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产品名称：Xaliproden hydrochloride

CAS 号：90494-79-4

产品货号：T87784

生物活性：

Description	Xaliproden (SR57746) hydrochloride (SR57746A) is an orally active, highly selective 5-HT1A receptor agonist. Xaliproden hydrochloride activates pertussis toxin-sensitive G protein-coupled signaling cascades, as well as the PKC, ERK1/ERK2, Akt and p21 Ras/MEK-1 pathways. Xaliproden hydrochloride also downregulates the JNK/p66/c-Jun signaling pathway, induces phosphorylation of the shc adaptor protein, regulates extracellular dopamine and 5-HT levels, and induces [35S]GTPγS labeling in rat brain structures rich in 5-HT1A receptors. Xaliproden hydrochloride exerts neurotrophic, neuroprotective, renoprotective, anti-inflammatory, anti-apoptotic, anti-fibrotic and analgesic effects. Xaliproden hydrochloride also enhances NGF-induced neurite outgrowth, promotes motor neuron survival, attenuates renal tubular injury and inhibits chemotherapy-induced mechanical allodynia, without activating or altering NGF-induced TrkA receptor activation. Xaliproden hydrochloride can be used in the research of motor neuron disease, diabetic nephropathy, chemotherapy-induced peripheral neuropathy, amyotrophic lateral sclerosis, Alzheimer's disease, acute tonic nociceptive pain, inflammatory pain, depression and anxiety[1][2][3][4].
IC50 & Target	5-HT1A Receptor | D2 Receptor
In Vitro	Xaliproden hydrochloride (1-10 μM; 5-30 min) 不会激活大鼠嗜铬细胞瘤 PC12 细胞中的 TrkA 受体[1]。 Xaliproden hydrochloride (1 μM; 5 min-48 h) 可在大鼠嗜铬细胞瘤 PC12 细胞中诱导 p66shc 和 p52shc 亚型发生时间依赖性酪氨酸磷酸化，其中 p66shc 的磷酸化在 5 min 时达到峰值，p52shc 的磷酸化在 48 h 时达到峰值[1]。 Xaliproden hydrochloride (0.1-5 μM; 5-30 min) 可在 PC12 大鼠嗜铬细胞瘤细胞中诱导 ERK1/ERK2 MAP 激酶呈剂量依赖性的瞬时激活，其中在 1 μM 作用 5 min 时 ERK2 的激活峰值达 3 倍，在 5 μM 作用 5 min 时达到最大激活水平[1]。 Xaliproden hydrochloride (1 μM; 5-30 min) 诱导的 PC12 大鼠嗜铬细胞瘤细胞中 ERK1/ERK2 MAP 激酶激活及 PKC 亚型磷酸化依赖于 PKC 的活性，而 5-HT1A 受体拮抗或 Gi/o 蛋白失活可抑制 PKC 的激活[1]。 Xaliproden hydrochloride (1-10 μM; 24 h) 可通过抑制 JNK/p65/c-Jun 信号通路，减轻炎症、细胞凋亡与纤维化，从而保护人肾近端小管上皮细胞免受高糖诱导的损伤[2]。 Xaliproden hydrochloride (10 μM) 可通过大鼠海马、外侧隔区、额叶皮层及内嗅皮层脑区中的天然 5-HT1a 受体激活 G 蛋白，该作用可被 5-HT1a 受体拮抗剂 WAY100635 完全阻断[4]。

Western Blot Analysis[1]

Cell Line:	PC12 rat pheochromocytoma cells
Concentration:	1 μM (Xaliproden); 1 μM, 5 μM (chelerythrine chloride, pre-incubation); 10 μM (pindobind, pre-incubation); 50 ng/mL (pertussis toxin, pre-incubation)
Incubation Time:	5 min (Xaliproden; following 1 h pre-incubation with chelerythrine chloride); 30 min (Xaliproden; following 1 h pre-incubation with pindobind or 2 h pre-incubation with pertussis toxin)
Result:	Pre-incubation with 1 μM or 5 μM chelerythrine chloride blocked Xaliproden-induced ERK1/ERK2 activation.

		Pre-incubation with GF109203X repressed Xaliproden-induced ERK1/ERK2 activation. Pre-incubation with GF109203X repressed Xaliproden-induced ERK1/ERK2 activation. Induced phosphorylation of PKC isoforms α, βI, βII, γ, δ at 30 min, which was inhibited by pre-incubation with pindobind or pertussis toxin.
	Cell Viability Assay[2]	
	Cell Line:	human renal proximal tubular epithelial cells (high glucose-stimulated)
	Concentration:	1 μM, 10 μM
	Incubation Time:	24 h (co-incubation with high glucose)
	Result:	Did not affect cell viability of high glucose-stimulated cells. Significantly reduced phosphorylation of JNK, p65, and c-Jun. Decreased protein expression of inflammatory cytokines IL-1β, IL-6, and TNF-α. Reduced the number of TUNEL-positive apoptotic cells. Lowered protein levels of cleaved caspase-3 and cleaved PARP. Decreased expression of fibrotic proteins TGF-β, phospho-Smad2/3, and collagen 1. Produced comparable reductions in p-JNK, p-p65, inflammatory proteins, TUNEL-positive cells, apoptotic proteins, p-c-Jun, and fibrotic proteins when co-treated with SP600125 as when used alone. Produced comparable reductions in p-c-Jun and fibrotic proteins when co-treated with SR11302 as when used alone. Showed no dose-dependent effect between 1 μM and 10 μM concentrations.
In Vivo	Xaliproden 可延长遗传性轴索病 pmn 小鼠的平均存活时间并改善其运动功能，挽救坐骨神经切断小鼠的运动神经元免于死亡[1]。 Xaliproden (0.3-1.5 mg/kg/天；口服；每日 1 次；持续 4 周) hydrochloride 可通过显著改善肾功能、减少蛋白尿、减轻肾小管损伤与纤维化，并通过抑制 JNK/p65/c-Jun 信号轴来阻断炎症、凋亡及纤维化通路，从而对患有糖尿病肾病的 db/db 小鼠发挥肾脏保护作用[2]。 Xaliproden (0.3-3 mg/kg；口服；单次) hydrochloride 可显著、长效且呈剂量依赖性地抑制 Paclitaxel 诱导的机械性痛觉过敏[3]。 Xaliproden (0.3-3 mg/kg；口服；单次) hydrochloride 仅在 3 mg/kg 单次剂量下对 Vincristine 诱导的机械性异常痛觉产生轻微、短暂的 19% 抑制作用，低剂量无效应，且不会改变 Vincristine 处理小鼠的胫神经放电反应[3]。 Xaliproden (0.63-40 mg/kg；口服；单次) hydrochloride 可对小鼠额叶皮层和海马体中体内 5-HT1A 受体结合产生剂量依赖性抑制作用，其 ID50 值分别为 3.5 mg/kg 和 3.3 mg/kg (口服)[4]。 Xaliproden (0.63-10 mg/kg；腹腔注射；单次) hydrochloride 可通过激活 5-HT1A 受体，呈剂量依赖性升高大鼠额叶皮层多巴胺水平 (ED50=0.7 mg/kg, i.p.)，并降低大鼠海马体 5-HT 水平 (ED50=1.2 mg/kg, i.p.)[4]。	

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Xaliproden (0.63-10 mg/kg；腹腔注射；单次) hydrochloride 在大鼠福尔马林疼痛试验中可产生剂量依赖性、由 5-HT1A 受体介导的抗伤害感受作用，在 10 mg/kg (i.p.) 时可完全抑制舔爪和抬爪反应[4]。

Animal Model:	BKS.Cg-Dock7m+/+ Leprdb (db/db) (6-week-old male, spontaneous genetic diabetic kidney disease model)[2]
Dosage:	0.3 mg/kg/day; 1.5 mg/kg/day
Administration:	p.o.; once daily; 4 weeks
Result:	Significantly reduced serum blood urea nitrogen and creatinine levels relative to untreated DKD mice. Significantly decreased urinary albumin-to-creatinine ratios relative to untreated DKD mice. Significantly lowered fasting blood glucose and homeostatic model assessment of insulin resistance levels relative to untreated DKD mice. Significantly reduced renal tubular injury scores and collagen deposition (renal fibrosis) compared to untreated DKD mice. Significantly attenuated the phosphorylation of JNK, p65, and c-Jun in kidney tissues relative to untreated DKD mice. Significantly decreased the expression of inflammatory markers (IL-1β, IL-6, TNF-α), apoptotic markers (cleaved caspase-3, cleaved PARP), and fibrotic markers (TGF-β, phospho-Smad2/3, collagen 1) in kidney tissues relative to untreated DKD mice. Showed no dose-dependent effect between 0.3 mg/kg/day and 1.5 mg/kg/day doses.

Solvent&Solubility

细胞实验:

DMSO 中的溶解度 ：33.33 mg/mL (79.76 mM; 超声助溶；吸湿的 DMSO 对产品的溶解度有显著影响，请使用新开封的 DMSO)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3930 mL	11.9649 mL	23.9297 mL
	5 mM	0.4786 mL	2.3930 mL	4.7859 mL
	10 mM	0.2393 mL	1.1965 mL	2.3930 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 (sealed storage, away from moisture)。-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。

动物实验：

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

方案 一

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

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	Solubility: ≥ 2.5 mg/mL (5.98 mM); 澄清溶液 此方案可获得 ≥ 2.5 mg/mL（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；再向上述体系中加入 50 μL Tween-80，混合均匀；然后再继续加入 450 μL 生理盐水 定容至 1 mL。 生理盐水的配制：将 0.9 g 氯化钠，溶解于 ddH₂ O 并定容至 100 mL，可以得到澄清透明的生理盐水溶液。 方案 二 请依序添加每种溶剂： 10% DMSO → 90% (20% SBE-β-CD in Saline) Solubility: ≥ 2.5 mg/mL (5.98 mM); 澄清溶液 此方案可获得 ≥ 2.5 mg/mL（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。 2 g SBE-β-CD（磺丁基醚 β-环糊精）粉末定容于 10 mL 的生理盐水中，完全溶解至澄清透明。 方案 三 请依序添加每种溶剂： 10% DMSO → 90% Corn Oil Solubility: ≥ 2.5 mg/mL (5.98 mM); 澄清溶液 此方案可获得 ≥ 2.5 mg/mL（饱和度未知）的澄清溶液，此方案实验周期在半个月以上的动物实验酌情使用。 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。
参考文献	[1]. Appert-Collin A, et al. Xaliproden (SR57746A) induces 5-HT1A receptor-mediated MAP kinase activation in PC12 cells. Int J Immunopathol Pharmacol. 2005;18(2):233-244. [2]. Lee HJ, et al. Xaliproden improves diabetic kidney disease through JNK-mediated renal tubular protection. Biochem Pharmacol. Published online March 22, 2026. [3]. Andoh T, et al. Effects of xaliproden, a 5-HT$_1$A agonist, on mechanical allodynia caused by chemotherapeutic agents in mice. Eur J Pharmacol. 2013;721(1-3):231-236. [4]. Martel JC, et al. 5-HT1A receptors are involved in the effects of xaliproden on G-protein activation, neurotransmitter release and nociception. Br J Pharmacol. 2009;158(1):232-242.

完整储备液配制表：

* 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 (sealed storage, away from moisture)。-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。

可选溶剂	质量 / 溶剂体积 / 浓度	1 mg	5 mg	10 mg	25 mg
DMSO	**1 mM**	2.3930 mL	11.9649 mL	23.9297 mL	59.8244 mL
	5 mM	0.4786 mL	2.3930 mL	4.7859 mL	11.9649 mL
	10 mM	0.2393 mL	1.1965 mL	2.3930 mL	5.9824 mL
	15 mM	0.1595 mL	0.7977 mL	1.5953 mL	3.9883 mL

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	20 mM	0.1196 mL	0.5982 mL	1.1965 mL	2.9912 mL
	25 mM	0.0957 mL	0.4786 mL	0.9572 mL	2.3930 mL
	30 mM	0.0798 mL	0.3988 mL	0.7977 mL	1.9941 mL
	40 mM	0.0598 mL	0.2991 mL	0.5982 mL	1.4956 mL
	50 mM	0.0479 mL	0.2393 mL	0.4786 mL	1.1965 mL
	60 mM	0.0399 mL	0.1994 mL	0.3988 mL	0.9971 mL