



上海源叶生物科技有限公司
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产品名称: **Saroglitazar (Magnesium)**
产品别名: 沙罗格列扎镁盐

生物活性:

Description	Saroglitazar magnesium is a novel peroxisome proliferator-activated receptor (PPAR) agonist with predominant PPARα and moderate PPARY activity with EC50 values of 0.65 pM and 3 nM in HepG2 cells, respectively.				
	PPARα		PPARγ		
IC50 & Target	0.65 pM (EC50, HepG2 cell)		3 nM (EC50, HepG2 cell)		
	In db/db mice, 12-day treatment with Saroglitazar (0.01-3 mg/kg per day, orally) causes dose-dependent reductions in serum triglycerides (TG), free fatty acids (FFA), and glucose. The ED50 for these effects is found to be 0.05, 0.19, and 0.19 mg/kg, respectively with highly significant (91%) reduction in serum insulin and AUC-glucose following oral glucose administration (59%) at 1 mg/kg dose. A 90-day repeated dose comparative study in Wistar rats and marmosets confirms efficacy (TG lowering) potential of Saroglitazar and has indicated low risk of PPAR-associated side effects in humans. Based on efficacy and safety profile, Saroglitazar appears to have good potential as novel therapeutic agent for treatment of dyslipidemia and diabetes[1].				
In Vivo	In Vitro: DMSO : 50 mg/mL (55.47 mM; Need ultrasonic) H2O : < 0.1 mg/mL (insoluble)				
	Preparing Stock Solutions	SolventMassConcentration	1 mg	5 mg	10 mg
		1 mM	1.1094 mL	5.5468 mL	11.0936 mL
		5 mM	0.2219 mL	1.1094 mL	2.2187 mL
		10 mM	0.1109 mL	0.5547 mL	1.1094 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 (3.05 mM); Clear solution 此方案可获得 ≥ 2.75 mg/mL (3.05 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。				



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	2.请依序添加每种溶剂: 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: 2.75 mg/mL (3.05 mM); Suspended solution; Need ultrasonic 此方案可获得 2.75 mg/mL (3.05 mM)的均匀悬浊液, 悬浊液可用于口服和腹腔注射。 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 生理盐水溶液中, 混合均匀。 3.请依序添加每种溶剂: 10% DMSO →90% corn oil Solubility: ≥ 2.75 mg/mL (3.05 mM); Clear solution 此方案可获得 ≥ 2.75 mg/mL (3.05 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 27.5 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。
References	[1]. Jain MR, et al. Saroglitazar, a novel PPARα/γ agonist with predominant PPARα activity, shows lipid-lowering and insulin-sensitizing effects in preclinical models. Pharmacol Res Perspect. 2015 Jun;3(3):e00136.
实验参考:	
Animal Administration	Rats: Rats randomize based on body weights and are divided into three equal groups and receives the daily administration of vehicle (50% w/v honey for marmoset and 0.1% carboxymethylcellulose for Wistar rats) or Saroglitazar (1.5 and 15 mg/kg per day) for 90 days by oral gavage[1]. Mice: Male C57BL/6J-db/db mice are bled on day 0 to determine pretreatment serum glucose and TG. During next 12 days, each animal is dosed (by oral gavage) with vehicle (0.5% sodium carboxymethyl cellulose) or Saroglitazar (0.01, 0.03, 0.1, 0.3, 1, and 3 mg/kg per day) or pioglitazone (60 mg/kg per day) and on day 12 of the treatment, blood samples are collected (1 h after dosing) from orbital sinus under light ether anesthesia. The serum is isolated and analyzed for glucose, TG, free fatty acid (FFA), and insulin levels[1].
References	[1]. Jain MR, et al. Saroglitazar, a novel PPARα/γ agonist with predominant PPARα activity, shows lipid-lowering and insulin-sensitizing effects in preclinical models. Pharmacol Res Perspect. 2015 Jun;3(3):e00136.