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产品名称: **SAR7334 (hydrochloride)**

产品别名: **SAR7334 hydrochloride**

生物活性:				
Description	SAR7334 hydrochloride is a potent and specific TRPC6 inhibitor, inhibiting TRPC6 currents with IC ₅₀ of 7.9 nM.			
IC ₅₀ & Target	IC ₅₀ : 7.9 nM (TRPC6 currents)[3]			
In Vitro	SAR7334 inhibits TRPC6, TRPC3 and TRPC7-mediated Ca ²⁺ influx into cells with IC ₅₀ s of 9.5, 282 and 226 nM ^{[1][2][3]} , whereas TRPC4 and TRPC5-mediated Ca ²⁺ entry is not affected. SAR7334 (1 μM) results in a major block of the Ang II-evoked calcium influx in the podocytes ^[1] . SAR7334 (1 μM) has negligible effect on SOCE ^[2] . SAR7334 dose-dependently reduces TRPC6 currents with an IC ₅₀ of 7.9 nM. SAR7334 (100 nM) substantially reduces TRPC6 currents ^[3] .			
In Vivo	SAR7334 (10 mg/kg, p.o.) suppresses TRPC6-dependent acute HPV in isolated perfused lungs from mice. SAR7334 demonstrates that it is suitable for chronic oral administration. In an initial short-term study, SAR7334 does not change mean arterial pressure in spontaneously hypertensive rats (SHR) ^[3] .			
Solvent&Solubility	In Vitro: DMSO : 100 mg/mL (226.87 mM; Need ultrasonic)			
		Solvent Mass Concentration	1 mg	5 mg
	Preparing	1 mM	2.2687 mL	11.3433 mL
	Stock Solutions	5 mM	0.4537 mL	2.2687 mL
		10 mM	0.2269 mL	1.1343 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 (5.67 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.67 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。			



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	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 生理盐水溶液中, 混合均匀。 3. 请依序添加每种溶剂: 10% DMSO $\rightarrow$ 90% corn oil Solubility: $\geq$ 2.5 mg/mL (5.67 mM); Clear solution 此方案可获得 $\geq$ 2.5 mg/mL (5.67 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]. Ilatovskaya DV, et al. The Role of Angiotensin II in Glomerular Volume Dynamics and Podocyte Calcium Handling. Sci Rep. 2017 Mar 22;7(1):299. [2]. Chauvet S, et al. Pharmacological Characterization of the Native Store-Operated Calcium Channels of Cortical Neurons from Embryonic Mouse Brain. Front Pharmacol. 2016 Dec 12;7:486. [3]. Maier T, et al. Discovery and pharmacological characterization of a novel potent inhibitor of diacylglycerol-sensitive TRPC cation channels. Br J Pharmacol. 2015 Jul;172(14):3650-60.
实验参考:	
Animal Administration	Adult male (6 months old) spontaneously hypertensive rats (SHR) are treated on two consecutive days. On day one, the animals receive 1 mL/kg vehicle by oral gavage. After 24 h, rats receive either vehicle alone or are treated with 10 mg/kg SAR7334. Telemetric measurement of BP is performed. In brief, a telemetric device (TL11M2-C50-PXT PMP) is placed between the aorta and the vena cava and the catheter tip of the transmitter is inserted into the aorta. Systolic BP, diastolic BP and heart rate are acquired continuously at a sampling rate of 500 Hz and data are stored as 5 min averages. Mean arterial pressure is calculated from systolic and diastolic pressure and low-pass filtered using the fast Fourier transform function of the vendor software for better visualization of time-dependent BP variations. For statistical analysis, raw data are averaged over a 6 h period starting 2 h after application of vehicle or SAR7334. This interval corresponds to the maximal plasma levels of SAR7334. Baseline data are sampled over the same time interval on the day before treatment. [3]
References	[1]. Ilatovskaya DV, et al. The Role of Angiotensin II in Glomerular Volume Dynamics and Podocyte Calcium Handling. Sci Rep. 2017 Mar 22;7(1):299. [2]. Chauvet S, et al. Pharmacological Characterization of the Native Store-Operated Calcium Channels of Cortical Neurons from Embryonic Mouse Brain. Front Pharmacol. 2016 Dec 12;7:486. [3]. Maier T, et al. Discovery and pharmacological characterization of a novel potent inhibitor of diacylglycerol-sensitive TRPC cation channels. Br J Pharmacol. 2015 Jul;172(14):3650-60.