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产品名称: **Lu AF21934**
产品别名: **Lu AF21934**

| 生物活性: | | | | |
|---|---|------------------------------|-----------|------------|
| Description | Lu AF21934 is a selective and brain-penetrant mGlu4 receptor positive allosteric modulator with an IC ₅₀ of 500 nM for human mGlu4. | | | |
| IC ₅₀ & Target | IC50: 500 nM (hmGlu4) ^[1] | | | |
| In Vivo | Lu AF21934 treatment shows a dose-dependent anxiolytic-like effect in the stress-induced hyperthermia, four-plate, and marble-burying tests. The anti-hyperthermic effect of Lu AF21934 (5 mg/kg) in the SIH test is inhibited by the benzodiazepine receptor antagonist flumazenil (10 mg/kg) and is not serotonin dependent. Lu AF21934 does not produce antidepressant-like effects in the tail suspension test in mice; however, it decreases the basal locomotor activity of mice that are not habituated to activity cages ^[1] . Lu AF21934 (0.5-5 mg/kg sc) does not influence tremor but at doses of 0.5 and 2.5 mg/kg reverses harmaline-induced hyperactivity. Lu AF21934 at a dose of 2.5 mg/kg potentiates the inhibitory influence of harmaline on the exploratory activity and AP1 during the first 30 min of the measurement and counteracts the harmaline-increased basic activity during the period of 30-90 min ^[2] . Lu AF21934 (0.1-5 mg/kg) dose-dependently inhibits hyperactivity induced by MK-801 or amphetamine. It also antagonizes head twitches and increases frequency of spontaneous excitatory postsynaptic currents in brain slices, induced by DOI ^[3] . | | | |
| Solvent&Solubility | In Vitro: DMSO : ≥ 80 mg/mL (253.81 mM) * "≥" means soluble, but saturation unknown. | | | |
| | | Solvent / Mass Concentration | 1 mg | 5 mg |
| | Preparing | 1 mM | 3.1726 mL | 15.8629 mL |
| | Stock Solutions | 5 mM | 0.6345 mL | 3.1726 mL |
| | | 10 mM | 0.3173 mL | 1.5863 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℃, 6 months; -20℃, 1 month。 -80℃ 储存时，请在 6 个月内使用，-20℃ 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: ≥ 2.5 mg/mL (7.93 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.93 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀。 | | | | |



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| | <p>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\rightarrow 90% (20% SBE-β-CD in saline)</p> <p>Solubility: \geq 2.5 mg/mL (7.93 mM); Clear solution</p> <p>此方案可获得 \geq 2.5 mg/mL (7.93 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 μL 25.0 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。</p> <p>3.请依序添加每种溶剂: 10% DMSO \rightarrow90% corn oil</p> <p>Solubility: \geq 2.5 mg/mL (7.93 mM); Clear solution</p> <p>此方案可获得 \geq 2.5 mg/mL (7.93 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 25.0 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]. Sławińska A, et al. Anxiolytic- but not antidepressant-like activity of Lu AF21934, a novel, selective positive allosteric modulator of the mGlu₄ receptor. <i>Neuropharmacology</i>. 2013 Mar;66:225-35.</p> <p>[2]. Ossowska K, et al. Lu AF21934, a positive allosteric modulator of mGlu4 receptors, reduces the harmaline-induced hyperactivity but not tremor in rats. <i>Neuropharmacology</i>. 2014 Aug;83:28-35.</p> <p>[3]. Sławińska A, et al. The antipsychotic-like effects of positive allosteric modulators of metabotropic glutamate mGlu4 receptors in rodents. <i>Br J Pharmacol</i>. 2013 Aug;169(8):1824-39.</p> |
| 实验参考: | |
| Animal Administration | <p>Rats: Lu AF21934 is dispersed in 20% (2-hydropropyl)-β-cyclodextrin and are administered subcutaneously (s.c.) 60 min before the test. Lu AF21934 (2, 5, 10 and 15 mg/kg, s.c.) and diazepam (5 mg/kg, i.p.) are administered acutely 1 h before the Vogel's conflict test. The effects of each drug in all experiments are measured in groups of 8-10 animals[1].</p> <p>Mice: Lu AF21934 is dispersed in 20% (2-hydropropyl)-β-cyclodextrin and are administered subcutaneously (s.c.) 60 min before the test. Mice are gently placed into the box and allowed to explore for 15 s. Then, each time a mouse passed from one plate to another, the experimenter electrifies the whole floor thus evoking a visible flight reaction of the animal. If the animal continues running, no new shock is delivered for the following 3 s[1].</p> |
| References | <p>[1]. Sławińska A, et al. Anxiolytic- but not antidepressant-like activity of Lu AF21934, a novel, selective positive allosteric modulator of the mGlu₄ receptor. <i>Neuropharmacology</i>. 2013 Mar;66:225-35.</p> <p>[2]. Ossowska K, et al. Lu AF21934, a positive allosteric modulator of mGlu4 receptors, reduces the harmaline-induced hyperactivity but not tremor in rats. <i>Neuropharmacology</i>. 2014 Aug;83:28-35.</p> <p>[3]. Sławińska A, et al. The antipsychotic-like effects of positive allosteric modulators of metabotropic glutamate mGlu4 receptors in rodents. <i>Br J Pharmacol</i>. 2013 Aug;169(8):1824-39.</p> |