上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话：021-61312973　　传真：021-55068248
网址：www.shyuanye.com
邮箱：shyysw@sina.com

产品名称：GSK0660
产品别名：GSK0660

生物活性：

Description	GSK0660 is a potent antagonist of PPARβ and PPARδ, with IC50s of 155 nM for both isoforms.
IC_{50} & Target	PPARβ/δ
	155 nM (IC_{50})
In Vitro	GSK0660 is a potent antagonist of PPARβ and PPARδ, with IC50s of both 155 nM, and is nearly inactive on PPARα and PPARγ with IC50s of both >10 μM. GSK0660 antagonizes 100% of the activity of PPARβ/δ with a pIC50 of 6.8. GSK0660 (100 nM) reduces CPT1a (a PPARβ/δ target gene) expression below the basal vehicle-treated level by approximately 50%, but shows no effect on PDK4 expression, which is also a PPARβ/δ target gene in skeletal muscle cells[1]. GSK0660 (0.5 μM) reduces the levels of AMPK and eNOS phosphorylation, and BMP-2, Runx-2 mRNA expression in MC3T3-E1 cells. GSK0660 (0.1 and 0.5 μM) reverses the bezafibrate-induced enchancement of ALP activity on d 7 in MC3T3-E1 cells[2]. GSK0660 (1 μM) markedly blocks GW501516-mediated attenuation of glutamate release, and the effect of GW501516 on ROS generation in BV-2 cells stimulated with LPS. Furthermore, GSK0660 significantly reduces inhibitory effect of GW501516 on the LPS-induced expression of gp91phox mRNA in BV-2 cells[3].

Solvent&Solubility

In Vitro:

DMSO： ≥ 49 mg/mL (117.09 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3895 mL	11.9477 mL	23.8954 mL
	5 mM	0.4779 mL	2.3895 mL	4.7791 mL
	10 mM	0.2390 mL	1.1948 mL	2.3895 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 (5.97 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.97 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。

References	[1]. Shearer BG, et al. Identification and characterization of a selective peroxisome proliferator-activated receptor beta/delta (NR1C2) antagonist. Mol Endocrinol. 2008 Feb;22(2):523-9. Epub 2007 Nov 1. [2]. Zhong X, et al. Bezafibrate enhances proliferation and differentiation of osteoblastic MC3T3-E1 cells via AMPK and eNOS activation. Acta Pharmacol Sin. 2011 May;32(5):591-600. [3]. Lee WJ, et al. Activation of PPARδ attenuates neurotoxicity by inhibiting lipopolysaccharide-triggered glutamate release in BV-2 microglial cells. J Cell Biochem. 2018 Feb 1.
实验参考：	
Cell Assay	Cell viability is determined by the MTT dye. MC3T3-E1 cells are incubated with bezafibrate (1−1000 μM) for 24, 48, or 72 h, and are pretreated with the AMPK inhibitor compound C (5 μM), PPARβ inhibitor GSK0660 (0.5 μM), PPARα inhibitor MK886 (10 μM), or NOS inhibitor L-NAME (1000 μM) followed by bezafibrate (100 μM) incubation for 48 h. After the incubations, 10 μL of MTT is added to each well of a 96-well microplate, and the microplates are placed in an incubator at 37°C for 4 h. One hundred fifty microliters of DMSO is added to all wells and mixed thoroughly to lyse the cells and dissolve the dark blue crystals. After 10 min, the absorbance is measured at 570 nm using a microplate reader[2].
References	[1]. Shearer BG, et al. Identification and characterization of a selective peroxisome proliferator-activated receptor beta/delta (NR1C2) antagonist. Mol Endocrinol. 2008 Feb;22(2):523-9. Epub 2007 Nov 1. [2]. Zhong X, et al. Bezafibrate enhances proliferation and differentiation of osteoblastic MC3T3-E1 cells via AMPK and eNOS activation. Acta Pharmacol Sin. 2011 May;32(5):591-600. [3]. Lee WJ, et al. Activation of PPARδ attenuates neurotoxicity by inhibiting lipopolysaccharide-triggered glutamate release in BV-2 microglial cells. J Cell Biochem. 2018 Feb 1.