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产品名称: **CP-24879 HYDROCHLORIDE**

CAS 号: **10141-51-2**

产品货号: **S89801**

生物活性:																	
Description	CP-24879 (hydrochloride) is a potent, selective and combined delta5D/delta6D inhibitor. CP-24879 (hydrochloride) can significantly reduce intracellular lipid accumulation and inflammatory injury in hepatocytes. CP-24879 (hydrochloride) exhibits superior antisteatotic and anti-inflammatory actions in fat-1 and ω-3-treated hepatocytes, and can be used for non-alcoholic steatohepatitis research[1][2].																
IC50 & Target	IC50: 0.015 μM (delta6D in ABMC-7 cells), 0.56 μM (delta6D in Liver microsomes), 0.67 μM (delta5D in ABMC-7 cells), 3.4 μM (delta5D in ABMC-7 cells)[1]																
In Vitro	CP-24879 (hydrochloride) (0-10 μM, 4 天) 以浓度依赖性方式抑制 Δ6 和 Δ5 去饱和酶活性, 同时以浓度依赖性方式降低花生四烯酸 (AA) 水平并减少 LTC₄ 的生成[1]。 CP-24879 (hydrochloride) (0-10 μM, 16 小时) 显示出对油酸诱导的肝细胞甘油三酯积累的抑制作用[2]。 CP-24879 (hydrochloride) (0-10 μM, 16 小时) 以浓度依赖性方式阻断 LPS 诱导的炎性细胞因子表达[2]。 CP-24879 (hydrochloride) (0-2 μM, 4 小时) 抑制去饱和酶活性并改善铁死亡[3]。 <table><tr><td colspan="2">Cell Viability Assay</td></tr><tr><td>Cell Line:</td><td>Mouse mastocytoma ABMC-7 cells^[1]</td></tr><tr><td>Concentration:</td><td>0, 100 nM, 300 nM, 1 μM, 3 μM, and 10 μM</td></tr><tr><td>Incubation Time:</td><td>4 days</td></tr><tr><td>Result:</td><td>Inhibited Δ6 + Δ5 desaturase activities in a concentration-dependent manner, with a concentration-dependent depletion of AA and decrease in LTC₄ (Leukotriene C₄) production, and did not inhibit either 5-lipoxygenase or LTC₄ synthase activity.</td></tr></table>	Cell Viability Assay		Cell Line:	Mouse mastocytoma ABMC-7 cells ^[1]	Concentration:	0, 100 nM, 300 nM, 1 μM, 3 μM, and 10 μM	Incubation Time:	4 days	Result:	Inhibited Δ6 + Δ5 desaturase activities in a concentration-dependent manner, with a concentration-dependent depletion of AA and decrease in LTC ₄ (Leukotriene C ₄) production, and did not inhibit either 5-lipoxygenase or LTC ₄ synthase activity.						
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In Vivo	CP-24879 (hydrochloride) (3 mg/kg, 腹腔注射, 每天 3 次, 持续 6 或 4 天) 在体内抑制 Δ6 + Δ5 去饱和酶活性, 导致食物肝脏中的 AA 消耗-喂养小鼠并防止 EFAD 小鼠肝脏中 AA 的补充[1]。 CP-24879 (hydrochloride) (33 mg/kg, 静脉注射, 一次) 被快速清除, 并且半衰期相对较短[1]。 <table><tr><td>Animal Model:</td><td>Chow-fed and EFAD Balb/C mice (N = 5/group) [1]</td></tr><tr><td>Dosage:</td><td>3 mg/kg</td></tr><tr><td>Administration:</td><td>IP, three times a day, for 6 or 4 days</td></tr><tr><td>Result:</td><td>Inhibited approximately 80% combined Δ6 + Δ5 desaturase activities, causing depletion of AA in the livers of chow-fed mice and preventing repletion of AA in the livers of EFAD mice, and increased OA and LA, with a higher ratio of LA/AA in the livers of mice injected with CP-24879 versus saline (4.70 vs 2.00, Chow-fed mice; 2.46 vs 1.40, EFAD mice; respectively).</td></tr></table> <table><tr><td>Animal Model:</td><td>Swiss-Webster mice (male, 25 g) [1]</td></tr><tr><td>Dosage:</td><td>33 mg/kg</td></tr><tr><td>Administration:</td><td>IV in the tail vein, once (Pharmacokinetic Analysis)</td></tr><tr><td>Result:</td><td>Was readily distributed to the peripheral tissues, with the volume of distribution (V) of 1.9 mL/g, was cleared quite rapidly (CL = 0.56 mL/min) and had a relatively short half-life (T_{1/2} = 59 min).</td></tr></table>	Animal Model:	Chow-fed and EFAD Balb/C mice (N = 5/group) [1]	Dosage:	3 mg/kg	Administration:	IP, three times a day, for 6 or 4 days	Result:	Inhibited approximately 80% combined Δ6 + Δ5 desaturase activities, causing depletion of AA in the livers of chow-fed mice and preventing repletion of AA in the livers of EFAD mice, and increased OA and LA, with a higher ratio of LA/AA in the livers of mice injected with CP-24879 versus saline (4.70 vs 2.00, Chow-fed mice; 2.46 vs 1.40, EFAD mice; respectively).	Animal Model:	Swiss-Webster mice (male, 25 g) [1]	Dosage:	33 mg/kg	Administration:	IV in the tail vein, once (Pharmacokinetic Analysis)	Result:	Was readily distributed to the peripheral tissues, with the volume of distribution (V) of 1.9 mL/g, was cleared quite rapidly (CL = 0.56 mL/min) and had a relatively short half-life (T _{1/2} = 59 min).
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Solvent&Solubility	细胞实验:				
	DMSO 中的溶解度 : 125 mg/mL (579.45 mM; 超声助溶 (<60°C); 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	4.6356 mL	23.1782 mL	46.3564 mL
		5 mM	0.9271 mL	4.6356 mL	9.2713 mL
10 mM		0.4636 mL	2.3178 mL	4.6356 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 Solubility: ≥ 2.5 mg/mL (11.59 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: ≥ 2.08 mg/mL (9.64 mM); 澄清溶液 此方案可获得 ≥ 2.08 mg/mL (饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μL 20.8 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。					
参考文献	[1]. Obukowicz MG, et al. Identification and characterization of a novel delta6/delta5 fatty acid desaturase inhibitor as a potential anti-inflammatory agent. Biochem Pharmacol. 1998 Apr 1;55(7):1045-58. [2]. [2]López-Vicario C, et al. Molecular interplay between Δ5/Δ6 desaturases and long-chain fatty acids in the pathogenesis of non-alcoholic steatohepatitis. Gut. 2014 Feb;63(2):344-55. [3]. [3]Lee JY, Nam M, Son HY, et al. Polyunsaturated fatty acid biosynthesis pathway determines ferroptosis sensitivity in gastric cancer. Proc Natl Acad Sci U S A. 2020;117(51):32433-32442.				
	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				



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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
	浓度				
DMSO	1 mM	4.6356 mL	23.1782 mL	46.3564 mL	115.8910 mL
	5 mM	0.9271 mL	4.6356 mL	9.2713 mL	23.1782 mL
	10 mM	0.4636 mL	2.3178 mL	4.6356 mL	11.5891 mL
	15 mM	0.3090 mL	1.5452 mL	3.0904 mL	7.7261 mL
	20 mM	0.2318 mL	1.1589 mL	2.3178 mL	5.7945 mL
	25 mM	0.1854 mL	0.9271 mL	1.8543 mL	4.6356 mL
	30 mM	0.1545 mL	0.7726 mL	1.5452 mL	3.8630 mL
	40 mM	0.1159 mL	0.5795 mL	1.1589 mL	2.8973 mL
	50 mM	0.0927 mL	0.4636 mL	0.9271 mL	2.3178 mL
	60 mM	0.0773 mL	0.3863 mL	0.7726 mL	1.9315 mL
	80 mM	0.0579 mL	0.2897 mL	0.5795 mL	1.4486 mL
	100 mM	0.0464 mL	0.2318 mL	0.4636 mL	1.1589 mL

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