tr><th>Concentration</th><th></th></tr></thead><tbody><tr><td></td><td>1 mM</td><td>3.0841 mL</td><td>15.4207 mL</td><td>30.8414 mL</td></tr><tr><td></td><td>5 mM</td><td>0.6168 mL</td><td>3.0841 mL</td><td>6.1683 mL</td></tr><tr><td></td><td>10 mM</td><td>0.3084 mL</td><td>1.5421 mL</td><td>3.0841 mL</td></tr></tbody></table> *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。 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: \geq 2.08 mg/mL (6.42 mM); Clear solution 此方案可获得 \geq 2.08 mg/mL (6.42 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μ L 20.8 mg/mL 的澄清 DMSO 储备液加到 400 μ L PEG300 中, 混合均匀				Preparing Stock Solutions	Solvent	Mass	1 mg	5 mg	10 mg	Concentration			1 mM	3.0841 mL	15.4207 mL	30.8414 mL		5 mM	0.6168 mL	3.0841 mL	6.1683 mL		10 mM	0.3084 mL	1.5421 mL	3.0841 mL
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	向上述体系中加入 50 μ L Tween-80, 混合均匀; 然后继续加入 450 μ L 生理盐水定容至 1 mL。
References	<p>[1]. Bourne JA, et al . SCH 23390: the first selective dopamine D1-like receptor antagonist. CNS Drug Rev. 2001 Winter;7(4):399-414.</p> <p>[2]. Millan MJ, et al. The "selective" dopamine D1 receptor antagonist, SCH23390, is a potent and high efficacy agonist at cloned human serotonin2C receptors. Psychopharmacology (Berl). 2001 Jun;156(1):58-62.</p> <p>[3]. Kuzhikandathil EV, et al. Classic D1 dopamine receptor antagonist R-(+)-7-chloro-8-hydroxy-3-methyl-1-phenyl-2,3,4,5-tetrahydro-1H-3-benzazepine hydrochloride (SCH23390) directly inhibits G protein-coupled inwardly rectifying potassium channels. Mol Pharmacol. 2002 Jul;62(1):119-26.</p> <p>[4]. Giorgi O, et al. Chronic treatment with SCH 23390 increases the production rate of dopamine D1 receptors in the nigro-striatal system of the rat. Eur J Pharmacol. 1993 Apr 15;245(2):139-45.</p> <p>[5]. Aoyama K, et al. Systemic injection of the DAD1 antagonist SCH 23390 reduces saccharin seeking in rats. Appetite. 2016 Oct 1;105:8-13.</p>
实验参考:	
Animal Administration	Rats: All rats receive acclimatization saline injections the two afternoons 1 prior to 2 their test day. At the end of the Training phase, rats (n=15 or 16 rats/group) are assigned to one 3 of three conditions (0, 1, or 10 μ g/kg IP injections of SCH 23390). The day following the Training phase, rats are tested in the operant 6 conditioning chambers for saccharin cue-reactivity (saccharin seeking)[5].
References	<p>[1]. Bourne JA, et al . SCH 23390: the first selective dopamine D1-like receptor antagonist. CNS Drug Rev. 2001 Winter;7(4):399-414.</p> <p>[2]. Millan MJ, et al. The "selective" dopamine D1 receptor antagonist, SCH23390, is a potent and high efficacy agonist at cloned human serotonin2C receptors. Psychopharmacology (Berl). 2001 Jun;156(1):58-62.</p> <p>[3]. Kuzhikandathil EV, et al. Classic D1 dopamine receptor antagonist R-(+)-7-chloro-8-hydroxy-3-methyl-1-phenyl-2,3,4,5-tetrahydro-1H-3-benzazepine hydrochloride (SCH23390) directly inhibits G protein-coupled inwardly rectifying potassium channels. Mol Pharmacol. 2002 Jul;62(1):119-26.</p> <p>[4]. Giorgi O, et al. Chronic treatment with SCH 23390 increases the production rate of dopamine D1 receptors in the nigro-striatal system of the rat. Eur J Pharmacol. 1993 Apr 15;245(2):139-45.</p> <p>[5]. Aoyama K, et al. Systemic injection of the DAD1 antagonist SCH 23390 reduces saccharin seeking in rats. Appetite. 2016 Oct 1;105:8-13.</p>