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产品名称: JNJ 16259685
产品别名: JNJ16259685

| 生物活性: | | | | |
|---------------------------|---|----------------|------------------|----------------------|
| Description | JNJ16259685 is a selective antagonist of mGlu1 receptor, and inhibits the synaptic activation of mGlu1 in a concentration-dependent manner with IC ₅₀ of 19 nM. | | | |
| IC ₅₀ & Target | IC ₅₀ : 19 nM (mGlu1) | | | |
| In Vitro | JNJ16259685 potently and completely inhibits the glutamate (30 μM)-induced increase in intracellular Ca ²⁺ concentrations at the rat mGlu1a receptor with an IC ₅₀ value of 3.24±1.00 nM. IC ₅₀ values for CPCCOEt and BAY 36-7620 are 17.8±10.3 μM and 161±38 nM, respectively. The potency of JNJ16259685 in blocking glutamate (30 μM)-induced Ca ²⁺ mobilization at the human mGlu1a receptor is 1.21±0.53 nM (IC ₅₀ n=3). JNJ16259685 inhibits the glutamate (3 μM)-induced rise in intracellular Ca ²⁺ concentrations at the rat mGlu5a receptor with an IC ₅₀ value of 1.31±0.39 μM (n=4). JNJ16259685 blocks glutamate (3 μM)-induced Ca ²⁺ mobilization at the human mGlu5 receptor with an IC ₅₀ of 28.3±11.7 μM (n=4). JNJ16259685 does not exhibit agonist activity at any of the group I mGlu receptors[3]. | | | |
| In Vivo | JNJ16259685 (0.125, 0.25, 0.5, 1, 2, 4 and 8 mg/kg, i.p) significantly reduces the time spent in digging behaviours (0.25-8 mg/kg), threat (all doses) and attack, in comparison with vehicle group[1]. JNJ16259685 (30 mg/kg) produces very minimal effects on locomotor activity. JNJ16259685 dramatically reduces rearing behavior, exploration of a novel environment and lever pressing for a food reward (rat: 0.3 mg/kg; mouse: 1 mg/kg). Subcutaneously administered JNJ16259685 (30 mg/kg) has no effect on reflexive startle responses to loud auditory stimuli or foot shock in mice[2]. JNJ16259685 exhibits high potencies in occupying central mGlu1 receptors in the rat cerebellum and thalamus (ED ₅₀ =0.040 and 0.014 mg/kg, respectively)[3]. | | | |
| Solvent&Solubility | In Vitro: DMSO : ≥ 100 mg/mL (307.31 mM) * "≥" means soluble, but saturation unknown. | | | |
| | Preparing Stock Solutions | Solvent | Mass | Concentration |
| | | 1 mM | 3.0731 mL | 5 mg |
| | | 5 mM | 0.6146 mL | 10 mg |
| | | 10 mM | 0.3073 mL | 1.5366 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。 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 | | | |



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| | <p>1.请依序添加每种溶剂: 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline</p> <p>Solubility: ≥ 2.75 mg/mL (8.45 mM); Clear solution</p> <p>此方案可获得 ≥ 2.75 mg/mL (8.45 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 μL 27.5 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。</p> <p>2.请依序添加每种溶剂: 10% DMSO→ 90% (20% SBE-β-CD in saline)</p> <p>Solubility: ≥ 2.75 mg/mL (8.45 mM); Clear solution</p> <p>此方案可获得 ≥ 2.75 mg/mL (8.45 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 μL 27.5 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。</p> <p>3.请依序添加每种溶剂: 10% DMSO →90% corn oil</p> <p>Solubility: ≥ 2.75 mg/mL (8.45 mM); Clear solution</p> <p>此方案可获得 ≥ 2.75 mg/mL (8.45 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。</p> <p>以 1 mL 工作液为例, 取 100 μL 27.5 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。</p> |
| References | <p>[1]. Navarro JF,et al. JNJ16259685, a selective mGlu1 antagonist, suppresses isolation-induced aggression in male mice. Eur J Pharmacol. 2008 May 31;586(1-3):217-20.</p> <p>[2]. Hodgson RA, et al. Characterization of the selective mGluR1 antagonist, JNJ16259685, in rodent models of movement and coordination. Pharmacol Biochem Behav. 2011 Apr;98(2):181-7.</p> <p>[3]. Lavreysen H,et al. JNJ16259685, a highly potent, selective and systemically active mGlu1 receptor antagonist. Neuropharmacology. 2004 Dec;47(7):961-72.</p> |
| 实验参考: | |
| Animal Administration | <p>Mice[1]</p> <p>Nine groups of mice are used. Animals are randomly allocated to two control groups (n=15 each) receiving only saline or saline (90%) plus DMSO (10%), and seven experimental groups (N=14-16 each) receiving JNJ16259685 injections. JNJ16259685 is diluted in saline (90%) plus DMSO (10%) to provide appropriate doses for injections and administered in seven doses: 0.125, 0.25, 0.5, 1, 2, 4 and 8 mg/kg. The doses are chosen on the basis of recent behavioural studies using this compound. Drug or vehicle is injected intraperitoneally in a volume of 10 mL/kg.</p> <p>Rats[2]</p> <p>This procedure is used to measure overt behavioral, neurological and autonomic responses to the drug challenge. Briefly, rats are randomly separated into four groups (n=6), each of which receives a different dose (0, 3, 10, or 30 mg/kg) of JNJ16259685. An expert observer, blind to the drug treatment of the animals, assesses and scores the animals at 30, 60, 120, and 240 min post-injection. The animals are assessed for passivity, body elevation, limb position, limb tone, body tone, gait, and pupil size. For each of these behaviors, a score of 0 is assigned to animals that appeared "normal", whereas scores of ± 1, ± 2, or ± 3 indicated mild, moderate, or severe increases (+) or decreases (-) from normality. Individual animals that receive a score of ± 2, or greater, are</p> |



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| | considered to be significantly effected on the measure. A dose is considered to have a significant effect if 3 or more of the animals receive a score of greater than ± 2 . |
| References | <p>[1]. Navarro JF,et al. JNJ16259685, a selective mGlu1 antagonist, suppresses isolation-induced aggression in male mice. Eur J Pharmacol. 2008 May 31;586(1-3):217-20.</p> <p>[2]. Hodgson RA, et al. Characterization of the selective mGluR1 antagonist, JNJ16259685, in rodent models of movement and coordination. Pharmacol Biochem Behav. 2011 Apr;98(2):181-7.</p> <p>[3]. Lavreysen H,et al. JNJ16259685, a highly potent, selective and systemically active mGlu1 receptor antagonist. Neuropharmacology. 2004 Dec;47(7):961-72.</p> |



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