产品名称：N,N-二乙基-4-(5-羟基螺[2H-1-苯并吡喃-2,4'-哌啶]-4-基)苯甲酰胺盐酸盐
产品别名：ADL-5859

生物活性：

Description

ADL5859 is a δ-opioid receptor agonist with Ki of 0.8 nM, selectivity against opioid receptor κ, μ, and weak inhibitory activity at the hERG channel. IC50 value: 0.8 nM(Ki) Target: δ-opioid receptor ADL-5859 (ADL5859) is an δ-opioid receptor agonist (Ki=0.84 nM, EC50=20 nM). ADL-5859 (ADL5859) is an agonist agent that selectively stimulates the δ-opioid receptor with potential application in a wide range of inflammatory, neuropathic and acute pain conditions. In addition, Delta agonists are thought to modulate other biological processes that may manifest themselves in disease states or conditions such as overactive bladder and depression.ADL-5859 (ADL5859) is useful for inflammatory, neuropathic and acute pain conditions.

Solvent&Solubility

In Vitro:

DMSO： ≥ 100 mg/mL (233.13 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3313 mL	11.6564 mL	23.3127 mL
	5 mM	0.4663 mL	2.3313 mL	4.6625 mL
	10 mM	0.2331 mL	1.1656 mL	2.3313 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

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.75 mg/mL (6.41 mM); Clear solution

此方案可获得 ≥ 2.75 mg/mL (6.41 mM，饱和度未知) 的澄清溶液。

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。

2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)

Solubility: ≥ 2.75 mg/mL (6.41 mM); Clear solution

此方案可获得 ≥ 2.75 mg/mL (6.41 mM，饱和度未知) 的澄清溶液。

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。

[1]. Nozaki C, et al. δ-Opioid Mechanisms for ADL5747 and ADL5859 Effects in Mice: Analgesia,

References	Locomotion, and Receptor Internalization.J Pharmacol Exp Ther. 2012 Sep;342(3):799-807. [2]. Le Bourdonnec B, et al. Spirocyclic delta opioid receptor agonists for the treatment of pain: discovery of N,N-diethyl-3-hydroxy-4-(spiro[chromene-2,4'-piperidine]-4-yl) benzamide (ADL5747).J Med Chem. 2009 Sep 24;52(18):5685-702. [3]. Le Bourdonnec B, Windh RT, Ajello CW, Leister LK, Gu M, Chu GH, Tuthill PA, Barker WM, Koblish M, Wiant DD, Graczyk TM, Belanger S, Cassel JA, Feschenko MS, Brogdon BL, Smith SA, Christ DD, Derelanko MJ, Kutz S, Little PJ, DeHaven RN, DeHaven-Hudkins DL, [4]. Le Bourdonnec B et al. Potent, orally bioavailable delta opioid receptor agonists for the treatment of pain: discovery of N,N-diethyl-4-(5-hydroxyspiro[chromene-2,4'-piperidine]-4-yl)benzamide (ADL5859) J Med Chem. 2008 Oct 9;51(19):5893-6.