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产品名称：Lasmiditan (hydrochloride)
产品别名：LY 573144 hydrochloride; COL-144 hydrochloride

生物活性：

Description	Lasmiditan hydrochloride is a high-affinity, highly selective 5-HT1F receptor agonist (Ki=2.1 nM), compared with Ki of 1043 nM and 1357 nM at the 5-HT(1B) and 5-HT(1D) receptors, respectively[1].
IC_{50} & Target	Ki: 2.1 nM (5-HT1F), >1000 nM (5-HT1B/5-HT1D)[1]
In Vitro	In vitro binding studies Lasmiditan showed a K(i) value of 2.21 nM at the 5-HT(1F) receptor, compared with K(i) values of 1043 nM and 1357 nM at the 5-HT(1B) and 5-HT(1D) receptors, respectively, a selectivity ratio greater than 470-fold. Lasmiditan show higher selectivity for the 5-HT(1F) receptor relative to other 5-HT(1) receptor subtypes than the first generation 5-HT(1F) receptor agonist LY334370. Unlike the 5-HT(1B/1D) receptor agonist sumatriptan, lasmiditan did not contract rabbit saphenous vein rings, a surrogate assay for human coronary artery constriction, at concentrations up to 100 μM[1].
In Vivo	In two rodent models of migraine, oral administration of lasmiditan potently inhibited markers associated with electrical stimulation of the trigeminal ganglion (dural plasma protein extravasation, and induction of the immediate early gene c-Fos in the trigeminal nucleus caudalis)[2].

Solvent&Solubility

In Vitro:

DMSO : ≥ 28 mg/mL (67.66 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4165 mL	12.0825 mL	24.1651 mL
	5 mM	0.4833 mL	2.4165 mL	4.8330 mL
	10 mM	0.2417 mL	1.2083 mL	2.4165 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: ≥ 2.5 mg/mL (6.04 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (6.04 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；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: ≥ 2.5 mg/mL (6.04 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.04 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 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: ≥ 2.5 mg/mL (6.04 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.04 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 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Nelson DL, et al. Preclinical pharmacological profile of the selective 5-HT1F receptor agonist lasmiditan. Cephalalgia. 2010 Oct;30(10):1159-69. [2]. Tfelt-Hansen PC, et al. The 5-HT1F receptor agonist lasmiditan as a potential treatment of migraine attacks: a review of two placebo-controlled phase II trials. J Headache Pain. 2012 Jun;13(4):271-5.